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(54) Benevnelse **KOMBINASJONSTERAPIER OMFATTENDE EN PI3K-ALFA-KINOKSALININHIBITOR FOR ANVENDELSE I BEHANDLINGEN AV KREFT**

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KOMBINASJONSTERAPIER OMFATTENDE EN PI3K-ALFA-KINOKSALININHIBITOR FOR ANVENDELSE I BEHANDLINGEN AV KREFT

Krysshenvisning til relaterte søknader

Søkerne krever prioritet under 35 U.S.C. 119(e) til sideløpende provisjonell søknad nr. 60/923,164 inngitt 11. april 2007.

OPPFINNELSENS OMRÅDE

Den foreliggende oppfinnelse angår anvendelsen i en fremgangsmåte for behandling av kreft med en forbindelse som inhiberer lipidkinaseenzymatisk aktivitet og den resulterende modulering av celleaktiviteter (slik som proliferasjon, differensiering, programmert celledød, migrasjon, kjemoinvasjon og metabolisme) i kombinasjon med antikreftmidler.

BAKGRUNNEN FOR OPPFINNELSEN

Forbedringer i spesifisiteten til midler som anvendes til å behandle en rekke sykdomstilstander slik som kreftsykdommer, metabolske sykdommer og betennelsessykdommer, er av betydelig interesse på grunn av de terapeutiske fordelene som ville bli realisert dersom bivirkningene forbundet med administrasjonen av disse midlene kunne reduseres. Tradisjonelt er dramatiske forbedringer i behandlingen av kreft assosiert med identifisering av terapeutiske midler som virker gjennom hittil ukjente mekanismer.

Fosfatidylinositol 3-kinase (PI3K eller PIK3CA) er sammensatt av en 85 kDa regulatorisk underenhet og en 110 kDa katalytisk underenhet. Proteinet som kodes av dette genet, representerer den katalytiske underenhet, som anvender ATP for å fosforylere PtdIns, PtdIns4P og PtdIns(4,5)P2. PTEN, en tumorsuppressor som inhiberer cellevekst gjennom flerfoldige mekanismer, kan defosforylere PIP3, hovedproduktet av PIK3CA. PIP3 er på sin side nødvendig for translokasjon av proteinkinase B (AKT1, PKB) til cellemembranen, hvor den fosforyleres og aktiveres ved hjelp av oppstrømskinaser. PTEN's effekt på celledød medieres gjennom PIK3CA/AKT1-banen.

PI3Kα har vært involvert i reguleringen av omorganisering av cytoskjelett, apoptose, vesikulær transport, proliferasjon og differensieringsprosesser. Økt kopinummer og ekspresjon av PIK3CA eller aktivering av mutasjoner i den p110a-katalytiske underenhet av PIK3CA er forbundet med et antall ondartetheter slik som eggstokkreft (Campbell et al., *Cancer Res* **2004**, *64,* 7678-7681; Levine et al., *Clin Cancer Res* **2005**, *11*, 2875-2878; Wang

et al., *Hum Mutat* **2005**, *25*, 322; Lee et al., *Gynecol Oncol* **2005**, *97*, 26-34), livmorhalskreft, brystkreft (Bachman, et al. *Cancer Biol Ther* **2004**, *3*, 772-775; Levine, et al., supra; Li et al., *Breast Cancer Res Treat* **2006**, *96*, 91-95; Saal et al., *Cancer Res* **2005**, *65*, 2554-2559; Samuels og Velculescu, *Cell Cycle* **2004**, *3*, 1221-1224), kolorektalkreft (Samuels, et al. *Science* **2004**, *304*, 554; Velho et al. *Eur J Cancer* **2005**, *41*, 1649-1654), endometriekreft (Oda et al. *Cancer Res*. **2005**, *65*, 10669-10673), gastriske karsinomer (Byun et al., *Int J Cancer* **2003**, *104*, 318-327; Li et al., supra; Velho et al., supra; Lee et al., *Oncogene* **2005**, *24*, 1477-1480), hepatocellulært karsinom (Lee et al., *id.*), småcellet og ikke-småcellet lungekreft (Tang et al., *Lung Cancer* **2006**, *51*, 181-191; Massion et al., *Am J Respir Crit Care Med* **2004**, *170*, 1088-1094), tyroid karsinom (Wu et al., *J Clin Endocrinol Metab* **2005**, *90*, 4688-4693), akutt myelogen leukemi (AML) (Sujobert et al., *Blood* **1997**, *106*, 1063-1066), kronisk myelogen leukemi (CML) (Hickey og Cotter *J Biol Chem* **2006**, *281*, 2441-2450), og glioblastomer (Hartmann et al. *Acta Neuropathol (Berl)* **2005**, *109*, 639-642; Samuels et al., supra).

I den kjente teknikk beskrives allerede kinoksalinderivater som PI3K-inhibitorer. Faktisk beskrives i dokument W02007/023186 kondensert-pyrazin-derivater, især kinoksalinderivater som PI3K-inhibitorer, og i dokument WO2007/044729, offentliggjort i den foreliggende fremleggelses prioritetsperiode, beskrives også de foreliggende forbindelser ifølge formel (I), som P13K-inhibitorer, i kombinasjon med et kjemoterapeutisk middel, kirurgi og stråling.

Med hensyn til PI3K-α's viktige rolle i biologiske prosesser og sykdomstilstander, er inhibitorer og/eller modulatorer av denne lipidkinase ønskelig. I tillegg er det godt etablert at å kombinere behandlinger med forskjellige virkningsmekanismer ofte fører til økt tumorhemmende aktivitet sammenlignet med enkeltbehandlinger som administreres alene. Dette er gyldig for kombinasjoner av kjemoterapier (f.eks. Kyrgiou M. et. al. *J Natl Cancer Inst* **2006**, *98*, 1655) og kombinasjoner av antistoffer og kjemoterapi (f.eks. Pasetto LM et. al. *Anticancer Res* **2006**, *26*, 3973.

Eksempelvis bidrar aktivering av PI3K-banen til humane tumorcellers resistens overfor en rekke kjemoterapeutiske midler, herunder mikrotubule stabiliseringsmidler slik som taksol (Brognard, J., et. al. *Cancer Res* **2001**, *61*, 3986-3997; Clark, A. S., et. al. *Mol Cancer Ther* **2002**, *1*, 707-717; Kraus, A. C., et. al. *Oncogene* **2002**, *21*, 8683-8695; Krystal, G. W., et. al. *Mol Cancer Ther* **2002**, *1*, 913-922; og Yuan, Z. Q., et. al. *J Biol Chem* **2003**, *278*, 23432-23440). Taksol er allment anvendt til å behandle fremskredne krefttyper, herunder prostatakarsinomer, som hyppig har delesjoner i PTEN-genet, hvilket fører til forhøyet signalering nedstrøms av PI3K. Et antall prekliniske studier antyder at å inhibere signalering nedstrøms av PI3K restituerer eller øker kjemoterapeutiske midler, slik som taksol, sin evne til

å drepe tumorceller (Brognard, J., et. al. *Cancer Res* **2001**, *61*, 3986-3997; Clark, A. S., et. al. *Mol Cancer Ther* **2002**, *1*, 707-717; Kraus, A. C., et. al. *Oncogene* **2002**, *21*, 8683-8695; Krystal, G. W., et. al. *Mol Cancer Ther* **2002**, *1*, 913-922; og Saga, Y., et. al. *Clin Cancer Res* **2002**, *8*, 1248-1252).

Rapamycin, et annet kjemoterapeutisk middel, er en potent inhibitor av mTOR/Raptor-komplekset. Inhibering av mTOR/Raptor forebygger p70S6K- og S6-fosforylering, men fører også til lettelse av en negativ feedback-loop som emanerer fra p70S6K, som tjener til å nedregulere PI3K (Sarbassov, D. D., et. al. *Science* **2005**, *307*, 1098-1101). Som et resultat kan rapamycinbehandling føre til oppregulering av PI3K og økt fosforylering av AKT (O'Donnell, A., et. al., artikkel presentert på Proc Am Soc Clin Oncol. 2003; og O'Reilly, K. E., et. al. *Cancer Res* **2006**, *66*, 1500-1508). Å kombinere rapamycin med inhibitorer av PI3K kan således øke rapamycins effektivitet (Powis, G. et. al. *Clinical Cancer Research* **2006**, *12*, 2964-2966; Sun, S.-Y., et. al. *Cancer Research* **2005**, *65*, 7052-7058).

En økende mengde kliniske og prekliniske data indikerer at aktivering av PI3K-veien gir EGFR-inhibitorer slik som erlotinib, resistens (Bianco, R., et. al. *Oncogene* **2003**, *22*, 2812-2822; Chakravarti, A., et. al. *Cancer Res* **2002**, *62*, 200-207; og Janmaat, M. L., et. al. *Clin Cancer Res* **2003**, *9*, 2316-2326). Både NSCLC-pasienter med K-Ras-mutasjoner og glioblastompasienter med PTEN-delesjoner responderer ikke på erlotinib, muligens på grunn av genetisk aktivering av PI3K-banen (Mellinghoff, I. K., et. al. *N. Eng. J Med.* **2006**, *353*, 2012-2024). Prekliniske studier har vist at nedregulering av PI3K-signalering i EGFR-uttrykkende tumorceller gir EGFR-inhibitorer økt sensitivitet (Ihle, N. T., et. al. *Mol Cancer Ther* **2005**, *4*, 1349-1357). Å behandle kreft med en PI3K-inhibitor i kombinasjon med en EGFR-inhibitor, slik som erlotinib, er således ønskelig.

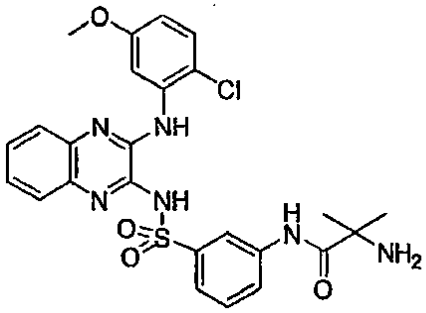
Aktivering av PI3K-banen bidrar også til humane tumorcellers resistens mot DNA-skadende midler, slik som platiner. Et antall prekliniske studier antyder at å inhibere signalering nedstrøms av PI3K restituerer eller øker kjemoterapeutiske midler, slik som platiner, sin evne til å drepe tumorceller (Brognard, J., et. al. *Cancer Res* **2001**, *61*, 3986-3997; og Yuan, Z. Q., et. al. *J Biol Chem* **2003**, *278*, 23432-23440). Karboplatin er allment anvendt til å behandle fremskredne krefttyper, herunder ikke-småcellede lungekarsinomer (NSCLC), som hyppig har aktiverende mutasjoner i K-Ras-genet, hvilket fører til aktivering av PI3K (Aviel-Ronen S., et. al. *Clin Lung Cancer* **2006**, *8*, 30-38). NSCLC-pasienter med K-Ras-mutasjoner responderer ikke på EGFR-inhibitorer slik som Tarceva, og utgjør således et betydelig udekket medisinsk behov (Janne PA, et. al. *J Clin Oncology* **2005**, *23*, 3227-3234). Å behandle NSCLC med et DNA-skadende middel slik som platin i kombinasjon med en inhibitor av P13K er således ønskelig sett i lys av mangelen på virkningsfulle behandlinger.

Behandlinger som kombinerer en inhibitor av PI3K-α med andre antikreftmidler, er ønskelige og nødvendige.

KORT BESKRIVELSE AV OPPFINNELSEN

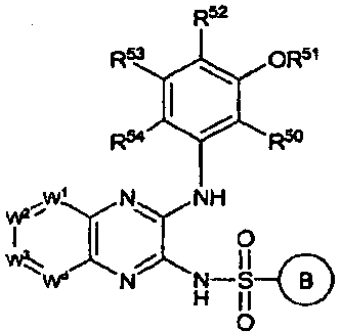
Det følgende sammenfatter kun visse aspekter av oppfinnelsen og er ikke ment å være av begrensende natur. Disse aspekter og andre aspekter og utførelsesformer blir beskrevet mer detaljert nedenfor. I tilfelle uoverensstemmelse mellom den uttrykkelige fremleggelse i dette patentskrift og de heri nevnte referanser, skal den uttrykkelige fremleggelse i dette patentskrift, være bestemmende.

Ifølge oppfinnelsen tilveiebringes det en forbindelse som er:



eller en tautomer eller en singel isomer derav, hvor forbindelsen er valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav; til anvendelse i kombinasjon med én eller flere behandlinger uavhengig valgt blant ett eller flere kjemoterapeutiske midler valgt fra gruppen bestående av et platin, et taksan, rapamycin og erlotinib i behandlingen av kreft.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I:



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eller en singel isomer derav, hvor forbindelsen er valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav; eller å

administrere et farmasøytisk preparat som omfatter en terapeutisk effektiv mengde av en forbindelse ifølge formel I og en farmasøytisk akseptabel bærer, eksipiens eller diluent i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, én eller flere immunterapier, radioaktiv jodterapi og stråling, hvor forbindelsen ifølge formel I er at hvor:
W^1, W^2, W^3 og W^4 er -C(R^1)=; eller én eller to av W^1, W^2, W^3 og W^4 er uavhengig -N=, og de gjenværende er -C(R^1)=; og hvor hver R^1 er uavhengig hydrogen, alkyl, haloalkyl, nitro, alkoksy, haloalkoksy, halo, hydroksy, cyano, amino, alkylamino eller dialkylamino;
R^{51} er hydrogen eller alkyl;
R^{52} er hydrogen eller halo;
R^{50}, R^{53} og R^{54} er uavhengig hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroksy, alkoksy, alkenyloksy, haloalkoksy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}, alkylkarbonyl, alkenylkarbonyl, karboksy, alkoksykarbonyl, cyano, alkyltio, -S(O)$_2$N$R^{55}R^{55a}$ eller alkylkarbonylamino, og hvor R^{55} og R^{55b} er uavhengig hydrogen, alkyl eller alkenyl, og R^{55a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy; eller R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner en 5- eller 6-leddet heteroaryl eller 5- eller 6-leddet heterosykloalkyl;
B er fenyl substituert med R^{3a} og valgfritt ytterligere substituert med én, to eller tre R^3; eller B er heteroaryl valgfritt substituert med én, to eller tre R^3;
R^{3a} er cyano; hydroksyamino; karboksy; alkoksykarbonyl; alkylamino; dialkylamino; alkylkarbonyl; haloalkoksy; alkylsulfonyl; aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; eller

a) -N(R^7)C(O)-C_1-C_6-alkylen-N(R^{7a})(R^{7b}), hvor R^7 er hydrogen, alkyl eller alkenyl, og R^{7a} og R^{7b} er uavhengig hydrogen, alkyl, alkenyl, hydroksyalkyl, haloalkyl, alkoksy, alkoksyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl eller arylalkyloksy, og hvor aryl-, sykloalkyl-, heterosykloalkyl- og heteroarylringene i R^{7a} og R^{7b} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, amino, alkylamino, dialkylamino, hydroksy, halo, alkoksy, alkyltio og okso);

b) -C(O)NR^8R^{8a}, hvor R^8 er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl eller haloalkoksy, og R^{8a} er hydrogen, alkyl, alkenyl, hydroksyalkyl, cyanoalkyl, alkoksyalkyl, alkyltioalkyl, heterosykloalkyl, heterosykloalkylalkyl, sykloalkyl, sykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl eller arylalkyl, og hvor aryl-, sykloalkyl-, heteroaryl- og heterosykloalkylringene i R^{8a} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, alkenyl, alkoksy, halo, haloalkyl, haloalkoksy,

hydroksy, hydroksyalkyl, okso, amino, alkylamino, dialkylamino, alkylkarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoksykarbonyl og -C(O)H;

c) $-NR^{9}C(O)R^{9a}$, hvor R^{9} er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl eller haloalkoksy, og R^{9a} er hydrogen, C_2-C_6-alkyl, alkenyl, hydroksyalkyl, alkoksyalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl eller arylalkyl; hvor aryl-, sykloalkyl-, heteroaryl- og heterosykloalkylringene i R^{9a} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, alkenyl, alkoksy, hydroksy, hydroksyalkyl, halo, haloalkyl, haloalkoksy, okso, amino, alkylamino, dialkylamino, alkylkarbonyl, alkoksykarbonyl, -C(O)H, aryl (valgfritt substituert med én eller to halo), arylalkyl, heteroaryl, heteroarylalkyl, heterosykloalkyl, heterosykloalkylalkyl, cyloalkyl, cyloalkylalkyl og sykloalkylkarbonyl;

d) $-C(O)N(R^{10})$-C_1-C_6-alkylen-$N(R^{10a})R^{10b}$, hvor R^{10a} er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl eller hydroksyalkyl, og R^{10} og R^{10b} er uavhengig hydrogen, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl eller hydroksyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$, hvor R^{11a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy, og R^{11} og R^{11b} er uavhengig hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl;

f) $-C(O)R^{12}$ hvor R^{12}, er heterosykloalkyl valgfritt substituert med 1, 2 eller 3 grupper valgt blant alkyl, okso, amino, alkylamino og heterosykloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$, hvor R^{13} er hydrogen, alkyl eller alkenyl, og R^{13a} er aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl eller arylalkyl;

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$, hvor R^{14}, R^{14a} og R^{14b} er uavhengig hydrogen, alkyl eller alkenyl;

i) $-S(O)_2N(R^{15})$-C_1-C_6-alkylen-$N(R^{15a})R^{15b}$, hvor R^{15}, R^{15a} og R^{15b} er uavhengig hydrogen, alkyl eller alkenyl;

j) $-C(O)N(R^{16})$-C_1-C_6-alkylen-$C(O)OR^{16a}$, hvor R^{16} er hydrogen, alkyl eller alkenyl, og R^{16a} er alkyl eller alkenyl;

k) heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$, hvor R^{17}, R^{17a}, R^{17b}, R^{17c} og R^{17d} er uavhengig hydrogen, alkyl eller alkenyl;

m) $-N(R^{18})C(O)$-C_1-C_6-alkylen-$N(R^{18b})C(O)R^{18a}$, hvor R^{18a} er hydrogen, alkyl, alkenyl eller alkoksy, og R^{18} og R^{18b} er uavhengig hydrogen, alkyl eller alkenyl;

n) $-C(O)N(R^{19})$-C_1-C_6-alkylen-$C(O)R^{19a}$, hvor R^{19} er hydrogen, alkyl eller alkenyl, og R^{19a} er amino, alkylamino, dialkylamino eller heterosykloalkyl;

o) $-N(R^{20})C(O)-C_1-C_6$-alkylen-$C(O)R^{20a}$, hvor R^{20} er hydrogen, alkyl eller alkenyl, og R^{20a} er sykloalkyl eller heterosykloalkyl;

p) $-NR^{21}S(O)_2-C_1-C_6$-alkylen-$N(R^{21b})R^{21a}$, hvor R^{21} er hydrogen, alkyl eller alkenyl, og R^{21a} og R^{21b} er uavhengig hydrogen, alkyl eller alkenyl;

q) $-N(R^{22})C(O)-C_1-C_6$-alkylen-$N(R^{22b})-N(R^{22c})(R^{22a})$, hvor R^{22}, R^{22a} og R^{22b} er uavhengig hydrogen, alkyl eller alkenyl;

r) $-C_0-C_6$-alkylen-$N(R^{23})-C_1-C_6$-alkylen-$N(R^{23b})R^{23a}$, hvor R^{23}, R^{23a} og R^{23b} er uavhengig hydrogen, alkyl eller alkenyl; eller

s) $-NR^{24}C(O)-C_1-C_6$-alkylen-OR^{24a}, hvor R^{24} er hydrogen, alkyl eller alkenyl, og R^{24a} er alkoksyalkyl eller aryl valgfritt substituert med én eller to halo eller alkyl; og

hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy, amino, alkylamino og dialkylamino; og hver R^3 (når R^3 er til stede) er uavhengig alkyl; alkenyl; alkynyl; halo; hydroksy; okso; alkoksy; cyano; hydroksyamino; karboksy; alkoksykarbonyl; amino; alkylamino; dialkylamino; alkylkarbonyl; haloalkoksy; alkylsulfonyl; aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; eller

a) $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$, hvor R^7 er hydrogen, alkyl eller alkenyl, og R^{7a} og R^{7b} er uavhengig hydrogen, alkyl, alkenyl, hydroksyalkyl, haloalkyl, alkoksy, alkoksyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl eller arylalkyloksy, og hvor aryl-, sykloalkyl-, heterosykloalkyl- og heteroarylringene i R^{7a} og R^{7b} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, amino, alkylamino, dialkylamino, hydroksy, halo, alkoksy, alkyltio og okso);

b) $-C(O)NR^8R^{8a}$, hvor R^8 er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl eller haloalkoksy, og R^{8a} er hydrogen, alkyl, alkenyl, hydroksyalkyl, cyanoalkyl, alkoksyalkyl, alkyltioalkyl, heterosykloalkyl, heterosykloalkylalkyl, sykloalkyl, sykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl eller arylalkyl, og hvor aryl-, sykloalkyl-, heteroaryl- og heterosykloalkylringene i R^{8a} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, alkenyl, alkoksy, halo, haloalkyl, haloalkoksy, hydroksy, hydroksyalkyl, okso, amino, alkylamino, dialkylamino, alkylkarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoksykarbonyl og -C(O)H;

c) $-NR^9C(O)R^{9a}$, hvor R^9 er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl eller haloalkoksy, og R^{9a} er hydrogen, C_2-C_6-alkyl, alkenyl, hydroksyalkyl, alkoksyalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, heteroaryl, heteroarylalkyl, aryl eller arylalkyl; hvor aryl-, sykloalkyl-, heteroaryl- og

heterosykloalkylringene i R^{9a} (enten alene eller som del av arylalkyl, sykloalkylalkyl, heterosykloalkylalkyl og heteroarylalkyl) er uavhengig valgfritt substituert med 1, 2 eller 3 grupper uavhengig valgt blant alkyl, alkenyl, alkoksy, hydroksy, hydroksyalkyl, halo, haloalkyl, haloalkoksy, okso, amino, alkylamino, dialkylamino, alkylkarbonyl, alkoksykarbonyl, -C(O)H, aryl (valgfritt substituert med én eller to halo), arylalkyl, heteroaryl, heteroarylalkyl, heterosykloalkyl, heterosykloalkylalkyl, cyloalkyl, cyloalkylalkyl og sykloalkylkarbonyl;

d) $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$, hvor R^{10a} er hydrogen, hydroksy, alkoksy, alkyl, alkenyl, haloalkyl eller hydroksyalkyl, og R^{10} og R^{10b} er uavhengig hydrogen, alkyl, alkenyl, haloalkyl eller hydroksyalkyl;

e) $-NR^{11}C(O)NR^{11a}R^{11b}$, hvor R^{11a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy, og R^{11} og R^{11b} er uavhengig hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl;

f) $-C(O)R^{12}$, hvor R^{12} er heterosykloalkyl valgfritt substituert med 1, 2 eller 3 grupper valgt blant alkyl, okso, amino, alkylamino og heterosykloalkylalkyl;

g) $-NR^{13}C(O)OR^{13a}$, hvor R^{13} er hydrogen, alkyl eller alkenyl, og R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl eller arylalkyl);

h) $-C(O)N(R^{14})N(R^{14a})(R^{14b})$, hvor R^{14}, R^{14a} og R^{14b} er uavhengig hydrogen, alkyl eller alkenyl;

i) $-S(O)_2N(R^{15})-C_1-C_6$-alkylen-$N(R^{15a})R^{15b}$, hvor R^{15}, R^{15a} og R^{15b} er uavhengig hydrogen, alkyl eller alkenyl;

j) $-C(O)N(R^{16})-C_1-C_6$-alkylen-$C(O)OR^{16a}$, hvor R^{16} er hydrogen, alkyl eller alkenyl, og R^{16a} er alkyl eller alkenyl;

k) heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl;

l) $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$, hvor R^{17}, R^{17a}, R^{17b}, R^{17c} og R^{17d} er uavhengig hydrogen, alkyl eller alkenyl;

m) $-N(R^{18})C(O)-C_1-C_6$-alkylen-$N(R^{18b})C(O)R^{18a}$, hvor R^{18a} er hydrogen, alkyl, alkenyl eller alkoksy, og R^{18} og R^{18b} er uavhengig hydrogen, alkyl eller alkenyl;

n) $-C(O)N(R^{19})-C_1-C_6$-alkylen-$C(O)R^{19a}$ hvor R^{19}, er hydrogen, alkyl eller alkenyl, og R^{19a} er amino, alkylamino, dialkylamino eller heterosykloalkyl;

o) $-N(R^{20})C(O)-C_1-C_6$-alkylen-$C(O)R^{20a}$, hvor R^{20} er hydrogen, alkyl eller alkenyl, og R^{20a} er sykloalkyl eller heterosykloalkyl;

p) $-NR^{21}S(O)_2-C_1-C_6$-alkylen-$N(R^{21b})R^{21a}$, hvor R^{21} er hydrogen, alkyl eller alkenyl, og R^{21a} og R^{21b} er uavhengig hydrogen, alkyl eller alkenyl;

q) $-N(R^{22})C(O)-C_1-C_6$-alkylen-$N(R^{22b})-N(R^{22c})(R^{22a})$, hvor R^{22}, R^{22a} og R^{22b} er uavhengig hydrogen, alkyl eller alkenyl;

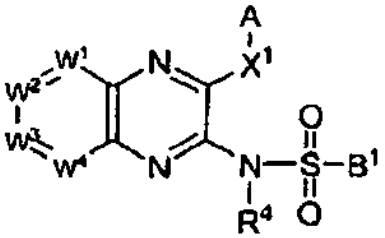
r) $-C_0-C_6$-alkylen-$N(R^{23})-C_1-C_6$-alkylen-$N(R^{23b})R^{23a}$, hvor R^{23}, R^{23a} og R^{23b} er uavhengig

hydrogen, alkyl eller alkenyl; eller

s) $-NR^{24}C(O)-C_1-C_6$-alkylen-OR^{24a}, hvor R^{24} er hydrogen, alkyl eller alkenyl, og R^{24a} er alkoksyalkyl eller aryl valgfritt substituert med ett eller to halo eller alkyl;

hvor hvert av alkylenet i R^3 er uavhengig ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy, amino, alkylamino og dialkylamino; og forutsatt at når R^{50} og R^{52} er hydrogen, R^{51} er hydrogen eller metyl, R^{53} er hydrogen eller metoksy, og R^{54} er hydrogen eller metoksy, så er B ikke 2,3-dihydro-1,4-benzodioksinyl, tien-2-yl eller tien-2-yl substituert med én R^3, hvor R^3 is halo.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel II:



II

eller et farmasøytisk akseptabelt salt eller solvat derav; eller å administrere et farmasøytisk preparat som omfatter en terapeutisk effektiv mengde av en forbindelse ifølge formel II og en farmasøytisk akseptabel bærer, eksipiens eller diluent i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, én eller flere immunterapier, radioaktiv jodterapi og stråling, hvor forbindelsen ifølge formel I er den hvori: W^1, W^2, W^3 og W^4 er $-C(R^{1a})=$; eller én eller to av W^1, W^2, W^3 og W^4 er uavhengig -N=, og de gjenværende er $-C(R^{1a})=$;

X^1 er $-N(R^{5a})-$;

A er aryl, $-S(O)_2$-aryl, heteroaryl, sykloalkyl, heterosykloalkyl, halo, haloalkyl, haloalkoksy, alkyl, alkoksy eller -alkyl-$N(R^7)R^{7a}$, hvor hver av aryl-, heteroaryl-, sykloalkyl-, heterosykloalkyl-, alkyl- og alkoksygruppene, enten alene eller som del av en annen gruppe inne i A, er uavhengig valgfritt substituert med én, to, tre eller fire R^{2a}; eller

B^1 er aryl, arylalkyl, alkyl, heteroaryl eller heteroaryalkyl, hvor hver av aryl-, heteroaryl- og alkylgruppene er uavhengig valgfritt substituert med én, to, tre eller fire R^{3d};

hver R^{1a} er uavhengig valgt blant hydrogen, alkoksy, alkyl, nitro, halo, cyano og $-C_0-C_6$-alkyl-$N(R^7)R^{7a}$, hvor hver av alkyl- og alkoksygruppene er valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, alkoksy, halo, haloalkyl, haloalkoksy, nitro, cyano, hydroksy, -$N(R^8)R^{8a}$ og $-C(O)OR^6$;

hver R^{2a} (når R^{2a} er til stede) er uavhengig valgt blant alkyl, alkenyl, -alkenyl-$C(O)OR^6$, $-OR^6$, -$N(R^7)C(O)R^6$, $-N(R^7)C(O)-C_0-C_6$ alkyl-$N(R^{7b})R^{7a}$, $-OC(O)-C_0-C_6$ alkyl-$N(R^7)R^{7a}$, $-N(R^7)C(O)-$

C_1-C_6 alkylC(O)OR^6, C_0-C_6-alkyl-C(O)R^6, okso, diokso, -S(O)$_2$-N(R^7)R^{7a}, -C(O)OR^6, -CH(R^6)$_2$-C(O)OR^6, -S(O)$_2$R^6, sykloalkyl, heterosykloalkyl, heteroaryl, -C(O)N(R^7)-alkyl-OR^6, -C_0-C_6 alkyl-C(O)N(R^7)-C_0-C_6-alkyl-C(O)OR^6, -C_0-C_6-alkyl-C(O)N(R^7)R^{7a}, aryl, arylalkyl, -S-(C_1-C_6 alkyl), halo, okso, nitro, -SCN, cyano og -C_0-C_6 alkyl-N(R^7)R^{7a}, hvor hver av alkyl- (herunder for eksempel alkylet inne i alkoksy), aryl-, sykloalkyl-, heterosykloalkyl- og heteroarylgruppene, enten alene eller som del av en annen gruppe inne i R^2, er uavhengig valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, halo, haloalkyl, haloalkoksy, okso, nitro, cyano, hydroksy, -N(R^8)R^{8a}, alkoksy og -C(O)OR^9;

hver R^{3d} (når R^{3d} er til stede) er uavhengig okso, nitro, halo, cyano, alkyl, alkenyl, alkynyl, alkoksy, C_3-C_6-sykloalkyl, -C_0-C_6-alkyl-heterosykloalkyl, -C_0-C_6 alkyl-N(R^7)C(O)-C_0-C_6-alkyl-N(R^{7b})R^{7a}, -C_0-C_6 alkyl-N(R^7)C(O)-C_0-C_6-alkyl-N(R^{7b})C(O)R^{7a}, -C_0-C_6 alkyl-C(O)-C_0-C_6-alkyl-N(R^7)R^{7a}, -C_0-C_6-alkyl-C(O)N(R^7)-C_0-C_6-alkyl-N(R^{7b})R^{7a}, -C_0-C_6-alkyl-C(O)N(R^7)-C_1-C_6alkylC(O)OR^{7a}, -C_0-C_6 alkyl-N(R^7)C(O)-C_0-C_6-alkyl-(R^{7a}), -C_0-C_6 alkyl-N(R^7)-C_0-C_6-alkyl-N(R^{7b})R^{7a}, -C_0-C_6 alkyl-N(R^7)C(O)-C_0-C_6-alkyl-N(R^{7b})-N(R^{7c})R^{7a}, -C_0-C_6 alkyl-N(R^7)C(O)O-C_0-C_6-alkyl-aryl, -C_0-C_6 alkyl-C(O)N(R^7)-C_0-C_6-alkyl-N(R^{7b})R^{7a}, -C_0-C_6 alkyl-N(R^7)-C_0-C_6alkyl-C(=N(R^{7b})(R^{7a}))(NR^{7c}R^{7d}), -C_0-C_6-alkyl-aryl, -C_0-C_6-alkyl-heteroaryl, -C_0-C_6 alkyl-heterosykloalkyl, -O-C_0-C_6 alkyl-N(R^7)R^{7a}, -C_0-C_6 alkyl-OR$_6$, -C_0-C_6 alkyl-C(O)OR^6, C_0-C_6-alkyl-N(R^7)R^{7a}, -C_0-C_6 alkyl-C(O)NR$_7$R^{7a}, -C_0-C_6 alkyl-C(O)R^7, -SR$_7$, -S(O)$_2$R$_7$, -S(O)$_3$R$_7$, -S(O)R^7, -SO$_2$N(R^7)R^{7a}, -SO$_2$N(R^7)-C_0-C_6 alkyl-N(R^{7b})R^{7a}, -C_0-C_6-alkyl-N(R^7)-aryl, -C_0-C_6-alkyl-N(R^7)-heteroaryl, -C_0-C_6-alkyl-N(R^7)-heterosykloalkyl, -C_0-C_6-alkyl-C(O)N(R^7)-C_0-C_6-alkyl-sykloalkyl, C_0-C_6-alkyl-C(O)N(R^7)-C_0-C_6-alkyl-aryl, C_0-C_6 alkyl-C(O)N(R^7)-C_0-C_6 alkyl-heteroaryl, C_0-C_6 alkyl-C(O)N(R^7)-C_0-C_6-alkyl-heterosykloalkyl, -C_0-C_6-alkyl-N(R^7)C(O)-C_0-C_6-alkyl-sykloalkyl, -C_0-C_6-alkyl-N(R^7)C(O)-C_0-C_6-alkyl-aryl, C_0-C_6-alkyl-N(R^7)C(O)-C_0-C_6-alkyl-heteroaryl, -C_0-C_6-alkyl-N(R^7)C(O)-C_0-C_6-alkyl-heterosykloalkyl, C_0-C_6-alkyl-N(R^7)C(O)-C_0-C_6-alkyl-heterosykloalkyl-aryl, -N(R^7)C(O)OR^6 eller -NHC(O)H, hvor hver av alkyl-, alkenyl-, sykloalkyl-, aryl-, (herunder for eksempel alkylet inne i alkoksy), heterosykloalkyl-, og heteroarylgruppene, enten alene eller som del av en annen gruppe inne i R^{3d}, er uavhengig valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, alkenyl, -C_0-C_6-alkyl-OR^9, sykloalkyl, halo, haloalkyl, haloalkoksy, -C(O)R^9, nitro, cyano, okso, -C_0-C_6-alkyl-N(R^8)R^{8a}, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, -C(O)OR^9, alkyltio og hydroksyalkyl;

R^4 er hydrogen, aryl, -C_0-C_6-alkyl-N(R^7)R^{7a}, alkoksy eller C_1-C_6 alkyl, hvor hver av alkyl- og arylgruppene, enten alene eller som del av en annen gruppe i R^4, er uavhengig valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, halo, haloalkyl, haloalkoksy, nitro, cyano, hydroksy, -N(R^8)R^{8a}, alkoksy, og -C(O)OR^6; eller

R^4 og X^1 sammen med atomene de er knyttet til, danner en heterosykloalkyl- eller heteroarylgruppe, hvor R^{5a} er fraværende når X er -N(R^{5a})-, hvor hvert av heterosykloalkylet eller heteroarylet er valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, halo,

haloalkyl, haloalkoksy, nitro, cyano, hydroksy, -N(R^7)R^{7a}, alkoksy og -C(O)OR^6;
R^{5a} er hydrogen, -C_1-C_6 alkyl-N(R^7)R^{7a}, alkoksy, alkyl eller aryl, hvor hvert av alkylet og arylet er valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, halo, haloalkyl, haloalkoksy, nitro, cyano, hydroksy, -N(R^8)R^{8a}, C_1-C_6 alkoksy eller -C(O)OR^6; eller R^{5a} og R^4 sammen med atomene de er forbundet med, danner en heterosykloalkyl- eller heteroarylgruppe, hvor heterosykloalkylet og heteroarylet er valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant alkyl, halo, haloalkyl, haloalkoksy, nitro, cyano, hydroksy, -N(R^7)R^{7a}, C_1-C_6 alkoksy og -C(O)OR^6;
R^6 og R^9 er uavhengig hydrogen, hydroksy, alkyl, aryl, arylalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl, heterosykloalkylalkyl, heteroaryl, heteroarylalkyl eller aryl, hvert alkyl, aryl, sykloalkyl, heterosykloalkyl og heteroaryl, enten alene eller som del av en annen gruppe inne i R^6 og R^9, er uavhengig valgfritt substituert med 1, 2, 3, 4 eller 5 grupper uavhengig valgt blant amino, hydroksy, alkoksy, alkyl og halo; og R^7, R^{7a} R^{7b}, R^{7c}, R^{7d}, R^8 og R^{8a} er uavhengig hydrogen, alkyl, alkenyl, hydroksy, alkyloksy, alkenyloksy, -O-C_0-C_6 alkyl-aryl, -C_0-C_6 alkyl-C(O)OR^6, -C_0-C_6 alkyl-C(O)R^6, aryl, arylalkyl, heteroaryl, heteroarylalkyl, sykloalkyl, sykloalkylalkyl, heterosykloalkyl eller heterosykloalkylalkyl, hvor hvert av alkylet, arylet, heteroarylet og heterosykloalkylet, enten alene eller del av en annen gruppe inne i R^7, R^{7a} R^{7b}, R^{7c}, R^{7d}, R^8 og R^{8a} er uavhengig valgfritt substituert med 1, 2, 3, 4 eller 5 grupper valgt blant amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, -S-C_1-C_6 alkyl, cyano, nitro, hydroksy, C_1-C_6 alkoksy, C_1-C_6 alkyl, halo, aryl, heterosykloalkylalkyl og heteroaryl valgfritt substituert med ett eller to C_1-C_6-alkyl.

DETALJERT BESKRIVELSE AV OPPFINNELSEN

Forkortelser og definisjoner

De følgende forkortelser og uttrykk har gjennomgående de viste betydninger:

Forkortelse	Betydning
br	broad
°C	grader Celsius
CBZ	CarboBenZoksy = benzyloksykarbonyl
d	dublett
dd	dobbel dublett
dt	dobbel triplett
EI	Elektronstøtionisasjon

Et	Etyl
g	gram
GC	gasskromatografi
h eller hr	time(r)
HPLC	høytrykksvæskekromatografi
L	liter
M	molar eller molaritet
m	Multiplett
mg	milligram
MHz	megahertz (frekvens)
Min	minutt(er)
mL	milliliter
mM	Millimolar
mmol	millimol
mol	mol
MS	massespektrometrianalyse
N	normal eller normalitet
nM	Nanomolar
NMR	kjernemagnetisk resonansspektroskopi
q	Kvartett
RT	Romtemperatur
s	Singlett
s-	Sekundær
t-	Tertiær
t eller tr	Triplett
TFA	trifluoreddiksyre
THF	Tetrahydrofuran
µL	mikroliter
µM	Mikromol eller mikromolar

Definisjoner for en forbindelse ifølge formel I, Ia og II

Symbolet «-» betyr en enkelbinding, «=» betyr en dobbeltbinding, «≡» betyr en trippelbinding, og «---» betyr en enkeltbinding og valgfritt en dobbeltbinding. Når kjemiske strukturer er gjengitt eller beskrevet, antas det, med mindre annet er uttrykkelig angitt, at alle karboner har hydrogensubstitusjon for å tilpasse seg en valens på fire.

«Administrasjon» og varianter derav (f.eks. «å administrere» en forbindelse) under henvisning til en forbindelse ifølge oppfinnelsen, betyr å introdusere forbindelsen eller en prodrug av forbindelsen inn i systemet til dyret som har behov for behandling. Når en forbindelse ifølge oppfinnelsen eller prodrug derav er tilveiebrakt i kombinasjon med ett eller flere aktive midler (f.eks. kirurgi, stråling og kjemoterapi osv.), skal «administrasjon» og alle dens varianter forstås som innbefattende samtidig og sekvensiell introduksjon av forbindelsen eller prodrugen derav, samt andre midler.

«Alkenyl» eller «lavere alkenyl» betyr en rett eller forgrenet hydrokarbonradikal som har fra 2 til 6 karbonatomer og i det minste én dobbeltbinding, og innbefatter etenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-heks-5-enyl og lignende.

«Alkenylkarbonyl» betyr en C(O)R-gruppe hvor R er alkenyl, som definert heri.

«Alkenyloksy» eller «lavere alkenyloksy» betyr en -OR-gruppe hvor R er alkenyl, som definert heri. Representative eksempler innbefatter metoksy, etoksy, 1-metoksyprop-1-en-3-yl, propoksy, isopropoksy, syklopropyloksy, sykloheksyloksy og lignende.

«Alkoksy» eller «lavere alkoksy» betyr en -OR-gruppe hvor R er alkyl, som definert heri. Representative eksempler innbefatter metoksy, etoksy, 1-metoksyprop-1-en-3-yl, propoksy, isopropoksy, syklopropyloksy, sykloheksyloksy og lignende.

«Alkoksyalkyl» betyr en alkylgruppe, som definert heri, substituert med én, to eller tre alkoksygrupper, som definert heri.

«Alkoksykarbonyl» betyr en -C(O)OR-gruppe hvor R er alkyl som definert heri.

«Alkoksykarbonylalkyl» betyr en alkylgruppe som definert heri, substituert med én, to eller tre alkoksykarbonylgrupper, som definert heri.
«Alkyl» eller «lavere alkyl» betyr en lineær eller forgrenet hydrokarbongruppe med ett til seks karbonatomer. Eksempler på lavere alkylgrupper innbefatter metyl, etyl, propyl, isopropyl,

butyl, *s*-butyl, *t*-butyl, isobutyl, pentyl, heksyl og lignende. Et «C_0»-alkyl (som i «C_0-C_6-alkyl») er en kovalent binding. «C_6-alkyl» henviser til for eksempel *n*-heksyl, *iso*-heksyl og lignende.

«Alkylamino» betyr en -NHR-radikal hvor R er alkyl som definert heri, eller et N-oksidderivat derav, f.eks. metylamino, etylamino, *n*-, iso-propylamino, *n*-, *iso*-, *tert*-butylamino eller metylamino-N-oksid, og lignende.

«Alkylaminoalkyl» betyr en alkylgruppe substituert med én eller to alkylaminogrupper, som definert heri.

«Alkylaminoalkyloksy» betyr en -OR-gruppe hvor R er alkylaminoalkyl, som definert heri.

«Alkylkarbonyl» betyr en C(O)R-gruppe hvor R er alkyl, som definert heri.

«Alkylkarbonylamino» betyr en -NRC(O)R'-gruppe hvor R er hydrogen eller alkyl, som definert heri, og R' er alkyl, som definert heri.

«Alkylen» henviser til rett eller forgrenet divalent hydrokarbon som ikke inneholder umettethet og har fra to til åtte karbonatomer. Eksempler på alkylen innbefatter etdiyl ($-CH_2CH_2-$), prop-1,3-diyl ($-CH_2CH_2CH_2-$), 2,2-dimetylprop-1,3-diyl ($-CH_2C(CH_3)_2CH_2-$) og lignende.

«Alkylsulfonyl» betyr en $-S(O)_2R$-gruppe, hvor R er alkyl, som definert heri.

«Alkyltio» betyr en -SR-gruppe, hvor R er alkyl, som definert heri. Eksempler på alkyltio innbefatter metyltio og etyltio, og lignende.

«Alkyltioalkyl» betyr en alkylgruppe substituert med én eller to alkyltiogrupper, som definert heri, f.eks. 2-(metyltio)-etyl og 2-(etyltio)-etyl.

«Alkynyl» eller «lavere alkynyl» betyr en rett eller forgrenet hydrokarbonradikal som har fra 2 til 6 karbonatomer og i det minste én trippelbinding, og innbefatter etynyl, propynyl, butynyl, pentyn-2-yl og lignende.

«Amino» betyr en $-NH_2$.

«Aminoalkyl» betyr en alkylgruppe substituert med i det minste én, for eksempel én, to eller tre, aminogrupper.

«Aminoalkyloksy» betyr en -OR-gruppe, hvor R er aminoalkyl, som definert heri.

«Aryl» betyr en monovalent seks- til fjortenleddet mono- eller bi-karbosyklisk ring, hvor den monosykliske ring er aromatisk og i det minste én av ringene i den bisykliske ring er aromatisk. Representative eksempler innbefatter fenyl, naftyl og indanyl, og lignende.

«Arylalkyl» betyr en alkylgruppe, som definert heri, substituert med én eller to arylgrupper, som definert heri. Eksempler innbefatter benzyl, fenetyl, fenylvinyl, fenylallyl og lignende.

«Aryloksy» betyr en -OR-gruppe, hvor R er aryl som definert heri.

«Arylalkyloksy» betyr en -OR-gruppe, hvor R er arylalkyl som definert heri.

«Arylsulfonyl» betyr en -SO_2R-gruppe, hvor R er aryl som definert heri.

«Karboksyalkyl» betyr en alkylgruppe, som definert heri, substituert med én, to eller tre -C(O)OH-grupper.

«Karboksyester» betyr en -C(O)OR-gruppe, hvor R er lavere alkyl, lavere alkenyl, lavere alkynyl, sykloalkyl, aryl eller arylalkyl, hver av hvilke er definert heri. Representative eksempler innbefatter metoksykarbonyl, etoksykarbonyl og benzyloksykarbonyl, og lignende.

«Cyanoalkyl» betyr en alkyl-, alkenyl- eller alkynylradikal, som definert heri, substituert med i det minste én, for eksempel én, to eller tre, cyanogrupper.

«Sykloalkyl» betyr en monosyklisk eller polysyklisk hydrokarbonradikal som har tre til tretten karbonatomer. Sykloalkylet kan være mettet eller delvis umettet, men kan ikke inneholde en aromatisk ring. Sykloalkyl innbefatter kondenserte ringsystemer, bro-ringsystemer, og spiroringsystemer. Eksempler på slike radikaler innbefatter syklopropyl, syklobutyl, syklopentyl og sykloheksyl.

«Sykloalkylalkyl» betyr alkylgruppe substituert med én eller to sykloalkylgrupper, som definert heri. Representative eksempler innbefatter syklopropylmetyl og 2-syklobutyl-etyl, og lignende.

«Sykloalkylkarbonyl» betyr en C(O)R-gruppe, hvor R er sykloalkyl, som definert heri.

«Dialkylamino» betyr en -NRR'-radikal, hvor R og R' er uavhengig alkyl som definert heri, eller et N-oksidderivat, eller et beskyttet derivat derav, f.eks. dimetylamino, dietylamino, *N,N*-

metylpropylamino eller *N,N*-metyletylamino, og lignende.

«Dialkylaminoalkyl» betyr en alkylgruppe substituert med én eller to dialkylaminogrupper, som definert heri.

«Dialkylaminoalkyloksy» betyr en -OR-gruppe, hvor R is dialkylaminoalkyl, som definert heri.

«Kondensert ringsystem» og «kondensert ring» viser til et polysyklisk ringsystem som inneholder bro-ringer eller kondenserte ringer; det vil si hvor to ringer har mer enn ett delt atom i sine ringsystemer. I denne søknaden er ikke alle kondenserte polysykliske og kondenserte ringsystemer nødvendigvis aromatiske ringsystemer. Typisk, men ikke nødvendigvis, deler kondenserte polysykliske et vicinalt sett av atomer, for eksempel naftalen eller 1,2,3,4-tetrahydronaftalen. Et spiroringsystem er ikke et kondensert polysyklisk etter denne definisjonen, men kondenserte polysykliske ringsystemer ifølge oppfinnelsen kan i seg selv ha spiroringer knyttet dertil via et enkelt ringatom av det kondenserte polysykliske. I noen eksempler, som vil være klart for fagfolk, kan to sideliggende grupper på et aromatisk system kondenseres sammen til å danne en ringstruktur. Den kondenserte ringstruktur kan inneholde heteroatomer og kan valgfritt substitueres med én eller flere grupper. Det skal ytterligere bemerkes at de mettede karboner i slike kondenserte grupper (dvs. mettede ringstrukturer) kan inneholde to substitusjonsgrupper.

«Haloalkoksy» betyr en -OR'-gruppe, hvor R' er haloalkyl som definert heri, f.eks. trifluormetoksy eller 2,2,2-trifluoretoksy, og lignende.

«Haloalkoksyalkyl» betyr en alkylgruppe som definert heri, substituert med én, to eller tre haloalkoksy, som definert heri.

«Halogen» eller «halo» betyr fluor, klor, brom og jod.

«Haloalkenyl» betyr en alkenylgruppe, som definert heri, substituert med ett eller flere halogener, for eksempel ett til fem haloatomer.

«Haloalkyl» betyr en alkylgruppe, som definert heri, substituert med ett eller flere halogener, for eksempel ett til fem haloatomer. Representative eksempler innbefatter 2,2-difluoretyl, trifluormetyl, og 2-klor-1-fluoretyl, og lignende.

«Heteroaryl» betyr en monosyklisk, kondensert monosyklisk, kondensert bisyklisk eller kondensert trisyklisk, monovalent radikal av 5 til 14 ringatomer inneholdende ett eller mer, for

eksempel ett, to, tre eller fire ringheteroatomer uavhengig valgt blant -O-, -S(O)$_n$- (n er 0, 1 eller 2), -N-, -N(R^x)-, og idet de gjenværende ringatomer er karbon, hvor ringen som omfatter en monosyklisk radikal er aromatisk og hvor i det minste én av de kondenserte ringer som omfatter en bisyklisk eller trisyklisk radikal, er aromatisk. Ett eller to ringkarbonatomer i hvilke som helst ikke-aromatiske ringer omfattende en bisyklisk eller trisyklisk radikal, kan erstattes av en -C(O)-, -C(S)- eller -C(=NH)- gruppe. R^x er hydrogen, alkyl, hydroksy, alkoksy, acyl eller alkylsulfonyl. Kondensert bisyklisk radikal innbefatter bro-ringsystemer. Med mindre annet er angitt, kan valensen være lokalisert på et hvilket som helst atom i en hvilken som helst ring i heteroarylgruppen, som tillates av valensregler. Især når valenspunktet er lokalisert på nitrogenet, er R^x fraværende. I en annen utførelsesform innbefatter uttrykket heteroazyl, men er ikke begrenset til, 1,2,4-triazolyl, 1,3,5-triazolyl, ftalimidyl, pyridinyl, pyrrolyl, imidazolyl, tienyl, furanyl, indolyl, 2,3-dihydro-1*H*-indolyl (herunder for eksempel 2,3-dihydro-1*H*-indol-2-yl eller 2,3-dihydro-1*H*-indol-5-yl, og lignende), isoindolyl, indolinyl, isoindolinyl, benzimidazolyl, benzodioksol-4-yl, benzofuranyl, cinnolinyl, indolizinyl, naftyridin-3-yl, ftalazin-3-yl, ftalazin-4-yl, pteridinyl, purinyl, kinazolinyl, kinoksalinyl, tetrazoyl, pyrazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, oksazolyl, isooksazolyl, oksadiazolyl, benzoksazolyl, kinolinyl, isokinolinyl, tetrahydroisokinolinyl (herunder for eksempel tetrahydroisokinolin-4-yl eller tetrahydroisokinolin-6-yl, og lignende), pyrrolo[3,2-c]pyridinyl (herunder for eksempel pyrrolo[3,2-c]pyridin-2-yl eller pyrrolo[3,2-c]pyridin-7-yl, og lignende), benzopyranyl, tiazolyl, isotiazolyl, tiadiazolyl, benzotiazolyl, benzotienyl, og derivatene derav, eller N-oksid eller et beskyttet derivat derav.

«Heteroarylalkyl» betyr en alkylgruppe substituert med én eller to heteroarylgrupper, som definert heri.

«Heterosykloalkyl» betyr en mettet eller delvis umettet monovalent monosyklisk gruppe av 3 til 8 ringatomer eller en mettet eller delvis umettet monovalent kondensert bisyklisk gruppe av 5 til 12 ringatomer i hvilken ett eller flere, for eksempel ett, to, tre eller fire ringheteroatomer uavhengig valgt blant -O-, -S(O)$_n$- (n er 0, 1 eller 2), -N=, -N(R^y)- (hvor R^y er hydrogen, alkyl, hydroksy, alkoksy, acyl eller alkylsulfonyl), idet de gjenværende ringatomer er karbon. Ett eller to ringkarbonatomer kan erstattes av en -C(O)-, -C(S)- eller -C(=NH)- gruppe. Kondensert bisyklisk radikal innbefatter bro-ringsystemer. Med mindre annet er angitt, kan gruppens valens være lokalisert på et hvilket som helst atom i en hvilken som helst ring i radikalen, som tillates av valensregler. Især når valenspunktet er lokalisert på et nitrogenatom, er R^y fraværende. I en annen utførelsesform innbefatter uttrykket heterosykloalkyl, men er ikke begrenset til, azetidinyl, pyrrolidinyl, 2-oksopyrrolidinyl, 2,5-dihydro-1*H*-pyrrolyl, piperidinyl, 4-piperidonyl, morfolinyl, piperazinyl, 2-oksopiperazinyl, tetrahydropyranyl, 2-oksopiperidinyl, tiomorfolinyl, tiamorfolinyl, perhydroazepinyl,

pyrazolidinyl, imidazolinyl, imidazolidinyl, dihydropyridinyl, tetrahydropyridinyl, oksazolinyl, oksazolidinyl, isoksazolidinyl, tiazolinyl, tiazolidinyl, kinuklidinyl, isotiazolidinyl, oktahydroindolyl, oktahydroisoindolyl, decahydroisokinolyl, tetrahydrofuryl og tetrahydropyranyl, og derivatene derav og N-oksid eller et beskyttet derivat derav.

«Heterosykloalkylalkyl» betyr en alkylgruppe, som definert heri, substituert med én eller to heterosykloalkylgrupper, som definert heri.

«Hydroksyalkyl» betyr en alkylradikal, som definert heri, substituert med i det minste én, for eksempel én, to eller tre hydroksygrupper, forutsatt at dersom to hydroksygrupper er til stede, er de ikke begge på det samme karbonatom. Representative eksempler innbefatter, men er ikke begrenset til, hydroksymetyl, 2-hydroksyetyl, 2-hydroksypropyl, 3-hydroksypropyl, 1-(hydroksymetyl)-2-metylpropyl, 2-hydroksybutyl, 3-hydroksybutyl, 4-hydroksybutyl, 2,3-dihydroksypropyl, 1-(hydroksymetyl)-2-hydroksyetyl, 2,3-dihydroksybutyl, 3,4-dihydroksybutyl og 2-(hydroksymetyl)-3-hydroksypropyl, for eksempel 2-hydroksyetyl, 2,3-dihydroksypropyl eller 1-(hydroksymetyl)-2-hydroksyetyl, og lignende.

«Hydroksyamino» betyr en -NH(OH)-gruppe.

«Valgfri» eller «valgfritt» betyr at den dernest beskrevne hendelse eller omstendighet kan eller kan ikke finne sted, og at beskrivelsen innbefatter tilfeller hvor hendelsen eller omstendigheten finner sted og tilfeller hvor den ikke finner sted. Fagfolk vil forstå at med hensyn til et hvilket som helst molekyl som er beskrevet som inneholdende én eller flere valgfrie substituenter, menes det kun å innbefatte sterisk praktiske og/eller syntetiske utførbare forbindelser. «Valgfritt substituert» viser til alle etterfølgende modifikatorer i et uttrykk. I uttrykket «valgfritt substituert arylC_{1-8}-alkyl,» er det således slik at både molekylets «C_{1-8}-alkyl»-del og molekylets «aryl»-del kan eller kan ikke være substituert. En liste over eksempler på valgfrie substitusjoner presenteres nedenfor i definisjonen av «substituert.»

«Valgfritt substituert alkyl» betyr en alkylradikal, som definert heri, valgfritt substituert med én eller flere grupper, for eksempel én, to, tre, fire eller fem grupper, uavhengig valgt blant alkylkarbonyl, alkenylkarbonyl, sykloalkylkarbonyl, alkylkarbonyloksy, alkenylkarbonyloksy, amino, alkylamino, dialkylamino, aminokarbonyl, alkylaminokarbonyl, dialkylaminokarbonyl, cyano, cyanoalkylaminokarbonyl, alkoksy, alkenyloksy, hydroksy, hydroksyalkoksy, karboksy, alkylkarbonylamino, alkylkarbonyloksy, alkyl-$S(O)_{0-2}$-, alkenyl-$S(O)_{0-2}$-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (hvor R^c er hydrogen, alkyl, valgfritt substituert alkenyl, valgfritt substituert alkynyl, hydroksy, alkoksy, alkenyloksy eller cyanoalkyl), alkylaminokarbonyloksy, dialkylaminokarbonyloksy, alkylaminoalkyloksy,

dialkylaminoalkyloksy, alkoksykarbonyl, alkenyloksykarbonyl, alkoksykarbonylamino, alkylaminokarbonylamino, dialkylaminokarbonylamino, alkoksyalkyloksy, og -C(O)NR^{a}R^b (hvor R^a og R^b er uavhengig hydrogen, alkyl, valgfritt substituert alkenyl, valgfritt substituert alkynyl, hydroksy, alkoksy, alkenyloksy eller cyanoalkyl).

«Valgfritt substituert alkenyl» betyr en alkylenradikal, som definert heri, valgfritt substituert med én eller flere grupper, for eksempel én, to eller tre grupper, uavhengig valgt blant alkylkarbonyl, alkenylkarbonyl, sykloalkylkarbonyl, alkylkarbonyloksy, alkenylkarbonyloksy, amino, alkylamino, dialkylamino, aminokarbonyl, alkylaminokarbonyl, dialkylaminokarbonyl, cyano, cyanoalkylaminokarbonyl, alkoksy, alkenyloksy, hydroksy, hydroksyalkoksy, karboksy, alkylkarbonylamino, alkylkarbonyloksy, alkyl-S(O)$_{0-2}$-, alkenyl-S(O)$_{0-2}$-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (hvor R^c er hydrogen, valgfritt substituert alkyl, valgfritt substituert alkynyl, hydroksy, alkoksy eller alkenyloksy), alkylaminokarbonyloksy, dialkylaminokarbonyloksy, alkylaminoalkyloksy, dialkylaminoalkyloksy, alkoksykarbonyl, alkenyloksykarbonyl, alkoksykarbonylamino, alkylaminokarbonylamino, dialkylaminokarbonylamino, alkoksyalkyloksy, og -C(O)NR^{a}R^b (hvor R^a og R^b er uavhengig hydrogen, valgfritt substituert alkyl, alkenyl, valgfritt substituert alkynyl, hydroksy, alkoksy eller alkenyloksy).

«Valgfritt substituert aryl» betyr en arylgruppe, som definert heri, som er valgfritt substituert med én, to, tre, fire eller fem grupper valgt blant halo, haloalkyl, haloalkoksy, hydroksy, lavere alkyl, lavere alkenyl, lavere alkynyl, alkoksy, karboksy, karboksyester, amino, alkylamino, dialkylamino, valgfritt substituert sykloalkyl, valgfritt substituert heterosykloalkyl, valgfritt substituert heteroaryl, -C(O)NR'R" (hvor R' er hydrogen eller alkyl, og R" er hydrogen, alkyl, aryl, heteroaryl eller heterosykloalkyl), -NR'C(O)R" (hvor R' er hydrogen eller alkyl, og R" er alkyl, aryl, heteroaryl eller heterosykloalkyl), og -NHS(O)$_2$R' (hvor R' er alkyl, aryl eller heteroaryl).

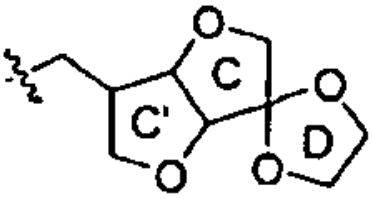
«Valgfritt substituert heteroaryl» betyr en heteroarylgruppe, som definert heri, valgfritt substituert med én, to, tre, fire eller fem grupper valgt blant halo, haloalkyl, haloalkoksy, lavere alkyl, lavere alkenyl, lavere alkynyl, alkoksy, hydroksy, okso (når valensreglene tillater det), karboksy, karboksyester, amino, alkylamino, dialkylamino, valgfritt substituert sykloalkyl, valgfritt substituert heterosykloalkyl, heteroaryl, valgfritt substituert aryl, -C(O)NR'R" (hvor R' er hydrogen eller alkyl, og R" er hydrogen, alkyl, aryl, heteroaryl eller heterosykloalkyl), -NR'C(O)R" (hvor R' er hydrogen eller alkyl, og R" er alkyl, aryl, heteroaryl eller heterosykloalkyl), og -NHS(O)$_2$R' (hvor R' er alkyl, aryl eller heteroaryl).

«Valgfritt substituert heterosykloalkyl» betyr et heterosykloalkyl, som definert heri, valgfritt

substituert med én, to, tre, fire eller fem grupper valgt blant halo, haloalkyl, haloalkoksy, hydroksy, okso, lavere alkyl, lavere alkenyl, lavere alkynyl, alkoksy, valgfritt substituert sykloalkyl, heterosykloalkyl, valgfritt substituert aryl, valgfritt substituert heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, karboksy, karboksyester, -C(O)NR'R" (hvor R' er hydrogen eller alkyl, og R" er hydrogen, alkyl, aryl, heteroaryl eller heterosykloalkyl), -NR'C(O)R" (hvor R' er hydrogen eller alkyl, og R" is alkyl, aryl, heteroaryl eller heterosykloalkyl), amino, alkylamino, dialkylamino og -NHS(O)$_2$R' (hvor R' er alkyl, aryl eller heteroaryl).

«Mettet bro-ringsystem» viser til et bisyklisk eller polysyklisk ringsystem som ikke er aromatisk. Et slikt system kan inneholde isolert eller konjugert umettethet, men ikke aromatiske eller heteroaromatiske ringer i sin kjernestruktur (men kan ha aromatisk substitusjon derpå). Eksempelvis er heksahydro-furo[3,2-b]furan, 2,3,3a,4,7,7a-heksahydro-1H-inden, 7-aza-bisyklo[2.2.1]heptan og 1,2,3,4,4a,5,8,8a-oktahydro-naftalen alle innbefattet i klassen «mettet bro-ringsystem.»

«Spirosyklyl» eller «spirosyklisk ring» viser til en ring som stammer fra et bestemt ringformet karbon av en annen ring. Eksempelvis, som gjengitt nedenfor, kan et ringatom av et mettet bro-ringsystem (ring C og C'), men ikke et brohodeatom, være et delt atom mellom det mettede bro-ringsystem og en spirosyklyl (ring D) knyttet dertil. En spirosyklyl kan være karbosyklisk eller heteroalisyklisk.



«Utbytte» for hver av de heri beskrevne reaksjoner, uttrykkes som en prosentdel av det teoretiske utbytte.

Definisjoner for forbindelsen av formel 100

Uttrykkene som anvendes til å beskrive omfanget av formel 100, er definert i WO 2004/006846 (US-patentsøknad på nasjonalt trinn med serienummer 10/522,004), som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad. Eksempelvis har «valgfritt substituert alkyl» for formel 100 den betydningen som gis i WO 2004/006846 (US-patentsøknad på nasjonalt trinn med serienummer 10/522,004). Når en forbindelse ifølge formel 100 er beskrevet i denne søknaden, enten ved hjelp av struktur eller under anvendelse av uttrykket «formel 100,» er uttrykkene som anvendes til å beskrive den forbindelse, definert av WO 2004/006846 (US-patentsøknad på nasjonalt trinn med

serienummer 10/522,004).

Andre definisjoner

«AKT-inhibitor» innbefatter for eksempel LY294002, PKC 412, perifosin, forbindelser i tabell 2a, forbindelser i tabell 2b og forbindelser beskrevet i WO 2006/071819 og WO05/117909. I disse referansene beskrives også in vitro-assayer som kan anvendes til å bestemme AKT's inhibitoriske aktivitet.

«Alkyleringsmiddel» innbefatter for eksempel én eller flere av følgende: Klorambucil, klormetin, syklofosfamid, ifosfamid, melfalan, karmustin, streptozocin, fotemustin, lomustin, streptozocin[1], karboplatin, cisplatin, oksaliplatin, BBR3464, busulfan, dakarbazin, mekloretamin, prokarbazin, temozolomid, TioTEPA og uramustin.

«Antistoff» innbefatter for eksempel én eller flere av de følgende: et IGF1R-antistoff (herunder for eksempel αIGF-1R A12 MoAb, 19D12, h7C10 og CP-751871), et EGFR-antistoff (herunder for eksempel cetuximab (Erbitux®) og panitumumab), ErbB2-antistoffer (herunder for eksempel trastuzumab (Herceptin®)), et VEGF-antistoff (herunder for eksempel bevacizumab (Avastin®)), et IgG1-antistoff (herunder for eksempel ibritumomab (tiuxetan)), et CD20-antistoff (herunder for eksempel rituximab og tositumomab), et CD33-antistoff (herunder for eksempel gemtuzumab og gemtuzumab-ozogamicin), og et CD52-antistoff (herunder for eksempel alemtuzumab).

«Antimetabolitt» innbefatter for eksempel metotreksat, pemetreksed, raltitreksed, kladribin, klofarabin, fludarabin, merkaptopurin, tioguanin, kapecitabin, cytarabin, fluoraracil (administrert med eller uten leukovorin eller folsyre) og gemcitabin.

«Antimikrotubult middel» innbefatter for eksempel vinkristin, vinblastin, vinorelbin, vinflunin og vindesin.

«Aromataseinhibitor» innbefatter for eksempel én eller flere av de følgende: Aminoglutetimid, anastrozol (Arimidex®), letrozol (Femara®), eksemestan (Aromasin®) og formestan (Lentaron®).

«Kreft» viser til cellulære proliferative sykdomstilstander, som innbefatter, men ikke er begrenset til: Hjerte: sarkom (angiosarkom, fibrosarkom, rabdomyosarkom, liposarkom), myksom, rabdomyom, fibrom, lipom og teratom; Lunge: bronkogent karsinom (plateetipel,

[1] O.a.: Streptozocin forekommer to ganger i setningen. Oversatt i henhold til kildetekst.

udifferensiert småcelle, udifferensiert storcelle, adenokarsinom), alveolært (bronkiolært) karsinom, bronkialt ademon, sarkom, lymfom, kondromisk hamartom, mestoteliom; Gastrointestinal: spiserør (plateepitelkarsinom, adenokarsinom, leiomyosarkom, lymfom), mage (karsinom, lymfom, leiomyosarkom), bukspyttkjertel (duktalt adenokarsinom, insulinom, glukagonom, gastrinom, karsinoide tumorer, vipom), tynntarm (adenokarsinom, lymfom, karsinoide tumorer, Kaposis sarkom, leiomyom, hemangiom, lipom, neurofibrom, fibrom), tykktarm (adenokarsinom, tubulært adenom, villøst adenom, hamartom, leiomyom); Urogenital traktus: nyre (adenokarsinom, Wilms tumor [nefroblastom], lymfom, leukemi), blære og urethra (plateepitelkarsinom, urotelialt karsinom, adenokarsinom), prostata (adenokarsinom, sarkom), testis (seminom, teratom, embryonalt karsinom, teratokarsinom, choriokarsinom, sarkom, interstitialcellekarsinom, fibrom, fibroadenom, adenomatoide tumorer, lipom); Lever: hepatom (hepatocellulært karsinom), kolangiokarsinom, hepatoblastom, angiosarkom, hepatocellulært adenom, hemangiom; Bein: osteogent sarkom (osteosarkom), fibrosarkom, malignt fibrøst histiocytom, kondrosarkom, Ewings sarkom, malignt lymfom (retikkelcellesarkom), multippelt myelom, malignt kjempecelletumorkordom, osteokronfrom (osteokartilaginære eksostoser), benignt kondrom, kondroblastom, kondromyksofibrom, osteoid osteom og kjempecelletumorer; Nervesystem: hjerneskalle (osteom, hemangiom, granulom, xantom, osteitt deformans), hjernehinner (meningiom, meningiosarkom, gliomatose), hjerne (astrocytom, medulloblastom, gliom, ependymom, germinom [pinealom], glioblastoma multiforme, oligodendrogliom, schwannom, retinoblastom, kongenitale tumorer), ryggmarg (nevrofibrom, meningiom, gliom, sarkom); Gynekologisk: uterus (endometrialt karsinom), livmorhals (cervikalkarsinom, pre-tumor cervikal dysplasi), eggstokker (eggstokkarsinom [serøst cystadenokarsinom, mucinøst cystadenokarsinom, uklassifisert karsinom], granulosa-tekalcelletumorer, Sertoli-Leydig-celletumorer, dysgerminom, malignt teratom), vulva (skvamøst cellekarsinom, intraepitelkarsinom, adenokarsinom, fibrosarkom, melanom), vagina (klarcellekarsinom, skvamøst cellekarsinom, botryoidsarkom (embryonalt rabdomyosarkom], eggledere (karsinom); Hematologisk: blod (myeloid leukemi [akutt og kronisk], akutt lymfoblastisk leukemi, kronisk lymfocyttleukemi, myeloproliferative sykdommer, multippelt myelom, myelodysplastisk syndrom), Hodgkins sykdom, ikke-Hodgkins lymfom [malignt lymfom]; Hud: malignt melanom, basalcellekarsinom, skvamøst cellekarsinom, Kaposis sarkom, moles dysplastiske nevi, lipom, angiom, dermatofibrom, keloider, psoriasis; Binyrer: neuroblastom; og brystkreft. Uttrykket «kreftcelle» som tilveiebrakt heri, innbefatter således en celle som er rammet av én av de ovenfor identifiserte tilstander.

«Kjemoterapeutisk middel» innbefatter, men er ikke begrenset til, en AKT-inhibitor, et alkyleringsmiddel, en antimetabolitt, et antimikrotubult middel, en aromataseinhibitor, en c-KIT-inhibitor, en cMET-inhibitor, en EGFR-inhibitor, en ErbB2-inhibitor, en Flt-3-inhibitor, en

HSP90-inhibitor, en IGF1R-inhibitor, et platin, en Raf-inhibitor, rapamycin, en rapamycinanalog, en reseptortyrosinkinase-inhibitor, et taksan, en topoisomeraseinhibitor, en SRC- og/eller ABL-kinaseinhibitor og en VEGFR-inhibitor. Et farmasøytisk akseptabelt salt, solvat og/eller hydrat av et kjemoterapeutisk middel kan fremstilles av en fagmann, og et slikt salt, solvat og/eller hydrater derav kan anvendes for å utøve oppfinnelsen.

«c-KIT-inhibitor» innbefatter for eksempel imatinib, sunitinib, nilotinib, AMG 706, sorafenib, forbindelser i tabell 3b, forbindelser i tabell 3c, forbindelser i tabell 8, forbindelser i tabell 9, og forbindelser beskrevet i WO 2006/108059, WO/2005/020921, WO/2006/033943 og WO 2005/030140.

«cMET-inhibitor» innbefatter for eksempel forbindelser i tabell 3a, forbindelser i tabell 3b, forbindelser i tabell 3c, forbindelser beskrevet i WO06/108059, WO 2006/014325 og WO 2005/030140.

«EGFR-inhibitor» innbefatter for eksempel én eller flere av de følgende: pelitinib, lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474, vandetinib), AEE788 og HKI-272, EKB-569, CI-1033, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, forbindelser i tabell 4, forbindelser i tabell 7 og forbindelser beskrevet i WO 2004/006846 og WO 2004/050681.

«ErbB2-inhibitor» innbefatter for eksempel lapatinib (GW572016), PKI-166, canertinib, CI-1033, HKI272 og EKB-569.

«Flt-3-inhibitor» innbefatter for eksempel CEP-701, PKC 412, MLN518, sunitinib, sorafenib, forbindelser i tabell 3a, forbindelser i tabell 3b, forbindelser i tabell 3c, forbindelser i tabell 9 og forbindelser beskrevet i WO 2006/108059, WO/2006/033943, WO 2006/014325 og WO 2005/030140.

«Hormonterapi» eller «hormonell terapi» innbefatter for eksempel behandling med én eller flere av de følgende: steroider (f.eks. deksametason), finasterid, tamoksifen og en aromataseinhibitor.

«HSP90-inhibitor» innbefatter for eksempel 17-AAG, 17-DMAG, Geldanamycin, 5-(2,4-dihydroksy-5-isopropylfenyl)-*N*-etyl-4-(4-(morfolinometyl)fenyl)isoksazol-3-karboksamid [NVP-AUY922 (VER 52296)], 6-klor-9-((4-metoksy-3,5-dimetylpyridin-2-yl)metyl)-9*H*-purin-2-amin (CNF2024, også benevnt BIIB021), forbindelser beskrevet i WO2004072051 (som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad), forbindelser beskrevet i WO2005028434 (som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad), forbindelser beskrevet i WO2007035620 (som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad) og forbindelser beskrevet i WO2006091963 (som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad).

«IGF1R-inhibitor» innbefatter for eksempel Tyrphostine AG 1024, forbindelser i tabell 5a, forbindelser i tabell 5b og forbindelser beskrevet i WO06/074057.

«Kinaseavhengige sykdommer eller tilstander» viser til patologiske tilstander som avhenger av aktiviteten til én eller flere lipidkinaser. Kinaser tar enten direkte eller indirekte del i en rekke celleaktiviteters signaltransduksjonsveier, herunder proliferasjon, adhesjon, migrasjon, differensiering og invasjon. Sykdommer forbundet med kinaseaktiviteter innbefatter tumorvekst, den patologiske neovaskularisering som støtter vekst av solid tumor, og forbundet med andre sykdommer hvor eksessiv lokal vaskularisering er involvert, slik som okulære sykdommer (diabetisk retinopati, aldersrelatert makuladegenerasjon og lignende) og betennelse (psoriasis, reumatoid artritt og lignende).

Uten noe ønske om å være bundet til teori, kan fosfataser også spille en rolle i «kinaseavhengige sykdommer eller tilstander» som kognater av kinaser; det vil si kinaser fosforylerer og fosfataser defosforylerer, for eksempel lipidsubstrater. Derfor kan forbindelser ifølge oppfinnelsen, mens de modulerer kinaseaktivitet som beskrevet heri, også modulere, enten direkte eller indirekte, fosfataseaktivitet. Denne ytterligere modulering, hvis den er til stede, kan være synergistisk (eller ikke) for aktivitet av forbindelser ifølge oppfinnelsen mot en relatert eller på annen måte gjensidig avhengig kinase eller kinasefamilie. I alle tilfeller, som angitt tidligere, er forbindelsene ifølge oppfinnelsen nyttige for å behandle sykdommer som delvis kjennetegnes av unormale nivåer av celleproliferasjon (dvs. tumorvekt), programmert celledød (apoptose), cellemigrasjon og -invasjon og angiogenese forbundet med tumorvekst.

«Metabolitt» viser til nedbrytningen eller sluttproduktet av en forbindelse ellers dens salt produsert av metabolisme eller biotransformasjon i dyre- eller menneskekroppen; for eksempel biotransformasjon til et mer polart molekyl slik som ved oksidasjon, reduksjon eller hydrolyse, eller til et konjugat (se Goodman og Gilman, «The Pharmacological Basis of

Therapeutics» 8.sup.th Ed., Pergamon Press, Gilman et al. (eds), 1990 for en drøfting av biotransformasjon). Som anvendt heri kan metabolitten av en forbindelse ifølge oppfinnelsen eller dens salt være den biologisk aktive form av forbindelsen i kroppen. I ett eksempel kan et prodrug anvendes, slik at den biologisk aktive form, en metabolitt, frigjøres *in vivo.* I et annet eksempel oppdages en biologisk aktiv metabolitt ved heldig tilfeldighet, det vil si at ingen prodrugutforming *per se* ble foretatt. Et assay for aktivitet av en metabolitt av en forbindelse ifølge den foreliggende oppfinnelse er kjent for fagfolk sett i lyset av den foreliggende fremleggelse.

«Pasient» for formålene ifølge det foreliggende fremleggelse, innbefatter mennesker og andre dyr, især pattedyr, samt andre organismer. Fremgangsmåtene finner således anvendelse både innenfor applikasjoner for behandling av mennesker og veterinærapplikasjoner. I en annen utførelsesform er pasienten et pattedyr, og i en annen utførelsesform er pasienten menneske.

Et «farmasøytisk akseptabelt salt» av en forbindelse betyr et salt som er farmasøytisk akseptabelt og som innehar morforbindelsens ønskede farmakologiske aktivitet. Det er klart at de farmasøytisk akseptable salter er ikke-toksiske. Ytterligere informasjon om egnede farmasøytisk akseptable salter finnes i *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton, PA, 1985, som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad, eller S. M. Berge, et al., «Pharmaceutical Salts,» J. Pharm. Sci., 1977;66:1-19 idet det hermed henvises til begge, og de skal i deres helhet betraktes som en del av nærværende søknad.

Eksempler på farmasøytisk akseptable syreaddisjonssalter innbefatter de som dannes med uorganiske syrer slik som saltsyre, bromsyre, svovelsyre, salpetersyre, fosforsyre og lignende; så vel som organiske syrer slik som eddiksyre, trifluoreddiksyre, propionsyre, heksansyre, syklopentanpropionsyre, glykolsyre, pyrodruesyre, melkesyre, oksalsyre, maleinsyre, malonsyre, ravsyre, fumarsyre, vinsyre, sitronsyre, benzosyre, kanelsyre, 3-(4-hydroksybenzoyl)benzosyre, mandelsyre, metansulfonsyre, etansulfonsyre, 1,2-etandisulfonsyre, 2-hydroksyetansulfonsyre, benzensulfonsyre, 4-klorbenzensulfonsyre, 2-naftalensulfonsyre, 4-toluensulfonsyre, kamfersulfonsyre, glukoheptonsyre, 4,4'-metylenbis-(3-hydroksy-2-en-1-karboksylsyre), 3-fenylpropionsyre, trimetyleddiksyre, tertiær butyleddiksyre, laurylsvovelsyre, glukonsyre, glutaminsyre, hydroksynaftosyre, salisylsyre, stearinsyre, mukonsyre, p-toluensulfonsyre og salisylsyre[2], og lignende.

Eksempler på et farmasøytisk akseptable baseaddisjonssalter innbefatter de som dannes når

[2] O.a.: Salisylsyre forekommer to ganger i setningen. Oversatt i henhold til kildetekst.

et surt proton som er til stede i morforbindelsen, erstattes av et metallion, slik som natrium-, kalium-, litium-, ammonium-, kalsium-, magnesium-, jern-, sink-, kobber-, mangan-, aluminiumsalter og lignende. Foretrukne salter er ammonium-, kalium-, natrium-, kalsium- og magnesiumsaltene. Salter som er utledet fra farmasøytisk akseptable organiske ikke-toksiske baser innbefatter, men er ikke begrenset til, salter av primære, sekundære og tertiære aminer, substituerte aminer, herunder naturlig forekommende substituerte aminer, sykliske aminer og basiske ionebytterharpikser. Eksempler på organiske baser innbefatter isopropylamin, trimetylamin, dietylamin, trietylamin, tripropylamin, etanolamin, 2-dimetylaminoetanol, 2-dietylaminoetanol, disykloheksylamin, lysin, arginin, histidin, koffein, prokain, hydrabamin, kolin, betain, etylendiamin, glukosamin, metylglukamin, teobromin, puriner, piperazin, piperidin, N-etylpiperidin, trometamin, *N*-metylglukamin, polyaminharpikser og lignende. Eksempler på organiske baser er isopropylamin, dietylamin, etanolamin, trimetylamin, disykloheksylamin, kolin og koffein.

«Platin» og «platininneholdende middel» innbefatter for eksempel cisplatin, karboplatin og oksaliplatin.

«Prodrug» viser til forbindelser som omdannes (vanligvis hurtig) *in vivo* for å gi morforbindelsen av de ovennevnte formler, for eksempel ved hydrolyse i blod. Vanlige eksempler innbefatter, men er ikke begrenset til, ester- og amidformer av en forbindelse som har en aktiv form som bærer en karboksylsyredel. Eksempler på farmasøytisk akseptable estere av forbindelsene ifølge denne oppfinnelse innbefatter, men er ikke begrenset til, alkylestere (for eksempel med mellom cirka ett og cirka seks karboner), alkylgruppen er en rett eller forgrenet kjede. Akseptable estere innbefatter også sykloalkylestere og arylalkylestere slik som, men ikke begrenset til, benzyl. Eksempler på farmasøytisk akseptable amider av forbindelsene ifølge denne oppfinnelse innbefatter, men er ikke begrenset til, primære amider, og sekundære og tertiære alkylamider (for eksempel med mellom cirka ett og cirka seks karboner). Amider og estere av forbindelsene ifølge den foreliggende oppfinnelse kan fremstilles i overensstemmelse med konvensjonelle fremgangsmåter. En grundig drøfting av prodrugs er tilveiebrakt i T. Higuchi og V. Stella, «Pro-drugs as Novel Delivery Systems,» Vol 14 i A.C.S. Symposium Series, og i Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association og Pergamon Press, 1987, idet det hermed henvises til begge, og som i deres helhet skal betraktes som en del av nærværende søknad.

«Raf-inhibitor» innbefatter for eksempel sorafenib, RAF 265 (CHIR 265), forbindelser i tabell 6 og forbindelser beskrevet i WO 2005/112932. I disse henvisninger beskrives også in vitro-assayer som kan anvendes til å bestemme den inhibitoriske aktivitet av RAF.

«Rapamycinanalog» innbefatter for eksempel CCI-779, AP23573, RAD 001, TAFA 93 og forbindelser beskrevet i WO 2004/101583 og US 7,160,867, idet det hermed henvises til begge, og som i deres helhet skal betraktes som en del av nærværende søknad.

«Reseptortyrosinkinase-inhibitor» innbefatter for eksempel inhibitorer av AKT, EGFR, ErbB2, IGF1R, KIT, Met, Raf og VEGFR2. Eksempler på reseptortyrosinkinase-inhibitorer finnes i WO 2006/108059 (US-patentsøknad på nasjonalt trinn med serienummer 11/910,720), WO 2006/074057 (US-patentsøknad på nasjonalt trinn med serienummer 11/722,719), WO 2006/071819 (US-patentsøknad på nasjonalt trinn med serienummer 11/722,291), WO 2006/014325 (US-patentsøknad på nasjonalt trinn med serienummer 11/571,140), WO 2005/117909 (US-patentsøknad på nasjonalt trinn med serienummer 11/568,173), WO 2005/030140 (US-patentsøknad på nasjonalt trinn med serienummer 10/573,336), WO 2004/050681 US-patentsøknad på nasjonalt trinn med serienummer 10/533,555), WO 2005/112932 (US-patentsøknad på nasjonalt trinn med serienummer 11/568,789) og WO 2004/006846 (US-patentsøknad på nasjonalt trinn med serienummer 10/522,004), idet det hermed henvises til hver enkelt, og som i deres helhet skal betraktes som en del av nærværende søknad. Især er søknadene som anføres i dette avsnitt, inkorporert med henblikk på å tilveiebringe spesifikke eksempler og generiske utførelsesformer (og definisjonene forbundet med uttrykkene som anvendes i utførelsesformene) av forbindelser som er nyttige i utøvelsen av oppfinnelsen. I disse henvisninger beskrives også in vitro-assayer som er nyttige i utøvelsen av oppfinnelsen.

«Taksan» innbefatter for eksempel én eller flere av følgende: Paklitaksel (Taxol®) og docetaksel (Taxotere®).

«Terapeutisk effektiv mengde» er en mengde av en forbindelse ifølge oppfinnelsen som, når den administreres til en pasient, letter et symptom av sykdommen. Mengden av en forbindelse ifølge oppfinnelsen som utgjør en «terapeutisk effektiv mengde», vil variere avhengig av forbindelsen, sykdomstilstanden og dens alvorlighet, alderen til pasienten som skal behandles, og lignende. Den terapeutisk effektive mengden kan bestemmes rutinemessig av en fagmann ved hjelp av egne kunnskaper og foreliggende fremleggelse.

«Topoisomeraseinhibitor» innbefatter for eksempel én eller flere av følgende: amsakrin, kamptotecin, etoposid, etoposidfosfat, eksatekan, irinotekan, lurtotekan, og teniposid, og topotekan.

«Å behandle» eller «behandling» av en sykdom, forstyrrelse eller et syndrom, som anvendt heri, innbefatter (i) å forebygge at sykdommen, forstyrrelsen eller syndromet opptrer hos et

menneske, dvs. å bevirke at de kliniske symptomene på sykdommen, forstyrrelsen eller syndromet ikke utvikles hos et dyr som kan være utsatt for eller predisponert for sykdommen, forstyrrelsen eller syndromet, men som ennå ikke opplever eller fremviser symptomer på sykdommen, forstyrrelsen eller syndromet; (ii) å inhibere sykdommen, forstyrrelsen eller syndromet, dvs. å stanse dens/dets utvikling; og (iii) å lette sykdommen, forstyrrelsen eller symptomet, dvs. å bevirke regresjon av sykdommen, forstyrrelsen eller syndromet. Som det er kjent innenfor teknikken, kan det være nødvendig å foreta justeringer for systemisk i forhold til lokalisert avlevering, alder, kroppsvekt, generell helsetilstand, kjønn, kosthold, tid for administrasjon, legemiddelinteraksjon og tilstandens alvorlighet, og vil kunne fastslås ved hjelp av rutineundersøkelse av en fagmann.

«SRC- og/eller ABL-kinaseinhibitor» innbefatter for eksempel dasatinib, imatinib (Gleevec®) og forbindelser beskrevet i WO 2006/074057.

«VEGFR-inhibitor» innbefatter for eksempel én eller flere av de følgende: VEGF-Trap, ZD6474 (vandetanib, Zactima), sorafenib, Angiozyme, AZD2171 (cediranib), pazopanib, sorafenib, aksitinib, SU5416 (semaksanib), PTK787 (vatalanib), AEE778, RAF 265, sunitinib (Sutent), *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, forbindelser i tabell 7 og forbindelser som er beskrevet i WO 2004/050681 og WO 2004/006846.

I de følgende avsnitt presenteres et antall muligheter for heri beskrevne forbindelser. I hvert tilfelle innbefatter muligheten både de anførte forbindelser så vel som individuelle isomerer og blandinger av isomerer. I tillegg innbefatter muligheten i hvert tilfelle valgfritt de farmasøytisk akseptable salter, hydrater og/eller solvater av de anførte forbindelser og eventuelle individuelle isomerer eller blandinger av isomerer derav.

For hver av de følgende muligheter kan forbindelsen ifølge formel I for eksempel være ifølge formel I(a) eller velges fra en forbindelse i tabell 1.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert i den korte beskrivelse av oppfinnelsen, hvor vekst

og/eller overlevelse av kreftens tumorceller økes, i det minste delvis, av PI3K's aktivitet; i kombinasjon med én eller flere behandlinger valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, en eller flere immunoterapier, radioaktiv jodterapi og stråling.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, én eller flere immunoterapier, radioaktiv jodterapi og stråling; hvor kreften er valgt blant brystkreft, tykktarmskreft, endetarmskreft, endometriekreft, gastrisk karsinom (herunder gastrointestinale karsinoide tumorer og gastrointestinale stromale tumorer), glioblastom, hepatocellulært karsinom, småcellet lungekreft, ikke-småcellet lungekreft (NSCLC), melanom, eggstokkreft, livmorhalskreft, bukspyttkjertelkreft, prostatakarsinom, akutt myelogen leukemi (AML), kronisk myelogen leukemi (CML), ikke-Hodgkins lymfom og tyroid karsinom. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, én eller flere immunoterapier, radioaktiv jodterapi og stråling; hvor kreften er valgt blant prostatakreft, NSCLC, eggstokkreft, livmorhalskreft, brystkreft, tykktarmskreft, endetarmskreft og glioblastom. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger valgt blant kirurgi, ett eller flere kjemoterapeutiske midler, én eller flere hormonterapier, ett eller flere antistoffer, én eller flere immunoterapier, radioaktiv jodterapi og stråling; hvor kreften er valgt blant NSCLC, brystkreft, prostatakraft, glioblastom og eggstokkreft.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller flere kjemoterapeutiske midler.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant rapamycin, en

rapamycinanalog, et alkyleringsmiddel, et taksan, et platin, en EGFR-inhibitor og en ErbB2-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant rapamycin, temozolomid, paklitaksel, docetaksel, karboplatin, cisplatin, oksaliplatin, gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), HKI-272, pelitinib, canertinib, en forbindelse valgt fra tabell 4, en forbindelse i tabell 7, og lapatinib. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant rapamycin, temozolomid, paklitaksel, docetaksel, karboplatin, trastuzumab, erlotinib, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, en forbindelse i tabell 7, og lapatinib. Også beskrevet er anvendelsen av en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant rapamycin, paklitaksel, karboplatin, erlotinib og *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant et platin og et taksan. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant karboplatin, cisplatin, oksaliplatin og paklitaksel.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en

forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en AKT-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en AKT-inhibitor valgt blant perifosin, PKC 412, en forbindelse i tabell 2a og en forbindelse i tabell 2b.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en cMET-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en cMET-inhibitor valgt blant en forbindelse i tabell 3a, en forbindelse i tabell 3b og en forbindelse i tabell 3c.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en EGFR-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en EGFR-inhibitor valgt blant lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, en forbindelse valgt fra tabell 4 og en forbindelse i tabell 7. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en EGFR-inhibitor valgt blant lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-

2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, og *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en ErbB2-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en ErbB2-inhibitor valgt blant lapatinib, EKB-569, HKI272, CI 1033, PKI-166, og en forbindelse valgt fra tabell 4.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en HSP90-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en HSP90-inhibitor valgt blant 17-AAG, 17-DMAG, Geldanamycin og CNF2024. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en HSP90-inhibitor valgt blant 17-AAG, 17-DMAG og Geldanamycin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en IGF1R-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv

mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en IGF1R-inhibitor valgt fra tabell 5a og tabell 5b.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en Raf-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en Raf-inhibitor valgt blant sorafenib, RAF 265 (CHIR-265) og en forbindelse i tabell 6.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en VEGFR-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en VEGFR-inhibitor valgt blant VEGF Trap, ZD6474 (Zactima), cediranib (AZ2171), pazopanib, sunitinib, sorafenib, aksitinib, AEE788, RAF 265 (CHIR-265), en forbindelse valgt fra tabell 4, og en forbindelse valgt fra tabell 7,

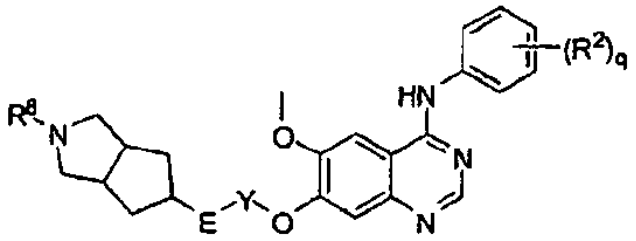
Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en cKIT-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en cKIT-inhibitor valgt blant imatinib, sunitinib, nilotinib, AMG 706, sorafenib, en forbindelse i tabell 3b, en forbindelse i tabell 3c, en forbindelse i tabell 8 og en forbindelse i tabell 9.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken

fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en FLT3-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er en FLT3-inhibitor valgt blant CEP-701, PKC 412, sunitinib, MLN518, sunitinib, sorafenib, en forbindelse i tabell 3a, en forbindelse i tabell 3b, en forbindelse i tabell 3c og en forbindelse i tabell 9.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt blant rapamycin, en rapamycinanalog, PI103 og SF 1126. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt blant rapamycin, CCI-779, AP23573, RAD 001, TAFA 93, PI103 og SF 1126. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er rapamycin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler ett av de kjemoterapeutiske midler er ifølge formel **100**:



100

hvor q er 1, 2 eller 3; E er $-NR^9-$, -O- eller fraværende, og Y er $-CH_2CH_2-$, $-CH_2-$ eller fraværende forutsatt at når E er $-NR^9-$ eller -O-, da er Y $-CH_2CH_2-$; R^2 er valgt blant halogen, trihalometyl, -CN, $-NO_2$, $-OR^3$ og lavere alkyl; R^8 er valgt blant -H, lavere alkyl, $-C(O)OR^3$, $-C(O)N(R^3)R^4$, $-SO_2R^4$ og $-C(O)R^3$; R^9 er hydrogen eller lavere alkyl; R^3 er hydrogen eller R^4; R^4 er valgt blant lavere alkyl, aryl, lavere arylalkyl, heterosyklyl og lavere heterosyklylalkyl; eller R^3 og R^4, når tatt sammen med et felles nitrogen som de er knyttet til, danner et fem- til sjuleddet heterosyklyl, idet det fem- til sjuleddede heterosyklyl valgfritt inneholder ett eller flere ytterligere heteroatomer valgt blant N, O, S og P; eller en singel geometrisk isomer, stereoisomer, racemat, enantiomer eller diastereomer, derav, og valgfritt som et farmasøytisk akseptabelt salt, ytterligere valgfritt som et solvat, og ytterligere som et hydrat derav. Uttrykkene som anvendes til å beskrive omfanget av formel 100, er definert i WO 2004/006846 (US-patentsøknad på nasjonalt trinn med serienummer 10/522,004) som det hermed henvises til, og som i sin helhet skal betraktes som en del av nærværende søknad. Når en forbindelse ifølge formel 100 er beskrevet i denne søknaden, enten ved hjelp av struktur eller under anvendelse av uttrykket «formel 100,» er uttrykkene som anvendes til å beskrive denne forbindelse, definert av WO 2004/006846 (US-patentsøknad på nasjonalt trinn med serienummer 10/522,004). Især menes det at «alkyl» i formel 100 skal innbefatte lineære, forgrenede eller sykliske hydrokarbonstrukturer og kombinasjoner derav, inklusivt; «lavere alkyl» betyr alkylgrupper på fra ett til seks karbonatomer. «Aryl» i formel 100 betyr en aromatisk seks- til fjortenleddet karbosykliske ringer som innbefatter for eksempel benzen, naftalen, indan, tetralin, fluoren og lignende. «Lavere arylalkyl» i formula 100 betyr en rest i hvilken en aryldel er knyttet til en morstruktur via én av et alkylen, alkenylen eller alkynylenradikal, hvor gruppens «alkyl»-del har ett til seks karboner; eksempler innbefatter benzyl, fenetyl, fenylvinyl, fenylallyl og lignende. I formel 100 betyr «heterosyklyl» en stabil monosyklisk, bisyklisk eller trisyklisk tre- til femtenleddet ring-radikal (herunder kondenserte ringsystemer eller bro-ringsystemer), som består av karbonatomer og fra ett til fem heteroatomer valgt fra gruppen bestående av nitrogen, fosfor, oksygen og svovel, hvor nitrogen-, fosfor-, karbon- og svovelatomene i heterosyklylradikalen valgfritt kan oksideres til ulike oksidasjonstilstander, og nitrogenatomet kan valgfritt kvaterniseres; og ring-radikalen kan være delvis eller fullt mettet eller aromatisk. «Lavere heterosyklylalkyl» betyr en rest hvor et heterosyklyl er knyttet til en morstruktur via én av et alkylen, alkenylen og alkynylenradikal som har ett til seks karboner.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2a. Også beskrevet er anvendelsen i en fremgangsmåte for

å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2a. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2a.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I i ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 2b.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert i den korte beskrivelse av oppfinnelsen, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3a. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3a. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler

er valgt fra en forbindelse i tabell 3a.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3b.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3c. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3c. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 3c.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 4. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk

effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 4. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 4.

Også beskrevet er anvendelsen av en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin eller *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav. Også beskrevet er anvendelsen av en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a) i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin eller *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-

diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin eller *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklo-penta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav. Også beskrevet er en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a) i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1 i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5ac. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5a. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å

administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5a.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5b. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 5b.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 6. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 6. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 6.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en

forbindelse i tabell 7. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 7. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 7.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 8. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 8. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 8.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 9. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 9. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er valgt fra en forbindelse i tabell 9.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er paclitaxel.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er rapamycin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er karboplatin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er erlotinib.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er lapatinib.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer, hvor ett av antistoffene er trastuzumab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor

behandlingen er ett eller to antistoffer, hvor ett av antistoffene er cetuximab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer, hvor ett av antistoffene er panitumumab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer, hvor ett av antistoffene er bevacizumab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er stråling. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a) i kombinasjon med en behandling, hvor behandlingen er stråling. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er stråling.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer uavhengig valgt blant et IGF1R-antistoff (herunder for eksempel $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb og $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, ibritumomabtiuksetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), et anti-ErbB2-antistoff (herunder trastuzumab (Herceptin®)), og et anti-EGFR-antistoff (herunder for eksempel cetuximab (Erbitux), panitumumab, nimotuzumab og EMD72000)).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken

fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a), i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer uavhengig valgt blant et IGF1R-antistoff (herunder for eksempel $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb og $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, ibritumomabtiuksetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), et anti-ErbB2-antistoff (herunder trastuzumab (Herceptin®)), og et anti-EGFR-antistoff (herunder for eksempel cetuximab (Erbitux), panitumumab, nimotuzumab og EMD72000)).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er ett eller to antistoffer uavhengig valgt blant et IGF1R-antistoff (herunder for eksempel $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb og $^{\alpha}$IGF-1R CP-751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, ibritumomabtiuksetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), et anti-ErbB2-antistoff (herunder trastuzumab (Herceptin®)), og et anti-EGFR-antistoff (herunder for eksempel cetuximab (Erbitux), panitumumab, nimotuzumab og EMD72000)).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er ett eller flere kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er temozolomid. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a) i kombinasjon med en behandling, hvor behandlingen er ett eller flere kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er temozolomid. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i

kombinasjon med en behandling, hvor behandlingen er ett eller flere kjemoterapeutiske midler, hvor ett av det kjemoterapeutiske middel er temozolomid.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er kirurgi. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I ifølge formel I(a) i kombinasjon med en behandling, hvor behandlingen er kirurgi. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor behandlingen er kirurgi.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor behandlingen er én eller to hormonterapier. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I eller I(a), som definert over, i kombinasjon med en behandling, hvor behandlingen er én eller to hormonterapier uavhengig valgt blant tamoksifen, Toremifen (Fareston), Fulvestrant (Faslodex), Megestrolacetat (Megace), ovarial ablasjon, Raloxifen, en luteiniserende hormonfrigjørende hormon (LHRH)-analog (herunder goserelin og leuprolid), Megestrolacetat (Megace) og én eller flere aromataseinhibitorer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I eller I(a), som definert over, i kombinasjon med en behandling, hvor behandlingen er én eller to hormonterapier, hvor én av hormonterapiene er en aromataseinhibitor valgt blant letrozol (Femara), anastrozol (Arimidex) og eksemestan (Aromasin). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I eller I(a), som definert over, i kombinasjon med en behandling, hvor behandlingen er én eller to hormonterapier uavhengig valgt blant tamoksifen og en aromataseinhibitor.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, valgt fra tabell 1, i kombinasjon med en behandling, hvor

behandlingen er én eller to hormonterapier. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor én av behandlingene er én eller to hormonterapier uavhengig valgt blant tamoksifen, Toremifen (Fareston), Fulvestrant (Faslodex), Megestrolacetat (Megace), ovarial ablasjon, Raloxifen, en luteiniserende hormonfrigjørende hormon (LHRH)-analog (herunder goserelin og leuprolid), Megestrolacetat (Megace) og én eller to aromataseinhibitorer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1 i kombinasjon med en behandling, hvor én av behandlingene er én eller to hormonterapier, hvor én av hormonterapiene er en aromataseinhibitor valgt blant letrozol (Femara), anastrozol (Arimidex) og eksemestan (Aromasin). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1 i kombinasjon med en behandling, hvor én av behandlingene er én eller to hormonterapier uavhengig valgt blant tamoksifen og en aromataseinhibitor.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med en behandling, hvor én av behandlingene er ett antistoff valgt blant et EGFR-antistoff og et ErbB2-antistoff, eller behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant et rapamycin, en rapamycinanalog, et alkyleringsmiddel, et taksan, et platin, en EGFR-inhibitor og en ErbB2-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I i henhold til formel I(a) i kombinasjon med en behandling, hvor én av behandlingene er ett antistoff valgt blant et EGFR-antistoff og et ErbB2-antistoff, eller behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant et rapamycin, en rapamycinanalog, et alkyleringsmiddel, et taksan, et platin, en EGFR-inhibitor og en ErbB2-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I valgt fra tabell 1, i kombinasjon med en behandling, hvor én av behandlingene er ett antistoff valgt blant et EGFR-antistoff og et ErbB2-antistoff, eller behandlingen er ett eller to kjemoterapeutiske midler uavhengig valgt blant rapamycin, en rapamycinanalog, et alkyleringsmiddel, et taksan, et platin, en EGFR-inhibitor og en ErbB2-inhibitor.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle akutt myelogen leukemi (AML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant benmargtransplantasjon eller perifer blodstamcelletransplantasjon, stråling, ett eller to antistoffer, og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle akutt myelogen leukemi (AML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller to behandlinger, hvor én av behandlingene er ett antistoff valgt blant Gemtuzumab ozogamicin (Mylotarg), $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb, $^{\alpha}$IGF-1R CP-751871 MoAb og trastuzumab. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle akutt myelogen leukemi (AML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler valgt blant Imatinib (dvs. Gleevec®), PKC 412, CEP-701, daunorubicin, doksorubicin, cytarabin (ara-C), et antrasyklinsk legemiddel slik som daunorubicin eller idarubicin (Daunomycin, Idamycin), 6-tioguanin, og en granulocyttkolonistimulerende faktor (slik som Neupogen eller Leukine).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kronisk myelogen leukemi (CML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant benmargtransplantasjon eller perifer blodstamcelletransplantasjon, stråling, ett eller to kjemoterapeutiske midler, immunterapi og ett eller to antistoffer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kronisk myelogen leukemi (CML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler valgt blant Imatinib (dvs. Gleevec®), PKC 412, hydroksyurea (Hydrea), cytosin, cytosinarabinosid, dasatinib, AMN107, VX680 (MK0457) og cytarabin (ara-C). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kronisk myelogen leukemi (CML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler valgt blant Imatinib (dvs. Gleevec®) og dasatinib. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kronisk myelogen leukemi (CML), hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av

behandlingene er immunterapi, og immunterapien er interferonterapi slik som interferon-α.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi (herunder kryokirurgi), stråling, ett eller to kjemoterapeutiske midler, ett eller to antistoffer, og én eller to hormonterapier. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et antistoff valgt blant $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb og $^{\alpha}$IGF-1R CP-751871 MoAb. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler uavhengig valgt blant rapamycin, mitoksantron, prednison, docetaksel (Taxotere), doksorubicin, etoposid, vinblastin, paclitaksel og karboplatin. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er én eller to av hormonterapien uavhengig valgt blant androgen deprivasjonsterapi og androgen suppresjonsterapi. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er et taksan. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle prostatakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler, hvor ett av de kjemoterapeutiske midler er rapamycin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle melanom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, én eller to immunterapier, én eller to hormonterapier og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle melanom, hvilken fremgangsmåte omfatter å administrere til en pasient en

terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant et alkyleringsmiddel, et taksan, et platin og en Raf-inhibitor. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle melanom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant sorafenib, Paclitaxel (Taxol®), Docetaxel (Taxotere®), dacarbazin, rapamycin, imatinibmesylat (Gleevec®), sorafenib, cisplatin, karboplatin, dacarbazin (DTIC), karmustin (BCNU), vinblastin, temozolomid (Temodar), Melphalan og imiquimod (Aldara). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle melanom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er én eller to immunterapier uavhengig valgt blant ipilimumab, interferon-alfa og interleukin-2. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle melanom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er hormonterapi, hvor hormonterapien er tamoksifen.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle tykktarmskreft eller endetarmskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller to antistoffer og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle tykktarmskreft eller endetarmskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er kirurgi valgt blant lokal eksisjon, elektrofulgurasjon, segmental kolonreseksjon, polyppektomi, lokal transanal reseksjon, lav fremre reseksjon, abdominoperineal reseksjon og bekkeneksenterasjon. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle tykktarmskreft eller endetarmskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant en platinainneholdende forbindelse (herunder cisplatin, oksaliplatin og karboplatin), 5-fluoruracil (5-FU), leucovorin, capecitabin (Xeloda), irinotecan (Camptosar), FOLFOX (folsyre, 5-FU, Oksaliplatin) og leucovorin. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle tykktarmskreft eller endetarmskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde

av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to antistoffer uavhengig valgt blant cetuksimab (Erbitux) og bevacizumab (Avastin).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle bukspyttkjertelkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller to antistoffer, og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle bukspyttkjertelkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er valgt blant ett eller to kjemoterapeutiske midler uavhengig valgt blant platinainneholdende forbindelse (herunder cisplatin, oksaliplatin og karboplatin), 5-fluoruracil (5-FU), gemcitabin, et taksan (herunder paclitaxel og docetaxel), topotecan, irinotecan, capecitabin, streptozocin, erlotinib (Tarceva), leucovorin og capecitabin (Xeloda). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle bukspyttkjertelkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et antistoff, hvor antistoffet er cetuximab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller to kjemoterapeutiske midler, én eller to hormonterapier og ett eller to antistoffer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler uavhengig valgt blant lapatinib (Tykerb®), Paclitaxel (Taxol®), docetaxel, capecitabin, Syklofosfamid (Cytoxan), CMF (syklofosfamid, fluoruracil og metotreksat), metotreksat, fluoruracil, doxorubicin, epirubicin, gemcitabin, karboplatin (Paraplatin), cisplatin (Platinol), vinorelbin (Navelbine), capecitabin (Xeloda), pegylert liposomal doksorubicin (Doxil), albuminbundet paclitaxel (Abraxane), AC (adriamycin og Syklofosfamid), adriamycin og pamidronat eller zoledronsyre (for å behandle bensvakhet). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger,

hvor én av behandlingene er én eller to hormonterapier uavhengig valgt blant tamoksifen, Toremifen (Fareston), Fulvestrant (Faslodex), Megestrolacetat (Megace), ovarial ablasjon, Raloxifen, en luteiniserende hormonfrigjørende hormon (LHRH)-analoger (herunder goserelin og leuprolid), Megestrolacetat (Megace) og én eller flere aromataseinhibitorer. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er én eller to hormonterapier, og én av hormonterapiene er en aromataseinhibitor valgt blant letrozol (Femara), anastrozol (Arimidex) og eksemestan (Aromasin). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to antistoffer uavhengig valgt blant $^{\alpha}$IGF-1R A12 MoAb, $^{\alpha}$IGF-1R 19D12 MoAb, $^{\alpha}$IGF-1R h7C10 MoAb, $^{\alpha}$IGF-1R CP-751871 MoAb, bevacizumab (Avastin) og trastuzumab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler, og ett av de kjemoterapeutiske midler er erlotinib.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler, og ett eller to av de kjemoterapeutiske midler er uavhengig valgt blant rapamycin, lapatinib, erlotinib, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav, og *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere

valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av antistoffene. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to antistoffer, og ett av antistoffene er trastuzumab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler, og ett av de kjemoterapeutiske midler er valgt blant *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, og *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin; valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle brystkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to av de kjemoterapeutiske midler, og ett av de kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller flere antistoffer og ett eller flere kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å

behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant cisplatin, oksaliplatin, karboplatin, Zactima (ZD6474), Paclitaxel, Docetaxel (Taxotere®), Gemcitabin (Gemzar®), Vinorelbin, Irinotecan, Etoposid, Vinblastin, Erlotinib (Tarceva®), gefitinib (Iressa) og Pemetrexed. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et antistoff, og antistoffet er Bevacizumab. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant cisplatin, oksaliplatin, karboplatin, Paclitaxel, Docetaxel (Taxotere®) og erlotinib (Tarceva®).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler, og ett av de kjemoterapeutiske midler er karboplatin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler og ett av de kjemoterapeutiske midler er valgt blant *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin, og *N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin; valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle ikke-småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler og ett av de

kjemoterapeutiske midler er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle småcellet lungekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant et platin (slik som cisplatin, oksaliplatin og karboplatin), gefitinib, vinorelbin, docetaksel, paclitaksel, etoposid, fosfamid, ifosfamid, syklofosfamid, syklofosfamid/doksorubicin/vincristin (CAV), doksorubicin, vincristin, gemcitabin, paclitaksel, vinorelbin, topotecan, irinotecan, metotreksat og docetaksel.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle papillær eller anaplastisk tyreoideakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, radioaktiv jodterapi, én eller to hormonterapier og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle papillær eller anaplastisk tyreoideakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant tyreoidhormonpiller, Doksorubicin og et platin. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle papillær eller anaplastisk tyreoideakreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er hormonterapi, og hormonterapien er radiojodablasjon.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle endometriekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, én eller to hormonterapier og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å

behandle endometriekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er én eller to hormonterapier uavhengig valgt blant megestrolacetat, Tamoksifen og et progestin, herunder medroksyprogesteronacetat (Provera) og megestrolacetat (Megace). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle endometriekreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant en platinainneholdende forbindelse (herunder cisplatin, oksaliplatin og karboplatin, mer for eksempel cisplatin), et taksan (herunder paclitaksel), doksorubicin (Adriamycin), syklofosfamid, fluoruracil (5-FU), metotreksat og vinblastin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle eggstokkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller to antistoffer og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle eggstokkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et antistoff, og antistoffet er bevacizumab. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle eggstokkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant en platinainneholdende forbindelse (herunder cisplatin, oksaliplatin og karboplatin), et taksan (herunder paclitaksel og docetaksel), topotecan, et antrasyklin (herunder doxorubicin og liposomal doxorubicin), gemcitabin, syklofosfamid, vinorelbin (Navelbine), heksametylmelamin, ifosfamid, etoposid, bleomycin, vinblastin, ifosfamid, vincristin og syklofosfamid. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle eggstokkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant et platin og et taksan. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle eggstokkreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant cisplatin, oksaliplatin, karboplatin, paclitaxel

og docetaxel.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, ett eller to kjemoterapeutiske midler, ett eller to krampedempende midler, og ett eller to midler for å redusere svelling. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er stråling valgt blant ekstern strålebehandling, interstitiell strålebehandling og stereotaktisk radiokirurgi. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant karmustin (BCNU), Erlotinib (Tarceva), bevacizumab, gefitinib (Iressa), rapamycin, temozolomid, cisplatin, BCNU, lomustin, procarbazin og vinkristin. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et krampedempende middel, og det krampedempende middel er difenylhydantoin (Dilantin). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et middel for å redusere svelling, og midlet er deksametason (Decadron). Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle glioblastom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant erlotinib og temozolomid.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle livmorhalskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger

uavhengig valgt blant kirurgi, stråling og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle livmorhalskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er kirurgi valgt blant kriokirurgi, laserkirurgi, loop-elektrokirurgisk eksisjon, konisering, simpel hysterektomi, og radikal hysterektomi og bekken-lymfeknutedisseksjon. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle livmorhalskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er stråling valgt blant benevnte ekstern strålebehandlingsterapi og brakyterapi. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle livmorhalskreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant en platinaforbindelse (slik som cisplatin, oksaliplatin, karboplatin og oksaliplatin), paclitaxel, topotecan, ifosfamid, gemcitabin, vinorelbin og fluoruracil.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle en gastrointestinal karsinoid tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling, immunterapi og ett eller to kjemoterapeutiske midler. Også beskrevet er en fremgangsmåte for å behandle en gastrointestinal karsinoid tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er kirurgi valgt blant eksisjon og elektrofulgurasjon. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle en gastrointestinal karsinoid tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant cyproheptadin, SOM230, oktreotid og lanreotid. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle en gastrointestinal karsinoid tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er immunterapi, og immunterapien er et interferon.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle en gastrointestinal stromal tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk

effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, stråling og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle en gastrointestinal stromal tumor, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant imatinibmesylat (Gleevec), sunitinib (Sutent) og nilotinib (AMN107).

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle hepatocellulært karsinom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant kirurgi, radiofrekvensablasjon, etanolablasjon, kriokirurgi, hepatisk arterieembolisering, kjemoembolisering, stråling og ett eller to kjemoterapeutiske midler. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle hepatocellulært karsinom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er kirurgi valgt blant reseksjon og transplantasjon. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle hepatocellulært karsinom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler uavhengig valgt blant sorafenib, 5-fluoruracil og cisplatin.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle Non-Hodgkins lymfom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger uavhengig valgt blant stråling, ett eller to kjemoterapeutiske midler, interferonterapi, ett eller to antistoffer og benmargtransplantasjon eller perifer blodstamcelletransplantasjon. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle Non-Hodgkins lymfom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er ett eller to kjemoterapeutiske midler valgt blant CHOP (syklofosfamid, doksorubicin, vinkristin og prednison), klorambucil, fludarabin og etoposid. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle Non-Hodgkins lymfom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene

er et antistoff valgt blant rituksimab, ibritumomabtiuksetan, tositumomab og alemtuzumab. Også beskrevet er anvendelsen i en fremgangsmåte for å behandle Non-Hodgkins lymfom, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er et antistoff, og antistoffet er rituksimab.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er stråling og en annen behandling er kirurgi.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er stråling og en annen behandling er ett eller to kjemoterapeutiske midler.

Også beskrevet er anvendelsen i en fremgangsmåte for å behandle kreft, hvilken fremgangsmåte omfatter å administrere til en pasient en terapeutisk effektiv mengde av en forbindelse ifølge formel I, som definert over, i kombinasjon med én eller flere behandlinger, hvor én av behandlingene er kirurgi og en annen behandling er ett eller to kjemoterapeutiske midler.

For hver av de foregående velges forbindelsen ifølge formel I fra en hvilken som helst av de følgende beskrevne forbindelser, herunder fra de representative forbindelser i tabell 1.

Én beskrevet forbindelse (A) er rettet mot en forbindelse ifølge formel I, hvor W^1, W^2, W^3 og W^4 er -C(R^1)=; eller én eller to av W^1, W^2, W^3 og W^4 er uavhengig -N=, og de gjenværende er -C(R^1)=; hvor hver R^1 er uavhengig hydrogen, alkyl, haloalkyl, nitro, alkoksy, haloalkoksy, halo, hydroksy, cyano, amino, alkylamino eller dialkylamino; og alle andre grupper er som definert over. I en annen utførelsesform er W^1, W^2, W^3 og W^4 -C(R^1)=, og hver R^1 er uavhengig hydrogen eller alkyl; eller én av W^1 og W^4 er -N= og den annen er -C(H)=. I en annen[3] er W^1, W^2, W^3 og W^4 -C(R^1)=, hvor hver R^1 er uavhengig hydrogen eller alkyl. I en annen utførelsesform er R^1 hydrogen.

En annen (B) er en forbindelse ifølge formel I, hvor R^{50} er hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroksy, alkoksy, alkenyloksy, haloalkoksy, nitro, amino, alkylamino,

[3] O.a.: Oversatt i henhold til kildetekst.

dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}, alkylkarbonyl, alkenylkarbonyl, karboksy, alkoksykarbonyl, cyano, alkyltio, -S(O)$_2$N$R^{55}R^{55a}$ eller alkylkarbonylamino; hvor R^{55} og R^{55b} er uavhengig hydrogen, alkyl eller alkenyl, og R^{55a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy; og alle andre grupper er som definert over. I en annen er R^{50} hydrogen.

En annen (C) er en forbindelse ifølge formel I, hvor R^{51} er hydrogen eller alkyl; og alle andre grupper er som definert over. I en annen er R^{51} alkyl, i en annen er R^{51} metyl.

En annen (D) er en forbindelse ifølge formel I, hvor R^{52} er hydrogen eller halo; og alle andre grupper er som definert over. I en annen er R^{52} hydrogen eller fluor. I en annen utførelsesform er R^{52} hydrogen.

En annen (E) er en forbindelse ifølge formel I, hvor R^{53} er hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroksy, alkoksy, alkenyloksy, haloalkoksy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}, alkylkarbonyl, alkenylkarbonyl, karboksy, alkoksykarbonyl, cyano, alkyltio, -S(O)$_2$N$R^{55}R^{55a}$ eller alkylkarbonylamino; hvor R^{55} og R^{55b} er uavhengig hydrogen, alkyl eller alkenyl, og R^{55a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy; og alle andre grupper er som definert over. I en annen er R^{53} hydrogen, alkoksy, nitro, amino eller -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}. I en annen er R^{53} hydrogen, metoksy, nitro, amino eller -NHC(O)CH_2N(CH_3)$_2$. I en annen er R^{53} hydrogen eller metoksy.

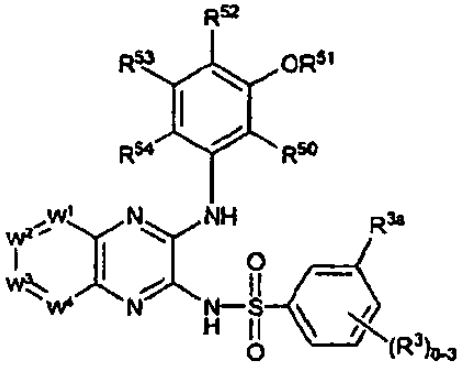
En annen (F) er en forbindelse ifølge formel I, hvor R^{54} er hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroksy, alkoksy, alkenyloksy, haloalkoksy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}, alkylkarbonyl, alkenylkarbonyl, karboksy, alkoksykarbonyl, cyano, alkyltio, -S(O)$_2$N$R^{55}R^{55a}$ eller alkylkarbonylamino; hvor R^{55} og R^{55b} er uavhengig hydrogen, alkyl eller alkenyl, og R^{55a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy; og alle andre grupper er som definert over. I en annen er R^{54} hydrogen, alkyl, alkoksy eller halo. I en annen er R^{54} hydrogen, metyl, metoksy, brom eller klor. I en annen er R^{54} hydrogen, metoksy eller klor.

En annen (G) er en forbindelse ifølge formel I, hvor R^{50}, R^{52} og R^{53} er hydrogen, og R^{54} er halo eller alkoksy; R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy; eller R^{50} og R^{52} er hydrogen, og R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 6-leddet heteroaryl; og alle andre grupper er som definert over. I en annen er R^{50}, R^{52} og R^{53} hydrogen, og R^{54} er klor eller metoksy; R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er metoksy; eller R^{50} og R^{52} er hydrogen, og R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner

pyridinyl. Enda mer spesifikt er R^{50}, R^{52} og R^{53} hydrogen, og R^{54} er klor eller metoksy; eller R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er metoksy.

Én forbindelse av forbindelsen ifølge avsnittet over (G1) er en forbindelse ifølge formel I hvor R^{51} er metyl.

En annen beskrevet forbindelse (H) er en forbindelse ifølge formel I, hvor B er fenyl substituert med R^{3a} og valgfritt ytterligere substituert med én, to eller tre R^3; og alle andre grupper er som definert over. I en annen er B fenyl substituert med R^{3a}. I en annen er forbindelsen ifølge formel I(a):



I(a).

I en annen er B fenyl substituert med R^{3a} som gjengitt i Ia, og er ikke ytterligere substituert med R^3.

En annen beskrevet forbindelse (J) er en forbindelse ifølge formel I, hvor B er heteroaryl valgfritt substituert med én, to eller tre R^3. I en annen utførelsesform er B tien-3-yl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, oksazolyl, isoksazolyl, pyrrolyl, imidazolyl, pyrazolyl eller tiazolyl, hver av hvilke er valgfritt substituert med én eller to R^3. I en annen utførelsesform er B tien-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, oksazol-2-yl, oksazol-4-yl, oksazol-5-yl, isoksazol-3-yl, isoksazol-4-yl, isoksazol-5-yl, imidazol-2-yl, pyrrol-2-yl, pyrrol-3-yl, imidazol-4-yl, imidazol-5-yl, pyrazol-3-yl, pyrazol-4-yl eller pyrazol-5-yl, hver av hvilke er valgfritt substituert med én eller to R^3. I en annen utførelsesform er B tien-3-yl, pyridin-3-yl, pyridin-4-yl, isoksazol-4-yl eller pyrazol-4-yl, hver av hvilke er valgfritt substituert med én eller to R^3. I en annen er B pyridin-3-yl, 2-hydroksy-pyridin-5-yl, isoksazol-4-yl eller pyrazol-4-yl, hver av hvilke er valgfritt substituert med én eller to R^3.

En annen (K) er en forbindelse ifølge formel I eller Ia, hvor R^{3a} er cyano; hydroksyamino; karboksy; alkylsulfonyl, aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$; $-C(O)NR^8R^{8a}$; $-NR^9C(O)R^{9a}$; $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$; $-NR^{11}C(O)NR^{11a}R^{11b}$

hvor R^{11a}; $-C(O)R^{12}$; $-NR^{13}C(O)OR^{13a}$; $-C(O)N(R^{14})N(R^{14a})(R^{14b})$; $-S(O)_2N(R^{15})-C_1-C_6$-alkylen-$N(R^{15a})R^{15b}$; $-C(O)N(R^{16})-C_1-C_6$-alkylen-$C(O)OR^{16a}$; heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; $-N(R^{17})-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d})$; $-N(R^{18})C(O)-C_1-C_6$-alkylen-$N(R^{18b})C(O)R^{18a}$; $-C(O)N(R^{19})-C_1-C_6$-alkylen-$C(O)R^{19a}$; $-N(R^{22})C(O)-C_1-C_6$-alkylen-$N(R^{22b})-N(R^{22c})(R^{22a})$; $-C_0-C_6$-alkylen-$N(R^{23})-C_1-C_6$-alkylen-$N(R^{23b})R^{23a}$; eller $-NR^{24}C(O)-C_1-C_6$-alkylen-OR^{24a}; hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy, amino, alkylamino og dialkylamino; og alle andre grupper er som definert over.

I en annen er R^{3a} $-NHC(O)CH_2NH(CH_3)$, $-NHC(O)CH_2NH(CH_2CH_3)$, $-NHC(O)CH(CH_3)NH_2$, $-NHC(O)C(CH_3)_2NH_2$, $-NHC(O)CH_2N(CH_3)_2$, $-NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, $-NHC(O)CH(NH_2)CH_2CH_3$, $-NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)_2$, $-NHC(O)CH(CH_3)NH(CH_3)$, $-NHC(O)CH_2NH_2$, -NHC(O)H, $-NHC(O)CH_2$(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), $-NHC(O)CH(NH_2)CH_2OH$, -NHC(O)(azetidin-4-yl), $-NHC(O)C(CH_3)_2NH(CH_3)$, $-NH_2$, $-NHC(O)CH_2NH(CH_2CH_2CH_3)$, $-NHC(O)CH_2CH_2NH_2$, -NHOH, -NHC(O)(piperidin-3-yl), $-NHC(O)CH_2$(4-metyl-1,4-diazepan-1-yl), $-NHC(O)CH(NH_2)(CH_2CH_3)$, $-NHC(O)CH_2NH(CH_2CH(OH)(CH_3))$, $-NHC(O)CH_2NHCH_2CH_2F$, $-NHC(O)CH_2NH(OCH_2CH(CH_3)_2)$, -NHC(O)(1-aminosykloprop-1-yl), $-NHC(O)CH_2NH(CH_2$sykloprop$yl)$, $-NHC(O)CH_2$(3-(dimetylamino)-azetidin-1-yl), -NHC(O)(piperidin-2-yl), -NHC(O)(morfolin-4-yl), $-NHC(O)CH_2$(pyrrolidin-1-yl), $-NHC(O)CH(NH_2)CH_2CH_2CH_2CH_2N(CH_3)_2$, $-NHC(O)CH_2N(CH_3)(CH_2CH_3)$, $-NHC(O)CH_2$(imidazol-5-yl), -NHC(O)(1-aminosyklopent-1-yl), $-NHC(O)CH_2NH(CH_2CH(CH_3)_2)$, $-NHC(O)CH_2N(CH_3)(CH_2CH_3)$, -NHC(O)(*N*-(imidazol-4-ylmetyl)-azetidin-3-yl), -NHC(O)(*N*-etyl-azetidin-3-yl), $-NHCH_2N(CH_3)CH_2CH_2N(CH_3)_2$, $-NHC(O)CH_2N(CH_3)$(*N*-metyl-pyrrolidin-3-yl), $-NHC(O)CH_2N(CH_3)(CH_2CH_2N(CH_3)_2)$, $-NHC(O)CH_2$(3-hydroksy-pyrrolidin-1-yl), -NHC(O)(1-amino-syklobut-1-yl), $-NHC(O)CH_2NH(CH_2)_3CH_3$, $-NHC(O)CH_2$(3-piperidin-1-ylazetidin-1yl), $-NHC(O)NH_2$, -NHC(O)(1-hydroksysykloprop$yl)$, $-NHC(O)CH_2NHN(CH_3)_2$, $-NHC(O)NH(CH_2)_2N(CH_3)_2$, $-NHC(O)CH_2OH$, -NHC(O)(pyridazin-4-yl), -NHC(O)(N-metyl-piperidin-4-yl), $-NHC(O)CH_2NHCH(CH_3)_3$, $-NHC(O)CH_2$(3-dimetylamino-pyrrolidin-lyl), $-NHC(O)CH_2NH(CH_2)_2N(CH_3)_2$, -NHC(O)(1-sykloprop$ylmetyl$-azetidin-3-yl), $-NHC(O)CH_2NH(CH_3)_3$, -NHC(O)(imidazol-2-yl), -NHC(O)(imidazol-4-yl), -NHC(O)(1,2-oksazol-5-yl), $-NHC(O)CH_2NHCH_2CF_3$, $-NHC(O)CH_2CH_2$(piperidin-1-yl), -NHC(O)(3-okso-syklopent-1-yl), -NHC(O)(2-hydroksy-pyridin-6-yl), $-NHC(O)CH_2NH$(3-fluor-4-hydroksyfenyl), $-NHC(O)(CH_2)_3N(CH_3)_2$, -NHC(O)(1-(furan-2-ylmetyl)-azetidin-3-yl), -NHC(O)(pyrimidin-5-yl), -NHC(O)(pyrrol-2-yl),

-NHC(O)$CH_2N(CH_3)CH(CH_3)_2$, -NHC(O)$CH_2N(CH_2CH_3)_2$,
-NHC(O)CH_2(3-metyl-1,2-oksazol-5-yl), -NHC(O)CH_2NHCH_2(3-hydroksyfenyl),
-NHC(O)(*N*-metyl-pyrrol-2-yl), -NHC(O)(2-amino-tetrahydropyran-2-yl),
-NHC(O)CH_2(4-metylamino-piperidin-1-yl), -NHC(O)(piperidin-1-yl),
-NHC(O)(*N*-metyl-pyrrolidin-2yl), -NHC(O)(tien-3yl),
-NHC(O)(*N*-(syklopropylkarbonyl)azetidin-3-yl), -NHC(O)CH_2(4-metylpiperazin-1-yl),
-NHC(O)(*N*-benzylazetidin-3-yl), -NHC(O)(2-klor-pyridin-3-yl), -NHC(O)CH_2(pyridin-4-yl),
-NHC(O)$CH_2N(CH_3)(CH_2CH{=}CH_2)$, -NHC(O)$CH_2NH$(benzyl), -NHC(O)$CH_2OCH_3$,
-NHC(O)[1-(C(O)CH_2CH_3)-azetidin-3-yl], -NHC(O)(pyridin-3-yl),
-NHC(O)$CH_2NHCH_2CH_2OCH_3$, -NHC(O)(1-[C(O)CH_3]piperidin-4-yl),
-NHC(O)CH_2(2-metyl-pyrrolidin-1-yl), -NHC(O)(furan-3-yl), -NHC(O)$CH_2N(CH_3)_2$,
-NHC(O)(2-klor-pyridin-5-yl), -NHC(O)(2-klorfenyl), -NHC(O)CH_2(pyridin-2-yl),
-NHC(O)CH_2(3-dimetylamino-azetidin-1-yl), -NHC(O)CH_2(pyridin-3-yl),
-NHC(O)CH_2(2-klorfenyl), -NHC(O)$CH_2N(CH_3)CH_2CH_2CH_2N(CH_3)_2$,
-NHC(O)$CH_2N(CH_2CH_3)CH_2CH_2OH$, -NHC(O)$CH_2$(2-benzyl-pyrrolidin-1-yl),
-NHC(O)(furan-2-yl, -NHC(O)(2-klor-pyridin-4-yl), -NHC(O)$CH_2NHC(O)CH_3$,
-NHC(O)$CH_2CH_2CH_3$, -NHC(O)(4-klorfenyl), -NHC(O)(4-metyl-fenyl),
-NHC(O)$CH_2NHC(O)O(CH_3)_3$, -NHC(O)(benzo[d][1,3]dioksol-5-yl),
-NHC(O)CH_2NHOCH_2(2-metoksyfenyl), -NHC(O)(pyridin-4-yl),
-NHC(O)CH_2[4-(3,4-diklorfenyl)-piperazin-1-yl], -NHC(O)CH_2CH_2(pyridin-3-yl),
-NHC(O)(tetrahydrofuran-3-yl), -NHC(O)CH_2NHCH_2(2-metylfenyl), -NHC(O)$CH(CH_3)CH_2CH_3$,
-NHC(O)CH_2(3-fluorfenyl), -NHC(O)$CH_2C(CH_3)_2$fenyl, -NHC(O)(2-metyl-sykloprop-1-yl),
-NHC(O)(2-metyl-4-metoksyfenyl), -NHC(O)(2-metylpyridin-3-yl), -NHC(O)(4-metoksyfenyl),
-NHC(O)CH_2(4-etylpiperazin-1-yl), -NHC(O)(tien-2-yl), -NHC(O)(3-fluor-2-metylfenyl),
-NHC(O)(2-brom-thien-3-yl), -NHC(O)(4-fluorfenyl), -NHC(O)CH_2(3-metylpiperidin-1-yl),
-NHC(O)$CH(CH_3)_2$, -NHC(O)$(CH_2)_3CH_3$, -NHC(O)$CH_2OCH_2CH_3$,
-NHC(O)CH_2NH(2-fluorfenyl), -NHC(O)(3-dimetylaminofenyl),
-NHC(O)CH_2(4-metylpiperidin-1-yl), -NHC(O)CH_2NH(2-*n*-propylfenyl), -NHC(O)fenyl,
-NHC(O)(pyrazin2-yl), -NHC(O)(3-fluor-4-metoksyfenyl), -NHC(O)$C(CH_3)_2CH_2CH_3$,
-NHC(O)CH_2O(4-fluorfenyl), -NHC(O)(1-metylkarbonyl-azetidin-3-yl),
-NHC(O)CH_2NH(4-metylfenyl), -NHC(O)CH_2NH(fenyl), -NHC(O)CH_2(4-allyl-piperazin-1-yl),
-NHC(O)(2-metylfenyl), -NHC(O)$CH_2CH_2OCH_3$, -NHC(O)(3-metylfuran-2-yl),
-NHC(O)$C(CH_3)_3$, -NHC(O)CH_2NHObenzyl, -NHC(O)CH_2NH(3-klorfenyl), -NHC(O)syklobutyl,
-NHC(O)CH_2(3-metoksyfenyl), -NHC(O)(1-metylsykloprop-1-yl), -NHC(O)(3-fluorfenyl),
-NHC(O)(4-dimetylaminofenyl), -NHC(O)(3,4-diklorfenyl),
-NHC(O)CH_2NHCH_2(2-metyltiofenyl), -NHC(O)CH_2(2-fluorfenyl),
-NHC(O)$CH_2N(CH_2CH_3)CH(CH_3)_2$, -NHC(O)(tiazol-4-yl), -NHC(O)$CH_2N(CH_3)$benzyl,
-NHC(O)CH_2NHCH_2(tien-2-yl), -NHC(O)CH_2NHCH_2(pyridin-2-yl), -NHC(O)(3-metoksyfenyl),

-NHC(O)CH_2NHCH_2(3-klor-4-metylfenyl), -NHC(O)CH(CH_3)$CH_2CH_2CH_3$,
-NHC(O)CH_2(4-klorfenyl), -NHC(O)(3-fluor-4-metylfenyl), -NHC(O)CH_2O(2-metylfenyl),
-NHC(O)CH_2(sykloheksyl), -NHC(O)(2-fenyl-sykloprop-1-yl), -NHC(O)(3-klorfenyl),
-NHC(O)CH_2(2-metoksyfenyl), -NHC(O)CH_2CH_2(3-metoksyfenyl),
-NHC(O)CH_2NH(2-fluor-4-metyl-fenyl), -NHC(O)CH_2NHCH_2(3-fluor-fenyl),
-NHC(O)CH_2(4-metoksy-fenyl), -NHC(O)benzyl, -NHC(O)(2,4-diklorfenyl),
-NHC(O)(3-okso-sykloheks-1-yl), -NHC(O)CH_2NH(3-fluorfenyl), -NHC(O)CH_2(3-klorfenyl),
-NHC(O)CH_2NHCH_2CH(CH_3)fenyl, -NHC(O)CH_2NHCH_2(2,4-dimetylfenyl),
-NHC(O)CH_2(2-metyl-piperidin-1-yl), -NHC(O)CH_2NH(2-metoksyfenyl),
-NHC(O)CH_2(1,2,3,4-tetrahydroisokinolin-2-yl), -NHC(O)CH_2CH_2CH=CH_2,
-NHC(O)CH_2NH(2-metylfenyl), -NHC(O)CH_2(4-okso-piperidin-1-yl), -NHC(O)(2-fluorfenyl),
-NHC(O)CH_2NHCH(CH_3)fenyl, -NHC(O)(2-fluor-6-metoksyfenyl),
-NHC(O)CH_2NH(2-isopropylfenyl), -NHC(O)CH_2CH_2(2-metoksyfenyl),
-NHC(O)CH_2CH_2CH$(CH_3)_2$, -NHC(O)CH_2(2-fenyl-morfolin-4-yl),
-NHC(O)CH_2CH_2(4-metoksyfenyl), -NHC(O)CH_2N(allyl)syklopentyl,
-NHC(O)CH_2N(CH_3)$CH_2CH_2OCH_3$, -NHC(O)CH_2CH_2C(O)sykloprop yl,
-NHC(O)CH_2NH(3-*tert*-butylfenyl), -NHC(O)CH_2N(*n*-propyl)(sykloprop ylmetyl),
-NHC(O)CH_2(2-okso-syklopentyl), -NHC(O)CH_2NH(4-klorfenyl)
-NHC(O)CH_2(4-piperidin-1-ylpiperidin-1-yl), -NHC(O)CH_2(4-syklopentylpiperazin-1-yl),
-NHC(O)CH_2(2-metylfenyl), -NHC(O)CH_2NHCH_2(3-fluor-6-metylfenyl), -NHC(O)CH_2C$(CH_3)_3$,
-NHC(O)CH_2NH(2-klorfenyl), -NHC(O)(3-fluor-6-metylfenyl), -NHC(O)(4-fluor-3-metylfenyl),
-NHC(O)(2,3-diklorfenyl), -NHC(O)CH_2Ofenyl, -NHC(O)CH_2NH(2,3-dimetylfenyl),
-NHC(O)(2-fluor-5-metylfenyl), -NHC(O)CH_2NHOCH_2(4-metylfenyl),
-NHC(O)CH_2(4-isopropylpiperazin-1-yl), -NHC(O)CH_2(4-fluorfenyl), -NHC(O)CH_2CH$(CH_3)_2$,
-NHC(O)(2-metoksy-4-metylfenyl), -NHC(O)CH_2(4-*n*-propylpiperidin-1-yl),
-NHC(O)CH_2O(3-metylfenyl), -NHC(O)(tetrahydrofuran-2-yl),
-NHC(O)CH_2(3-hydroksymetylpiperidin-1-yl), -NHC(O)(1-*tert*-butoksykarbonylpiperidin-2-yl),
-NHC(O)CH_2N(CH_3)CH_2(pyridin-3-yl), -NHC(O)CH_2N(CH_2CH_3)fenyl,
-NHC(O)$CH_2OCH_2CH_2OCH_3$, -NHC(O)CH_2CH_2(syklopentyl), -NHC(O)(2,5-diklorfenyl),
-NHC(O)CH_2(4-metylkarbonylpiperazin-1-yl), -NHC(O)(5-fluor-2-metoksyfenyl),
-NHC(O)CH_2N(CH_2CH_3)sykloheksyl, -NHC(O)(5-metyl-1,2-oksazol-3-yl),
-NHC(O)(3-metylpyridin-3-yl), -NHC(O)(2-metoksypyridin-3-yl), -NHC(O)(3,5-diklorfenyl),
-NHC(O)CH_2(tiazolidin3-yl), -NHC(O)CH_2(4-[C(O)H]-piperazin-1-yl),
-NHC(O)CH_2(2-pyridin-4-ylpiperidin-1-yl), -NHC(O)(2-metoksyfenyl),
-NHC(O)CH_2N(CH_3)CH_2CH$(CH_3)_2$, -NHC(O)CH_2(4-[C(O)H]-homopiperazin-1-yl),
-NHC(O)(1-fenylsykloprop-1-yl), -NHC(O)CH_2(2,6-dimetylmorfolin-4-yl),
NHC(O)CH_2(2-fenylpyrrolidin-1-yl), -NHC(O)CH_2(morfolin-4-yl),
-C(O)NHCH(CH_3)CH_2N$(CH_3)_2$, -C(O)NHCH_2CH_2N$(CH_3)_2$, -C(O)NH(pyrrolidin-3-yl),

-C(O)NHCH$_2$CH$_2$(pyrrolidin-1-yl), -C(O)NHCH$_2$CH$_2$NH$_2$, -C(O)N(CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)NHCH$_2$(piperidin-2-yl), -C(O)NH(1-metylazetidin-3-yl), -C(O)NHCH$_2$CH$_2$(piperidin-1-yl), -C(O)NHCH$_2$CH$_2$N(CH$_2$CH$_3$)$_2$, -C(O)NH(1-metylpiperidin-3-yl), -C(O)NH(piperidin-3-yl), -C(O)NHCH$_2$(1-metylpiperidin-3-yl), -C(O)NHCH$_2$CH$_2$N(CH$_2$CH$_2$OH)$_2$, -C(O)NH(1-etylpiperidin-3-yl), -C(O)NH$_2$, -C(O)(3-aminopyrrolidin-1-yl), -C(O)(3-metylaminopyrrolidin-1-yl), -C(O)OH, -C(O)NHCH$_2$CH$_2$(morfolin-4-yl), -C(O)NHCH$_2$(1-etylpyrrolidin-2-yl), -C(O)(4-amino-3-okso-pyrazolidin-1-yl), -C(O)NHCH$_3$, -C(O)(3-aminosyklobut-1-yl), -C(O)NHCH$_2$(pyridin-3-yl), -C(O)NHCH$_2$CH$_2$OH, -C(O)NH(3-okso-pyrazolidin-4-yl), -NHCH$_2$CH$_2$(imidazol-4-yl), -C(O)(3-dimetylaminopyrrolidin-1-yl), -C(O)NHCH$_2$(pyridin-4-yl), -C(O)N(CH$_3$)(1-metyl-pyrrolidin-3-yl), -C(O)(3-dietylaminopyrrolidin-1-yl), -C(O)NH(pyrrol-1-yl), -C(O)NHCH$_2$CH$_2$CH$_2$(pyrrolidin-1-yl), -C(O)N(CH$_3$)CH$_2$CH$_2$CN, -C(O)NHCH$_2$CH$_2$OCH$_3$, -C(O)N(CH$_2$CH$_3$)CH$_2$CH$_2$CN, -C(O)(3-aminopiperidin-1-yl), -C(O)NHCH$_2$CH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)NH(morfolin-4-yl), -C(O)NHN(CH$_3$)$_2$, -C(O)NHCH$_2$CH$_2$CH$_2$(imidazol-1-yl), -C(O)NHCH$_2$CH$_2$CH$_2$N(CH$_2$CH$_3$)$_2$, -C(O)NHCH$_2$CH$_2$CN, -C(O)NHCH$_2$CH$_2$C(O)OCH$_3$, -C(O)NHCH$_2$CH$_2$SCH$_3$, -C(O)NHCH$_2$CH$_2$SCH$_2$CH$_3$, -C(O)N(CH$_2$CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)NHCH$_2$CH$_2$CH$_2$(2-okso-pyrrolidin-1-yl), -C(O)NHCH$_2$CH$_2$(pyridin-4-yl), -C(O)NHCH$_2$CH$_2$CH$_2$OCH$_2$CH$_3$, -C(O)NHCH$_2$CH$_2$CH$_2$(morfolin-4-yl), -C(O)NHCH$_2$CH$_2$CH$_2$OCH$_3$, -C(O)N(CH$_3$)CH$_2$CH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)NHCH$_2$CH$_2$CH$_2$OCH$_2$CH$_2$CH$_3$, -C(O)NHCH$_2$CH$_2$C(O)OCH$_2$CH$_3$, -C(O)NHCH$_2$CH$_2$CH$_2$OCH(CH$_3$)$_2$, -C(O)NHC(CH$_3$)$_2$CH$_2$(piperidin-1-yl), -C(O)N(CH$_3$)CH$_2$CH$_2$CH$_3$, -C(O)NH(piperidin-1-yl), -C(O)NHCH(CH$_3$)CH$_2$OCH$_3$, -C(O)NHC(CH$_3$)$_2$CH$_2$(morfolin-4-yl), -C(O)(2-dimetylaminometylpiperidin-1-yl), -C(O)NH(CH$_2$)$_3$O(CH$_2$)$_3$CH$_3$, -C(O)NHCH(CH$_3$)(CH$_2$)$_3$N(CH$_2$CH$_3$)$_2$, -C(O)NHC(CH$_3$)$_2$C(O)(piperidin-1-yl), -C(O)(4-metylpiperazin-1-yl), -C(O)(2-piperidin-1-ylmetyl-piperidin-1-yl), cyano, -NHCH$_3$, -CH(CH$_3$)NHCH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)CH$_3$, -S(O)$_2$NHCH$_2$CH$_2$N(CH$_3$)$_2$, -S(O)$_2$NH(CH$_2$)$_3$N(CH$_3$)$_2$, 5-(*N,N*-dimetylaminometyl)-1,3,4-oksadiazol-2-yl, -NHCH$_2$CH$_2$N(CH$_3$)$_2$, -N(CH$_3$)$_2$, -OCH$_2$CH$_2$N(CH$_3$)$_2$, -NHC[N(CH$_3$)$_2$][=N(CH$_3$)$_2$], -OCH F$_2$, -S(O)$_2$CH$_3$, -OCF$_3$ eller -NHC(O)CH$_2$(4-dimetylaminopiperidin-1-yl).

I en annen (L), er forbindelsen ifølge formel I eller Ia den hvor R^{3a} er hydroksyamino, -N(R^7)C(O)-C$_1$-C$_6$-alkylen-N(R^{7a})(R^{7b}), -C(O)NR^{8}R^{8a}, -NR^{9}C(O)R^{9a}, -C(O)N(R^{10})-C$_1$-C$_6$-alkylen-N(R^{10a})R^{10b}, -NR^{11}C(O)NR^{11a}R^{11b}, -N(R^{22})C(O)-C$_1$-C$_6$-alkylen-N(R^{22b})-N(R^{22c})(R^{22a}), -NR^{13}C(O)OR^{13a}, -N(R^{18})C(O)-C$_1$-C$_6$-alkylen-N(R^{18b})C(O)R^{18a}, -NR^{24}C(O)-C$_1$-C$_6$-alkylen-OR^{24a} eller -N(R^{20})C(O)-C$_1$-C$_6$-alkylen-C(O)R^{20a}; hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino; og

alle andre grupper er som definert over. I en annen er R^{3a} -NHC(O)CH_2NH(CH_3), -NHC(O)CH(CH_3)NH_2, -NHC(O)C(CH_3)$_2NH_2$, -NHC(O)CH_2N(C H_3)$_2$, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH(NH_2)CH_2CH_3, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH(CH_3)NH(CH_3), -NHC(O)H, -NHC(O)CH_2(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)CH(NH_2)CH_2OH, -NHC(O)(azetidin-4-yl), -NHC(O)C(CH_3)$_2$NH(CH_3), -NH_2, -NHC(O)CH_2NH($CH_2CH_2CH_3$), -NHC(O)$CH_2CH_2NH_2$, -NHOH eller -NHC(O)(piperidin-3-yl).

I en annen (M) er forbindelsen ifølge formel I eller Ia og R^{3a} -N(R^7)C(O)-C_1-C_6-alkylen-N(R^{7a})(R^{7b}); og R^7 er hydrogen eller alkyl, og R^{7a} og R^{7b} er uavhengig hydrogen, alkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; og alle andre grupper er som definert over. I en annen er R^{3a} -NHC(O)CH_2NH(CH_3), -NHC(O)CH(CH_3)NH_2, -NHC(O)C(CH_3)$_2NH_2$, -NHC(O)CH_2N(CH_3)$_2$, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH(NH_2)CH_2CH_3, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$ eller -NHC(O)CH(CH_3)NH(CH_3).

En annen beskrevet forbindelse (N) er en forbindelse ifølge formel I, hvor hver R^3 er uavhengig halo; cyano; alkyl; alkenyl; alkoksy; hydroksyamino; karboksy; alkylsulfonyl, aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; -N(R^7)C(O)-C_1-C_6-alkylen-N(R^{7a})(R^{7b}); -C(O)NR^8R^{8a}; -NR^9C(O)R^{9a}; -C(O)N(R^{10})-C_1-C_6-alkylen-N(R^{10a})R^{10b}; -NR^{11}C(O)N$R^{11a}R^{11b}$, hvor R^{11a}; -C(O)R^{12}; -NR^{13}C(O)OR^{13a}; -C(O)N(R^{14})N(R^{14a})(R^{14b}); -S(O)$_2$N(R^{15})-C_1-C_6-alkylen-N(R^{15a})R^{15b}; -C(O)N(R^{16})-C_1-C_6-alkylen-C(O)OR^{16a}; heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; -N(R^{17})-C(=N(R^{17b})(R^{17a}))(N$R^{17c}R^{17d}$); -N(R^{18})C(O)-C_1-C_6-alkylen-N(R^{18b})C(O)R^{18a}; -C(O)N(R^{19})-C_1-C_6-alkylen-C(O)R^{19a}; -N(R^{22})C(O)-C_1-C_6-alkylen-N(R^{22b})-N(R^{22c})(R^{22a}); -$C_{0-}C_6$-alkylen-N(R^{23})-C_1-C_6-alkylen-N(R^{23b})R^{23a}; eller -NR^{24}C(O)-C_1-C_6-alkylen-OR^{24a}; hvor hvert av alkylenet i R^3 er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy, amino, alkylamino og dialkylamino; og alle andre grupper er som definert over.

I en annen beskrevet forbindelse er hver R^3 uavhengig metyl, brom, klor, fluor, -NHC(O)CH_2NH(CH_3), -NHC(O)CH_2NH(CH_2CH_3), -NHC(O)CH(CH_3)NH_2, -NHC(O)C(CH_3)$_2NH_2$, -NHC(O)CH_2N(CH_3)$_2$, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH(NH_2)CH_2CH_3, -NHC(O)CH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH(CH_3)NH(CH_3), -NHC(O)CH_2NH_2, -NHC(O)H, -NHC(O)CH_2(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)CH(NH_2)CH_2OH, -NHC(O)(azetidin-4-yl), -NHC(O)C(CH_3)$_2$NH(CH_3), -NH_2, -NHC(O)CH_2NH($CH_2CH_2CH_3$), -NHC(O)$CH_2CH_2NH_2$, -NHOH, -NHC(O)(piperidin-3-yl),

-NHC(O)CH_2(4-metyl-1,4-diazepan-1-yl), -NHC(O)CH(NH_2)(CH_2CH_3), -NHC(O)CH_2NH(CH_2CH(OH)(CH_3)), -NHC(O)CH_2NHCH_2CH_2F, -NHC(O)CH_2NH(OCH_2CH(CH_3)$_2$), -NHC(O)(1-aminosykloprop-1-yl), -NHC(O)CH_2NH(CH_2syklopropyl), -NHC(O)CH_2(3-(dimetylamino)-azetidin-1-yl), -NHC(O)(piperidin-2-yl), -NHC(O)(morfolin-4-yl), -NHC(O)CH_2(pyrrolidin-1-yl), -NHC(O)CH(NH_2)$CH_2CH_2CH_2CH_2$N(CH_3)$_2$, -NHC(O)CH_2N(CH_3)(CH_2CH_3), -NHC(O)CH_2(imidazol-5-yl), -NHC(O)(1-aminosyklopent-1-yl), -NHC(O)CH_2NH(CH_2CH(CH_3)$_2$), -NHC(O)CH_2N(CH_3)(CH_2CH_3), -NHC(O)(*N*-(imidazol-4-ylmetyl)-azetidin-3-yl), -NHC(O)(*N*-etyl-azetidin-3-yl), -NHCH_2N(CH_3)CH_2CH_2N(CH_3)$_2$, -NHC(O)CH_2N(CH_3)(*N*-metyl-pyrrolidin-3-yl), -NHC(O)CH_2N(CH_3)(CH_2CH_2N(CH_3)$_2$), -NHC(O)CH_2(3-hydroksy-pyrrolidin-1-yl), -NHC(O)(1-amino-syklobut-1-yl), -NHC(O)CH_2NH(CH_2)$_3CH_3$, -NHC(O)CH_2(3-piperidin-1-ylazetidin-lyl), -NHC(O)NH_2, -NHC(O)(1-hydroksysyklopropyl), -NHC(O)CH_2NHN(CH_3)$_2$, -NHC(O)NH(CH_2)$_2$N(CH_3)$_2$, -NHC(O)CH_2 OH, -NHC(O)(pyridazin-4-yl), -NHC(O)(N-metyl-piperidin-4-yl), -NHC(O)CH_2NHCH(CH_3)$_3$, -NHC(O)CH_2(3-dimetylamino-pyrrolidin-1yl), -NHC(O)CH_2NH(CH_2)$_2$N(CH_3)$_2$, -NHC(O)(1-syklopropylmetyl-azetidin-3-yl), -NHC(O)CH_2NH(CH_3)$_3$, -NHC(O)(imidazol-2-yl), -NHC(O)(imidazol-4-yl), -NHC(O)(1,2-oksazol-5-yl), -NHC(O)CH_2NHCH_2CF_3, -NHC(O)CH_2CH_2(piperidin-1-yl), -NHC(O)(3-okso-syklopent-1-yl), -NHC(O)(2-hydroksy-pyridin-6-yl), -NHC(O)CH_2NH(3-fluor-4-hydroksyfenyl), -NHC(O)(CH_2)$_3$N(CH_3)$_2$, -NHC(O)(1-(furan-2-ylmetyl)-azetidin-3-yl), -NHC(O)(pyrimidin-5-yl), -NHC(O)(pyrrol-2-yl), -NHC(O)CH_2N(CH_3)CH(CH_3)$_2$, -NHC(O)CH_2N(CH_2CH_3)$_2$, -NHC(O)CH_2(3-metyl-1,2-oksazol-5-yl), -NHC(O)CH_2NHCH_2(3-hydroksyfenyl), -NHC(O)(*N*-metyl-pyrrol-2-yl), -NHC(O)(2-amino-tetrahydropyran-2-yl), -NHC(O)CH_2(4-metylamino-piperidin-1-yl), -NHC(O)(piperidin-1-yl), -NHC(O)(*N*-metyl-pyrrolidin-2yl), -NHC(O)(tien-3yl), -NHC(O)(*N*-(sykloproplykarbonyl)azetidin-3-yl), -NHC(O)CH_2(4-metylpiperazin-1-yl), -NHC(O)(*N*-benzylazetidin-3-yl), -NHC(O)(2-klor-pyridin-3-yl), -NHC(O)CH_2(pyridin-4-yl), -NHC(O)CH_2N(CH_3)($CH_2CH=CH_2$), -NHC(O)CH_2NH(benzyl), -NHC(O)CH_2OCH_3, -NHC(O)[1-(C(O)CH_2CH)-azetidin-3-yl], -NHC(O)(pyridin-3-yl), -NHC(O)CH_2NH$CH_2CH_2OCH_3$, -NHC(O)(1-[C(O)CH3]piperidin-4-yl), -NHC(O)CH_2(2-metyl-pyrrolidin-1-yl), -NHC(O)(furan-3-yl), -NHC(O)CH_2N(CH_3)$_2$, -NHC(O)(2-klor-pyridin-5-yl), -NHC(O)(2-klorfenyl), -NHC(O)CH_2(pyridin-2-yl), -NHC(O)CH_2(3-dimetylamino-azetidin-1-yl), -NHC(O)CH_2(pyridin-3-yl), -NHC(O)CH_2(2-klorfenyl), -NHC(O)CH_2N(CH_3)$CH_2CH_2CH_2$N(CH_3)$_2$, -NHC(O)CH_2N(CH_2CH_3)CH_2CH_2OH, -NHC(O)CH_2(2-benzyl-pyrrolidin-1-yl), -NHC(O)(furan-2-yl, -NHC(O)(2-klor-pyridin-4-yl), -NHC(O)CH_2NHC(O)CH_3, -NHC(O)$CH_2CH_2CH_3$, -NHC(O)(4-klorfenyl), -NHC(O)(4-metylfenyl), -NHC(O)CH_2NHC(O)O(CH_3)$_3$, -NHC(O)(benzo[d][1,3]dioksol-5-yl),

-NHC(O)CH_2NHOCH_2(2-metoksyfenyl), -NHC(O)(pyridin-4-yl), -NHC(O)CH_2[4-(3,4-diklorfenyl)-piperazin-1-yl], -NHC(O)CH_2CH_2(pyridin-3-yl), -NHC(O)(tetrahydrofuran-3-yl), -NHC(O)CH_2NHCH_2(2-metylfenyl), -NHC(O)CH(CH_3)CH_2CH_3, -NHC(O)CH_2(3-fluorfenyl), -NHC(O)CH_2C(CH_3)$_2$fenyl, -NHC(O)(2-metyl-sykloprop-1-yl), -NHC(O)(2-metyl-4-metoksyfenyl), -NHC(O)(2-metylpyridin-3-yl), -NHC(O)(4-metoksyfenyl), -NHC(O)CH_2(4-etylpiperazin-1-yl), -NHC(O)(thien-2-yl), -NHC(O)(3-fluor-2-metylfenyl), -NHC(O)(2-brom-tien-3-yl), -NHC(O)(4-fluorfenyl), -NHC(O)CH_2(3-metylpiperidin-1-yl), -NHC(O)CH(CH_3)$_2$, -NHC(O)(CH_2)$_3CH_3$, -NHC(O)CH_2OCH_2CH_3, -NHC(O)CH_2NH(2-fluorfenyl), -NHC(O)(3-dimetylaminofenyl), -NHC(O)CH_2(4-metylpiperidin-1-yl), -NHC(O)CH_2NH(2-*n*-propylfenyl), -NHC(O)fenyl, -NHC(O)(pyrazin2-yl), -NHC(O)(3-fluor-4-metoksyfenyl), -NHC(O)C(CH_3)$_2CH_2CH_3$, -NHC(O)CH_2O(4-fluorfenyl), -NHC(O)(1-metylkarbonyl-azetidin-3-yl), -NHC(O)CH_2NH(4-metylfenyl), -NHC(O)CH_2NH(fenyl), -NHC(O)CH_2(4-allyl-piperazin-l-yl), -NHC(O)(2-metylfenyl), -NHC(O)CH_2CH_2OCH_3, -NHC(O)(3-metyl-furan-2-yl), -NHC(O)C(CH_3)$_3$, -NHC(O)CH_2NHObenzyl, -NHC(O)CH_2NH(3-klorfenyl), -NHC(O)syklobutyl, -NHC(O)CH_2(3-metoksyfenyl), -NHC(O)(1-metylsykloprop-1-yl), -NHC(O)(3-fluorfenyl), -NHC(O)(4-dimetylaminofenyl), -NHC(O)(3,4-diklorfenyl), -NHC(O)CH_2NHCH_2(2-metyltiofenyl), -NHC(O)CH_2(2-fluorfenyl), -NHC(O)CH_2N(CH_2CH_3)CH(CH_3)$_2$, -NHC(O)(tiazol-4-yl), -NHC(O)CH_2N(CH_3)benzyl, -NHC(O)CH_2NHCH_2(tien-2-yl), -NHC(O)CH_2NHCH_2(pyridin-2-yl), -NHC(O)(3-metoksyfenyl), -NHC(O)CH_2NHCH_2(3-klor-4-metylfenyl), -NHC(O)CH(CH_3)$CH_2CH_2CH_3$, -NHC(O)CH_2(4-klorfenyl), -NHC(O)(3-fluor-4-metylfenyl), -NHC(O)CH_2O(2-metylfenyl), -NHC(O)CH_2(sykloheksyl), -NHC(O)(2-fenyl-sykloprop-1-yl), -NHC(O)(3-klorfenyl), -NHC(O)CH_2(2-metoksyfenyl), -NHC(O)CH_2CH_2(3-metoksyfenyl), -NHC(O)CH_2NH(2-fluor-4-metyl-fenyl), -NHC(O)CH_2NHCH_2(3-fluor-fenyl), -NHC(O)CH_2(4-metoksy-fenyl), -NHC(O)benzyl, -NHC(O)(2,4-diklorfenyl), -NHC(O)(3-okso-sykloheks-1-yl), -NHC(O)CH_2NH(3-fluorfenyl), -NHC(O)CH_2(3-klorfenyl), -NHC(O)CH_2NHCH_2CH(CH_3)fenyl, -NHC(O)CH_2NHCH_2(2,4-dimetylfenyl), -NHC(O)CH_2(2-metyl-piperidin-1-yl), -NHC(O)CH_2NH(2-metoksyfenyl), -NHC(O)CH_2(1,2,3,4-tetrahydroisokinolin-2-yl), -NHC(O)CH_2CH_2CH=CH_2, -NHC(O)CH_2NH(2-metylfenyl), -NHC(O)CH_2(4-okso-piperidin-1-yl), -NHC(O)(2-fluorfenyl), -NHC(O)CH_2NHCH(CH_3)fenyl, -NHC(O)(2-fluor-6-metoksyfenyl), -NHC(O)CH_2N-H(2-isopropylfenyl), -NHC(O)CH_2CH_2(2-metoksyfenyl), -NHC(O)CH_2CH_2CH(CH_3)$_2$, -NHC(O)CH_2(2-fenyl-morfolin-4-yl), -NHC(O)CH_2CH_2(4-metoksyfenyl), -NHC(O)CH_2N(allyl)syklopentyl, -NHC(O)CH_2N(CH_3)CH_2CH_2OCH_3, -NHC(O)CH_2CH_2C(O)sykloproppyl, -NHC(O)CH_2NH(3-*tert*-butylfenyl), -NHC(O)CH_2N(*n*-propyl)(sykloproppylmetyl), -NHC(O)CH_2(2-okso-syklopentyl), -NHC(O)CH_2NH(4-klorfenyl),

-NHC(O)CH_2(4-piperidin- 1-ylpiperidin-1-yl), -NHC(O)CH_2(4-syklopentylpiperazin-1-yl), -NHC(O)CH_2(2-metylfenyl), -NHC(O)CH_2NHCH_2(3-fluor-6-metylfenyl), -NHC(O)$CH_2C(CH_3)_3$, -NHC(O)CH_2NH(2-klorfenyl), -NHC(O)(3-fluor-6-metylfenyl), -NHC(O)(4-fluor-3-metylfenyl), -NHC(O)(2,3-diklorfenyl), -NHC(O)CH_2Ofenyl, -NHC(O)CH_2NH(2,3-dimetylfenyl), -NHC(O)(2-fluor-5-metylfenyl), -NHC(O)CH_2NHOCH_2(4-metylfenyl), -NHC(O)CH_2(4-isopropylpiperazin-1-yl), -NHC(O)CH_2(4-fluorfenyl), -NHC(O)$CH_2CH(CH_3)_2$, -NHC(O)(2-metoksy-4-metylfenyl), -NHC(O)CH_2(4-*n*-propylpiperidin-1-yl), -NHC(O)CH_2O(3-metylfenyl), -NHC(O)(tetrahydrofuran-2-yl), -NHC(O)CH_2(3-hydroksymetylpiperidin-1-yl), -NHC(O)(1-*tert*-butoksykarbonylpiperidin-2-yl), -NHC(O)$CH_2N(CH_3)CH_2$(pyridin-3-yl), -NHC(O)$CH_2N(CH_2CH_3)$fenyl, -NHC(O)$CH_2OCH_2CH_2OCH_3$, -NHC(O)CH_2CH_2(syklopentyl), -NHC(O)(2,5-diklorfenyl), -NHC(O)CH_2(4-metylkarbonylpiperazin-1-yl), -NHC(O)(5-fluor-2-metoksyfenyl), -NHC(O)$CH_2N(CH_2CH_3)$sykloheksyl, -NHC(O)(5-metyl-1,2-oksazol-3-yl), -NHC(O)(3-metylpyridin-3-yl), -NHC(O)(2-metoksypyridin-3-yl), -NHC(O)(3,5-diklorfenyl), -NHC(O)CH_2(tiazolidin3-yl), -NHC(O)CH_2(4-[C(O)H]-piperazin-1-yl), -NHC(O)CH_2(2-pyridin-4-ylpiperidin-1-yl), -NHC(O)(2-metoksyfenyl), -NHC(O)$CH_2N(CH_3)CH_2CH(CH_3)_2$, -NHC(O)$CH_2$(4-[C(O)H]-homopiperazin-1-yl), -NHC(O)(1-fenylsykloprop-1-yl), -NHC(O)CH_2(2,6-dimetylmorfolin-4-yl), NHC(O)CH_2(2-fenylpyrrolidin-1-yl), -NHC(O)CH_2(morfolin-4-yl), -C(O)NHCH$(CH_3)CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2N(CH_3)_2$, -C(O)NH(pyrrolidin-3-yl), -C(O)$NHCH_2CH_2$(pyrrolidin-1-yl), -C(O)$NHCH_2CH_2NH_2$, -C(O)$N(CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2$(piperidin-2-yl), -C(O)NH(1-metylazetidin-3-yl), -C(O)$NHCH_2CH_2$(piperidin-1-yl), -C(O)$NHCH_2CH_2N(CH_2CH_3)_2$, -C(O)NH(1-metylpiperidin-3-yl), -C(O)NH(piperidin-3-yl), -C(O)$NHCH_2$(1-metylpiperidin-3-yl), -C(O)$NHCH_2CH_2N(CH_2CH_2OH)_2$, -C(O)NH(1-etylpiperidin-3-yl), -C(O)NH_2, -C(O)(3-aminopyrrolidin-1-yl), -C(O)(3-metylaminopyrrolidin-1-yl), -C(O)OH, -C(O)$NHCH_2CH_2$(morfolin-4-yl), -C(O)$NHCH_2$(1-etylpyrrolidin-2-yl), -C(O)(4-amino-3-okso-pyrazolidin-1-yl), -C(O)$NHCH_3$, -C(O)(3-aminosyklobut-1-yl), -C(O)$NHCH_2$(pyridin-3-yl), -C(O)$NHCH_2CH_2OH$, -C(O)NH(3-okso-pyrazolidin-4-yl), -$NHCH_2CH_2$(imidazol-4-yl), -C(O)(3-dimetylaminopyrrolidin-1-yl), -C(O)$NHCH_2$(pyridin-4-yl), -C(O)$N(CH_3)$(1-metyl-pyrrolidin-3-yl), -C(O)(3-dietylaminopyrrolidin-1-yl), -C(O)NH(pyrrol-1-yl), -C(O)$NHCH_2CH_2CH_2$(pyrrolidin-1-yl), -C(O)$N(CH_3)CH_2CH_2CN$, -C(O)$NHCH_2CH_2OCH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2CN$, -C(O)(3-aminopiperidin-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_3)_2$, -C(O)NH(morfolin-4-yl), -C(O)$NHN(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(imidazol-1-yl), -C(O)$NHCH_2CH_2CH_2N(CH_2CH_3)_2$, -C(O)$NHCH_2CH_2CN$, -C(O)$NHCH_2CH_2C(O)OCH_3$, -C(O)$NHCH_2CH_2SCH_3$, -C(O)$NHCH_2CH_2SCH_2CH_3$, -C(O)$N(CH_2CH_3)CH_2CH_2N(CH_3)_2$, -C(O)$NHCH_2CH_2CH_2$(2-okso-pyrrolidin-1-yl), -C(O)$NHCH_2CH_2$(pyridin-4-yl), -C(O)$NHCH_2CH_2CH_2OCH_2CH_3$, -C(O)$NHCH_2CH_2CH_2$(morfolin-4-yl),

-C(O)NHCH$_2$CH$_2$CH$_2$OCH$_3$, -C(O)N(CH$_3$)CH$_2$CH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)NHCH$_2$CH$_2$CH$_2$OCH$_2$CH$_2$CH$_3$, -C(O)NHCH$_2$CH$_2$C(O)OCH$_2$CH$_3$, -C(O)NHCH$_2$CH$_2$CH$_2$OCH(CH$_3$)$_2$, -C(O)NHC(CH$_3$)$_2$CH$_2$(piperidin-1-yl), -C(O)N(CH$_3$)CH$_2$CH$_2$CH$_3$, -C(O)NH(piperidin-1-yl), -C(O)NHCH(CH$_3$)CH$_2$OCH$_3$, -C(O)NHC(CH$_3$)$_2$CH$_2$(morfolin-4-yl), -C(O)(2-dimetylaminometylpiperidin-1-yl), -C(O)NH(CH$_2$)$_3$O(CH$_2$)$_3$CH$_3$, -C(O)NHCH(CH$_3$)(CH$_2$)$_3$N(CH$_2$CH$_3$)$_2$, -C(O)NHC(CH$_3$)$_2$C(O)(piperidin-1-yl), -C(O)(4-metylpiperazin-1-yl), -C(O)(2-piperidin-1-ylmetyl-piperidin-1-yl), cyano, -NHCH$_3$, -CH(CH$_3$)NHCH$_2$CH$_2$N(CH$_3$)$_2$, -C(O)CH$_3$, -S(O)$_2$NHCH$_2$CH$_2$N(CH$_3$)$_2$, -S(O)$_2$NH(CH$_2$)$_3$N(CH$_3$)$_2$, 5-(*N,N*-dimetylaminometyl)-1,3,4-oksadiazol-2-yl, -NHCH$_2$CH$_2$N(CH$_3$)$_2$, -N(CH$_3$)$_2$, -OCH$_2$CH$_2$N(CH$_3$)$_2$, -NHC[N(CH$_3$)$_2$][=N(CH$_3$)$_2$], -OCH F$_2$, -CF$_3$, -S(O)$_2$CH$_3$, -OCF$_3$, -NHC(O)CH$_2$(4-dimetylaminopiperidin-1-yl) eller metoksy.

I en annen (P) er forbindelsen ifølge formel I den hvor hver R^3 er uavhengig halo, alkyl, hydroksyamino, -N(R^7)C(O)-C$_1$-C$_6$-alkylen-N(R^{7a})(R^{7b}), -C(O)NR^{8}R^{8a}, -NR^{9}C(O)R^{9a}, -C(O)N(R^{10})-C$_1$-C$_6$-alkylen-N(R^{10a})R^{10b}-NR^{11}C(O)NR^{11a}R^{11b}, -N(R^{22})C(O)-C$_1$-C$_{-6}$-alkylen-N(R^{22b})-N(R^{22c})(R^{22a}), -NR^{13}C(O)OR^{13a}, -N(R^{18})C(O)-C$_1$-C$_6$-alkylen-N(R^{18b})C(O)R^{18a}, -NR^{24}C(O)-C$_1$-C$_6$-alkylen-OR^{24a} eller -N(R^2)C(O)-C$_1$-C$_6$-alkylen-C(O)R^{20a}; hvor hvert av alkylenet i R^3 er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino; og alle andre grupper er som definert over. I en annen er hver R^3 uavhengig metyl, klor, -NHC(O)CH$_2$NH(CH$_3$), -NHC(O)CH(CH$_3$)NH$_2$, -NHC(O)C(CH$_3$)$_2$NH$_2$, -NHC(O)CH$_2$N(CH$_3$)$_2$, -NHC(O)CH$_2$N(CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$, -NHC(O)CH(NH$_2$)CH$_2$CH$_3$, -NHC(O)CH$_2$N(CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$, -NHC(O)CH(CH$_3$)NH(CH$_3$), -NHC(O)H, -NHC(O)CH$_2$(azetidin-1-yl), -NHC(O)(pyrrolidin-2-yl), -NHC(O)CH(NH$_2$)CH$_2$OH, -NHC(O)(azetidin-4-yl), -NHC(O)C(CH$_3$)$_2$NH(CH$_3$), -NH$_2$, -NHC(O)CH$_2$NH(CH$_2$CH$_2$CH$_3$), -NHC(O)CH$_2$CH$_2$NH$_2$, -NHOH eller -NHC(O)(piperidin-3-yl).

I en annen (Q) er forbindelsen ifølge formel I den hvor R^3 er alkyl eller -N(R^7)C(O)-C$_1$-C$_6$-alkylen-N(R^{7a})(R^{7b}); og R^7 er hydrogen eller alkyl, og R^{7a} og R^{7b} er uavhengig hydrogen, alkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; og alle andre grupper er som definert over. I en annen er hver R^3 uavhengig metyl, -NHC(O)CH$_2$NH(CH$_3$), -NHC(O)CH(CH$_3$)NH$_2$, -NHC(O)C(CH$_3$)$_2$NH$_2$, -NHC(O)-CH$_2$N(CH$_3$)$_2$, -NHC(O)CH$_2$N(CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$, -NHC(O)CH(NH$_2$)CH$_2$CH$_3$, -NHC(O) CH$_2$N(CH$_3$)CH$_2$CH$_2$N(CH$_3$)$_2$ eller -NHC(O)CH(CH$_3$)NH(CH$_3$).

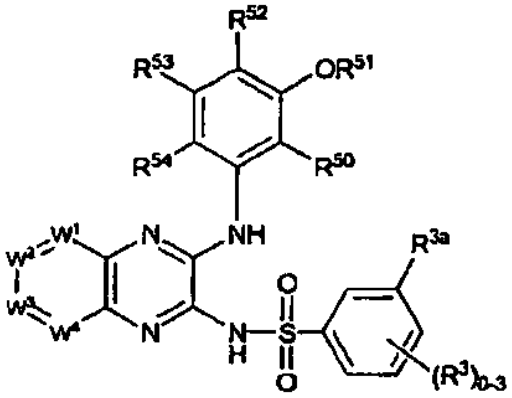
I en annen (R) er forbindelsen ifølge formel I den hvor B er fenyl, R^3 er ikke til stede, eller R^3 er halo, alkyl eller alkoksy; R^{3a} er -C(O)NR^{8}R^{8a}, -NR^{9}C(O)R^{9a},

-N(R^7)C(O)-C_1-C_6-alkylen-N(R^{7a})(R^{7b}) eller -C(O)N(R^{10})-C_1-C_6-alkylen-N(R^{10a})R^{10b}, hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino; og alle andre grupper er som definert i den korte beskrivelse av oppfinnelsen.

I en annen forbindelse (R1) ifølge forbindelse R, er forbindelsen den hvor R^{50}, R^{52} og R^{53} er hydrogen, og R^{54} er halo eller alkoksy; R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy; eller R^{50} og R^{52} er hydrogen, og R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 6-leddet heteroaryl; og alle andre grupper er som definert over. I en annen utførelsesform er R^{50}, R^{52} og R^{53} hydrogen, og R^{54} er halo eller alkoksy; eller R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy.

I en annen forbindelse (R2) ifølge forbindelse R, er forbindelsen den hvor R^{51} er metyl.

I en annen (S) er forbindelsen ifølge formel Ia:



I(a)

den hvor R^3 ikke er til stede, eller R^3 er alkyl, og R^{3a} er -N(R^7)C(O)-C_1-C_6-alkylen-N(R^{7a})(R^{7b}), -C(O)NR^8R^{8a}, -NR^9C(O)R^{9a} eller -C(O)N(R^{10})-C_1-C_6-alkylen-N(R^{10a})R^{10b}; hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino; og alle andre grupper er som definert over. I en annen er R^3 ikke til stede eller er metyl. I en annen er R^3 ikke til stede.

En annen forbindelse (S1) ifølge forbindelse S er den hvor R^7 er hydrogen eller alkyl og R^{7a}, og R^{7b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; R^8 er hydrogen eller alkyl, og R^{8a} er heterosykloalkyl eller heterosykloalkylalkyl; R^9 er hydrogen eller alkyl, og R^{9a} er hydrogen, heterosykloalkyl eller heterosykloalkylalkyl; og R^{10}, R^{10a} og R^{10b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl.

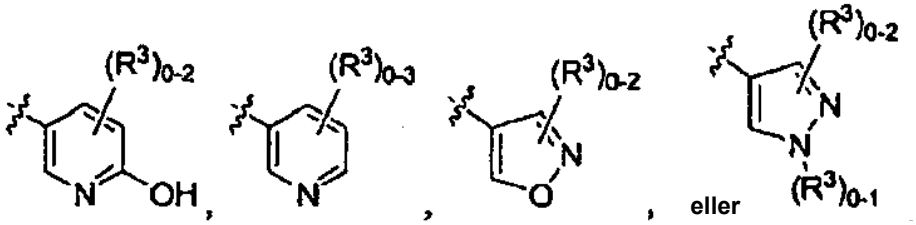
En annen forbindelse (S2) ifølge forbindelse S er den hvor R^{50}, R^{52} og R^{53} er hydrogen, og R^{54} er halo eller alkoksy; eller R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy; eller R^{50} og R^{52} er hydrogen, og R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 6-leddet heteroaryl. I en annen er R^{50}, R^{52} og R^{53} hydrogen, og R^{54} er halo eller alkoksy; eller R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy.

I en annen forbindelse ifølge (S3) ifølge forbindelse S, er forbindelsen den hvor R^{51} er metyl.

I en annen (T) er forbindelsen ifølge formel I den at hvor B er heteroaryl, er én R^3 halo, alkyl eller alkoksy og en andre R^3 er $-C(O)NR^8R^{8a}$, $-NR^9C(O)R^{9a}$, $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$ eller $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$, hvor hvert av alkylenet i R^3 er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino; og alle andre grupper er som definert over.

I en annen forbindelse (T1) av forbindelse T, er forbindelsen den hvor R^7 er hydrogen eller alkyl og R^{7a}, og R^{7b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; R^8 er hydrogen eller alkyl, og R^{8a} er heterosykloalkyl eller heterosykloalkylalkyl; R^9 er hydrogen eller alkyl, og R^{9a} er hydrogen, heterosykloalkyl eller heterosykloalkylalkyl; R^{10}, R^{10a} og R^{10b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl.

I en annen forbindelse U er forbindelsen ifølge formel I den hvor B er



hver R^3 (når R^3 er til stede) er uavhengig halo, alkyl, alkoksy, aminoalkyloksy, alkylaminoalkyloksy, dialkylaminoalkyloksy, alkylamino, dialkylamino, $-C(O)NR^8R^{8a}$, $-NR^9C(O)R^{9a}$, $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$ eller $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$; og alle andre grupper er som definert over.

I en annen forbindelse (U1) ifølge forbindelse U, er forbindelsen ifølge formel I den hvor R^{50}, R^{52} og R^{53} er hydrogen, og R^{54} er halo eller alkoksy; R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy; eller R^{50} og R^{52} er hydrogen, og R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 6-leddet heteroaryl; og alle andre grupper er som definert over. I en annen utførelsesform er R^{50}, R^{52} og R^{53} hydrogen, og R^{54} er halo eller alkoksy; eller R^{50}, R^{52} og R^{54} er hydrogen, og R^{53} er alkoksy.

I en annen forbindelse (U2) ifølge forbindelse U1, er forbindelsen ifølge formel I den hvor R^{51} er metyl.

I en annen forbindelse (U3) ifølge forbindelse U, er forbindelsen ifølge formel I den hvor R^7 er hydrogen eller alkyl og R^{7a}, og R^{7b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; R^8 er hydrogen eller alkyl, og R^{8a} er heterosykloalkyl eller heterosykloalkylalkyl; R^9 er hydrogen eller alkyl, og R^{9a} er hydrogen, heterosykloalkyl eller heterosykloalkylalkyl; R^{10}, R^{10a} og R^{10b} er uavhengig hydrogen, alkyl, hydroksyalkyl, aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl.

I en annen forbindelse (V) er forbindelsen ifølge formel I den hvor W^1, W^2, W^3 og W^4 er -C(H)=; eller W^2 og W^3 er -C(H)= og én av W^1 og W^4 er -N=, og den annen er -C(H)=;
R^{50} er hydrogen;
R^{51} er hydrogen eller alkyl;
R^{52} er hydrogen;
R^{53} er hydrogen, alkoksy, nitro, amino eller $-N(R^{55})C(O)-C_1-C_6$-alkylen-$N(R^{55a})R^{55b}$; og R^{54} er hydrogen, alkyl, alkoksy eller halo; eller R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 6-leddet heteroaryl;
B er fenyl substituert med R^{3a} og valgfritt ytterligere substituert med én R^3; eller
B er heteroaryl valgfritt substituert med én eller to R^3;
R^{3a} er cyano; hydroksyamino; karboksy; alkylsulfonyl, aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$; $-C(O)NR^8R^{8a}$; $-NR^9C(O)R^{9a}$; $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$; $-NR^{11}C(O)NR^{11}R^{11b}$ hvor R^{11a}; $-C(O)R^{12}$; $-NR^{13}C(O)OR^{13a}$; $-C(O)N(R^{14})N(R^{14a})(R^{14})$; $-S(O)_2N(R^{15})-C_1-C_6$-alkylen-$N(R^{15a})R^{15b}$; $-C(O)N(R^{16})-C_1-C_6$-alkylen-$C(O)OR^{16a}$; heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; $-N(R^{17})-C(=N(R^{17b})(R^{17a})(NR^{17c}R^{17d})$; $-N(R^{18})C(O)-C_1-C_6$-alkylen-$N(R^{18b})C(O)R^{18a}$; $-C(O)N(R^{19})-C_1-C_6$-alkylen-$C(O)R^{19a}$; $-N(R^{22})C(O)-C_1-C_6$-alkylen-$N(R^{22b})-N(R^{22c})(R^{22a})$; $-C_0-C_6$-alkylen-$N(R^{23})-C_1-C_6$-alkylen-$N(R^{23b})R^{23a}$; eller $-NR^{24}C(O)-C_1-C_6$-alkylen-OR^{24a}; hvor hvert av alkylenet i R^{3a} er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino;
hver R^3 (når R^3 er til stede) er uavhengig halo; cyano; alkyl; alkenyl; alkoksy; hydroksyamino; karboksy; alkylsulfonyl, aminoalkyloksy; alkylaminoalkyloksy; dialkylaminoalkyloksy; $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$; $-C(O)NR^8R^{8a}$; $-NR^9C(O)R^{9a}$; $-C(O)N(R^{10})-C_1-C_6$-alkylen-$N(R^{10a})R^{10b}$; $-NR^{11}C(O)NR^{11}R^{11b}$ hvor R^{11a}; $-C(O)R^{12}$; $-NR^{13}C(O)OR^{13a}$; $-C(O)N(R^{14})N(R^{14a})(R^{14})$; $-S(O)_2N(R^{15})-C_1-C_6$-alkylen-$N(R^{15a})R^{15b}$; $-C(O)N(R^{16})-C_1-C_6$-alkylen-$C(O)OR^{16a}$; heteroaryl valgfritt substituert med ett eller to aminoalkyl, alkylaminoalkyl eller dialkylaminoalkyl; $-N(R^{17})-C(=N(R^{17b})R^{17a}))(NR^{17c}R^{17d})$;

-N(R^{18})C(O)-C_1-C_6-alkylen-N(R^{18b})C(O)R^{18a}; -C(O)N(R^{19})-C_1-C_6-alkylen-C(O)R^{19a}; -N(R^{22})C(O)-C_1-C_6-alkylen-N(R^{22b})-N(R^{22c})(R^{22a}); -C_0-C_6-alkylen-N(R^{23})-C_1-C_6-alkylen-N(R^{23b})R^{23a}; eller -NR^{24}C(O)-C_1-C_6-alkylen-OR^{24a}; hvor hvert av alkylenet i R^3 er uavhengig valgfritt ytterligere substituert med 1, 2, 3, 4 eller 5 grupper valgt blant halo, hydroksy og amino;
forutsatt at når R^{50} og R^{52} er hydrogen, R^{51} er hydrogen eller metyl, R^{53} er hydrogen eller metoksy og R^{54} er hydrogen eller metoksy, så er B ikke 2,3-dihydro-1,4-benzodioksinyl, tien-2-yl eller tien-2-yl substituert med én R^3, hvor R^3 er halo.

En annen (W) er en forbindelse ifølge formel I, hvor R^{50}, R^{53} og R^{54} er uavhengig hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroksy, alkoksy, alkenyloksy, haloalkoksy, nitro, amino, alkylamino, dialkylamino, -N(R^{55})C(O)-C_1-C_6-alkylen-N(R^{55a})R^{55b}, alkylkarbonyl, alkenylkarbonyl, karboksy, alkoksykarbonyl, cyano, alkyltio, -S(O)$_2$N$R^{55}R^{55a}$ eller alkylkarbonylamino, og hvor R^{55} og R^{55b} er uavhengig hydrogen, alkyl eller alkenyl, og R^{55a} er hydrogen, alkyl, alkenyl, hydroksy eller alkoksy; eller R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 5- eller 6-leddet heteroaryl eller 5- eller 6-leddet heterosykloalkyl.

En annen forbindelse (X) er en forbindelse ifølge formel I, hvor R^{53} og R^{54} sammen med karbonene som de er knyttet til, danner et 5- eller 6-leddet heteroaryl eller 5- eller 6-leddet heterosykloalkyl.

Representative forbindelser

Representative forbindelser ifølge formel I og/eller II gjengitt nedenfor. Forbindelser ifølge tabell 1 er benevnt ifølge systematisk anvendelse av nomenklaturreglene som er avtalt av International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB) og Chemical Abstracts Service (CAS). Navn i tabell 1 ble generert under anvendelse av ACD/Labs navngivningsprogramvare, utgave 8.00, produktversjon 8.08, med unntak av forbindelse 374, som ble benevnt under anvendelse av ChemDraw v. 9.0.1.

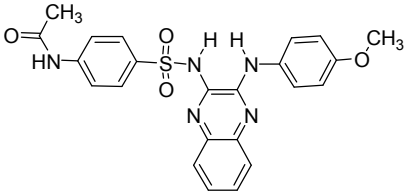
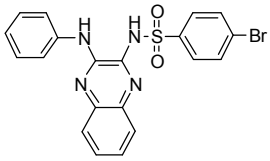
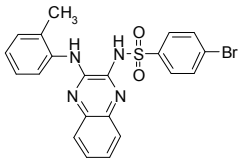
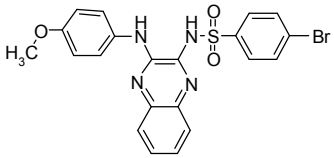
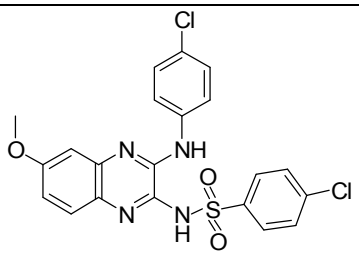
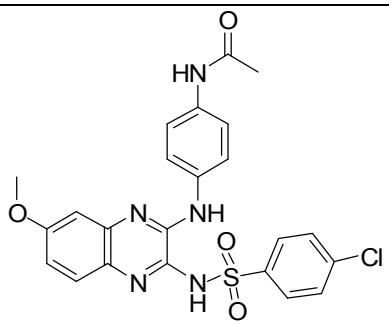
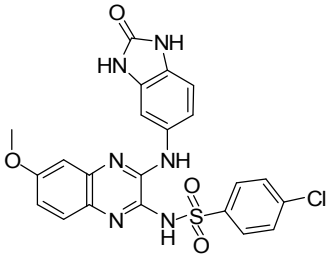
Tabell 1

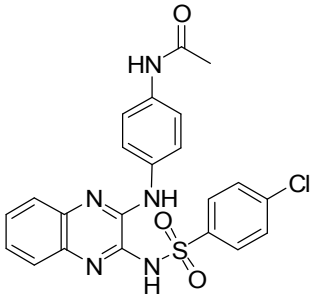
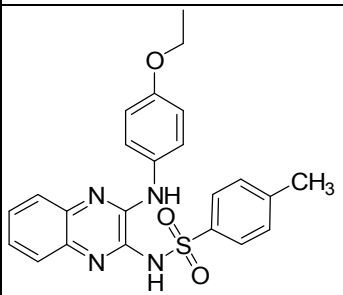
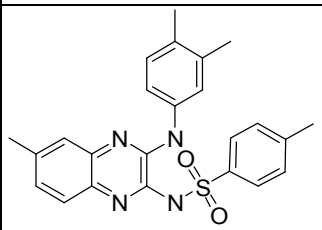
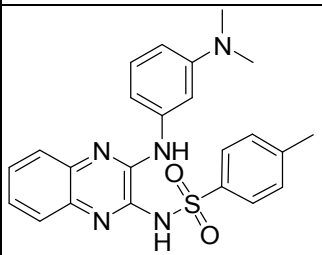
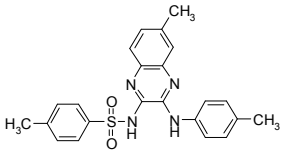
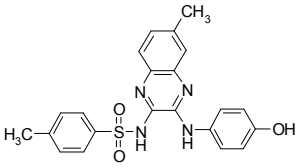
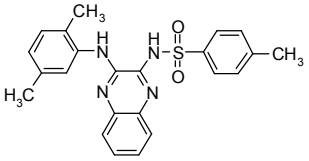
Representative PI3K-alfainhibitorer

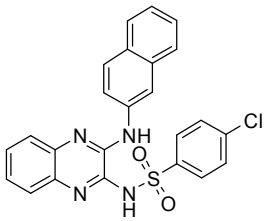
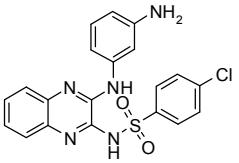
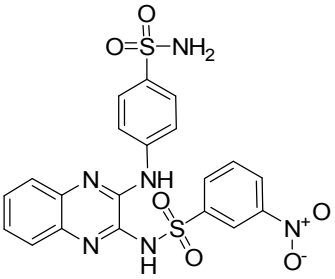
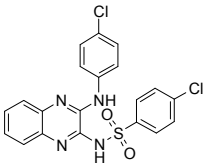
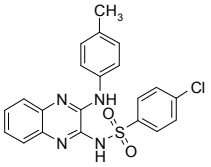
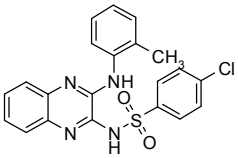
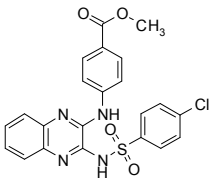
Forbindelsene i tabell 1 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene ifølge krav 1 kan anvendes til å utøve oppfinnelsen. Denne oppfinnelse kan især utøves med ett

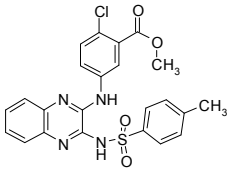
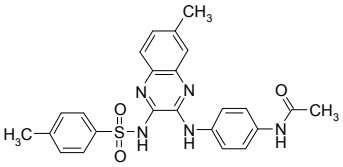
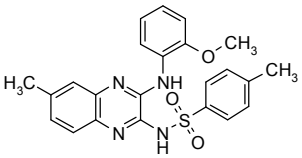
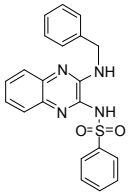
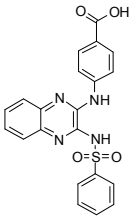
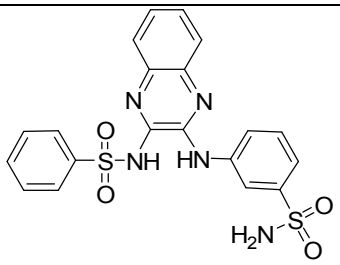
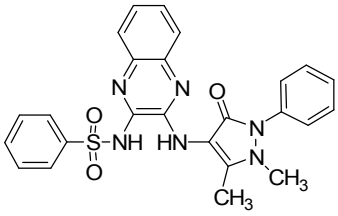
eller to farmasøytisk akseptable salter av en forbindelse ifølge krav 1, hvilke(t) salt(er) er dannet med én eller to syrer uavhengig valgt fra saltsyre, bromsyre, svovelsyre, salpetersyre, fosforsyre, eddiksyre, trifluoreddiksyre, propionsyre, heksansyre, syklopentanpropionsyre, glykolsyre, pyrodruesyre, melkesyre, oksalsyre, maleinsyre, malonsyre, ravsyre, fumarsyre, vinsyre, sitronsyre, benzosyre, kanelsyre, 3-(4-hydroksybenzoyl)benzosyre, mandelsyre, metansulfonsyre, etansulfonsyre, 1,2-etandisulfonsyre, 2-hydroksyetansulfonsyre, benzensulfonsyre, 4-klorbenzensulfonsyre, 2-naftalensulfonsyre, 4-toluensyre, kamfersulfonsyre, glukoheptonsyre, 4,4'-metylenbis-(3-hydroksy-2-en-1-karboksylsyre), 3-fenylpropionsyre, trimetyleddiksyre, tertiær butyleddiksyre, laurylsvovelsyre, glukonsyre, glutaminsyre, hydroksynaftosyre, salisylsyre, stearinsyre, mukonsyre, p-toluensulfonsyre og salisylsyre. Oppfinnelsen kan især utøves med ett eller to farmasøytisk akseptable salter av en forbindelse ifølge krav 1, hvilke(t) salt(er) er dannet med én eller to baser uavhengig valgt blant natrium, kalium, litium, ammonium, kalsium, magnesium, jern, sink, kobber, mangan, aluminium, isopropylamin, trimetylamin, dietylamin, trietylamin, tripropylamin, etanolamin, 2-dimetylaminoetanol, 2-dietylaminoetanol, disykloheksylamin, lysin, arginin, histidin, koffein, prokain, hydrabamin, kolin, betain, etylendiamin, glukosamin, metylglukamin, teobromin, puriner, piperazin, piperidin, *N*-etylpiperidin, trometamin og *N*-metylglukamin. En hvilken som helst individuell forbindelse (og et hvilket som helst valgfritt salt, valgfritt solvat og valgfritt hydrat derav) i tabell 1 kan anvendes i kombinasjon med en hvilken som helst av utførelsesformene over.

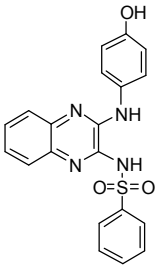
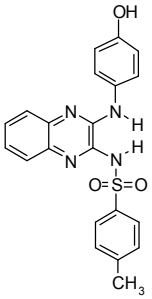
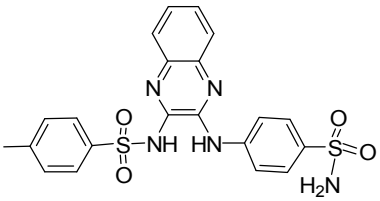
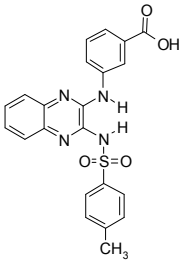
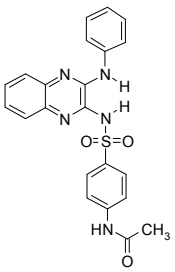
Med unntak av forbindelse 357 skal alle forbindelser i tabell 1 betraktes som referanseeksempler.

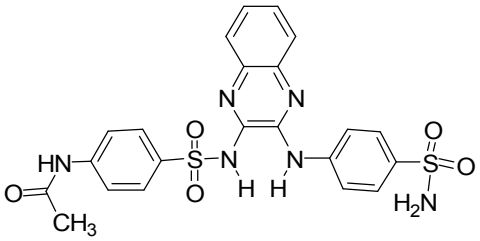
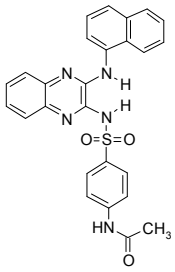
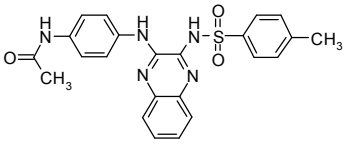
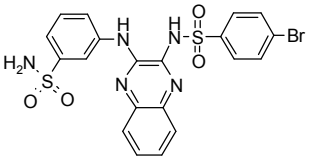
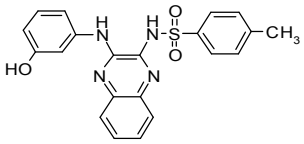
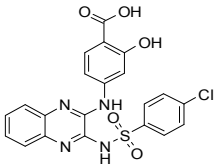
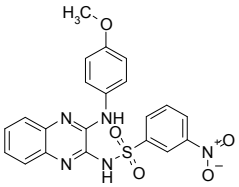
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
1		*N*-(4-{[(3-{[4-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
2		4-brom-*N*-[3-(fenylamino)kinoksalin-2-yl]benzensulfonamid
3		4-brom-*N*-{3-[(2-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
4		4-brom-*N*-(3-{[4-(metoksy)fenyl]amino}kinoksalin-2-yl) benzensulfonamid
5		4-klor-*N*-{3-[(4-klorfenyl)amino]-6-(metoksy)kinoksalin-2-yl} benzensulfonamid
6		*N*-(4-{[3-{[(4-klorfenyl)sulfonyl]amino}-7-(metoksy)kinoksalin-2-yl]amino} fenyl) acetamid
7		4-klor-*N*-{6-(metoksy)-3-[(2-okso-2,3-dihydro-1*H*-benzimidazol-5-yl)amino]kinoksalin-2-yl}benzensulfonamid

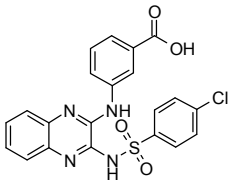
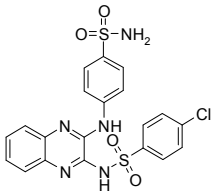
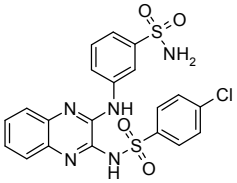
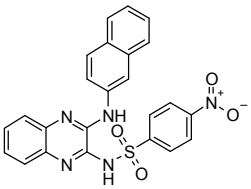
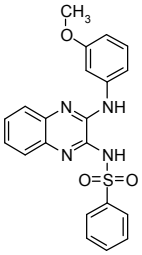
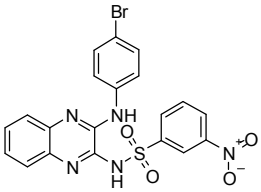
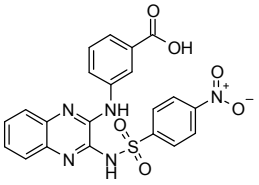
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
8		*N*-{4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}acetamid
9		*N*-(3-{[4-(etyloksy) fenyl]amino} kinoksalin-2-yl)-4-metylbenzensulfonamid
10		*N*-{3-[(3,4-dimetylfenyl)amino]-6-metylkinoksalin-2-yl}-4-metylbenzensulfonamid
11		*N*-(3-{[3-(dimetylamino)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid
12		4-metyl-*N*-{6-metyl-3-[(4-metylfenyl)amino]kinoksalin-2-yl} benzensulfonamid
13		*N*-{3-[(4-hydroksyfenyl)amino]-6-metylkinoksalin-2-yl}-4-metylbenzensulfonamid
14		*N*-{3-[(2,5-dimetylfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid

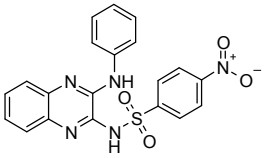
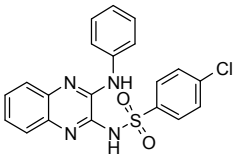
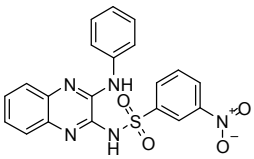
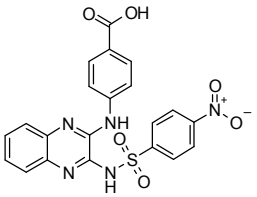
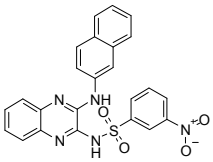
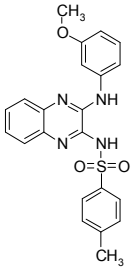
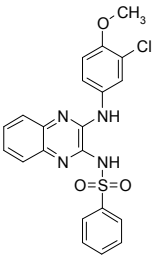
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
15		4-klor-*N*-[3-(naftalen-2-ylamino)kinoksalin-2-yl]benzensulfonamid
16		*N*-{3-[(3-aminofenyl)amino]kinoksalin-2-yl}-4-klorbenzensulfonamid
17		*N*-(3-{[4-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
18		4-klor-*N*-{3-[(4-klorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
19		4-klor-*N*-{3-[(4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
20		4-klor-*N*-{3-[(2-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
21		metyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzoat

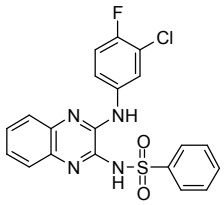
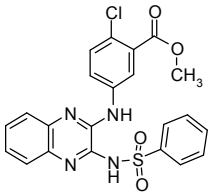
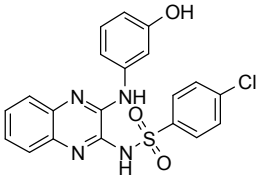
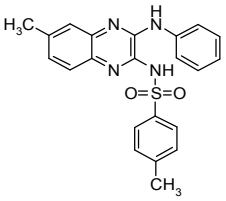
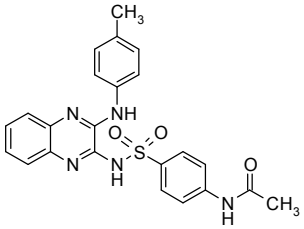
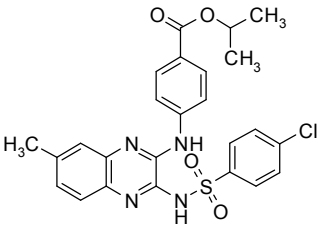
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
22		metyl 2-klor-5-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzoat
23		*N*-{4-[(7-metyl-3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}acetamid
24		4-metyl-*N*-(6-metyl-3-{[2-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
25		*N*-{3-[(fenylmetyl)amino]kinoksalin-2-yl}benzensulfonamid
26		4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzosyre
27		3-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzensulfonamid
28		*N*-{3-[(1,5-dimetyl-3-okso-2-fenyl-2,3-dihydro-1*H*-pyrazol-4-yl)amino]kinoksalin-2-yl}benzensulfonamid

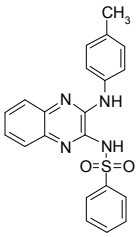
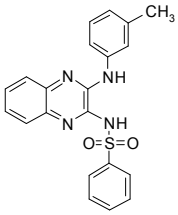
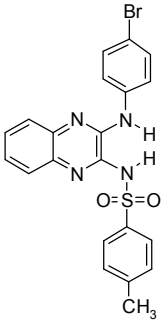
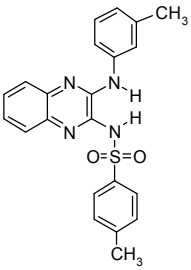
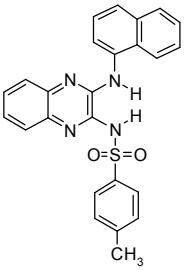
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
29		*N*-{3-[(4-hydroksyfenyl)amino]kinoksalin-2-yl}benzensulfonamid
30		*N*-{3-[(4-hydroksyfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
31		*N*-(3-{[4-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid
32		3-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
33		*N*-[4-({[3-(fenylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid

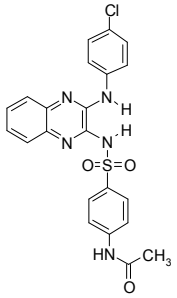
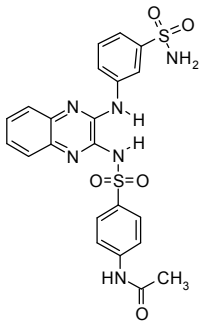
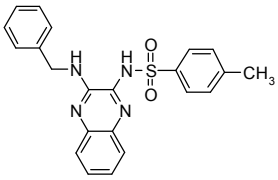
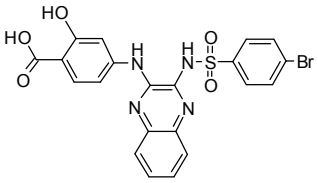
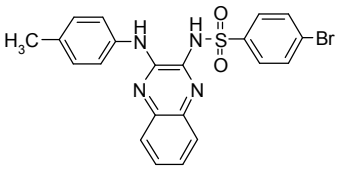
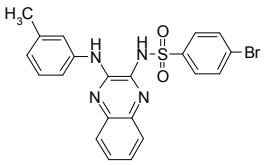
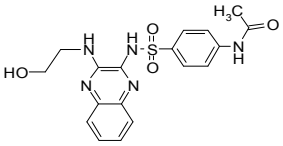
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
34		*N*-(4-{[(3-{[4-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
35		*N*-[4-({[3-(naftalen-1-ylamino)kinoksalin-2-yl)amino}sulfonyl)fenyl]acetamid
36		*N*-{4-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}acetamid
37		*N*-(3-{[3-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-4-brombenzensulfonamid
38		*N*-{3-[(3-hydroksyfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
39		4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-2-hydroksybenzosyre
40		*N*-(3-{[4-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid

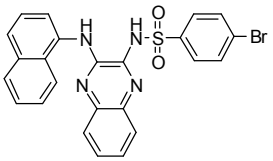
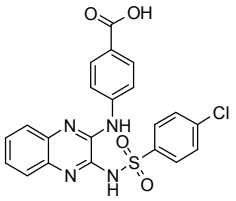
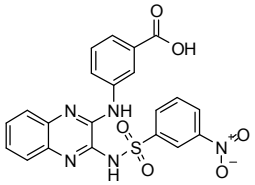
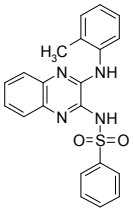
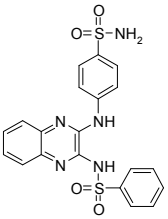
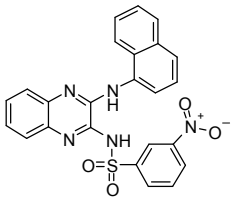
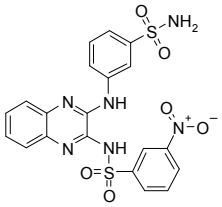
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
41		3-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
42		*N*-(3-{[4-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-4-klorbenzensulfonamid
43		*N*-(3-{[3-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-4-klorbenzensulfonamid
44		*N*-[3-(naftalen-2-ylamino)kinoksalin-2-yl]-4-nitrobenzensulfonamid
45		*N*-(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
46		*N*-{3-[(4-bromfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
47		3-[(3-{[(4-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre

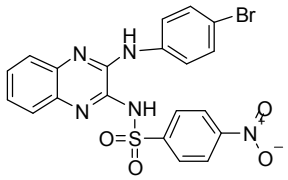
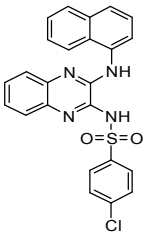
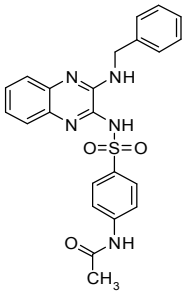
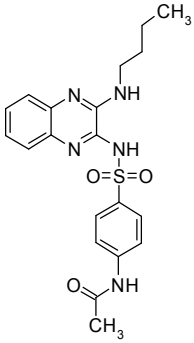
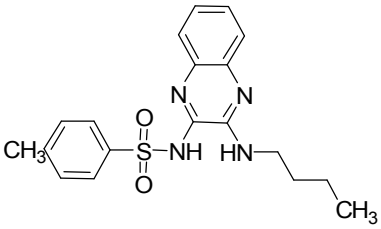
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
48		4-nitro-*N*-[3-(fenylamino)kinoksalin-2-yl]benzensulfonamid
49		4-klor-*N*-[3-(fenylamino)kinoksalin-2-yl]benzensulfonamid
50		3-nitro-*N*-[3-(fenylamino)kinoksalin-2-yl]benzensulfonamid
51		4-[(3-{[(4-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
52		*N*-[3-(naftalen-2-ylamino)kinoksalin-2-yl]-3-nitrobenzensulfonamid
53		4-metyl-*N*-(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
54		*N*-(3-{[3-klor-4-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

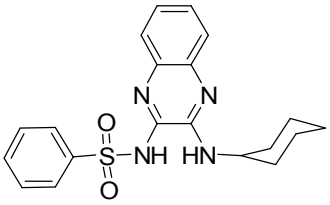
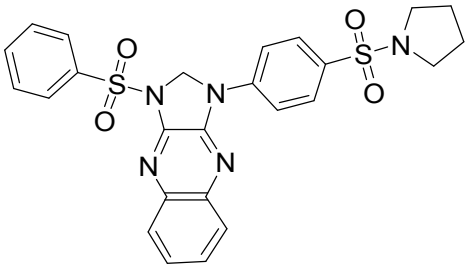
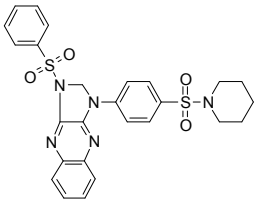
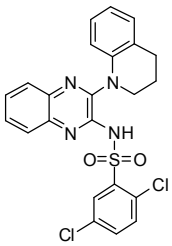
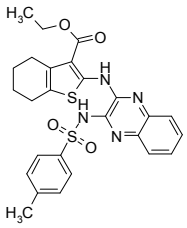
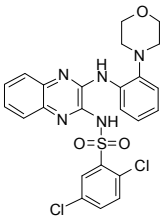
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
55		*N*-{3-[(3-klor-4-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
56		metyl 2-klor-5-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzoat
57		4-klor-*N*-{3-[(3-hydroksyfenyl)amino]kinoksalin-2-yl}benzensulfonamid
58		4-metyl-*N*[6-metyl-3-(fenylamino)kinoksalin-2-yl]benzensulfonamid
59		*N*-{4-[({3-[(4-metylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
60		1-metyletyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}-7-metylkinoksalin-2-yl)amino]benzoat

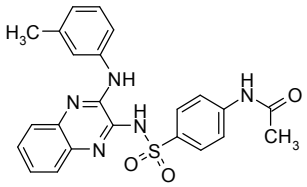
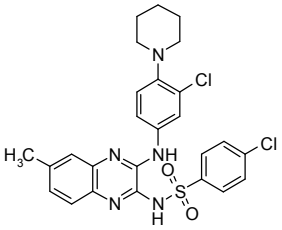
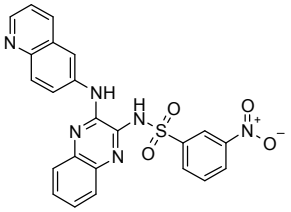
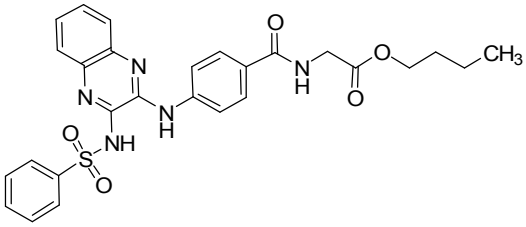
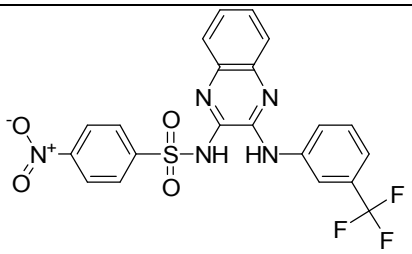
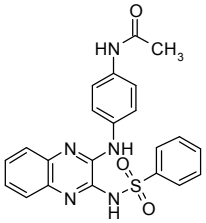
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
61		*N*-{3-[(4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
62		*N*-{3-[(3-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
63		*N*-{3-[(4-bromfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
64		4-metyl-*N*-{3-[(3-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
65		4-metyl-*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]benzensulfonamid

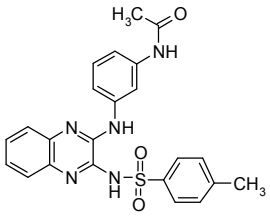
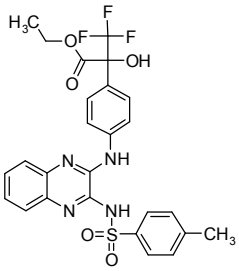
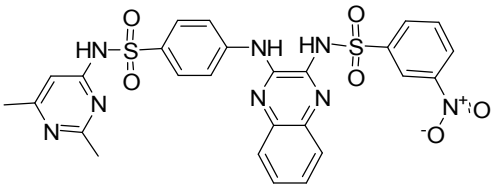
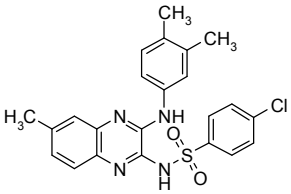
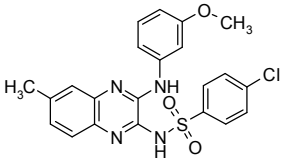
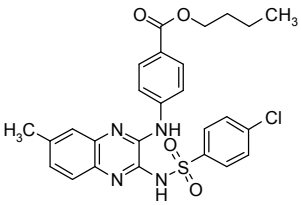
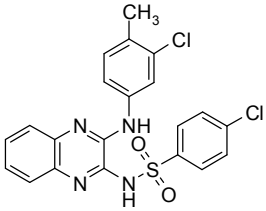
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
66		*N*-{4-[({3-[(4-klorfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
67		*N*-(4-{[(3-{[3-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
68		4-metyl-*N*-{3-[(fenylmetyl)amino]kinoksalin-2-yl}benzensulfonamid
69		4-[(3-{[(4-bromfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-2-hydroksybenzosyre
70		4-brom-*N*-{3-[(4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
71		4-brom-*N*-{3-[(3-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
72		*N*-{4-[({3-[(2-hydroksyetyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid

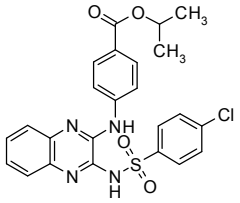
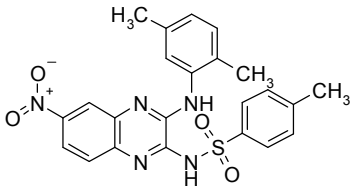
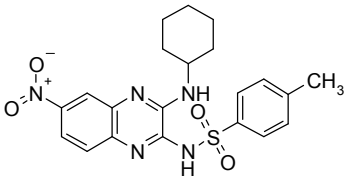
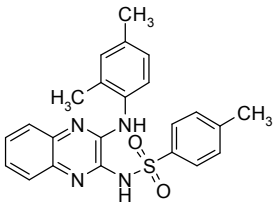
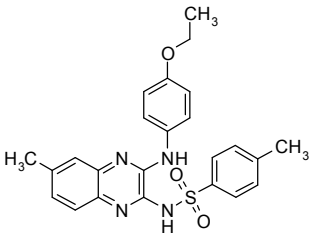
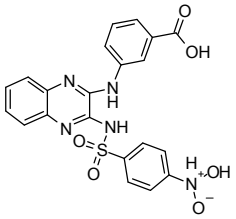
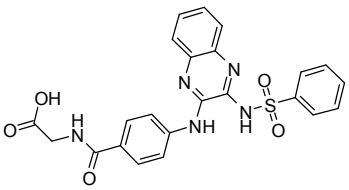
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
73		4-brom-*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]benzensulfonamid
74		4-[(3-{[(4-klorfenyl)sulfonyl}amino}kinoksalin-2-yl)amino]benzosyre
75		3-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
76		*N*-{3-[(2-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
77		4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzensulfonamid
78		*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]-3-nitrobenzensulfonamid
79		*N*-(3-{[3-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid

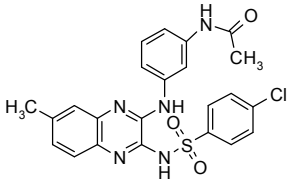
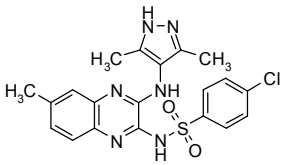
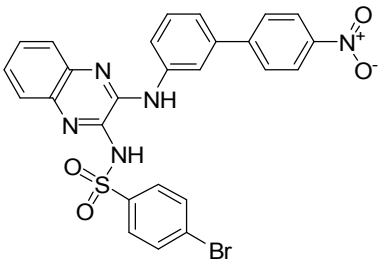
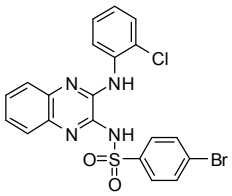
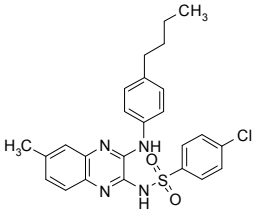
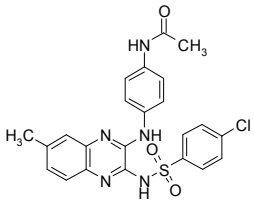
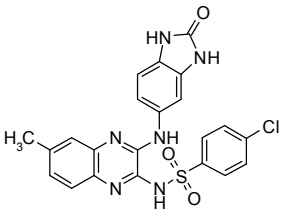
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
80		*N*-{3-[(4-bromfenyl)amino]kinoksalin-2-yl}-4-nitrobenzensulfonamid
81		4-klor-*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]benzensulfonamid
82		*N*-{4-[({3-[(fenylmetyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
83		*N*-[4-({[3-(butylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid
84		*N*-[3-(butylamino)kinoksalin-2-yl]-4-metylbenzensulfonamid

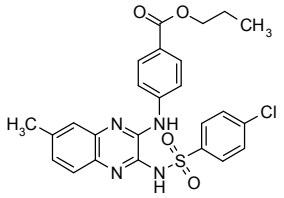
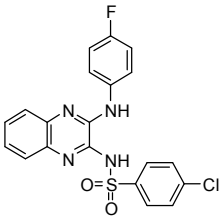
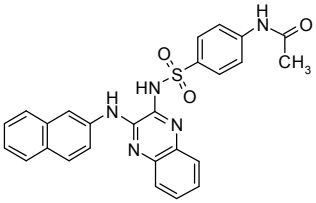
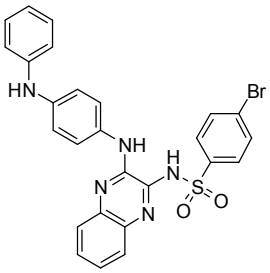
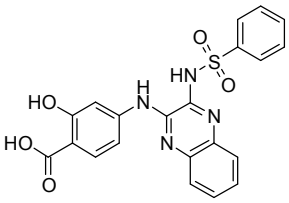
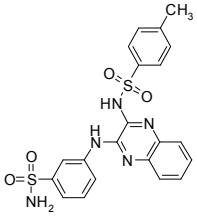
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
85		*N*-[3-(sykloheksylamino)kinoksalin-2-yl]benzensulfonamid
86		1-(fenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)fenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
87		1-(fenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)fenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
88		2,5-diklor-*N*-[3-(3,4-dihydrokinolin-1(2*H*)-yl)kinoksalin-2-yl]benzensulfonamid
89		etyl 2-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
90		2,5-diklor-*N*-{3-[(2-morfolin-4-ylfenyl)amino]kinoksalin-2-yl}benzensulfonamid

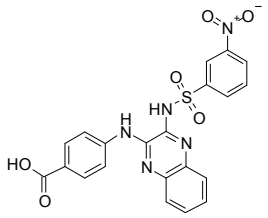
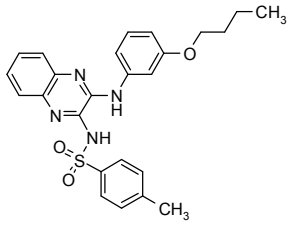
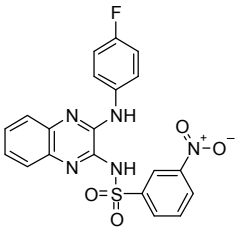
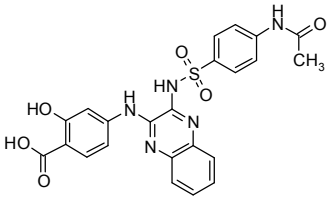
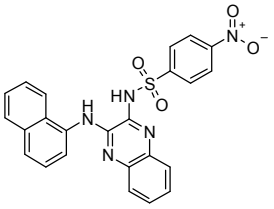
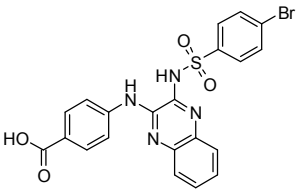
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
91		*N*-{4-[({3-[(metylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
92		4-klor-*N*-{3-[(3-klor-4-piperidin-1-ylfenyl)amino]-6-metylkinoksalin-2-yl}benzensulfonamid
93		3-nitro-*N*-[3-(kinolin-6-ylamino)kinoksalin-2-yl]benzensulfonamid
94		butyl *N*-{[4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)fenyl]karbonyl}glysinat
95		4-nitro-*N*-(3-{[3-(trifluormetyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
96		*N*-[4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)fenyl]acetamid

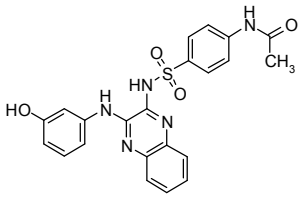
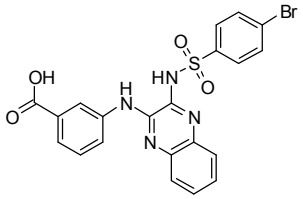
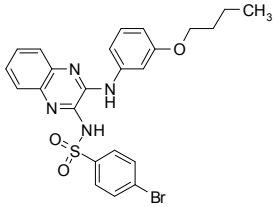
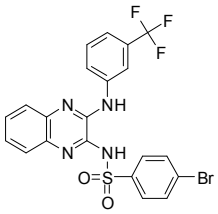
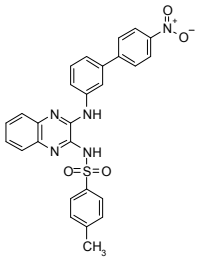
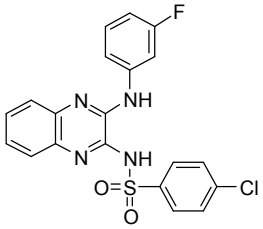
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
97		*N*-{3-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}acetamid
98		etyl 3,3,3-trifluor-2-hydroksy-2-{4-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}propanoat
99		*N*-{3-[(4-{[(2,6-dimetylpyrimidin-4-yl)amino]sulfonyl}fenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
100		4-klor-*N*-{3-[(3,4-dimetylfenyl)amino]-6-metylkinoksalin-2-yl}benzensulfonamid
101		4-klor-*N*-(6-metyl-3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
102		butyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}-7-metylkinoksalin-2-yl)amino]benzoat
103		4-klor-*N*-{3-[(3-klor-4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid

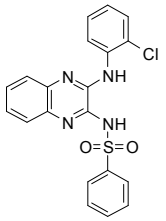
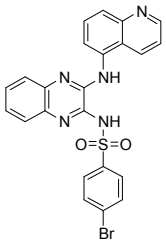
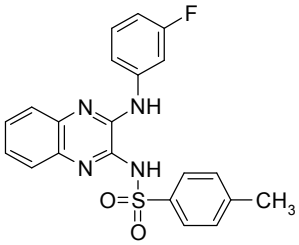
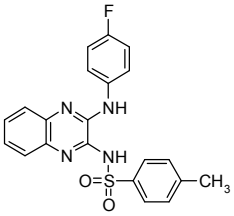
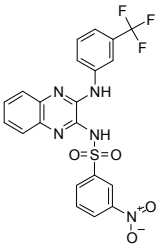
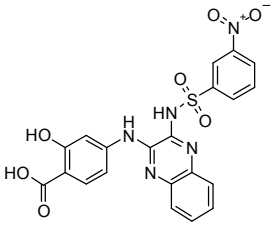
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
104		1-metyletyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzoat
105		*N*-{3-[(2,5-dimetylfenyl)amino]-6-nitrokinoksalin-2-yl}-4-metylbenzensulfonamid
106		*N*-[3-(sykloheksylamino)-6-nitrokinoksalin-2-yl]-4-metylbenzensulfonamid
107		*N*-{3-[(2,4-dimetylfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
108		*N*-(3-{[4-(etyloksy)fenyl]amino}-6-metylkinoksalin-2-yl)-4-metylbenzensulfonamid
109		3-({3-[({4-[hydroksyl(oksido)amino]fenyl}sulfonyl)amino]kinoksalin-2-yl}amino)benzosyre
110		*N*-{[4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)fenyl]karbonyl}glysin

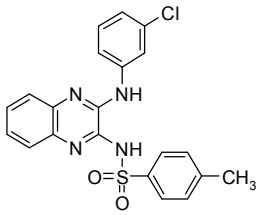
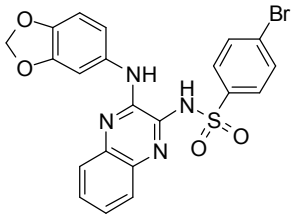
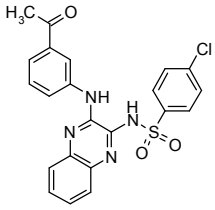
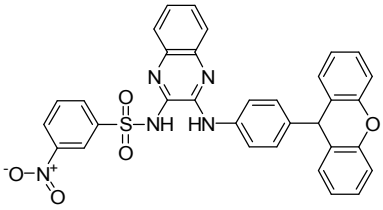
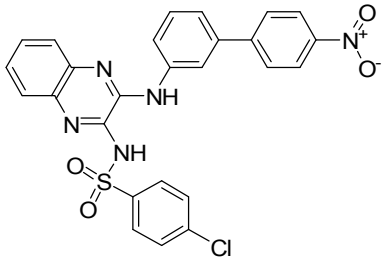
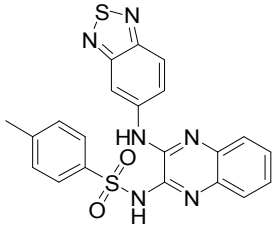
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
111		*N*-{3-[(3-{[(4-klorfenyl)sulfonyl]amino}-7-metylkinoksalin-2-yl)amino]fenyl}acetamid
112		4-klor-*N*-{3-[(3,5-dimetyl-1*H*-pyrazol-4-yl)amino]-6-metylkinoksalin-2-yl}benzensulfonamid
113		4-brom-*N*-{3-[(4'-nitrobifenyl-3-yl)amino]kinoksalin-2-yl}benzensulfonamid
114		4-brom-*N*-{3-[(2-klorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
115		*N*-{3-[(4-butylfenyl)amino]-6-metylkinoksalin-2-yl}-4-klorbenzensulfonamid
116		*N*-{4-[(3-{[(4-klorfenyl)sulfonyl]amino}-7-metylkinoksalin-2-yl)amino]fenyl}acetamid
117		4-klor-*N*-{6-metyl-3-[(2-okso-2,3-dihydro-1*H*-benzidimazol-5-yl)amino]kinoksalin-2-yl}benzensulfonamid

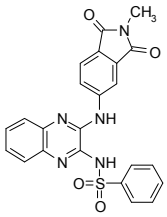
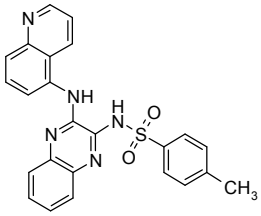
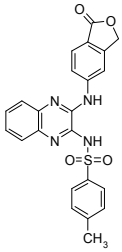
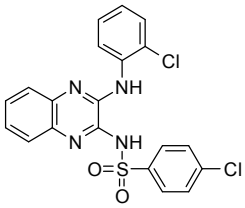
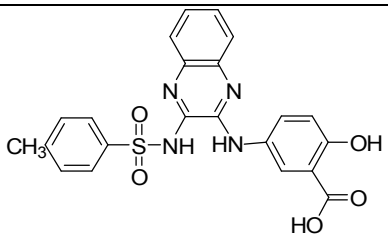
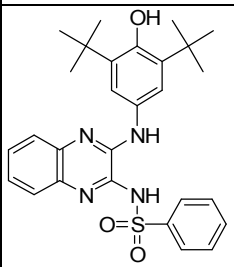
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
118		propyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}-7-metylkinoksalin-2-yl)amino]benzoat
119		4-klor-*N*-{3-[(4-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
120		*N*-[4-({[3-(naftalen-2-ylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid
121		4-brom-*N*-(3-{[4-(fenylamino)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
122		2-hydroksy-4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzosyre
123		*N*-(3-{[3-(aminosulfonyl)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid

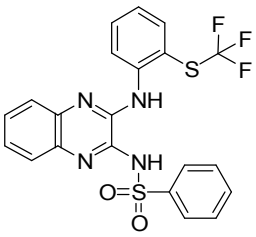
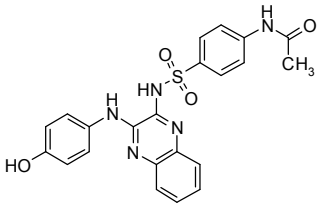
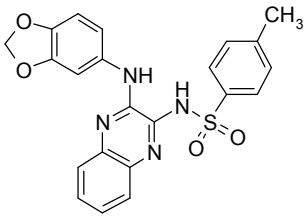
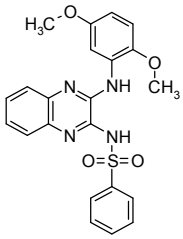
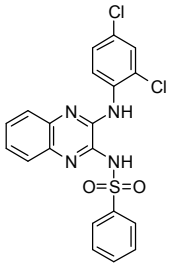
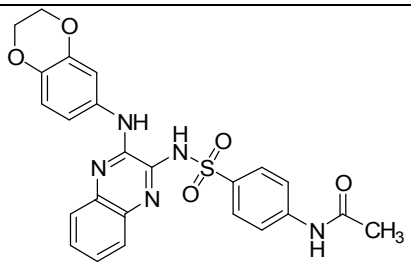
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
124		4-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
125		*N*-(3-{[3-(butyloksy)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid
126		*N*-{3-[(4-fluorfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
127		4-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-2-hydroksybenzosyre
128		*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]-4-nitrobenzensulfonamid
129		4-[(3-{[(4-bromfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre

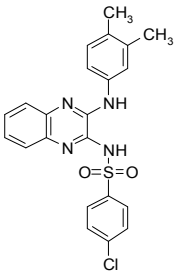
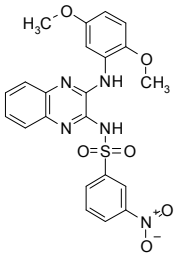
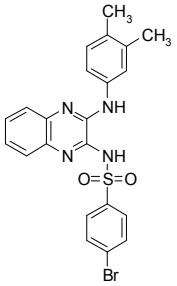
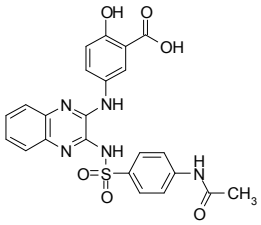
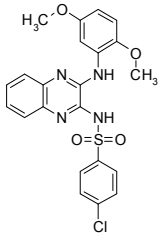
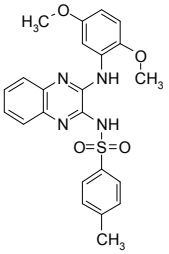
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
130		*N*-{4-[({3-[(3-hydroksyfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
131		3-[(3-{[(4-bromfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
132		4-brom-*N*-(3-{[3-(butyloksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
133		4-brom-*N*-(3-{[3-(trifluormetyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
134		4-metyl-*N*-{3-[(4'-nitrobifenyl-3-yl)amino]kinoksalin-2-yl}benzensulfonamid
135		4-klor-*N*-{3-[(3-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid

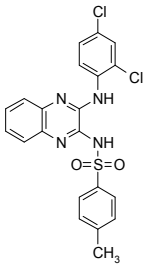
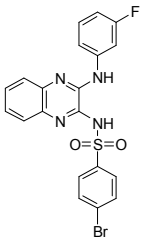
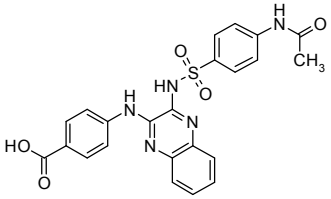
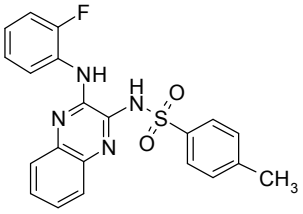
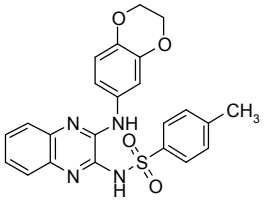
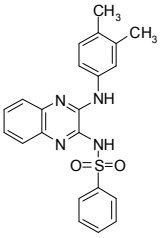
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
136		*N*-{3-[(2-klorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
137		4-brom-*N*-[3-(kinolin-5-ylamino)kinoksalin-2-yl]benzensulfonamid
138		*N*-{3-[(3-fluorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
139		*N*-{3-[(4-fluorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
140		3-nitro-*N*-(3-{[3-(trifluormetyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
141		2-hydroksy-4-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre

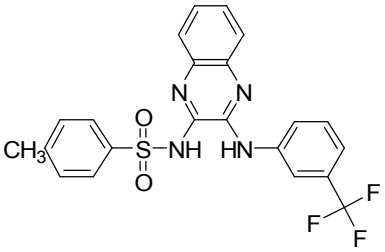
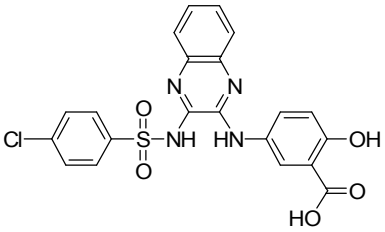
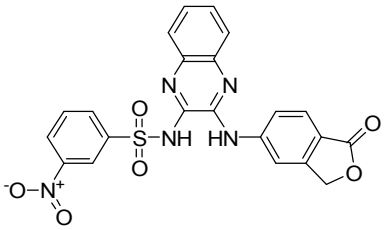
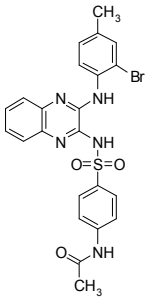
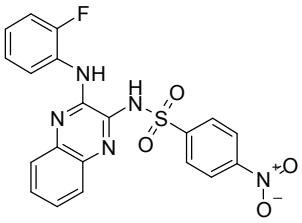
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
142		*N*-{3-[(3-klorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
143		*N*-[3-(1,3-benzodioksol-5-ylamino)kinoksalin-2-yl]-4-brombenzensulfonamid
144		*N*-{3-[(3-acetylfenyl)amino]kinoksalin-2-yl}-4-klorbenzensulfonamid
145		3-nitro-*N*-(3-{[4-(9*H*-xanten-9-yl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
146		4-klor-*N*-{3-[(4'-nitrobifenyl-3-yl)amino]kinoksalin-2-yl}benzensulfonamid
147		*N*-[3-(2,1,3-benzotiadiazol-5-ylamino)kinoksalin-2-yl]-4-tolylsulfonamid

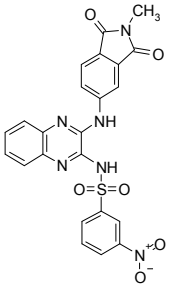
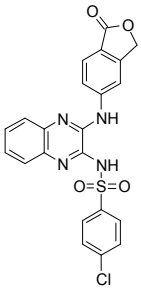
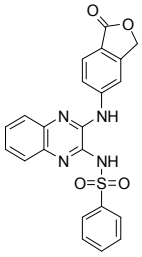
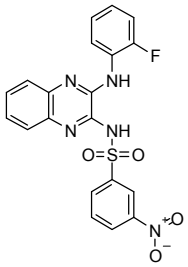
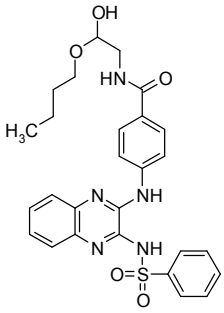
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
148		*N*-{3-[(2-metyl-1,3-diokso-2,3-dihydro-1*H*-isoindol-5-yl)amino]kinoksalin-2-yl}benzensulfonamid
149		4-metyl-*N*-[3-(kinolin-5-ylamino)kinoksalin-2-yl]benzensulfonamid
150		4-metyl-*N*-{3-[(1-okso-1,3-dihydro-2-benzofuran-5-yl)amino]kinoksalin-2-yl}benzensulfonamid
151		4-klor-*N*-{3-[(2-klorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
152		2-hydroksy-5-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
153		*N*-(3-{[3,5-bis(1,1-dimetyletyl)-4-hydroksyfenyl]amino}kinoksalin-2-yl)benzensulfonamid

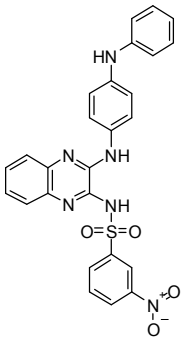
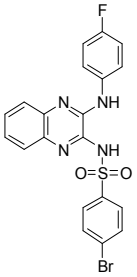
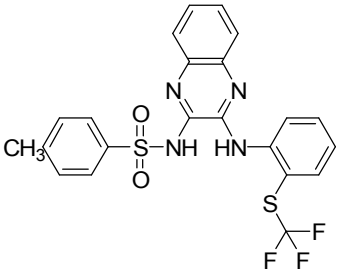
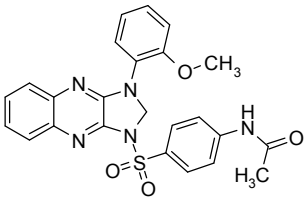
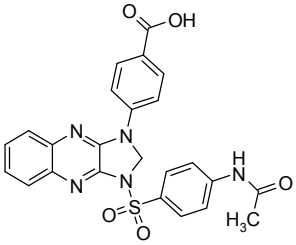
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
154		*N*-[3-({2-[(trifluormetyl)thio]fenyl}amino)kinoksalin-2-yl]benzensulfonamid
155		*N*-{4-[({3-[(4-hydroksyfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
156		*N*-[3-(1,3-benzodioksol-5-ylamino)kinoksalin-2-yl]-4-metylbenzensulfonamid
157		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
158		*N*-{3-[(2,4-diklorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
159		*N*-[4-({[3-(2,3-dihydro-1,4-benzodioksin-6-ylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid

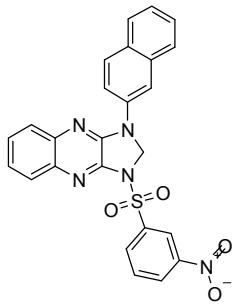
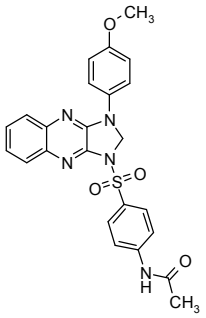
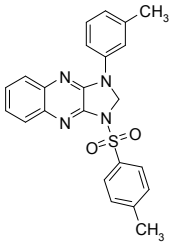
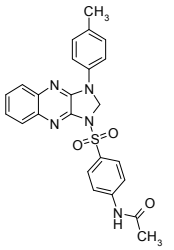
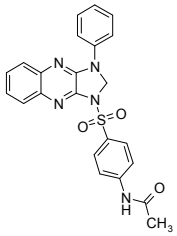
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
160		4-klor-*N*-{3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
161		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
162		4-brom-*N*-{3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
163		5-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-2-hydroksybenzosyre
164		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-klorbenzensulfonamid
165		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid

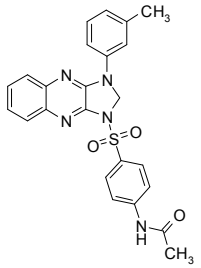
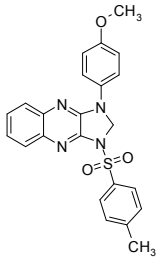
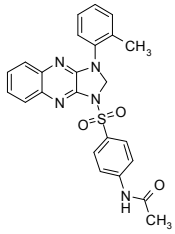
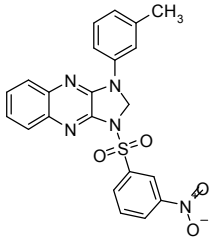
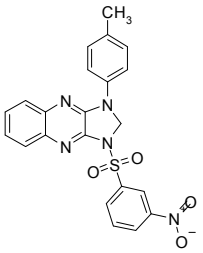
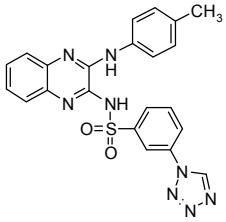
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
166		*N*-{3-[(2,4-diklorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
167		4-brom-*N*-{3-[(3-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
168		4-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}benzosyre
169		*N*-{3-[(2-fluorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
170		*N*-[3-(2,3-dihydro-1,4-benzodioksin-6-ylamino)kinoksalin-2-yl]-4-metylbenzensulfonamid
171		*N*-{3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}benzensulfonamid

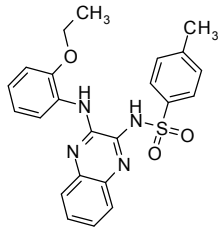
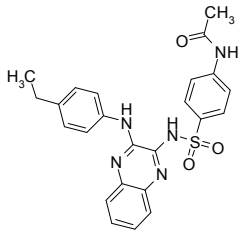
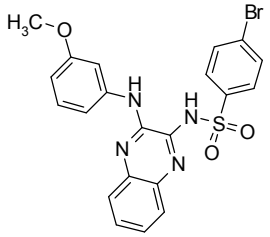
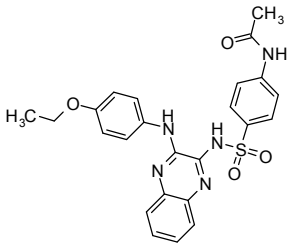
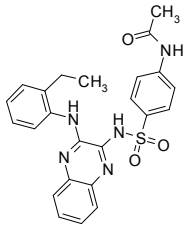
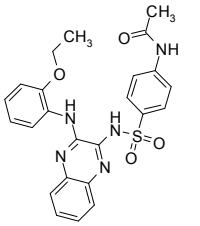
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
172		4-metyl-*N*-(3-{[3-(trifluormetyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
173		5-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-2-hydroksybenzosyre
174		3-nitro-*N*-{3-[(1-okso-1,3-dihydro-2-benzofuran-5-yl)amino]kinoksalin-2-yl}benzensulfonamid
175		*N*-{4-[({3-[(2-brom-4-metylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
176		*N*-{3-[(2-fluorfenyl)amino]kinoksalin-2-yl}-4-nitrobenzensulfonamid

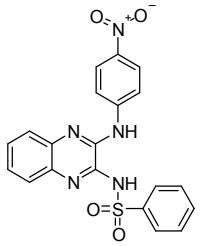
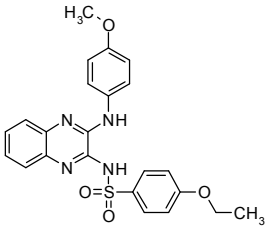
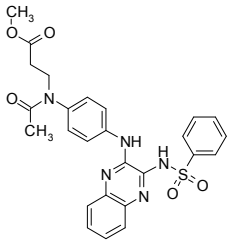
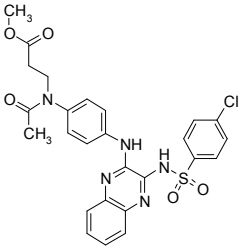
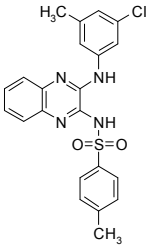
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
177		*N*-{3-[(2-metyl-1,3-diokso-2,3-dihydro-1*H*-isoindol-5-yl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
178		4-klor-*N*-{3-[(1-okso-1,3-dihydro-2-benzofuran-5-yl)amino]kinoksalin-2-yl}benzensulfonamid
179		*N*-{3-[(1-okso-1,3-dihydro-2-benzofuran-5-yl)amino]kinoksalin-2-yl}benzensulfonamid
180		*N*-{3-[(2-fluorfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
181		*N*-[2-(butyloksy)-2-hydroksyetyl]-4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzamid

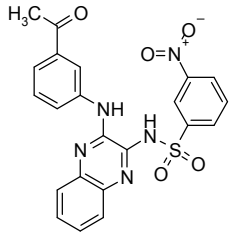
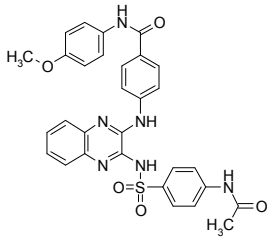
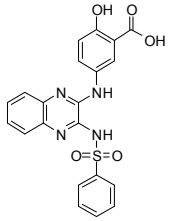
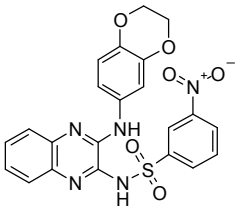
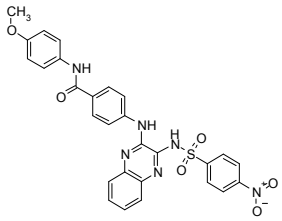
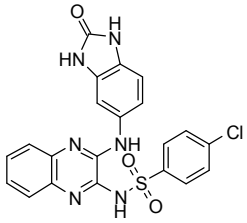
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
182		3-nitro-*N*-(3-{[4-(fenylamino)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
183		4-brom-*N*-{3-[(4-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
184		4-metyl-*N*-[3-({2-[(trifluormetyl)tio]fenyl}amino)kinoksalin-2-yl]benzensulfonamid
185		*N*-[4-({3-[2-(metoksy)fenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin-1-yl}sulfonyl)fenyl]acetamid
186		4-(3-{[4-(acetylamino)fenyl]sulfonyl}-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin-1-yl)benzosyre

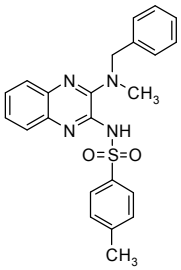
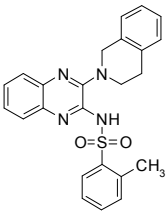
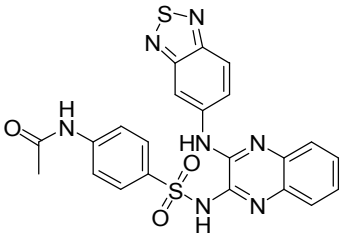
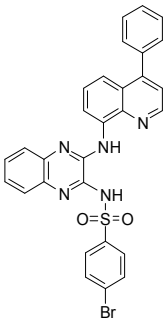
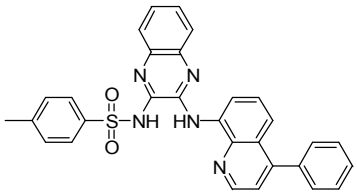
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
187		1-naftalen-2-yl-3-[(3-nitrofenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
188		*N*-[4-({3-[4-(metoksy)fenyl]-2,3-dihydro-1H-imidazo[4,5-b]kinoksalin-1-yl}sulfonyl)fenyl]acetamid
189		1-(3-metylfenyl)-3-[(4-metylfenyl)sulfonyl]-2,3-dihydro-1H-imidazo[4,5-b]kinoksalin
190		*N*-(4-{[3-(4-metylfenyl)-2,3-dihydro-1H-imidazo[4,5-b]kinoksalin-1-yl]sulfonyl}fenyl)acetamid
191		*N*-{4-[(3-fenyl-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin-1-yl)sulfonyl]fenyl}acetamid

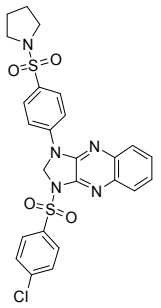
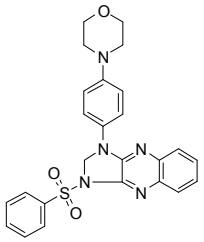
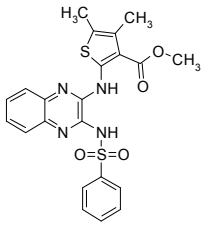
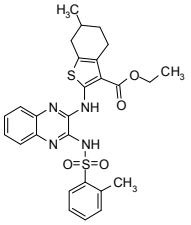
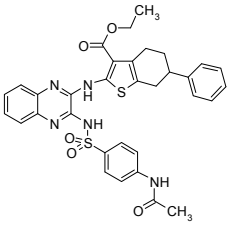
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
192		*N*-(4-{[3-(3-metylfenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin-1-yl]sulfonyl}fenyl)acetamid
193		1-[4-(metoksy)fenyl]-3-[(4-metylfenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
194		*N*-(4-{[3-(2-metylfenyl)-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin-1-yl]sulfonyl}fenyl)acetamid
195		1-(3-metylfenyl)-3-[(3-nitrofenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
196		1-(4-metylfenyl)-3-[(3-nitrofenyl)sulfonyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
197		*N*-{3-[(4-metylfenyl)amino]kinoksalin-2-yl}-3-(1*H*-tetrazol-1-yl)benzensulfonamid

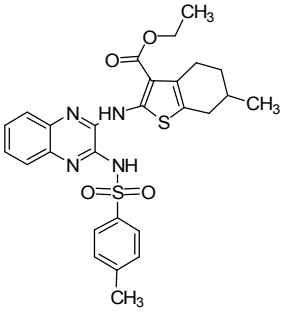
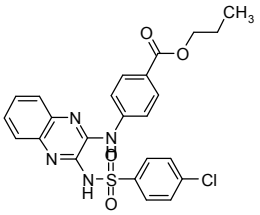
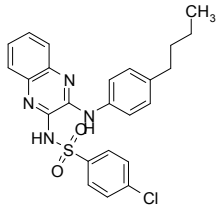
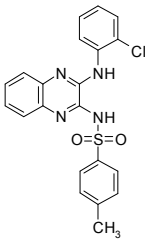
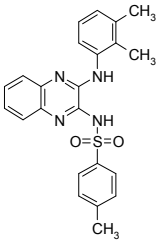
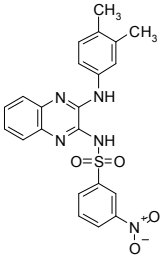
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
198		*N*-(3-{[2-(etyloksy)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid
199		*N*-{4-[({3-[(4-etylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
200		4-brom-*N*-(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
201		*N*-(4-{[(3-{[4-(etyloksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
202		*N*-{4-[({3-[(2-etylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
203		*N*-(4-{[(3-{[2-(etyloksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid

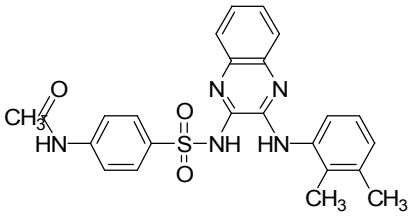
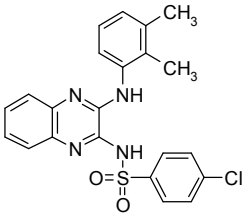
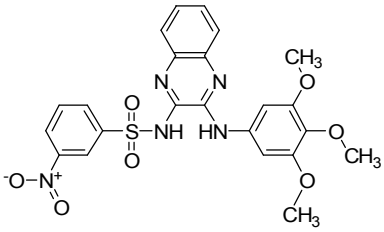
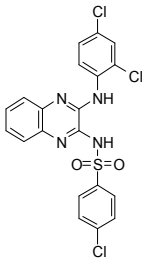
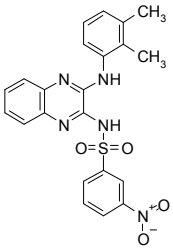
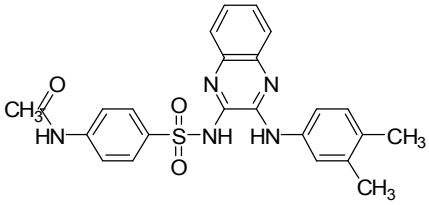
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
204		*N*-{3-[(4-nitrofenyl)amino]kinoksalin-2-yl}benzensulfonamid
205		4-(etyloksy)-*N*-(3-{[4-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
206		metyl *N*-acetyl-*N*-[4-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)fenyl]-beta-alaninat
207		metyl *N*-acetyl-*N*-{4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}-beta-alaninat
208		*N*-{3-[(3-klor-5-metylfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid

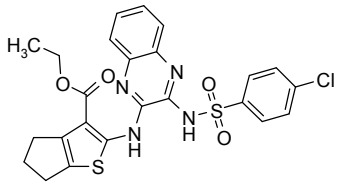
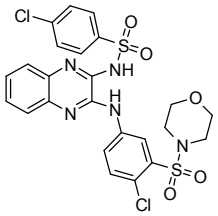
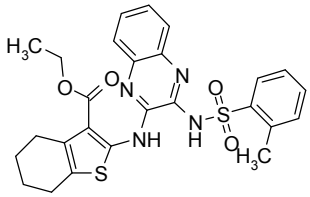
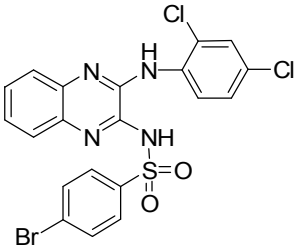
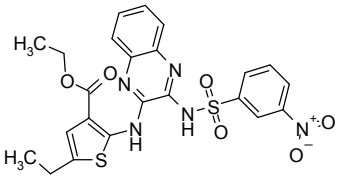
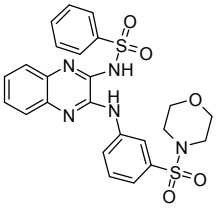
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
209		*N*-{3-[(3-acetylfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
210		4-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-*N*-[4-(metoksy)fenyl]benzamid
211		2-hydroksy-5-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)benzosyre
212		*N*-[3-(2,3-dihydro-1,4-benzodioksin-6-ylamino)kinoksalin-2-yl]-3-nitrobenzensulfonamid
213		*N*-[4-(metoksy)fenyl]-4-[(3-{[(4-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzamid
214		4-klor-*N*-{3-[(2-okso-2,3-dihydro-1*H*-benzimidazol-5-yl)amino]kinoksalin-2-yl}benzensulfonamid

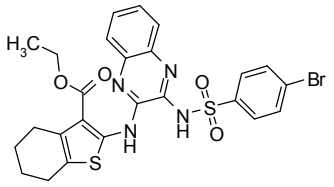
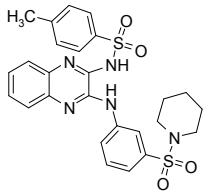
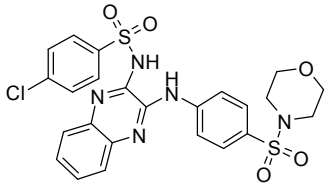
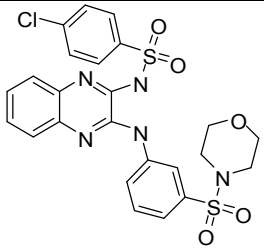
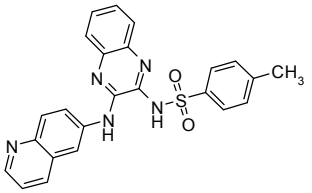
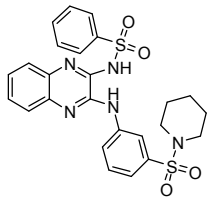
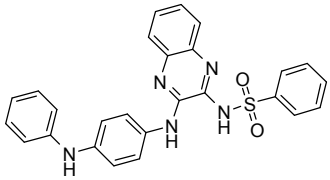
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
215		4-metyl-*N*-{3-[metyl(fenylmetyl)amino]kinoksalin-2-yl}benzensulfonamid
216		*N*-[3-(3,4-dihydroisokinolin-2-(1*H*)-yl)kinoksalin-2-yl]-2-metylbenzensulfonamid
217		*N*-[4-({[3-(2,1,3-benzotiadiazol-5-ylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid
218		4-brom-*N*-{3-[(4-fenylkinolin-8-yl)amino]kinoksalin-2-yl}benzensulfonamid
219		4-metyl-*N*-{3-[(4-fenylkinolin-8-yl)amino]kinoksalin-2-yl}benzensulfonamid

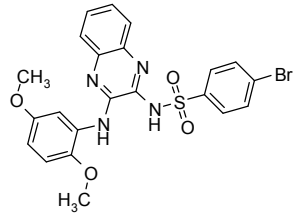
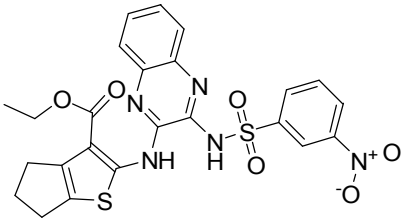
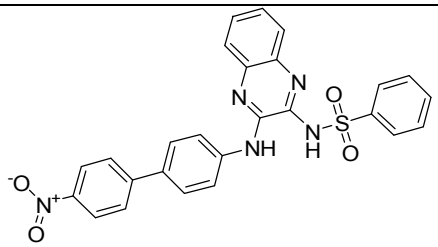
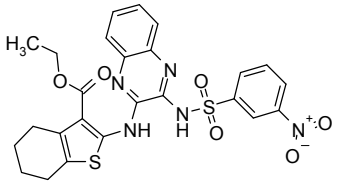
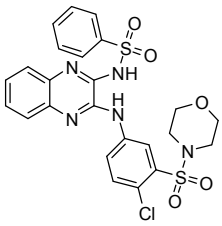
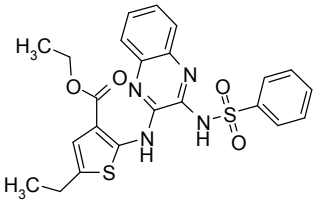
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
220		1-[(4-klorfenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)fenyl]-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
221		1-(4-morfolin-4-ylfenyl)-3-(fenylsulfonyl)-2,3-dihydro-1*H*-imidazo[4,5-b]kinoksalin
222		metyl 4,5-dimetyl-2-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)tiofen-3-karboksylat
223		etyl 6-metyl-2-[(3-{[(2-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
224		etyl 2-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-6-fenyl-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat

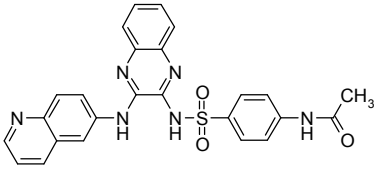
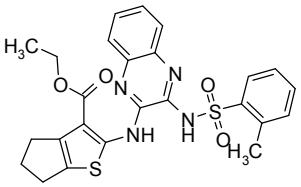
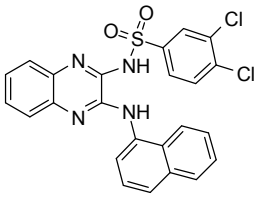
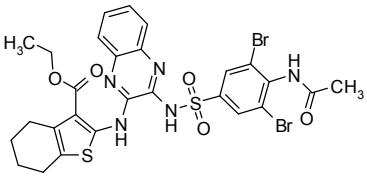
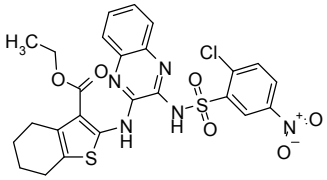
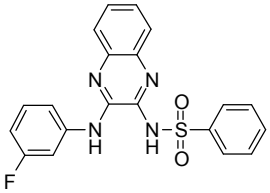
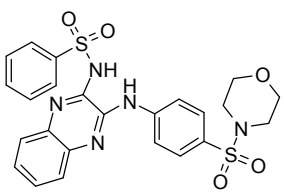
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
225		etyl 6-metyl-2-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
226		propyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzoat
227		*N*-{3-[(4-butylfenyl)amino]kinoksalin-2-yl}-4-klorbenzensulfonamid
228		*N*-{3-[(2-klorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
229		*N*-{3-[(2,3-dimetylfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
230		*N*-{3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid

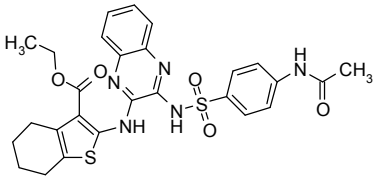
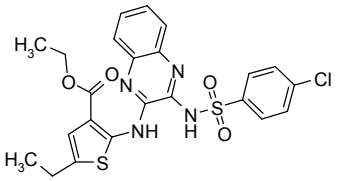
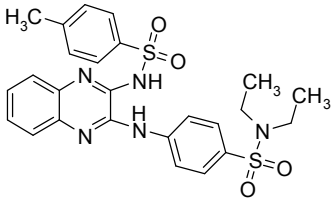
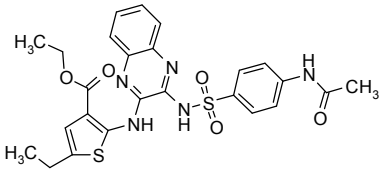
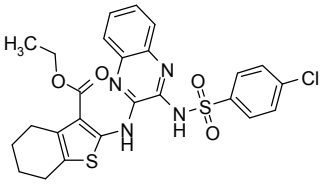
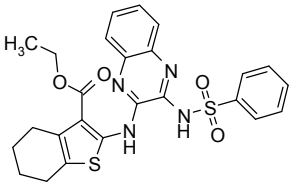
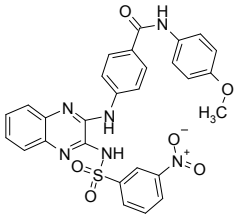
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
231		*N*-{4-[({3-[(2,3-dimetylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
232		4-klor-*N*-{3-[(2,3-dimetylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
233		3-nitro-*N*-(3-{[3,4,5-tris(metoksy)fenyl]amino} kinoksalin-2-yl)benzensulfonamid
234		4-klor-*N*-{3-[(2,4-diklorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
235		*N*-{3-[(2,3-dimetylfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
236		*N*-{4-[({3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid

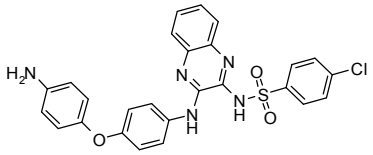
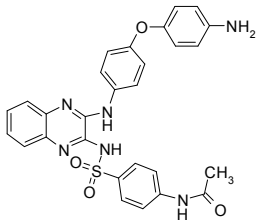
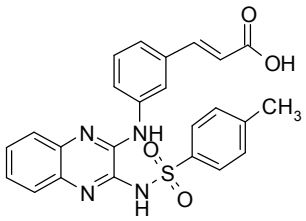
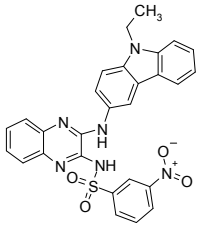
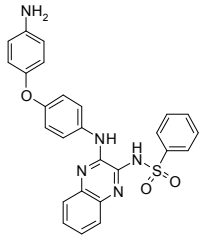
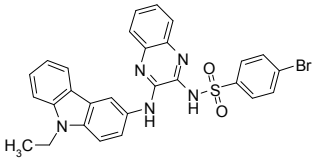
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
237		etyl 2-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-5,6-dihydro-4*H*-syklopenta(b)tiofen-3-karboksylat
238		4-klor-*N*-(3-{[4-klor-3-(morfolin-4-ylsulfonyl)fenyl]amino} kinoksalin-2-yl)benzensulfonamid
239		etyl 2-[(3-{[(2-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
240		4-brom-*N*-{3-[(2,4-diklorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
241		etyl 5-etyl-2-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]tiofen-3-karboksylat
242		*N*-(3-{[3-(morfolin-4-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

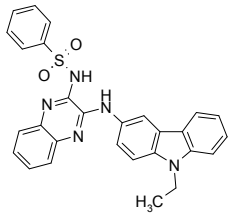
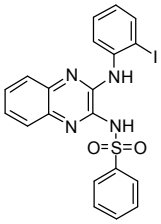
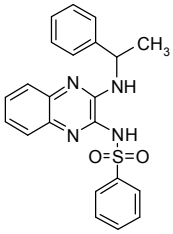
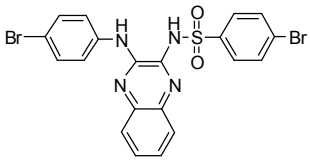
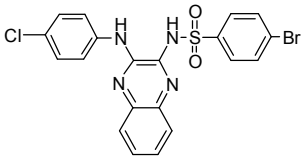
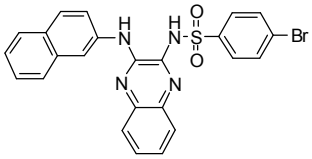
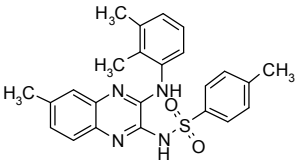
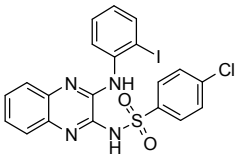
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
243		etyl 2-[(3-{[(4-bromfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
244		4-metyl-*N*-(3-{[3-(piperidin-1-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
245		4-klor-*N*-(3-{[4-(morfolin-4-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
246		4-klor-*N*-(3-{[3-(morfolin-4-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
247		4-metyl-*N*-[3-(kinolin-6-ylamino)kinoksalin-2-yl]benzensulfonamid
248		*N*-(3-{[3-(piperidin-1-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
249		*N*-(3-{[4-(fenylamino)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

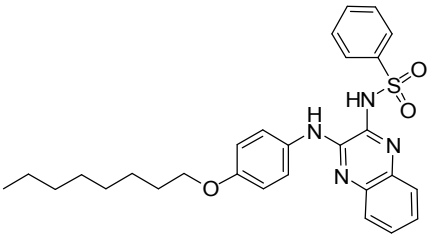
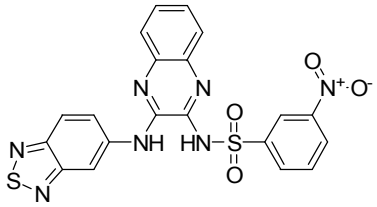
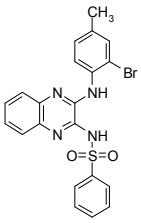
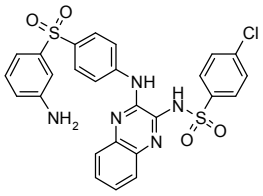
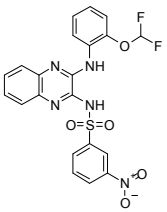
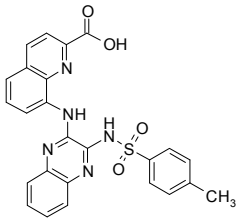
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
250		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-brombenzensulfonamid
251		etyl 2-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-5,6-dihydro-4*H*-syklopental[b]tiofen-3-karboksylat
252		*N*-{3-[(4'-nitrobifenyl-4-yl)amino]kinoksalin-2-yl}benzensulfonamid
253		etyl 2-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
254		*N*-(3-{[4-klor-3-(morfolin-4-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
255		etyl 5-etyl-2-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)tiofen-3-karboksylat

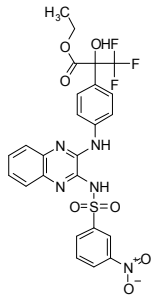
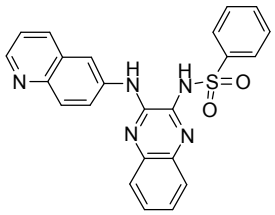
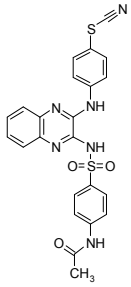
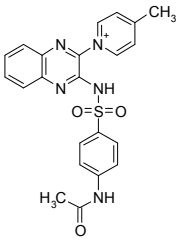
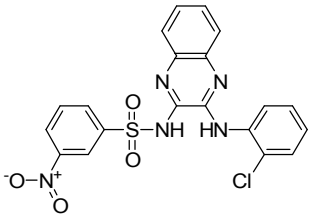
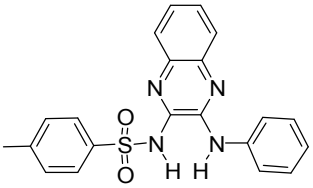
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
256		*N*-[4-({[3-(kinolin-6-ylamino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid
257		etyl 2-[(3-{[(2-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-5,6-dihydro-4*H*-syklopenta[b]tiofen-3-karboksylat
258		3,4-diklor-*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]benzensulfonamid
259		etyl 2-{[3-({[4-(acetylamino)-3,5-dibromfenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
260		etyl 2-[(3-{[(2-klor-5-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
261		*N*-{3-[(3-fluorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
262		*N*-(3-{[4-(morfolin-4-ylsulfonyl)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

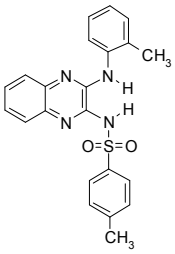
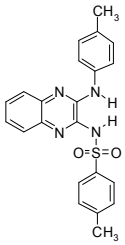
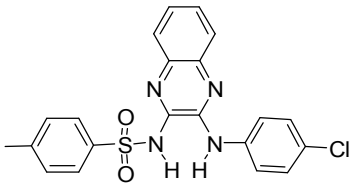
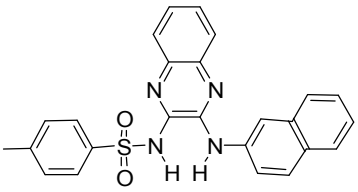
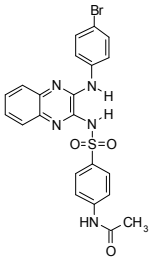
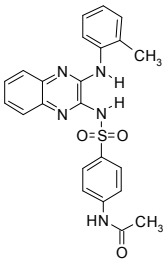
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
263		etyl 2-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
264		etyl 2-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-5-etyltiofen-3-karboksylat
265		*N*,*N*-dietyl-4-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzensulfonamid
266		etyl 2-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}-5-etyltiofen-3-karboksylat
267		etyl 2-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
268		etyl 2-({3-[(fenylsulfonyl)amino]kinoksalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
269		*N*-[4-(metoksy)fenyl]-4-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzamid

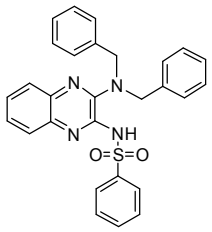
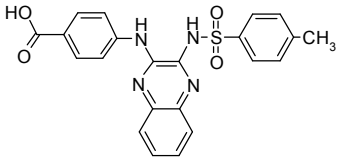
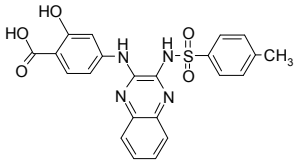
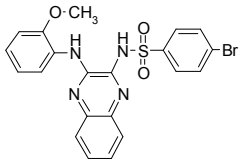
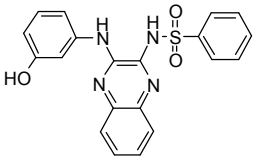
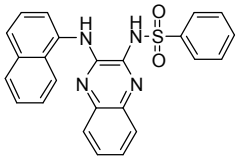
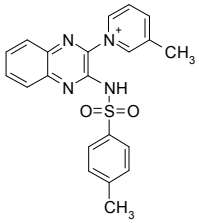
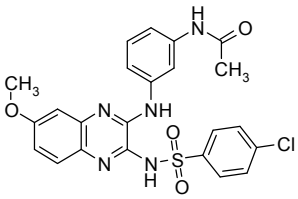
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
270		*N*-[3-({4-[(4-aminofenyl)oksy]fenyl}amino)kinoksalin-2-yl]-4-klorbenzensulfonamid
271		*N*-[4-({[3-({4-[(4-aminofenyl)oksy]fenyl}amino)kinoksalin-2-yl]amino}sulfonyl)fenyl]acetamid
272		(2E)-3-{3-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}prop-2-ensyre
273		*N*-{3-[(9-etyl-9*H*-karbazol-3-yl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
274		*N*-[3-({4-[(4-aminofenyl)oksy]fenyl}amino)kinoksalin-2-yl]benzensulfonamid
275		4-brom-*N*-{3-[(9-etyl-9*H*-karbazol-3-yl)amino]kinoksalin-2-yl}benzensulfonamid

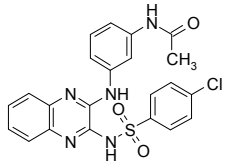
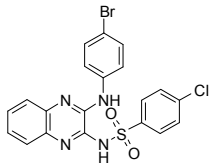
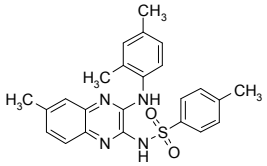
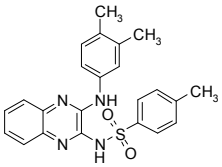
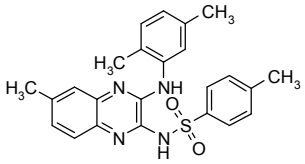
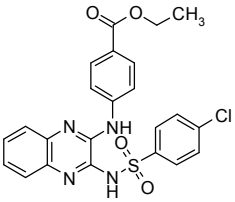
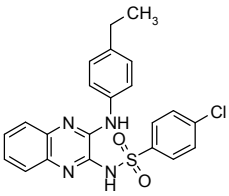
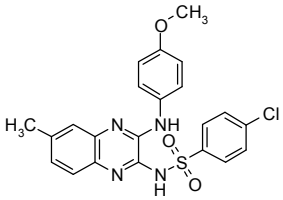
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
276		*N*-{3-[(9-etyl-9*H*-karbazol-3-yl)amino]kinoksalin-2-yl}benzensulfonamid
277		*N*-{3-[(2-jodfenyl)amino]kinoksalin-2-yl}benzensulfonamid
278		*N*-{3-[(1-fenyletyl)amino]kinoksalin-2-yl}benzensulfonamid
279		4-brom-*N*-{3-[(4-bromfenyl)amino]kinoksalin-2-yl}benzensulfonamid
280		4-brom-*N*-{3-[(4-klorfenyl)amino]kinoksalin-2-yl}benzensulfonamid
281		4-brom-*N*-[3-(naftalen-2-ylamino)kinoksalin-2-yl]benzensulfonamid
282		*N*-{3-[(2,3-dimetylfenyl)amino]-6-metylkinoksalin-2-yl}-4-metylbenzensulfonamid
283		4-klor-*N*-{3-[(2-jodfenyl)amino]kinoksalin-2-yl}benzensulfonamid

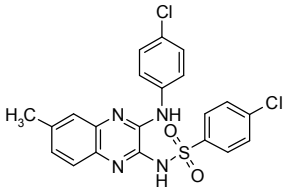
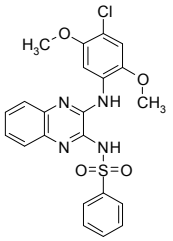
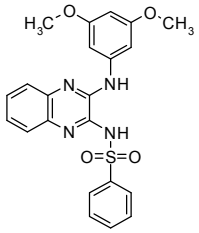
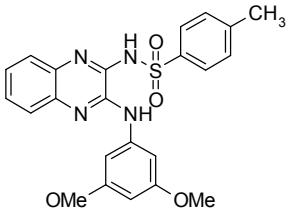
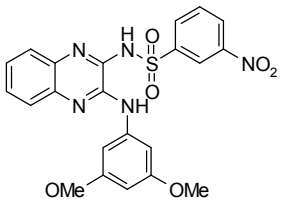
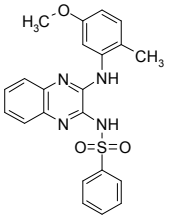
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
284		*N*-(3-{[4-(oktyloksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
285		*N*-[3-(2,1,3-benzotiadiazol-5-ylamino)kinoksalin-2-yl]-3-nitrobenzensulfonamid
286		*N*-{3-[(2-brom-4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
287		*N*-[3-({4-[(3-aminofenyl)sulfonyl]fenyl}amino)kinoksalin-2-yl]-4-klorbenzensulfonamid
288		*N*-[3-({2-[(difluormetyl)oksy]fenyl}amino)kinoksalin-2-yl]-3-nitrobenzensulfonamid
289		8-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]kinolin-2-karboksylsyre

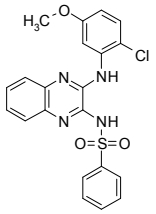
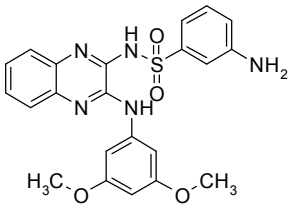
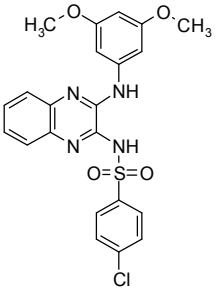
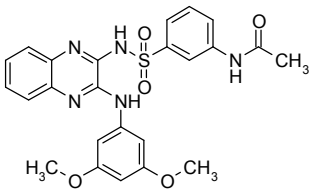
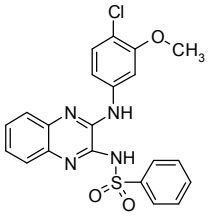
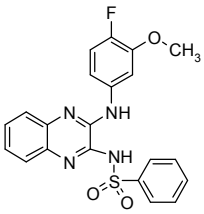
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
290		etyl 3,3,3-trifluor-2-hydroksy-2-{4-[(3-{[(3-nitrofenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}propanoat
291		*N*-[3-(kinolin-6-ylamino)kinoksalin-2-yl]benzensulfonamid
292		4-{[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]amino}fenyltiocyanat
293		1-[3-({[4-(acetylamino)fenyl]sulfonyl}amino)kinoksalin-2-yl]-4-metylpyridinium
294		*N*-{3-[(2-klorfenyl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid
295		4-metyl-*N*-[3-(fenylamino)kinoksalin-2-yl]benzensulfonamid

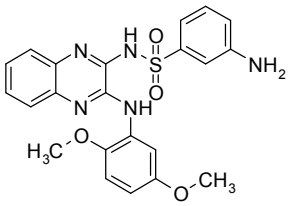
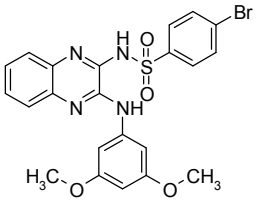
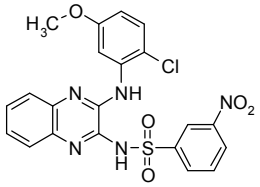
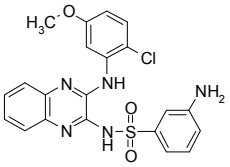
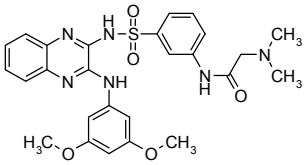
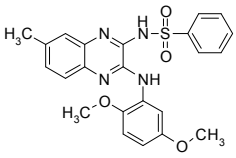
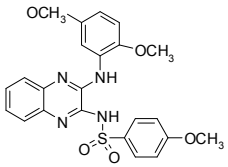
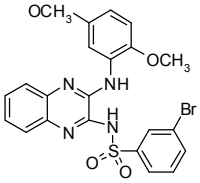
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
296		4-metyl-*N*-{3-[(2-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
297		4-metyl-*N*-{3-[(4-metylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
298		*N*-{3-[(4-klorfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
299		4-metyl-*N*-[3-(naftalen-2-ylamino)kinoksalin-2-yl]benzensulfonamid
300		*N*-{4-[({3-[(4-bromfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid
301		*N*-{4-[({3-[(2-metylfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}acetamid

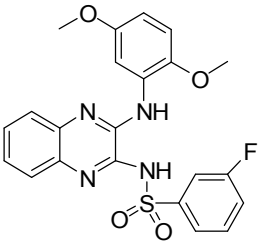
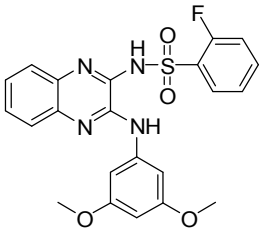
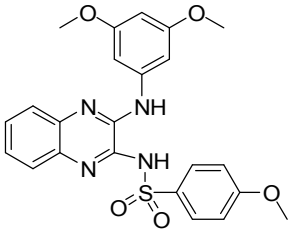
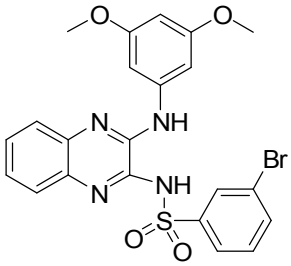
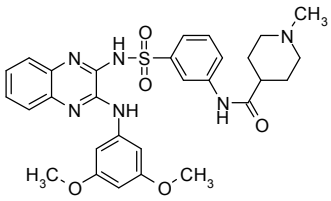
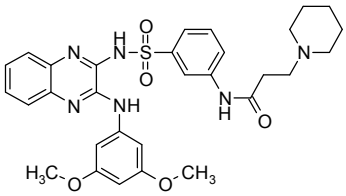
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
302		*N*-{3-[bis(fenylmetyl)amino]kinoksalin-2-yl}benzensulfonamid
303		4-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
304		2-hydroksy-4-[(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzosyre
305		4-brom-*N*-(3-{[2-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
306		*N*-{3-[(3-hydroksyfenyl)amino]kinoksalin-2-yl}benzensulfonamid
307		*N*-[3-(naftalen-1-ylamino)kinoksalin-2-yl]benzensulfonamid
308		3-metyl-1-(3-{[(4-metylfenyl)sulfonyl]amino}kinoksalin-2-yl)pyridinium
309		*N*-(3-{[3-{[(4-klorfenyl)sulfonyl]amino}-7-(metoksy)kinoksalin-2-yl]amino}fenyl)acetamid

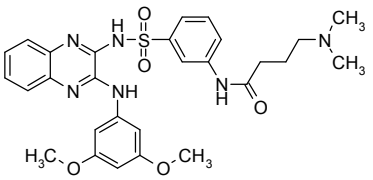
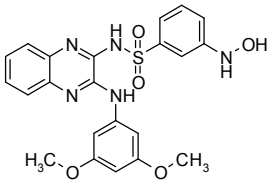
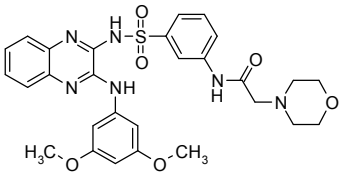
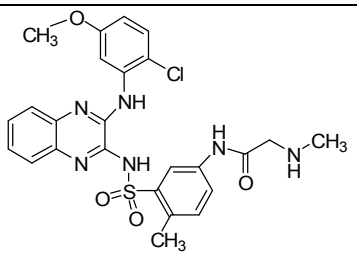
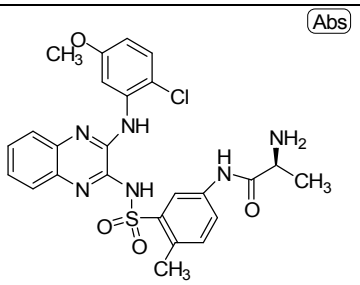
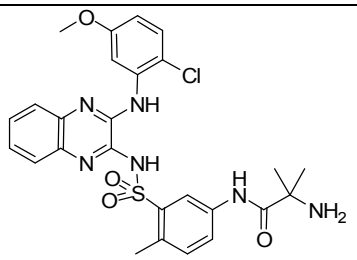
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
310		*N*-{3-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]fenyl}acetamid
311		*N*-{3-[(4-bromfenyl)amino]kinoksalin-2-yl}-4-klorbenzensulfonamid
312		*N*-{3-[(2,4-dimetylfenyl)amino]-6-metylkinoksalin-2-yl}-4-metylbenzensulfonamid
313		*N*-{3-[(3,4-dimetylfenyl)amino]kinoksalin-2-yl}-4-metylbenzensulfonamid
314		*N*-{3-[(2,5-dimetylfenyl)amino]-6-metylkinoksalin-2-yl}-4-metylbenzensulfonamid
315		etyl 4-[(3-{[(4-klorfenyl)sulfonyl]amino}kinoksalin-2-yl)amino]benzoat
316		4-klor-*N*-{3-[(4-etylfenyl)amino]kinoksalin-2-yl}benzensulfonamid
317		4-klor-*N*-(6-metyl-3-{[4-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

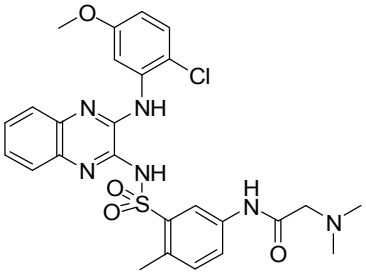
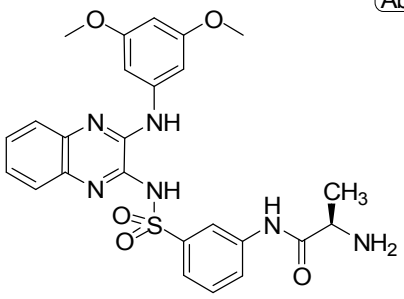
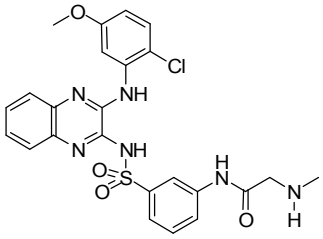
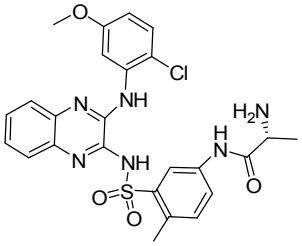
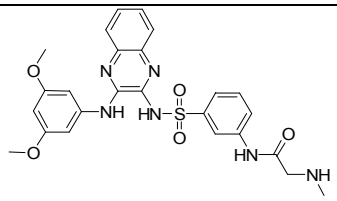
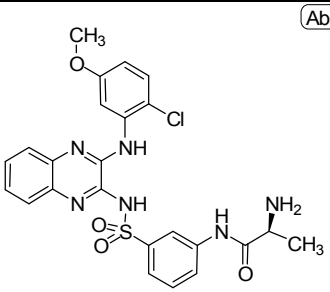
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
318		4-klor-*N*-{3-[(4-klorfenyl)amino]-6-metylkinoksalin-2-yl}benzensulfonamid
319		*N*-(3-{[4-klor-2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
320		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
321		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-metylbenzensulfonamid
322		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
323		*N*-(3-{[2-metyl-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

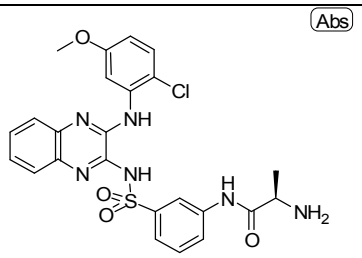
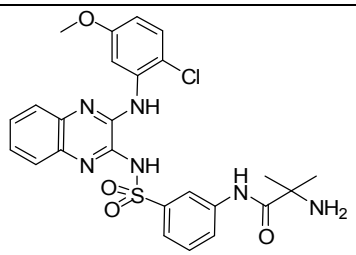
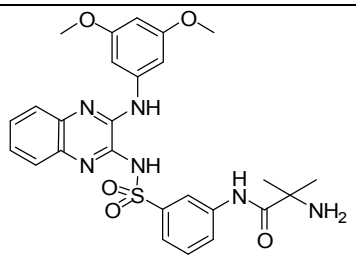
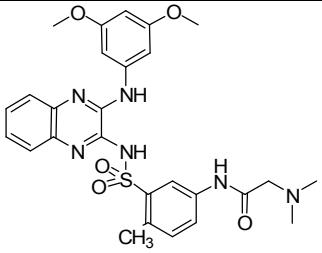
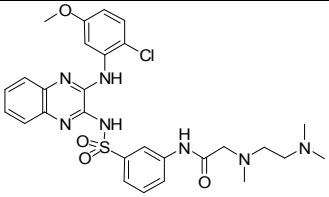
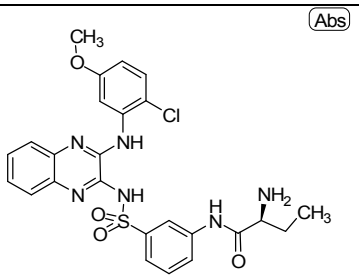
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
324		*N*-[3-(2-klor-5-metoksy-fenylamino)-kinoksalin-2-yl]-benzensulfonamid
325		3-amino-*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
326		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-klorbenzensulfonamid
327		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
328		*N*-(3-{[4-klor-3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
329		*N*-(3-{[4-fluor-3-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

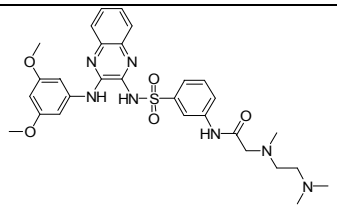
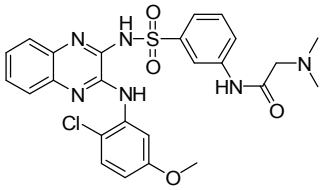
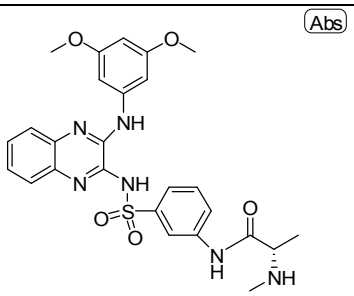
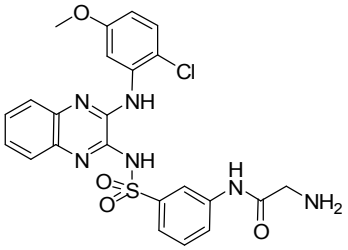
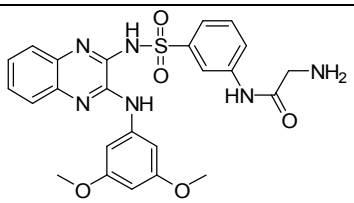
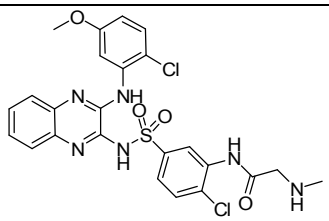
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
330		3-amino-*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
331		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-brombenzensulfonamid
332		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
333		3-amino-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
334		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
335		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}-7-metylkinoksalin-2-yl)benzensulfonamid
336		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-(metoksy)benzensulfonamid
337		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-brombenzensulfonamid

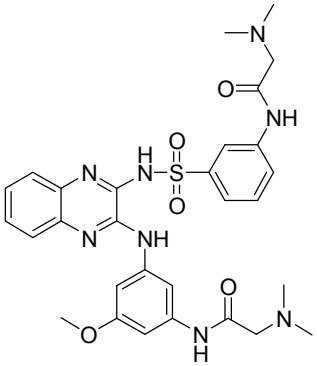
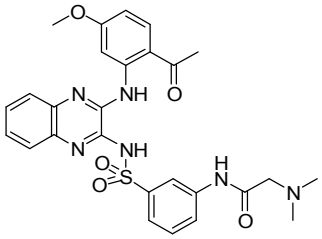
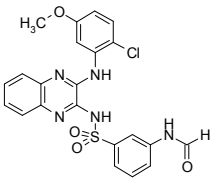
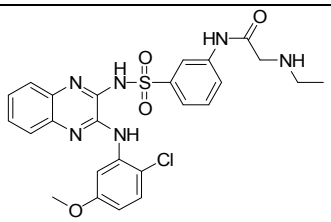
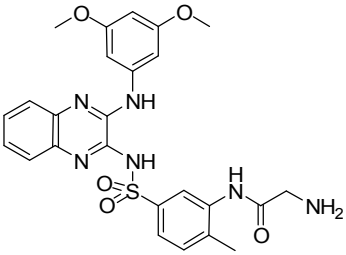
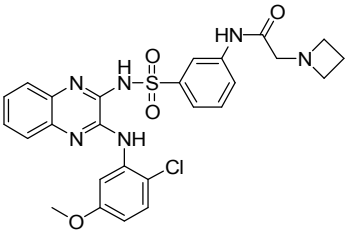
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
338		*N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-fluorbenzensulfonamid
339		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2-fluorbenzensulfonamid
340		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-(metoksy)benzensulfonamid
341		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-brombenzensulfonamid
342		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-metylpiperidin-4-karboksamid
343		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-piperidin-1-ylpropanamid

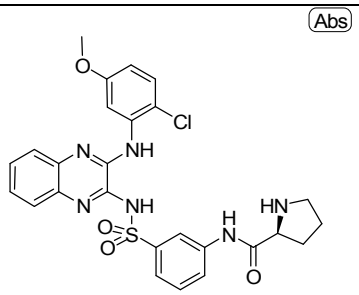
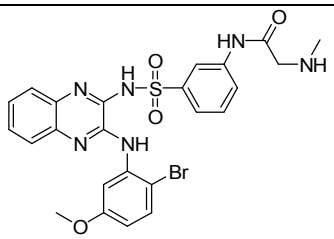
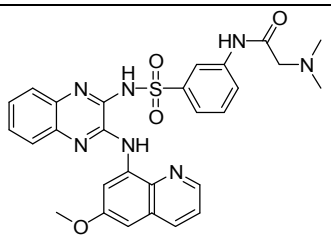
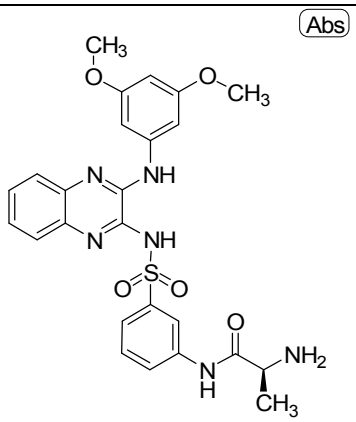
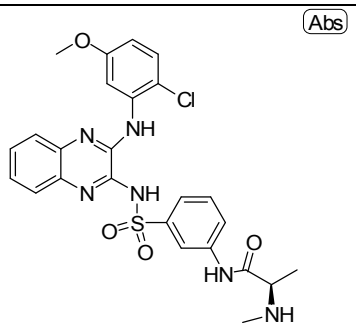
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
344		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-(dimetylamino)butanamid
345		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(hydroksyamino)benzensulfonamid
346		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-morfolin-4-ylacetamid
347		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-*N*-2-metylglysinamid
348		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-L-alaninamid
349		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-2-metylalaninamid

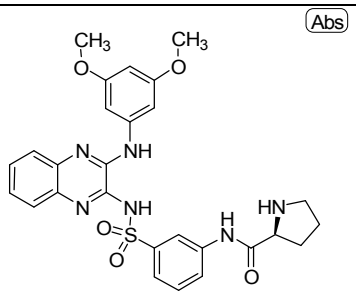
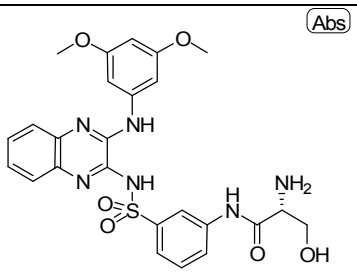
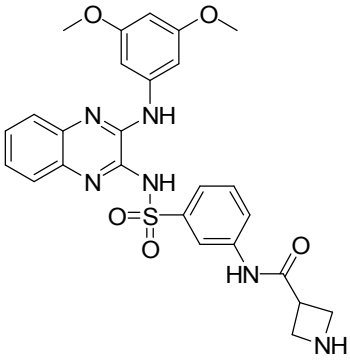
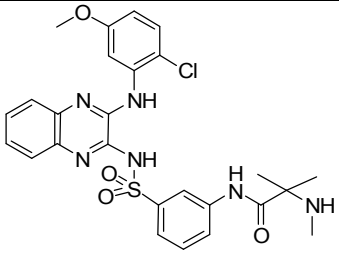
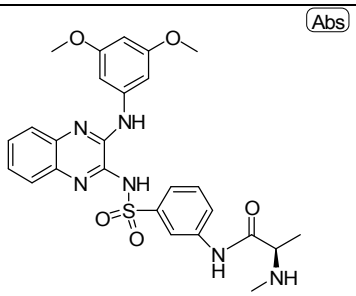
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
350		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-*N*-2-,*N*-2-dimetylglysinamid
351	Abs 	*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-D-alaninamid
352		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metylglysinamid
353	Abs 	*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}quinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-D-alaninamid
354		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metylglysinamid
355	Abs 	*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-L-alaninamid

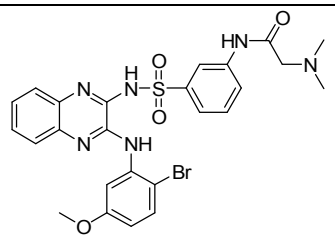
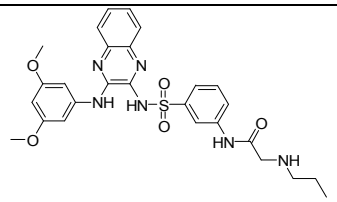
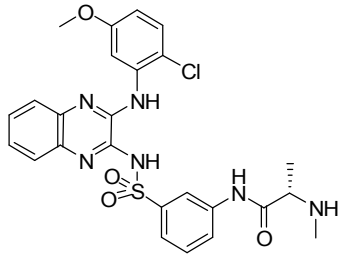
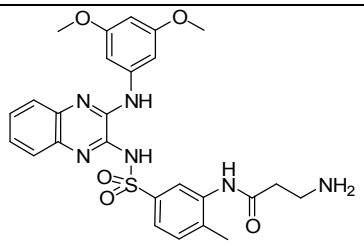
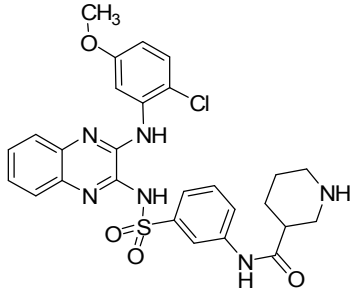
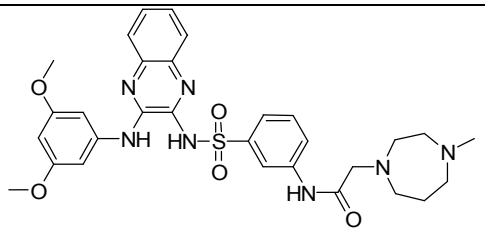
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
356		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-D-alaninamid
357		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylalaninamid
358		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylalaninamid
359		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)-*N*-2-,*N*-2-dimetylglysinamid
360		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(dimetylamino)etyl]-*N*-2-metylglysinamid
361		(2S)-2-amino-*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)butanamid

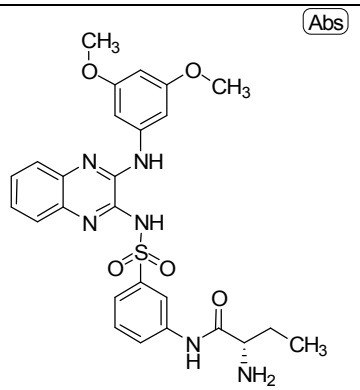
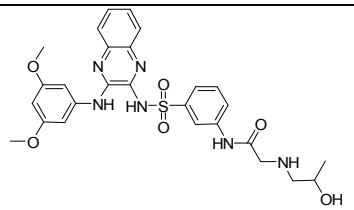
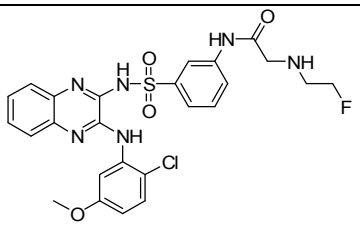
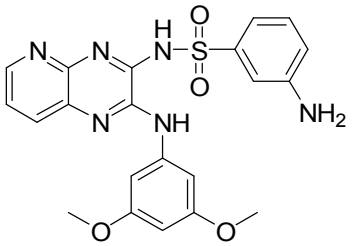
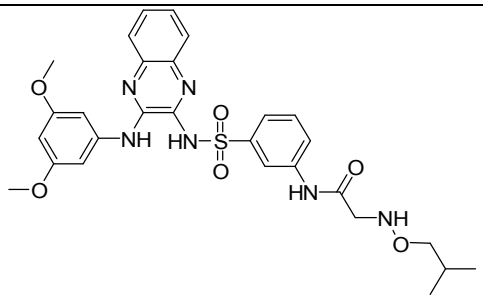
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
362		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(dimetylamino)etyl]-*N*-2-metylglysinamid
363		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
364		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-L-alaninamid
365		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
366		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
367		*N*-(2-klor-5-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metylglysinamid

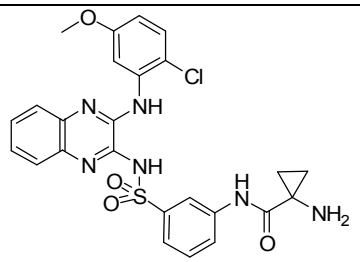
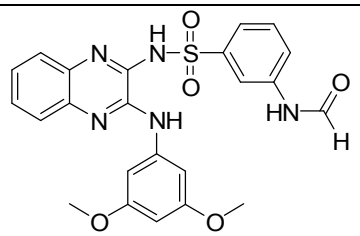
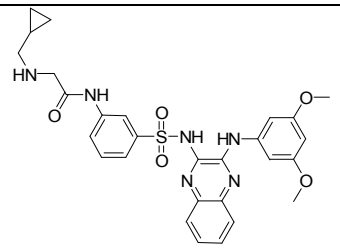
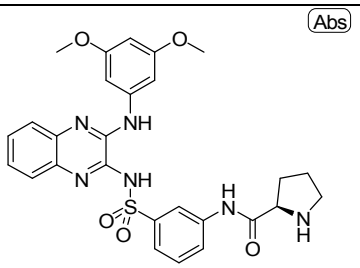
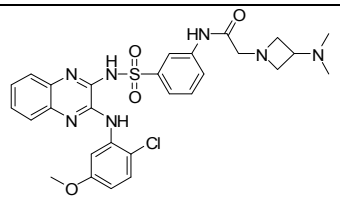
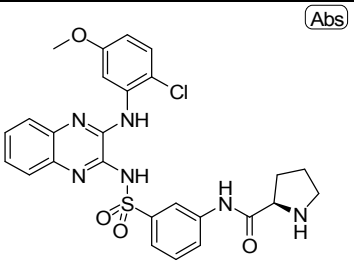
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
368		2-(dimetylamino)-*N*-(3-(*N*-(3-(3-(2-(dimetylamino)acetamido)-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid
369		*N*-(3-{[(3-{[2-acetyl-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
370		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(formylamino)benzensulfonamid
371		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etylglysinamid
372		*N*-(5-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-2-metylfenyl)glysinamid
373		2-azetidin-1-yl-*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid

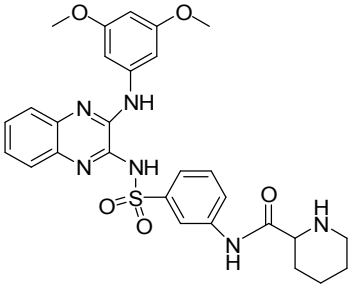
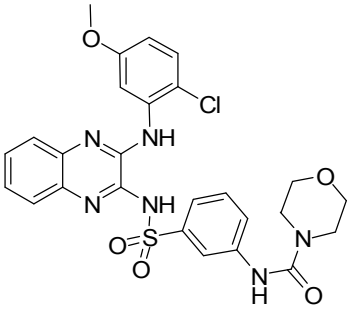
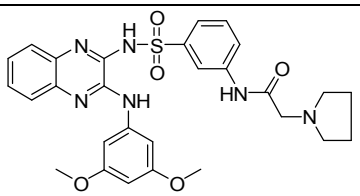
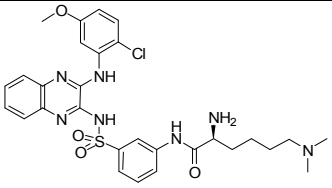
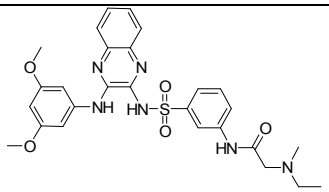
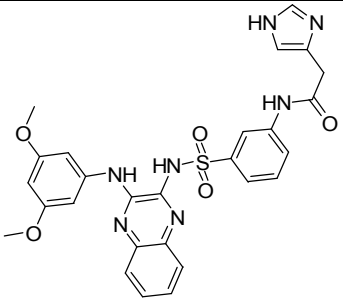
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
374		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-L-prolinamid
375		*N*-(3-{[(3-{[2-brom-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metylglysinamid
376		*N*-2-,*N*-2-dimetyl-*N*-(3-{[(3-{[6-(metoksy)kinolin-8-yl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
377		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-L-alaninamid
378		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-D-alaninamid

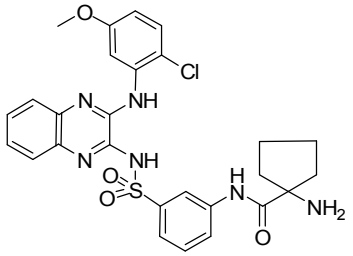
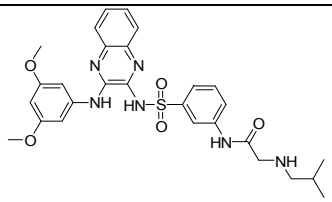
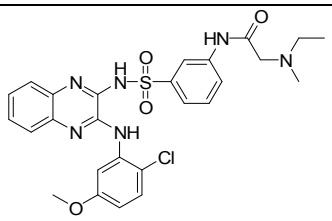
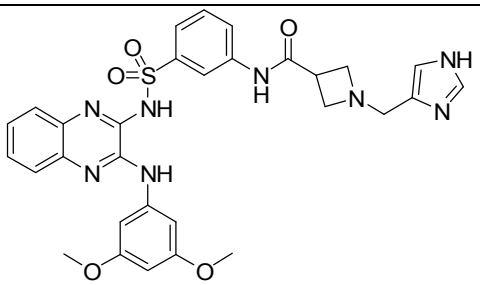
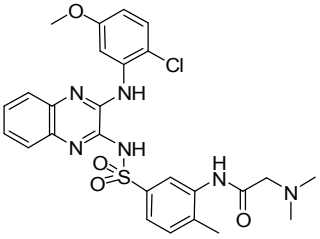
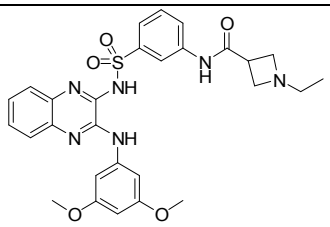
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
379		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-L-prolinamid
380		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-y)amino]sulfonyl}fenyl)-D-serinamid
381		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)azetidin-3-karboksamid
382		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,2-dimetylalaninamid
383		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-D-alaninamid

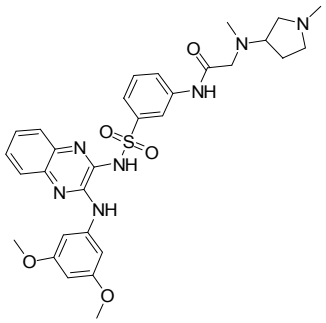
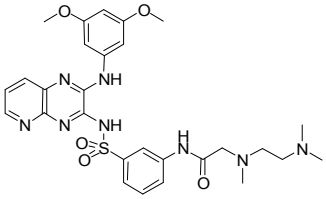
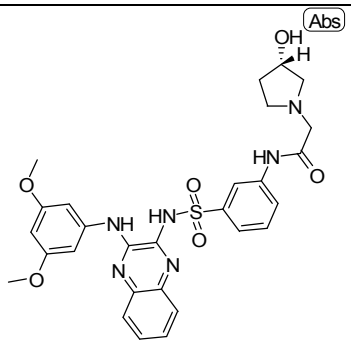
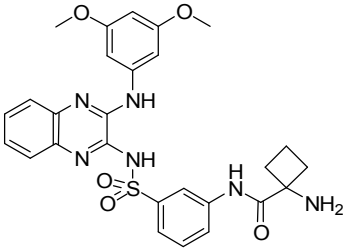
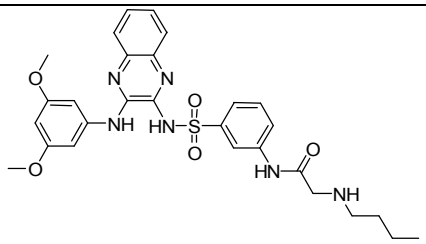
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
384		*N*-(3-{[(3-{[2-brom-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
385		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-propylglysinamid
386		N-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-L-alaninamid
387		*N*-(5-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-2-metylfenyl)-beta-alaninamid
388		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)piperidin-3-karboksamid
389		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-metyl-1,4-diazepan-1-yl)acetamid

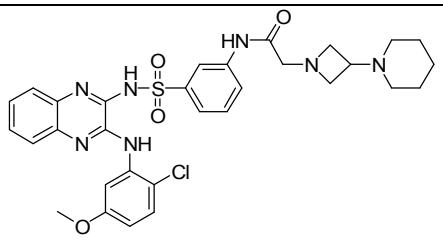
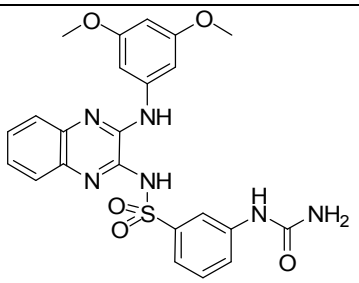
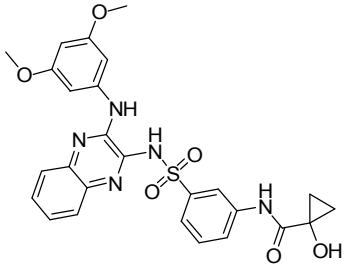
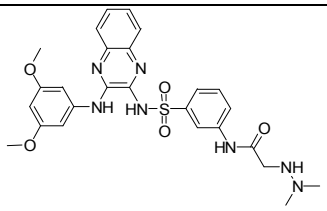
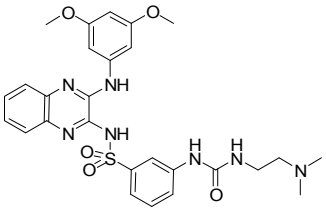
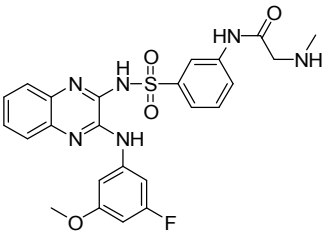
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
390		(2S)-2-amino-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)butanamid
391		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-hydroksypropyl)glysinamid
392		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-fluoretyl)glysinamid
393		3-amino-*N*-(2-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-3-yl)benzensulfonamid
394		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(2-metylpropyl)oksy]glysinamid

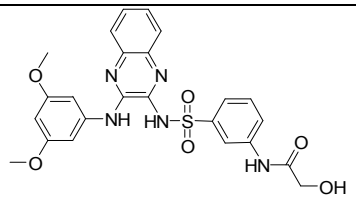
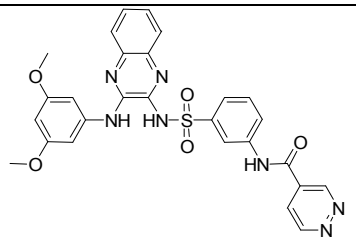
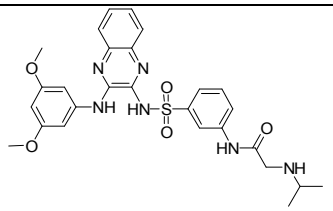
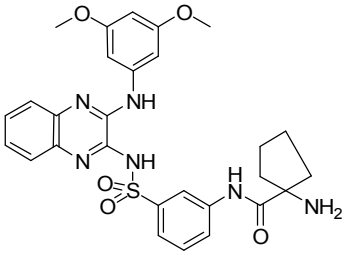
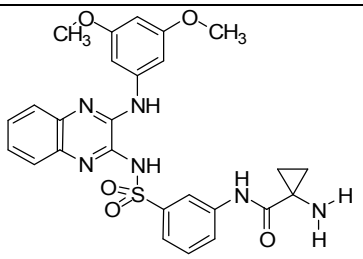
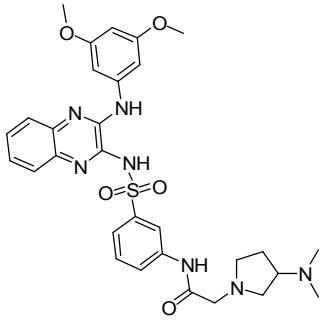
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
395		1-amino-*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)syklopropankarboksamid
396		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(formylamino)benzensulfonamid
397		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(sykloproplylmetyl)glysinamid
398		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*D*-prolinamid
399		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[3-(dimetylamino)azetidin-1-yl]acetamid
400		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-D-prolinamid

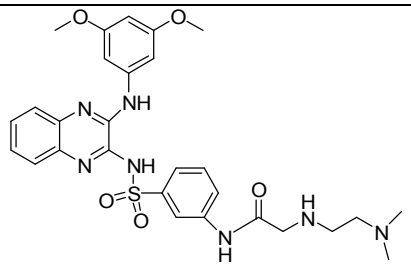
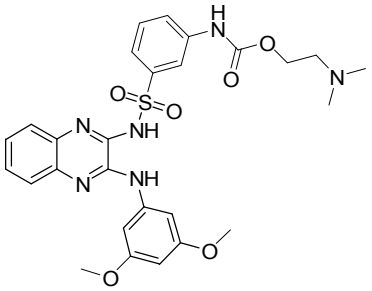
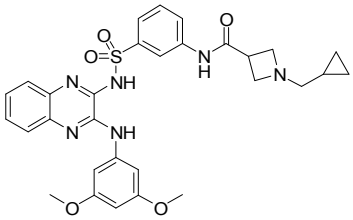
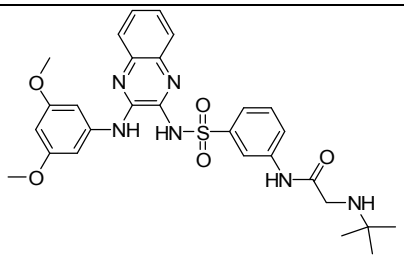
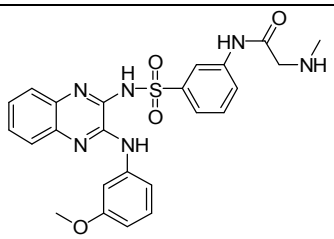
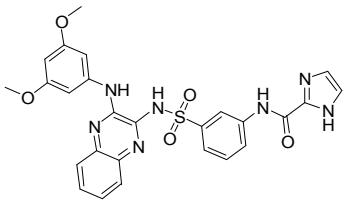
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
401		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)piperidin-2-karboksamid
402		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)morfolin-4-karboksamid
403		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-pyrrolidin-1-ylacetamid
404		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-6-,*N*-6-dimetyl-L-lysinamid
405		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etyl-*N*-2-metylglysinamid
406		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2(1*H*-imidazol-4-yl)acetamid

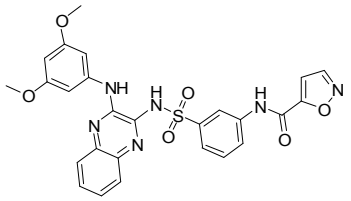
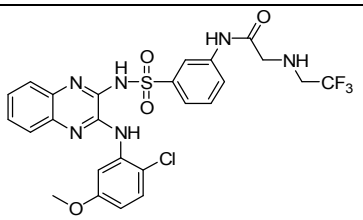
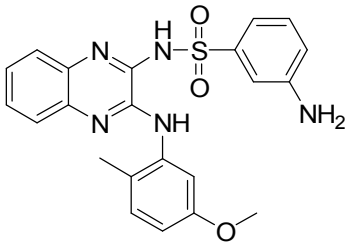
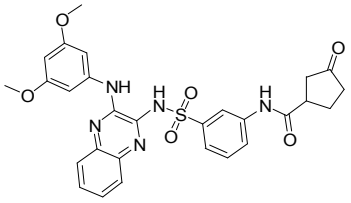
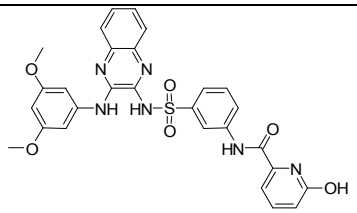
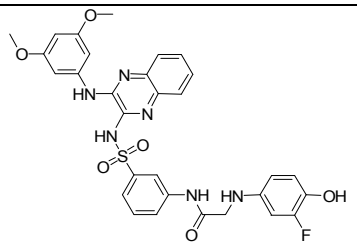
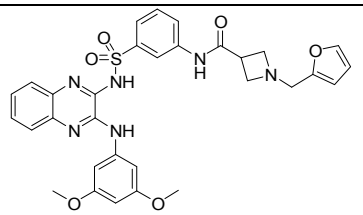
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
407		1-amino-*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)syklopentankarboksamid
408		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-metylpropyl)glysinamid
409		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etyl-*N*-2-metylglysinamid
410		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-(1*H*-imidazol-4-ylmetyl)azetidin-3-karboksamid
411		*N*-(5-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-2-metylfenyl)-*N*-2-,*N*-dimetylglysinamid
412		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-etylazetidin-3-karboksamid

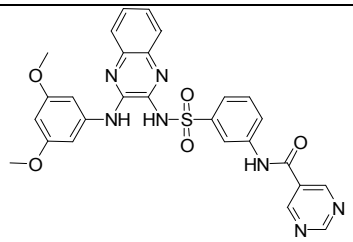
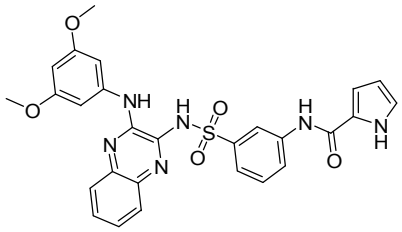
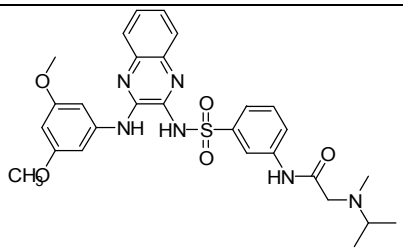
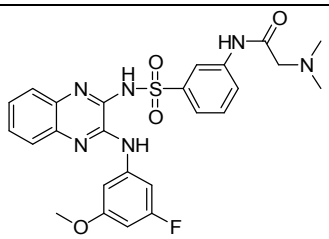
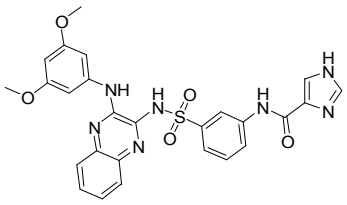
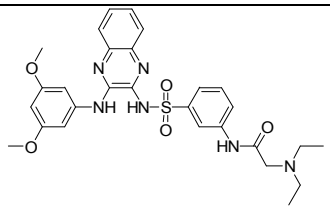
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
413		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-(1-metylpyrrolidin-3-yl)glysinamid
414		*N*-(3-{[(2-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-3-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(dimetylamino)etyl]-*N*-2-metylglysinamid
415		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[(3S)-3-hydroksypyrrolidin-1-yl]acetamid
416		1-amino-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)syklobutankarboksamid
417		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-butylglysinamid

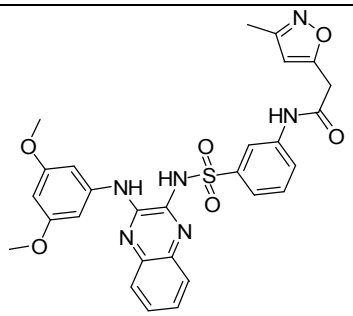
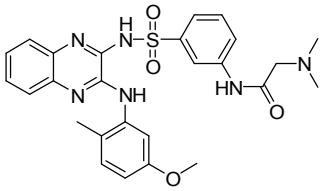
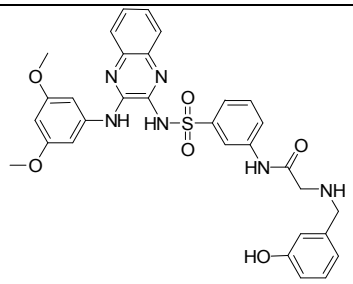
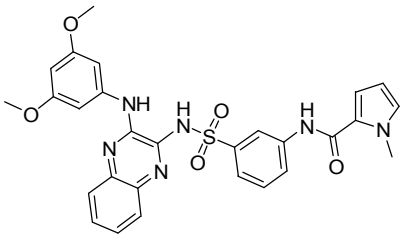
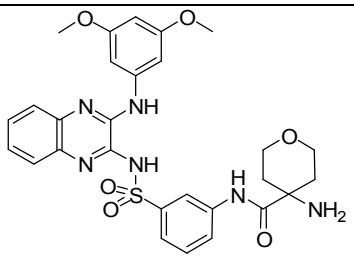
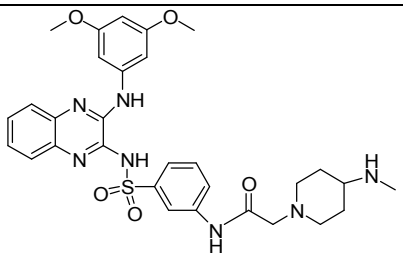
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
418		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3-piperidin-1-ylazetidin-1-yl)acetamid
419		3-[(aminokarbonyl)amino]-*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
420		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-hydroksysyklopropankarboksamid
421		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2,2-dimetylhydrazino)acetamid
422		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-[({[2-(dimetylamino)etyl]amino}karbonyl)amino]benzensulfonamid
423		*N*-(3-{[(3-{[3-fluor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metylglysinamid

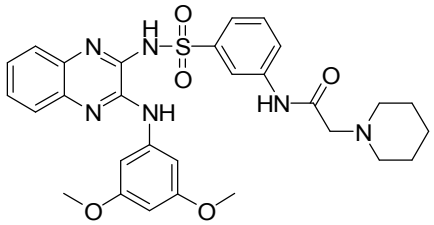
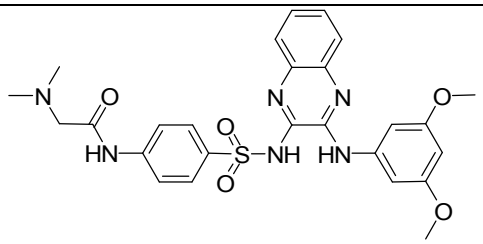
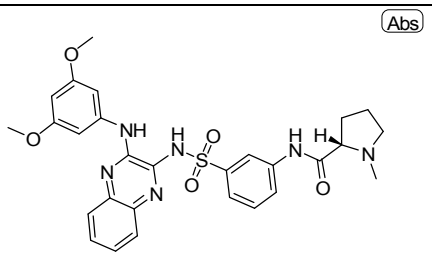
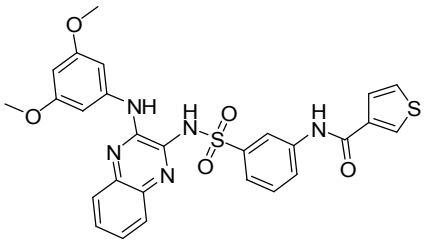
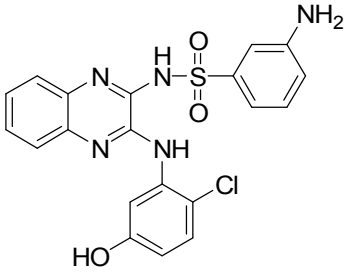
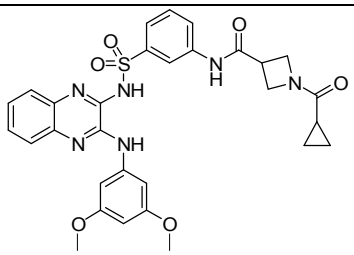
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
424		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-hydroksyacetamid
425		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pyridazin-4-karboksamid
426		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(1-metyletyl)glysinamid
427		1-amino-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)syklopentankarboksamid
428		1-amino-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)sykloropankarboksamid
429		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[3-(dimetylamino)pyrrolidin-1-yl]acetamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
430		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(dimetylamino)etyl]glysinamid
431		2-(dimetylamino)etyl(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)karbamat
432		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-(syklopropylmetyl)azetidin-3-karboksamid
433		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(1,1-dimetyletyl)glysinamid
434		*N*-2-metyl-*N*-(3-{[(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
435		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1*H*-imidazol-2-karboksamid

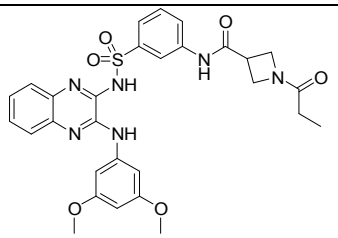
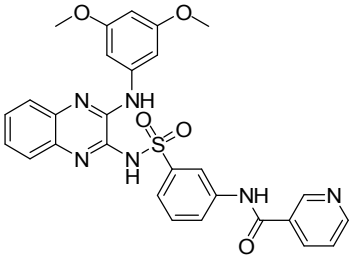
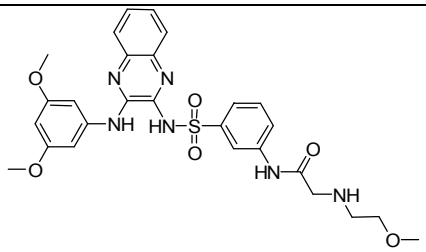
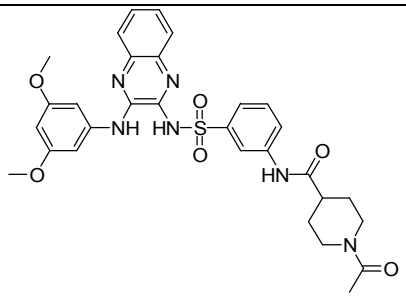
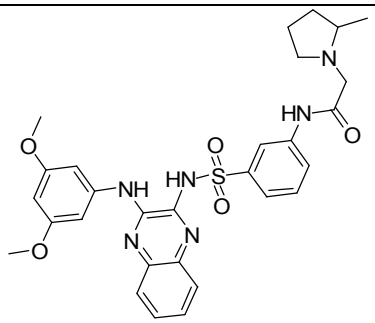
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
436		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)isoksazol-5-karboksamid
437		*N*-(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2,2,2-trifluoretyl)glysinamid
438		3-amino-*N*-(3-{[2-metyl-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
439		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-oksosyklopentankarboksamid
440		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-6-hydroksypyridin-2-karboksamid
441		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(3-fluor-4-hydroksyfenyl)glysinamid
442		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-(furan-2-ylmetyl)azetidin-3-karboksamid

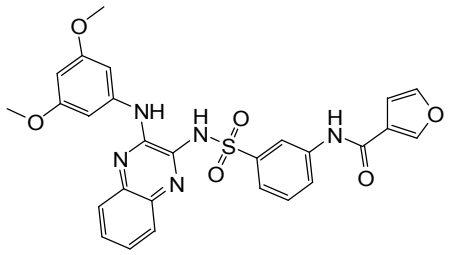
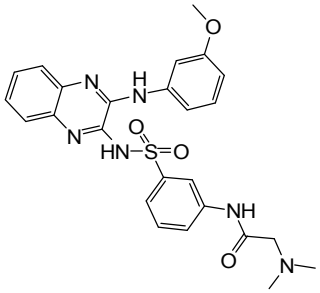
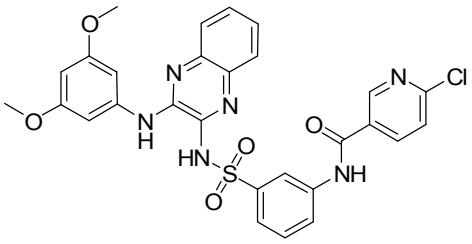
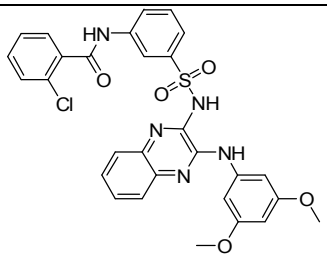
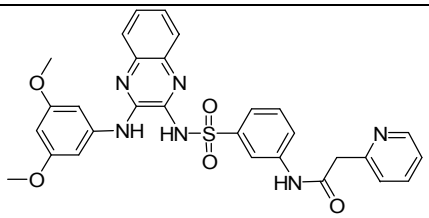
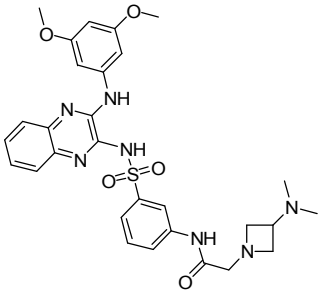
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
443		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pyrimidin-5-karboksamid
444		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1*H*-pyrrol-2-karboksamid
445		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-(1-metyletyl)glysinamid
446		*N*-(3-{[(3-{[3-fluor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
447		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1*H*-imidazol-4-karboksamid
448		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dietylglysinamid

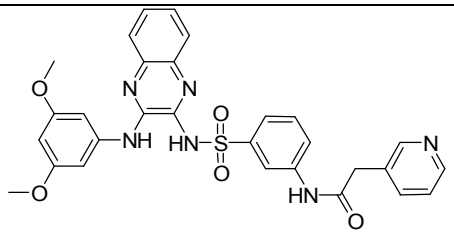
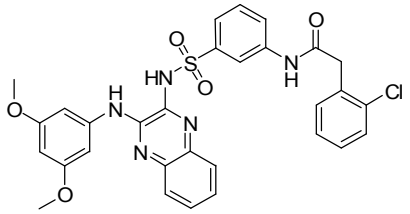
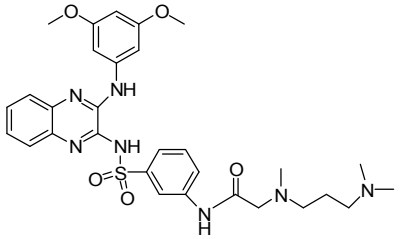
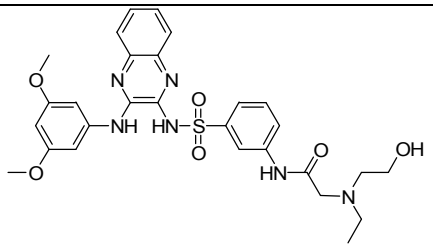
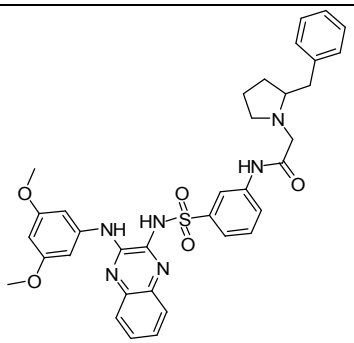
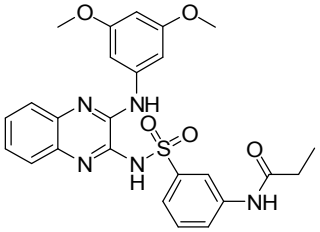
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
449		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3-metylisoksazol-5-yl)acetamid
450		*N*-2-,*N*-2-dimetyl-*N*-(3-{[(3-{[2-metyl-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
451		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(3-hydroksyfenyl)metyl]glysinamid
452		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-metyl-1*H*-pyrrol-2-karboksamid
453		4-amino-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)tetrahydro-2*H*-pyran-4-karboksamid
454		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[4-(metylamino)piperidin-1-yl]acetamid

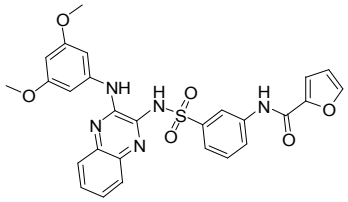
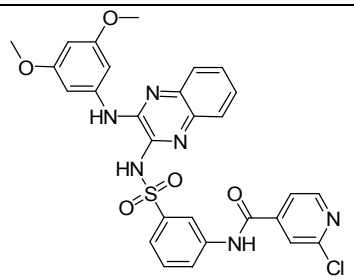
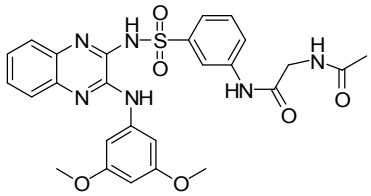
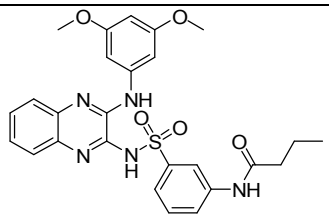
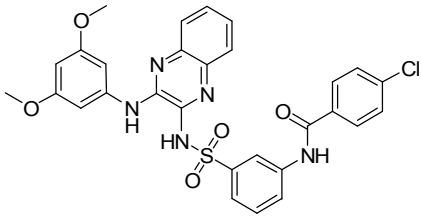
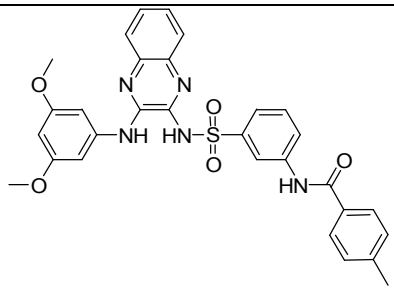
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
455		*N*-(3-{(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-piperidin-1-ylacetamid
456		*N*-(4-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
457		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-metyl-L-prolinamid
458		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)tiofen-3-karboksamid
459		3-amino-*N*-{3-[(2-klor-5-hydroksyfenyl)amino]kinoksalin-2-yl}benzensulfonamid
460		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-(syklopropylkarbonyl)azetidin-3-karboksamid

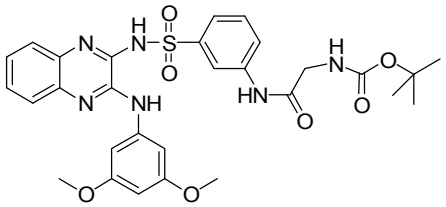
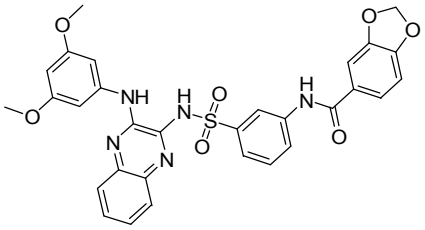
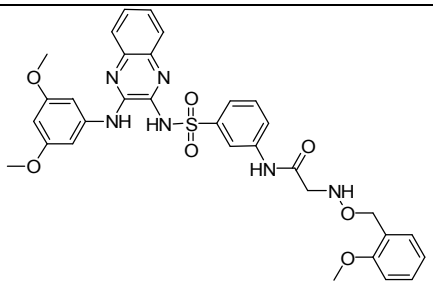
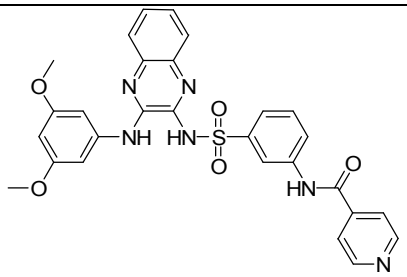
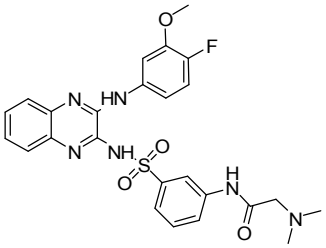
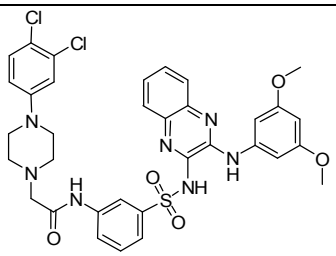
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
461		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-metylpiperazin-1-yl)acetamid
462		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-(fenylmetyl)azetidin-3-karboksamid
463		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-klorpyridin-3-karboksamid
464		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-pyridin-4-ylacetamid
465		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-prop-2-en-1-ylglysinamid
466		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(fenylmetyl)glysinamid
467		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(metoksy)acetamid

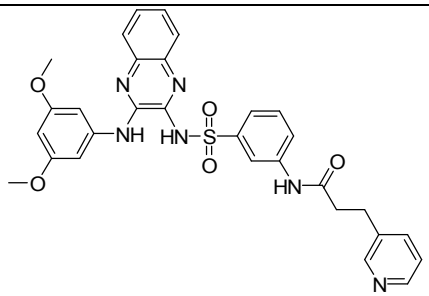
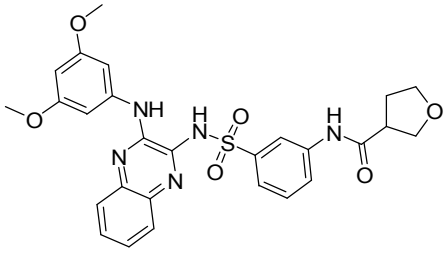
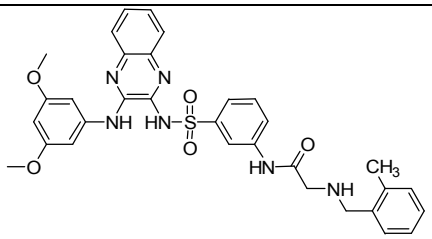
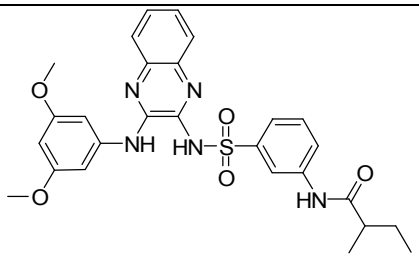
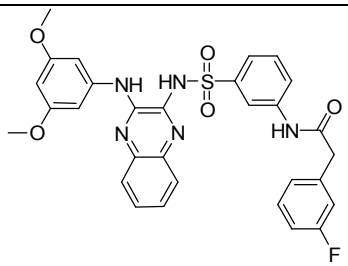
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
468		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-propanoylazetidin-3-karboksamid
469		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pyridin-3-karboksamid
470		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(metoksy)etyl]glysinamid
471		1-acetyl-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)piperidin-4-karboksamid
472		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-metylpyrrolidin-1-yl)acetamid

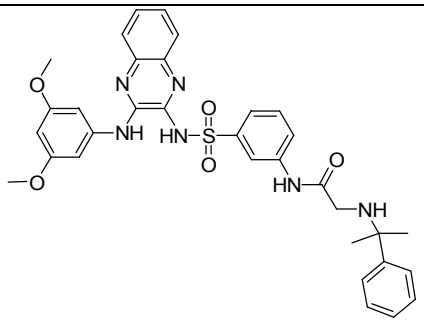
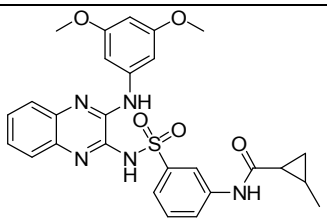
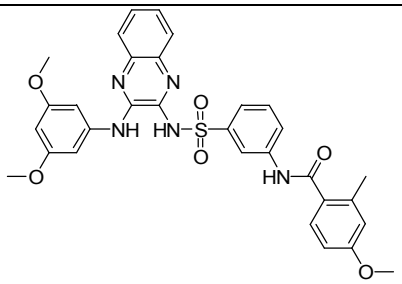
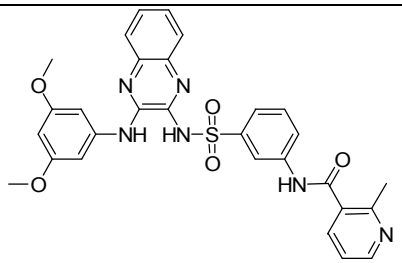
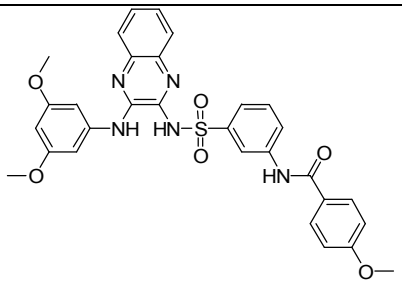
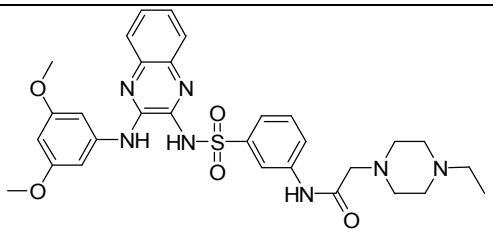
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
473		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)furan-3-karboksamid
474		*N*-2-,*N*-2-dimetyl-*N*-(3-{[(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
475		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-6-klorpyridin-3-karboksamid
476		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-klorbenzamid
477		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-pyridin-2-ylacetamid
478		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[3-(dimetylamino)azetidin-1-yl]acetamid

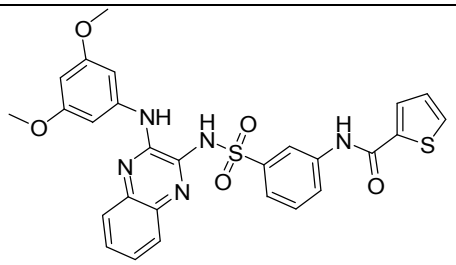
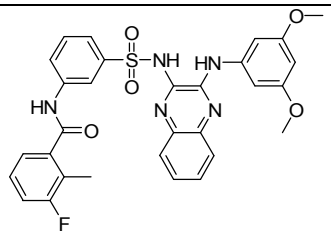
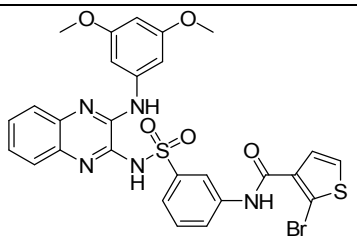
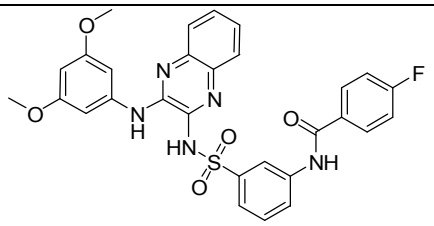
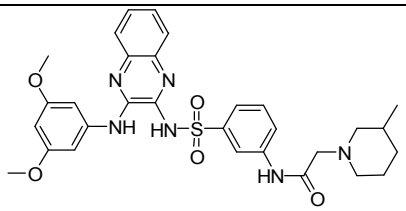
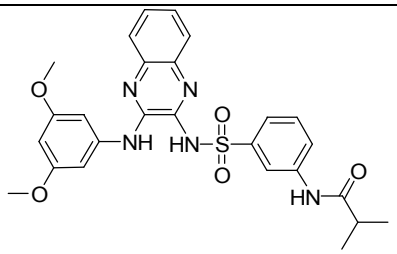
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
479		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-pyridin-3-ylacetamid
480		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-klorfenyl)acetamid
481		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[3-(dimetylamino)propyl]-*N*-2-metylglysinamid
482		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etyl-*N*-2-(2-hydroksyetyl)glysinamid
483		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[2-(fenylmetyl)pyrrolidin-1-yl]acetamid
484		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)propanamid

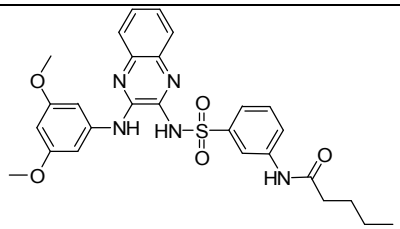
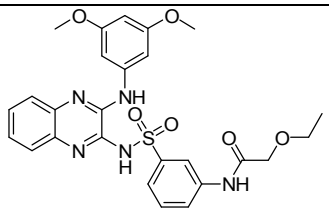
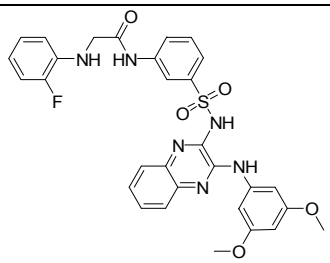
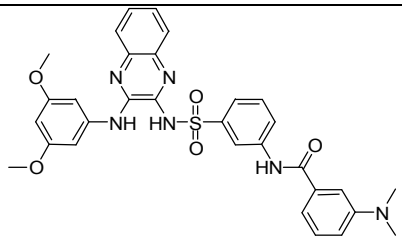
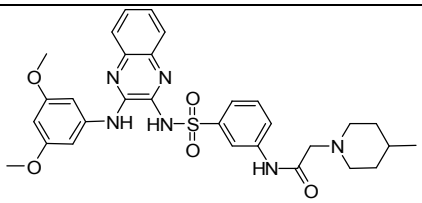
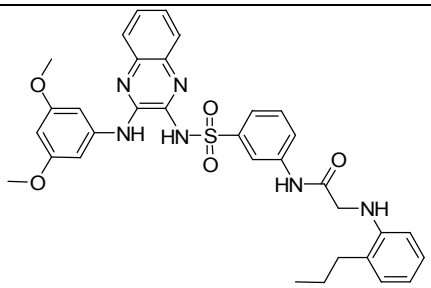
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
485		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)furan-2-karboksamid
486		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-klorpyridin-4-karboksamid
487		*N*-2-acetyl-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)glysinamid
488		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)butanamid
489		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-klorbenzamid
490		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-metylbenzamid

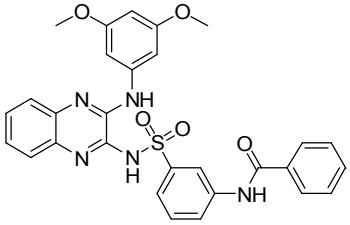
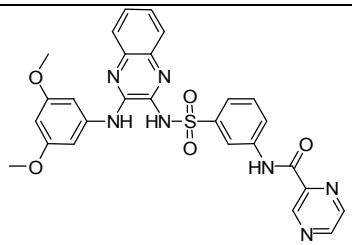
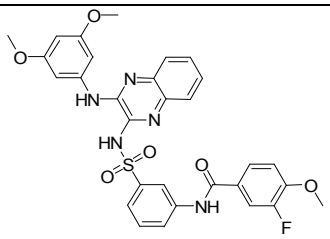
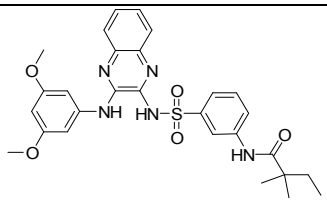
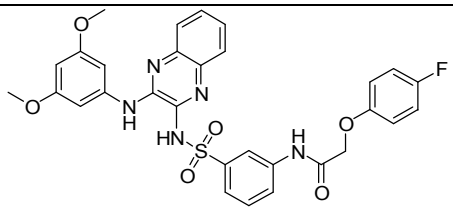
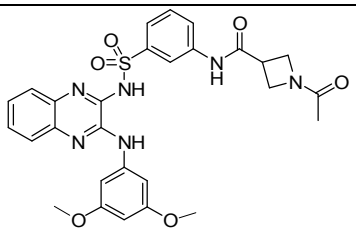
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
491		1,1-dimetyletyl{2-[(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)amino]-2-oksoetyl}karbamat
492		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1,3-benzodioksol-5-karboksamid
493		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-({[2-(metoksy)fenyl]metyl}oksy)glysinamid
494		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pyridin-4-karboksamid
495		*N*-(3-{[(3-{[4-fluor-3-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-,*N*-2-dimetylglysinamid
496		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[4-(3,4-diklorfenyl)piperazin-1-yl]acetamid

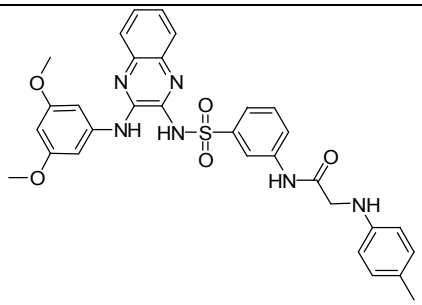
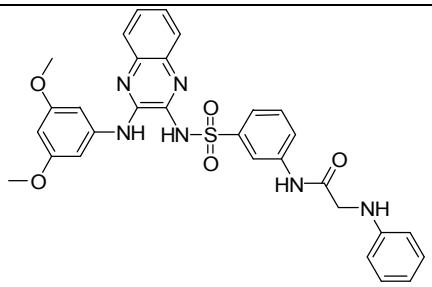
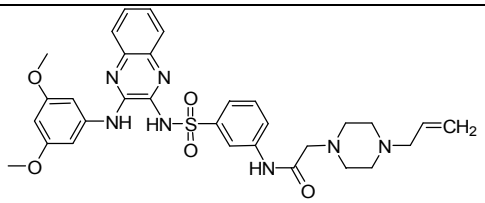
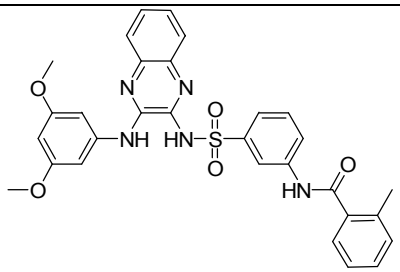
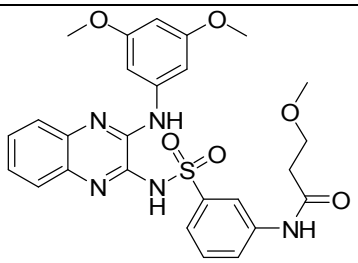
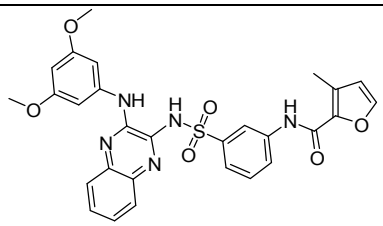
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
497		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-pyridin-3-ylpropanamid
498		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)tetrahydrofuran-3-karboksamid
499		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(2-metylfenyl)metyl]glysinamid
500		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylbutanamid
501		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3-fluorfenyl)acetamid

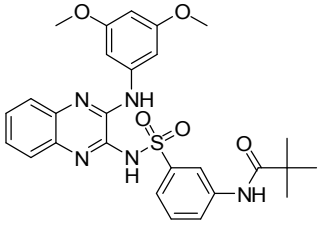
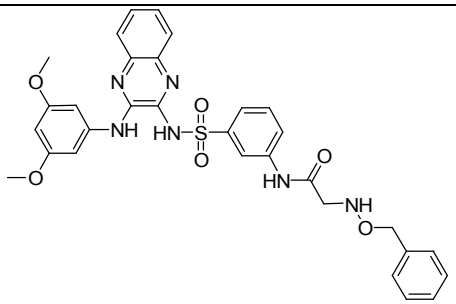
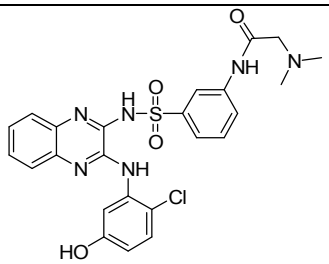
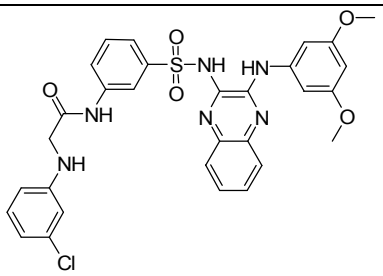
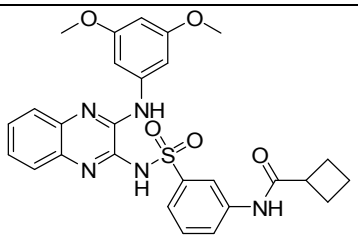
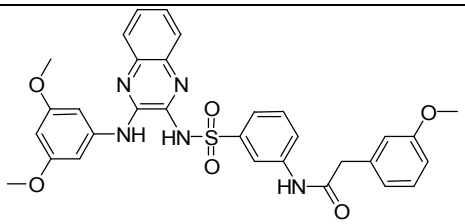
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
502		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(1-metyl-1-fenyletyl)glysinamid
503		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylsyklopropankarboksamid
504		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metyl-4-(metoksy)benzamid
505		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylpyridin-3-karboksamid
506		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-(metoksy)benzamid
507		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-etylpiperazin-1-yl)acetamid

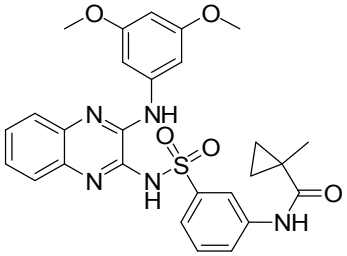
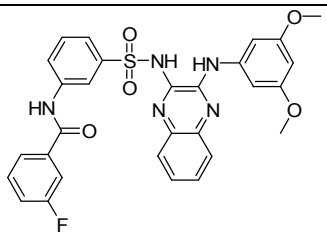
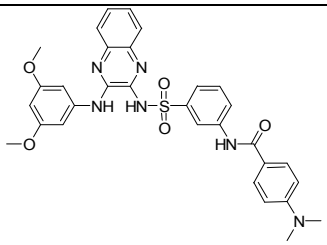
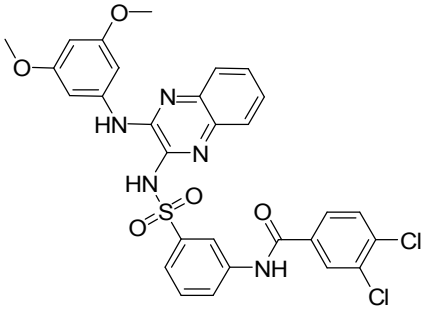
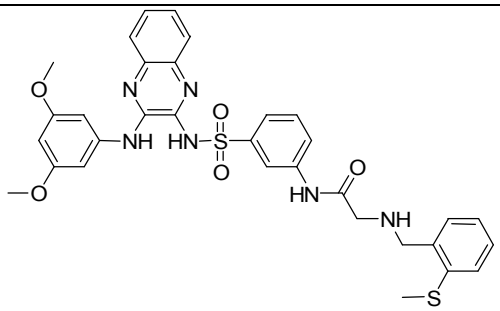
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
508		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)tiofen-2-karboksamid
509		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-fluor-2-metylbenzamid
510		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-bromtiofen-3-karboksamid
511		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-fluorbenzamid
512		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3-metylpiperidin-1-yl)acetamid
513		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylpropanamid

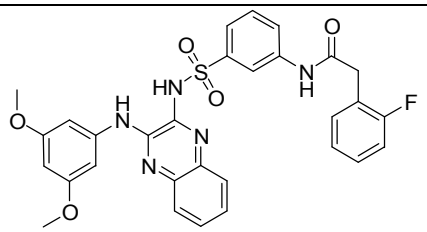
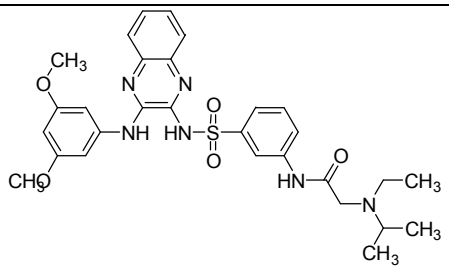
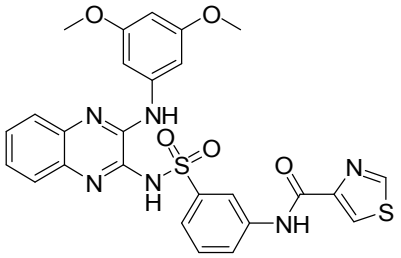
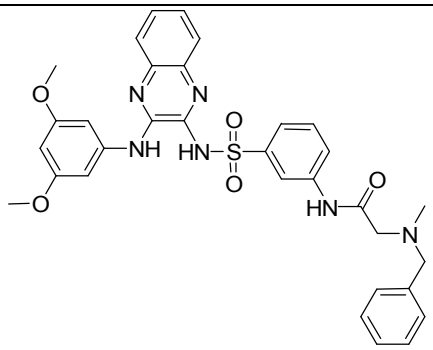
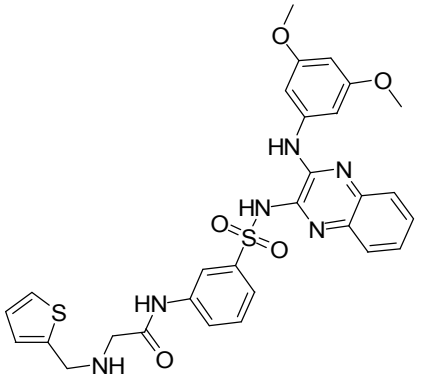
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
514		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pentanamid
515		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(etyloksy)acetamid
516		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-fluorfenyl)glysinamid
517		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-(dimetylamino)benzamid
518		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-metylpiperidin-1-yl)acetamid
519		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-propylfenyl)glysinamid

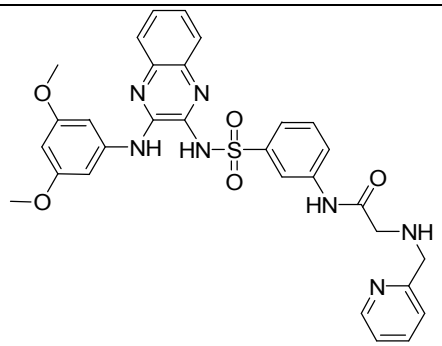
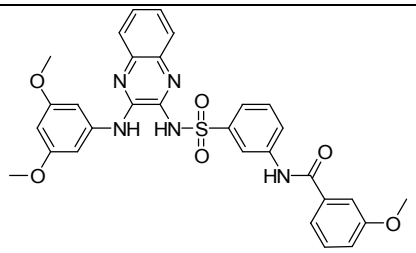
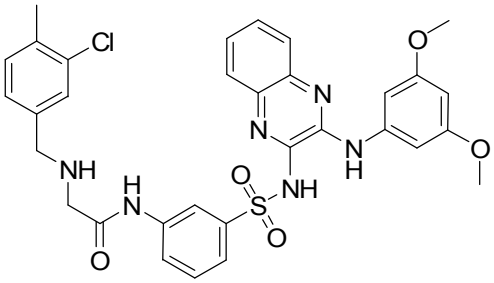
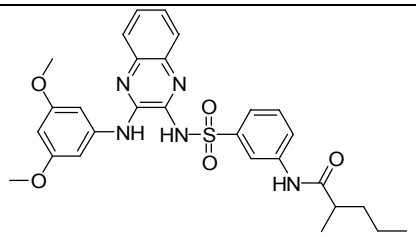
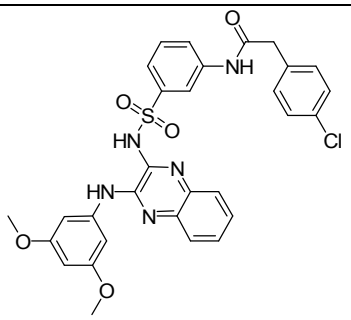
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
520		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)benzamid
521		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pyrazin-2-karboksamid
522		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-fluor-4-(metoksy)benzamid
523		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2,2-dimetylbutanamid
524		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[(4-fluorfenyl)oksy]acetamid
525		1-acetyl-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)azetidin-3-karboksamid

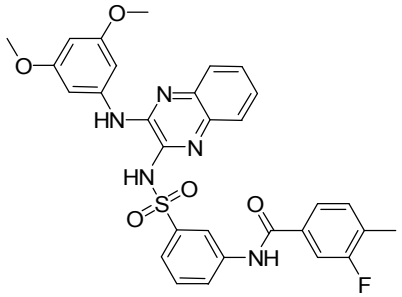
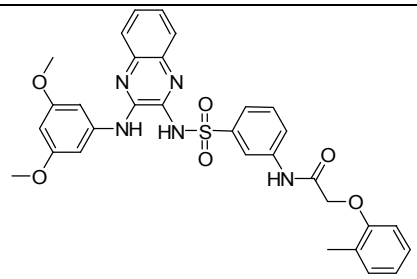
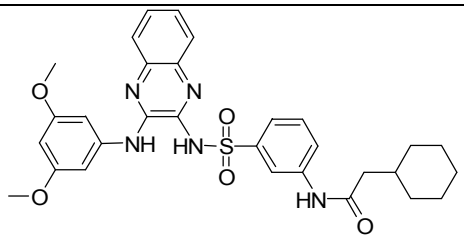
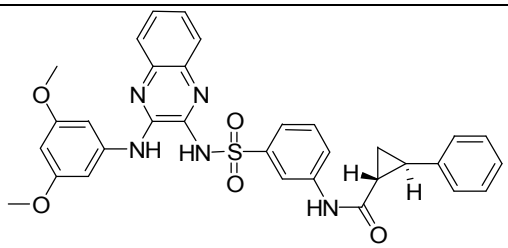
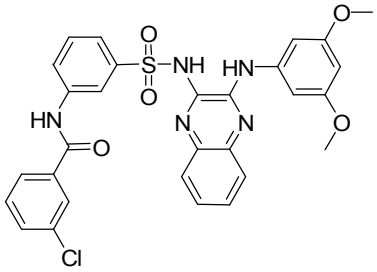
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
526		*N*-3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(4-metylfenyl)glysinamid
527		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-fenylglysinamid
528		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-prop-2-en-1-ylpiperazin-1-yl)acetamid
529		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylbenzamid
530		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-(metoksy)propanamid
531		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-metylfuran-2-karboksamid

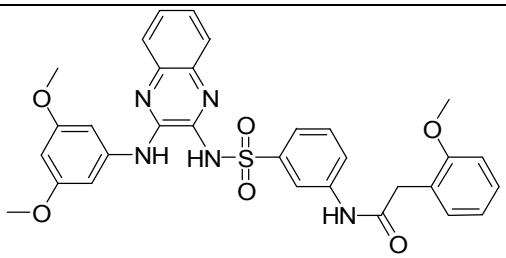
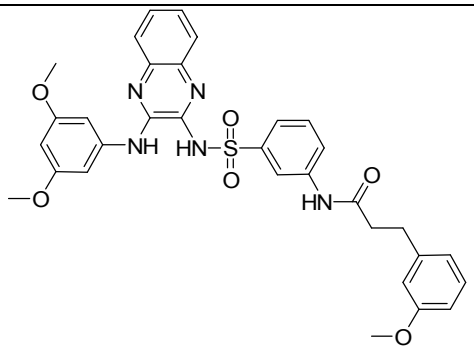
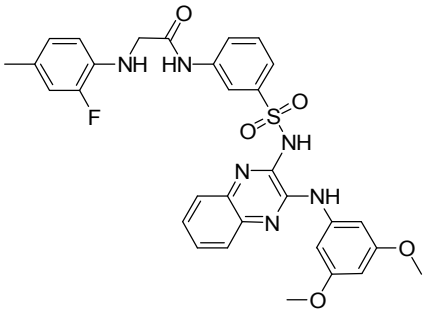
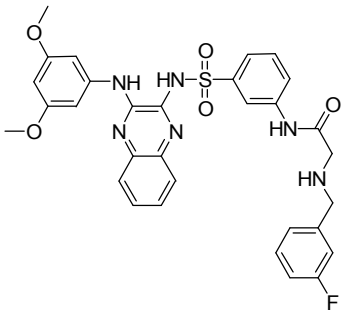
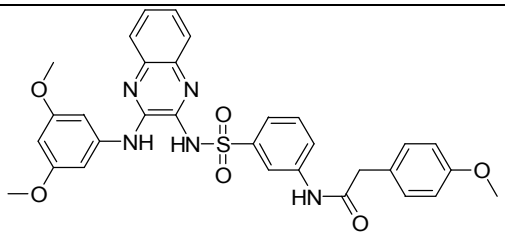
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
532		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2,2-dimetylpropanamid
533		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(fenylmetyl)oksy]glysinamid
534		*N*-{3-[({3-[(2-klor-5-hydroksyfenyl)amino]kinoksalin-2-yl}amino)sulfonyl]fenyl}-*N*-2-,*N*-2-dimetylglysinamid
535		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(3-klorfenyl)glysinamid
536		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)syklobutankarboksamid
537		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[3-(metoksy)fenyl]acetamid

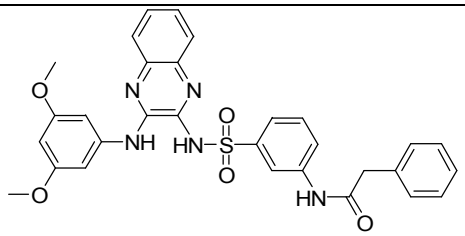
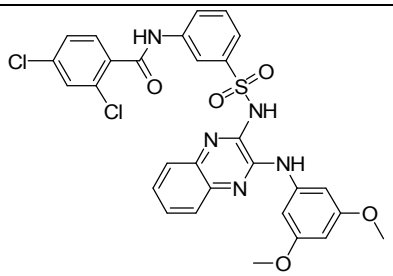
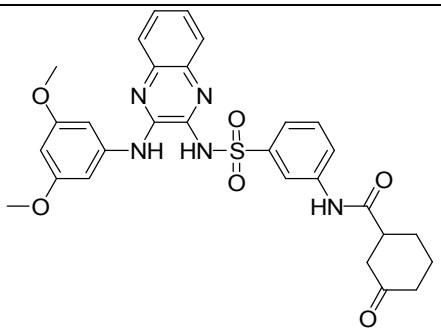
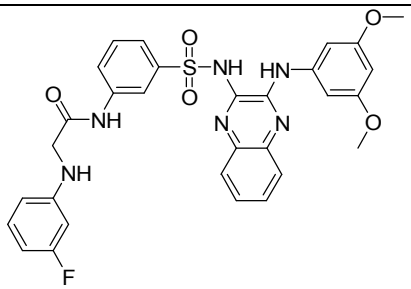
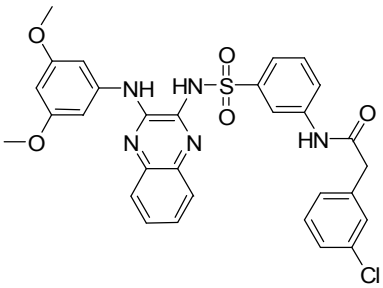
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
538		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-metylsyklopropankarboksamid
539		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-fluorbenzamid
540		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-(dimetylamino)benzamid
541		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3,4-diklorbenzamid
542		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-{[2-(metyltio)fenyl]metyl}glysinamid

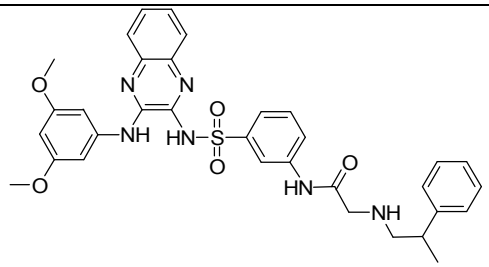
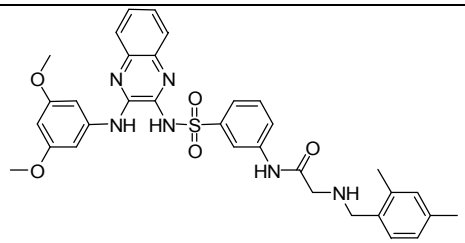
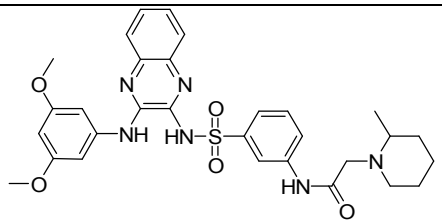
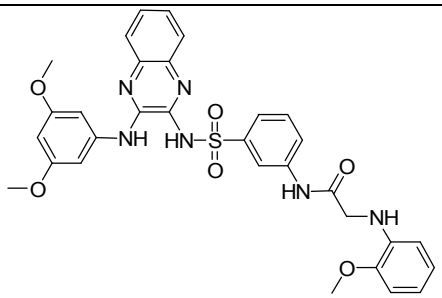
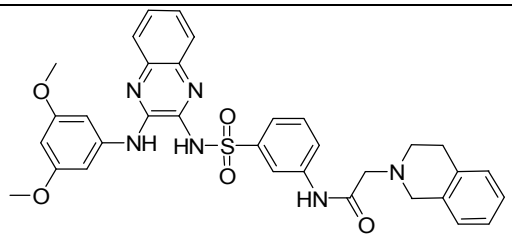
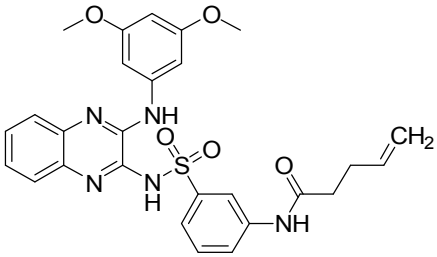
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
543		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-fluorfenyl)acetamid
544		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etyl-*N*-2-(1-metyletyl)glysinamid
545		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1,3-tiazol-4-karboksamid
546		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-(fenylmetyl)glysinamid
547		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-tienylmetyl)glysinamid

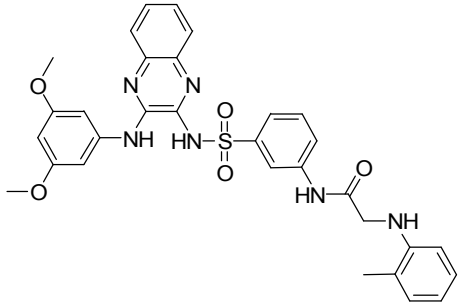
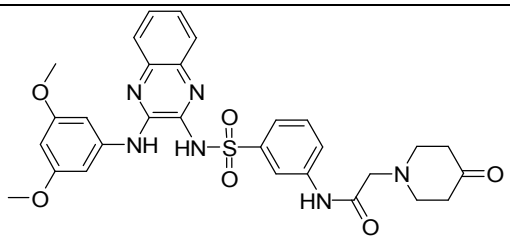
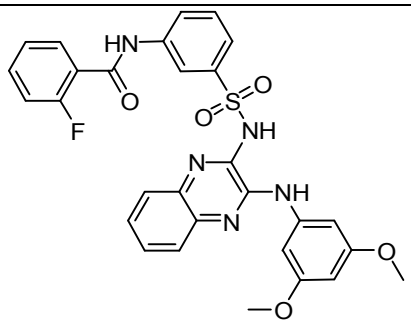
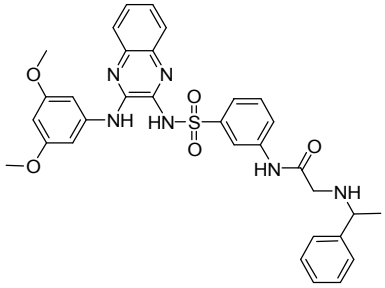
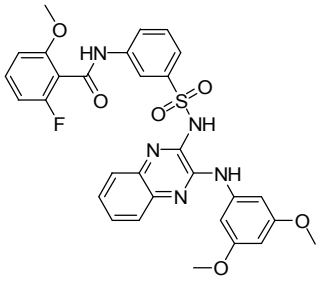
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
548		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(pyridin-2-ylmetyl)glysinamid
549		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-(metoksy)benzamid
550		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(3-klor-4-metylfenyl)metyl]glysinamid
551		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-metylpentanamid
552		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-klorfenyl)acetamid

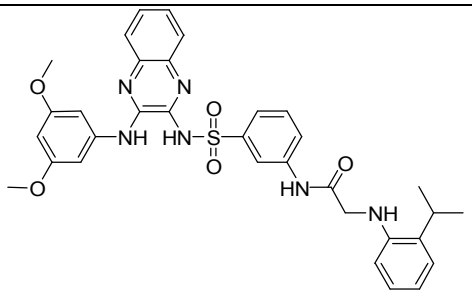
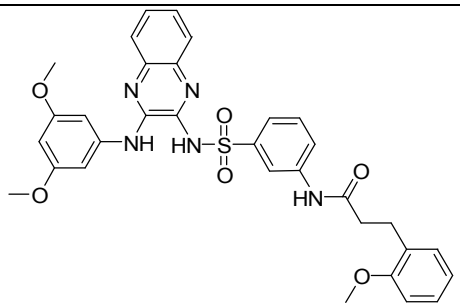
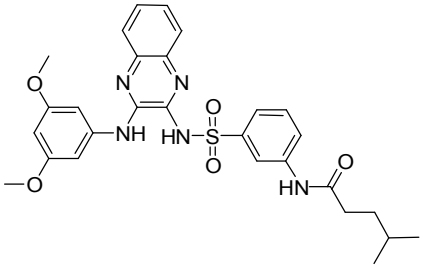
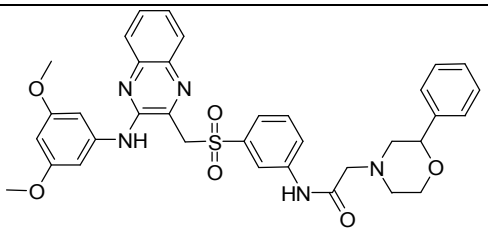
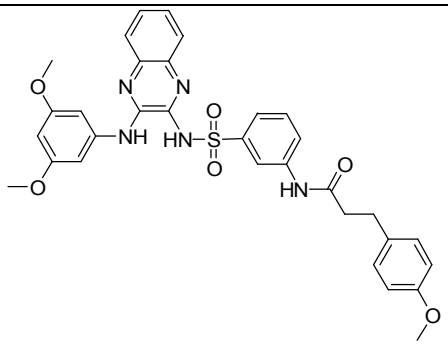
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
553		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-fluor-4-metylbenzamid
554		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[(2-metylfenyl)oksy]acetamid
555		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-sykloheksylacetamid
556		(1R,2R)-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-fenylsyklopropankarboksamid
557		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-klorbenzamid

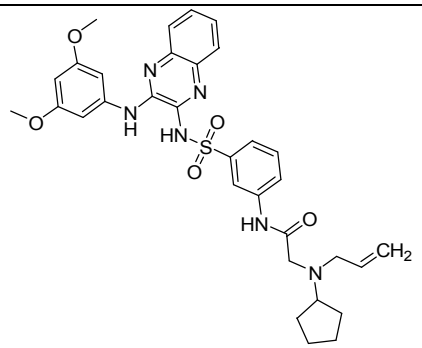
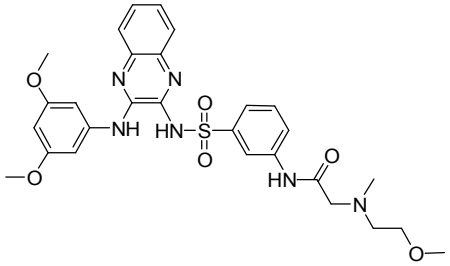
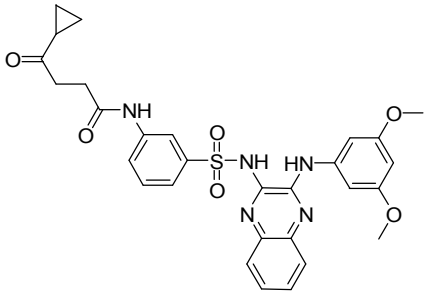
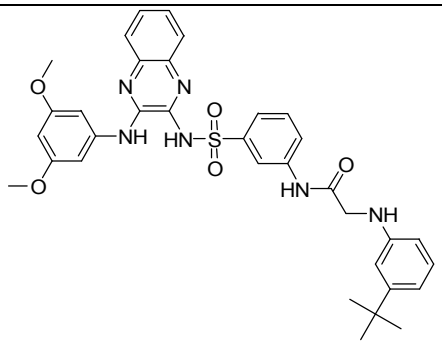
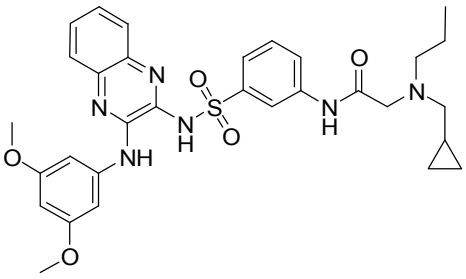
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
558		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[2-(metoksy)fenyl]acetamid
559		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-[3-(metoksy)fenyl]propanamid
560		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-fluor-4-metylfenyl)glysinamid
561		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(3-fluorfenyl)metyl]glysinamid
562		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[4-(metoksy)fenyl]acetamid

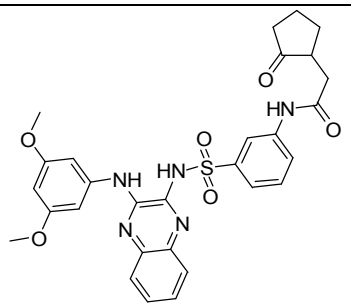
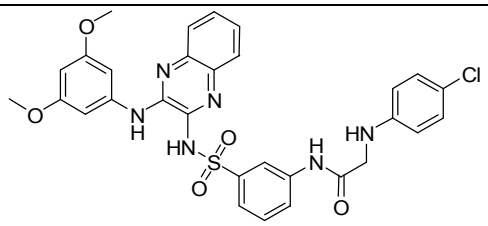
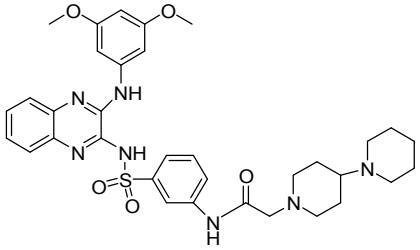
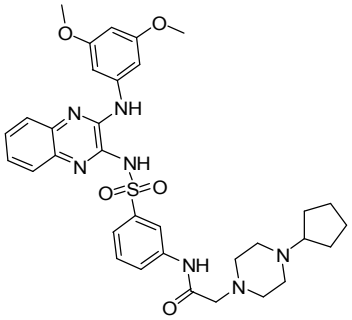
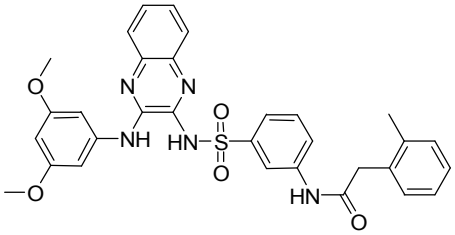
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
563		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-fenylacetamid
564		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2,4-diklorbenzamid
565		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-oksosykloheksankarboksamid
566		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(3-fluorfenyl)glysinamid
567		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3-klorfenyl)acetamid

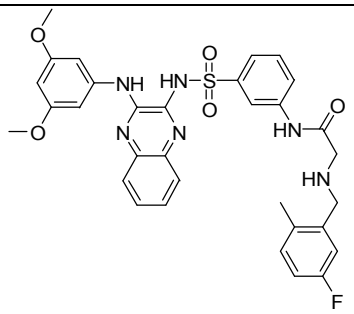
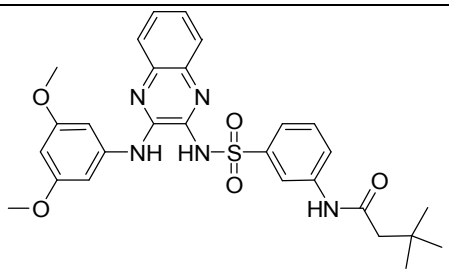
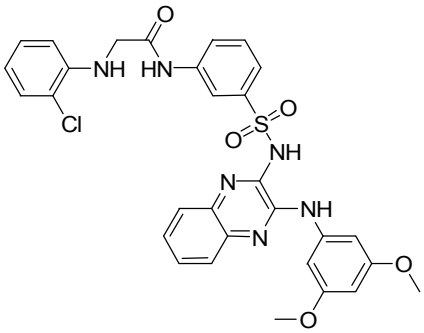
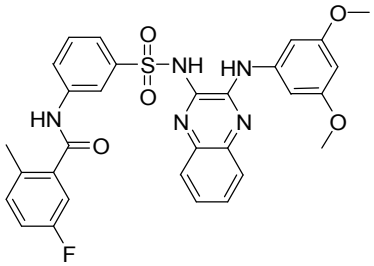
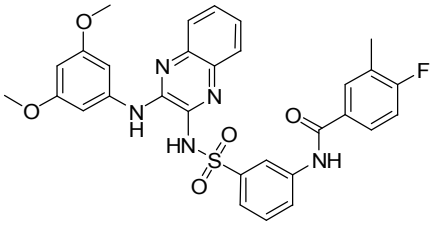
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
568		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-fenylpropyl)glysinamid
569		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(2,4-dimetylfenyl)metyl]glysinamid
570		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-metylpiperidin-1-yl)acetamid
571		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(metoksy)fenyl]glysinamid
572		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(3,4-dihydroisokinolin-2(1*H*)-yl)acetamid
573		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)pent-4-enamid

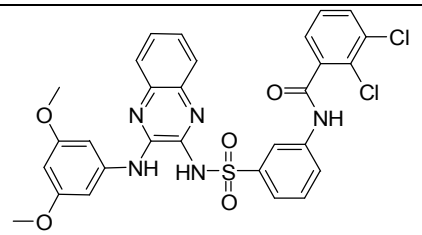
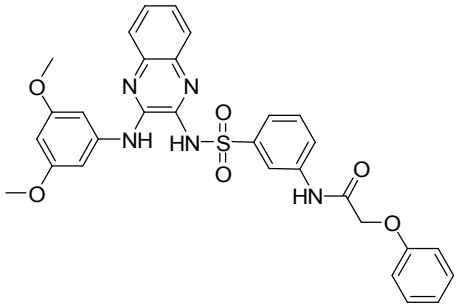
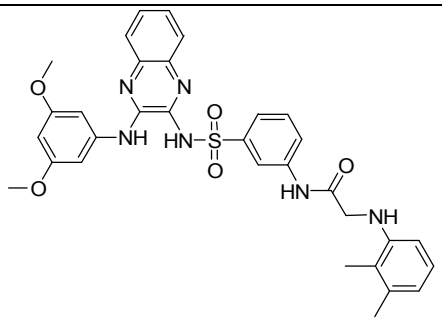
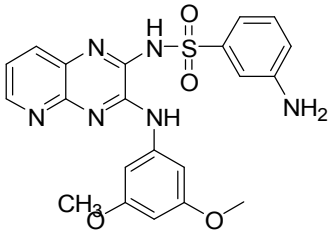
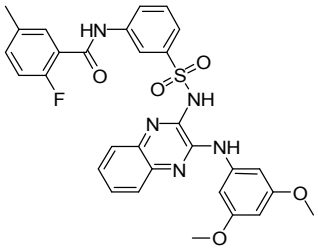
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
574		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2-metylfenyl)glysinamid
575		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-oksopiperidin-1-yl)acetamid
576		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-fluorbenzamid
577		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(1-fenyletyl)glysinamid
578		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-fluor-6-(metoksy)benzamid

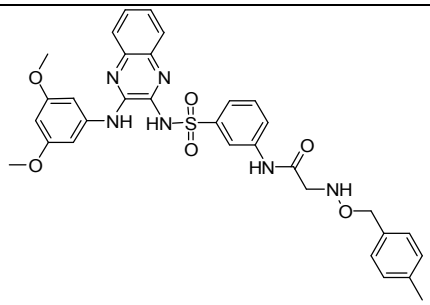
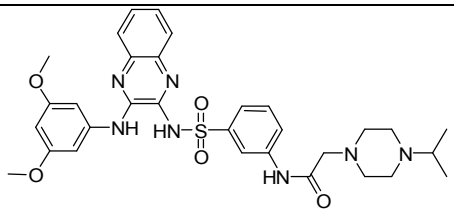
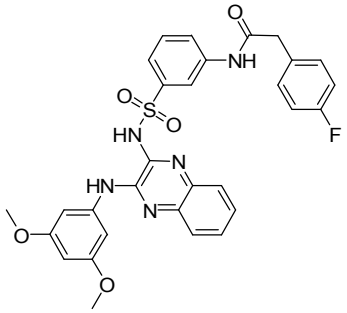
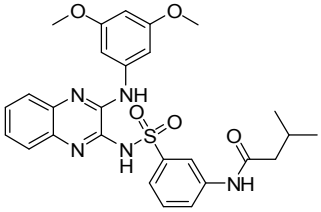
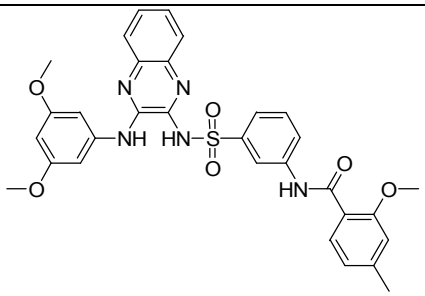
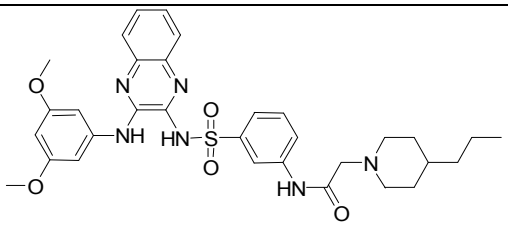
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
579		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(1-metyletyl)fenyl]glysinamid
580		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-[2-(metoksy)fenyl]propanamid
581		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-metylpentanamid
582		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-fenylmorfolin-4-yl)acetamid
583		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-[4-(metoksy)fenyl]propanamid

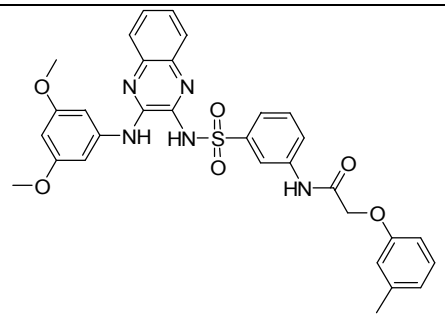
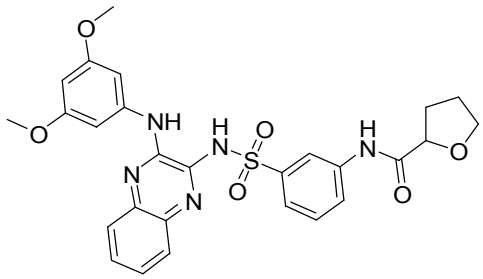
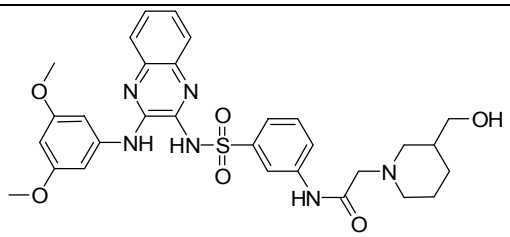
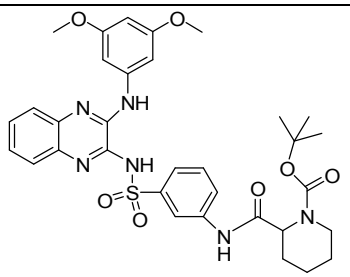
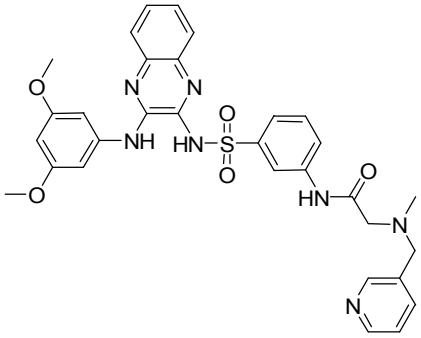
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
584		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-syklopentyl-*N*-2-prop-2-en-1-ylglysinamid
585		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-[2-(metoksy)etyl]glysinamid
586		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-syklopropyl-4-oksobutanamid
587		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[3-(1,1-dimetyletyl)fenyl]glysinamid
588		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(syklopropylmetyl)-*N*-2-propylglysinamid

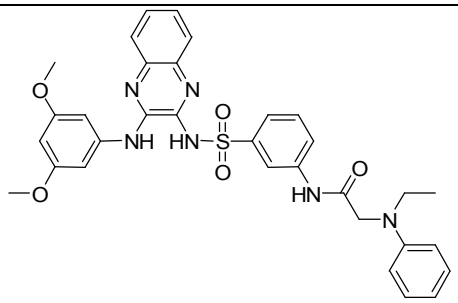
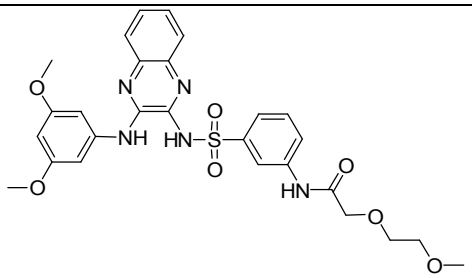
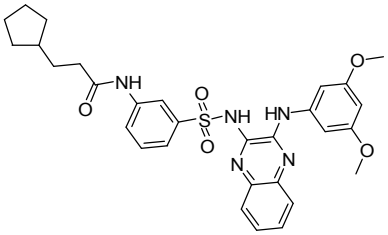
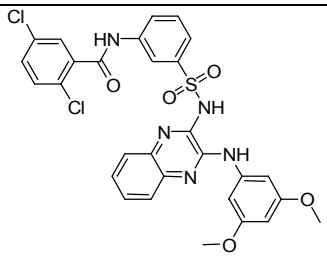
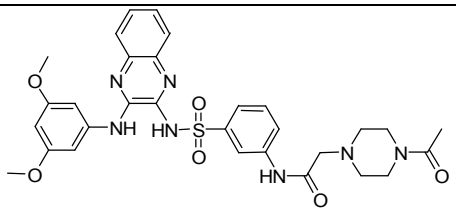
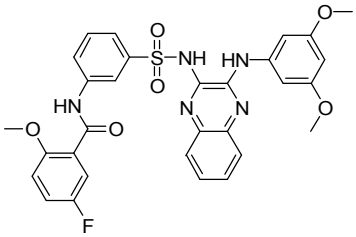
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
589		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-oksosyklopentyl)acetamid
590		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(4-klorfenyl)glysinamid
591		2-(1,4'-bipiperidin-1'-yl)-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
592		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-syklopentylpiperazin-1-yl)acetamid
593		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-metylfenyl)acetamid

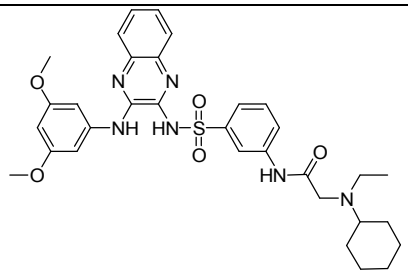
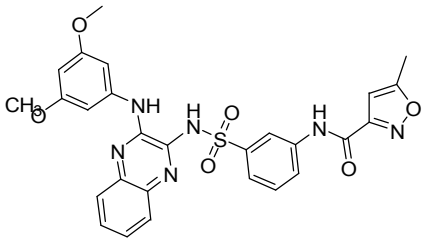
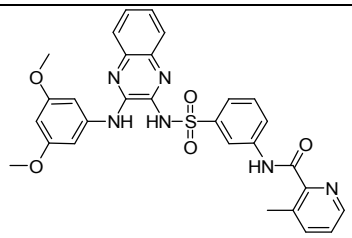
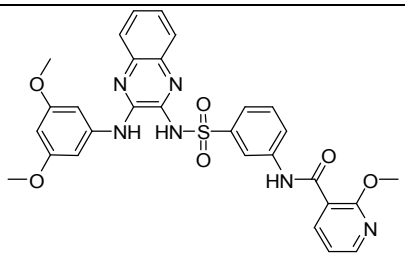
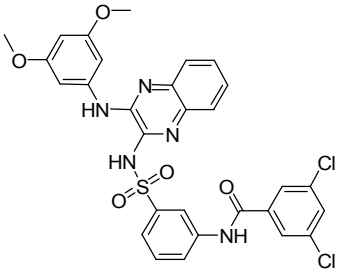
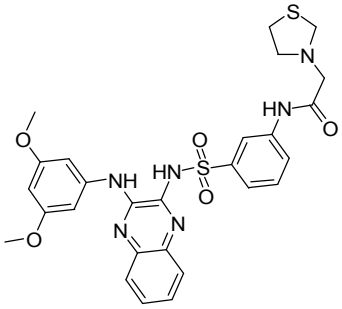
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
594		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-[(5-fluor-2-metylfenyl)metyl]glysinamid
595		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3,3-dimetylbutanamid
596		*N*-(3-{[(3-{[3,5-bis(metyloksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-N^2-(2-klorfenyl)glysinamid
597		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-5-fluor-2-metylbenzamid
598		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-fluor-3-metylbenzamid

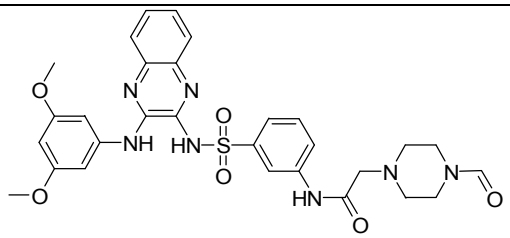
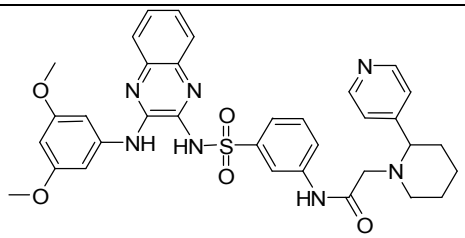
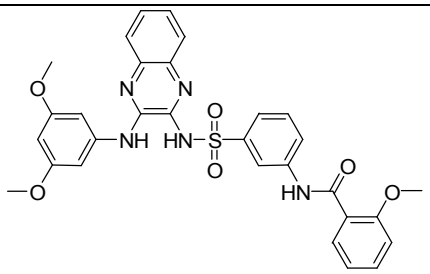
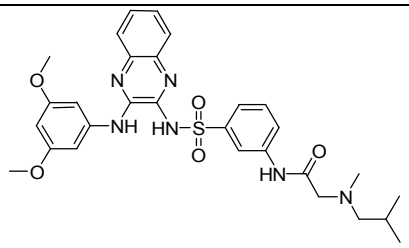
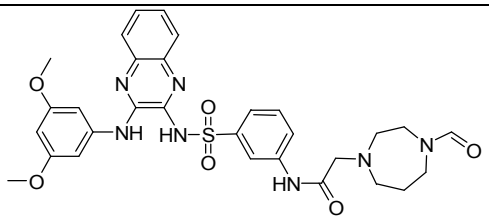
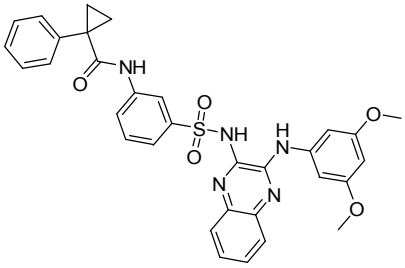
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
599		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2,3-diklorbenzamid
600		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(fenyloksy)acetamid
601		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-(2,3-dimetylfenyl)glysinamid
602		3-amino-*N*-(3-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzensulfonamid
603		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-fluor-5-metylbenzamid

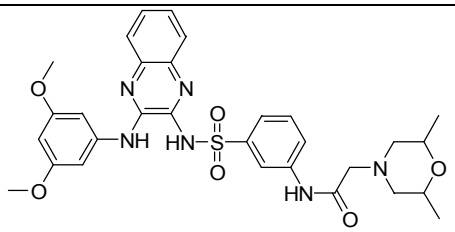
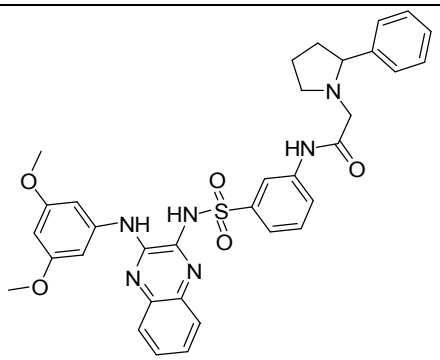
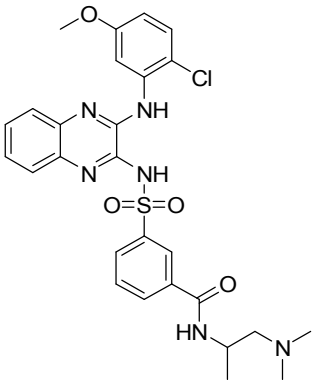
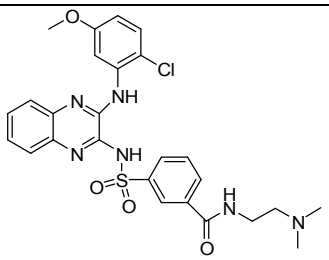
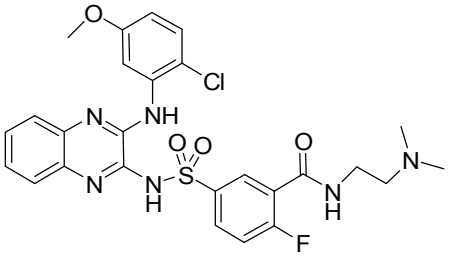
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
604		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-{[(4-metylfenyl)metyl]oksy}glysinamid
605		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[4-(1-metyletyl)piperazin-1-yl]acetamid
606		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-fluorfenyl)acetamid
607		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-metylbutanamid
608		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-metyl-2-(metoksy)benzamid
609		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-propylpiperidin-1-yl)acetamid

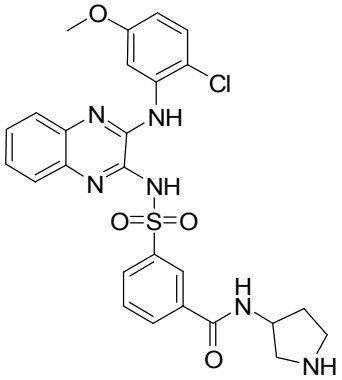
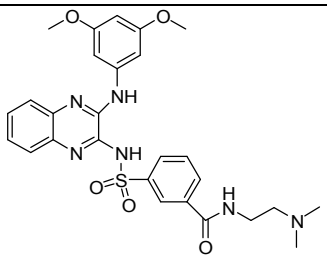
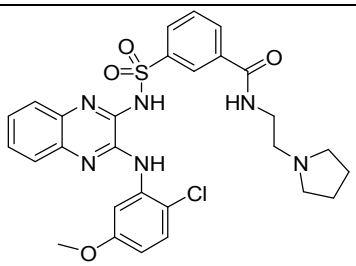
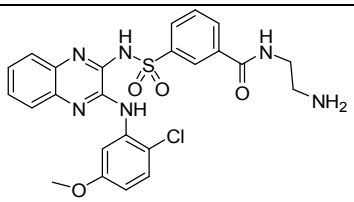
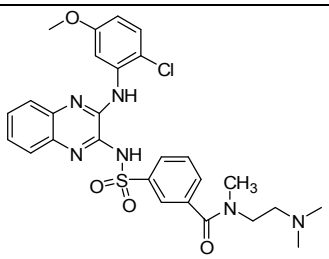
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
610		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[(3-metylfenyl)oksy]acetamid
611		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)tetrahydrofuran-2-karboksamid
612		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[3-(hydroksymetyl)piperidin-1-yl]acetamid
613		1,1-dimetyletyl2- {[(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)amino]karbonyl} piperidin-1-karboksylat
614		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-(pyridin-3-ylmetyl)glysinamid

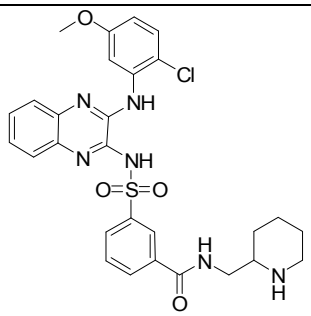
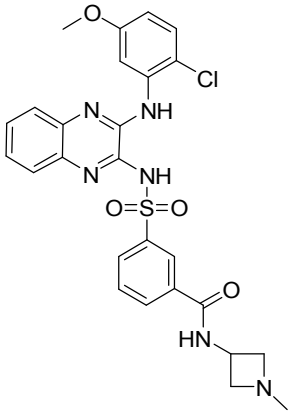
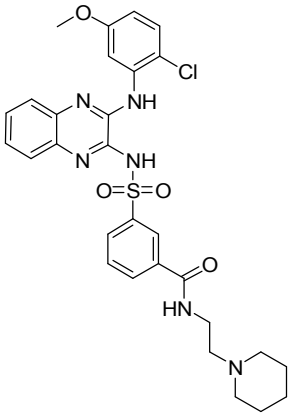
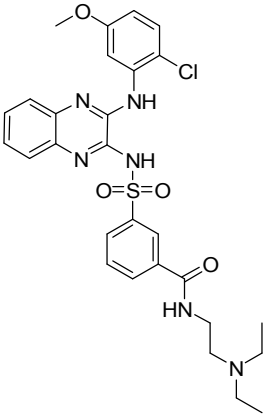
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
615		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-etyl-*N*-2-fenylglysinamid
616		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-{[2-(metoksy)etyl]oksy}acetamid
617		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-syklopentylpropanamid
618		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2,5-diklorbenzamid
619		2-(4-acetylpiperazin-1-yl)-*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
620		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-5-fluor-2-(metoksy)benzamid

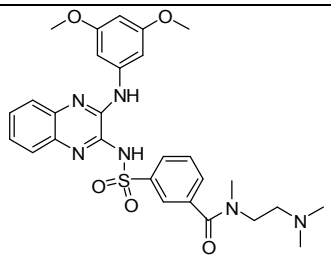
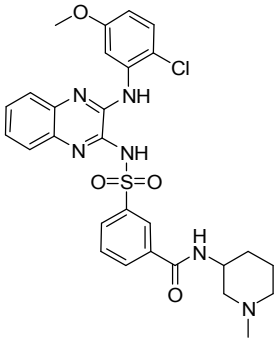
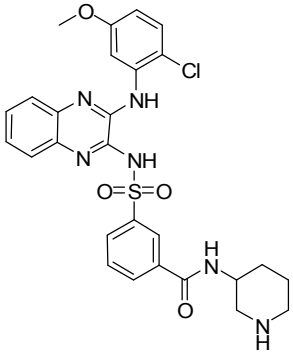
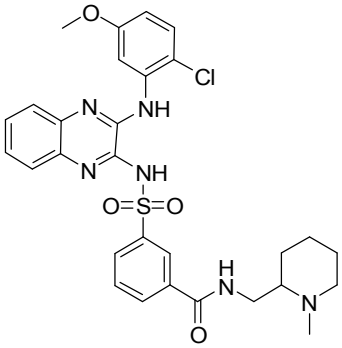
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
621		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-sykloheksyl-*N*-2-etylglysinamid
622		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-5-metylisoksazol-3-karboksamid
623		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3-metylpyridin-2-karboksamid
624		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(metoksy)pyridin-3-karboksamid
625		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-3,5-diklorbenzamid
626		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(1,3-tiazolidin-3-yl)acetamid

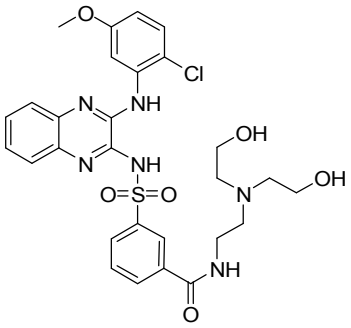
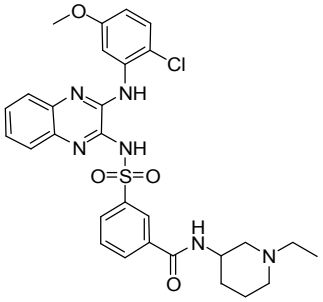
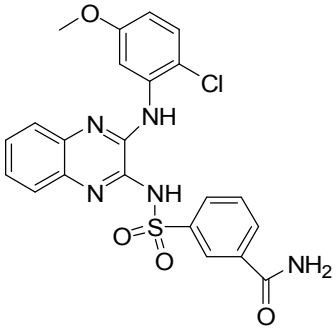
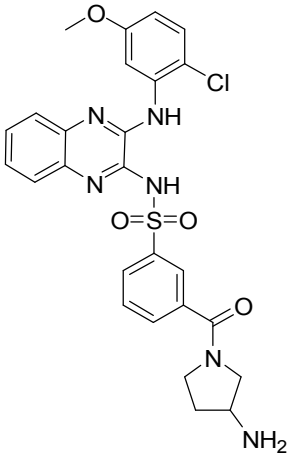
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
627		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-formylpiperazin-1-yl)acetamid
628		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-pyridin-4-ylpiperidin-1-yl)acetamid
629		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(metoksy)benzamid
630		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-*N*-2-metyl-*N*-2-(2-metylpropyl)glysinamid
631		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamid
632		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-1-fenylsyklopropankarboksamid

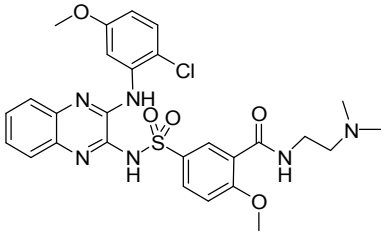
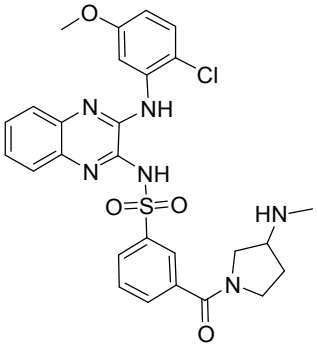
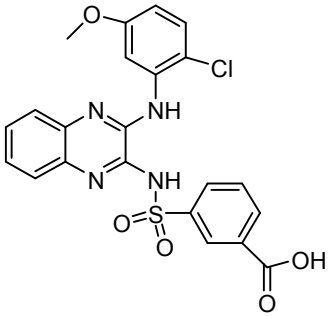
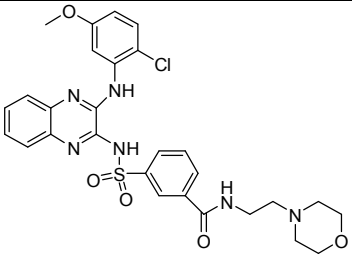
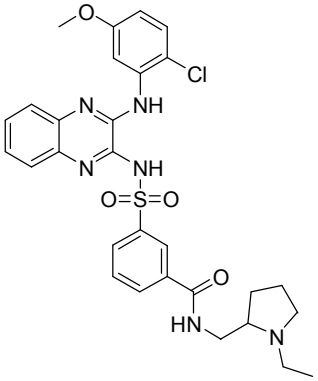
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
633		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2,6-dimetylmorfolin-4-yl)acetamid
634		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-(2-fenylpyrrolidin-1-yl)acetamid
635		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)-1-metyletyl]benzamid
636		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]benzamid
637		5-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]-2-fluorbenzamid

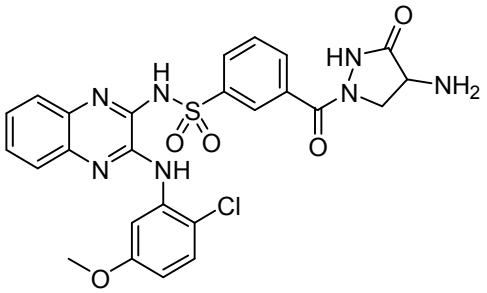
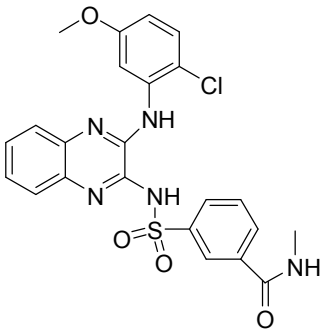
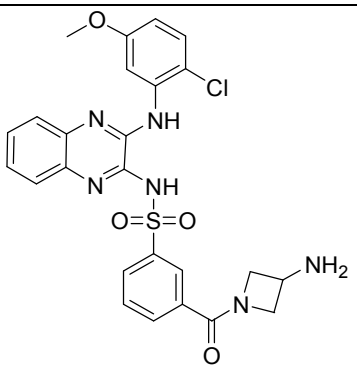
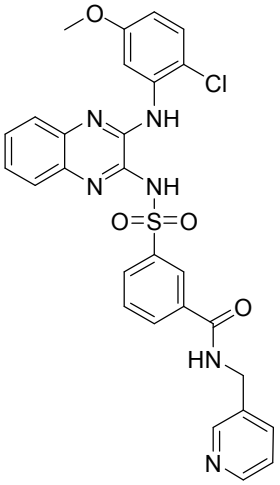
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
638		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-pyrrolidin-3-ylbenzamid
639		3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]benzamid
640		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-pyrrolidin-1-yletyl)benzamid
641		*N*-(2-aminoetyl)-3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzamid
642		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]-*N*-metylbenzamid

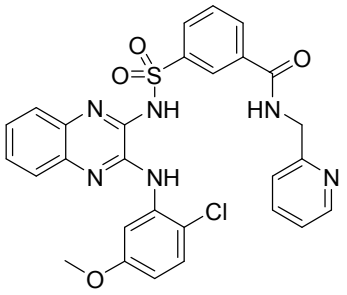
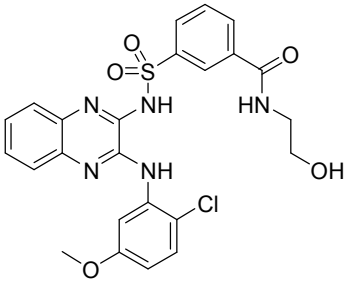
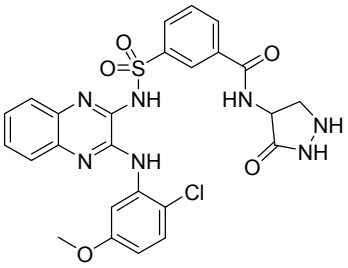
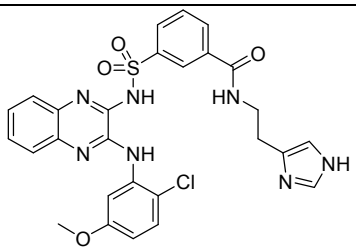
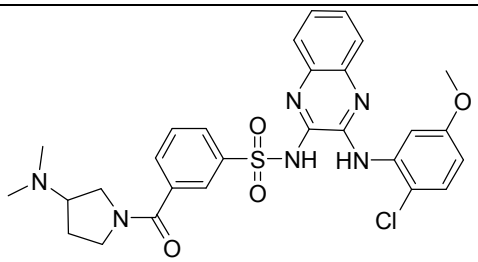
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
643		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(piperidin-2-ylmetyl)benzamid
644		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1-metylazetidin-3-yl)benzamid
645		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-piperidin-1-yletyl)benzamid
646		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dietylamino)etyl]benzamid

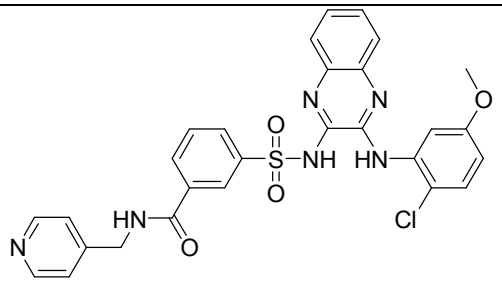
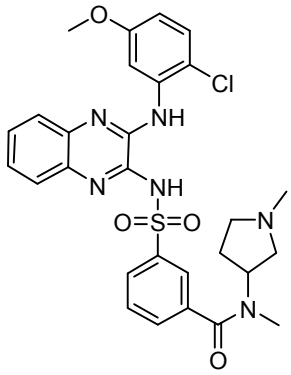
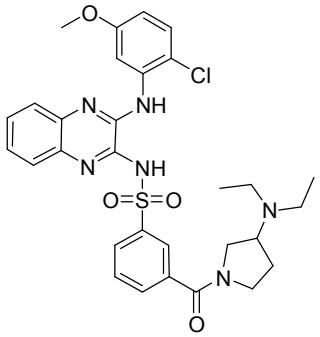
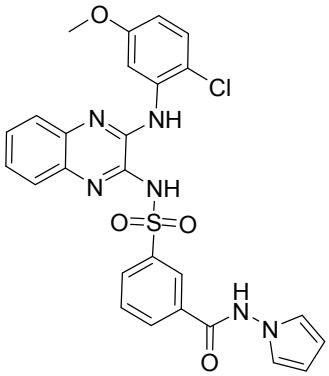
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
647		3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]-*N*-metylbenzamid
648		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1-metylpiperidin-3-yl)benzamid
649		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-piperidin-3-ylbenzamid
650		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[(1-metylpiperidin-2-yl)metyl]benzamid

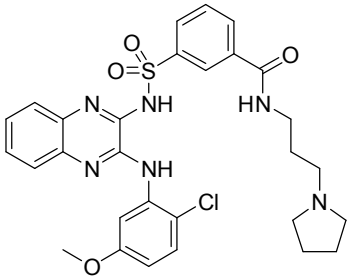
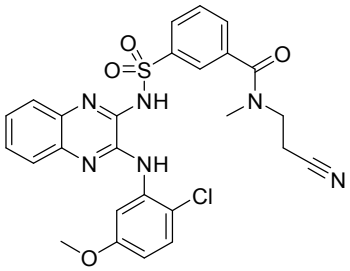
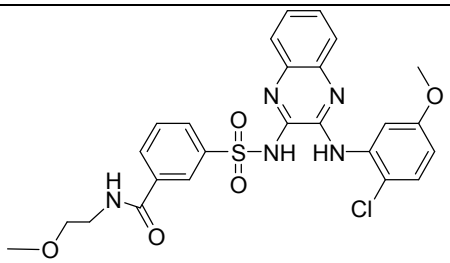
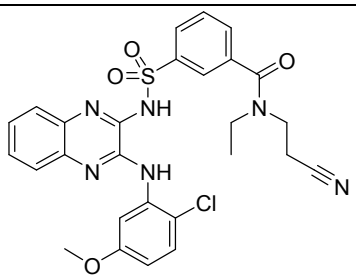
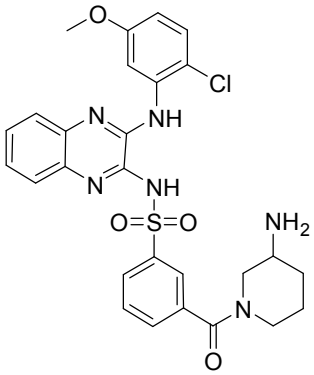
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
651		*N*-{2-[bis(2-hydroksyetyl)amino]etyl}-3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzamid
652		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1-etylpiperidin-3-yl)benzamid
653		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzamid
654		3-[(3-aminopyrrolidin-1-yl)karbonyl]-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

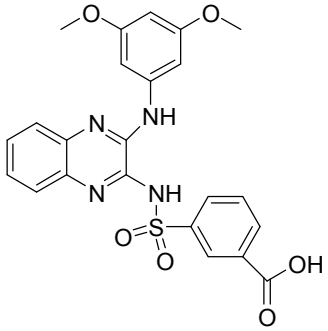
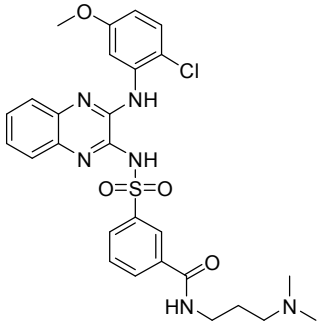
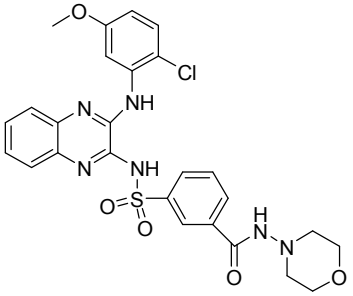
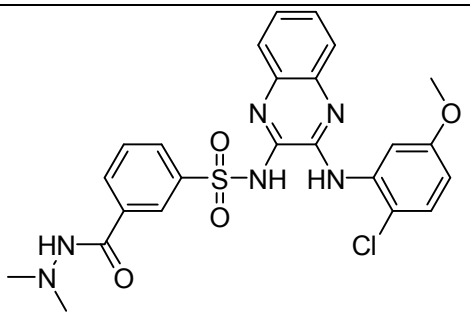
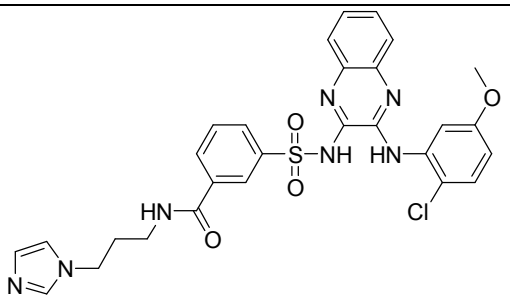
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
655		5-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]-2-(metoksy)benzamid
656		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{[3-(metylamino)pyrrolidin-1-yl]karbonyl}benzensulfonamid
657		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzosyre
658		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-morfolin-4-yletyl)benzamid
659		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[(1-etylpyrrolidin-2-yl)metyl]benzamid

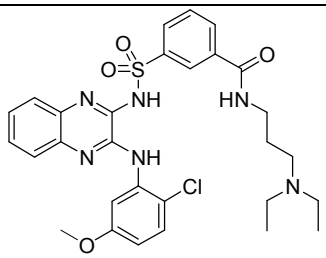
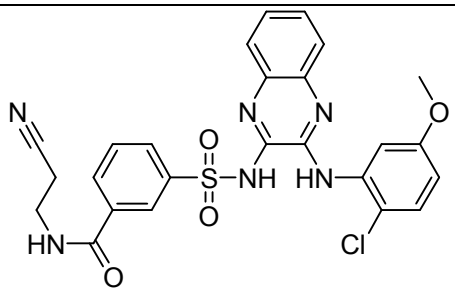
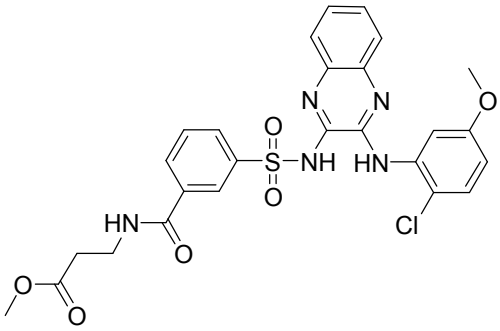
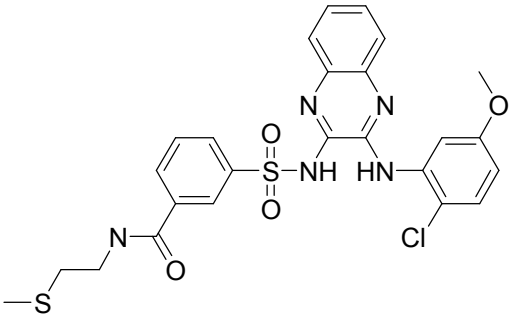
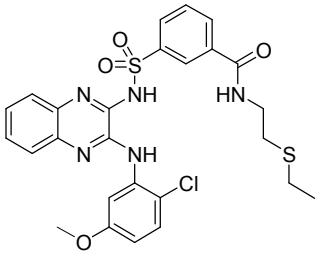
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
660		3-[(4-amino-3-oksopyrazolidin-1-yl)karbonyl]-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
661		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-metylbenzamid
662		3-[(3-aminoazetidin-1-yl)karbonyl]-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
663		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(pyridin-3-ylmetyl)benzamid

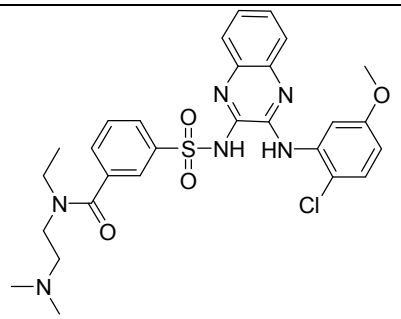
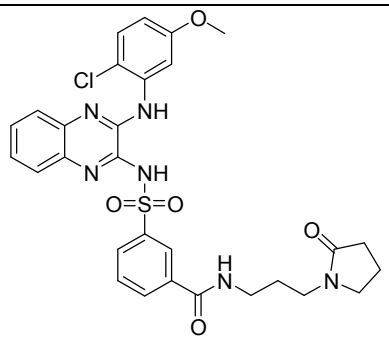
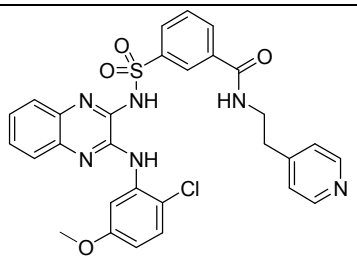
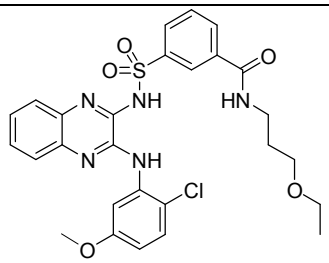
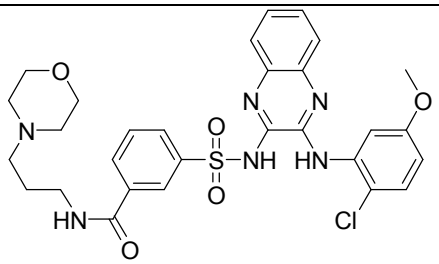
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
664		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(pyridin-2-ylmetyl)benzamid
665		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-hydroksyetyl)benzamid
666		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(3-oksopyrazolidin-4-yl)benzamid
667		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(1*H*-imidazol-4-yl)etyl]benzamid
668		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{[3-(dimetylamino)pyrrolidin-1-yl]karbonyl}benzensulfonamid

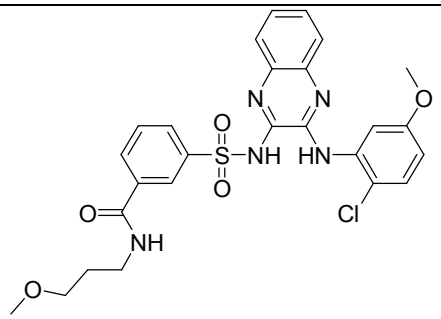
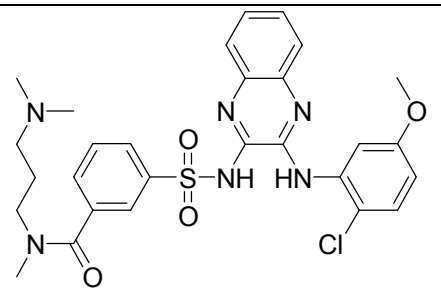
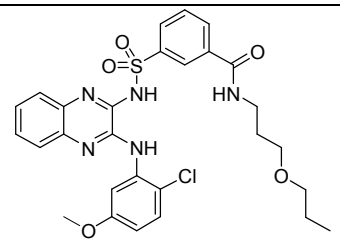
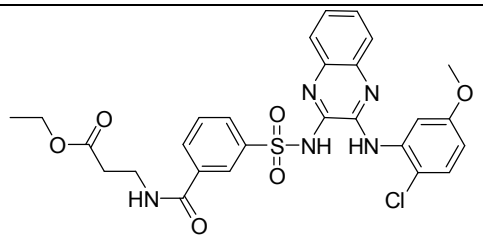
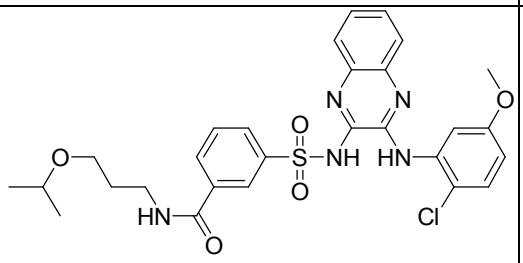
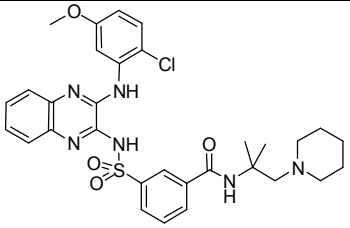
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
669		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(pyridin-4-ylmetyl)benzamid
670		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-metyl-*N*-(1-metylpyrrolidin-3-yl)benzamid
671		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{[3-(dietylamino)pyrrolidin-1-yl]karbonyl}benzensulfonamid
672		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-1*H*-pyrrol-1-ylbenzamid

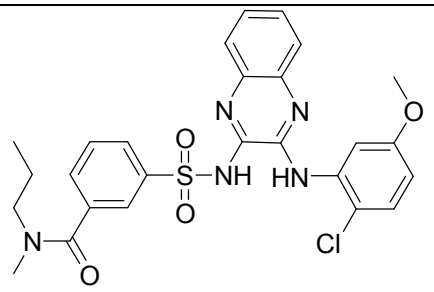
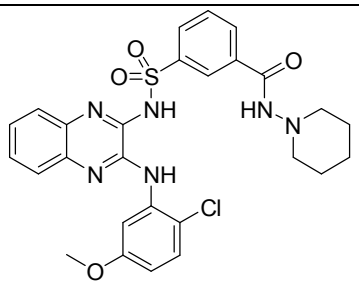
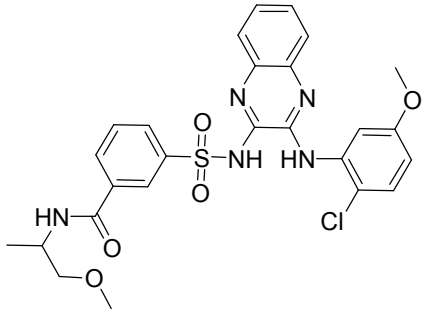
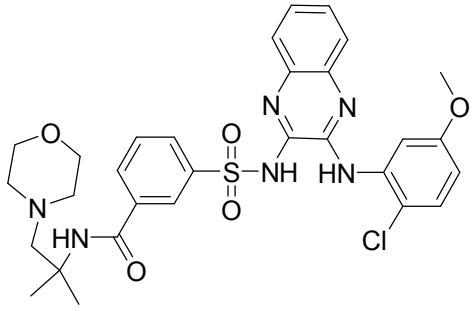
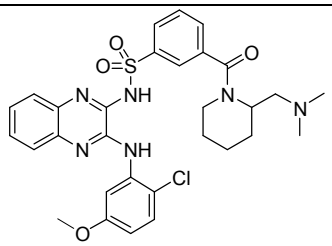
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
673		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(3-pyrrolidin-1-ylpropyl)benzamid
674		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoetyl)-*N*-metylbenzamid
675		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(metoksy)etyl]benzamid
676		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoetyl)-*N*-etylbenzamid
677		3-[(3-aminopiperidin-1-yl)karbonyl]-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

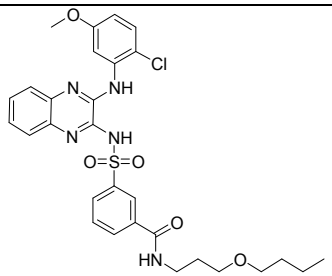
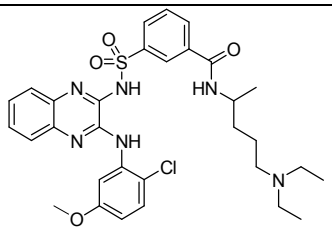
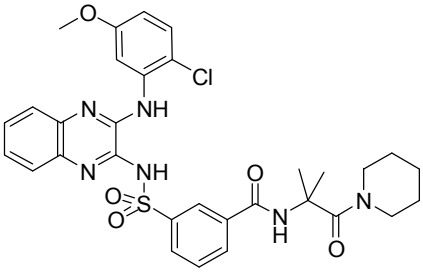
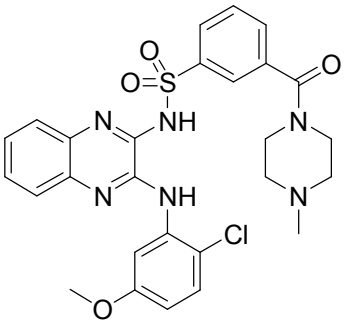
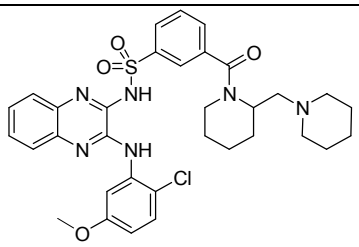
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
678		3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzosyre
679		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(dimetylamino)propyl]benzamid
680		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-morfolin-4-ylbenzamid
681		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-[(2,2-dimetylhydrazino)karbonyl]benzensulfonamid
682		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(1*H*-imidazol-1-yl)propyl]benzamid

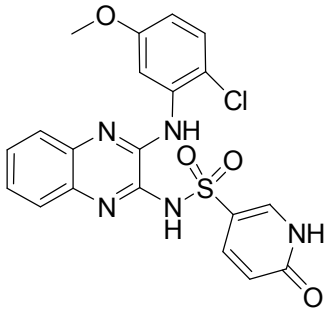
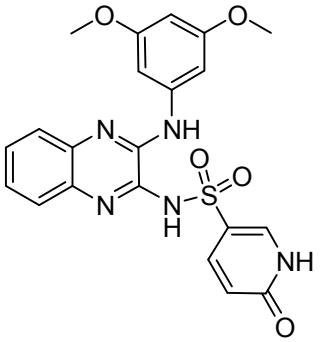
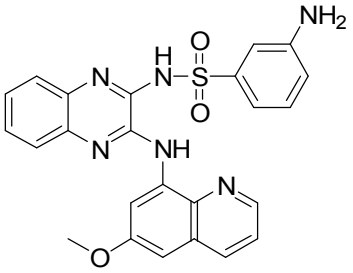
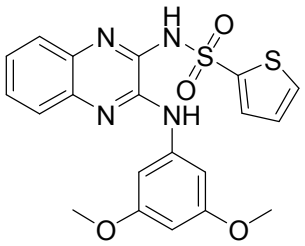
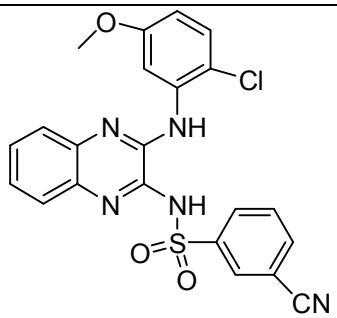
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
683		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(dietylamino)propyl]benzamid
684		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-cyanoetyl)benzamid
685		metyl*N*-[(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)karbonyl]-beta-alaninat
686		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(metyltio)etyl]benzamid
687		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(etyltio)etyl]benzamid

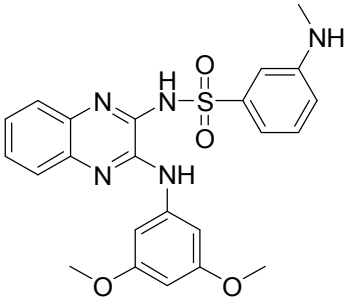
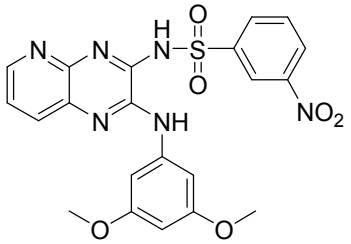
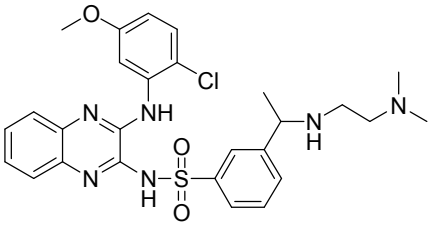
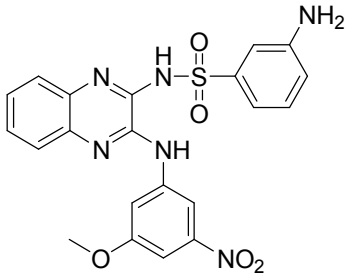
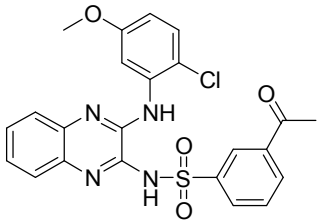
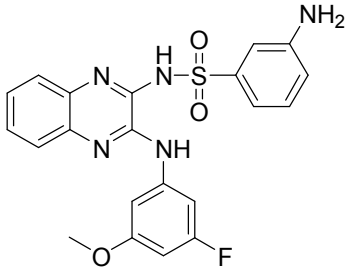
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
688		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]-*N*-etylbenzamid
689		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(2-oksopyrrolidin-1-yl)propyl]benzamid
690		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(2-pyridin-4-yletyl)benzamid
691		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(etyloksy)propyl]benzamid
692		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(3-morfolin-4-ylpropyl)benzamid

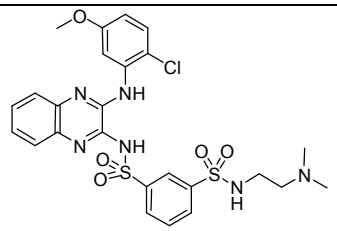
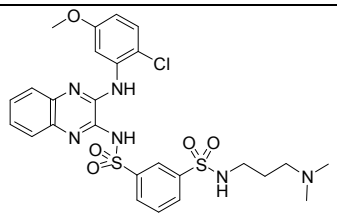
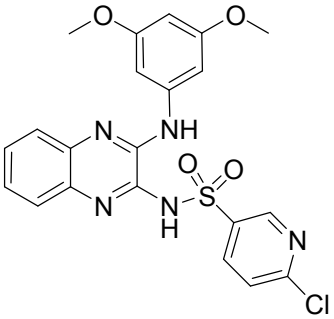
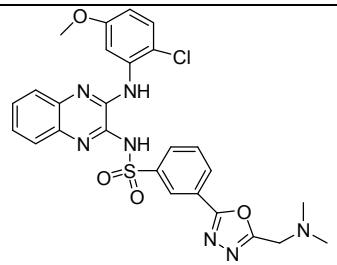
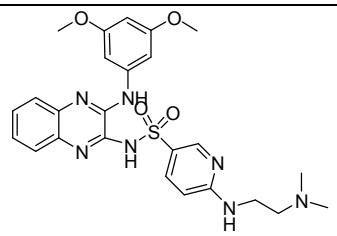
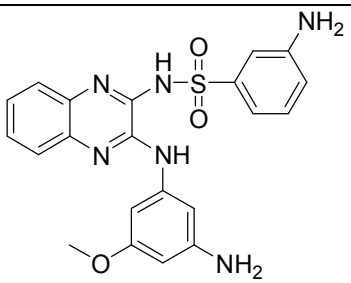
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
693		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(metoksy)propyl]benzamid
694		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(dimetylamino)propyl]-*N*-metylbenzamid
695		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[3-(propyloksy)propyl]benzamid
696		etyl*N*-[(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)karbonyl]-beta-alaninat
697		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-{3-[(1-metyletyl)oksy]propyl}benzamid
698		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimetyl-2-piperidin-1-yletyl)benzamid

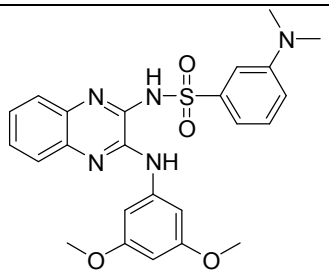
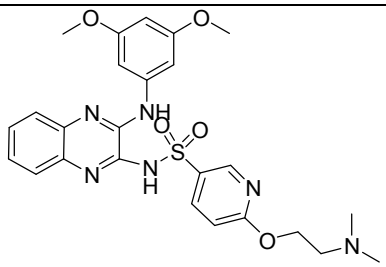
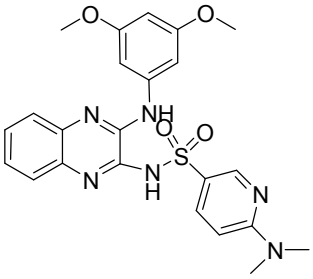
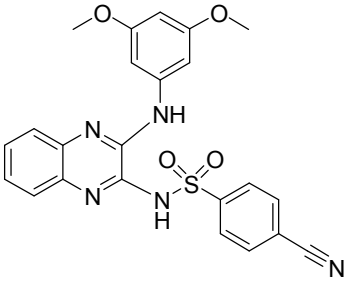
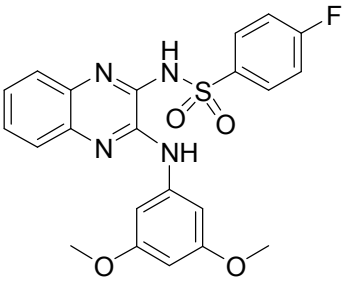
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
699		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-metyl-*N*-propylbenzamid
700		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-piperidin-1-ylbenzamid
701		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[1-metyl-2-(metoksy)etyl]benzamid
702		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimetyl-2-morfolin-4-yletyl)benzamid
703		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-({2-[(dimetylamino)metyl]piperidin-1-yl}karbonyl)benzensulfonamid

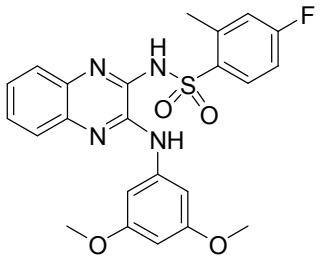
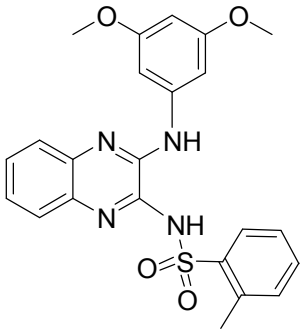
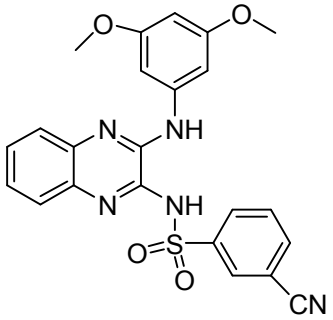
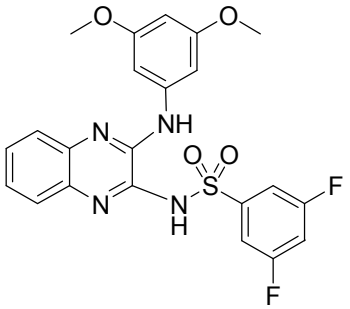
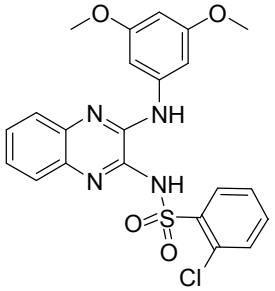
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
704		*N*-[3-(butyloksy)propyl]-3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzamid
705		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[4-(dietylamino)-1-metylbutyl]benzamid]
706		3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-(1,1-dimetyl-2-okso-2-piperidin-1-yletyl)benzamid
707		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-[(4-metylpiperazin-1-yl)karbonyl]benzensulfonamid
708		N-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{[2-(piperidin-1-ylmetyl)piperidin-1-yl]karbonyl}benzensulfonamid

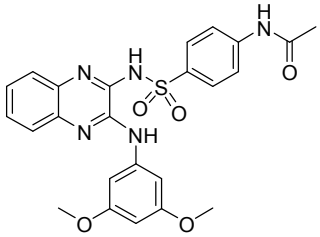
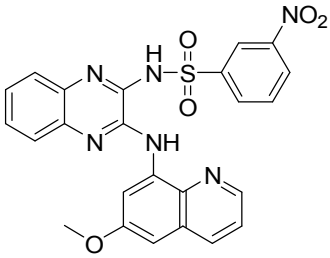
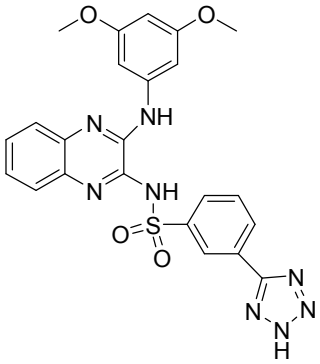
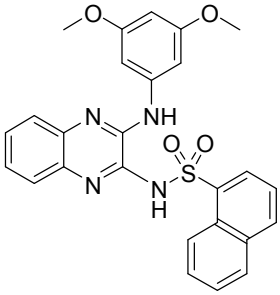
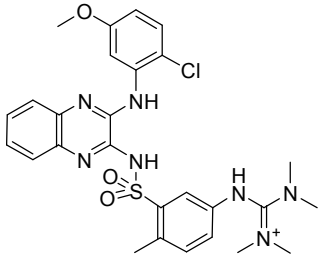
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
709		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-6-okso-1,6-dihydropyridin-3-sulfonamid
710		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-6-okso-1,6-dihydropyridin-3-sulfonamid
711		3-amino-*N*-(3-{[6-(metoksy)kinolin-8-yl]amino}kinoksalin-2-yl)benzensulfonamid
712		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)tiofen-2-sulfonamid
713		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-cyanobenzensulfonamid

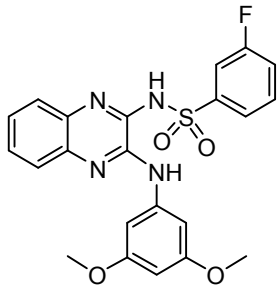
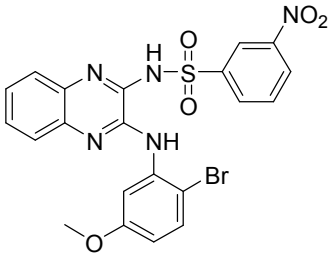
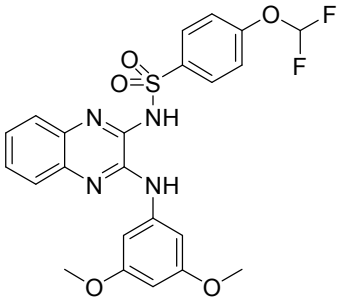
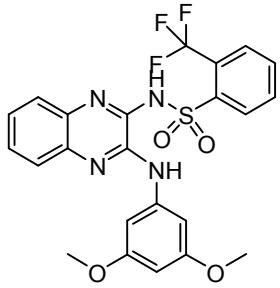
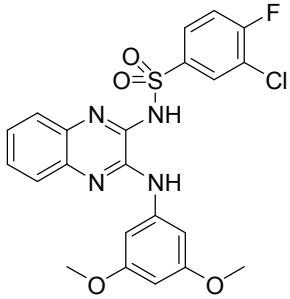
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
714		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(metylamino)benzensulfonamid
715		*N*-(2-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzensulfonamid
716		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(1-{[2-(dimetylamino)etyl]amino}etyl)benzensulfonamid
717		3-amino-*N*-(3-{[3-(metoksy)-5-nitrofenyl]amino}kinoksalin-2-yl)benzensulfonamid
718		3-acetyl-*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid
719		3-amino-*N*-(3-{[3-fluor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

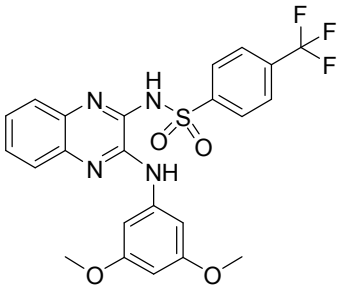
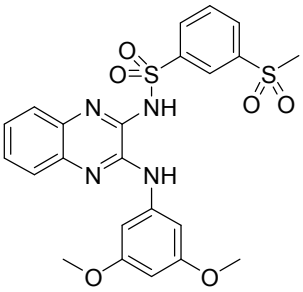
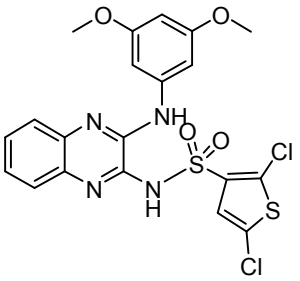
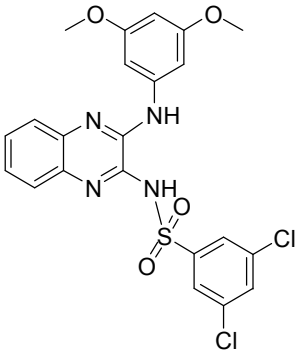
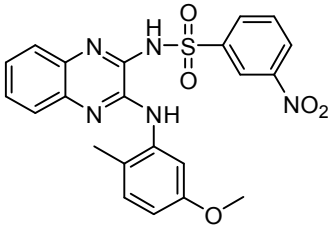
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
720		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-*N'*-[2-(dimetylamino)etyl]benzen-1,3-disulfonamid
721		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-*N'*-[3-(dimetylamino)propyl]benzen-1,3-disulfonamid
722		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-6-klorpyridin-3-sulfonamid
723		*N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{5-[(dimetylamino)metyl]-1,3,4-oksadiazol-2-yl}benzensulfonamid
724		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-6-{[2-(dimetylamino)etyl]amino}pyridin-3-sulfonamid
725		3-amino-*N*-(3-{[3-amino-5-(metoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid

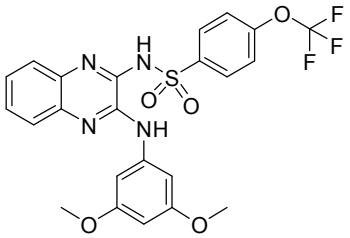
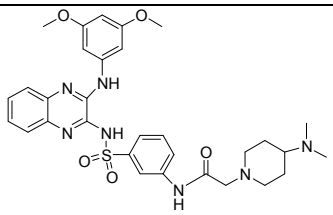
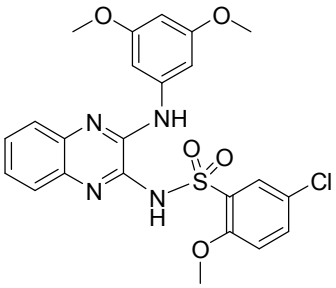
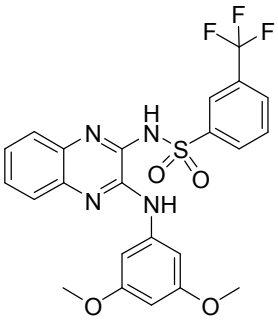
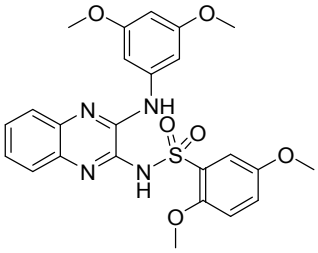
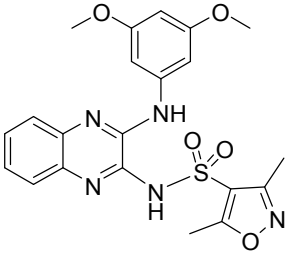
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
726		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(dimetylamino)benzensulfonamid
727		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-6-{[2-(dimetylamino)etyl]oksy}pyridin-3-sulfonamid
728		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-6-(dimetylamino)pyridin-3-sulfonamid
729		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-cyanobenzensulfonamid
730		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-fluorbenzensulfonamid

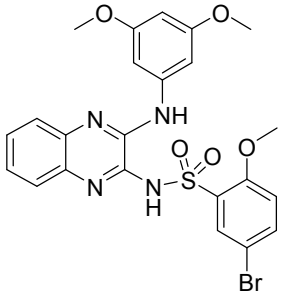
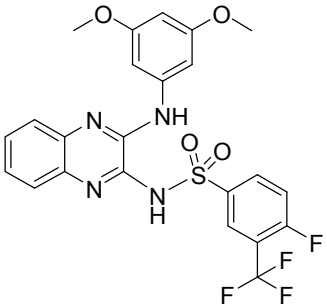
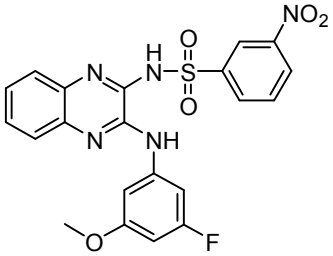
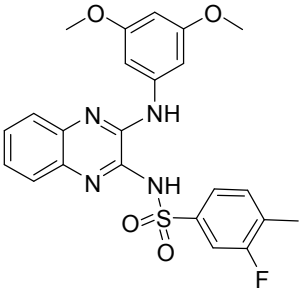
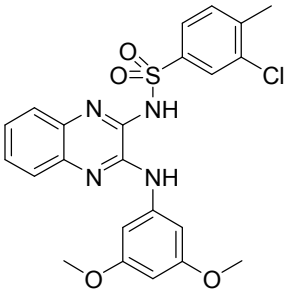
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
731		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-fluor-2-metylbenzensulfonamid
732		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2-metylbenzensulfonamid
733		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-cyanobenzensulfonamid
734		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3,5-difluorbenzensulfonamid
735		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2-klorbenzensulfonamid

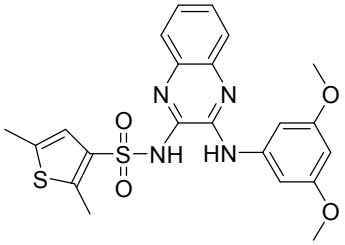
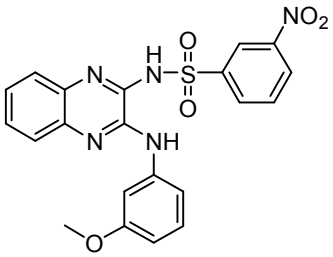
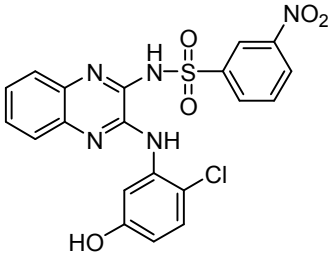
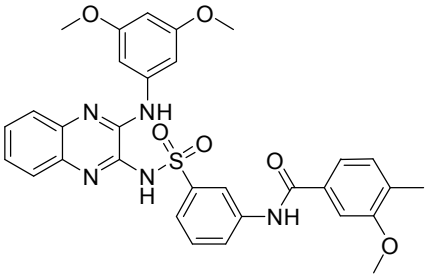
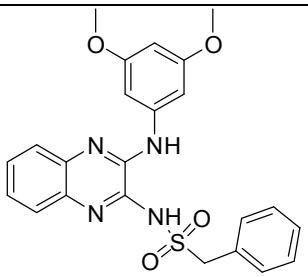
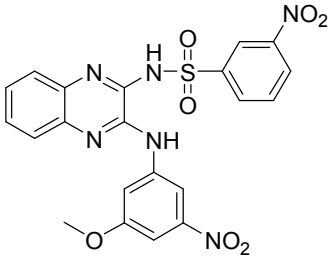
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
736		*N*-(4-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)acetamid
737		*N*-(3-{[6-(metoksy)kinolin-8-yl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
738		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(2*H*-tetrazol-5-yl)benzensulfonamid
739		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)naftalen-1-sulfonamid
740		*N*-{[(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)amino](dimetylamino)metyliden}-*N*-metylmetanaminium

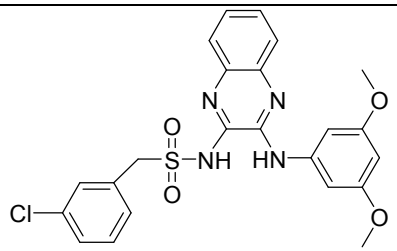
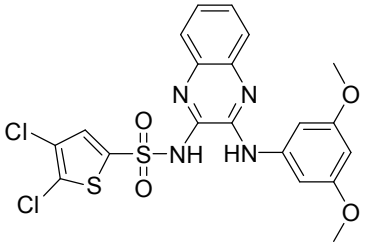
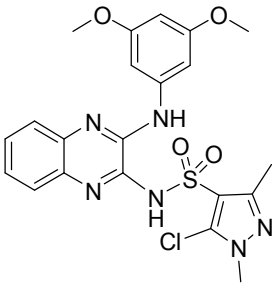
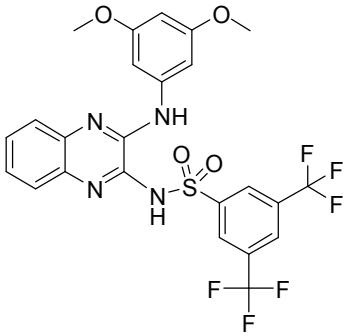
Tabell 1		
Forb. nr.	**Struktur**	**Navn**
741		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-fluorbenzensulfonamid
742		*N*-(3-{[2-brom-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
743		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-[(difluormetyl)oksy]benzensulfonamid
744		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2-(trifluormetyl)benzensulfonamid
745		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-klor-4-fluorbenzensulfonamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
746		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-(trifluormetyl)benzensulfonamid
747		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(metylsulfonyl)benzensulfonamid
748		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2,5-diklortiofen-3-sulfonamid
749		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3,5-diklorbenzensulfonamid
750		*N*-(3-{[2-metyl-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
751		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-[(trifluormetyl)oksy]benzensulfonamid
752		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-2-[4-(dimetylamino)piperidin-1-yl]acetamid
753		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-5-klor-2-(metoksy)benzensulfonamid
754		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(trifluormetyl)benzensulfonamid
755		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2,5-bis(metoksy)benzensulfonamid
756		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3,5-dimetylisoksazol-4-sulfonamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
757		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-5-brom-2-(metoksy)benzensulfonamid
758		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-fluor-3-(trifluormetyl)benzensulfonamid
759		*N*-(3-{[3-fluor-5(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
760		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-fluor-4-metylbenzensulfonamid
761		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-klor-4-metylbenzensulfonamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
762		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-2,5-dimetyltiofen-3-sulfonamid
763		*N*-(3-{[3-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid
764		*N*-{3-[(2-klor-5-hydroksyfenyl)amino]kinoksalin-2-y}-3-nitrobenzensulfonamid
765		*N*-(3-{[(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)-4-metyl-3-(metoksy)benzamid
766		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-1-fenylmetansulfonamid
767		*N*-(3-{[3-(metoksy)-5-nitrofenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid

Tabell 1		
Forb. nr.	**Struktur**	**Navn**
768		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-1-(3-klorfenyl)metansulfonamid
769		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4,5-diklortiofen-2-sulfonamid
770		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-5-klor-1,3-dimetyl-1*H*-pyrazol-4-sulfonamid
771		*N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3,5-bis(trifluormetyl)benzensulfonamid

Tabell 2a.

Representative AKT-inhibitorer

Forbindelsene i tabell 2a kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 2a kan anvendes.

Forb. nr.	***N*avn**
1	3-(azetidin-3-ylidenmetyl)-4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
2	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(3-fluorpyridin-4-yl)-1*H*-pyrazol[3,4-d]pyrimidin
3	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(3-klorpyridin-4-yl)-1*H*-pyrazol[3,4-d]pyrimidin
4	2-({5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}oksy)-*N*,*N*-dimetyletanamin
5	2-({5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}oksy)-*N*,*N*-dietyletanamin
6	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
7	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-piperazin-1-yl-1*H*-pyrazol[3,4-d]pyrimidin
8	*N*-(3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}prop-2-yn-1-yl)acetamid
9	*N*,*N*-dietyl-2-({3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}oksy)etanamin
10	3-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}-*N*,*N*-dietylpropan-1-amin
11	3-brom-4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
12	3-brom-4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
13	2-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}oksy)-*N*,*N*-dietyletanamin
14	4-[4-(5-klor-2-metyl-3-{[2-(1-metylpiperidin-4-yl)etyl]oksy}fenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
15	5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
16	4-(4-{5-klor-2-metyl-3-[(2-morfolin-4-yletyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
17	4-(4-{5-klor-2-metyl-3-[(2-piperidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
18	3-brom-4-{4-[5-klor-2-metyl-3-(3-morfolin-4-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-*d*]pyrimidin
19	3-brom-4-(4-{5-klor-2-metyl-3-[3-(4-metylpiperazin-1-yl)propyl]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
20	3-brom-4-(4-{5-klor-2-metyl-3-[(2-piperidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
21	3-brom-4-(4-{5-klor-2-metyl-3-[(2-morfolin-4-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
22	4-{4-[5-klor-2-metyl-3-(3-morfolin-4-ylpropyl)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
23	*N*'-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N*,*N*-dietyletan-1,2-diamin
24	4-{4-[5-klor-2-metyl-3-(3-piperidin-1-ylpropyl)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
25	4-[4-(5-klor-3-{[2-(4-etylpiperazin-1-yl)etyl]oksy}-2-metylfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
26	4-(4-{5-klor-2-metyl-3-[(3-morfolin-4-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
27	3-brom-4-{4-[5-klor-2-metyl-3-(3-piperidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
28	*N'*-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}-*N*,*N*-dietyletan-1,2-diamin
29	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
30	4-[4-(5-klor-2-metyl-3-{[2-(4-metylpiperazin-1-yl)etyl]oksy}fenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
31	4-[4-(5-klor-2-metyl-3-{[(1-metylpiperidin-4-yl)metyl]oksy}fenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
32	*N*,*N*-dietyl-2-({3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}oksy)etanamin
33	2-[(5-klor-3-{4-[1-(1,1-dimetyletyl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-2-metylfenyl)oksy]-*N*,*N*-dietyletanamin
34	2-[(5-klor-2-metyl-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}fenyl)oksy]-*N*,*N*-dietyletanamin
35	4-(4-{5-klor-2-metyl-3-[(3-pyrrolidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
36	4-[4-(5-klor-2-metyl-3-{[3-(4-metylpiperazin-1-yl)propyl]oksy}fenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
37	3-brom-4-(4-{5-klor-2-metyl-3-[(3-piperidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
38	3-brom-4-(4-{5-klor-2-metyl-3-[(3-morfolin-4-ylpropyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
39	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
40	4-(4-{5-klor-2-metyl-3-[(3-morfolin-4-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
41	4-(4-{5-klor-2-metyl-3-[(2-morfolin-4-yletyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
42	4-(4-{5-klor-2-metyl-3-[(3-piperidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
43	4-[4-(5-klor-3-{[3-(4-etylpiperazin-1-yl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
44	5-klor-2-metyl-3-[4-(1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-yletyl)anilin
45	5-klor-2-metyl-3-[4-(3-metyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
46	*N*'-(5-klor-2-metyl-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}fenyl)-*N*,*N*-dimetyletan-1,2-diamin
47	3-({5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}oksy)-*N*,*N*-dietylpropan-1-amin
48	*N'*-(5-klor-2-metyl-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}fenyl)-*N*,*N*-dietyletan-1,2-diamin
49	5-klor-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}anilin
50	3-brom-4-(4-{4-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
51	4-(4-{4-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
52	3-metyl-4-(4-{4-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
53	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
54	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-metyl-1*H*-pyrazol[3,4-d]pyrimidin
55	4-(4-{5-klor-2-metyl-3-[(2-piperidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
56	3-[(5-klor-2-metyl-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}fenyl)oksy]-*N*,*N*-dietylpropan-1-amin
57	5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
58	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluor-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
59	4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
60	3-brom-4-{4-[5-fluor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
61	4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
62	4-(4-{5-klor-2-metyl-3-[3-(4-metylpiperazin-1-yl)propyl]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
63	3-brom-4-(4-pyridin-2-ylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
64	3-brom-4-[4-(2,4-dimetylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
65	3-brom-4-{4-[3-(metyloksy)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
66	3-brom-4-{4-[2-(metyloksy)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
67	3-brom-4-{4-[4-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
68	4-(4-{5-klor-2-metyl-3-[(3-pyrrolidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
69	4-(4-{5-klor-2-metyl-3-[(3-piperidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
70	4-[4-(5-klor-2-metyl-3-{[3-(4-metylpiperazin-1-yl)propyl]oksy}fenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
71	4-[4-(5-klor-3-{[3-(4-etylpiperazin-1-yl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
72	3-brom-4-[4-(5-klor-2-metyl-3-{[2-(4-metylpiperazin-1-yl)etyl]oksy}fenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
73	4-[4-(5-klor-2-metyl-3-{[2-(4-metylpiperazin-1-yl)etyl]oksy}fenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
74	3-brom-4-[4-(5-klor-3-{[2-(4-etylpiperazin-1-yl)etyl]oksy}-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
75	3-brom-4-[4-(3,4-diklorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
76	3-brom-4-[4-(3,4-difluorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
77	3-brom-4-[4-(2,4-diklorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
78	3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluor-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
79	5-fluor-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}anilin
80	4-{4-[3,5-bis(metyloksy)fenyl]piperazin-1-yl}-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
81	4-[4-(5-klor-3-{[2-(4-etylpiperazin-1-yl)etyl]oksy}-2-metylfenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
82	*N*-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N*,*N*',*N*'-trimetyletan-1,2-diamin
83	3-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}oksy)-*N*,*N*-dietylpropan-1-amin
84	3-brom-4-(4-{5-klor-2-metyl-3-[(3-pyrrolidin-1-ylpropyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
85	3-brom-4-[4-(5-klor-2-metyl-3-{[3-(4-metylpiperazin-1-yl)propyl]oksy}fenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
86	3-brom-4-[4-(5-klor-3-{[3-(4-etylpiperazin-1-yl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
87	3-(5-klor-2-metyl-3-{4-[3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}fenyl)-*N*,*N*-dietylpropan-1-amin
88	3-brom-4-[4-(5-klor-2-metyl-3-{[(1-metylpiperidin-4-yl)metyl]oksy}fenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
89	3-brom-4-[4-(5-klor-2-metyl-3-{[2-(1-metylpiperidin-4-yl)etyl]oksy}fenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
90	4-[4-(5-klor-2-metyl-3-{[(1-metylpiperidin-4-yl)metyl]oksy}fenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
91	4-[4-(5-klor-2-metyl-3-{[2-(1-metylpiperidin-4-yl)etyl]oksy}fenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
92	4-(4-{5-klor-2-metyl-3-[3-(4-metylpiperazin-1-yl)propyl]fenyl}piperazin-1-yl)-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
93	3-brom-4-[4-(3-klor-4-fluorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
94	1-{4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}etanon
95	3-brom-4-[4-(2,5-diklorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
96	3-brom-4-[4-(3,4-dimetylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
97	3-brom-4-[4-(4-nitrofenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
98	3-etyl-4-(4-fenylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
99	3-etyl-4-{4-[3-(metyloksy)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
100	4-{4-[5-klor-2-metyl-3-(3-piperidin-1-ylpropyl)fenyl]piperazin-1-yl}-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
101	4-[4-(3,6-dimetylpyrazin-2-yl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
102	1-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]isokinolin
103	3-brom-4-[4-(2,6-dimetylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
104	3-brom-4-{4-[4-(etyloksy)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
105	3-brom-4-[4-(2-etylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
106	4-{4-[2,4-bis(metyloksy)fenyl]piperazin-1-yl}-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
107	3-brom-4-(4-pyrazin-2-ylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
108	3-brom-4-(4-pyrimidin-2-ylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
109	4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluormetyl)kinolin
110	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazin-2-karbonitril
111	4-[4-(4,6-dimetylpyrimidin-2-yl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
112	etyl 4-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluormetyl)pyrimidin-5-karboksylat
113	4-{4-[3-klor-5-(metyloksy)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
114	4-[4-(3-brom-2-klor-5-fluorfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
115	2-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridin-3-karboksamid
116	3-etyl-4-{4-[4-(trifluormetyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
117	3-brom-4-{4-[4-(trifluormetyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
118	3-brom-4-{4-[4-(trifluormetyl)pyrimidin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
119	2-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrazin-2-yl}oksy)-*N*,*N*-dimetyletanamin

Forb. nr.	*N*avn
120	4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylkinolin
121	3-brom-4-[4-(2-nitrofenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
122	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitril
123	4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]benzonitril
124	3-brom-4-{4-[4-(trifluormetyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
125	3-brom-4-(4-{4-[(fenylmetyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
126	4-{4-[5-klor-2-metyl-3-(metyloksy)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
127	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyridin-3-karbonitril
128	3-brom-4-[4-(3,5-diklorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
129	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-klor-5-fluor-*N*-(2-pyrrolidin-1-yletyl)anilin
130	2-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-fluor-*N*-(2-pyrrolidin-1-yletyl)anilin
131	3-brom-4-[4-(2,5-difluorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
132	4-[4-(2,5-difluorfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
133	3-brom-4-{4-[3-(metyloksy)pyrazin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
134	3-brom-4-[4-(3-klorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
135	3-brom-4-{4-[3-(trifluormetyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
136	3-brom-4-{4-[3-klor-5-(trifluormetyl)pyridin-2-yl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
137	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-(1-metyletyl)-1*H*-pyrazol[3,4-d]pyrimidin
138	5-klor-2-metyl-3-{4-[3-(1-metyletyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-*N*-(2-pyrrolidin-1-yletyl)anilin
139	2-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}oksy)-*N*-etylacetamid
140	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,*N*-dietylpyrimidin-4-amin

Forb. nr.	*N*avn
141	3-brom-4-[4-(3-{[(3-metylfenyl)metyl]oksy}fenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
142	3-brom-4-(4-{3-[(2-piperidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
143	3-brom-4-[4-(4-furan-2-ylpyrimidin-2-yl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
144	6-{2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]pyrimidin-4-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
145	3-etyl-4-{4-[2-metyl-3-(metyloksy)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
146	*N*'-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N*-metyl-*N*-(1-metyletyl)etan-1,2-diamin
147	*N*'-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N*-etyl-*N*-metyletan-1,2-diamin
148	*N*'-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}-*N*,*N*-dimetyletan-1,2-diamin
149	3-({6-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-klor-5-metylpyrimidin-4-yl}oksy)-*N*,*N*-dietylpropan-1-amin
150	3-brom-4-[4-(2,3-diklorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
151	3-brom-4-{4-[2-(trifluormetyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
152	3-brom-4-(4-fenylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
153	3-brom-4-[4-(4-fluorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
154	3-brom-4-[4-(4-klorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
155	3-brom-4-{4-[3-(trifluormetyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
156	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-6-amin
157	3-brom-4-[4-(4-bromfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
158	3-brom-4-[3-metyl-4-(3-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
159	4-[4-(3-brom-5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-6-amin
160	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-syklopropyl-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
161	5-klor-3-[4-(3-syklopropyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
162	5-klor-2-metyl-3-{4-[3-(2-metylpropyl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]piperazin-1-yl}-*N*-(2-pyrrolidin-1-yletyl)anilin
163	4-(4-{5-klor-2-metyl-3-[(2-pyrrolidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-(2-metylpropyl)-1*H*-pyrazol[3,4-d]pyrimidin
164	3-brom-4-[(3S)-4-(5-klor-2-metylfenyl)-3-metylpiperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
165	5-brom-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylanilin
166	2-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}oksy)-*N*-syklopropylacetamid
167	3-brom-4-(4-{3-[(2-piperidin-1-yletyl)oksy]pyrazin-2-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
168	4-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6,7-bis(metyloksy)kinazolin
169	2-({3-klor-5-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}oksy)-*N*,*N*-dietyletanamin
170	4-{4-[2-klor-5-(trifluormetyl)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
171	3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(2-metylpropyl)oksy]-*N*-(2-pyrrolidin-1-yletyl)anilin
172	3-({4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-6-klor-5-metylpyrimidin-2-yl}oksy)-*N,N*-dietylpropan-1-amin
173	3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(fenylmetyl)oksy]-*N*-(2-pyrrolidin-1-yletyl)anilin
174	3-brom-4-[(3R)-4-(5-klor-2-metylfenyl)-3-metylpiperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
175	3-[(2S)-4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-2-metylpiperazin-1-yl]-4-metyl-N-fenylbenzamid
176	3-[(2S)-4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-2-metylpiperazin-1-yl]-4-metyl-*N*-(fenylmetyl)benzamid
177	metyl 3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metylbenzoat

Forb. nr.	*N*avn
178	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metylbenzosyre
179	(2E)-3-(4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin-3-yl)2-propensyre
180	3-(4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin-3-yl)prop-2-yn-1-ol
181	4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-1-(5-klor-2-metylfenyl)piperazin-2-on
182	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(2-metylpropyl)oksy]-*N*-(2-pyrrolidin-1-yletyl)anilin
183	*N*'-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(2-metylpropyl)oksy]fenyl}-*N,N*-dietyletan-1,2-diamin
184	metyl 3-brom-5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metylbenzoat
185	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-fenylbenzamid
186	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimetylbenzamid
187	2-({3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]fenyl}oksy)-*N*,*N*-dietyletanamin
188	metyl 3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzoat
189	3-brom-5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-fenylbenzamid
190	3-brom-5-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-fenylbenzamid
191	*N*'-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-2-metylfenyl}-*N*-metyl-*N*-(1-metyletyl)etan-1,2-diamin
192	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-fenyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
193	*N*'-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(2-metylpropyl)oksy]fenyl}-*N,N*-dimetyletan-1,2-diamin
194	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N,N*,4-trimetylbenzamid

Forb. nr.	*N*avn
195	3-[4-(3-klor-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-(2-metylpropyl)benzamid
196	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N,N*,4-trimetyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
197	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-2-oksopiperazin-1-yl]-4-metyl-*N*-fenylbenzamid
198	3-[(2R)-4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-2-(hydroksymetyl)piperazin-1-yl]-4-metyl-*N*-fenylbenzamid
199	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(pyrrolidin-1-ylkarbonyl)-*N*-(2-pyrrolidin-1-yletyl)anilin
200	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimetyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
201	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(4-klorfenyl)-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
202	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-klorfenyl)-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
203	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(syklopropylmetyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
204	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(3-metylbutyl)oksy]-*N*-(2-pyrrolidin-1-yletyl)anilin
205	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-etylbutyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
206	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(butyloksy)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
207	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-(1-metyletyl)-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
208	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimetyl-*N*-(1-metyletyl)-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
209	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(syklobutylmetyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
210	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(etyloksy)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
211	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-[2-(dimetylamino)etyl]-4-metylbenzamid
212	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(1,1-dimetyletyl)-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
213	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-pyridin-3-yl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
214	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluor-2-metylpropyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
215	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(sykloheksylmetyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
216	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(syklopentylmetyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
217	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-etyl-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
218	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-[(1-metyletyl)oksy]-*N*-(2-pyrrolidin-1-yletyl)anilin
219	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2,2-dimetylpropyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
220	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-[(tetrahydrofuran-2-ylmetyl)oksy]anilin
221	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-{[2-(metyloksy)etyl]oksy}-*N*-(2-pyrrolidin-1-yletyl)anilin
222	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(propyloksy)-*N*-(2-pyrrolidin-1-yletyl)anilin
223	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2-(dimetylamino)etyl]amino}-4-metyl-*N*-fenylbenzamid
224	*N*'-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluor-2-metylpropyl)oksy]-2-metylfenyl}-*N,N*-dimetyletan-1,2-diamin

Forb. nr.	*N*avn
225	3-[4-(3-promo-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2-(dimetylamino)etyl]amino}-4-metyl-*N*-(1-metyletyl)benzamid
226	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}pentan-1-on
227	*N*'-(3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metylfenyl)-*N*,*N*-dimetyletan-1,2-diamin
228	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
229	5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-*N*-(2-pyrrolidin-1-yletyl)bifenyl-3-amin
230	1-(3-{5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metylbifenyl-3-yl}propyl)pyridinium
231	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-(1,3-tiazol-2-yl)anilin
232	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzosyre
233	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(fenyletynyl)-*N*-(2-pyrrolidin-1-yletyl)anilin
234	{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}(fenyl)metanon
235	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-etynyl-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
236	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimetylbut-1-yn-1-yl)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
237	3-brom-4-{4-[5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
238	3-brom-4-{4-[2-metyl-5-[(2-metylpropyl)oksy]-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
239	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(3-fenyl-1,2,4-oksadiazol-5-yl)-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
240	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(3-metyl-1,2,4-oksadiazol-5-yl)-*N*-(2-pyrrolidin-1-yletyl)anilin
241	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}propan-1-on
242	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimetylbutyl)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
243	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-etyl-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
244	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-[2-(trimetylsilyl)etyl]anilin
245	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(2-fenyletyl)-*N*-(2-pyrrolidin-1-yletyl)anilin
246	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl} butan-1-on
247	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*,4-dimetyl-*N*-(metyloksy)-5-[(2-pyrrolidin-l-yletyl)amino]benzamid
248	3-brom-4-[4-(3-brom-5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
249	4-[4-(3-brom-5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
250	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}etanon
251	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(difluormetyl)oksy]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
252	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[(difluormetyl)oksy]metyl}-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
253	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(metyloksy)-*N*-(2-pyrrolidin-1-yletyl)anilin
254	5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
255	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5,6-trifluor-*N*-(3-metylbutyl)pyridin-4-amin
256	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-[(sykloproplymetyl)oksy]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]benzamid
257	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(5-metyl-1,2,4-oksadiazol-3-yl)-*N*-(2-pyrrolidin-1-yletyl)anilin
258	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(etylsulfonyl)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
259	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-5-(metylsulfonyl)-*N*-(2-pyrrolidin-1-yletyl)anilin
260	1-{3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}pentan-1-on
261	3-brom-4-[4-(5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
262	6-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-3,5-difluor-*N*~4~-(3-metylbutyl)-*N*~2~-(2-pyrrolidin-1-yletyl)pyridin-2,4-diamin
263	3-brom-5-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-(2-pyrrolidin-1-yletyl)anilin
264	3-brom-4-[4-(3',4',6-trifluor-4-metylbifenyl-3-yl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
265	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-klor-*N*-(2-pyrrolidin-1-yletyl)anilin
266	{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}metanol
267	3-brom-4-(4-{4-metyl-2'-[(2-pyrrolidin-1-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
268	3-[4-(3-brom-1*H*-[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-{[(2,2-difluorsyklopropyl)metyl]oksy}-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
269	5-brom-3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin

Forb. nr.	*N*avn
270	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(etyloksy)metyl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
271	3-[4-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-1-metyl-6-(trifluormetyl)-1*H*-benzimidazol-2-yl]propan-1-ol
272	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}-4,4,4-trifluorbutan-1-on
273	{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}(syklopropyl)metanon
274	3-({3'-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-metylbifenyl-2-yl}oksy)-*N*,*N*-dimetylpropan-1-amin
275	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-(1,1-difluorbutyl)-2-metyl-*N*-(2-pyrrolidin-1-yletyl)anilin
276	3-brom-4-(4-{4-metyl-2'-[(3-morfolin-4-ylpropyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
277	3-brom-4-(4-{4-metyl-2'-[(2-morfolin-4-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H* pyrazol[3,4-d]pyrimidin
278	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-{[(2,2,2-trifluoretyl)oksy]metyl}anilin
279	1-[2-({3'-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4'-metylbifenyl-2-yl}oksy)etyl]pyrrolidin-2,5-dion
280	3-brom-4-(4-{3'-fluor-4-metyl-2'-[(2-pyrrolidin-1-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
281	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}butan-1-on
282	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-[(3,3,3-trifluorpropyl)oksy]anilin
283	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-[(2,2,2-trifluoretyl)oksy]anilin
284	1-{3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-4-metyl-5-[(2-pyrrolidin-1-yletyl)amino]fenyl}butan-1-ol

Forb. nr.	*N*avn
285	3-brom-4-(4-{4-klor-2'-[(2-pyrrolidin-1-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
286	3-[4-(4-{5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metyl-3-[(2-pyrrolidin-1-yletyl)amino]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin-3-yl]prop-2-yn-1-ol
287	3-brom-4-(4-{4-klor-4'-fluor-2'-[(2-pyrrolidin-1-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
288	3-brom-4-(4-{4-metyl-3'-[(2-pyrrolidin-1-yletyl)oksy]bifenyl-3-yl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
289	(2E)-3-[4-(4-{5-{[2,3-difluor-2-(fluormetyl)propyl]oksy}-2-metyl-3-[(2-pyrrolidin-1-yletyl)amino]fenyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin-3-yl]2-propensyre
290	3-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metyl-*N*-(2-pyrrolidin-1-yletyl)-5-[4,4,4-trifluor-1,1-bis(metyloksy)butyl]anilin
291	6-(4-fenylpiperazin-1-yl)-9*H*-purin
292	6-[4-(3-klorfenyl)piperazin-1-yl]-9*H*-purin
293	4-(4-fenylpiperazin-1-yl)-7*H*-pyrrol[2,3-d]pyrimidin
294	4-[4-(3-klorfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
295	4-(4-fenylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
296	4-[4-(3-klorfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
297	6-[4-(2-klorfenyl)piperazin-1-yl]-9*H*-purin
298	6-[4-(2-fluorfenyl)piperazin-1-yl]-9*H*-purin
299	4-[4-(2-metylfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
300	4-{4-[2-(metyloksy)fenyl]piperazin-1-yl}-7*H*-pyrrol[2,3-d]pyrimidin
301	4-{4-[3-(metyloksy)fenyl]piperazin-1-yl}-7*H*-pyrrol[2,3-d]pyrimidin
302	4-{4-[4-(metyloksy)fenyl]piperazin-1-yl}-7*H*-pyrrol[2,3-d]pyrimidin
303	4-{4-[3-(trifluormetyl)fenyl]piperazin-1-yl}-7*H*-pyrrol[2,3-d]pyrimidin
304	6-{4-[4-(metyloksy)fenyl]piperazin-1-yl}-9*H*-purin
305	6-{4-[2-(metyloksy)fenyl]piperazin-1-yl}-9*H*-purin
306	6-[4-(4-klorfenyl)piperazin-1-yl]-9*H*-purin

Forb. nr.	*N*avn
307	6-[4-(4-fluorfenyl)piperazin-1-yl]-9*H*-purin
308	4-[4-(4-klorfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
309	4-[4-(2-klorfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
310	4-[4-(4-fluorfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
311	4-[4-(2-fluorfenyl)piperazin-1-yl]-7*H*-pyrrol[2,3-d]pyrimidin
312	6-{4-[3-(trifluormetyl)fenyl]piperazin-1-yl}-9*H*-purin
313	6-[4-(2-metylfenyl)piperazin-1-yl]-9*H*-purin
314	4-{4-[3-(trifluormetyl)fenyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
315	4-[4-(2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
316	4-[4-(3-klorfenyl)piperazin-1-yl]-3-metyl-1*H*-pyrazol[3,4-d]pyrimidin
317	3-metyl-4-[4-(2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
318	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
319	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-metyl-1*H*-pyrazol[3,4-d]pyrimidin
320	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-metyl-6-fenyl-1*H*-pyrazol[3,4-d]pyrimidin
321	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
322	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-6-metyl-1*H*-pyrazol[3,4-d]pyrimidin
323	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-6-etyl-1*H*-pyrazol[3,4-d]pyrimidin
324	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-6-(1-metyletyl)-1*H*-pyrazol[3,4-d]pyrimidin
325	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-fenyl-1*H*-pyrazol[3,4-d]pyrimidin
326	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-({[2-(metyloksy)etyl]oksy}metyl)-1*H*-pyrazol[3,4-d]pyrimidin
327	3-brom-4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
328	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-propyl-1*H*-pyrazol[3,4-d]pyrimidin
329	4-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}fenol
330	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-*N*-fenyl-1*H*-pyrazol[3,4-d]pyrimidin-3-amin
331	4-[4-(3-klorfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
332	4- {4-[5-klor-2-(metyloksy)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
333	3- {4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}fenol
334	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-{3-[(fenylmetyl)oksy]fenyl}-1*H*-pyrazol[3,4-d]pyrimidin
335	3-(1,3-benzodioksol-5-yl)-4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
336	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(2-tienyl)-1*H*-pyrazol[3,4-d]pyrimidin
337	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}anilin
338	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}benzosyre
339	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(4-metylfenyl)-1*H*-pyrazol[3,4-d]pyrimidin
340	*N*-(4-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}fenyl)acetamid
341	4-[4-(3-klorfenyl)-1,4-diazepan-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
342	4-[5-(3-klorfenyl)-2,5-diazabisyklo[2.2.1]hept-2-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
343	4-(4-{3-klor-4-[(2-morfolin-4-yletyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
344	metyl 1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-2-karboksylat
345	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(3-metylbut-2-en-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
346	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(trifluormetyl)-1*H*-pyrazol[3,4-d]pyrimidin
347	metyl 4-(3-klorfenyl)-1-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-2-karboksylat
348	4-(4-{3-klor-4-[(2-piperidin-1-yletyl)oksy]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
349	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(1-metyletyl)-1*H*-pyrazol[3,4-d]pyrimidin
350	1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-2-karboksylsyre
351	1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-metylpiperazin-2-karboksamid
352	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(fenylmetyl)-1*H*-pyrazol[3,4-d]pyrimidin
353	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(2-metylpropyl)-1*H*-pyrazol[3,4-d]pyrimidin

Forb. nr.	*N*avn
354	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[4-(metyloksy)fenyl]-1*H*-pyrazol[3,4-d]pyrimidin
355	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(4-fluorfenyl)-1*H*-pyrazol[3,4-d]pyrimidin
356	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[4-(fenyloksy)fenyl]-1*H*-pyrazol[3,4-d]pyrimidin
357	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-{4-[(piperidin-4-ylmetyl)oksy]fenyl}-1*H*-pyrazol[3,4-d]pyrimidin
358	1-(3-klorfenyl)-*N*-[2-(dimetylamino)etyl]-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-2-karboksamid
359	4-[4-(5-klor-2-metyl-3-morfolin-4-ylfenyl)piperazin-1-yl]-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
360	4-(3-klorfenyl)-1-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-metylpiperazin-2-karboksamid
361	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[2-(metyloksy)fenyl]-1*H*-pyrazol[3,4-d]pyrimidin
362	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-pyridin-4-yl-1*H*-pyrazol[3,4-d]pyrimidin
363	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[3-(metyloksy)fenyl]-1*H*-pyrazol[3,4-d]pyrimidin
364	4-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}benzonitril
365	[5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)fenyl]metanol
366	metyl 5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)benzoat
367	(2E)-3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}2-propensyre
368	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}propansyre
369	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}propan-1-ol
370	metyl (2E)-3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}prop-2-enoat

Forb. nr.	*N*avn
371	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-{4-[(2-morfolin-4-yletyl)oksy]fenyl}-1*H*-pyrazol[3,4-d]pyrimidin
372	5-klor-*N*-[2-(dimetylamino)etyl]-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)benzamid
373	4-(4-{5-klor-2-(metyloksy)-3-[(4-metylpiperazin-1-yl)karbonyl]fenyl}piperazin-1-yl)-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
374	2-(dimetylamino)etyl 5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)benzoat
375	1-[5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)fenyl]-*N*,*N*-dimetylmetanamin
376	*N*'-{[5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)fenyl]metyl}-*N*,*N*-dimetyletan-1,2-diamin
377	[1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-2-yl]metanol
378	3-[(4-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}fenyl)oksy]-*N*,*N*-dimetylpropan-1-amin
379	2-klor-4-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-metylfenol
380	1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-(1-metylpiperidin-4-yl)piperazin-2-karboksamid
381	1-(3-klorfenyl)-4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-(2-morfolin-4-yletyl)piperazin-2-karboksamid
382	2- {[5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(metyloksy)fenyl]oksy}-*N,N*-dimetyletanamin
383	3- {5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N,N*-dimetylprop-2-yn-1-amin
384	*N*'-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N*,*N*-dimetyletan-1,2-diamin
385	1,1-dimetyletyl (2E)-3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}prop-2-enoat
386	3-({2-klor-4-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-metylfenyl}oksy)-*N*,*N*-dimetylpropan-1-amin

Forb. nr.	*N*avn
387	2-({2-klor-4-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-5-metylfenyl}oksy)-*N,N*-dimetyletanamin
388	4-{4-[5-klor-2-metyl-4-(metyloksy)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin
389	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(4-metylpiperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
390	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}-*N,N*-dietylprop-2-yn-1-amin
391	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}prop-2-yn-1-ol
392	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(piperidin-4-ylmetyl)-1*H*-pyrazol[3,4-d]pyrimidin
393	fenylmetyl (3aR,6aS)-5-({4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}metyliden)heksahydrosyklopenta[c]pyrrol-2(1*H*)-karboksylat
394	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[(E)-(3aR,6aS)-heksahydrosyklopenta[c]pyrrol-5(1*H*)-ylidenmetyl]-1*H*-pyrazol[3,4-d]pyrimidin
395	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylprop-1-yn-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
396	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-[3-(4-metylpiperazin-1-yl)prop-1-yn-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
397	3-{4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin-3-yl}-*N,N*-dietylpropan-1-amin
398	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylpropyl)-1*H*-pyrazol[3,4-d]pyrimidin
399	4-[4-(5-klor-2-metylfenyl)piperazin-1-yl]-3-(1,2,3,6-tetrahydropyridin-4-yl)-1*H*-pyrazol[3,4-d]pyrimidin
400	3-{5-klor-3-[4-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-metylfenyl}-*N,N*-dietylpropan-1-amin
401	4-{4-[5-klor-2-metyl-3-(3-pyrrolidin-1-ylpropyl)fenyl]piperazin-1-yl}-3-etyl-1*H*-pyrazol[3,4-d]pyrimidin

Tabell 2b.

Ytterligere representative AKT-inhibitorer

Forbindelsene i tabell 2b kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 2b kan anvendes.

Oppføring	Navn
1	[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metanol
2	2-{[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]oksy}-*N,N*-dimetyletanamin
3	3-{[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]oksy}-*N,N*-dimetylpropan-1-amin
4	3-brom-4-{4-[(4-bromfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
5	{4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-1-[(4-klorfenyl)metyl]piperazin-2-yl}metanol
6	*N*'-[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]-*N,N*-dietyletan-1,2-diamin
7	3-brom-4-(4-{[4-(1,1-dimetyletyl)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
8	4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-1-[(4-klorfenyl)metyl]piperazin-2-on
9	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-2-(4-klorfenyl)-*N*-[2-(dimetylamino)etyl]acetamid
10	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-*N,N'*-dietylpropan-1,3-diamin
11	3-brom-4-(4-{[4-(trifluormetyl)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
12	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-*N*'-[2-(dimetylamino)etyl]urea
13	*N*-[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]-*N*'-[2-(dimetylamino)etyl]urea

Oppføring	Navn
14	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-2-oksopiperazin-1-yl]-2-(4-klorfenyl)-*N*-[2-(dimetylamino)etyl]acetamid
15	2-(dimetylamino)etyl[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)karbamat
16	3-brom-4-{4-[(4-klor-3-fluorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
17	3-brom-4-{4-[(4-klor-2-fluorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
18	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-*N*',*N*-dietyletan-1,2-diamin
19	3-brom-4-{4-[(4-klorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
20	[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorfenyl)metanon
21	*N*-[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin4-yl](4-klorfenyl)metyl]-*N',N*-dietyl-*N*-metyletan-1,2-diamin
22	[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorfenyl)metanol
23	3-brom-4-(4-{[2-fluor-4-(trifluormetyl)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
24	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-*N*~3~,*N*~3~-dietyl-beta-alaninamid
25	2-{[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorfenyl)metyl]oksy}-*N,N*-dimetyletanamin
26	*N*-[[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]-*N*~3~,*N*~3~-dietyl-beta-alaninamid
27	3-brom-4-{4-[(3,4-diklorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
28	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-*N*'-(2-(dimetylamino)etyl)etandiamid
29	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)-2-(dietylamino)etansulfonamid
30	4-[4-(bifenyl-4-ylmetyl)piperazin-1-yl]-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
31	3-brom-4-{(3S)-4-[(4-klorfenyl)metyl]-3-metylpiperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
32	3-brom-4-(4-{[4-(metyloksy)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin

Oppføring	Navn
33	4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-[3-(trifluormetyl)fenyl]piperazin-1-karboksamid
34	3-brom-4-{4-[(4-fluorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
35	*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*-(4-klorfenyl)pent-4-enamid
36	3-brom-4-[4-(2,3-dihydro-1,4-benzodioksin-6-ylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
37	4-[4-(1,3-benzodioksol-5-ylmetyl)piperazin-1-yl]-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
38	[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metanon
39	3-brom-4-(4-{[4-(fenyloksy)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
40	3-brom-4-{4-[(3,4-diklorfenyl)metyl]piperidin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
41	4-{[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]metyl}-*N,N*-dimetylanilin
42	metyl 4-{[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]metyl}benzoat
43	3-brom-4-{4-[(2E)-3-fenylprop-2-enoyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
44	1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-4-[(4-klorfenyl)metyl]-*N*-[3-(dietylamino)propyl]piperidin-4-karboksamid
45	3-brom-4-{4-[(2-bromfenyl)metyl]piperazin-1-yl}-1H-pyrazol[3,4-d]pyrimidin
46	3-brom-4-{4-[(2-klorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
47	3-brom-4-{4-[(2,4-diklorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
48	3-brom-4-{4-[(2-klor-4-fluorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
49	1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-4-(4-klorfenyl)-*N*-[3-(dietylamino)propyl]piperidin-4-karboksamid
50	3-brom-4-[4-(fenylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
51	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N*-pyridin-2-ylacetamid
52	3-brom-4-[4-(1*H*-imidazol-2-ylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
53	3-brom-4-(4-{[3-(fenyloksy)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin

Oppføring	Navn
54	3-brom-4-{4-[(3-metylfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
55	3-{[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]metyl}benzonitril
56	3-brom-4-{4-[(2-klor-6-fluorfenyl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
57	3-brom-4-[4-(1-fenyletyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
58	3-brom-4-[4-(pyridin-4-ylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
59	1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-*N*-(4-klorfenyl)piperidin-4-amin
60	3-brom-4-[4-(pyridin-3-ylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
61	3-brom-4-(4-{[2,3,4-tris(metyloksy)fenyl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
62	3-brom-4-[4-({3-[(fenylmetyl)oksy]fenyl}metyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
63	3-brom-4-[4-(naftalen-1-ylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
64	3-brom-4-(4-{[5-(4-klorfenyl)furan-2-yl]metyl}piperazin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
65	3-brom-4-[4-({4-[(4-fluorfenyl)oksy]-3-nitrofenyl}metyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
66	3-brom-4-[4-(furan-2-ylkarbonyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
67	3-brom-4-[4-(1*H*-indol-6-ylkarbonyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
68	3-brom-4-{4-[2-(2-tienyl)etyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
69	3-brom-4-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
70	3-brom-4-[4-(sykloheksylmetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
71	3-brom-4-{4-[(10-klorantracen-9-yl)metyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
72	3-brom-4-[4-(1-metylpropyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
73	4-(4-{[4,6-bis(metyloksy)pyrimidin-2-yl]metyl}piperazin-1-yl)-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
74	3-brom-4-{4-[2-(metyloksy)etyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
75	3-brom-4-[4-(2-morfolin-4-yl-2-oksoetyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
76	3-brom-4-{4-[3-(metyloksy)propyl]piperazin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin

Oppføring	Navn
77	4-{4-[[4,6-bis(metyloksy)pyrimidin-2-yl](fenyl)metyl]piperazin-1-yl}-3-brom-1*H*-pyrazol[3,4-d]pyrimidin
78	3-brom-4-[4-(6,7,8,9-tetrahydro-5*H*-benzosyklohepten-5-yl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
79	3-brom-4-[4-({4-[(fenylmetyl)oksy]fenyl}metyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
80	3-brom-4-[4-({3-klor-4-[(fenylmetyl)oksy]fenyl}metyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
81	4-{[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]metyl}-*N*-(3-morfolin-4-ylpropyl)benzamid
82	4-{[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]metyl}-*N*-[3-(metyloksy)propyl]benzamid
83	2-[({4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)-1-[(4-klorfenyl)metyl]piperazin-2-yl}metyl)oksy]-*N,N*-dimetyletanamin
84	3-brom-4-[4-({4-[(4-klorfenyl)oksy]-3-nitrofenyl}metyl)piperazin-1-yl]-1*H*-pyrazol[3,4-d]pyrimidin
85	2-[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl]-*N,N*-dimetylacetamid
86	2-{[(R)-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]oksy}-*N,N*-dimetyletanamin
87	*N*-(4-brom-3-fluorfenyl)-*N*-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-*N*'-[2-(dimetylamino)etyl]urea
88	2-({(R)-(4-klorfenyl)[1-(3-etyl-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]metyl}oksy)-*N,N*-dimetyletanamin
89	2-{[(S)-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)pipendin-4-yl](4-klorfenyl)metyl]oksy}-*N,N*-dimetyletanamin
90	3-brom-4-(4-{(R)-(4-klorfenyl)[(2-pyrrolidin-1-yletyl)oksy]metyl}piperidin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
91	1-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-klorfenyl)-4-(dimetylamino)butan-1-ol
92	2-{[(R)-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klor-3-fluorfenyl)metyl]oksy}-*N,N*-dimetyletanamin

Oppføring	Navn
93	3-brom-4-(4-{(R)-(4-klorfenyl)[(2-piperidin-1-yletyl)oksy]metyl}piperidin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
94	4-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-4-(4-klorfenyl)-*N,N*-dimetylbutan-1-amin
95	3-brom-4-(4-{(R)-(4-klorfenyl)[(2-morfolin-4-yletyl)oksy]metyl}piperidin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
96	1-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorfenyl)-*N*-(furan-2-ylmetyl)-*N*-metylmetanamin
97	1-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorfenyl)-*N*-metyl-*N*-(pyridin-2-ylmetyl)metanamin
98	4-{[{[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-fluorfenyl)metyl}(metyl)amino]metyl}-*N*,*N*-dimetylanilin
99	[4-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperazin-1-yl](1*H*-indol-6-yl)metanol
100	3-brom-4-(4-{(R)-(4-klor-3-fluorfenyl)[(2-pyrrolidin-1-yletyl)oksy]metyl}piperidin-1-yl)-1*H*-pyrazol[3,4-d]pyrimidin
101	3-brom-4-{4-[(4-klorfenyl)oksy]piperidin-1-yl}-1*H*-pyrazol[3,4-d]pyrimidin
102	2-{[(R)-[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl](4-klorfenyl)metyl]oksy}-*N,N*-dietyletanamin
103	2-{[1-(3-brom-1*H*-pyrazol[3,4-d]pyrimidin-4-yl)piperidin-4-yl]oksy}-5-klor-*N*-(2-pyrrolidin-1-yletyl)anilin

Tabell 3a.

Representative c-MET- og/eller Flt-3-inhibitorer

Forbindelsene i tabell 3a kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 3a kan anvendes.

Forb. nr.	Navn
1	*N*-(4-fluorfenyl)-*N*'-[3-fluor-4-(7*H*-pyrrol[2,3-d]pyrimidin-4-yloksy)fenyl]propandiamid
2	*N*-(4-fluorfenyl)-*N*'-[3-fluor-4-(7*H*-pyrrol[2,3-d]pyrimidin-4-yloksy)fenyl]syklopropan-1,1-dikarboksamid
3	*N*-({[3-fluor-4-(7*H*-pyrrol[2,3-d]pyrimidin-4-yloksy)fenyl]amino}karbonotioyl)-2-fenylacetamid
4	*N*-(4-fluorfenyl)-*N*'-(4-{[1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]oksy}fenyl)syklopropan-1,1-dikarboksamid
5	2-fenyl-*N*-{[(4-{[1-(tetrahydro-2*H*-pyran-2-yl)-1*H*-pyrazol[3,4-d]pyrimidin-4-yl]oksy}fenyl)amino]karbontioyl}acetamid
6	*N*-(4-fluorfenyl)-*N*'-[4-(1*H*-pyrazol[3,4-d]pyrimidin-4-yloksy)fenyl]syklopropan-1,1-dikarboksamid
7	2-fenyl-*N*-({[4-(1*H*-pyrazol[3,4-d]pyrimidin-4-yloksy)fenyl]amino}karbontioyl)acetamid
8	*N*-(4-fluorfenyl)-*N*'-(4-{[9-(tetrahydro-2*H*-pyran-2-yl)-9*H*-purin-6-yl]oksy}fenyl)syklopropan-1,1-dikarboksamid
9	2-fenyl-*N*-{[(4-{[9-(tetrahydro-2*H*-pyran-2-yl)-9*H*-purin-6-yl]oksy)fenyl)amino]karbontioyl}acetamid
10	*N*-(4-fluorfenyl)-*N*'-[4-(9*H*-purin-6-yloksy)fenyl]syklopropan-1,1-dikarboksamid
11	2-fenyl-*N*-({[4-(9*H*-purin-6-yloksy)fenyl]amino}karbontioyl)acetamid
12	*N*-{3-fluor-4-[(6-{[(2-morfolin-4-yletyl)amino]karbonyl}-7*H*-pyrrol[2,3-d]pyrimidin-4-yl)oksy]fenyl}-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid

Tabell 3b.

Ytterligere representative c-MET-, c-KIT- og/eller Flt-3-inhibitorer

Forbindelsene i tabell 3b kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 3b kan anvendes.

Oppføring	Navn
1	*N*-[({3-fluor-4-[(6-(metyloksy)-7-{[(3aR,6aS)-oktahydrosyklopenta[c]pyrrol-5-ylmetyl]oksy}kinazolin-4-yl)oksy]fenyl}amino)karbontioyl]-2-fenylacetamid
2	*N*-{[(3-fluor-4-{[7-({[(3aR,6aS)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-yl]oksy}fenyl)amino]karbontioyl}-2-fenylacetamid
3	*N*-{[(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)(metyl)amino]karbontioyl}-2-fenylacetamid
4	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)imidazolidin-2-on
5	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-3-(fenylmetyl)imidazolidin-2-on
6	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-3-(fenylacetyl)imidazolidin-2-on
7	etyl [(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)amino](okso)acetat
8	*N*-{[(4-{[6,7-bis(metyloksy)kinazolin-4-yl]amino}-3-fluorfenyl)amin]karbontioyl}-2-fenylacetamid
9	*N*'-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*-metyl-*N*-(2-fenyletyl)sulfamid
10	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-3-(fenylmetyl)-1,2,4-oksadiazol-5-amin
11	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)piperidin-2-on
12	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(fenylmetyl)etandiamid
13	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-4-fenyl-1,3-tiazol-2-amin
14	N-(4- {[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(2-fenyletyl)etandiamid
15	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-1-fenylmetansulfonamid
16	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-2-fenyletansulfonamid
17	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-(fenylmetyl)benzensulfonamid
18	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-metyl-*N*-(fenylmetyl)benzensulfonamid
19	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-(2-fenyletyl)benzensulfonamid

Oppføring	Navn
20	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-metyl-*N*-(2-fenyletyl)benzensulfonamid
21	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-(3-fenylpropyl)benzensulfonamid
22	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)pyrrolidin-2-on
23	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl(fenylmetyl)karbamat
24	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl(2-fenyletyl)karbamat
25	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-metyl-*N*-(3-fenylpropyl)benzensulfonamid
26	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-fenyletandiamid
27	*N*-{[(3-fluor-4-{[7-{[(2-metyloktahydrosyklopenta[c]pyrrol-5-yl)metyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}fenyl)amino]karbontioyl}-2-fenylacetamid
28	*N*-[(*Z*)-[(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)amino](imino)metyl]-2-fenylacetamid
29	4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluor-*N*-[2-(fenyloksy)etyl]benzensulfonamid
30	*N,N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-bis-(3-fenylpropan-1-sulfonamid)
31	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-3-fenylpropan-1-sulfonamid
32	*N*2-[(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)sulfonyl]-*N*1-fenylglycinamid
33	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-2-fenylacetamid
34	*N*-{[(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)amino]karbontioyl}-2-fenylacetamid
35	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-1,3-benzotiazol-2-amin
36	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-amin
37	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-yl)-2-fenylacetamid
38	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(2-morfolin-4-yletyl)etandiamid

Oppføring	Navn
39	benzyl-{[4-(6,7-dimetoksy-kinolin-4-yloksy)-3-fluor-fenylkarbamoyl]-metyl}-karbaminsyre-tert-butylester
40	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'2-(fenylmetyl)glycinamid
41	*N*2-acetyl-*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-(fenylmetyl)glycinamid
42	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-1,3-benzotiazol-2-yl)-2-fenylacetamid
43	benzyl-{[6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-ylkarbamoyl]-metyl}-karbaminsyre-tert-butylester
44	*N*1-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-*N*2-(fenylmetyl)glycinamid
45	*N*2-acetyl-*N*1-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-*N*2-(fenylmetyl)glycinamid
46	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-3-fenylpropanamid
47	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-4-fenylbutanamid
48	*N*1-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-*N*2-metyl-*N*2-(fenylmetyl)glycinamid
49	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-{2-[4-(metyloksy)fenyl]etyl}etandiamid
50	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-metyl-*N*2-(fenylmetyl)glycinamid
51	4-[(2-amino-1,3-benzotiazol-6-yl)oksy]-6,7-bis(metyloksy)-1-(2-okso-2-fenyletyl)kinolinium
52	*N*-{[(4-{[6,7-bis(metyloksy)kinolin-4-yl]amino}fenyl)amino]karbontioyl}-2-fenylacetamid
53	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-yl)-3-fenylpropanamid
54	*N*-{[(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)amino]karbontioyl}-2-fenylacetamid
55	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(2,3-dihydro-1*H*-inden-1-yl)etandiamid

Oppføring	Navn
56	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(2,3-dihydro-1*H*-inden-2-yl)etandiamid
57	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(1,2,3,4-tetrahydronaftalen-1-yl)etandiamid
58	*N*'-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*-(2-fenyletyl)-*N*-(fenylmetyl)sulfamid
59	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'2-(trifluoracetyl)glycinamid
60	*N*-{[4-(6,7-dimetoksy-kinolin-4-yloksy)-3-fluor-fenylkarbamoyl]-metyl}-benzamid
61	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridin-3-yl)-*N*'-(4-fluorfenyl)propandiamid
62	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[(2S)-1,2,3,4-tetrahydronaftalen-2-yl]etandiamid
63	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[2-(4-metylfenyl)etyl]etandiamid
64	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(2-fenylpropyl)etandiamid
65	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[2-(4-klorfenyl)etyl]etandiamid
66	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N,N*'-bis(fenylmetyl)sulfamid
67	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N,N*'-bis(2-fenyletyl)sulfamid
68	etyl [(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)amino](okso)acetat
69	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*'-(2-fenyletyl)etandiamid
70	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*'-(4-fluorfenyl)propandiamid
71	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(1,2,3,4-tetrahydronaftalen-2-yl)etandiamid

Oppføring	Navn
72	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[2-(1-metylpyrrolidin-2-yl)etyl]etandiamid
73	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[2-(fenyloksy)etyl]etandiamid
74	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-[2-hydroksy-1-(fenylmetyl)etyl]urea
75	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-3-[(4-metylfenyl)sulfonyl]-4-(fenylmetyl)imidazolidin-2-on
76	*N*'-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*-metyl-*N*-(2-fenyletyl)etandiamid
77	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-{[3-(trifluormetyl)fenyl]metyl}etandiamid
78	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-{2-[3-(trifluormetyl)fenyl]etyl} etandiamid
79	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-3-okso-4-fenylbutanamid
80	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-2-[3-(trifluormetyl)fenyl]acetamid
81	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-[2-(fenyloksy)etyl]-1,3-benzotiazol-2-amin
82	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-(2-piperidin-1-yletyl)-1,3-benzotiazol-2-amin
83	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-metyl-*N*-(2-fenyletyl)-1,3-benzotiazol-2-amin
84	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-(2-pyrrolidin-1-yletyl)-1,3-benzotiazol-2-amin
85	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-{[3-(trifluormetyl)fenyl]metyl}-1,3-benzotiazol-2-amin
86	6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-*N*-{2-[3-(trifluormetyl)fenyl]etyl}-1,3-benzotiazol-2-amin

Oppføring	Navn
87	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N'*-[3-(trifluormetyl)fenyl]propandiamid
88	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-yl)-2-[3-(trifluormetyl)fenyl]acetamid
89	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-{[3-(trifluormetyl)fenyl]metyl}glycinamid
90	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-(2-fenyletyl)glycinamid
91	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-{2-[3-(trifluormetyl)fenyl]etyl}glycinamid
92	benzyl-{[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-ylkarbamoyl]-metyl}-karbaminsyre-tert-butylester
93	*N*1-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*2-(fenylmetyl)glycinamid
94	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-yl)-2-[3,5-bis(trifluormetyl)fenyl]acetamid
95	*N*-(6- {[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-1,3-benzotiazol-2-yl)-2-[2-klor-5-(trifluormetyl)fenyl]acetamid
96	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[(1-metylpiperidin-4-yl)metyl]oksy}kinolin-4-yl)oksy]fenyl}-*N'*-(2-fenyletyl)etandiamid
97	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(1,2,3,4-tetrahydroisokinolin-1-ylmetyl)etandiamid
98	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-[(2-metyl-1,2,3,4-tetrahydroisokinolin-1-yl)metyl]etandiamid
99	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-metyl-*N*2-{[3-(trifluormetyl)fenyl]metyl}glycinamid
100	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-metyl-*N*2-{2-[3-(trifluormetyl)fenyl]etyl}glycinamid
101	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*2-metyl-*N*2-(2-fenyletyl)glycinamid

Oppføring	Navn
102	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-4-(fenylmetyl)imidazolidin-2-on
103	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}pyridazin-3-yl)-*N*'-(4-fluorfenyl)propandiamid
104	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N'*-(2-klorfenyl)propandiamid
105	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*'-(3-klorfenyl)propandiamid
106	*N*1-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*2-metyl-*N*2-(fenylmetyl)glycinamid
107	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N*'-(4-klorfenyl)propandiamid
108	(2E)-*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-2-[(metyloksy)imino]propanamid
109	(2E)-*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-2-[(etyloksy)imino]propanamid
110	(2E)-*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-2-{[(fenylmetyl)oksy]imino}propanamid
111	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-1-(fenylmetyl)prolinamid
112	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-3-[(4-metylfenyl)sulfonyl]-4-(fenylmetyl)imidazolidin-2-on
113	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-4-(fenylmetyl)imidazolidin-2-on
114	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-4-(fenylmetyl)-4,5-dihydro-1,3-oksazol-2-amin
115	6,7-bis(metyloksy)-4-({4-[4-(fenylmetyl)piperazin-1-yl]fenyl}oksy)kinolin
116	1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-4-(fenylmetyl)piperazin-2-on
117	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*2-(fenylmetyl)alaninamid
118	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*2-metyl-*N*2-(fenylmetyl)alaninamid
119	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*2-(fenylmetyl)leucinamid

Oppføring	Navn
120	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*2-metyl-*N*2-(fenylmetyl)leucinamid
121	*N*1-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*2-(fenylmetyl)valinamid
122	4-(6,7-dimetoksy-kinolin-4-ylamino)-*N*-(3-fenyl-propyl)-benzamid
123	4-benzyl-1-[4-(6,7-dimetoksy-kinolin-4-yloksy)-fenyl]-tetrahydro-pyrimidin-2-on
124	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-fenetyl-oksalamid
125	2-(Benzyl-metyl-amino)-*N*-[4-(6,7-dimetoksy-kinolin-4-yloksy)-fenyl]-3-metyl-butyramid (merk: Ikke tatt hensyn til prefiksers alfabetiske rekkefølge ved valg av hovedkjede)
126	*N*-[4-(6,7-Dimetoksy-kinolin-4-yloksy)-fenyl]-2-fenoksyimino-propionamid
127	2-Benzyloksyimino-*N*-[4-(6,7-dimetoksy-kinolin-4-yloksy)-fenyl]-2-fenyl-acetamid
128	4-[4-(4-Benzyl-piperidin-1-yl)-fenoksy]-6,7-dimetoksy-kinolin
129	*N*-[4-(6,7-Dimetoksy-kinolin-4-yloksy)-3-fluor-fenyl]-*N*'-(2-isopropyl-1,2,3,4-tetrahydro-isokinolin-1-ylmetyl)-oksalamid
130	*N*-[4-(6,7-Dimetoksy-kinolin-4-yloksy)-3-fluor-fenyl]-*N*'-(2-etyl-1,2,3,4-tetrahydro-isokinolin-1-ylmetyl)-oksalamid
131	4-(4-{3-klor-5-[2-(4-fluor-fenylkarbamoyl)-acetylamino]-pyridin-2-yloksy}-6-metoksy-kinolin-7-yloksymetyl)-piperidin-1-karboksylsyre-tert-butylester
132	*N*-{5-klor-6-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-pyridin-3-yl}-*N*'-(4-fluor-fenyl)-malonamid
133	*N*-{5-klor-6-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-pyridin-3-yl}-*N*'-(4-fluor-fenyl)-malonamid
134	*N*-{4-[7-(3-Dietylamino-propoksy)-6-metoksy-kinolin-4-yloksy]-3-fluorfenyl}-*N*'-fenetyl-oksalamid
135	*N*-{3-fluor-4-[6-metoksy-7-(3-morfolin-4-yl-propoksy)-kinolin-4-yloksy]-fenyl}-*N*'-fenetyl-oksalamid
136	*N*-{3-fluor-4-[6-metoksy-7-(3-piperidin-1-yl-propoksy)-kinolin-4-yloksyl-fenyl}-*N*'-fenetyl-oksalamid
137	*N*-{4-[7-(2-Dietylamino-etoksy)-6-metoksy-kinolin-4-yloksy]-3-fluor-fenyl}-*N*'-fenetyl-oksalamid

Oppføring	Navn
138	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-metyl-*N*'-fenetyl-oksalamid
139	*N*-{3-fluor-4-[6-metoksy-7-(2-metyl-oktahydro-syklopenta[c]pyrrol-5-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-fenetyl-oksalamid
140	*N*-{3-fluor-4-[6-metoksy-7-(2-metyl-oktahydro-syklopenta[c]pyrrol-5-ylmetoksy)-kinazolin-4-yloksy]-fenyl}-*N*'-fenetyl-oksalamid
141	2-(3,4-Dihydro-1*H*-isokinolin-2-yl)-*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-2-okso-acetamid
142	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-2-okso-2-(3-fenyl-pyrrolidin-1-yl)-acetamid
143	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-2-okso-2-(2-fenyl-morfolin-4-yl)-acetamid
144	*N*-(2-Dimetylamino-2-fenyl-etyl)-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
145	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-okso-2-fenyl-etyl)-oksalamid
146	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-2,2-difluor-*N*'-(4-fluor-fenyl)-malonamid
147	*N*-Benzyl-*N*'-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
148	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(2-fluor-fenyl)-etyl]-oksalamid
149	*N*-[2-(3-klor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
150	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(2-metoksy-fenyl)-etyl]-oksalamid
151	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-pyridin-3-yl-etyl)-oksalamid
152	*N*-Benzyl-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid

Oppføring	Navn
153	*N*-[2-(2,5-Dimetoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
154	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(2-trifluormetyl-fenyl)-etyl]-oksalamid
155	*N*-[2-(2-etoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
156	*N*-[2-(2,4-Dimetyl-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid
157	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(1*S*-fenyl-2-p-tolyl-etyl)-oksalamid
158	*N*-[2-(4-klor-fenyl-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
159	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksamidsyre
160	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(3-fluor-fenyl)-etyl]-oksalamid
161	*N*-[2-(2-klor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
162	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(3-metoksy-fenyl)-etyl]-oksalamid
163	*N*-(1,2-Difenyl-etyl)-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
164	*N*-[2-(2,4-Diklor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
165	*N*-[2-(3,4-Dimetoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
166	*N*-[2-(4-etyl-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
167	*N*-[2-(4-etoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid

Oppføring	Navn
168	*N*-[2-(4-etoksy-3-metoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
169	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-(4-fenoksy-fenyl)-etyl]-oksalamid
170	*N*-[2-(3-etoksy-4-metoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
171	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-pyridin-2-yl-etyl)-oksalamid
172	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[2-pyridin-4-yl-etyl)-oksalamid
173	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy)-fenyl}-*N*'-[2-(4-fluor-fenyl)-etyl]-oksalamid
174	*N*-[2-(2-brom-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
175	*N*-[2-(2-klor-6-fluor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
176	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2*R*-fenyl-propyl)-oksalamid
177	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-indan-1-yl-oksalamid
178	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-isobutyl-oksalamid
179	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(3-metyl-butyl)-oksalamid
180	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2*R*-fenyl-propyl)-oksalamid
181	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-fenyl-propyl)-oksalamid
182	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-indan-2-yl-oksalamid

Oppføring	Navn
183	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(1*R*-fenyl-etyl)-oksalamid
184	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(1*S*-fenyl-etyl)-oksalamid
185	*N*-[2-(3-brom-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
186	*N*-[2-(2,6-Diklor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
187	*N*-[2-(2,4-Diklor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
188	*N*-(2-Benzo[1,3]dioksol-5-yl-etyl)-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
189	*N*-[2-(3-brom-4-metoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
190	*N*-[2-(3,5-Dimetoksy-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
191	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-o-tolyl-etyl)-oksalamid
192	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-m-tolyl-etyl)-oksalamid
193	*N*-[2-(3-etoksy-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
194	*N*-[2-(3,4-Dimetyl-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
195	*N*-[2-(2,5-Dimetyl-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
196	*N*-[2-(3-klor-4-propoksy-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
197	*N*-[2-(4-Butoksy-3-klor-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid

Oppføring	Navn
198	*N*-[2-(4-tert-Butyl-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid
199	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[2-(4-sulfamoyl-fenyl)-etyl]-oksalamid
200	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[2-(4-hydroksy-3-metoksy-fenyl)-etyl]-oksalamid
201	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[2-(3-hydroksy-4-metoksy-fenyl)-etyl]-oksalamid
202	*N*-(2,4-Diklor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid
203	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-fluor-2-trifluormetyl-benzyl)-oksalamid
204	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1-p-tolyl-etyl)-oksalamid
205	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-fluor-4-trifluormetyl-benzyl)-oksalamid
206	*N*-(3-klor-4-fluor-benzyl)-*N'*-(3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
207	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[1-(3-metoksy-fenyl)-etyl]-oksalamid
208	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1-naftalen-2-yl-etyl)-oksalamid
209	*N*-(4-klor-3-trifluormetyl-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
210	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1-p-tolyl-etyl)-oksalamid
211	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(6-trifluormetyl-pyridin-3-ylmetyl)-oksalamid
212	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-metyl-benzyl)-oksalamid

Oppføring	Navn
213	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-metyl-benzyl)-oksalamid
214	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-fluor-3-trifluormetyl-benzyl)-oksalamid
215	*N*-(3,5-Diklor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
216	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1R,2,3,4-tetrahydro-naftalen-1-yl)-oksalamid
217	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1S,2,3,4-tetrahydro-naftalen-1-yl)-oksalamid
218	*N*-syklopentyl-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
219	*N*-[1-(4-brom-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
220	*N*-(2-fluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
221	*N*-[2-(3,4-Diklor-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
222	*N*-(4-fluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid
223	*N*-(2,3-Difluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
224	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-fenoksy-ety)-oksalamid
225	N-(2,2-Difenyl-etyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
226	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[2-(4-metoksy-fenyl)-etyl]-oksalamid
227	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}}-*N'*-(2-fenyl-propyl)-oksalamid

Oppføring	Navn
228	*N*-[2-(4-brom-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
229	*N*-{4-[7-(1-etyl-piperidin-4-ylmetoksy)-6-metoksy-kinolin-4-yloksy]-3-fluor-fenyl}-2-okso-2-(2-fenyl-morfolin-4-yl)-acetamid
230	*N*-{3-Fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-fluor-5-trifluormetyl-benzyl)-oksalamid
231	*N*-(3,5-Difluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
232	*N*-(2-klor-5-trifluormetyl-benzyl)-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
233	*N*-[4-(6,7-Dimetoksy-kinolin-4-yloksy)-3-fluor-fenyl]-*N'*-(2-dimetylamino-2-fenyl-etyl)-oksalamid
234	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-metoksy-benzyl)-oksalamid
235	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-trifluormetyl-benzyl)-oksalamid
236	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)kinolin-4-yloksy]-fenyl}-*N'*-(3-metoksy-benzyl)-oksalamid
237	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-trifluormetyl-benzyl)-oksalamid
238	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-trifluormetoksy-benzyl)-oksalamid
239	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-metoksy-benzyl)-oksalamid
240	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-trifluormetyl-benzyl)-oksalamid
241	*N*-(3-klor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
242	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-trifluormetoksy-benzyl)-oksalamid

Oppføring	Navn
243	*N*-(2-klor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
244	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-trifluormetoksy-benzyl)-oksalamid
245	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-metoksy-benzyl)-oksalamid
246	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(4-trifluormetyl-benzyl)-oksalamid
247	*N*-{4-[7-(Azetidin-3-ylmetoksy)-6-metoksy-kinolin-4-yloksy]-3-fluorfenyl}-*N'*-fenetyl-oksalamid
248	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-azetidin-3-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-fenetyl-oksalamid
249	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-hydroksy-2-fenyl-etyl)-oksalamid
250	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-*N'*-(2,4-difluor-fenyl)-malonamid
251	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-*N'*-(4-fluor-fenyl)-*N'*-metyl-malonamid
252	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1R-fenyl-propyl)-oksalamid
253	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(1R-fenyl-propyl)-oksalamid
254	*N*-(3,4-Difluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
255	*N*-(2,6-Difluor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
256	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-[2-(4-fluor-fenyl)-etyl]-oksalamid
257	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-*N'*-fenyl-oksalamid

Oppføring	Navn
258	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(3-fluor-fenyl)-oksalamid
259	*N*-(4-klor-3-fluor-fenyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
260	*N*-(3,4-Dimetoksy-fenyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
261	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(3-metyl-butyl)-oksalamid
262	*N*-(3,3-Dimetyl-butyl)-*N'*-(3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
263	*N*-{5-klor-6-[6-metoksy-7-(3-piperidin-1-yl-propoksy)-kinolin-4-yloksyl]-pyridin-3-yl}-*N'*-(4-fluor-fenyl)-malonamid
264	*N*-{5-klor-6-[6-metoksy-7-(3-morfolin-4-yl-propoksy)-kinolin-4-yloksy]-pyridin-3-yl}-*N*-(4-fluor-fenyl)-malonamid
265	*N*-{5-klor-6-[7-(3-dietylamino-propoksy)-6-metoksy-kinolin-4-yloksy]-pyridin-3-yl}-*N'*-(4-fluor-fenyl)-malonamid
266	*N*-(4-klor-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
267	*N*-(3,5-Dimetoksy-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
268	*N*-(4-Butyl-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksyl-fenyl}-oksalamid
269	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-(2-p-tolyl-etyl)-oksalamid
270	*N*-(3,5-Bis-trifluormetyl-benzyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
271	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-pyrazin-2-ylmetyl-oksalamid
272	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-pyridin-2-ylmetyl-oksalamid

Oppføring	Navn
273	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinazolin-4-yloksy]-fenyl}-*N*'-fenetyl-oksalamid
274	*N*-{3-fluor-4-[6-metoksy-7-(1-metyl-piperidin-4-ylmetoksy)-kinazolin-4-yloksy]-fenyl}-*N'*-fenetyl-oksalamid
275	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-fluor-3-trifluormetyl-benzyl)-oksalamid
276	*N*-[2-(2-brom-6-metoksy-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
277	*N*-[2-(3,4-Dimetoksy-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*-metyl-oksalamid
278	*N*-[2-(5-brom-2-metoksy-fenyl)-etyl]-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
279	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-fluor-5-trifluormetyl-benzyl)-oksalamid
280	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*'-[1-(4-fluor-fenyl)-etyl]-oksalamid
281	*N*-(1S-Benzyl-2-okso-2-pyrrolidin-1-yl-etyl)-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
282	N-{3-fluor-4-[6-metoksy-7-(oktahydro-syklopenta[c]pyrrol-5-ylmetoksy)-kinazolin-4-yloksy]-fenyl}-*N'*-fenetyl-oksalamid
283	*N*-[2-(4-Amino-fenyl)-etyl]-*N*'-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
284	2-(4-Benzyl-piperidin-1-yl)-*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-2-okso-acetamid
285	*N*-[4-(6,7-Dimetoksy-kinolin-4-yloksy)-fenyl]-*N'*-(4-fluor-fenyl)-malonamid
286	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-*N'*-(3-fluor-fenyl)-malonamid
287	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-*N*'-fenyl-malonamid
288	*N*-[5-klor-6-(6,7-dimetoksy-kinolin-4-yloksy)-pyridin-3-yl]-*N'*-(4-fluor-fenyl)-2,2-dimetyl-malonamid

Oppføring	Navn
289	*N*-etyl-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
290	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-isopropyl-oksalamid
291	*N*-Butyl-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
292	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-metoksy-etyl)-oksalamid
293	*N*-syklopropylmetyl-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-oksalamid
294	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N'*-(2-morfolin-4-yl-etyl)-oksalamid
295	*N*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-2-okso-2-pyrrolidin-1-yl-acetamid
296	*N*-etyl-*N'*-{3-fluor-4-[6-metoksy-7-(piperidin-4-ylmetoksy)-kinolin-4-yloksy]-fenyl}-*N*-metyl-oksalamid

Tabell 3c.

Ytterligere representative c-MET-, c-KIT- og/eller Flt-3-inhibitorer

Forbindelsene i tabell 3c kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 3c kan anvendes.

Oppføring	Navn
1	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
2	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N'*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
3	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N'*-(fenylmetyl)syklopropan-1,1-dikarboksamid

Oppføring	Navn
4	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N′*-fenylsyklopropan-1,1-dikarboksamid
5	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
6	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-piperidin-1-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
7	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-piperidin-1-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
8	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klorpyridin-3-yl)-*N′*-(2-fenyletyl)syklopropan-1,1-dikarboksamid
9	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2-metylpyridin-3-yl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
10	*N*-{4-[(7-klorkinolin-4-yl)oksy]-3-fluorfenyl}-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
11	*N*-{4-[(7-klorkinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
12	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
13	*N*-(4-{[6,7-bis(metyloksy)kinazolin-4-yl]oksy}fenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
14	*N*-(4-{[6,7-bis(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
15	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
16	*N*-{5-klor-6-[(6-(metyloksy)-7-{[(1-metylpiperidin-4-yl)metyl]oksy}kinolin-4-yl)oksy]pyridin-3-yl}-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
17	*N*-[5-klor-6-({6-(metyloksy)-7-[(piperidin-4-ylmetyl)oksy]kinolin-4-yl}oksy)pyridin-3-yl]-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
18	*N*-[5-klor-6-({6-(metyloksy)-7-[(fenylmetyl)oksy]kinolin-4-yl}oksy)pyridin-3-yl]-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid

Oppføring	Navn
19	*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
20	*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
21	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[(1-metylpiperidin-4-yl)metyl]oksy}kinazolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
22	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2-metylfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
23	*N*-(4-fluorfenyl)-*N'*-[2-metyl-6-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)pyridin-3-yl]syklopropan-1,1-dikarboksamid
24	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy-3-fluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
25	*N*-(6-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-klor-2-metylpyridin-3-yl)-*N*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
26	*N*-[3-fluor-4-({7-(metyloksy)-6-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
27	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-3,5-difluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
28	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2,5-difluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
29	*N*-[3-fluor-4-({7-(metyloksy)-6-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
30	*N*-{3-fluor-4-[(6-(metyloksy)-7-(2-metyloktahydrosyklo-penta[c]pyrrol-5-ylmetoksy)kinazolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
31	*N*-{3-fluor-4-[(7-(metyloksy)-6-{[(1-metylpiperidin-4-yl)metyl]oksy}kinazolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
32	*N*-[5-fluor-2-metyl-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
33	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2,3,5-trifluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid

Oppføring	Navn
34	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-5-fluor-2-metylfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
35	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2-klor-5-metylfenyl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
36	*N*-(3-fluor-4-{[6-hydroksy-7-(metyloksy)kinolin-4-yl]oksy}fenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
37	*N*-(4-fluorfenyl)-*N′*-[2-metyl-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]syklopropan-1,1-dikarboksamid
38	*N*-[3-fluor-4-(6-(metyloksy)-7-[(3-piperazin-1-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
39	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
40	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[(1-metylpiperidin-4-yl)metyl]oksy}kinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
41	*N*-(4-fluorfenyl)-*N′*-[4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl)oksy)fenyl]syklopropan-1,1-dikarboksamid
42	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
43	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}-2-klor-5-fluorfenyl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
44	*N*-(4-{[6,7-bis(metyloksy)-2-(metyltio)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
45	*N*-(4-fluorfenyl)-*N′*-(4-{[2-metyl-6,7-bis(metyloksy)kinazolin-4-yl]oksy}fenyl)syklopropan-1,1-dikarboksamid
46	*N*-(4-{[2-amino-6,7-bis(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
47	*N*-(3-fluor-4-{[2-(metylamino)-6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
48	(1S,2R)-*N*-(3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid

Oppføring	Navn
49	(1R,2R)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
50	*N*-(4-{[6-{[3-(dietylamino)propyl]oksy}-7-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
51	*N*-(4-{[6-{[2-(dietylamino)etyl]oksy}-7-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
52	1,1-dimetyletyl 4-(3-{[4-[(2-fluor-4-{[(1-{[(4-fluorfenyl)amino]karbonyl}sykloproppyl)karbonyl]amino}fenyl)oksy]-6-(metyloksy)kinolin-7-yl]oksy}propyl)piperazin-1-karboksylat
53	(1R,2R)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
54	(1R,2R)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
55	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
56	*N*-(4-{[7-{[3-(4-acetylpiperazin-1-yl)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N*'-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
57	1,1-dimetyletyl 4-(3-{[4-[(2-fluor-4-{[((1R,2R)-1-{[(4-fluorfenyl)amino]karbonyl}-2-metylsykloproppyl)karbonyl]amino}fenyl)oksy]-6-(metyloksy)kinolin-7-yl]oksy}propyl)piperazin-1-karboksylat
58	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N′*-(4-fluorfenyl)-1-(fenylmetyl)azetidin-3,3-dikarboksamid
59	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N′*-(4-fluorfenyl)azetidin-3,3-dikarboksamid
60	(1R,2S)-*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
61	(1R,2R)-*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
62	(1R,2R)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-piperazin-1-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid

Oppføring	Navn
63	*N*-(3-fluor-4-{[7-({3-[4-(1-metyletyl)piperazin-1-yl]propyl}oksy)-6-(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
64	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)syklopropan-1,1-dikarboksamid
65	(1R,2R)-*N*-(4-{[7-{[3-(dietylamino)propyl)oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
66	(1R,2R)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
67	(1R,2S)-*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
68	(1R,2S)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
69	*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
70	(1R,2S)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-piperazin-1-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
71	(1R,2R,3S)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
72	(1R,2R,3S)-*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
73	(1R,2R,3S)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
74	(1R,2R,3S)-*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinazolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
75	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
76	(2R,3R)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
77	(2R,3R)-*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid

Oppføring	Navn
78	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
79	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
80	(1R,2R,3S)-*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
81	*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
82	(1R,2R,3S)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
83	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
84	*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
85	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2,2-dimetylsyklopropan-1,1-dikarboksamid
86	*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
87	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinazolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
88	*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-piperazin-1-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
89	(2R,3R)-*N*-[3-fluor-4-({6-(metyloksy)-7-[(3-morfolin-4-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N′*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
90	N-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
91	*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinolin-4-yl)oksy]fenyl}-*N′*-(4-fluorfenyl)syklobutan-1,1-dikarboksamid
92	(1R,2R)-*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N′*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid

Oppføring	Navn
93	(1R,2R)-*N*-{3-fluor-4-[(6-(metyloksy)-7-{[3-(4-metylpiperazin-1-yl)propyl]oksy}kinazolin-4-yl)oksy]fenyl}-*N'*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
94	(2R,3R)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
95	(2R,3R)-*N*-(4-{[7-{[3-(dietylamino)propyl]oksy}-6-(metyloksy)kinazolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
96	(1R,2R)-*N*-(3-fluor-4-({6-(metyloksy)-7-[(3-piperazin-1-ylpropyl)oksy]kinazolin-4-yl}oksy)fenyl]-*N*-(4-fluorfenyl)-2-metylsyklopropan-1,1-dikarboksamid
97	(2R,3R)-*N*-(4-{[7-{[2-(dietylamino)etyl]oksy}-6-(metyloksy)kinolin-4-yl]oksy}-3-fluorfenyl)-*N'*-(4-fluorfenyl)-2,3-dimetylsyklopropan-1,1-dikarboksamid
98	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[(4-fluorfenyl)metyl]syklopropan-1,1-dikarboksamid
99	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-(2-morfolin-4-yletyl)syklopropan-1,1-dikarboksamid
100	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[2-(piperidin-1-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid
101	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[2-(pyrrolidin-1-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid
102	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[3-(morfolin-4-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid
103	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[2-(morfolin-4-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid
104	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-fenylsyklopropan-1,1-dikarboksamid
105	*N*-[3-(aminometyl)fenyl]-*N'*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)syklopropan-1,1-dikarboksamid
106	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[3-(piperidin-1-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid
107	*N*-(4-{[6,7-bis(metyloksy)kinolin-4-yl]oksy}fenyl)-*N'*-[3-(pyrrolidin-1-ylmetyl)fenyl]syklopropan-1,1-dikarboksamid

Tabell 4.

Representative EGFR-, ErbB2- og/eller VEGFR-inhibitorer

Forbindelsene i tabell 4 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 4 kan anvendes. Især kan ett eller to farmasøytisk akseptable salter av en forbindelse i tabell 4 anvendes. Disse salt(er) er dannet med én eller to syrer uavhengig valgt blant saltsyre, bromsyre, svovelsyre, salpetersyre, fosforsyre, eddiksyre, trifluoreddiksyre, propionsyre, heksansyre, syklopentanpropionsyre, glykolsyre, pyrodruesyre, melkesyre, oksalsyre, maleinsyre, malonsyre, ravsyre, fumarsyre, vinsyre, sitronsyre, benzosyre, kanelsyre, 3-(4-hydroksybenzoyl)benzosyre, mandelsyre, metansulfonsyre, etansulfonsyre, 1,2-etandisulfonsyre, 2-hydroksyetansulfonsyre, benzensulfonsyre, 4-klorbenzensulfonsyre, 2-naftalensulfonsyre, 4-toluensulfonsyre, kamfersulfonsyre, glukoheptonsyre, 4,4'-metylenbis-(3-hydroksy-2-en-1-karboksylsyre), 3-fenylpropionsyre, trimetyleddiksyre, tertiær butyleddiksyre, laurylsvovelsyre, glukonsyre, glutaminsyre, hydroksynaftosyre, salisylsyre, stearinsyre, mukonsyre, p-toluensulfonsyre og salisylsyre.

Oppføring	Navn
1	*N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-(1-metyletyl)oktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
2	*N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-(1-metyletyl)oktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
3	7-({[(3a*R*,5r,6a*S*)-2-acetyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-*N*-(4-brom-3-klor-2-fluorfenyl)-6-(metyloksy)kinazolin-4-amin
4	*N*-(4-brom-3-klor-2-fluorfenyl)-6-(metyloksy)-7-{[(3a*R*,5r,6a*S*)-oktahydrosyklopenta[c]pyrrol-5-ylmetyl]oksy}kinazolin-4-amin
5	etyl (3a*R*,6a*S*)-5-({[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydrosyklopenta[c]pyrrol-2(1*H*)-karboksylat
6	*N*-(4-brom-3-klor-2-fluorfenyl)-6-(metyloksy)-7-({[(3a*R*,5r,6a*S*)-2-(metylsulfonyl)oktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)kinazolin-4-amin
7	*N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-etyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
8	*N*-(3,4-diklor-2-fluorfenyl)-6-(metyloksy)-7-({[(3a*R*,5r,6a*S*)-2-(2-metylpropyl)oktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)kinazolin-4-amin
9	*N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
10	*N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
11	*N*-(3-klor-2,4-difluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
12	*N*-(4,5-diklor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
13	*N*-(4-brom-5-klor-2-fluorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
14	*N*-(4-brom-2,3-diklorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
15	*N*-(3,4-diklorfenyl)-7-({[(3a*R*,5s,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
16	*N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5r,6a*S*)-2-etyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
17	*N*-(4-brom-3-klor-2-fluorfenyl)-6-(metyloksy)-7-({[(3a*R*,5r,6a*S*)-2-(2-metylpropyl)oktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)kinazolin-4-amin
18	*N*-(4-brom-2,3-diklorfenyl)-7-{[(3*R*,9a*S*-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
19	*N*-(4,5-diklor-2-fluorfenyl)-7-{[(3*R*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
20	*N*-(4-brom-5-klor-2-fluorfenyl)-7-{[(3*R*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
21	*N*-(3-klor-2,4-difluorfenyl)-7-{[(3*R*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
22	*N*-(3,4-diklor-2-fluorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
23	*N*-(4-brom-3-klor-2-fluorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
24	*N*-(3-klor-2,4-difluorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
25	*N*-(3,4-diklorfenyl)-7-[(heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl)oksy]-6-(metyloksy)kinazolin-4-amin
26	*N*-(4,5-diklor-2-fluorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
27	*N*-(4-brom-2,3-diklorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
28	*N*-(4-brom-5-klor-2-fluorfenyl)-7-{[(3*S*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
29	*N*-(3,4-diklor-2-fluorfenyl)-7-{[(3*R*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
30	*N*-(4-brom-3-klor-2-fluorfenyl)-7-{[(3*R*,9a*S*)-heksahydro-1*H*-[1,4]oksazino[3,4-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
31	*N*-(3,4-diklorfenyl)-7-{[(3*R*,8a*R*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
32	*N*-(4-brom-5-klor-2-fluorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
33	*N*-(3,4-diklorfenyl)-7-{[(3*S*,8a*R*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
34	*N*-(3,4-diklorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
35	*N*-(3,4-diklorfenyl)-7-{[(3*R*,8aS)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
36	*N*-(3,4-diklor-2-fluorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
37	*N*-(4-brom-3-klor-2-fluorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
38	*N*-(3-klor-2,4-difluorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
39	*N*-(4-brom-2,3-diklorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
40	*N*-(4,5-diklor-2-fluorfenyl)-7-{[(3*S*,8a*S*)-heksahydro-1*H*-pyrrolo[2,1-c][1,4]oksazin-3-ylmetyl]oksy}-6-(metyloksy)kinazolin-4-amin
41	1,4:3,6-dianhydro-5-({[4-[(4-brom-5-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-5-deoksy-2-O-metyl-D-xylo-heksitol
42	1,4:3,6-dianhydro-5-deoksy-5-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-2-O-metyl-D-glucitol
43	1,4:3,6-dianhydro-5-deoksy-5-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-2-O-metyl-D-xylo-heksitol
44	1,4:3,6-dianhydro-5-({[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-5-deoksy-2-O-metyl-D-xylo-heksitol
45	1,4:3,6-dianhydro-5-({[4-[(3-klor-2,4-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-5-deoksy-2-O-metyl-D-xylo-heksitol
46	1,4:3,6-dianhydro-5-({[4-[(4-brom-2,3-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-5-deoksy-2-O-metyl-D-glucitol
47	1,4:3,6-dianhydro-2-deoksy-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-5-O-metyl-D-treo-heksitol
48	1,4:3,6-dianhydro-5-deoksy-5-({[4-[(4,5-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-2-O-metyl-D-glucitol
49	(3*S*,9a*S*)-3-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydro-2*H*-pyrido[1,2-a]pyrazin-1(6*H*)-on
50	(3*S*,9a*R*)-3-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydro-2*H*-pyrido[1,2-a]pyrazin-1(6*H*)-on
51	(3*S*,8a*S*)-3-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydropyrrolo[1,2-a]pyrazin-1(2*H*)-on
52	(3*S*,8a*R*)-3-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydropyrrolo[1,2-a]pyrazin-1(2*H*)-on

Oppføring	Navn
53	(3*S*,8a*S*)-3-({[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)heksahydropyrrolo[1,2-a]pyrazin-1(2*H*)-on
54	(3*S*,8a*S*)-3-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-2-metylheksahydropyrrolo[1,2-a]pyrazin-1(2*H*)-on
55	*N*-(3,4-diklorfenyl)-7-({2-[(8-metyl-8-azabisyklo[3.2.1]okt-3-yl)amino]etyl}oksy)-6-(metyloksy)kinazolin-4-amin
56	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{(8a*R*)-tetrahydro-1*H*-[1,3]tiazolo[4,3-c][1,4]oksazin-6-ylmetyl]oksy}kinazolin-4-amin
57	*N*-(3,4-diklorfenyl)-7-{[2-(8-metyl-8-azabisyklo[3,2,1]okt-3-yl)etyl]oksy}-6-(metyloksy)kinazolin-4-amin
58	*N*-(3,4-diklorfenyl)-7-{[(8-metyl-8-azabisyklo[3.2.1]okt-3-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
59	*N*-(3,4-diklorfenyl)-7-{[(3a*R*,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]oksy}-6-(metyloksy)kinazolin-4-amin
60	*N*-(3,4-diklorfenyl)-7-[(8-metyl-8-azabisyklo[3.2.1]okt-3-yl)oksy]-6-(metyloksy)kinazolin-4-amin
61	1,4:3,6-dianhydro-2-O-[4-[(4-brom-5-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
62	1,4:3,6-dianhydro-2-O-[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
63	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
64	1,4:3,6-dianhydro-2-O-metyl-5-O-{6-(metyloksy)-4-[(2,3,4-triklorfenyl)amino]kinazolin-7-yl}-L-iditol
65	1,4:3,6-dianhydro-5-O-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-O-metyl-D-xylo-heksitol
66	1,4:3,6-dianhydro-2-O-[4-[(4-brom-2,3-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
67	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)-kinazolin-7-yl]-L-sorboseetylenglykolacetal

Oppføring	Navn
68	1,4:3,6-dianhydro-2-O-[4-[(3-klor-2,4-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
69	1,4:3,6-dianhydro-2-O-[4-[(4,5-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
70	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-(difluormetyl)-L-iditol
71	1,4:3,6-dianhydro-2-O-[4-[(3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
72	1,4:3,6-dianhydro-2-O-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
73	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
74	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-etyl-L-iditol
75	1,4:3,6-dianhydro-2-O-[4-[(3-brom-2-metylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
76	1,4:3,6-dianhydro-2-O-[4-[(3-klor-2-metylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-L-iditol
77	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-deoksy-D-xylo-heksitol
78	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-D-glucitol
79	metyl 3,6-anhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-O-metyl-alfa-L-idofuranosid
80	3,6-anhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-1,2-O-(1-metyletyliden)-beta-L-xylo-heksofuranose
81	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-deoksy-5-metyliden-D-xylo-heksitol
82	metyl 3,6-anhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-O-metyl-beta-L-idofuranosid

Oppføring	Navn
83	*N*-(3,4-diklor-2-fluorfenyl)-6-(metyloksy)-7-[(oktahydro-2*H*-kinolizin-3-ylmetyl)oksy]kinazolin-4-amin
84	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-{6-(metyloksy)-4-[(2,3,4-trifluorfenyl)amino]kinazolin-7-yl}-D-iditol
85	1,4:3,6-dianhydro-5-O-[4-[(2-klor-4-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
86	1,4:3,6-dianhydro-5-O-[4-[(2-brom-4-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
87	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,6-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
88	1,4:3,6-dianhydro-5-O-[4-[(3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
89	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-{[4-fluor-3-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-D-iditol
90	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,4-difluorfenyl)amino)-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
91	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,5-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
92	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,3-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
93	1,4:3,6-dianhydro-5-O-[4-[(5-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
94	1,4:3,6-dianhydro-2-deoksy-5-O-[4[(3,5-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
95	1,4:3,6-dianhydro-5-O-[4-[(3-klor-4-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
96	1,4:3,6-dianhydro-5-O-[4-[(4-brom-2-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
97	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol

Oppføring	Navn
98	1,4:3,6-dianhydro-5-O-[4-[(4-brom-5-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
99	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-{6-(metyloksy)-4-[(2,4,5-trifluorfenyl)amino]kinazolin-7-yl}-D-iditol
100	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-{6-(metyloksy)-4-[(2,4,6-trifluorfenyl)amino]kinazolin-7-yl}-D-iditol
101	1,4:3,6-dianhydro-5-O-[4-({4-[(4-klorfenyl)oksy]-3,5-difluorfenyl}amino)-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
102	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
103	1,4:3,6-dianhydro-5-O-[4-[(4-brom-2,3-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
104	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klor-5-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
105	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(4,5-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
106	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-{6-(metyloksy)-4-[(2,3,4-triklorfenyl)amino]kinazolin-7-yl}-D-iditol
107	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-{6-(metyloksy)-4-[(3,4,5-triklorfenyl)amino]kinazolin-7-yl}-D-iditol
108	1,4:3,6-dianhydro-5-O-[4-[(4-brom-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
109	1,4:3,6-dianhydro-5-O-[4-[(4-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
110	1,4:3,6-dianhydro-5-O-[4-[(3-klor-2-metylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
111	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(3,4-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
112	1,4:3,6-dianhydro-5-O-[4-[(2-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol

Oppføring	Navn
113	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-[(2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-D-iditol
114	1,4:3,6-dianhydro-5-O-[4-[(3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
115	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-[(4-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-D-iditol
116	1,4:3,6-dianhydro-5-O-[4-[(4-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
117	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
118	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(2,5-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
119	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
120	1,4:3,6-dianhydro-5-O-[4-[(2-brom-4,6-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
121	1,4:3,6-dianhydro-5-O-[4-{[4-klor-3-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
122	1,4:3,6-dianhydro-5-O-[4-{[2-klor-5-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
123	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-{[2-fluor-3-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-D-iditol
124	1,4:3,6-dianhydro-5-O-[4-{[2-brom-5-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
125	1,4:3,6-dianhydro-5-O-[4-{[2-brom-4-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
126	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-{[4-fluor-2-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-D-iditol
127	1,4:3,6-dianhydro-5-O-[4-{[3-brom-5-(trifluormetyl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol

Oppføring	Navn
128	1,4:3,6-dianhydro-5-O-[4-[(2-bromfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
129	1,4:3,6-dianhydro-5-O-[4-[(3-bromfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
130	1,4:3,6-dianhydro-5-O-[4-[(4-bromfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
131	1,4:3,6-dianhydro-5-O-[4-[(3-brom-4-metylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
132	1,4:3,6-dianhydro-5-O-[4-[(5-klor-2-metylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
133	1,4:3,6-dianhydro-2-deoksy-5-O-[4-[(3,5-dimetylfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
134	1,4:3,6-dianhydro-5-O-[4-{[2,5-bis(metyloksy)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
135	1,4:3,6-dianhydro-5-O-[4-{[5-klor-2,4-bis(metyloksy)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
136	1,4:3,6-dianhydro-5-O-[4-{[4-klor-2,5-bis(metyloksy)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
137	1,4:3,6-dianhydro-5-O-[4-[(3-klor-2,4-difluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-fluor-D-iditol
138	*N*-(3,4-diklorfenyl)-7-[({5-[(dimetylamino)metyl]-1,2,4-oksadiazol-3-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
139	*N*-(3,4-diklorfenyl)-7-[({3-[(dimetylamino)metyl]-1,2,4-oksadiazol-5-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
140	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({3-[(4-metylpiperazin-1-yl)metyl]-1,2,4-oksadiazol-5-yl}metyl)oksy]kinazolin-4-amin
141	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-piperidin-4-yl-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
142	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[5-(1-metylpiperidin-4-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)kinazolin-4-amin

Oppføring	Navn
143	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[3-(morfolin-4-ylmetyl)-1,2,4-oksadiazol-5-yl]metyl}oksy)kinazolin-4-amin
144	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(morfolin-2-ylmetyl)oksy]kinazolin-4-amin
145	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-piperidin-2-yl-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
146	*N*-(3,4-diklorfenyl)-7-[({2-[(dimetylamino)metyl]-1,3-tiazol-4-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
147	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(fenylmetyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
148	1,1-dimetyletyl 2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-karboksylat
149	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(morfolin-4-ylmetyl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
150	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({2-[(4-metylpiperazin-1-yl)metyl]-1,3-tiazol-4-yl}metyl)oksy]kinazolin-4-amin
151	*N*-(3,4-diklorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
152	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(1,4-oksazepan-2-ylmetyl)oksy]kinazolin-4-amin
153	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-piperidin-3-yl-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
154	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[5-(1-metylpiperidin-2-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)kinazolin-4-amin
155	*N*-(3,4-diklorfenyl)-7-{[(4-metyl-1,4-oksazepan-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
156	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[5-(1-metylpiperidin-3-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)kinazolin-4-amin
157	*N*-(3,4-diklorfenyl)-7-({[5-(1,1-dimetyletyl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
158	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-fenyl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin

Oppføring	Navn
159	7-[(2,1,3-benzotiadiazol-4-ylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
160	*N*-(3,4-diklorfenyl)-7-{[(5-metylisoksazol-3-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
161	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-metyl-4-fenylisoksazol-3-yl)metyl]oksy}kinazolin-4-amin
162	7-[(1,3-benzotiazol-2-ylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
163	7-[(2,1,3-benzoksadiazol-5-ylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
164	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(2-tienyl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
165	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(1-fenyl-1*H*-pyrazol-4-yl)metyl]oksy}kinazolin-4-amin
166	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({5-[3-(trifluormetyl)fenyl]-1,2,4-oksadiazol-3-yl}metyl)oksy]kinazolin-4-amin
167	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({5-[4-(trifluormetyl)fenyl]-1,2,4-oksadiazol-3-yl}metyl)oksy]kinazolin-4-amin
168	7-({[3-(4-klorfenyl)-1,2,4-oksadiazol-5-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
169	7-({[6-brom-2-(metyloksy)naftalen-1-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
170	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(1,3-tiazol-4-ylmetyl)oksy]kinazolin-4-amin
171	7-{[(6-klorpyridin-3-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
172	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(pyridin-4-ylmetyl)oksy]kinazolin-4-amin
173	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-metyl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
174	7-{[(6-klor-4*H*-1,3-benzodioksin-8-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
175	7-{[(5-klor-1-metyl-3-fenyl-1*H*-pyrazol-4-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
176	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[1-metyl-3-(trifluormetyl)-1*H*-tieno[2,3-c]pyrazol-5-yl]metyl}oksy)kinazolin-4-amin
177	*N*-(3,4-diklorfenyl-6-(metyloksy)-7-{[(3-fenylisoksazol-5-yl)metyl]oksy}kinazolin-4-amin
178	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2,4,6-trimetylfenyl)metyl]oksy}kinazolin-4-amin
179	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(pyridin-3-ylmetyl)oksy]kinazolin-4-amin
180	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({3-[4-(metyloksy)fenyl]isoksazol-5-yl}metyl)oksy]kinazolin-4-amin
181	*N*-(3,4-diklorfenyl)-7-({[5-[(2,4-diklorfenyl)oksy]-1-metyl-3-(trifluormetyl)-1*H*-pyrazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
182	7-[(syklopropylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
183	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(tetrahydrofuran-2-ylmetyl)oksy]kinazolin-4-amin
184	7-(syklopentyloksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
185	7-[(2-sykloheksyletyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
186	7-[(sykloheksylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
187	7-[(syklobutylmetyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
188	*N*-(3,4-diklorfenyl)-7-{[2-(1,3-dioksolan-2-yl)etyl]oksy}-6-(metyloksy)kinazolin-4-amin
189	*N*-(3,4-diklorfenyl)-7-{[2-(1,3-dioksan-2-yl)etyl]oksy}-6-(metyloksy)kinazolin-4-amin
190	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(2-morfolin-4-yletyl)oksy]kinazolin-4-amin
191	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(2-pyrrolidin-1-yletyl)oksy]kinazolin-4-amin
192	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(2-piperidin-1-yletyl)oksy]kinazolin-4-amin
193	2-(2-{[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}etyl)-1*H*-isoindol-1,3(2*H*)-dion

Oppføring	Navn
194	metyl 6-O-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-alfa-D-glukopyranosid
195	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(2-morfolin-4-yl-2-oksoetyl)oksy]kinazolin-4-amin
196	1,1-dimetyletyl 2-[3-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,2,4-oksadiazol-5-yl]piperidin-1-karboksylat
197	1,1-dimetyletyl 4-[3-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,2,4-oksadiazol-5-yl]piperidin-1-karboksylat
198	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(4-pyrrolidin-1-ylfenyl)-1,3-tiazol-2-yl]metyl}oksy)kinazolin-4-amin
199	*N*-(3,4-diklorfenyl)-7-[({4-[4-(dietylamino)fenyl]-1,3-tiazol-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
200	5-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,3-tiazol-4-yl]-2-hydroksybenzamid
201	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pyridin-3-yl-1,3-tiazol-2-yl)metyl]oksy}kinazolin-4-amin
202	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pyridin-2-yl-1,3-tiazol-2-yl)metyl]oksy}kinazolin-4-amin
203	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pyridin-4-yl-1,3-tiazol-2-yl)metyl]oksy}kinazolin-4-amin
204	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-morfolin-4-yl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
205	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(3-morfolin-4-yl-1,2,4-oksadiazol-5-yl)metyl]oksy}kinazolin-4-amin
206	*N*-(3,4-diklorfenyl)-7-({[3-(dimetylamino)-1,2,4-oksadiazol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
207	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[(4-metylpiperazin-1-yl)metyl]-1,3-tiazol-2-yl}metyl)oksy]kinazolin-4-amin
208	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[(4,5,6,7-tetrahydro[1,3]tiazolo[5,4-c]pyridin-2-ylmetyl)oksy]kinazolin-4-amin

Oppføring	Navn
209	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(morfolin-4-ylmetyl)-1,3-tiazol-2-yl]metyl}oksy)kinazolin-4-amin
210	*N*-(3,4-diklorfenyl)-7-[({4-[(4-metyl-1,4-diazepan-1-yl)metyl]-1,3-tiazol-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
211	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-{[(fenylmetyl)oksy]metyl}-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
212	*N*-(3,4-diklorfenyl)-7-{[(4-etylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
213	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-piperidin-4-yl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
214	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(1-metylpiperidin-4-yl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
215	1,1-dimetyletyl 4-[5-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,2,4-oksadiazol-3-yl]piperazin-1-karboksylat
217	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(3-piperazin-1-yl-1,2,4-oksadiazol-5-yl)metyl]oksy}kinazolin-4-amin
218	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[3-(4-metylpiperazin-1-yl)-1,2,4-oksadiazol-5-yl]metyl}oksy)kinazolin-4-amin
219	*N*-(3,4-diklorfenyl)-7-({[5-(1-etylpiperidin-2-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
220	*N*-(3,4-diklorfenyl)-7-({[3-(4-etylpiperazin-1-yl)-1,2,4-oksadiazol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
221	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({5-[4-(metyloksy)fenyl]-1,2,4-oksadiazol-3-yl}metyl)oksy]kinazolin-4-amin
222	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({2-[4-(trifluormetyl)fenyl]-1,3-tiazol-4-yl}metyl)oksy]kinazolin-4-amin
223	7-({[2-(4-klorfenyl)-1,3-tiazol-4-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
224	*N*-(3,4-diklorfenyl)-7-({[5-(3,5-dimetylisoksazol-4-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
225	7-{[(5-klor-1-benzotien-3-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
226	*N*-(3,4-diklorfenyl)-7-[({3-[4-(1,1-dimetyletyl)fenyl]-1,2,4-oksadiazol-5-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
227	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({5-[2-(metyloksy)fenyl]-1,2,4-oksadiazol-3-yl}metyl)oksy]kinazolin-4-amin
228	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[5-(4-metylfenyl)-1,3,4-oksadiazol-2-yl]metyl}oksy)kinazolin-4-amin
229	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[1-(fenylmetyl)-1*H*-imidazol-2-yl]metyl}oksy)kinazolin-4-amin
230	*N*-(3,4-diklorfenyl)-7-({[3-(2,6-diklorfenyl)-5-metylisoksazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
231	*N*-(3,4-diklorfenyl)-7-{[(6-fluor-4*H*-1,3-benzodioksin-8-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
232	7-{[(3,5-dibromfenyl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
233	*N*-(3,4-diklorfenyl)-7-{[(2,6-difluorfenyl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
234	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({3-[(pyridin-2-ylsulfonyl)metyl]-1,2,4-oksadiazol-5-yl}metyl)oksy]kinazolin-4-amin
235	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-fenyl-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
236	7-({[4-klor-2-(trifluormetyl)kinolin-6-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
237	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[2-(1-metylpyrrolidin-2-yl)etyl]oksy}kinazolin-4-amin
238	*N*-(3,4-diklorfenyl)-7-({[5-(1-etylpiperidin-4-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
239	*N*-(3,4-diklorfenyl)-7-({[5-(1-etylpiperidin-3-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
240	*N*-(3,4-diklorfenyl)-7-({[2-(dimetylamino)-1,3-tiazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
241	*N*-(3,4-diklorfenyl)-7-{[(4-etyl-1,4-oksazepan-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
242	*N*-(3,4-diklorfenyl)-7-({[2-(1-etylpiperidin-4-yl)-1,3-tiazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
243	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({3-[(2*S*)-pyrrolidin-2-yl]-1,2,4-oksadiazol-5-yl}metyl)oksy]kinazolin-4-amin
244	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({2-[(2*S*)-pyrrolidin-2-yl]-1,3-tiazol-4-yl}metyl)oksy]kinazolin-4-amin
245	[4-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,3-tiazol-2-yl]metyl benzoat
246	[4-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,3-tiazol-2-yl]metanol
247	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-metyl-4,5,6,7-tetrahydro[1,3]tiazolo[5,4-c]pyridin-2-yl)metyl]oksy}kinazolin-4-amin
248	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({2-[(4*S*)-1,3-tiazolidin4-yl]-1,3-tiazol-4-yl}metyl)oksy]kinazolin-4-amin
249	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-piperidin-2-yl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
250	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(1-metylpiperidin-2-yl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
251	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-piperidin-3-yl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
252	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(1-metylpiperidin-3-yl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
253	*N*-(3,4-diklorfenyl)-7-({[2-(1-etylpiperidin-2-yl)-1,3-tiazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
254	*N*-(3,4-diklorfenyl)-7-({[2-(1-etylpiperidin-3-yl)-1,3-tiazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
255	*N*-(3,4-diklorfenyl)-7-[({3-[(2*S*)-1-etylpyrrolidin-2-yl]-1,2,4-oksadiazol-5-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
256	*N*-(3,4-diklorfenyl)-7-[({2-[(2*S*)-1-etylpyrrolidin-2-yl]-1,3-tiazol-4-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
257	*N*-(3,4-diklorfenyl)-7-{[(5-etyl-4,5,6,7-tetrahydro[1,3]tiazolo[5,4-c]pyridin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
258	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-propyl-1,4-oksazepan-2-yl)metyl]oksy}kinazolin-4-amin
259	7-({[4-(syklopropylmetyl)-1,4-oksazepan-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
260	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[2-(metyloksy)etyl]-1,4-oksazepan-2-yl}metyl)oksy]kinazolin-4-amin
261	N-(3,4-diklorfenyl)-7-({[4-(1-metyletyl)-1,4-oksazepan-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
262	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(2-piperazin-1-yl-1,3-tiazol-4-yl)metyl]oksy}kinazolin-4-amin
263	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(5-pyrrolidin-2-yl-1,2,4-oksadiazol-3-yl)metyl]oksy}kinazolin-4-amin
264	*N*-(3,4-diklorfenyl)-7-({[5-(1-etylpyrrolidin-2-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
265	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({3-[(2*S*)-1-metylpyrrolidin-2-yl]-1,2,4-oksadiazol-5-yl}metyl)oksy]kinazolin-4-amin
266	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({2-[(2*S*)-1-metylpyrrolidin-2-yl]-1,3-tiazol-4-yl}metyl)oksy]kinazolin-4-amin
267	*N*-(3,4-diklorfenyl)-7-({[2-(4-etylpiperazin-1-yl)-1,3-tiazol-4-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
268	*N*-(3,4-diklorfenyl)-7-{[(1,4-dimetylpiperazin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
269	7-{[(4-syklopentylmorfolin-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
270	*N*-(3,4-diklorfenyl)-7-({[4-(1-metyletyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
271	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(3-fenylpropyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
272	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[2-(metyloksy)etyl]morfolin-2-yl}metyl)oksy]kinazolin-4-amin
273	etyl 2-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]propanoat
274	*N*-(3,4-diklorfenyl)-7-{[(4-heks-5-en-1-ylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
275	2-({2-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]etyl}oksy)etanol
276	metyl 3-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]propanoat
277	6-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]heksannitril
278	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(tetrahydro-2*H*-pyran-2-ylmetyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
279	4-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]butannitril
280	*N*-(3,4-diklorfenyl)-7-[({4-[(4-fluorfenyl)metyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
281	metyl 5-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]pentanoat
282	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-okt-7-en-1-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
283	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-propylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
284	6-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]heksan-1-ol
285	7-{[(4-acetylmorfolin-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
286	7-({[4-(syklopropylmetyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
287	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-prop-2-yn-1-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
288	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pyridin-4-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
289	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(pyridin-2-ylmetyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
290	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pent-2-yn-1-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
291	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(4-metylpiperazin-1-yl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
292	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[5-(1-metylpyrrolidin-2-yl)-1,2,4-oksadiazol-3-yl]metyl}oksy)kinazolin-4-amin
293	*N*-(3-klor-4-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
294	7-{[(4-butyl-1,4-oksazepan-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy) kinazolin-4-amin
295	(3,4-diklorfenyl)[7-(metyloksy)-6-({[4-(2-metylpropyl)-1,4-oksazepan-2-yl]metyl}oksy)kinazolin-4-amin
296	7-{[(4-acetyl-1-etylpiperazin-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
297	(3,4-diklorfenyl)(6-(metyloksy)-7-{[(4-pentyl-1,4-oksazepan-2-yl)metyl]oksy}kinazolin-4-amin
298	(3,4-diklorfenyl)[6-(metyloksy)-7-({[4-(tetrahydro-2*H*-pyran-2-ylmetyl)-1,4-oksazepan-2-yl]metyl}oksy)kinazolin-4-amin
299	(3,4-diklorfenyl)[6-(metyloksy)-7-({[4-(3-tienylmetyl)-1,4-oksazepan-2-yl]metyl}oksy)kinazolin-4-amin
300	*N*-[4-klor-2,5-bis(metyloksy)fenyl]-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
301	*N*-(3-brom-2-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
302	7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)-*N*-(3,4,5-triklorfenyl)kinazolin-4-amin
303	*N*-(3-klor-2-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
304	*N*-(3,4-diklorfenyl)-7-{[(4-etanimidoyl-1,4-oksazepan-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
305	*N*-(4-brom-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
306	*N*-(5-klor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
307	*N*-(4-klor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
308	*N*-(2,4-diklorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
309	*N*-(2,4-dibromfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
310	7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)-*N*-(2,3,4-triklorfenyl)kinazolin-4-amin
311	*N*-(3,4-diklorfenyl)-7-{[(1-etyl-4-metylpiperazin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
312	*N′*-cyano-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-karboksamid
313	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[2-(pyrrolidin-1-ylmetyl)-1,3-tiazol-4-yl]metyl}oksy)kinazolin-4-amin
314	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(tetrahydro-2*H*-pyran-4-yl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
315	*N*-(3,4-diklorfenyl)-7-({[4-(2-etylbutyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
316	7-({[4-(sykloheksylmetyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
317	2-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]etanol
318	7-{[(4-but-2-yn-1-ylmorfolin-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
319	7-{[(4-syklobutylmorfolin-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
320	*N*-(3,4-diklorfenyl)-7-[({4-[2-(1,3-dioksolan-2-yl)etyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
321	7-({[4-(2-sykloheksyletyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
322	*N*-(3,4-diklorfenyl)-7-[({4-[2-(1,3-dioksan-2-yl)etyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
323	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pent-4-en-1-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
324	*N*-(3,4-diklorfenyl)-7-[({4-[(2*R*)-2-metylbutyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
325	*N*-(3,4-diklorfenyl)-7-({[4-(4-fluorbutyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
326	3-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]butan-2-on
327	1-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]butan-2-on
328	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-pentylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
329	*N*-(3,4-diklorfenyl)-7-{[(4-heksylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
330	*N*-(3,4-diklorfenyl)-7-{[(4-heptylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
331	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-oktylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
332	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(2-fenyletyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
333	7-{[(4-butylmorfolin-2-yl)metyl]oksy}-N-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
334	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-prop-2-en-1-ylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
335	2-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]-1-fenyletanon
336	*N*-(3,4-diklorfenyl)-7-({[4-(2-fluoretyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
337	*N*-(3,4-diklorfenyl)-7-({[4-(3-metylbut-2-en-1-yl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
338	7-[({4-[(2E)-3-bromprop-2-en-1-yl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
339	2-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]acetamid
340	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[3-(tetrahydro-2*H*-pyran-2-yloksy)propyl]-1,4-oksazepan-2-yl}metyl)oksy]kinazolin-4-amin
341	*N*-(3,4-diklorfenyl)-7-({[4-(3-metylbutyl)-1,4-oksazepan-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
342	7-({[4-(sykloheksylmetyl)-1,4-oksazepan-2-yl]metyl}oksy)-4-[(3,4-diklorfenyl)metyl]-6-(metyloksy)kinazolin
343	7-({[4-(2-sykloheksyletyl)-1,4-oksazepan-2-yl]metyl}oksy)-4-[(3,4-diklorfenyl)metyl]-6-(metyloksy)kinazolin
345	*N*-(3,4-diklorfenyl)-7-({[4-(2-etylbutyl)-1,4-oksazepan-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
346	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(metylsulfonyl)-1,4-oksazepan-2-yl]metyl}oksy)kinazolin-4-amin

Oppføring	Navn
347	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(1-metylpiperidin-4-yl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
348	*N*-(3-klor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
349	*N*-cyano-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,4-oksazepan-4-karboksimidamid
350	*N*-(3-brom-4-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
351	*N*-(3,4-diklorfenyl)-7-{[(1,4-dietylpiperazin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
352	4-({[4-[(4-brom-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-*N*'-cyanopiperidin-1-karboksimidamid
353	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(metylsulfonyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
354	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[(fenylmetyl)sulfonyl]morfolin-2-yl}metyl)oksy]kinazolin-4-amin
355	*N*-(3,4-diklorfenyl)-7-[({4-[(4-fluorfenyl)sulfonyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
356	*N*-(3,4-diklorfenyl)-7-({[4-(etylsulfonyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
357	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(fenylsulfonyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
358	7-[({4-[(3-klorpropyl)sulfonyl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
359	7-({[4-(butylsulfonyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
360	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[(4-metylfenyl)sulfonyl]morfolin-2-yl}metyl)oksy]kinazolin-4-amin
361	*N*-(3,4-diklorfenyl)-7-[({4-[(3,5-dimetylisoksazol-4-yl)karbonyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
362	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-{[3-(metyloksy)fenyl]acetyl}morfolin-2-yl)metyl]oksy}kinazolin-4-amin
363	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(2-metylpentanoyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
364	7-[({4-[(4-butylfenyl)karbonyl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
365	7-[({4-[(4-klorfenyl)acetyl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
366	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(2-propylpentanoyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
367	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(4-metylpentanoyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
368	*N*-(3,4-diklorfenyl)-7-[({4-[(2,5-difluorfenyl)karbonyl]morfolin-2-yl}metyl)oksy]-6-(metyloksy)kinazolin-4-amin
369	7-({[4-(syklopentylkarbonyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
370	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(2-fenylbutanoyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
371	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-[({4-[(2,3,6-trifluorfenyl)karbonyl]morfolin-2-yl}metyl)oksy]kinazolin-4-amin
372	*N*-(3,4-diklorfenyl)-7-({[4-(furan-3-ylkarbonyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
373	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4-propanoylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
374	*N*-(3,4-diklorfenyl)-7-{[(4-heksanoylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
375	N-(3,4-diklorfenyl)-7-({[4-(2-etylheksanoyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
376	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(3-fenylpropanoyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin

Oppføring	Navn
377	*N*-(3,4-diklorfenyl)-7-({[4-(2,2-dimetylpropanoyl)morfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
378	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[4-(naftalen-1-ylkarbonyl)morfolin-2-yl]metyl}oksy)kinazolin-4-amin
379	7-[({4-[(2-klorpyridin-3-yl)karbonyl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
380	7-[({4-[(6-klorpyridin-3-yl)karbonyl]morfolin-2-yl}metyl)oksy]-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
381	7-({[4-(1,3-benzodioksol-5-ylkarbonyl)morfolin-2-yl]metyl}oksy)-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
382	*N*-(3,4-diklorfenyl)-6-[(1-metyletyl)oksy]-7-[(morfolin-2-ylmetyl)oksy]kinazolin-4-amin
383	*N*-(3,4-diklorfenyl)-6-{[2-(metyloksy)etyl]oksy}-7-[(morfolin-2-ylmetyl)oksy]kinazolin-4-amin
384	*N*-(3,4-diklorfenyl)-6-(etyloksy)-7-[(morfolin-2-ylmetyl)oksy]kinazolin-4-amin
385	*N*-(3,4-diklorfenyl)-6-(etyloksy)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
386	*N*-(4-brom-2-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
387	*N*-(4-klor-3-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
388	*N*'-cyano-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-*N*-metylmorfolin-4-karboksimidamid
389	*N*-(4-brom-3-klorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
390	*N*-(3,4-diklorfenyl)-6-[(1-metyletyl)oksy]-7-{[(4-metylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
391	*N*-(3,4-diklorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-{[2-(metyloksy)etyl]oksy}kinazolin-4-amin
392	*N*-(4-brom-2-klorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
393	7-{[(4-acetyl-1,4-oksazepan-2-yl)metyl]oksy}-*N*-(3,4-diklorfenyl)-6-(metyloksy)kinazolin-4-amin
394	4-[(3,4-diklorfenyl)amino]-7-{[(4-metylmorfolin-2-yl)metyl]oksy}kinazolin-6-ol
395	*N*-(3-brom-4-klorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
396	3-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]-3-oksopropansyre
397	metyl 4-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl]-4-oksobutanoat
398	*N*-(3,4-diklorfenyl)-7-{[(4-metylmorfolin-3-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
399	*N*-(3-brom-2-klorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
400	*N*-cyano-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-*N*-[2-(metyloksy)etyl]morfolin-4-karboksimidamid
401	*N*-cyano-2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-*N*-etylmorfolin-4-karboksimidamid
402	[(1E)-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl](piperidin-1-yl)metyliden]cyanamid
403	[(1E)-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl](pyrrolidin-l-yl)metyliden]cyanamid
404	[(1E)-[2-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)morfolin-4-yl](4-metylpiperazin-1-yl)metyliden]cyanamid
405	*N*-(3,4-diklorfenyl)-7-{[(6-etyl-4,6-dimetylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
406	*N*-(4-brom-3-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
407	*N*-(3,4-diklorfenyl)-7-{[(6,6-dimetylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
408	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4,6,6-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin

Oppføring	Navn
409	*N*-(3,4-diklorfenyl)-7-{[2-(5,5-dimetylmorfolin-2-yl)etyl]oksy}-6-(metyloksy)kinazolin-4-amin
410	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[2-(4,5,5-trimetylmorfolin-2-yl)etyl]oksy}kinazolin-4-amin
411	1,1-dimetyletyl 2-(2-{[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}etyl)-5,5-dimetylmorfolin-4-karboksylat
412	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
413	*N*-(4-brom-2,3-diklorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
414	*N*-(4,5-diklor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
415	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-{[2-(4,6,6-trimetylmorfolin-2-yl)etyl]oksy}kinazolin-4-amin
416	*N*-(4-brom-2,3-difluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
417	*N*-(4-brom-2,5-difluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
418	*N*-(4-brom-3,5-difluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
419	*N*-(3,4-diklor-2-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
420	*N*-(3,4-diklorfenyl)-7-({[(2*R*,5*S*,6*S*)-5,6-dimetylmorfolin-2-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
421	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[(2*R*,5*S*,6*S*)-4,5,6-trimetylmorfolin-2-yl]metyl}oksy)kinazolin-4-amin
422	*N*-(3,4-diklorfenyl)-6-(metyloksy)-7-({[(2*S*,5*S*,6*S*)-4,5,6-trimetylmorfolin-2-yl]metyl}oksy)kinazolin-4-amin
423	*N*-(4-brom-3-klor-2-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
424	*N*-(4-brom-5-klor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
425	*N*-(4-brom-3-klor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
426	*N*-(3,4-diklor-2-fluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
427	*N*-(3-klor-2,4-difluorfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
428	*N*-(2,3-diklor-4-metylfenyl)-7-{[(4-metylmorfolin-2-yl)metyl]oksy}-6-(metyloksy)kinazolin-4-amin
429	6-({[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-3,3,4-trimetylmorfolin-2-on
430	*N*-(4-brom-2,3-diklorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
431	*N*-(4-brom-5-klor-2-fluorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
432	*N*-(4,5-diklor-2-fluorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
433	*N*-(3,4-diklor-2-fluorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
434	*N*-(4-brom-3-klor-2-fluorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
435	*N*-(3-klor-2,4-difluorfenyl)-6-(metyloksy)-7-{[(4,5,5-trimetylmorfolin-2-yl)metyl]oksy}kinazolin-4-amin
436	(6*S*)-6-({[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-4-metylpiperazin-2-on
437	(6*S*)-6-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-4-metylpiperazin-2-on
438	(6*S*-6-({[4-[(4-brom-3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,4-dimetylpiperazin-2-on

Oppføring	Navn
439	(6*S*)-6-({[4-[(3,4-diklor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]oksy}metyl)-1,4-dimetylpiperazin-2-on
440	*N*-(4-brom-3-klorfenyl)-7-{[(3a'*S*,4*R*,6'*S*,6a'*R*)-2,2-dimetyltetrahydrospiro[1,3-dioksolan-4,3'-furo[3,2-b]furan]-6'-yl]oksy}-6-(metyloksy)kinazolin-4-amin
441	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-5-C-[(metyloksy)metyl]-L-glucitol
442	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-(metylsulfonyl)-L-glucitol
443	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-L-glucitol
444	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-*S*-metyl-5-tio-D-iditol
445	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-morfolin-4-yl-D-iditol
446	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(4-metylpiperazin-1-yl)-D-iditol
447	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-pyrrolidin-1-yl-D-iditol
448	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-D-iditol
449	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-D-iditol
450	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(metylsulfonyl)-D-iditol
451	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-D-iditol
452	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(dimetylamino)-D-iditol
453	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(dietylamino)-D-iditol

Oppføring	Navn
454	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-piperidin-1-yl-D-iditol
455	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-D-iditol
456	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-5-C-(trifluormetyl)-L-glucitol
457	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-[(metylsulfonyl)amino]-D-iditol
458	*N*-(4-brom-3-klorfenyl)-6-(metyloksy)-7-[(1-metylpyrrolidin-3-yl)oksy]kinazolin-4-amin
459	*N*-(4-brom-3-klorfenyl)-6-(metyloksy)-7-[(3*R*)-tetrahydrofuran-3-yloksy]kinazolin-4-amin
460	*N*-(4-brom-3-klorfenyl)-6-(metyloksy)-7-{[(3*S*,4*R*)-4-(metyloksy)tetrahydrofuran-3-yl]oksy}kinazolin-4-amin
461	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-(6-(metyloksy)-4-{[4-(4-metylpiperazin-1-yl)fenyl]amino}kinazolin-7-yl)-D-iditol
462	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-{[3-fluor-4-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-D-iditol
463	1,4:3,6-dianhydro-2-deoksy-5-O-[4-{[2,3-diklor-4-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
464	1,4:3,6-dianhydro-2-deoksy-5-O-[4-{[3,4-diklor-2-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-fluor-D-iditol
465	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-C-(trifluormetyl)-D-glucitol
466	(3,4-diklorfenyl)[6-(metyloksy)-7-({[4-(tetrahydrofuran-2-ylmetyl)-1,4-oksazepan-2-yl]metyl}oksy)kinazolin-4-amin
467	*N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
468	*N*-(4-brom-3-klor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklo-penta[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin

Oppføring	Navn
469	*N*-(3-klor-2,4-difluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
470	*N*-(4,5-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklo-penta[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
471	*N*-(4-brom-5-klor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklo-penta[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
472	*N*-(4-brom-2,3-diklorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklo-penta[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
473	*N*-(3,4-diklorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta[c]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
474	N-(3,4-diklorfenyl)-7-[(2-{[(3-*endo*)-8-metyl-8-azabisyklo[3.2.1]okt-3-yl]amino}etyl)oksy]-6-(metyloksy)kinazolin-4-amin
475	*N*-(3,4-diklorfenyl)-7-({2-[(3-*endo*)-8-metyl-8-azabisyklo[3.2.1]okt-3-yl]etyl}oksy)-6-(metyloksy)kinazolin-4-amin
476	*N*-(3,4-diklorfenyl)-7-({[(3-endo)-8-metyl-8-azabisyklo[3.2.1]okt-3-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin
477	*N*-(3,4-diklorfenyl)-7-{[(3-*ekso*)-8-metyl-8-azabisyklo[3.2.1]okt-3-yl]oksy}-6-(metyloksy)kinazolin-4-amin
478	1,4:3,6-Dianhydro-5-*O*-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-*O*-metyl-D-glucitol
479	1,4:3,6-dianhydro-5-*O*-{4-[(3-klor-2-fluorfenyl)amino]-6-(metyloksy)kinazolin-7-yl}-2-deoksy-2-fluor-L-iditol
480	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-(metylsulfonyl)-D-glucitol
481	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-D-glucitol
482	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-S-metyl-5-tio-L-iditol
483	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-morfolin-4-yl-L-iditol

Oppføring	Navn
484	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(4-metylpiperazin-1-yl)-L-iditol
485	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-pyrrolidin-1-yl-L-iditol
486	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-L-iditol
487	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-L-iditol
488	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(metylsulfonyl)-L-iditol
489	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-L-iditol
490	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(dimetylamino)-L-iditol
491	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-(dietylamino)-L-iditol
492	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-piperidin-1-yl-L-iditol
493	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-L-iditol
494	1,4:3,6-dianhydro-2-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-O-metyl-5-C-(trifluormetyl)-D-glucitol
495	1,4:3,6-dianhydro-5-O-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-deoksy-2-[(metylsulfonyl)amino]-L-iditol
496	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-(6-(metyloksy)-4-{[4-(4-metylpiperazin-1-yl)fenyl]amino}kinazolin-7-yl)-L-iditol
497	1,4:3,6-dianhydro-2-deoksy-2-fluor-5-O-[4-{[3-fluor-4-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-L-iditol
498	1,4:3,6-dianhydro-2-deoksy-5-O-[4-{[2,3-diklor-4-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-fluor-L-iditol

Oppføring	Navn
499	1,4:3,6-dianhydro-2-deoksy-5-O-[4-{[3,4-diklor-2-(4-metylpiperazin-1-yl)fenyl]amino}-6-(metyloksy)kinazolin-7-yl]-2-fluor-L-iditol
500	1,4:3,6-Dianhydro-5-*O*-[4-[(3,4-diklorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-2-*O*-metyl-D-glucitol
501	1,4:3,6-Dianhydro-2-*O*-[4-[(4-brom-3-klorfenyl)amino]-6-(metyloksy)kinazolin-7-yl]-5-*O*-metyl-L-glucitol

Tabell 5a.

Representativ IGF-1R-inhibitor

Forbindelsene i tabell 5a kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 5a kan anvendes.

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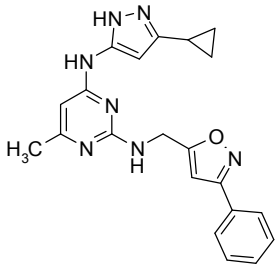
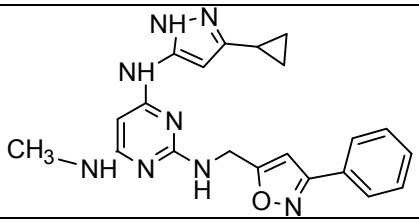
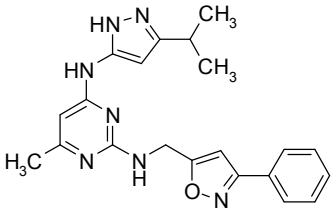
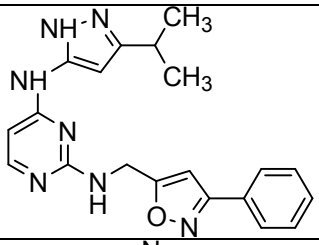
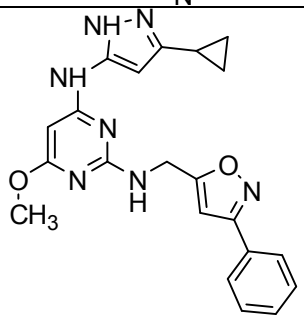
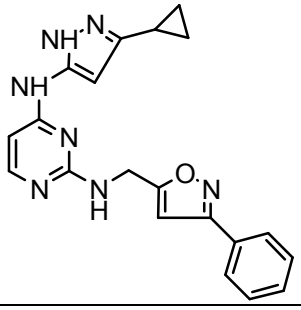
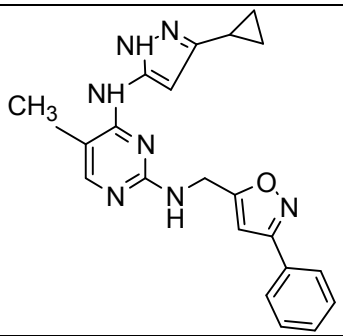
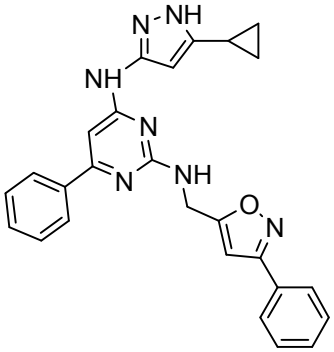
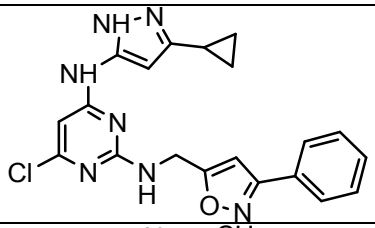
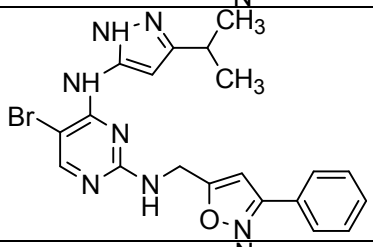
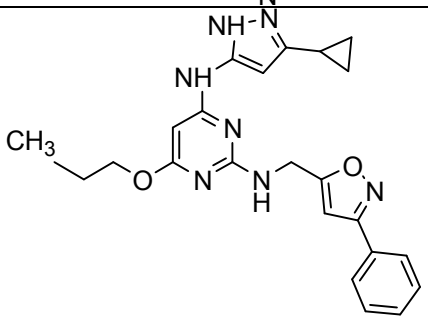
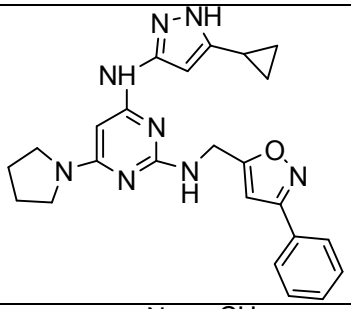
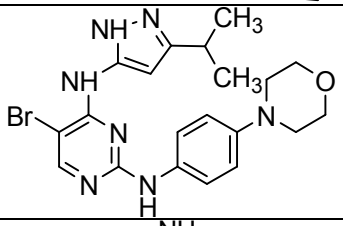
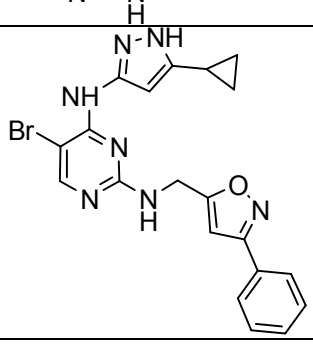
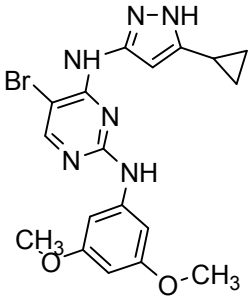
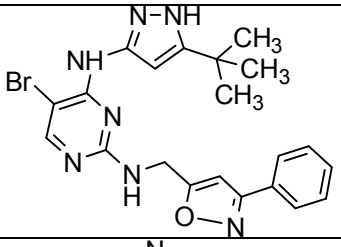
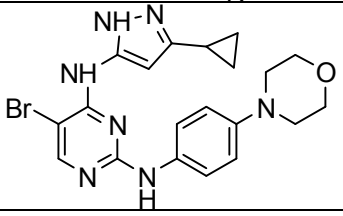
1	
2	
3	
4	
5	
6	

Oppføring	Struktur
7	
8	
9	
10	
11	

Oppføring	Struktur
12	
13	
14	
15	
16	
17	

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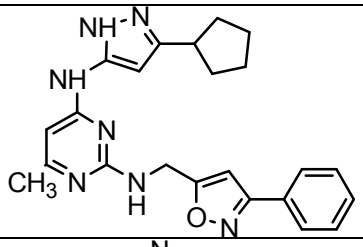
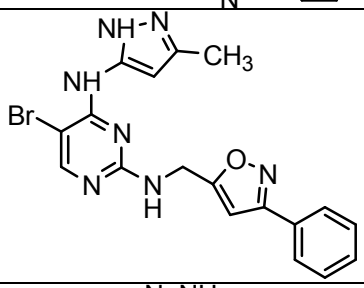
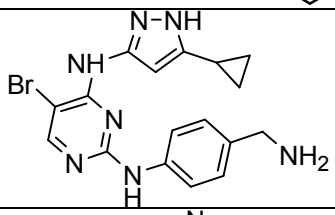
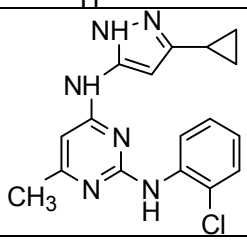
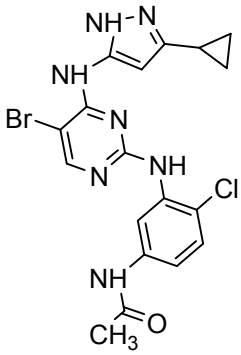
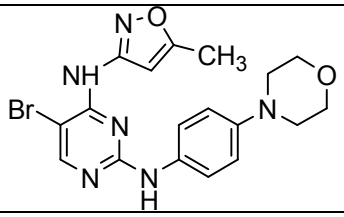
18	
19	
20	
21	
22	
23	

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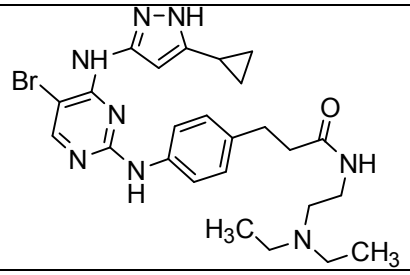
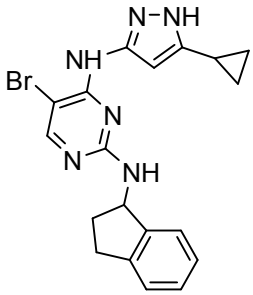
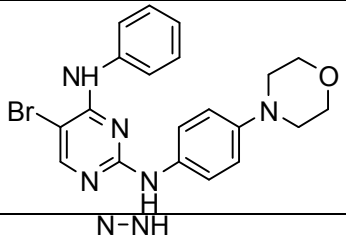
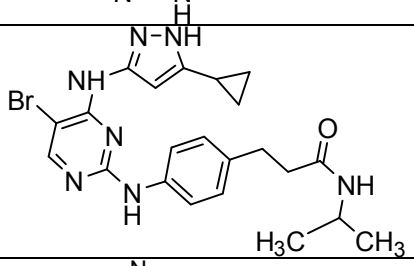
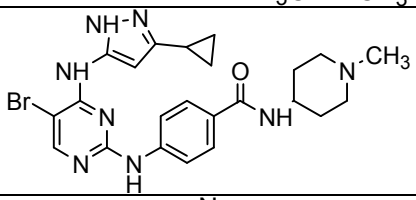
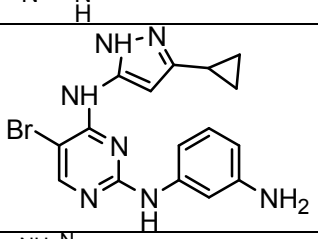
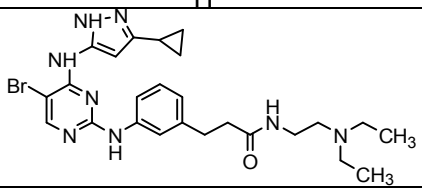
24	
25	
26	
27	
28	
29	
30	

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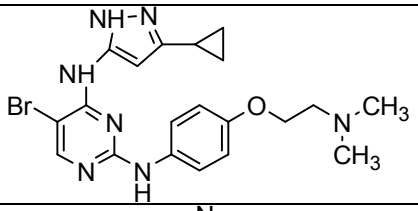
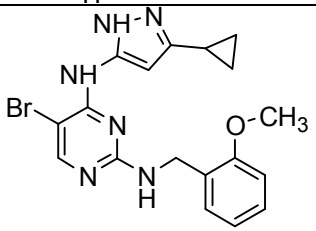
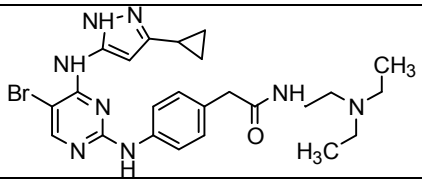
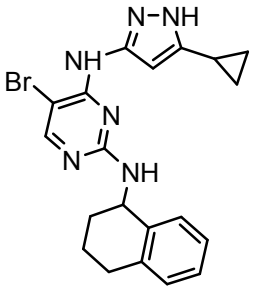
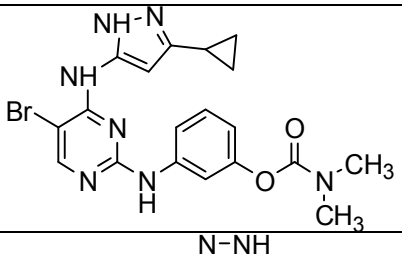
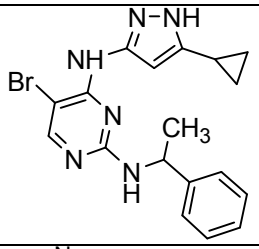
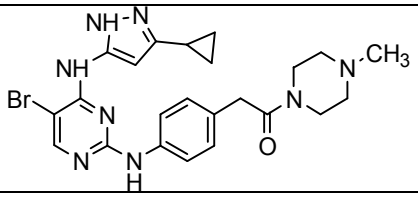
31	
32	
33	
34	
35	
36	
37	

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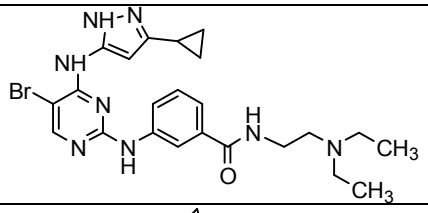
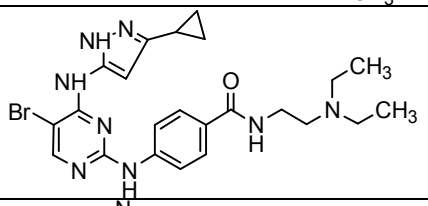
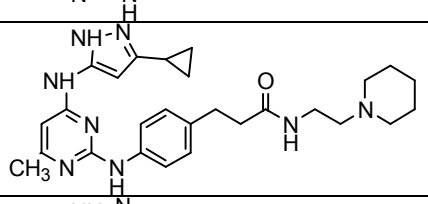
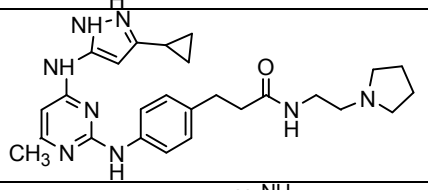
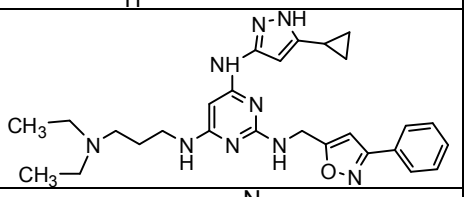
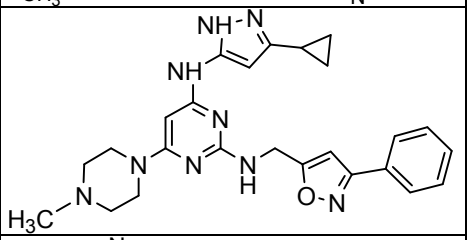
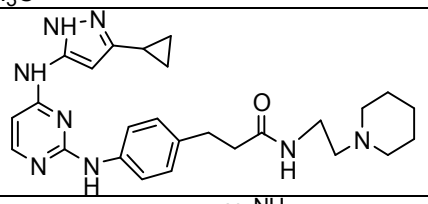
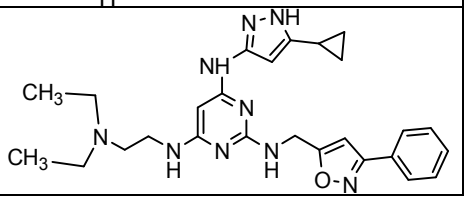
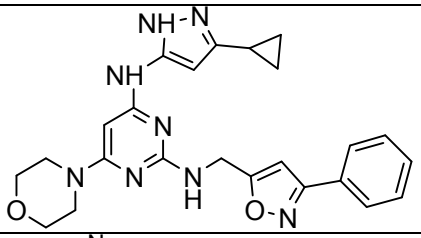
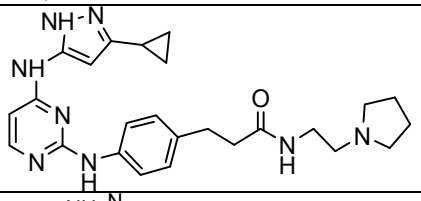
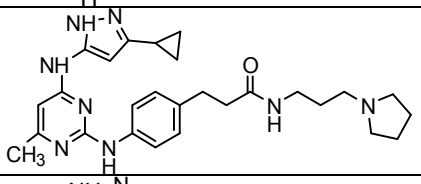
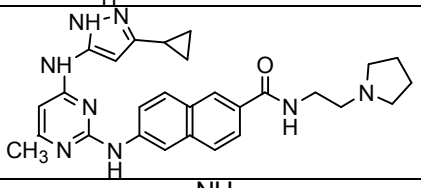
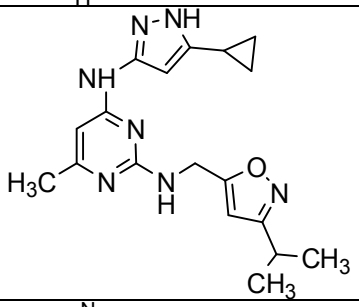
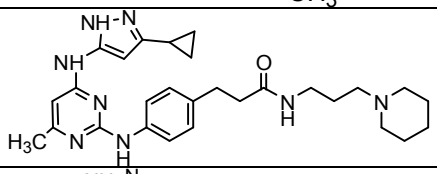
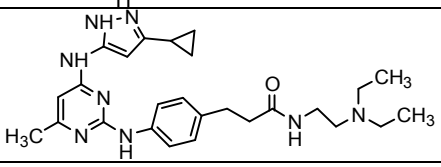
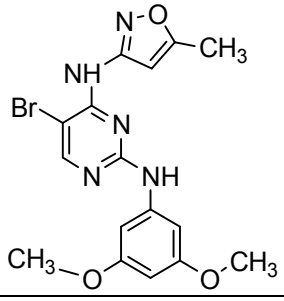
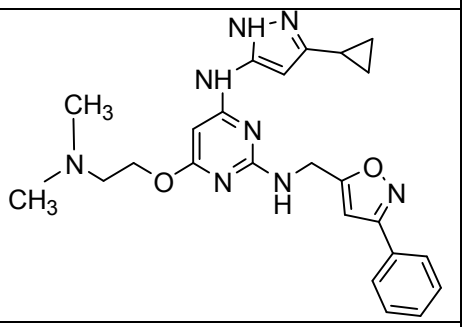
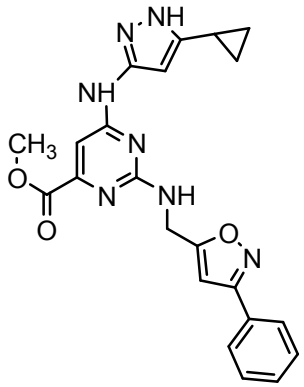
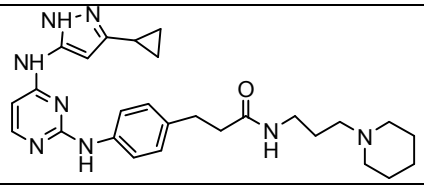
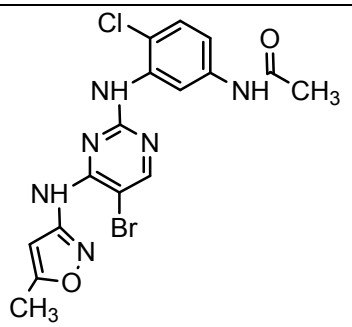
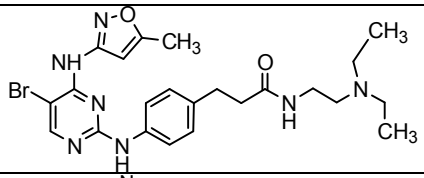
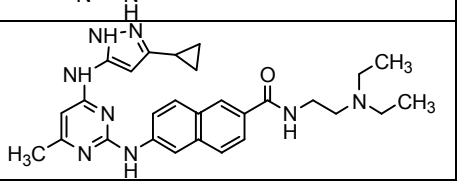
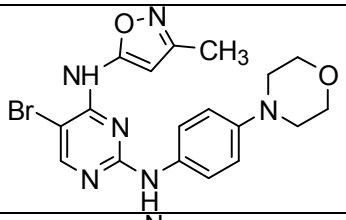
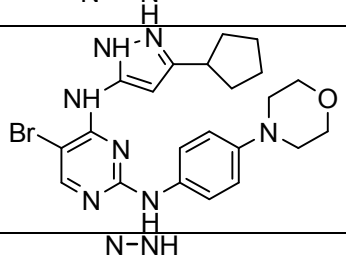
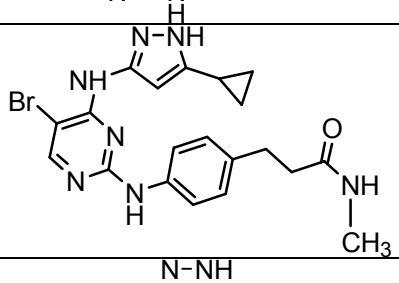
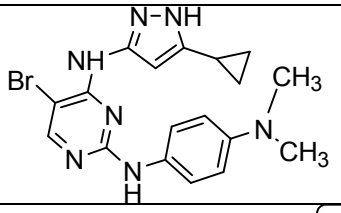
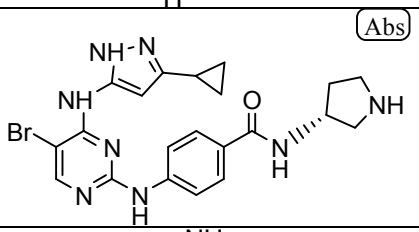
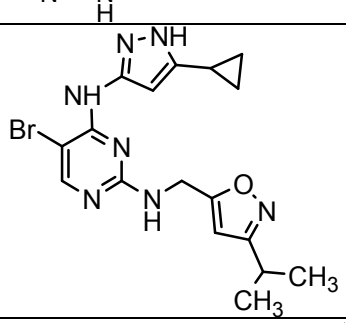
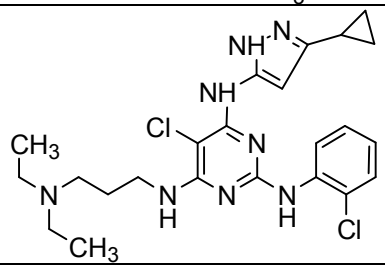
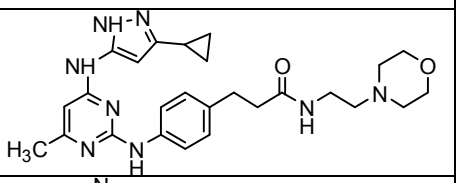
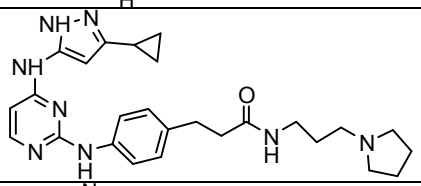
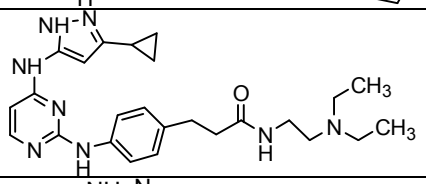
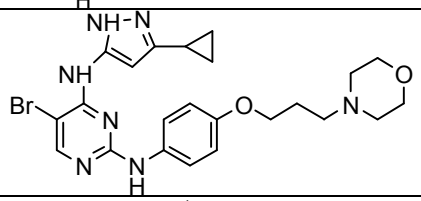
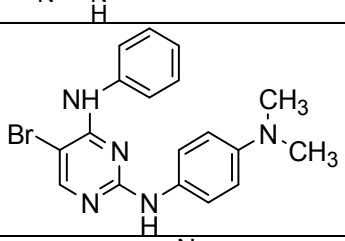
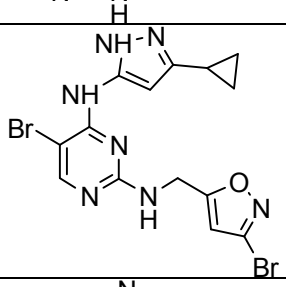
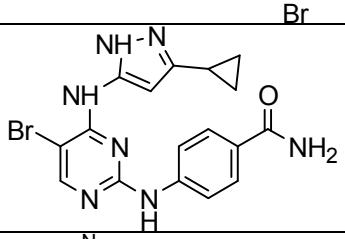
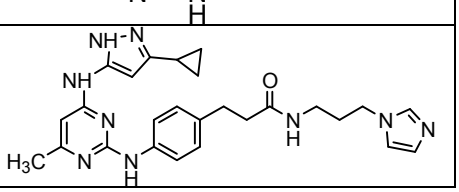
38	
39	
40	
41	
42	
43	
44	
45	

Oppføring	Struktur
46	
47	
48	
49	
50	
51	
52	
53	

Oppføring	Struktur
54	
55	
56	
57	
58	
59	

Oppføring	Struktur
60	
61	
62	
63	
64	
65	
66	

Oppføring	Struktur
67	
68	
69	
70	
71	
72	
73	
74	

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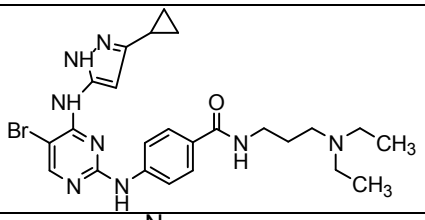
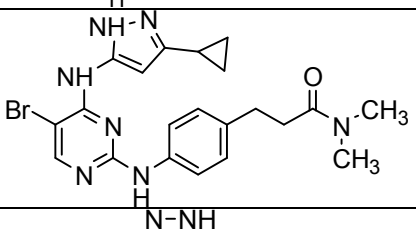
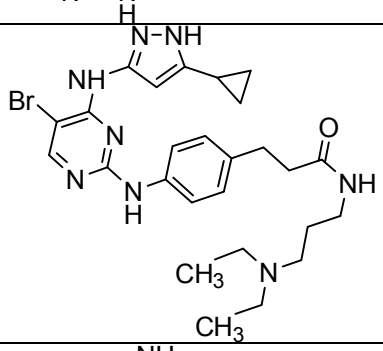
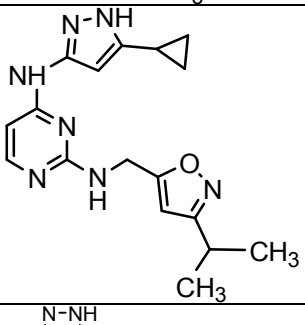
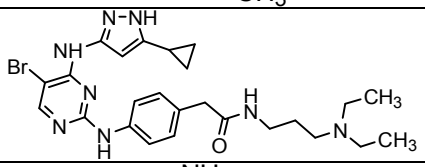
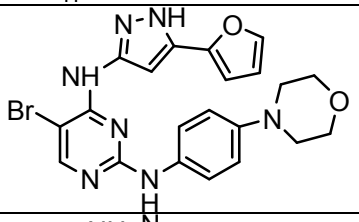
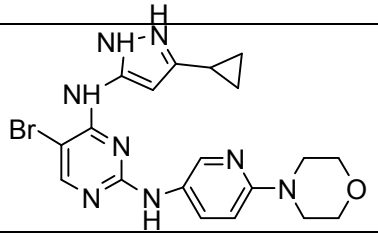
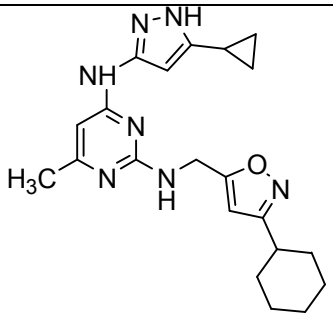
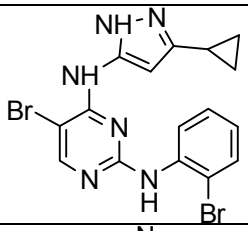
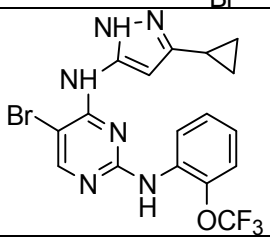
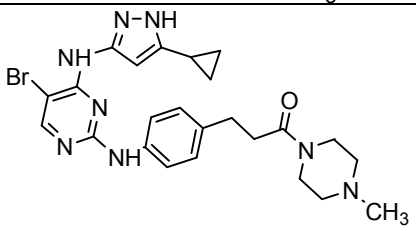
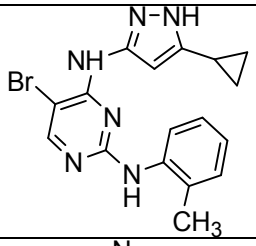
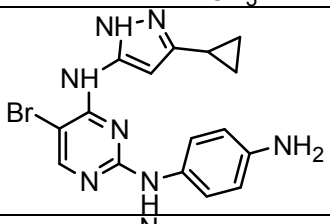
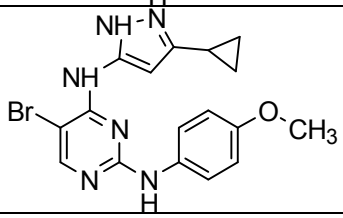
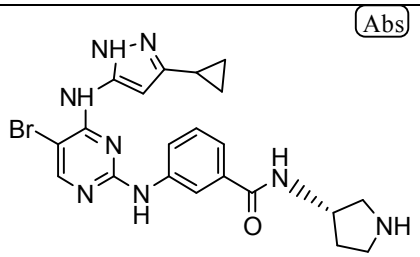
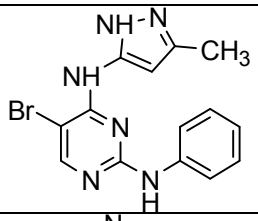
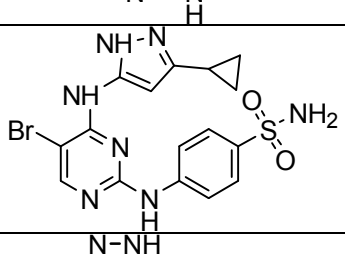
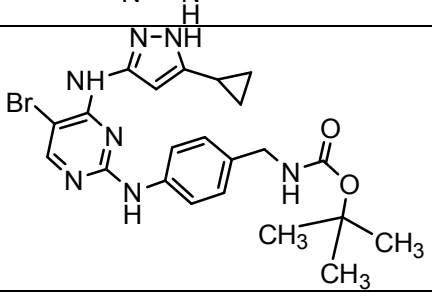
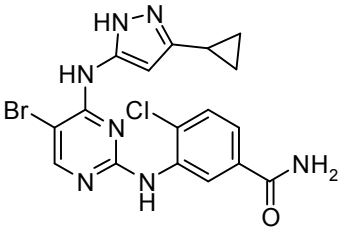
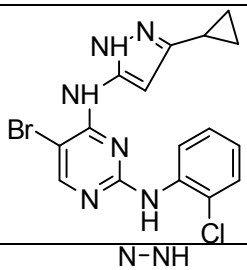
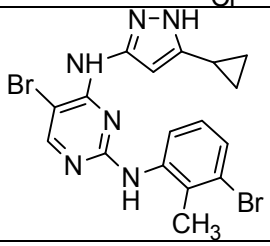
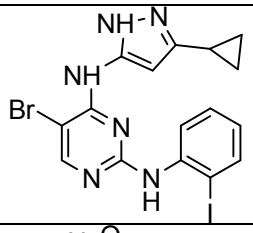
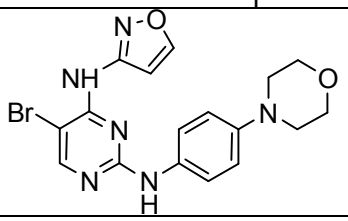
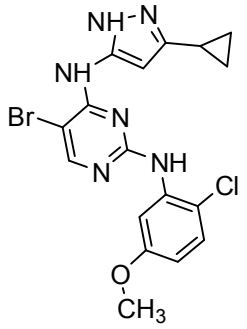
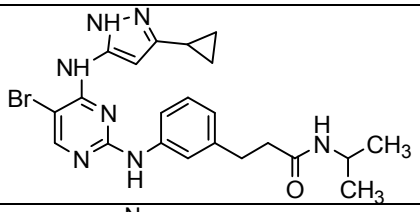
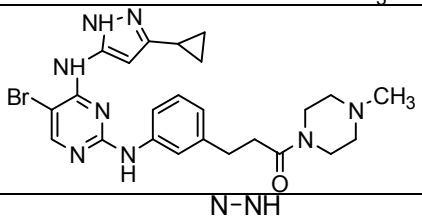
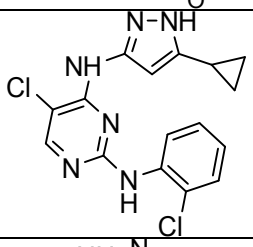
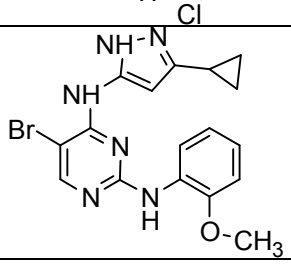
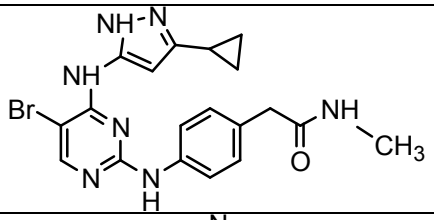
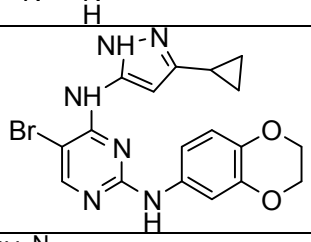
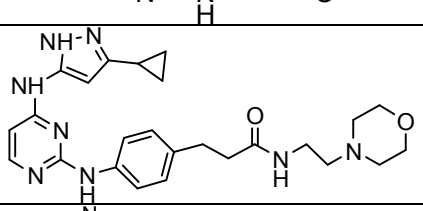
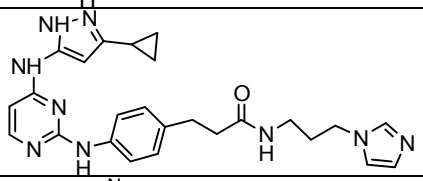
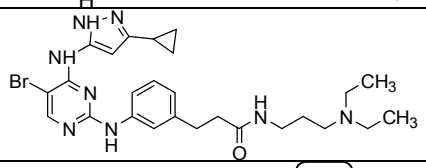
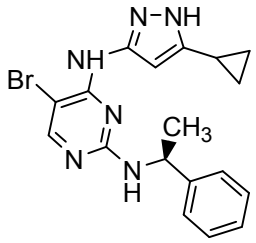
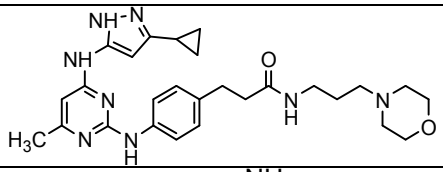
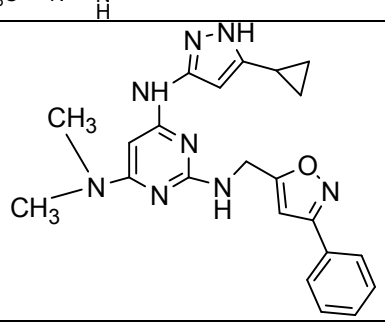
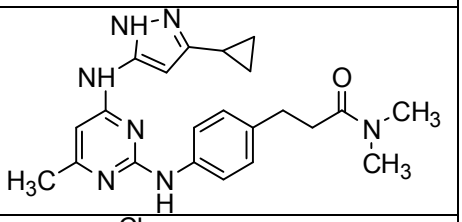
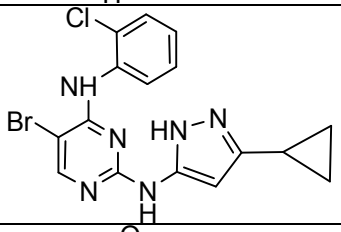
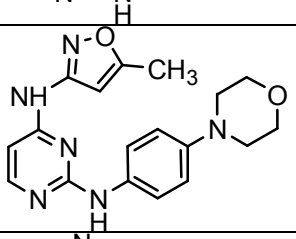
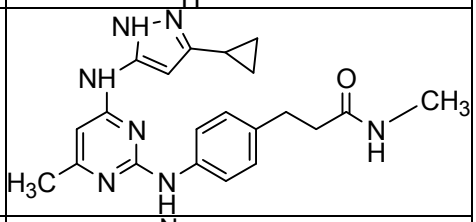
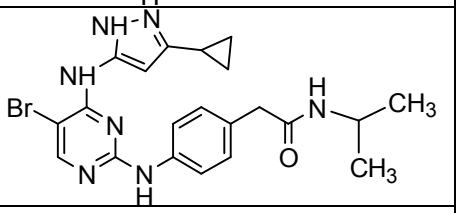
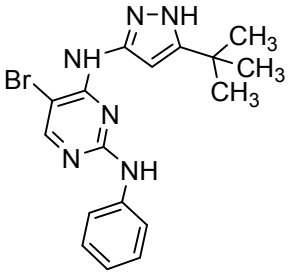
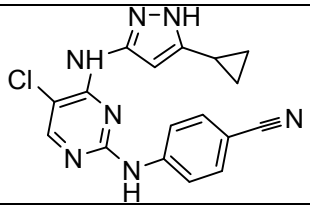
75	
76	
77	
78	
79	
80	
81	

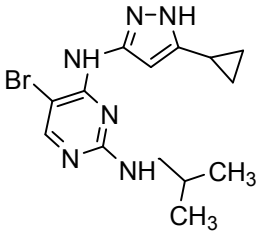
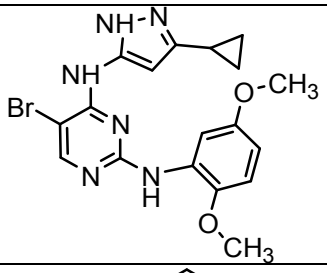
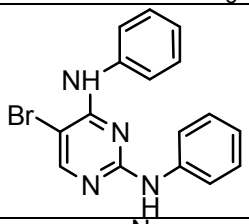
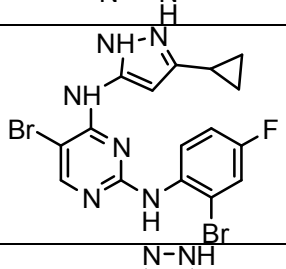
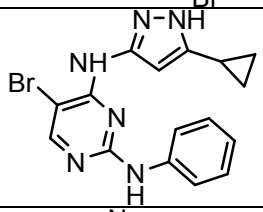
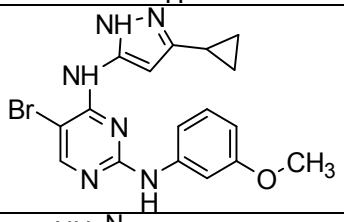
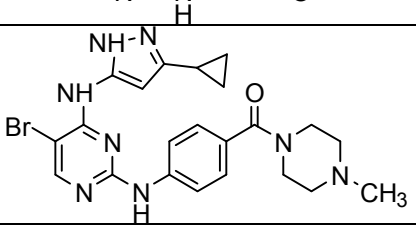
Oppføring	Struktur
82	
83	
84	
85	
86	
87	
88	

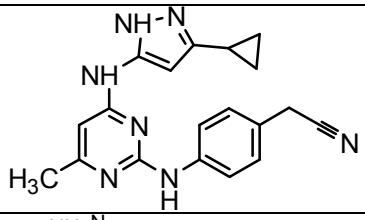
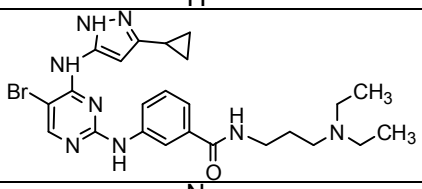
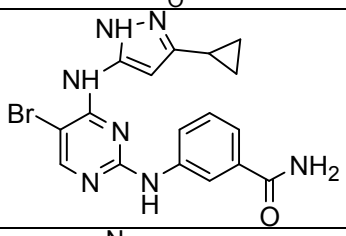
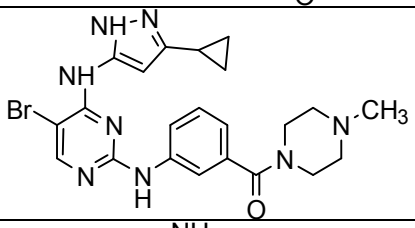
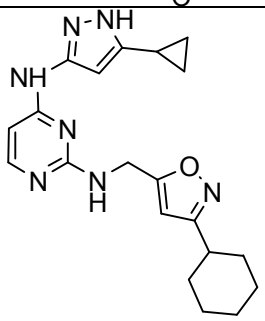
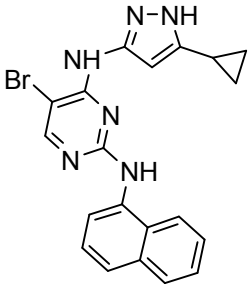
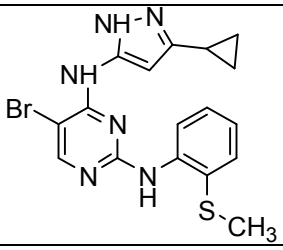
Oppføring	Struktur
89	
90	
91	
92	
93	
94	
95	

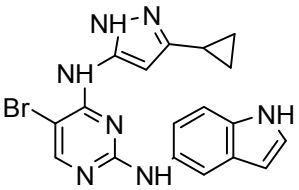
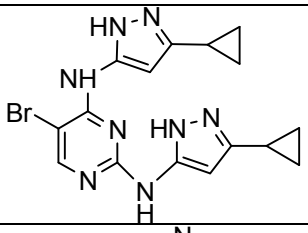
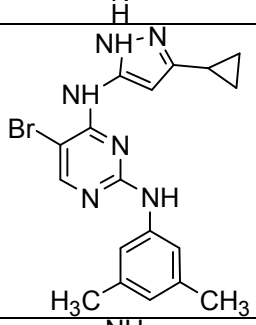
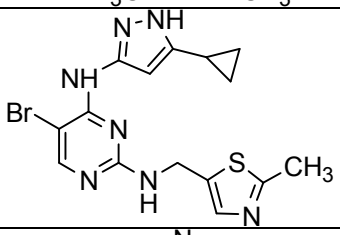
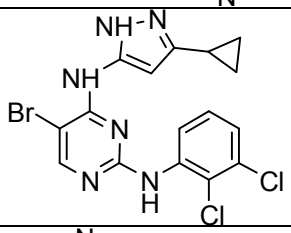
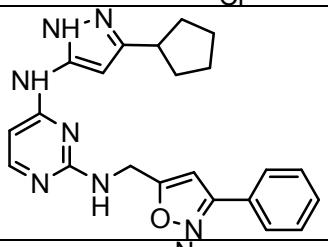
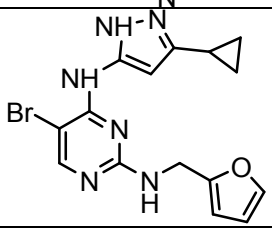
Oppføring	Struktur
96	
97	
98	
99	
100	
101	
102	

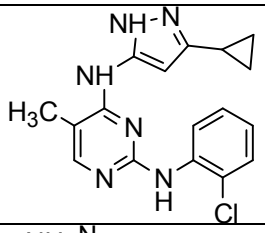
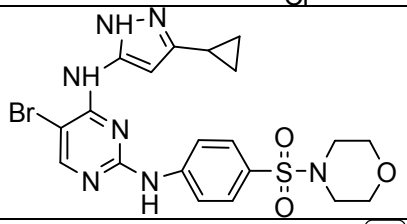
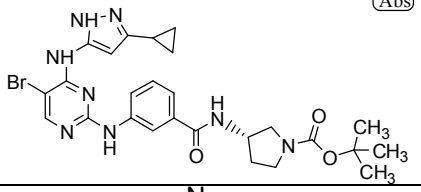
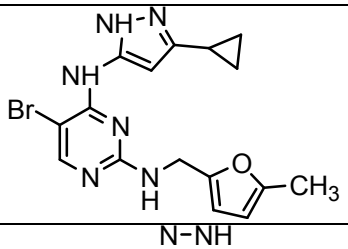
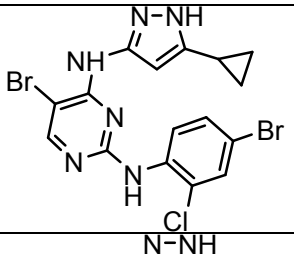
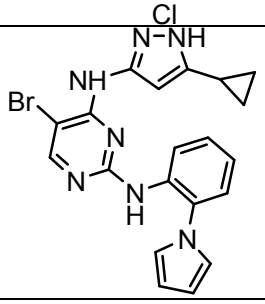
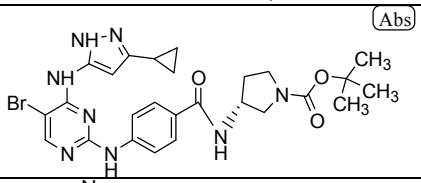
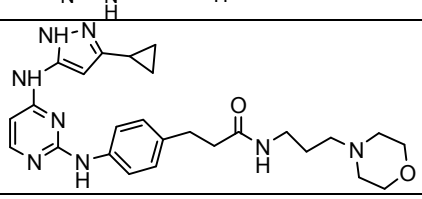
Oppføring	Struktur
103	
104	
105	
106	
107	
108	Abs 
109	
110	

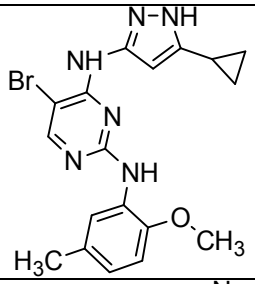
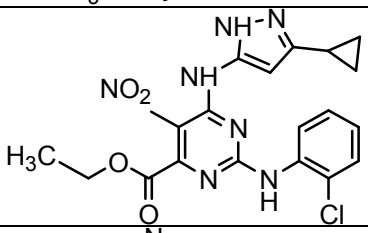
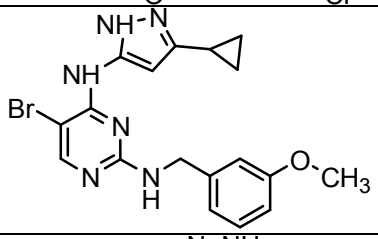
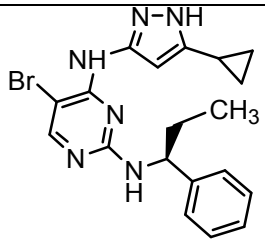
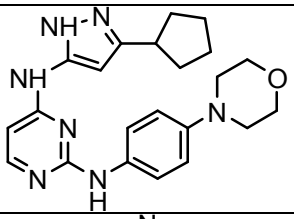
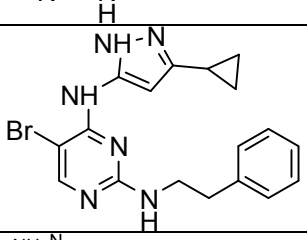
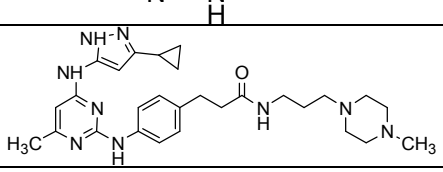
Oppføring	Struktur
111	
112	
113	
114	
115	
116	
117	

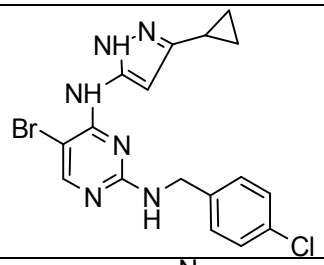
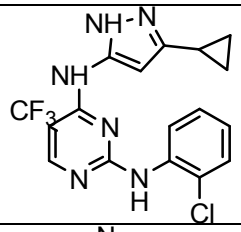
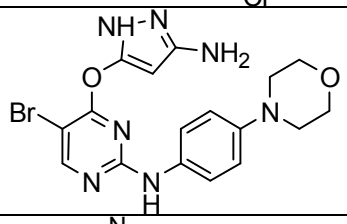
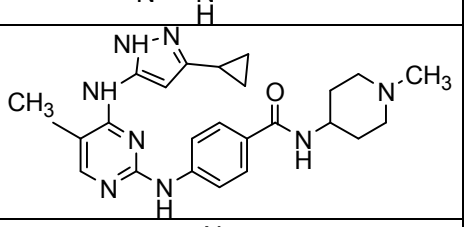
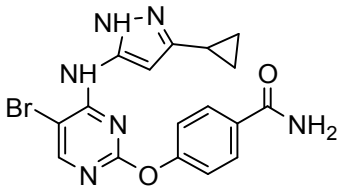
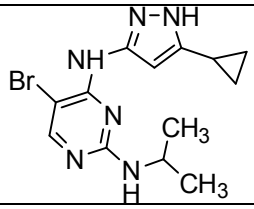
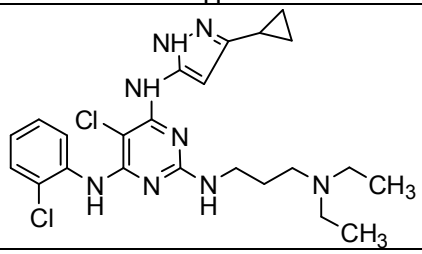
Oppføring	Struktur
118	
119	
120	
121	
122	
123	
124	

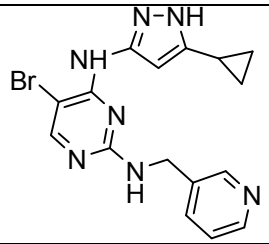
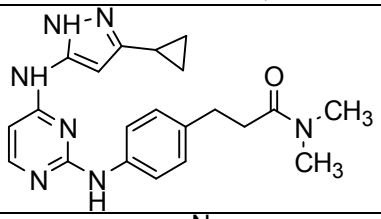
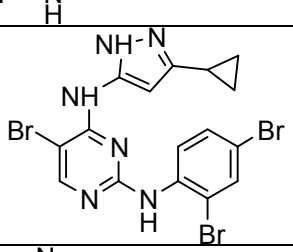
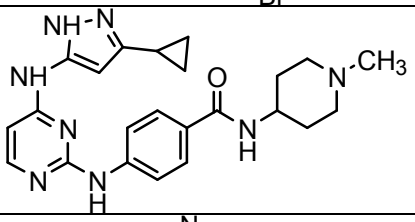
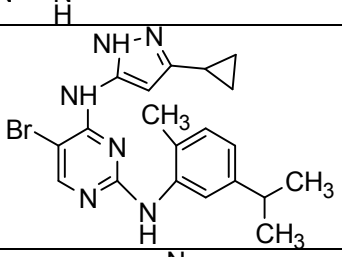
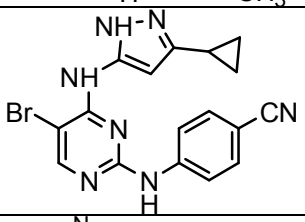
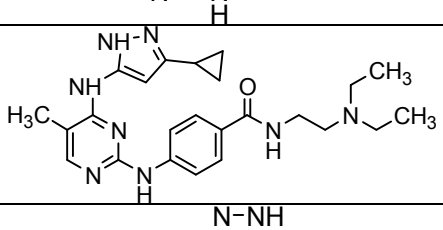
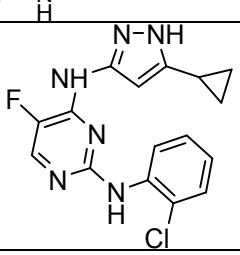
Oppføring	Struktur
125	
126	
127	
128	
129	
130	
131	

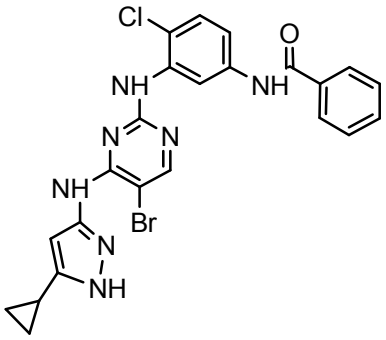
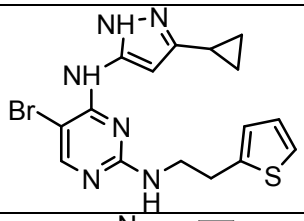
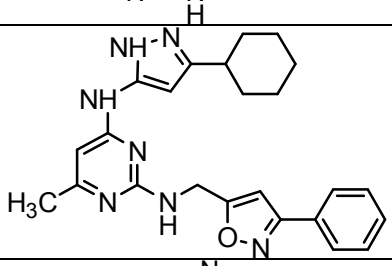
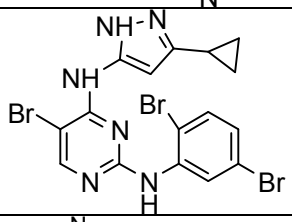
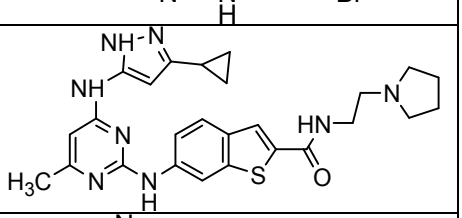
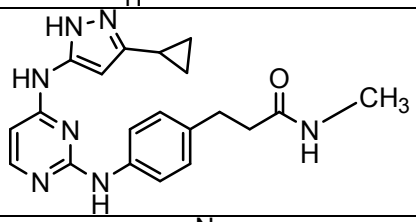
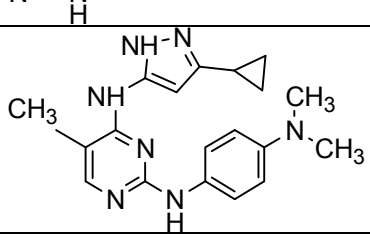
Oppføring	Struktur
132	
133	
134	
135	
136	
137	
138	

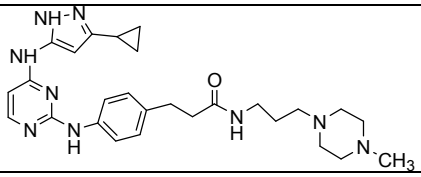
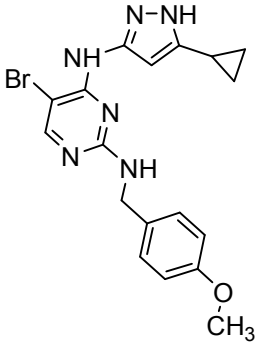
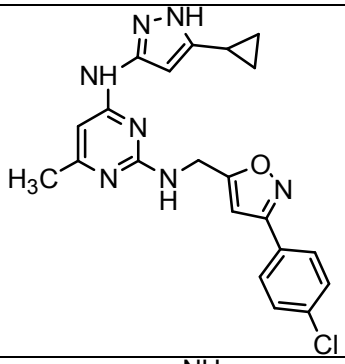
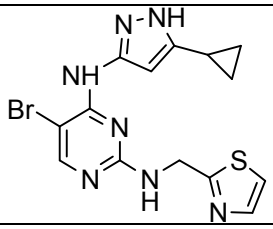
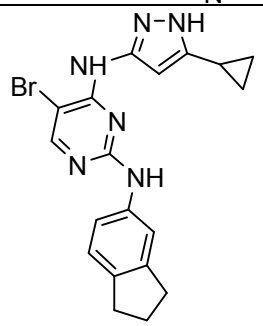
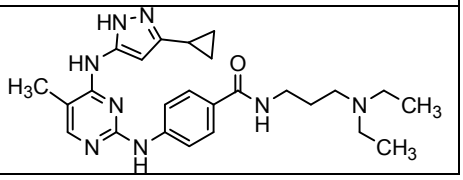
Oppføring	Struktur
139	
140	
141	Abs 
142	
143	
144	
145	Abs 
146	

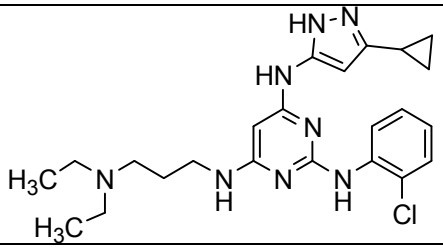
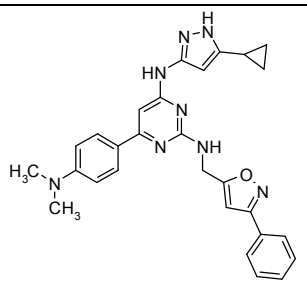
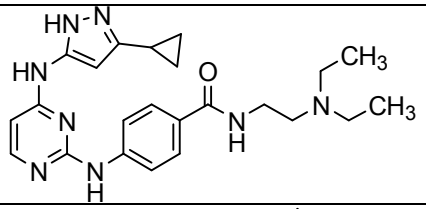
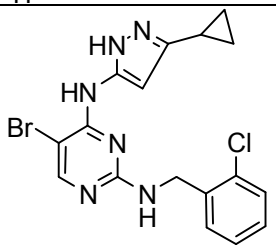
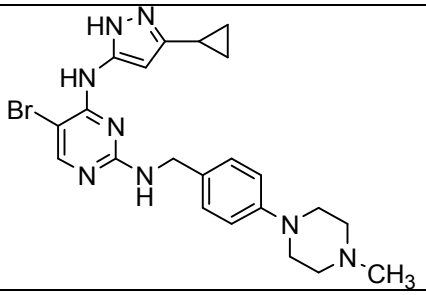
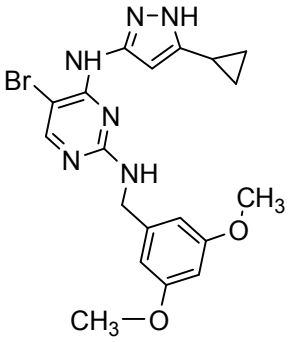
Oppføring	Struktur
147	
148	
149	
150	
151	
152	
153	

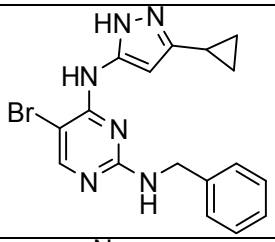
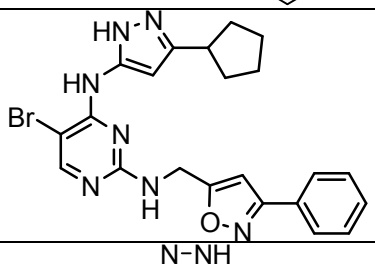
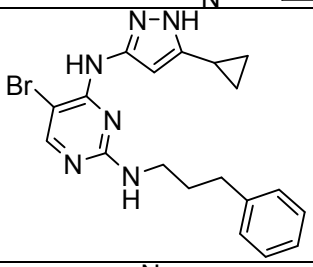
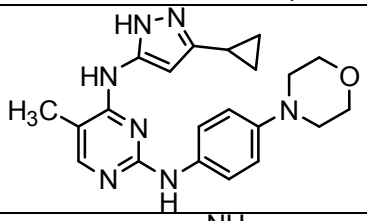
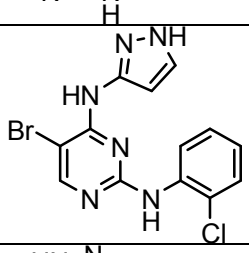
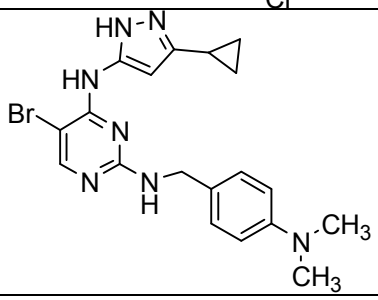
Oppføring	Struktur
154	
155	
156	
157	
158	
159	
160	

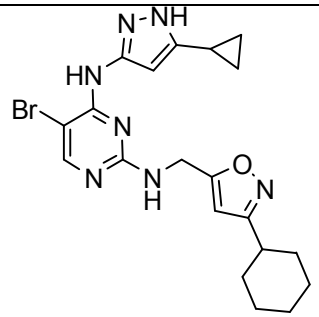
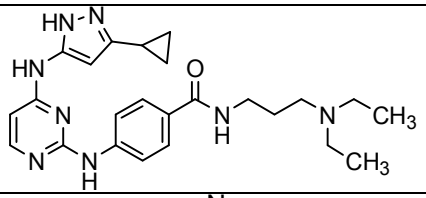
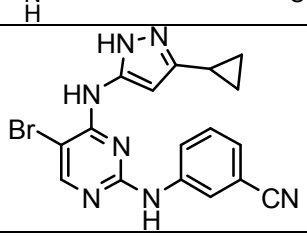
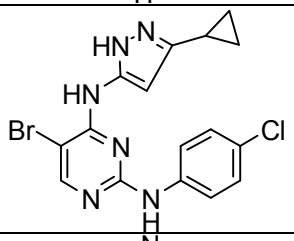
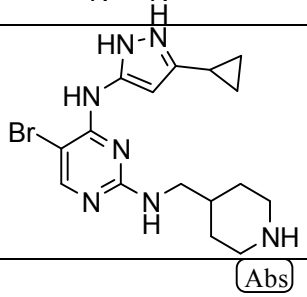
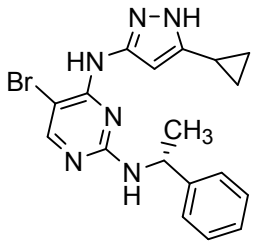
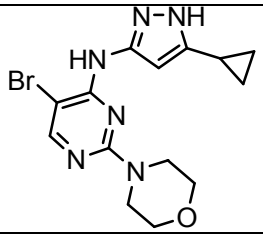
Oppføring	Struktur
161	
162	
163	
164	
165	
166	
167	
168	

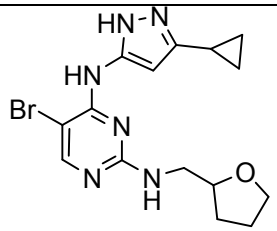
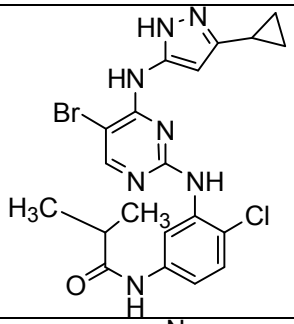
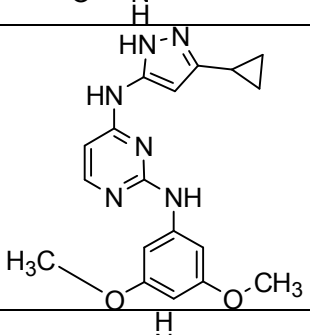
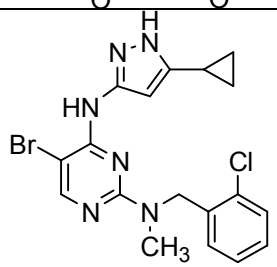
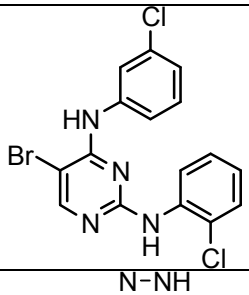
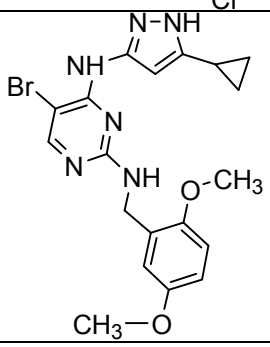
Oppføring	Struktur
169	
170	
171	
172	
173	
174	
175	

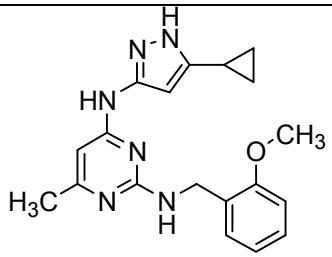
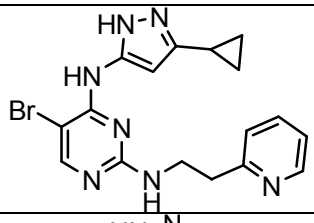
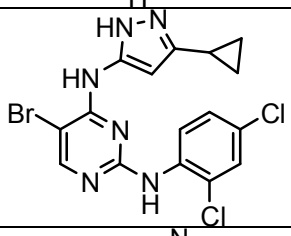
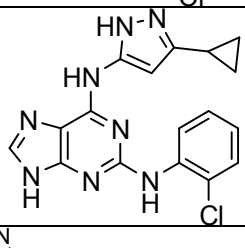
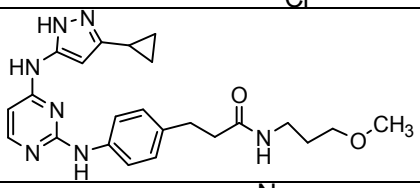
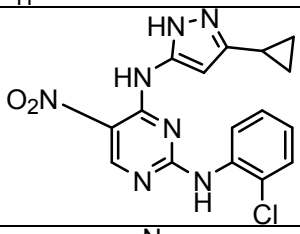
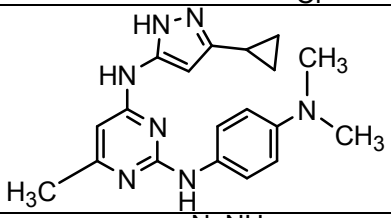
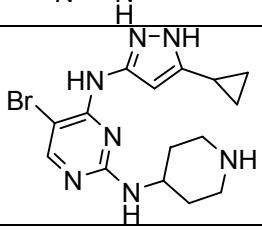
Oppføring	Struktur
176	
177	
178	
179	
180	
181	

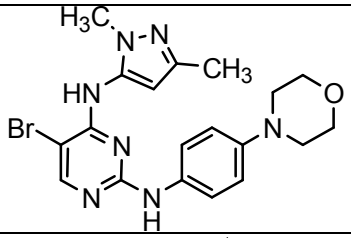
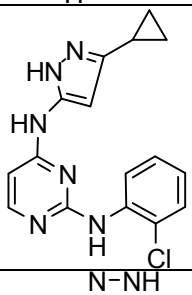
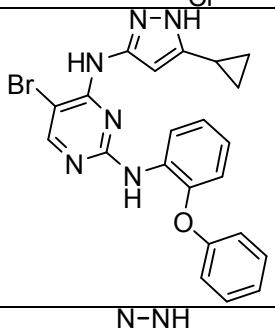
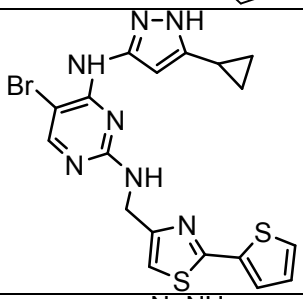
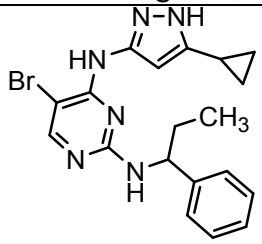
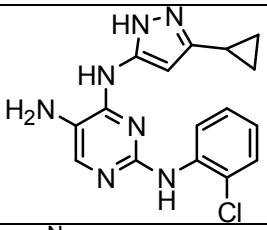
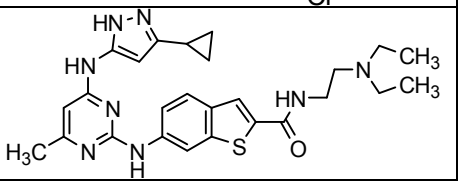
Oppføring	Struktur
182	
183	
184	
185	
186	
187	

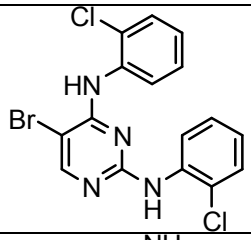
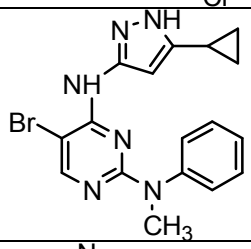
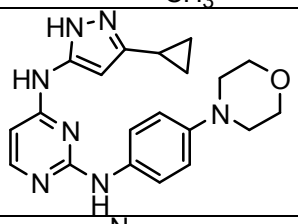
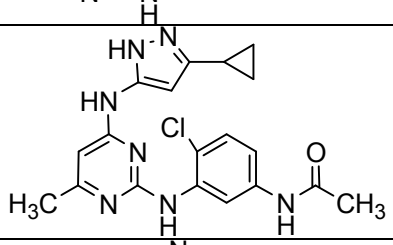
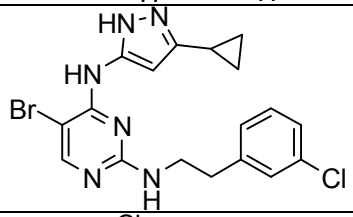
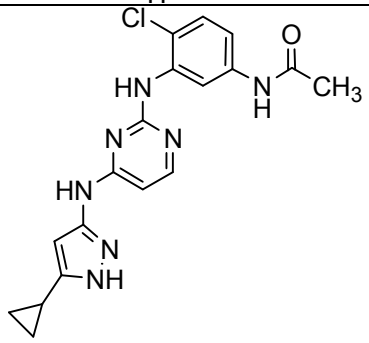
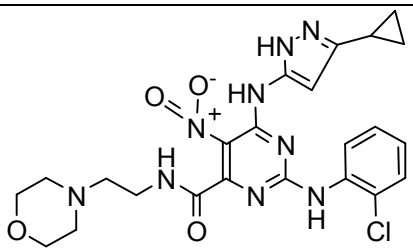
Oppføring	Struktur
188	
189	
190	
191	
192	
193	

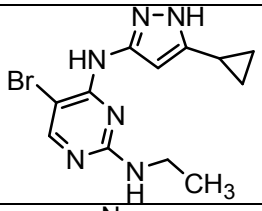
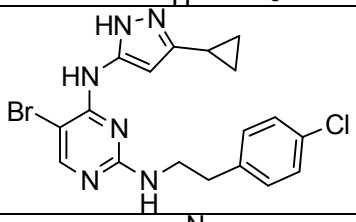
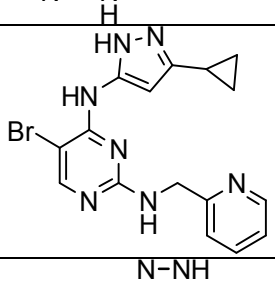
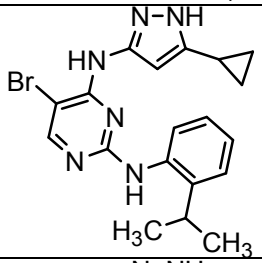
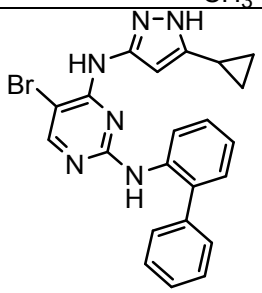
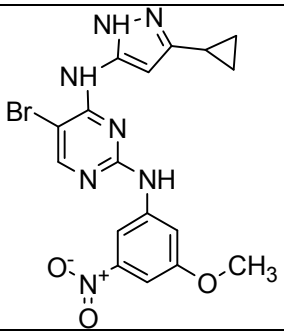
Oppføring	Struktur
194	
195	
196	
197	
198	
199	
200	

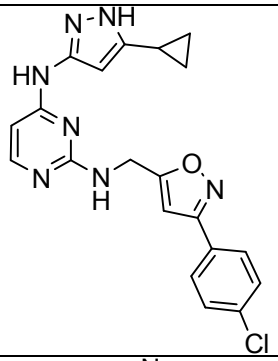
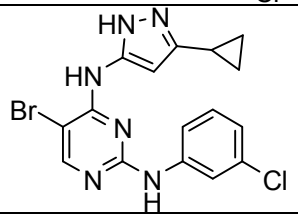
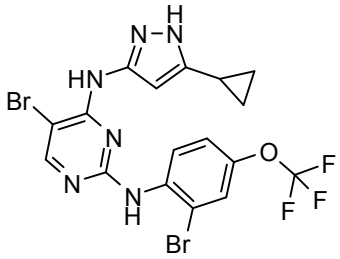
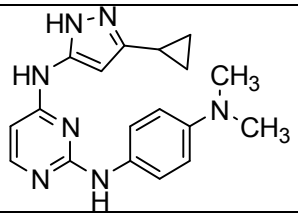
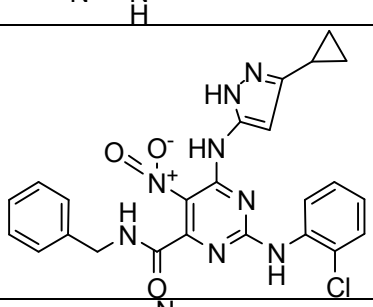
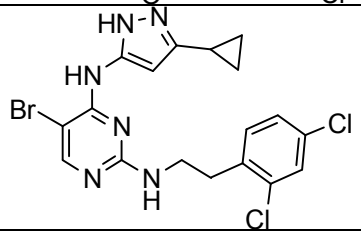
Oppføring	Struktur
201	
202	
203	
204	
205	
206	

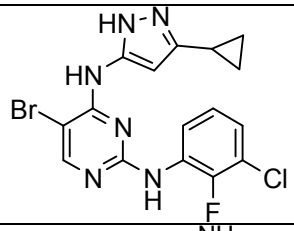
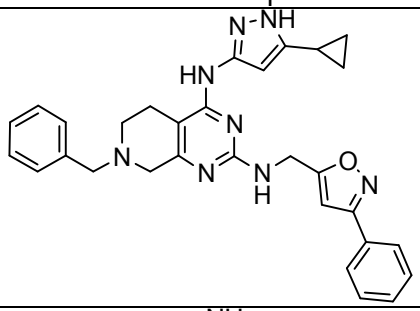
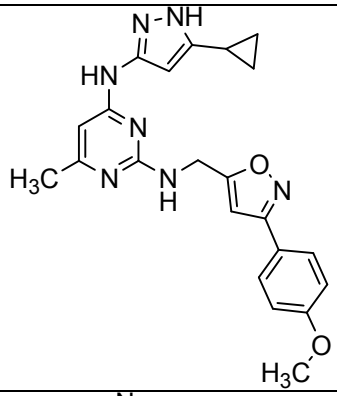
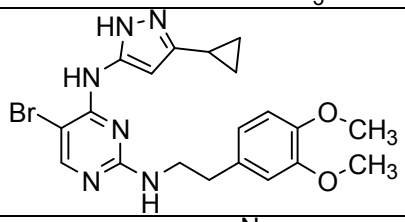
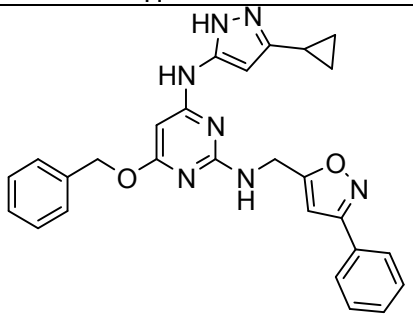
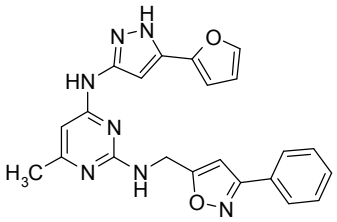
Oppføring	Struktur
207	
208	
209	
210	
211	
212	
213	
214	

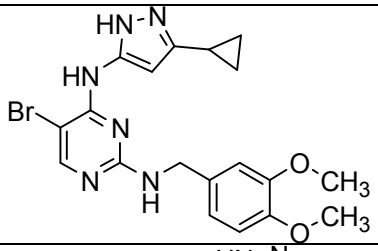
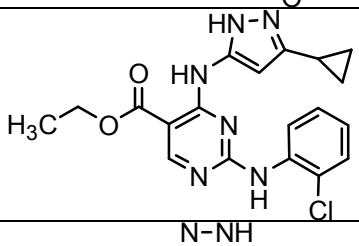
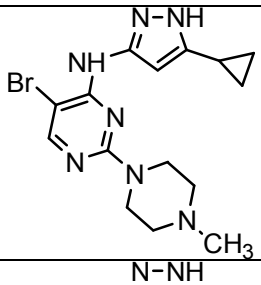
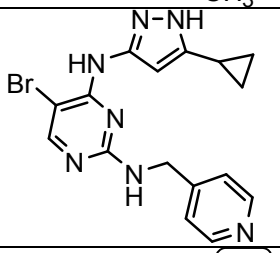
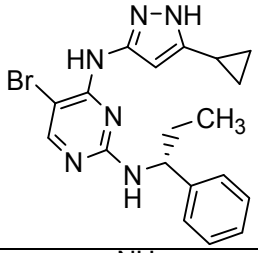
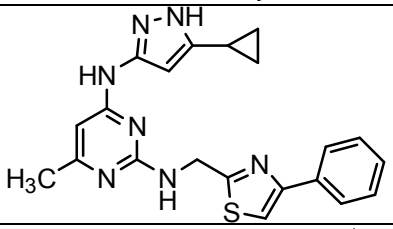
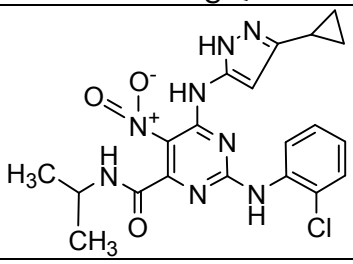
Oppføring	Struktur
215	
216	
217	
218	
219	
220	
221	

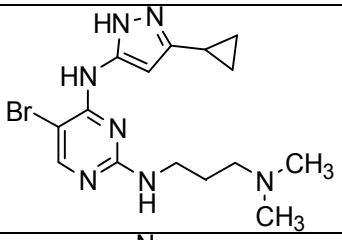
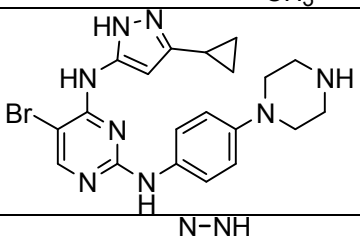
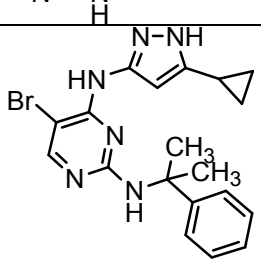
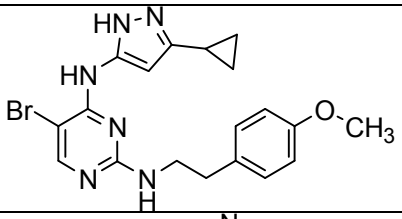
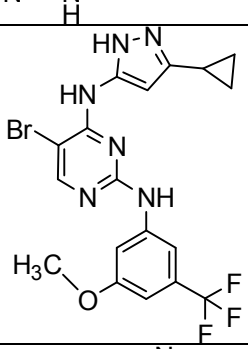
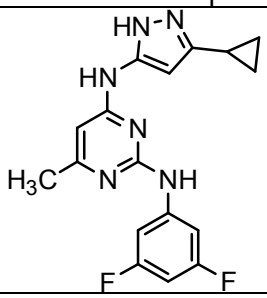
Oppføring	Struktur
222	
223	
224	
225	
226	
227	
228	

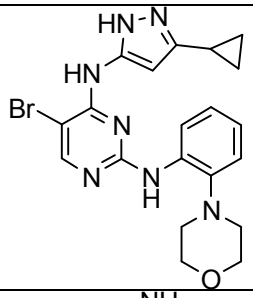
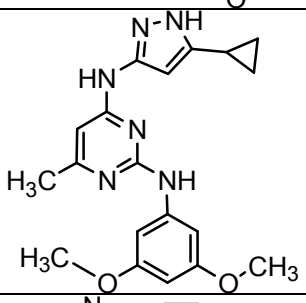
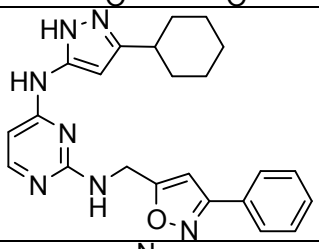
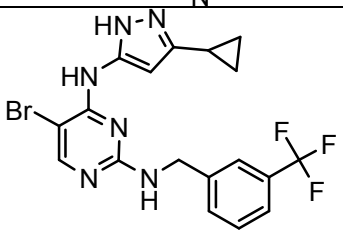
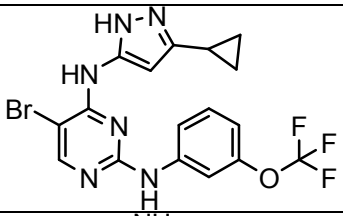
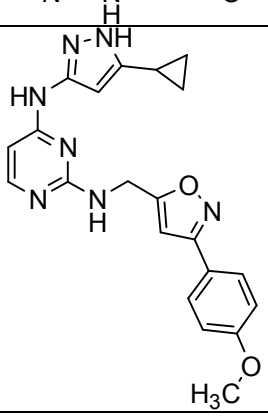
Oppføring	Struktur
229	
230	
231	
232	
233	
234	

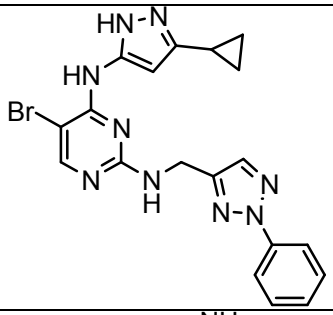
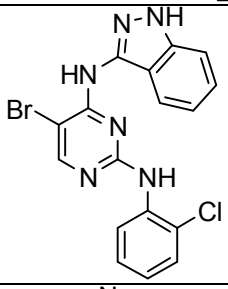
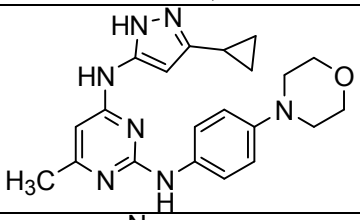
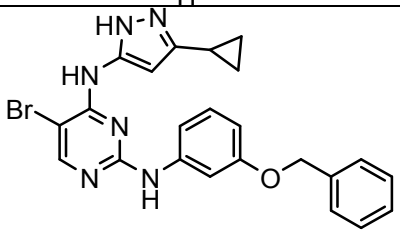
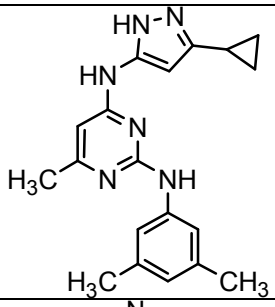
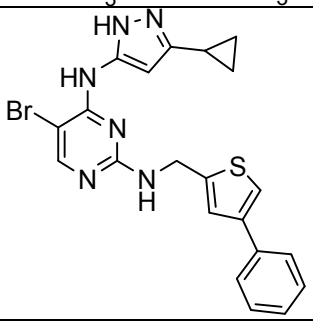
Oppføring	Struktur
235	
236	
237	
238	
239	
240	

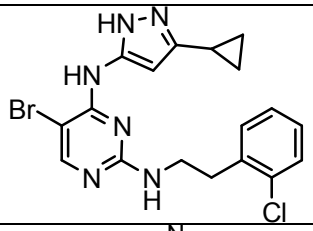
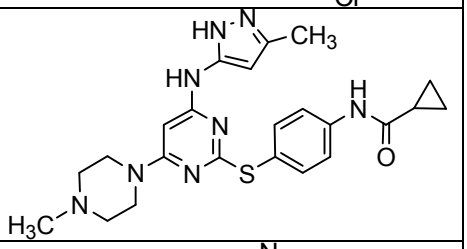
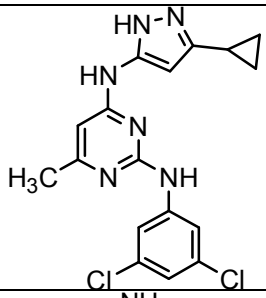
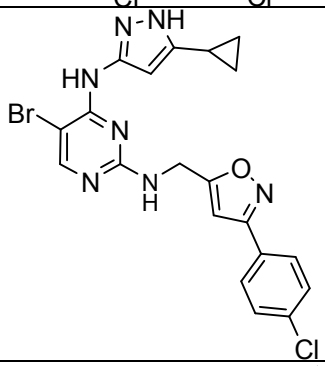
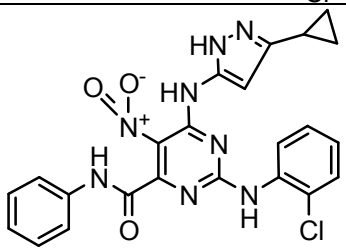
Oppføring	Struktur
241	
242	
243	
244	
245	
246	

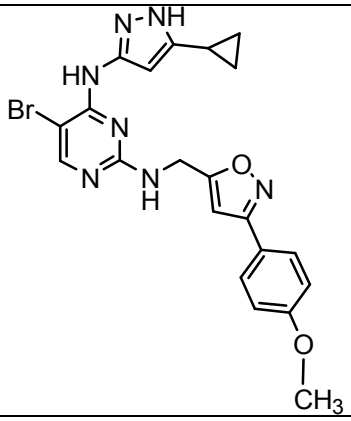
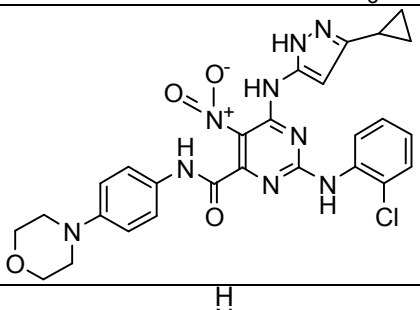
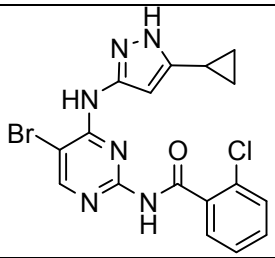
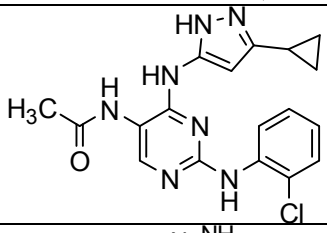
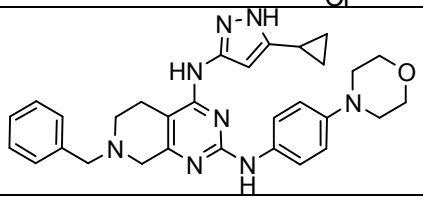
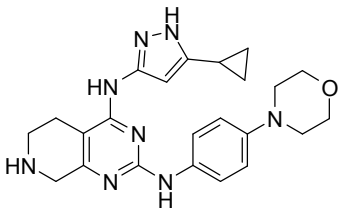
Oppføring	Struktur
247	
248	
249	
250	
251	
252	
253	

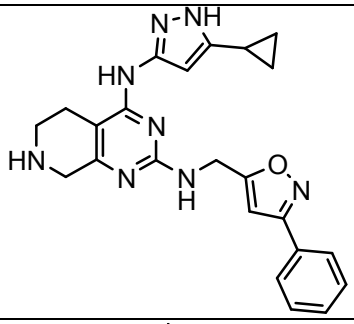
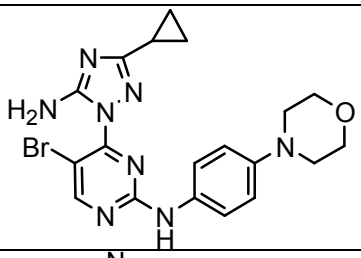
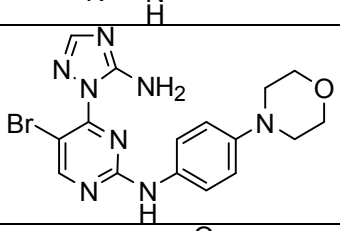
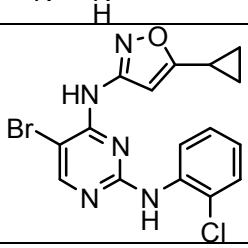
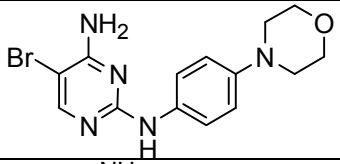
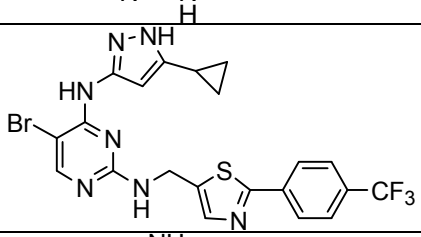
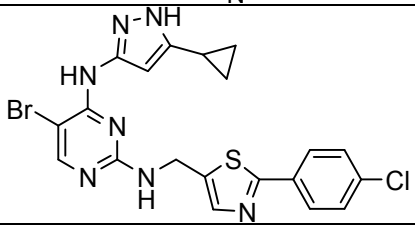
Oppføring	Struktur
254	
255	
256	
257	
258	
259	

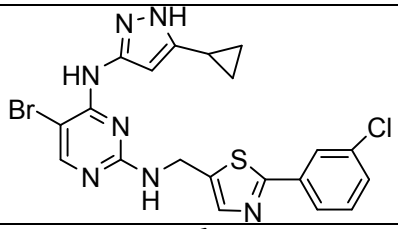
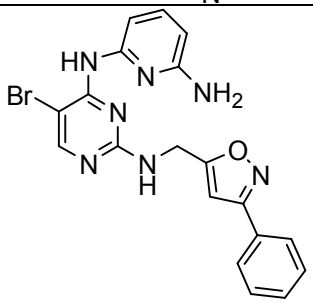
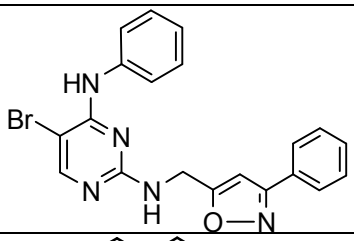
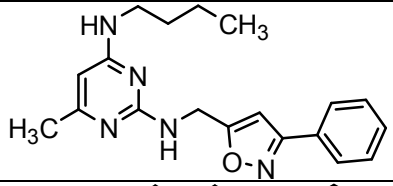
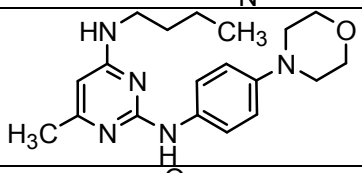
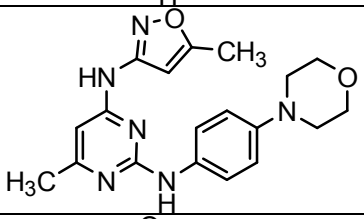
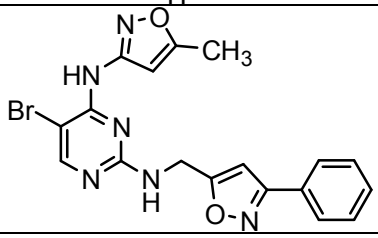
Oppføring	Struktur
260	
261	
262	
263	
264	
265	

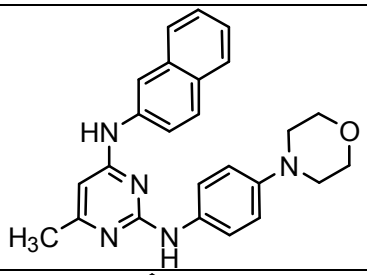
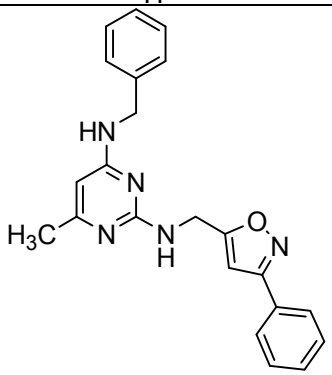
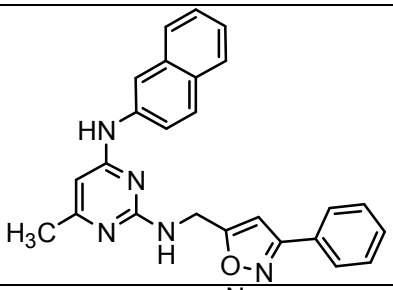
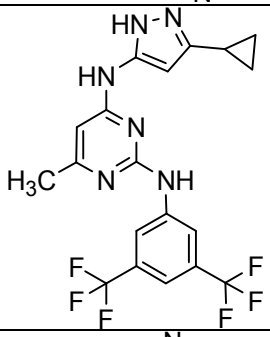
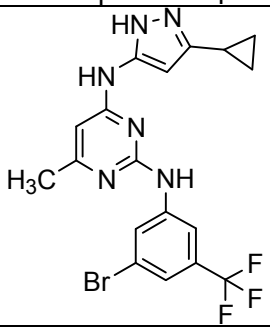
Oppføring	Struktur
266	
267	
268	
269	
270	
271	

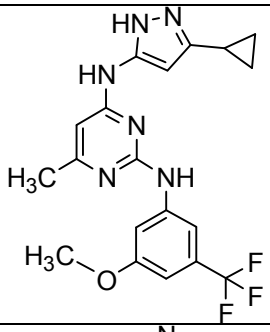
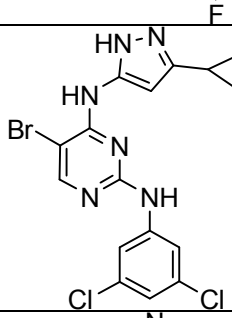
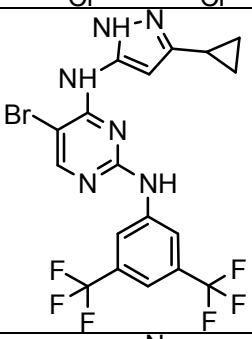
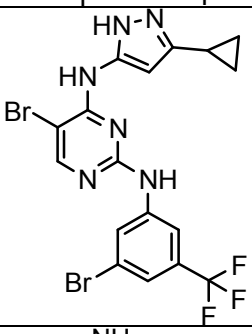
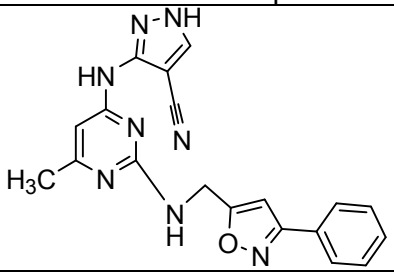
Oppføring	Struktur
272	
273	
274	
275	
276	

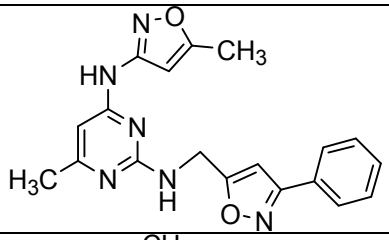
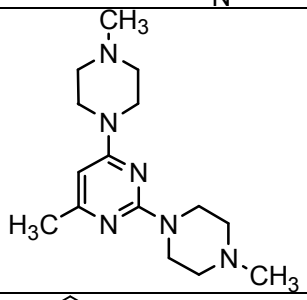
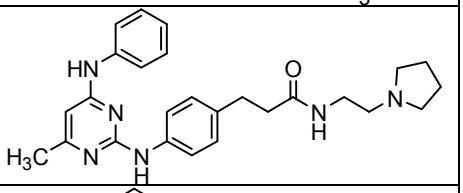
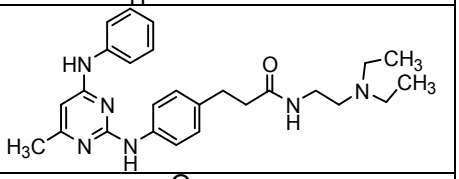
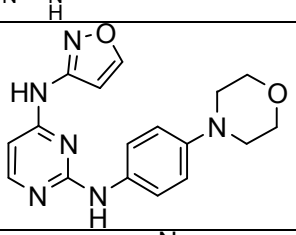
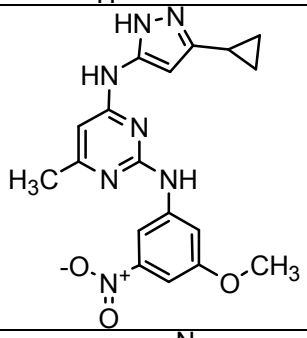
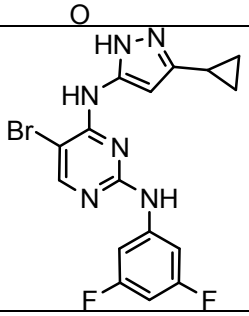
Oppføring	Struktur
277	
278	
279	
280	
281	
282	

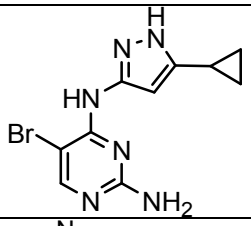
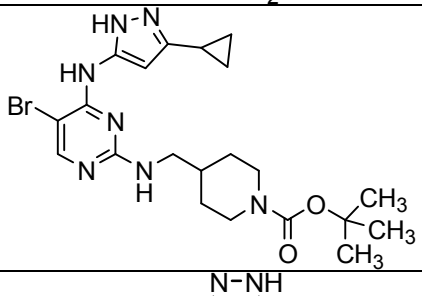
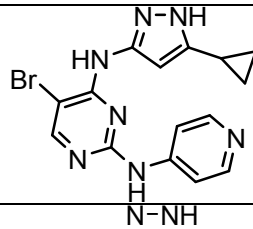
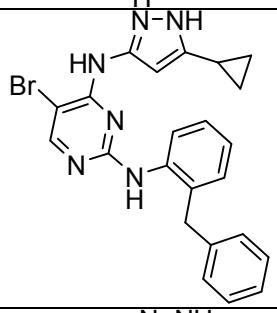
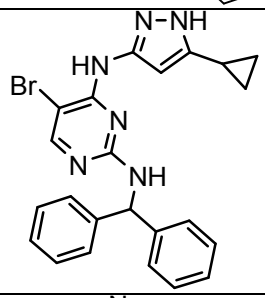
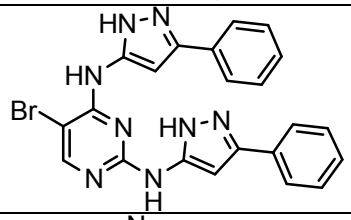
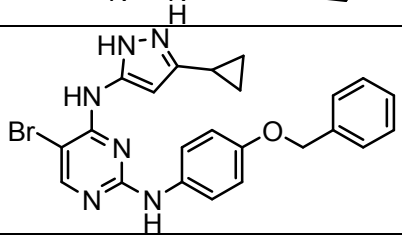
Oppføring	Struktur
283	
284	
285	
286	
287	
288	
289	

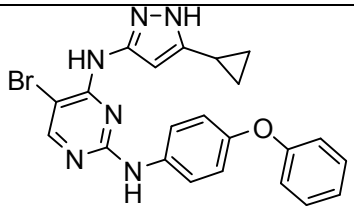
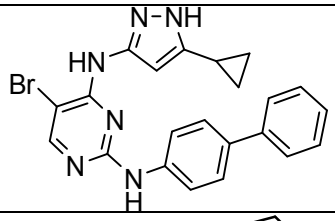
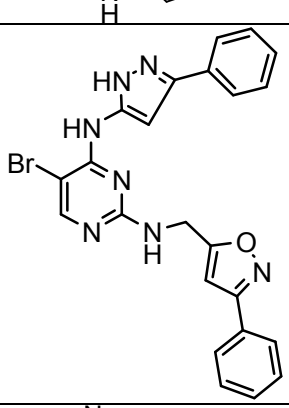
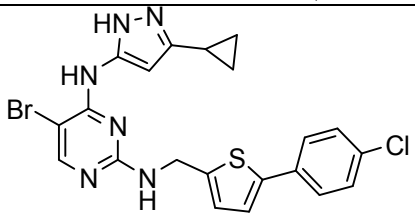
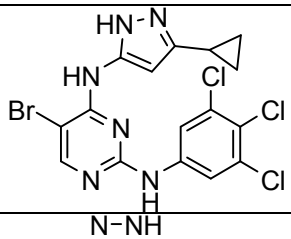
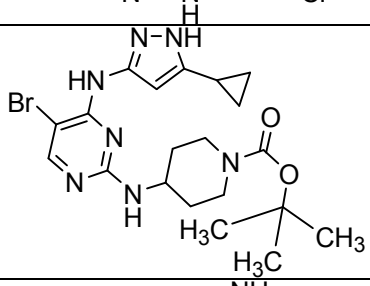
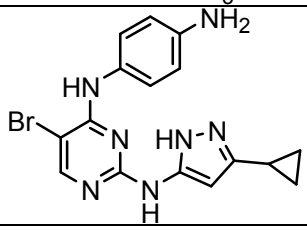
Oppføring	Struktur
290	
291	
292	
293	
294	
295	
296	

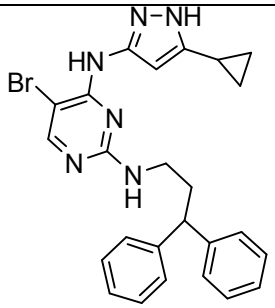
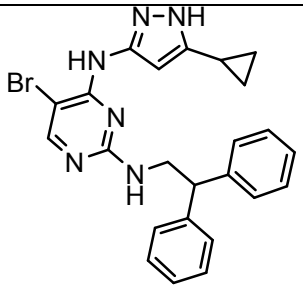
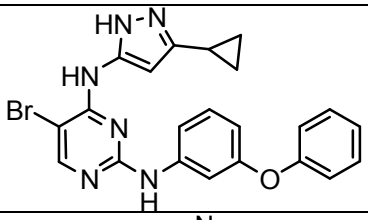
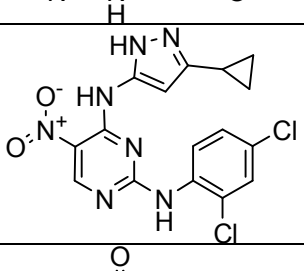
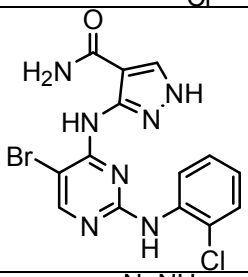
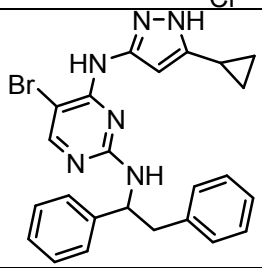
Oppføring	Struktur
297	
298	
299	
300	
301	

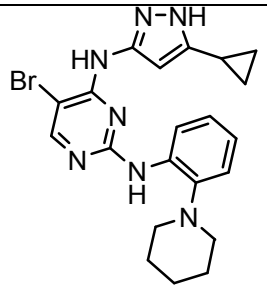
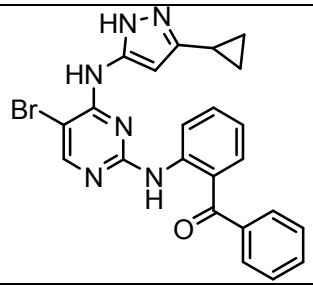
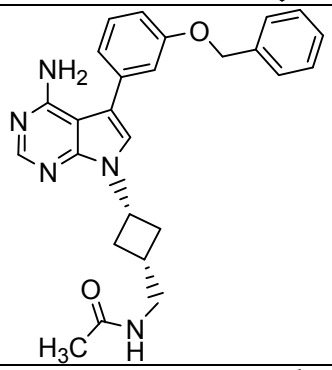
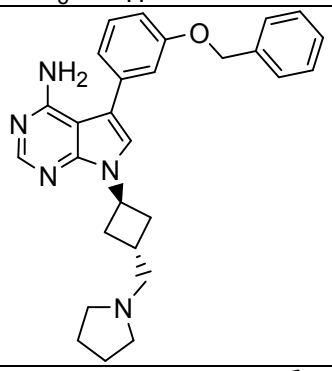
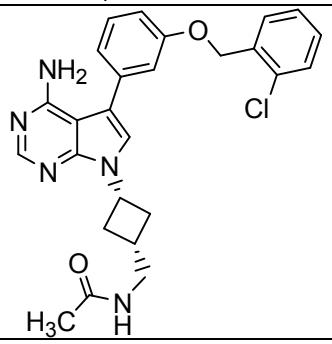
Oppføring	Struktur
302	
303	
304	
305	
306	

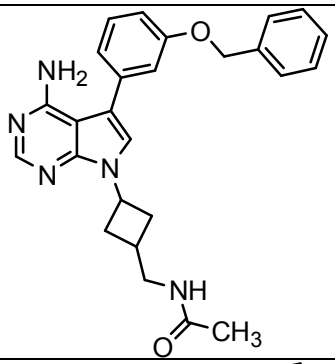
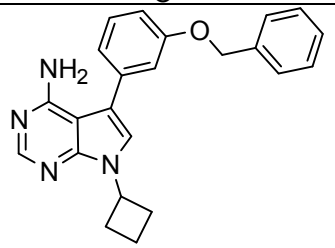
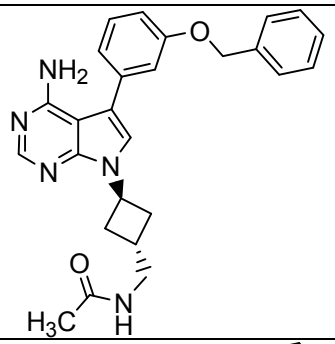
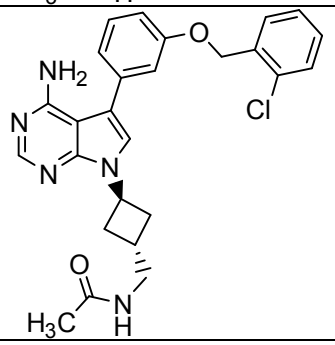
Oppføring	Struktur
307	
308	
309	
310	
311	
312	
313	

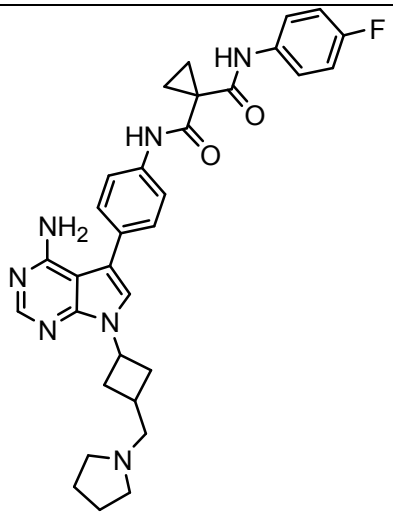
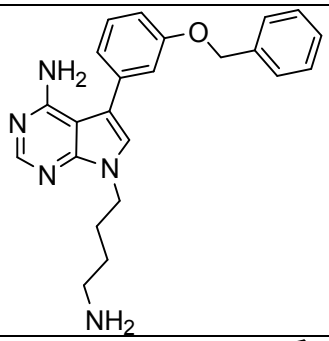
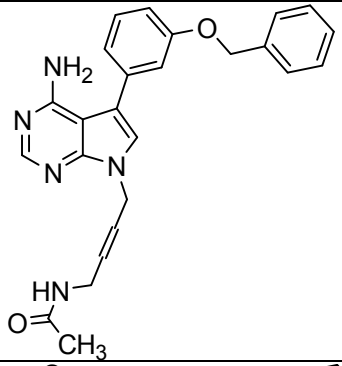
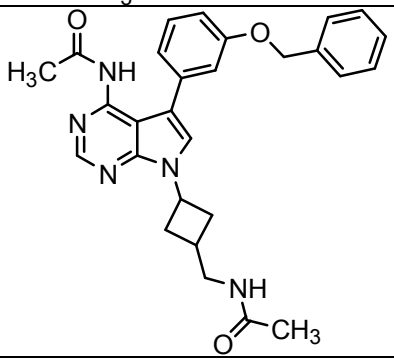
Oppføring	Struktur
314	
315	
316	
317	
318	
319	
320	

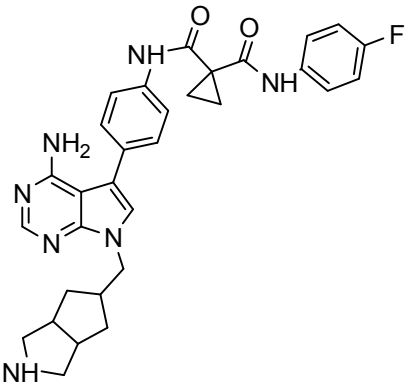
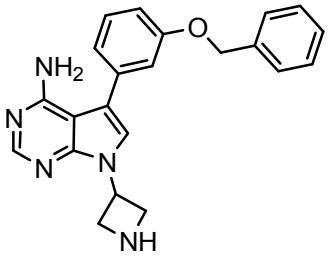
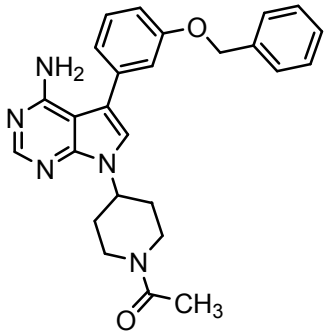
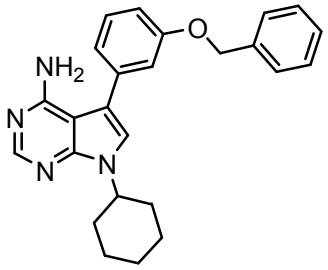
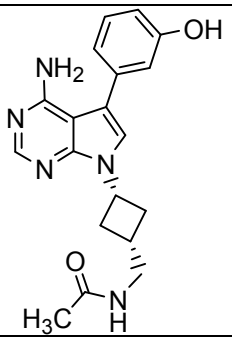
Oppføring	Struktur
321	
322	
323	
324	
325	
326	
327	

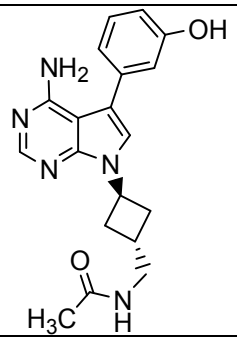
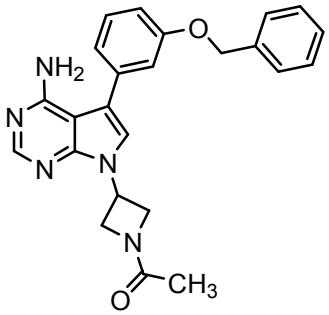
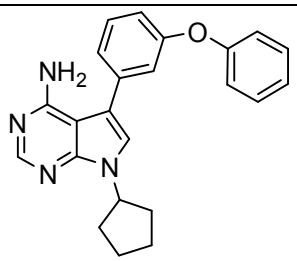
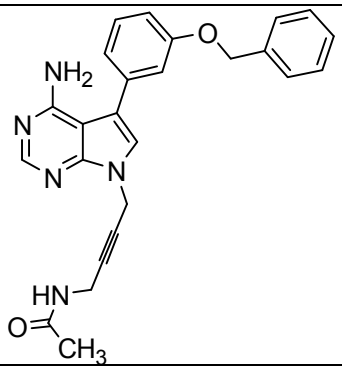
Oppføring	Struktur
328	
329	
330	
331	
332	
333	

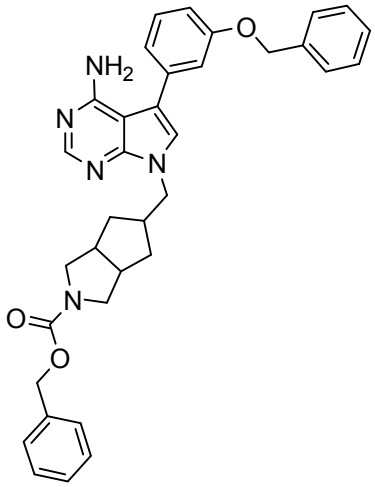
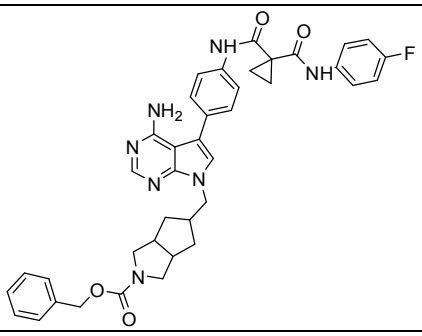
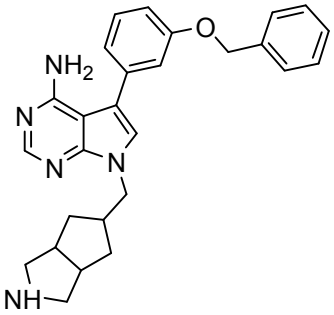
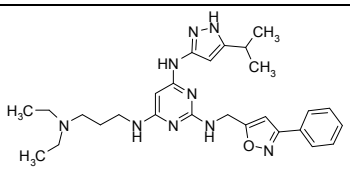
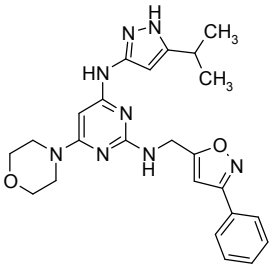
Oppføring	Struktur
334	
335	
336	
337	
338	

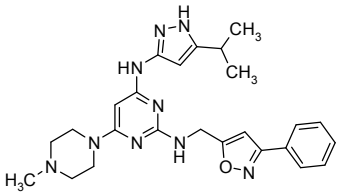
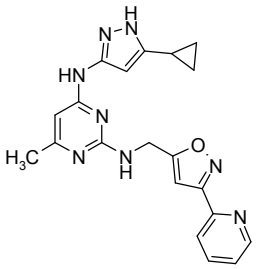
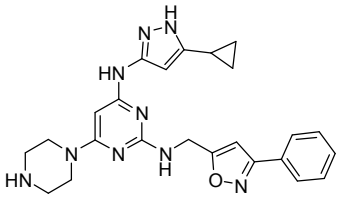
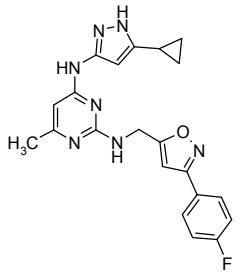
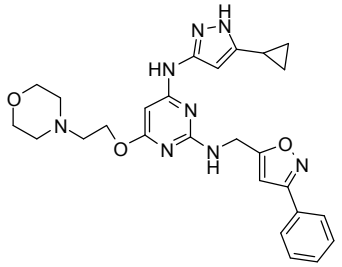
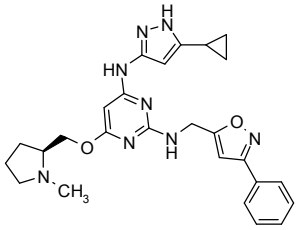
Oppføring	Struktur
339	
340	
341	
342	

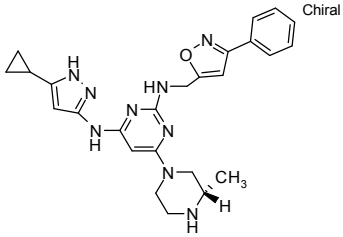
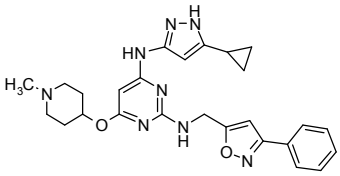
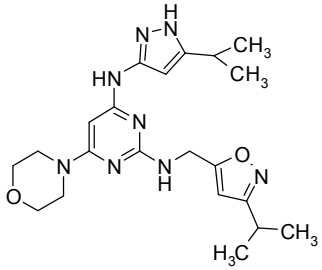
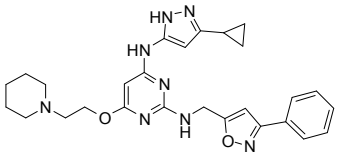
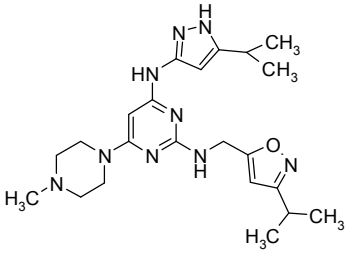
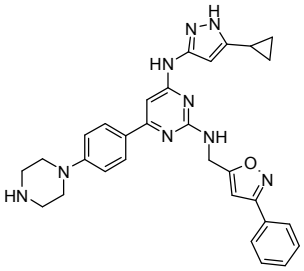
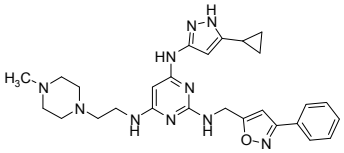
Oppføring	Struktur
343	
344	
345	
346	

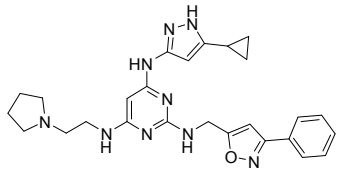
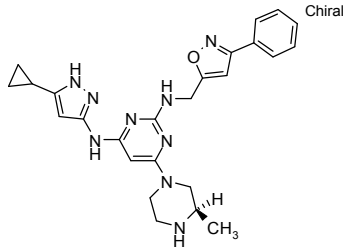
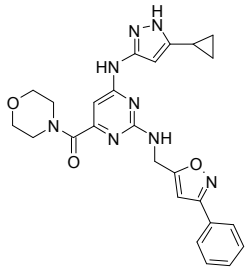
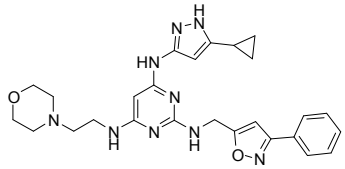
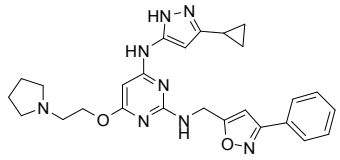
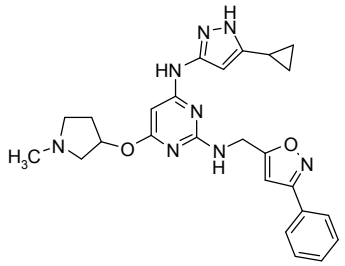
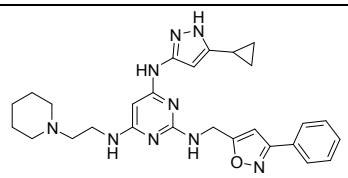
Oppføring	Struktur
347	
348	
349	
350	
351	

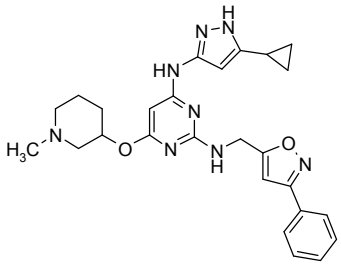
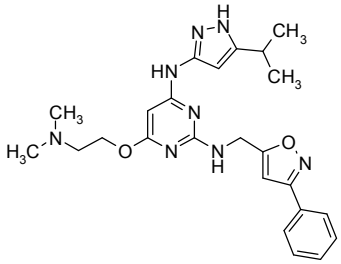
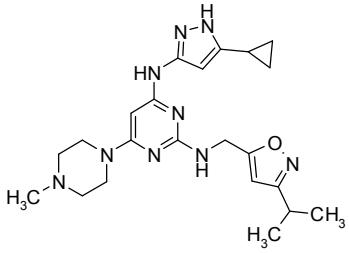
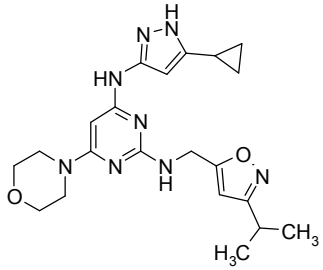
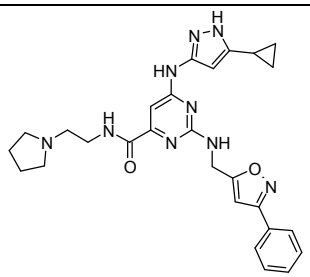
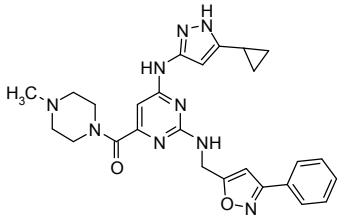
Oppføring	Struktur
352	
353	
354	
355	

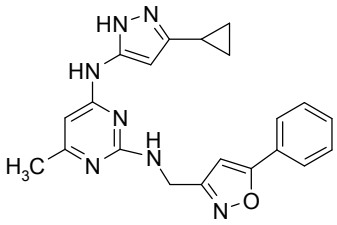
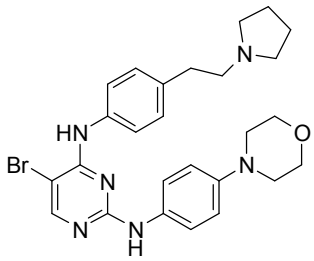
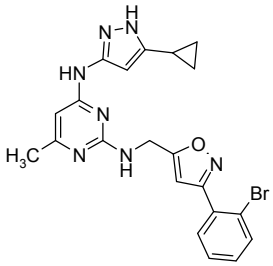
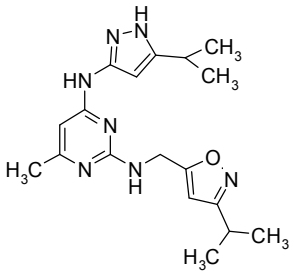
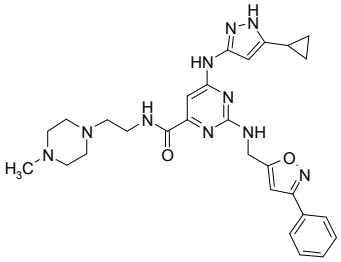
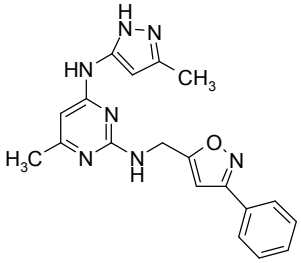
Oppføring	Struktur
356	
357	
358	
495	
496	

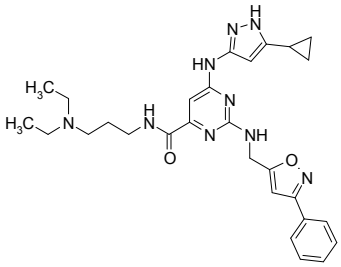
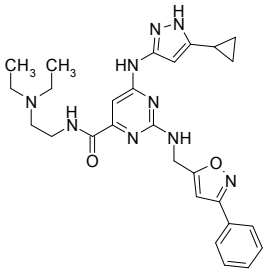
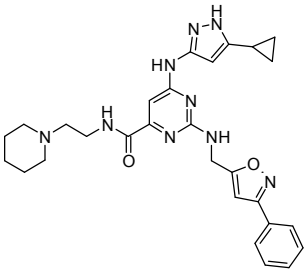
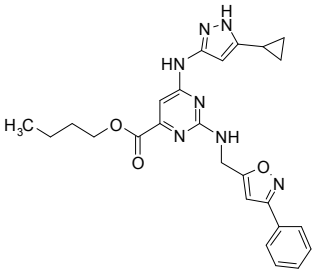
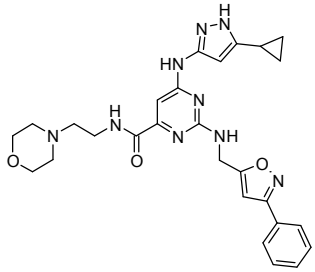
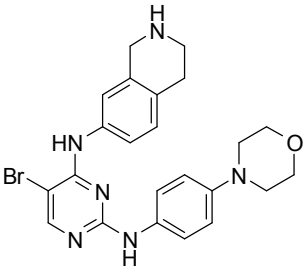
Oppføring	Struktur
497	
498	
499	
500	
501	
502	Chiral 

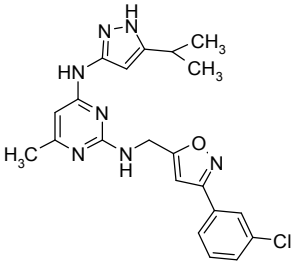
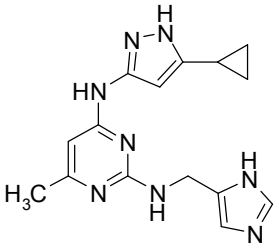
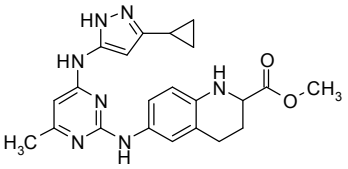
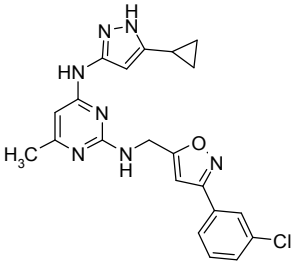
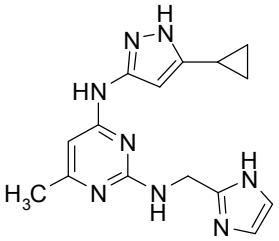
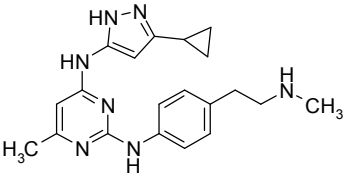
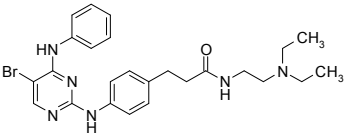
Oppføring	Struktur
503	Chiral 
504	
505	
506	
507	
508	
509	

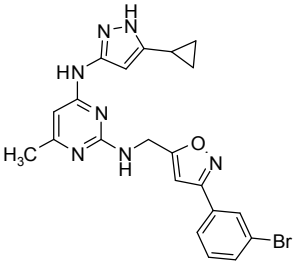
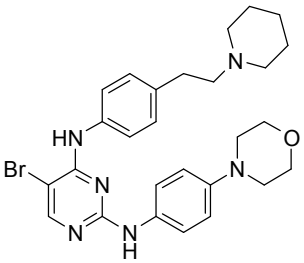
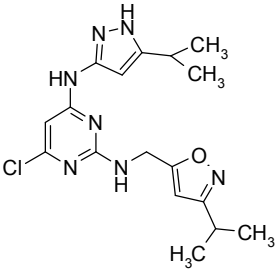
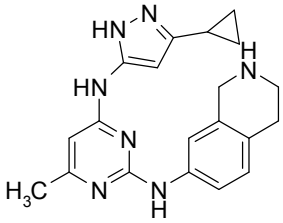
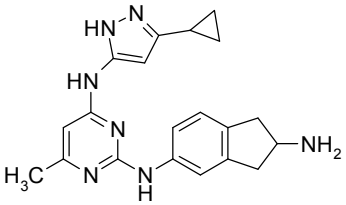
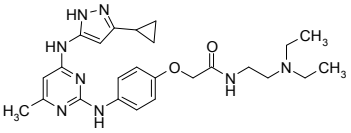
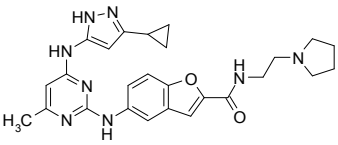
Oppføring	Struktur
510	
511	 Chiral
512	
513	
514	
515	
516	

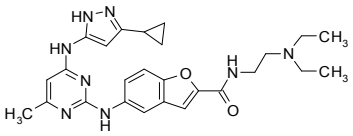
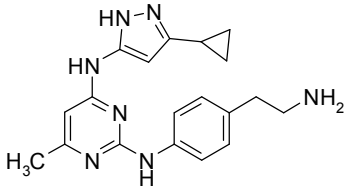
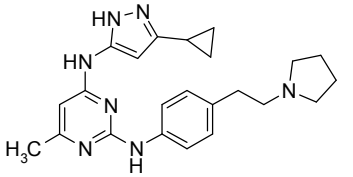
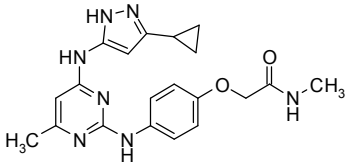
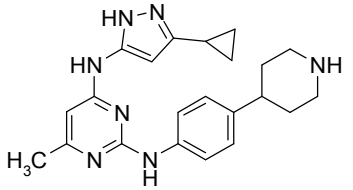
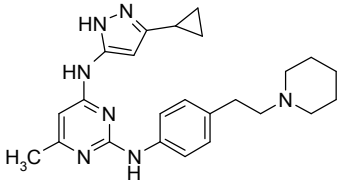
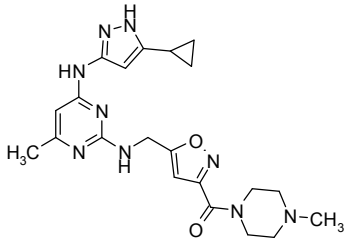
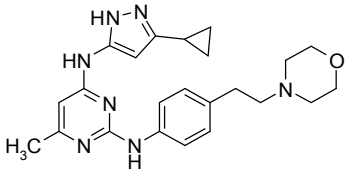
Oppføring	Struktur
517	
518	
519	
520	
521	
522	

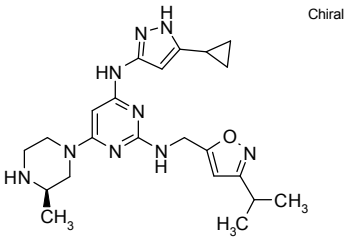
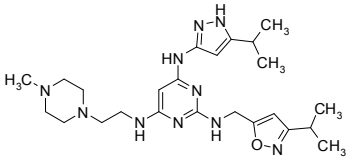
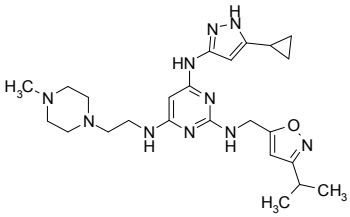
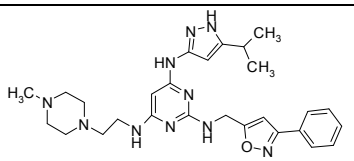
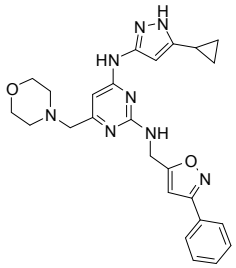
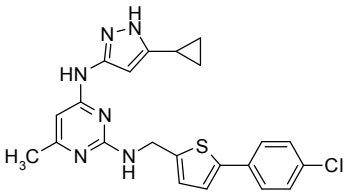
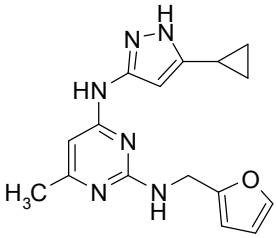
Oppføring	Struktur
523	
524	
525	
526	
527	
528	

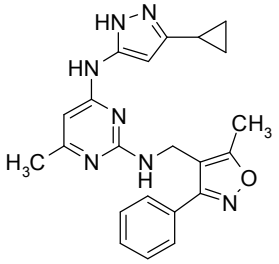
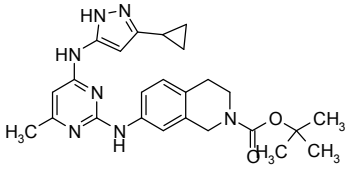
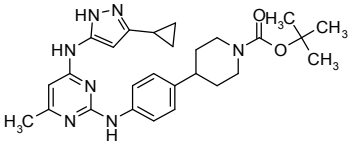
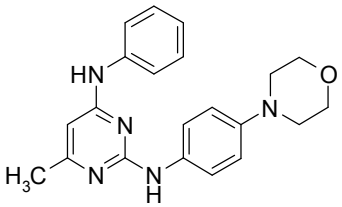
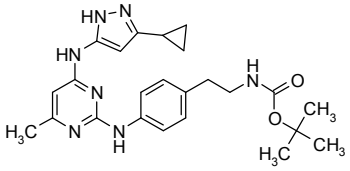
Oppføring	Struktur
529	
530	
531	
532	
533	
534	

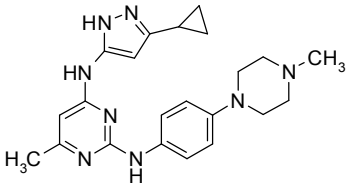
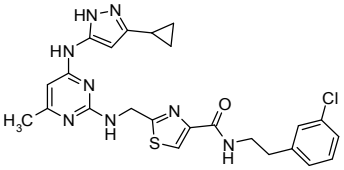
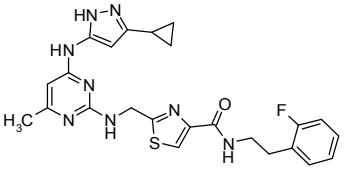
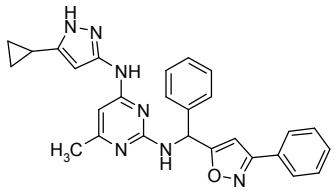
Oppføring	Struktur
535	
536	
537	
538	
539	
540	
541	

Oppføring	Struktur
542	
543	
544	
545	
546	
547	
548	

Oppføring	Struktur
549	
550	
551	
552	
553	
554	
555	
556	

Oppføring	Struktur
557	 Chiral
558	
559	
560	
561	
562	
563	

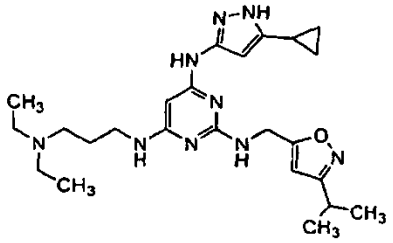
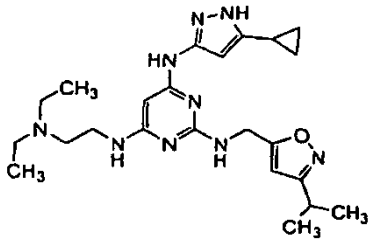
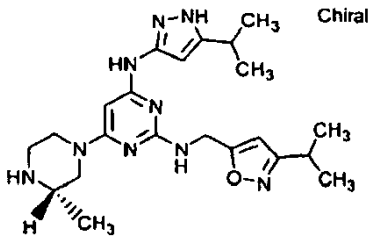
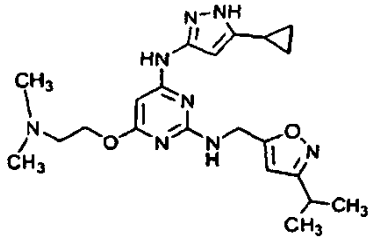
Oppføring	Struktur
564	
565	
566	
567	
568	

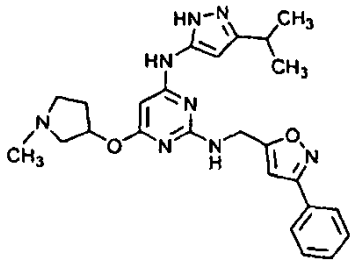
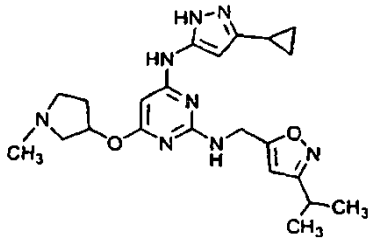
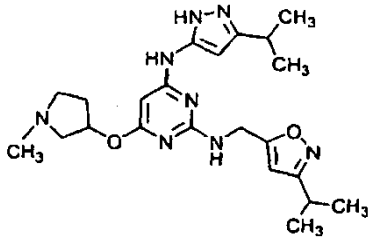
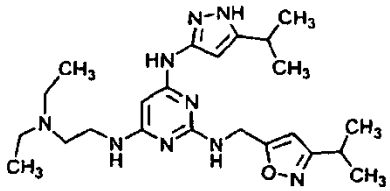
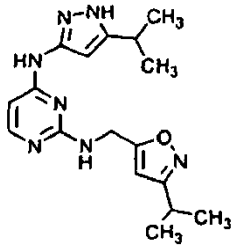
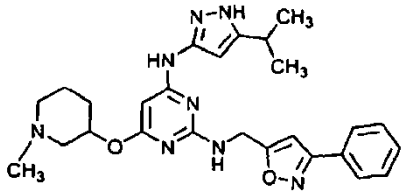
Oppføring	Struktur
569	
570	
571	
572	

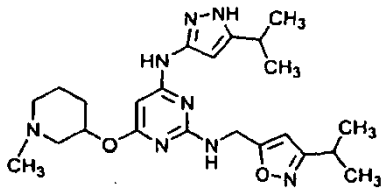
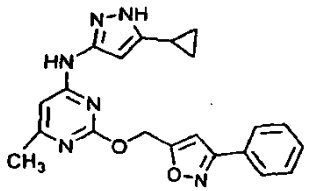
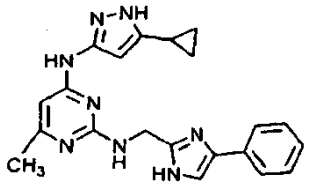
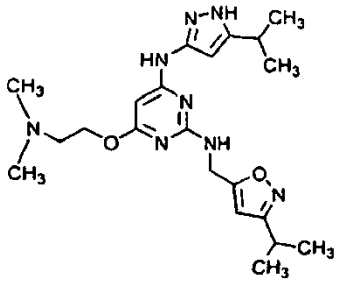
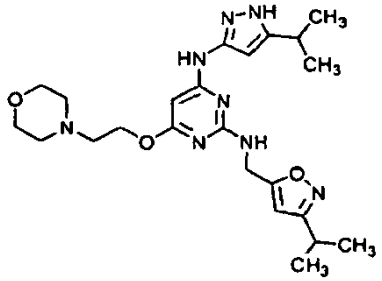
Tabell 5b.

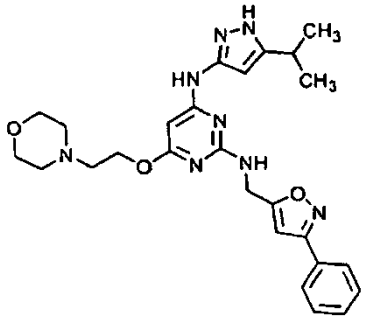
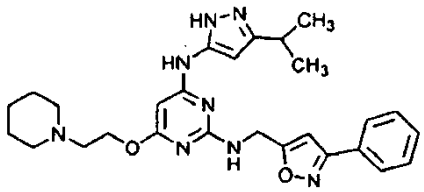
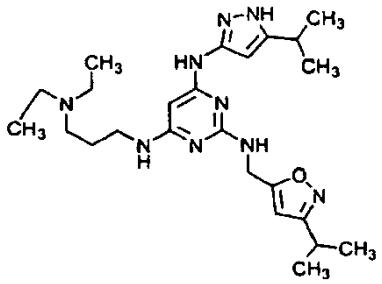
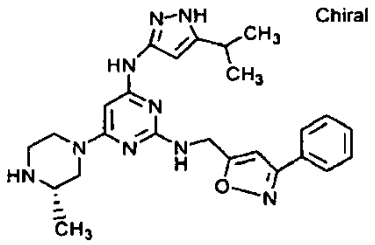
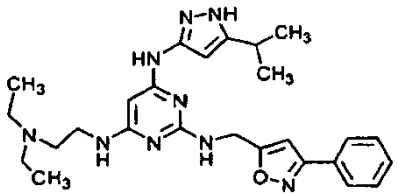
Ytterligere representative IGF1R-inhibitorer

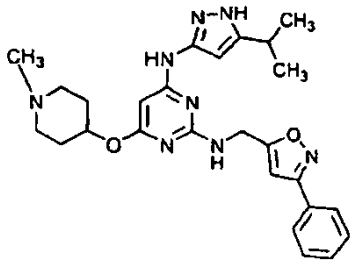
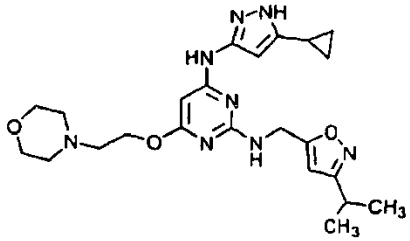
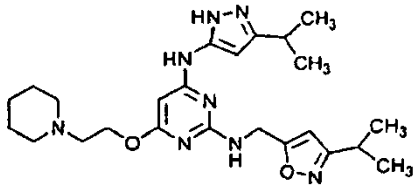
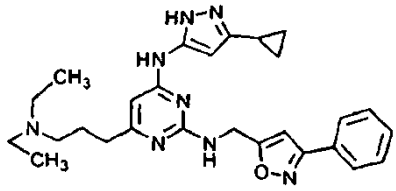
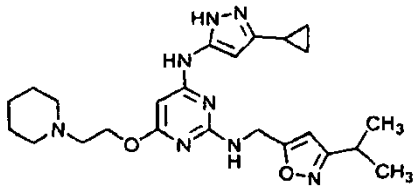
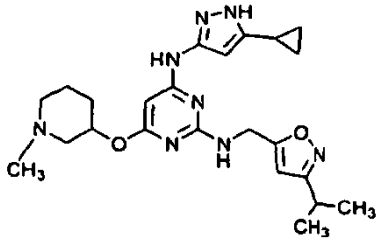
Forbindelsene i tabell 5b kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 5b kan anvendes.

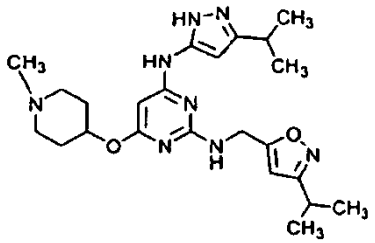
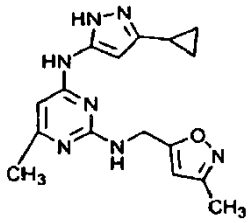
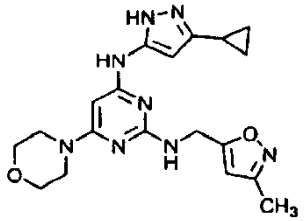
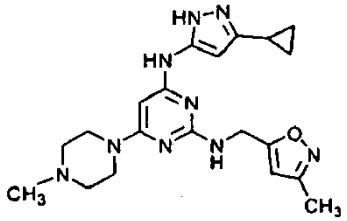
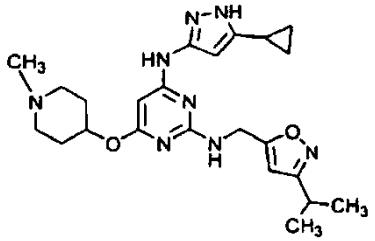
Oppføring	Struktur	Navn
573		*N*^{4}-(5-syklopropyl-1*H*-pyrazol-3-yl)-*N*^{6}-[3-(dietylamino)propyl]-*N*^{2}-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4,6-triamin
574		*N*^{4}-(5-syklopropyl-1*H*-pyrazol-3-yl)-*N*^{6}-[2-(dietylamino)etyl]-*N*^{2}-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4,6-triamin
575		*N*^{2}-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-*N*^{4}-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(3S)-3-metylpiperazin-1-yl]pyrimidin-2,4-diamin
576		*N*^{4}-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimetylamino)etyl]oksy}-*N*^{2}-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin

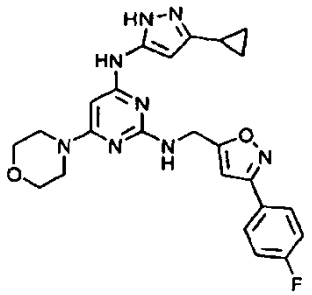
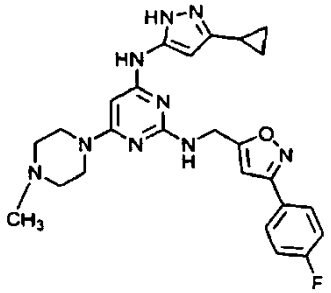
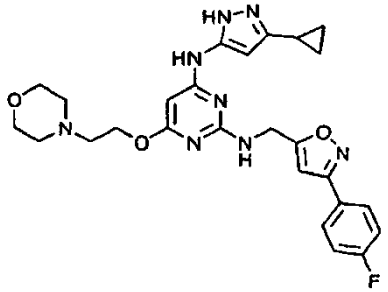
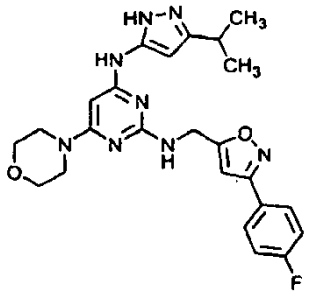
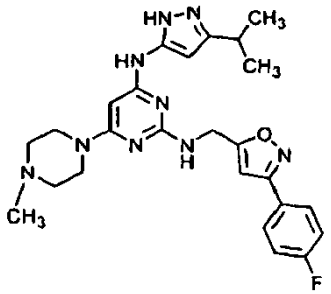
577		N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-[(1-metylpyrrolidin-3-yl)oksy]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
578		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(1-metylpyrrolidin-3-yl)oksy]pyrimidin-2,4-diamin
579		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-[(1-metylpyrrolidin-3-yl)oksyl]pyrimidin-2,4-diamin
580		N^4-[2-(dietylamino)etyl]-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^6-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]pyrimidin-2,4,6-triamin
581		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]pyrimidin-2,4-diamin
582		N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(1-metylpiperidin-3-yl)oksy]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin

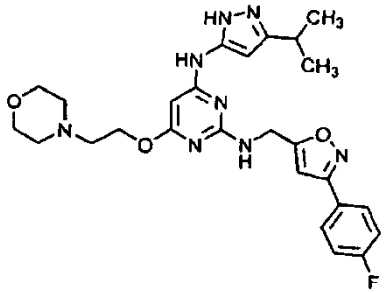
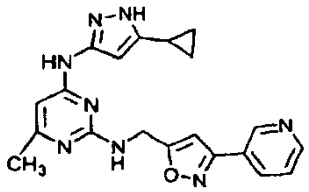
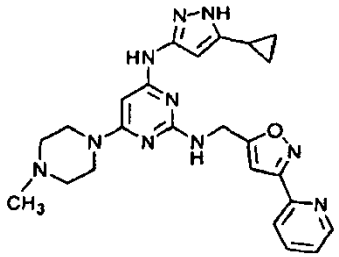
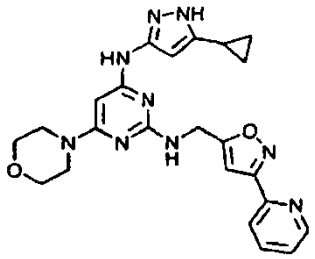
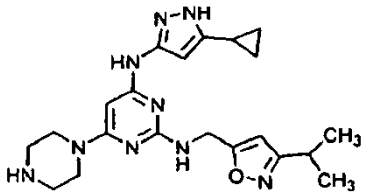
583		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(1-metylpiperidin-3-yl)oksy]pyrimidin-2,4-diamin
584		*N*-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-metyl-2-{[(3-fenylisoksazol-5-yl)metyl]oksy}pyrimidin-4-amin
585		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-metyl-N^2-[(4-fenyl-1*H*-imidazol-2-yl)metyl]pyrimidin-2,4-diamin
586		6-{[2-(dimetylamino)etyl]oksy}-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]pyrimidin-2,4-diamin
587		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(2-morfolin-4-yletyl)oksy]pyrimidin-2,4-diamin

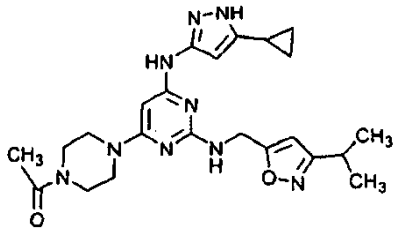
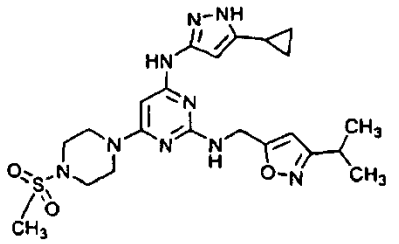
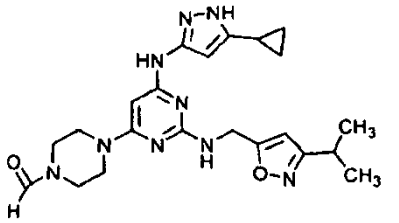
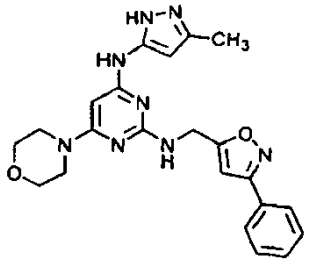
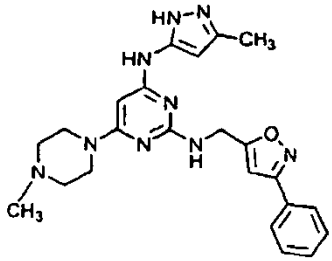
588		N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(2-morfolin-4-yletyl)oksy]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
589		N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-N^2-[(3-fenylisoksazol-5-yl)metyl]-6-[(2-piperidin-1-yletyl)oksy]pyrimidin-2,4-diamin
590		N^4-[3-(dietylamino)propyl]-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^6-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]pyrimidin-2,4,6-triamin
591		N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(3S)-3-metylpiperazin-1-yl]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
592		N^4-[2-(dietylamino)etyl]-N^6-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4,6-triamin

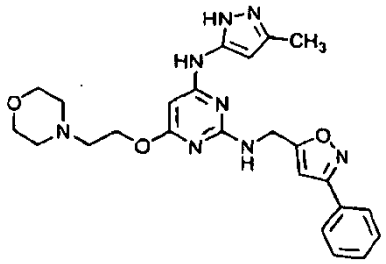
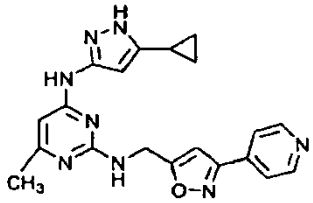
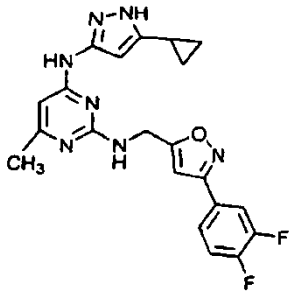
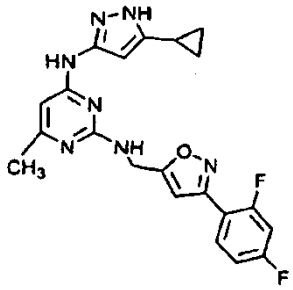
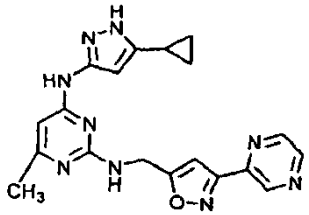
593		N^4-[5-(1-metyletyl)-1*H*-pyrazol-3-yl]-6-[(1-metylpiperidin-4-yl)oksy]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
594		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(2-morfolin-4-yletyl)oksy]pyrimidin-2,4-diamin
595		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-[(2-piperidin-1-yletyl)oksy]pyrimidin-2,4-diamin
596		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-[3-(dietylamino)propyl]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
597		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(2-piperidin-1-yletyl)oksy]pyrimidin-2,4-diamin
598		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(1-metylpiperidin-3-yl)oksy]pyrimidin-2,4-diamin

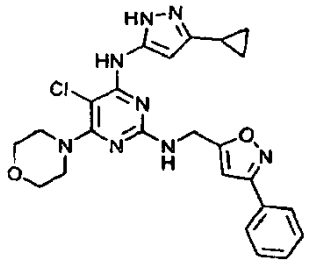
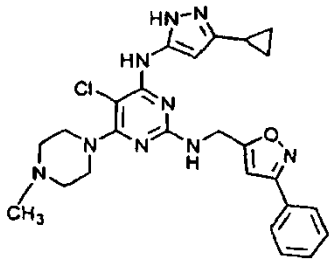
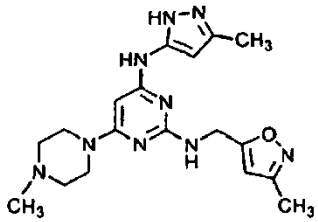
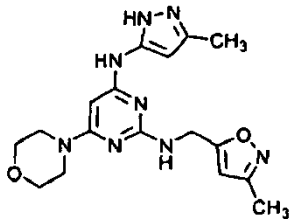
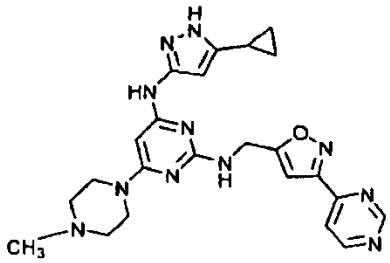
599		N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-[(1-metylpiperidin-4-yl)oksy]pyrimidin-2,4-diamin
600		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-metyl-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
601		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]-6-morfolin-4-ylpyrimidin-2,4-diamin
602		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin
603		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(1-metylpiperidin-4-yl)oksy]pyrimidin-2,4-diamin

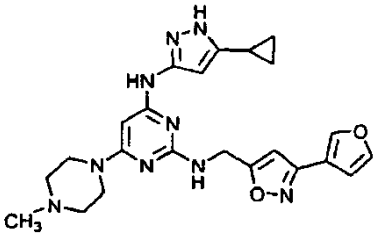
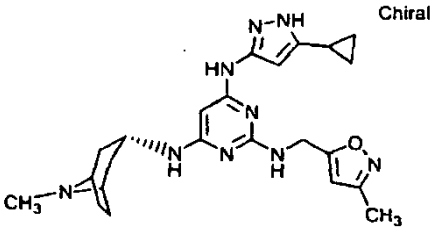
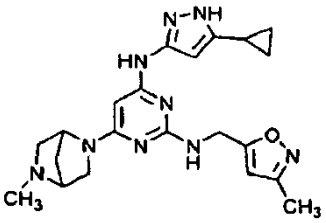
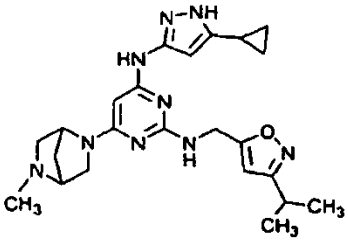
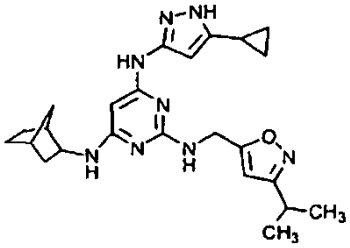
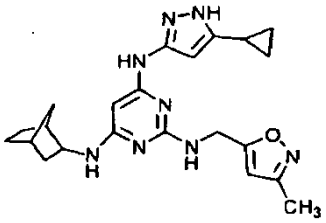
604		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-6-morfolin-4-ylpyrimidin-2,4-diamin
605		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin
606		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-6-[(2-morfolin-4-yletyl)oksy]pyrimidin-2,4-diamin
607		N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-morfolin-4-ylpyrimidin-2,4-diamin
608		N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin

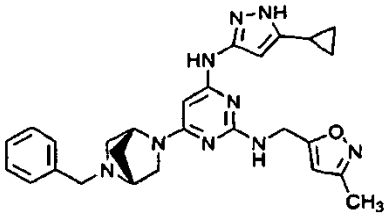
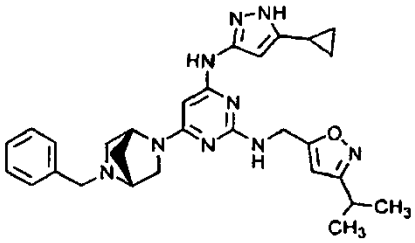
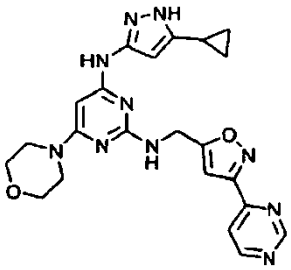
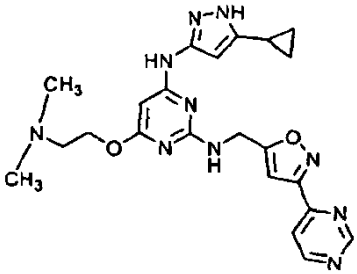
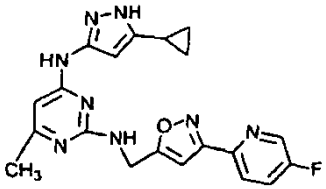
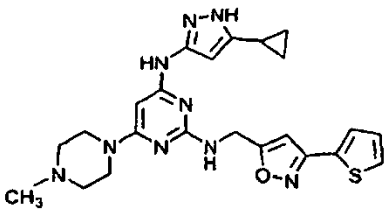
609		N^2-{[3-(4-fluorfenyl)isoksazol-5-yl]metyl}-N^4-[3-(1-metyletyl)-1*H*-pyrazol-5-yl]-6-[(2-morfolin-4-yletyl)oksy]pyrimidin-2,4-diamin
610		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-metyl-N^2-[(3-pyridin-3-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
611		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(4-metylpiperazin-1-yl)-N^2-[(3-pyridin-2-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
612		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-morfolin-4-yl-N^2-[(3-pyridin-2-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
613		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-piperazin-1-ylpyrimidin-2,4-diamin

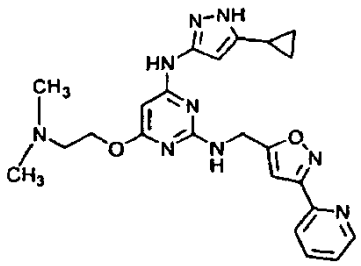
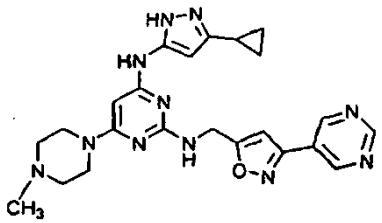
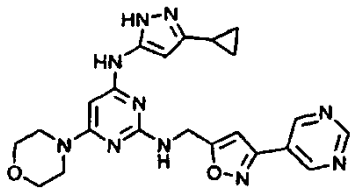
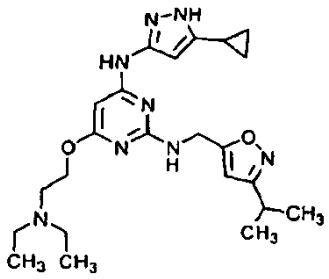
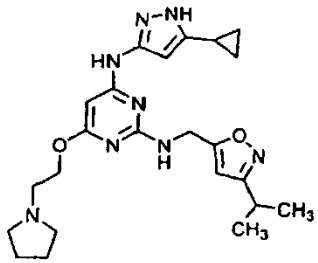
614		6-(4-acetylpiperazin-1-yl)-N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin
615		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[4-(metylsulfonyl)piperazin-1-yl]pyrimidin-2,4-diamin
616		4-{6-[(5-syklopropyl-1*H*-pyrazol-3-yl)amino]-2-({[3-(1-metyletyl)isoksazol-5-yl]metyl}amino)pyrimidin-4-yl}piperazin-1-karbaldehyd
617		N^4-(3-metyl-1*H*-pyrazol-5-yl)-6-morfolin-4-yl-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
618		6-(4-metylpiperazin-1-yl)-N^4-(3-metyl-1*H*-pyrazol-5-yl)-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin

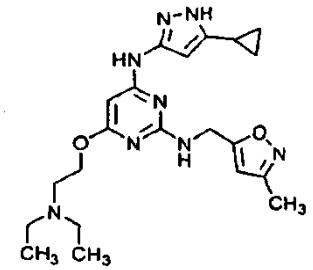
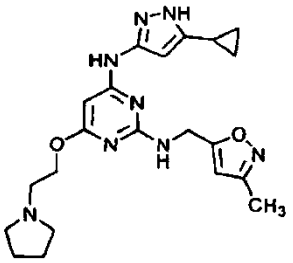
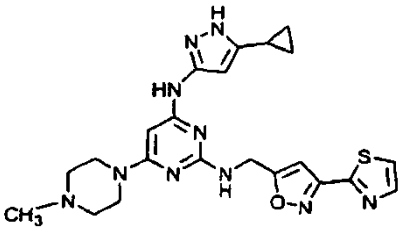
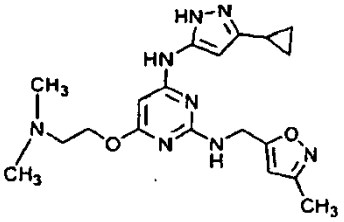
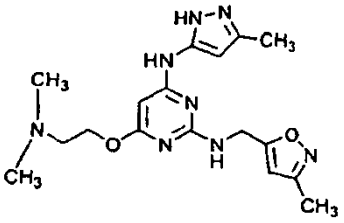
619		N^4-(3-metyl-1*H*-pyrazol-5-yl)-6-[(2-morfolin-4-yletyl)oksy]-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
620		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-metyl-N^2-[(3-pyridin-4-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
621		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(3,4-difluorfenyl)isoksazol-5-yl]metyl}-6-metylpyrimidin-2,4-diamin
622		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(2,4-difluorfenyl)isoksazol-5-yl]metyl}-6-metylpyrimidin-2,4-diamin
623		N^4-(5-syklopropyl-*1*H-pyrazol-3-yl)-6-metyl-N^2-[(3-pyrazin-2-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin

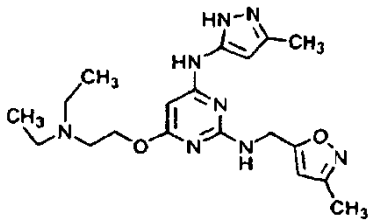
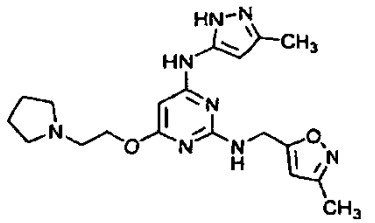
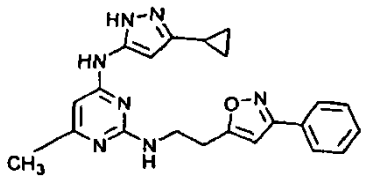
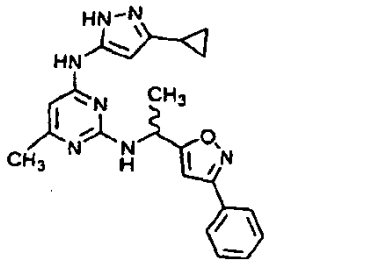
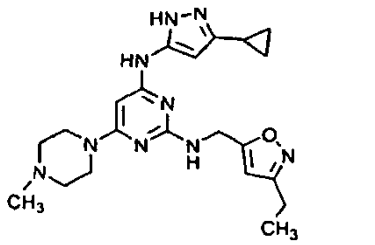
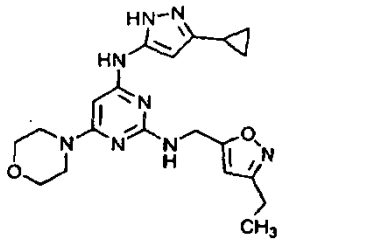
624		5-klor-N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-morfolin-4-yl-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
625		5-klor-N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-(4-metylpiperazin-1-yl)-N^2-[(3-fenylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
626		N^2-[(3-metylisoksazol-5-yl)metyl]-6-(4-metylpiperazin-1-yl)-N^4-(3-metyl-1*H*-pyrazol-5-yl)pyrimidin-2,4-diamin
627		N^2-[(3-metylisoksazol-5-yl)metyl]-N^4-(3-metyl-1*H*-pyrazol-5-yl)-6-morfolin-4-ylpyrimidin-2,4-diamin
628		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(4-metylpiperazin-1-yl)-N^2-[(3-pyrimidin-4-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin

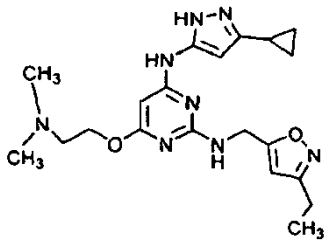
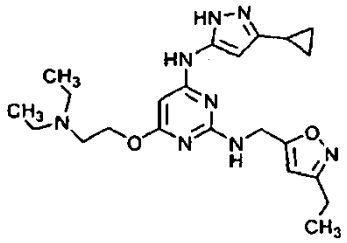
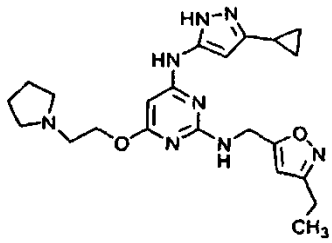
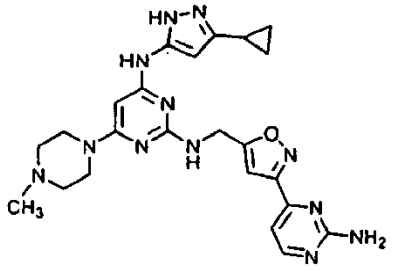
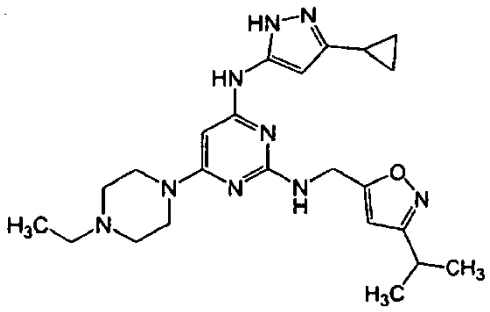
629		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-furan-3-ylisoksazol-5-yl)metyl]-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin
630	 Chiral	N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^6-(8-metyl-8-azabisyklo[3.2.1]okt-3-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4,6-triamin
631		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(5-metyl-2,5-diazabisyklo[2.2.1]hept-2-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
632		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(5-metyl-2,5-diazabisyklo[2.2.1]hept-2-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin
633		N^4-bisyklo[2.2.1]hept-2-yl-N^6-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4,6-triamin
634		N^4-bisyklo[2.2.1]hept-2-yl-N^6-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4,6-triamin

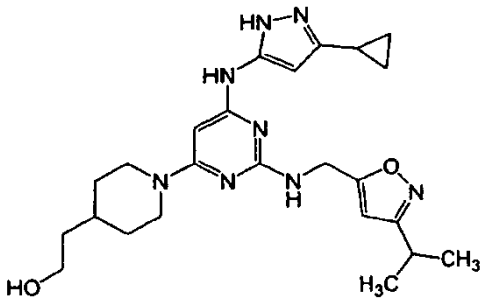
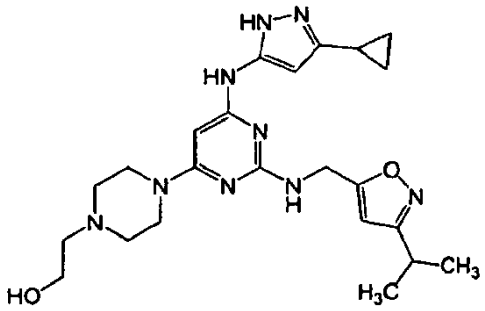
635		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]-6-[(1R,4R)-5-(fenylmetyl)-2,5-diazabisyklo[2.2.1]hept-2-yl]pyrimidin-2,4-diamin
636		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(1R,4R)-5-(fenylmetyl)-2,5-diazabisyklo[2.2.1]hept-2-yl]pyrimidin-2,4-diamin
637		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-morfolin-4-yl-N^2-[(3-pyrimidin-4-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
638		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimetylamino)etyl]oksy}-N^2-[(3-pyrimidin-4-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
639		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(5-fluorpyridin-2-yl)isoksazol-5-yl]metyl}-6-metylpyrimidin-2,4-diamin
640		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(4-metylpiperazin-1-yl)-N^2-{[3-(2-tienyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin

641		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dimetylamino)etyl]oksy}-N^2-[(3-pyridin-2-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
642		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-(4-metylpiperazin-1-yl)-N^2-[(3-pyrimidin-5-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
643		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-morfolin-4-yl-N^2-[(3-pyrimidin-5-ylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
644		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dietylamino)etyl]oksy}-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin
645		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}-6-[(2-pyrrolidin-1-yletyl)oksy]pyrimidin-2,4-diamin

646		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-{[2-(dietylamino)etyl]oksy}-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
647		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-N^2-[(3-metylisoksazol-5-yl)metyl]-6-[(2-pyrrolidin-1-yletyl)oksy]pyrimidin-2,4-diamin
648		N^4-(5-syklopropyl-1*H*-pyrazol-3-yl)-6-(4-metylpiperazin-1-yl)-N^2-{[3-(1,3-tiazol-2-yl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin
649		N^4-(3-syklopropyl-1H-pyrazol-5-yl)-6-[2-(dimetylamino)etoksy]-N^2-[(3-metylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
650		6-{[2-(dimetylamino)etyl]oksy}-N^2-[(3-metylisoksazol-5-yl)metyl]-N^4-(3-metyl-1*H*-pyrazol-5-yl)pyrimidin-2,4-diamin

651		6-{[2-(dietylamino)etyl]oksy}-N^2-[(3-metylisoksazol-5-yl)metyl]-N^4-(3-metyl-1*H*-pyrazol-5-yl)pyrimidin-2,4-diamin
652		N^2-[(3-metylisoksazol-5-yl)metyl]-N^4-(3-metyl-1*H*-pyrazol-5-yl)-6-[(2-pyrrolidin-1-yletyl)oksy]pyrimidin-2,4-diamin
653		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-metyl-N -[2-(3-fenylisoksazol-5-yl)etyl]pyrimidin-2,4-diamin
654		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-metyl-N^2-[1-(3-fenylisoksazol-5-yl)etyl]pyrimidin-2,4-diamin
655		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-etylisoksazol-5-yl)metyl]-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin
656		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-etylisoksazol-5-yl)metyl]-6-morfolin-4-ylpyrimidin-2,4-diamin

657		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-{[2-(dimetylamino)etyl]oksy}-N^2-[(3-etylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
658		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-{[2-(dietylamino)etyl]oksy}-N^2-[(3-etylisoksazol-5-yl)metyl]pyrimidin-2,4-diamin
659		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-N^2-[(3-etylisoksazol-5-yl)metyl]-6-[(2-pyrrolidin-1-yletyl)oksy]pyrimidin-2,4-diamin
660		N^2-{[3-(2-aminopyrimidin-4-yl)isoksazol-5-yl]metyl}-N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-(4-metylpiperazin-1-yl)pyrimidin-2,4-diamin
661		N^4-(3-syklopropyl-1*H*-pyrazol-5-yl)-6-(4-etylpiperazin-1-yl)-N^2-{[3-(1-metyletyl)isoksazol-5-yl]metyl}pyrimidin-2,4-diamin

662		2-(1-{6-[(3-syklopropyl-1*H*-pyrazol-5-yl)amino]-2-({[3-(1-metyletyl)isoksazol-5-yl]metyl}amino)pyrimidin-4-yl}piperidin-4-yl)etanol
663		2-(4-{6-[(3-syklopropyl-1*H*-pyrazol-5-yl)amino]-2-({[3-(1-metyletyl)isoksazol-5-yl]metyl}amino)pyrimidin-4-yl}piperazin-1-yl)etanol

Tabell 6.

Representative Raf-inhibitorer

Forbindelsene i tabell 6 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 6 kan anvendes.

Oppføring	Navn
1	6-(2-butyl-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
2	6-[1-hydroksy-3-okso-2-(2-fenyletyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
3	6-(1-hydroksy-2-{[4-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
4	6-(1-hydroksy-2-{[3-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
5	6-{2-[(4-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on

Oppføring	Navn
6	6-(1-hydroksy-3-okso-2-fenyl-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
7	6-{2-[(3-bromfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
8	6-{2-[(4-bromfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
9	6-[1-hydroksy-3-okso-2-(3-fenylpropyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2H-1,4-benzoksazin-3(4*H*)-on
10	6-{2-[(3,4-diklorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
11	6-{1-hydroksy-2-[(4-metylfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
12	6-{2-[(4-klorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
13	6-[1-hydroksy-2-(1-metyletyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
14	metyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
15	6-{2-[(3,4-dimetylfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
16	6-(2-{[4-klor-3-(trifluormetyl)fenyl]metyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
17	6-(2-{[4-(dimetylamino)fenyl]metyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
18	6-[2-(3-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
19	6-[2-(4-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
20	6-[2-(3,4-diklorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on

Oppføring	Navn
21	6-[1-hydroksy-2-(4-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
22	3-(2-{[3,5-bis(metyloksy)fenyl]amino}-1*H*-benzimidazol-5-yl)-3-(metyloksy)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
23	3-(2-{[3,5-bis(metyloksy)fenyl]amino}-1*H*-benzimidazol-5-yl)-2-(1-metyletyl)-3-(metyloksy)-2,3-dihydro-1*H*-isoindol-1-on
24	3-(2-{[3,5-bis(metyloksy)fenyl]amino}-1*H*-benzimidazol-5-yl)-3-hydroksy-2-fenyl-2,3-dihydro-1*H*-isoindol-1-on
25	3-(2-{[3,5-bis(metyloksy)fenyl]amino}-1*H*-benzimidazol-5-yl)-3-hydroksy-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
26	metyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1-metyl-1*H*-benzimidazol-2-yl}karbamat
27	3-(1*H*-benzimidazol-5-yl)-3-hydroksy-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
28	5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-*N*-metyl-1*H*-benzimidazol-2-karboksamid
29	3-hydroksy-3-(2-metyl-1*H*-benzimidazol-5-yl)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
30	7-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-3,4-dihydrokinoksalin-2(1*H*)-on
31	7-[2-(3-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-3,4-dihydrokinoksalin-2(1*H*)-on
32	1,1-dimetyletyl 4-{[1-hydroksy-3-okso-1-(3-okso-3,4-dihydro-2*H*-1,4-benzoksazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]metyl}piperidin-1-karboksylat
33	6-(1-hydroksy-2-{[2-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
34	6-{2-[(3-klorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
35	6-{2-[(2-klorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
36	6-{2-[(3-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on

Oppføring	Navn
37	6-{2-[(2-bromfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
38	6-{2-[(2-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
39	6-[2-(3-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
40	6-[1-hydroksy-2-(3-jodfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
41	6-[2-(3-bromfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
42	6-[1-hydroksy-2-(3-nitrofenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
43	6-{1-hydroksy-2-[3-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
44	6-[1-hydroksy-2-(3-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
45	3-hydroksy-3-(1*H*-indol-5-yl)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
46	metyl [6-(1-hydroksy-3-okso-2-fenyl-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
47	6-[2-(2-aminofenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
48	6-{[2-(3-fenyl-1,2,4-oksadiazol-5-yl)fenyl]karbonyl}-2*H*-1,4-benzoksazin-3(4*H*)-on
49	6-{[2-(1*H*-benzimidazol-2-yl)fenyl]karbonyl}-2*H*-1,4-benzoksazin-3(4*H*)-on
50	6-(1-hydroksy-3-okso-2-{[2-(trifluormetyl)fenyl]metyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
51	6-{2-[(5-brom-2-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
52	6-{1-hydroksy-2-[(3-nitrofenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
53	6-(1-hydroksy-3-okso-2-{[3-(trifluormetyl)fenyl]metyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on

Oppføring	Navn
54	6-(2-{[2,3-bis(metyloksy)fenyl]metyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
55	6-{1-hydroksy-2-[(3-jodfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2H-1,4-benzoksazin-3(4*H*)-on
56	6-[1-hydroksy-3-okso-2-({3-[(trifluormetyl)oksy]fenyl}metyl)-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4H)-on
57	6-(1-hydroksy-2-{[2-(metyltio)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
58	6-[2-(3,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
59	6-{1-hydroksy-2-[3-(1-metyletyl)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
60	6-(1-hydroksy-3-okso-2-{3-[(trifluormetyl)oksy]fenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
61	6-{1-hydroksy-3-okso-2-[3-(trifluormetyl)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
62	3-[1-hydroksy-3-okso-1-(3-okso-3,4-dihydro-2*H*-1,4-benzoksazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzensulfonamid
63	6-{2-[5-klor-2-(metyloksy)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
64	6-{2-[4-fluor-3-(trifluormetyl)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
65	3-hydroksy-3-(1*H*-indol-6-yl)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
66	6-[2-(3-fluor-5-jodfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
67	6-[2-(3-aminofenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
68	6-[2-(3,5-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
69	6-{1-hydroksy-2-[3-(metylsulfonyl)fenyl]-3-okso-2,3-dihydro-1*H* isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on

Oppføring	Navn
70	etyl 3-[1-hydroksy-3-okso-1-(3-okso-3,4-dihydro-2*H*-1,4-benzoksazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzoat
71	3-[1-hydroksy-3-okso-1-(3-okso-3,4-dihydro-2*H*-1,4-benzoksazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzonitril
72	6-[2-(2-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
73	6-[2-(3-amino-5-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
74	6-[2-(5-klor-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
75	6-[2-(3-klor-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
76	6-[2-(3-etylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
77	6-[2-(3-etynylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
78	6-[1-hydroksy-2-(3-hydroksyfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
79	6-{1-hydroksy-3-okso-2-[3-(fenyloksy)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
80	6-(1-hydroksy-3-okso-2-{3-[(fenylmetyl)oksy]fenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
81	3-[1-hydroksy-3-okso-1-(3-okso-3,4-dihydro-2H-1,4-benzoksazin-6-yl)-1,3-dihydro-2*H*-isoindol-2-yl]benzamid
82	6-{1-hydroksy-2-[3-(hydroksymetyl)fenyl]-3-okso-2,3-dihydro-1*H* isoindol-1-yl}-2*H*-1,4-benzoksazin-3(4*H*)-on
83	6-[2-(2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
84	3-hydroksy-3-[2-(metylamino)-1*H*-benzimidazol-5-yl]-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on

Oppføring	Navn
85	6-(2-bifenyl-3-yl-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
86	6-(2-{3-[(dimetylamino)metyl]fenyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
87	6-[2-(3,5-diklorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
88	6-(1-hydroksy-3-okso-2-piperidin-4-yl-2,3-dihydro-1*H*-isoindol-1-yl)-2*H*-1,4-benzoksazin-3(4*H*)-on
89	6-[2-(3-{[2-(dimetylamino)etyl]oksy}fenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
90	6-[1-hydroksy-2-(2-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-2*H*-1,4-benzoksazin-3(4*H*)-on
91	*N*-metyl-2-[(3-okso-3,4-dihydro-2*H*-1,4-benzoksazin-6-yl)karbonyl]-*N*-fenylbenzamid
92	metyl {5-[1-(etyloksy)-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
93	fenylmetyl 2-[(2-{[(metyloksy)karbonyl]amino}-1*H*-benzimidazol-5-yl)karbonyl]benzoat
94	3-hydroksy-3-(1*H*-indazol-5-yl)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
95	3-hydroksy-3-(1*H*-indazol-6-yl)-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
96	etyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
97	2-metylpropyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
98	metyl {5-[1-hydroksy-3-okso-2-(2-tienylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
99	metyl {5-[1-hydroksy-3-okso-2-(2-fenyletyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
100	3-[2-amino-1-(1,1-dimetyletyl)-1*H*-benzimidazol-5-yl]-3-hydroksy-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on

Oppføring	Navn
101	3-(2-amino-1*H*-benzimidazol-5-yl)-3-hydroksy-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-on
102	metyl [5-(1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
103	3-(metyloksy)butyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
104	metyl (5-{1-hydroksy-3-okso-2-[(1*R*)-1-fenyletyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
105	metyl (5-{1-hydroksy-3-okso-2-[(1*S*)-1-fenyletyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
106	2-(metyloksy)etyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
107	metyl {6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1-metyl-1*H*-benzimidazol-2-yl}karbamat
108	prop-2-yn-1-yl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
109	but-2-yn-1-yl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
110	1-metyletyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
111	metyl {5-[2-(2,3-dihydro-1*H*-inden-2-yl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
112	metyl {5-[1-hydroksy-3-okso-2-(pyridin-4-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
113	metyl {5-[1-hydroksy-3-okso-2-(pyridin-3-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
114	metyl (6-{2-[(3-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
115	metyl {5-[1-hydroksy-2-(3-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
116	metyl [5-(1-hydroksy-2-{[2-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
117	metyl [5-(1-hydroksy-2-{[3-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
118	metyl [5-(1-hydroksy-2-{[4-(metyloksy)fenyl]metyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
119	metyl (6-{2-[(4-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
120	metyl (6-{2-[(3-bromfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
121	metyl (5-{1-hydroksy-2-[(3-jodfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
122	metyl (5-{2-[(3-klorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
123	metyl (5-{2-[(2-fluorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
124	metyl {5-[1-hydroksy-3-okso-2-(pyridin-2-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
125	fenylmetyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
126	2-fluoretyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
127	propyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
128	metyl (5-{1-hydroksy-2-[4-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
129	metyl (5-{2-[(2-klorfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
130	metyl (5-{2-[(2-bromfenyl)metyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat

Oppføring	Navn
131	metyl (5-{1-hydroksy-2-[(3-metylfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
132	metyl (5-{1-hydroksy-2-[(4-metylfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
133	metyl (5-{1-hydroksy-2-[(2-metylfenyl)metyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
134	metyl {5-[2-(3-bromfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
135	metyl {5-[2-(3-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
136	metyl {5-[2-(3-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
137	metyl (5-{1-hydroksy-2-[3-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
138	metyl {5-[2-(4-bromfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
139	metyl {5-[2-(4-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
140	metyl {5-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
141	metyl {5-[2-(3,5-dimetylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
142	metyl {5-[2-(2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
143	metyl {5-[2-(2-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
144	metyl {5-[1-hydroksy-2-(2-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
145	metyl (5-{1-hydroksy-2-[2-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat

Oppføring	Navn
146	metyl {5-[1-hydroksy-2-(4-metylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1 *H*-benzimidazol-2-yl}karbamat
147	metyl (5-{1-hydroksy-3-okso-2-[3-(trifluormetyl)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H* benzimidazol-2-yl)karbamat
148	but-2-yn-1-yl (5-{1-hydroksy-3-okso-2-[(1*R*)-1-fenyletyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
149	*N*-etyl-*N'*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}urea
150	fenylmetyl (5-{1-hydroksy-3-okso-2-[(1*R*)-1-fenyletyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
151	metyl {6-[2-(3-amino-5-klorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
152	piperidin-4-ylmetyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
153	metyl {5-[2-(syklopropylmetyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
154	metyl {5-[2-(2,2-dimetylpropyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
155	metyl {5-[2-(3,5-diklorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
156	metyl {5-[2-(3,5-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
157	*N*-etyl-*N'*-(5-{1-hydroksy-3-okso-2-[(1*R*)-1-fenyletyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)urea
158	*N'*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N,N*-dimetylurea
159	metyl {5-[2-(3-{[2-(dimetylamino)etyl]oksy}fenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
160	3-(4-metylpiperazin-1-yl)propyl{6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
161	metyl {5-[2-(sykloheksylmetyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
162	metyl {5-[1-hydroksy-1-(2-metylpropyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
163	metyl {5-[1-hydroksy-3-okso-2-(1,3-tiazol-2-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
164	metyl {5-[2-(3,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
165	metyl (5-{2-[1-(3,5-difluorfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
166	metyl (5-{2-[1-(3-fluorfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
167	metyl [5-(2-sykloheksyl-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
168	metyl {5-[2-(2,5-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
169	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N′*-(fenylmetyl)urea
170	piperidin-4-yl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
171	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N′*-metylurea
172	metyl (5- {2-[-1-(2-fluorfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
173	metyl (5- {1-hydroksy-3-okso-2-[1-(2-tienyl)etyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
174	metyl (5-{2-[1-(3-klorfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
175	metyl (5-{1-hydroksy-2-[3-metyl-5-(trifluormetyl)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat

Oppføring	Navn
176	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}propanamid
177	metyl {5-[2-(3,4-diklorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
178	metyl {5-[2-(3-etylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
179	metyl {5-[2-(3-etynylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-I *H*-benzimidazol-2-yl}karbamat
180	metyl {5-[2-(4-klor-3-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
181	metyl [5-(1-hydroksy-3-okso-2-{1-[3-(trifluormetyl)fenyl]etyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
182	metyl (5-{1-hydroksy-3-okso-2-[(1*R*)-1-fenylpropyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
183	metyl [5-(1-hydroksy-3-okso-2-{2-[(trifluormetyl)oksy]fenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
184	metyl{5-[2-(2,3-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
185	sykloheksyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
186	tetrahydrofuran-2-ylmetyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
187	syklopropylmetyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
188	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}morfolin-4-karboksamid
189	metyl {5-[2-(syklopentylmetyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
190	metyl{5-[2-(2,3-dimetylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
191	metyl {5-[2-(2,3-dihydro-1*H*-inden-1-yl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
192	metyl (2*S*)-sykloheksyl[1-hydroksy-1-(2-{[(metyloksy)karbonyl]amino}-1*H*-benzimidazol-5-yl)-3-okso-1,3-dihydro-2*H*-isoindol-2-yl]etanoat
193	metyl {5-[2-(2,6-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
194	metyl {5-[2-(3-klor-4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
195	but-3-en-1-yl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
196	2,2,2-trifluoretyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
197	metyl {5-[2-(5-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
198	metyl {5-{2-[1-(5-klor-2-metylfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
199	metyl (5-{1-hydroksy-3-okso-2-[(1*S*)-1-fenylpropyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
200	metyl (5-{2-[1-(3-klor-2-metylfenyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
201	metyl (5-{1-hydroksy-2-[1-(5-metyl-2-tienyl)etyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
202	metyl (S-{2-[1-(5-klor-2-tienyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
203	metyl {5-[1-hydroksy-2-(3-jodfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
204	metyl (5-{1-hydroksy-2-[3-(1-metyletyl)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
205	metyl{5-[2-(furan-2-ylmetyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
206	metyl {5-[1-hydroksy-3-okso-2-(3-tienylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
207	metyl {5-[2-(syklobutylmetyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
208	3,3,3-trifluor-2-hydroksy-*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-(trifluormetyl)propanamid
209	metyl (5-{1-hydroksy-2-[1-(4-metyl-2-tienyl)etyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
210	metyl (5-{2-[1-(4-brom-2-tienyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
211	metyl {5-[1-hydroksy-2-(3-{[2-(metyloksy)etyl]oksy}fenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
212	tetrahydrofuran-3-ylmetyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
213	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}piperidin-1-karboksamid
214	metyl {5-[2-(3-brom-4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
215	2,3-dihydroksypropyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
216	metyl {5-[1-hydroksy-3-okso-2-(tetrahydrofuran-2-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
217	metyl (5-{2-[3-(aminokarbonyl)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
218	4,4,4-trifluor-3-hydroksy-*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-3-(trifluormetyl)butanamid
219	metyl (5-{1-hydroksy-2-[3-(metylsulfonyl)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
220	metyl (5-{1-hydroksy-3-okso-2-[3-(fenyloksy)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat

Oppføring	Navn
221	metyl [5-(1-hydroksy-3-okso-2-{3-[(fenylmetyl)oksy]fenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
222	metyl [5-(2-bifenyl-3-yl-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
223	2,2-dimetyl-3-[(fenylmetyl)oksy]propyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
224	metyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
225	metyl {5-[2-(3-cyanofenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
226	metyl {5-[2-(3-etynyl-4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
227	metyl {5-[2-(4-fluor-3-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
228	metyl {6-[2-(3,4-diklor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
229	[(4*S*)-2,2-dimetyl-1,3-dioksolan-4-yl]metyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
230	metyl {5-[2-(5-brom-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
231	metyl (5-{2-[3-(acetylamino)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
232	metyl (5-{1-hydroksy-3-okso-2-[3-(fenylmetyl)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
233	metyl (5-{2-[1-(4-klor-2-tienyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
234	metyl (5-{1-hydroksy-3-okso-2-[3-(fenylkarbonyl)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
235	metyl [5-(2-{3-[(dimetylamino)metyl]fenyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat

Oppføring	Navn
236	metyl (5-{2-[3-(aminosulfonyl)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
237	metyl {5-[2-(3-acetylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
238	metyl {5-[2-(3-etyl-4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
239	metyl {5-[2-(3-klor-5-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
240	*N*-{6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-metylpropanamid
241	metyl (5-{2-[1-(3-klor-2-tienyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
242	metyl [5-(1-hydroksy-3-okso-2-pyridin-3-yl-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
243	metyl (5-{1-hydroksy-3-okso-2-[3-(fenylamino)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
244	metyl {5-[2-(5-brom-2,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
245	metyl {5-[2-(5-klor-2,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
246	metyl {5-[2-(3,5-diklor-4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
247	2,2-dimetyl-3-(metyloksy)propyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
248	3-hydroksy-2,2-dimetylpropyl{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
249	metyl (5-{2-[1-(5-brom-2-tienyl)etyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
250	metyl {5-[2-(4,5-diklor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
251	metyl {5-[2-(3-brom-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
252	metyl {5-[2-(3-klor-2,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
253	*N*-{6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}pent-4-ynamid
254	metyl (6-{1-metyl-3-okso-2-[3-(trifluormetyl)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
255	metyl [5-(1-hydroksy-3-okso-2-{3-[(1,1,2,2-tetrafluoretyl)oksy]fenyl}-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
256	metyl {5-[1-hydroksy-3-okso-2-(3-piperidin-4-ylfenyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
257	metyl {5-[2-(3-etenylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
258	metyl (5-{2-[3-(dimetylamino)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
259	2,2-difluor-*N*-{6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}syklopropankarboksamid
260	*N*-etyl-*N'*-{6-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}urea
261	metyl {5-[2-(3-aminofenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
262	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-[(fenylmetyl)oksy]butanamid
263	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamid
264	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-(4-metylpiperazin-1-yl)butanamid
265	*N*-{6-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}butanamid

Oppføring	Navn
266	metyl {6-[2-(3-bromfenyl)-5,6-diklor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
267	metyl [5-(1-hydroksy-2-{3-[metyl(fenyl)amino]fenyl}-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
268	metyl {5-[1-hydroksy-3-okso-2-(fenylsulfonyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
269	metyl {5-[(2-{[(fenylamino)karbonyl]amino}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
270	metyl (5-{[2-({[(fenylmetyl)oksy]karbonyl}amino)fenyl]karbonyl}-1*H*-benzimidazol-2-yl)karbamat
271	metyl [5-({2-[(2-fenylhydrazino)karbonyl]fenyl}karbonyl)-1*H*-benzimidazol-2-yl]karbamat
272	metyl {5-[(2-{[(fenyloksy)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
273	but-2-yn-1-yl {5-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
274	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-3-piperidin-1-ylpropanamid
275	*N*-{6-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}propanamid
276	*N*-(4-fluorfenyl)-2-{[2-(pent-4-ynoylamino)-1*H*-benzimidazol-6-yl]karbonyl}benzamid
277	4-(dietylamino)-*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}butanamid
278	*N*-{5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-pyrrolidin-1-ylbutanamid
279	3-piperidin-1-ylpropyl{6-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
280	3-(4-metylpiperazin-1-yl)propyl{6-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
281	metyl {5-[2-(3-bromfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
282	metyl {5-[2-(3-etynyl-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
283	2-piperidin-1-yletyl{5-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
284	metyl {5-[2-(3-klor-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
285	metyl {5-[2-(5-klor-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
286	*N*- {6-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2,2-dimetyl-3-piperidin-1-ylpropanamid
287	*N*-{5-[2-(4-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamid
288	*N*- {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-4-piperidin-1-ylbutanamid
289	metyl [6-({2-[(fenylkarbonyl)amino]fenyl}karbonyl)-1*H*-benzimidazol-2-yl]karbamat
290	metyl {5-[1-hydroksy-2-(3-morfolin-4-ylfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
291	2-(dimetylamino)etyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
292	2-(dietylamino)etyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
293	2-piperidin-1-yletyl{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
294	3-piperidin-1-ylpropyl{6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
295	2-piperidin-1-yletyl{6-[2-(3-bromfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
296	metyl {6-[2-(3-bromfenyl)-4,7-difluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
297	2-[metyl(fenylmetyl)amino]etyl{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
298	metyl {5-[1-hydroksy-3-okso-2-(3-pyrrolidin-1-ylfenyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
299	metyl {5-[2-(5-klor-2,3-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
300	metyl {5-[1-hydroksy-3-okso-2-(pyrrolidin-2-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
301	metyl {5-[1-hydroksy-3-okso-2-(pyrrolidin-3-ylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
302	(1-metylpiperidin-2-yl)metyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
303	[(2*S*)-1-metylpyrrolidin-2-yl]metyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
304	oktahydro-2*H*-kinolizin-1-ylmetyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
305	metyl {5-[2-(5-brom-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
306	5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1,3-dihydro-2*H*-benzimidazol-2-on
307	metyl {5-[2-(3-brom-2,5-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
308	2-morfolin-4-yletyl{6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
309	(1-metylpiperidin-3-yl)metyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
310	metyl (5-{2-[5-klor-2-(metyloksy)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
311	metyl [5-(2-{3-[sykloheksyl(metyl)amino]fenyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat

Oppføring	Navn
312	8-azabisyklo[3.2.1]okt-3-ylmetyl{6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
313	metyl {6-[1-(3-bromfenyl)-5-oksopyrrolidin-2-yl]-1*H*-benzimidazol-2-yl}karbamat
314	(1-metylpiperidin-4-yl)metyl {5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
315	1,1-dimetyletyl 4-({[({5-[1-hydroksy-3-okso-2-(fenylmetyl)-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}amino)karbonyl]oksy}metyl)piperidin-1-karboksylat
316	(1-metylpiperidin-4-yl)metyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
317	2-(1-metylpiperidin-4-yl)etyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
318	metyl ({6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}amino)(okso)acetate
319	*N*-(5-{1-hydroksy-3-okso-2-[3-(fenyloksy)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)-4-piperidin-1-ylbutanamid
320	metyl {6-[2-(3-bromfenyl)-1-metyl-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
321	4-(dietylamino)but-2-yn-1-yl{6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
322	metyl {5-[2-(3-klor-2,6-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
323	2-(2-oksopyrrolidin-1-yl)etyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
324	2-(2,5-dioksopyrrolidin-1-yl)etyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
325	2,2,3,3-tetrafluorsyklobutyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
326	1-acetyl-*N*-{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}piperidin-4-karboksamid
327	*N*-{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}syklobutankarboksamid

Oppføring	Navn
328	metyl [5-(2-{3-[etyl(fenyl)amino]fenyl}-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl)-1*H*-benzimidazol-2-yl]karbamat
329	*N*-{6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2,2-difluorsyklopropankarboksamid
330	syklobutyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
331	2,2-difluoretyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
332	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-[2-(pyridin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
333	1-metyletyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
334	syklopropylmetyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
335	*N*-{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}syklopropankarboksamid
336	2-(metyloksy)etyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
337	tetrahydrofuran-2-ylmetyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
338	*N*-{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-2-(2-tienyl)acetamid
339	metyl {6-[2-(3-klor-2-fluorfenyl)-4,7-difluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
340	etyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
341	2-fluoretyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
342	metyl (5-{1-hydroksy-3-okso-2-[2-(fenyloksy)fenyl]-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat

Oppføring	Navn
343	*N'*-{5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}-*N,N*-dietylpentandiamid
344	syklobutylmetyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
345	2,2,2-trifluoretyl {6-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
346	metyl (5-{2-[3-(1,1-dimetyletyl)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
347	metyl {6-[2-(3-klor-2-fluorfenyl)-7-fluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
348	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-[2-(fenylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
349	metyl {6-[4,7-diklor-2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
350	fenylmetyl 2-[(2-{[(etyloksy)karbonyl]amino}-1,3-benzoksazol-5-yl)karbonyl]benzoat
351	metyl {5-[2-(5-klor-3-etynyl-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
352	metyl {5-[2-(5-etynyl-2,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
353	metyl {5-[2-(3-etynyl-2,4-difluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
354	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
355	metyl {5-[2-(3-etynyl-2-fluorfenyl)-4,7-difluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
356	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-[2-(1,3-tiazol-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
357	etyl {5-[2-(3-klor-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1,3-benzoksazol-2-yl}karbamat

Oppføring	Navn
358	metyl {5-[2-(5-klor-3-jod-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
359	metyl {5-[2-(3-etyl-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
360	metyl {5-[2-(5-etynyl-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
361	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
362	metyl {5-[2-(2-fluor-3-jodfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
363	metyl {6-[2-(5-etynyl-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
364	2-(3-etynyl-2-fluorfenyl)-3-hydroksy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
365	metyl {5-[2-(2,5-dimetylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
366	metyl {5-[2-(3-etenyl-2-fluorfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
367	metyl (6-{2-[2-fluor-3-(metyloksy)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
368	metyl (5-{1{1-hydroksy-2-[2-metyl-5-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
369	metyl {5-[2-(3-etynyl-2-fluorfenyl)-7-fluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
370	metyl {5-[2-(2-fluor-3-prop-1-yn-1-ylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
371	metyl {5-[2-(5-klor-2-metylfenyl)-7-fluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
372	metyl {5-[2-(3-etynyl-2-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
373	3-hydroksy-2-[3-(metyloksy)fenyl]-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-on
374	3-hydroksy-2-(3-metylfenyl)-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-on
375	2-(5-klor-2-metylfenyl)-3-hydroksy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-on
376	metyl {6-[2-(5-klor-2-metylfenyl)-4,7-difluor-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
377	metyl {5-[2-(3-etynyl-2-fluorfenyl)-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
378	2-(3-klor-2-fluorfenyl)-3-{2-[(6-klorpyridazin-3-yl)amino]-1*H*-benzimidazol-5-yl}-3-hydroksy-2,3-dihydro-1*H*-isoindol-1-on
379	2-(3-klor-2-fluorfenyl)-4,7-difluor-3-hydroksy-3-[2-(pyrimidin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
380	metyl {5-[2-(2-fluor-5-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
381	metyl (5-{2-[2-fluor-5-(metyloksy)fenyl]-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
382	metyl (5-{1-hydroksy-2-[5-metyl-2-(metyloksy)fenyl]-3-okso-2,3-dihydro-1*H*-isoindol-1-yl}-1*H*-benzimidazol-2-yl)karbamat
383	metyl {5-[2-(3-etynyl-5-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
384	2-(3-klor-2-fluorfenyl)-3-{2-[(5-klorpyrimidin-2-yl)amino]-1*H*-benzimidazol-5-yl}-3-hydroksy-2,3-dihydro-1*H*-isoindol-1-on
385	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-{2-[(4-metylpyrimidin-2-yl)amino]-1*H*-benzimidazol-5-yl}-2,3-dihydro-1*H*-isoindol-1-on
386	3-(2-{[4,6-bis(metyloksy)pyrimidin-2-yl]amino}-1*H*-benzimidazol-5-yl)-2-(3-klor-2-fluorfenyl)-3-hydroksy-2,3-dihydro-1*H*-isoindol-1-on
387	2-(3-klor-2-fluorfenyl)-3-hydroksy-3-(2-{[4-metyl-6-(metyloksy)pyrimidin-2-yl]amino}-1*H*-benzimidazol-5-yl)-2,3-dihydro-1*H*-isoindol-1-on

Oppføring	Navn
388	3-hydroksy-2-(3-metylfenyl)-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-on
389	2-(5-klor-2-metylfenyl)-3-hydroksy-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-6-yl]-2,3-dihydro-1*H*-isoindol-1-on
390	metyl {6-[2-(2-fluor-3-metylfenyl)-1-hydroksy-3-okso-2,3-dihydro-1*H*-isoindol-1-yl]-1*H*-benzimidazol-2-yl}karbamat
391	3-hydroksy-2-[3-(metyloksy)fenyl]-3-[2-(pyrazin-2-ylamino)-1*H*-benzimidazol-5-yl]-2,3-dihydro-1*H*-isoindol-1-on
392	metyl {6-[(2-{[(2-tienylmetyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
393	metyl {6-[(2-{[(3-metylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
394	metyl {6-[(2-{[(3-bromfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
395	metyl {6-[(2-{[(3-klorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
396	metyl {6-[(2-{[(3-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
397	metyl (6-{[2-({[3-(metyloksy)fenyl]amino}karbonyl)fenyl]karbonyl}-1*H*-benzimidazol-2-yl)karbamat
398	metyl (6-{[2-({[3-(trifluormetyl)fenyl]amino}karbonyl)fenyl]karbonyl}-1*H*-benzimidazol-2-yl)karbamat
399	metyl {6-[(2-{[(3-etylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
400	metyl {6-[(2-{[(3-etynylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
401	metyl {6-[(2-{[(3-klor-4-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
402	metyl {6-[(2-{[(5-klor-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
403	metyl {6-[(2-{[(3-jodfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
404	metyl (6-{[2-({[3-(1-metyletyl)fenyl]amino}karbonyl)fenyl]karbonyl}-1*H*-benzimidazol-2-yl)karbamat
405	metyl {6-[(2-{[(3-tienylmetyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
406	metyl {6-[(2-{[(3-brom-4-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
407	metyl {6-[(2-{[(3-klor-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
408	metyl {6-[(2-{[(4-fluor-3-metylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
409	metyl {6-[(2-{[(5-brom-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
410	metyl {6-[(2-{[(5-brom-2,4-difluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
411	metyl {6-[(2-{[(5-klor-2,4-difluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
412	metyl {6-[(2-{[(3-brom-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
413	metyl {6-[(2-{[(3-etenylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
414	metyl {6-[(2-{[(3-etynyl-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
415	metyl {6-[(2-{[(5-klor-2-metylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
416	metyl {6-[(2-{[(5-brom-2-metylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
417	metyl {6-[(2-{[(2-fluor-3-jodfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat

Oppføring	Navn
418	metyl {6-[(2-{[(3-etenyl-2-fluorfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat
419	metyl {6-[(2-{[(2-fluor-5-metylfenyl)amino]karbonyl}fenyl)karbonyl]-1*H*-benzimidazol-2-yl}karbamat

Tabell 7.

Representative EGFR- og/eller VEGFR-inhibitorer

Forbindelsene i tabell 7 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 7 kan anvendes.

Oppføring	Navn
1	(3*Z*)-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](fenyl)metyliden]-5-{[1-(fenylmetyl)pyrrolidin-3-yl]amino}-1,3-dihydro-2*H*-indol-2-on
2	(3*Z*)-5-[(1-etylpiperidin-3-yl)amino]-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](fenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
3	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](fenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
4	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(fenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
5	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-{[5-(metyloksy)-1*H*-benzimidazol-2-yl][4-(metyloksy)fenyl]metyliden}-1,3-dihydro-2*H*-indol-2-on
6	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](4-metylfenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
7	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-nitrofenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
8	(3*Z*)-3-{1*H*-benzimidazol-2-yl[4-(metyloksy)fenyl]metyliden}-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
9	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
10	(3*Z*)-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](fenyl)metyliden]-5-[(2,2,6,6-tetrametylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
11	(3*Z*)-3-[(4-aminofenyl)(1*H*-benzimidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
12	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-metylfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
13	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(4-metylfenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
14	(3*Z*)-5-[(1-etylpiperidin-4-yl)oksy]-3-[[5-(metyloksy)-1*H*-benzimidazol-2-yl](fenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
15	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[4-(metyloksy)fenyl]metyliden}-1,3-dihydro-2*H*-indol-2-on
16	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
17	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
18	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
19	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-nitrofenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
20	3-((*Z*)-1*H*-benzimidazol-2-yl{5-[(1-etylpiperidin-4-yl)amino]-2-okso-1,2-dihydro-3*H*-indol-3-yliden}metyl)benzonitril
21	(3*Z*)-3-[(3-aminofenyl)(1*H*-benzimidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
22	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-(piperidin-4-ylamino)-1,3-dihydro-2*H*-indol-2-on
23	3-((*Z*)-1*H*-benzimidazol-2-yl{5-[(1-etylpiperidin-4-yl)amino]-2-okso-1,2-dihydro-3*H*-indol-3-yliden}metyl)benzenkarboksimidamid
24	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
25	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-[(2,2,6,6-tetrametylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
26	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(metyloksy)fenyl]metyliden}-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
27	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-klorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
28	2-(2-{2-[(*Z*)-{5-[(1-etylpiperidin-4-yl)amino]-2-okso-1,2-dihydro-3*H*-indol-3-yliden}(fenyl)metyl]-1*H*-imidazol-4-yl}etyl)-1*H*-isoindol-1,3(2*H*)-dion
29	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-({1-[2-(dimetylamino)etyl]piperidin-4-yl}amino)1,3-dihydro-2*H*-indol-2-on
30	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-{[1-(metylsulfonyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-on
31	(3*Z*)-5-(8-azabisyklo[3.2.1]okt-3-ylamino)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
32	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(metyloksy)fenyl]metyliden}-5-[(1-etylpiperidin-4-yl)oksy]-1,3-dihydro-2*H*-indol-2-on
33	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)oksy]-1,3-dihydro-2*H*-indol-2-on
34	(3*Z*)-3-[1*H*-benzimidazol-2-yl(fenyl)metyliden]-5-{[1-(fenylmetyl)piperidin-4-yl]oksy}-1,3-dihydro-2*H*-indol-2-on
35	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-klorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)oksy]-1,3-dihydro-2*H*-indol-2-on
36	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}oksy)-1,3-dihydro-2*H*-indol-2-on
37	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-klorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}oksy)-1,3-dihydro-2*H*-indol-2-on
38	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-klorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
39	(3*Z*)-3-{1*H*-benzimidazol-2-yl[3-(metyloksy)fenyl]metyliden}-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
40	(3*Z*)-3-[(3-klorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
41	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
42	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3,5-difluorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
43	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-klorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)(metyl)amino]-1,3-dihydro-2*H*-indol-2-on
44	(3*Z*)-3-[(3-klorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)oksy]-1,3-dihydro-2*H*-indol-2-on
45	(3*Z*)-3-(1*H*-benzimidazol-2-yl(4-klorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
46	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
47	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluorfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
48	(3*Z*)-3-[(3-klorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
49	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
50	(3*Z*)-3-[1*H*-benzimidazol-2-yl(3-fluor-4-metylfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
51	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(3-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
52	(3*Z*)-3-[1*H*-benzimidazol-2-yl(4-fluor-3-metylfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
53	(3*Z*)-3-[(3-klor-4-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
54	(3*Z*)-3-[(3,4-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
55	(3*Z*)-3-[(5-klor-1*H*-benzimidazol-2-yl)(fenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
56	(3*Z*)-3-[(5-klor-1*H*-benzimidazol-2-yl)(3,5-difluorfenyl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
57	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(3-fluor-4-metylfenyl)(1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
58	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(4-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
59	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[1*H*-imidazol-2-yl(4-propylfenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
60	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[4-(trifluormetyl)fenyl]metyliden}-1,3-dihydro-2*H*-indol-2-on
61	(3*E*)-3-[(3,5-difluorfenyl)(5-fluor-1*H*-benzimidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
62	(3*Z*)-3-[(3,5-difluorfenyl)(5-fluor-1*H*-benzimidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
63	(3*Z*)-3-[(3-fluor-4-metylfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
64	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(4-metyl-1*H*-imidazol-2-yl)(4-metylfenyl)metyliden]-1,3-dihydro-2*H*-indol-2-on
65	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[3-fluor-4-(trifluormetyl)fenyl](1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
66	(3*Z*)-3-[(4-klorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
67	(3*Z*)-5-[(1-etyl)piperidin-4-yl)amino]-3-[(3-fluor-4-metylfenyl)(4-metyl)-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
68	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-{1*H*-imidazol-2-yl[6-(trifluormetyl)pyridin-3-yl]metyliden}-1,3-dihydro-2*H*-indol-2-on
69	(3*Z*)-3-[1*H*-imidazol-2-yl(4-metylfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
70	(3*Z*)-3-[(3-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
71	(3*Z*)-3-{1*H*-imidazol-2-yl[4-(trifluormetyl)fenyl]metyliden}-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
72	(3*Z*)-3-[(5-klor-1*H*-benzimidazol-2-yl)(fenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
73	(3*Z*)-3-[(3,5-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
74	(3*Z*)-3-[(3,5-difluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
75	(3*Z*)-3-[(3,5-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
76	(3*Z*)-3-[(3,5-difluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
77	(3*Z*)-3-[(4-metyl-1*H*-imidazol-2-yl)(4-metylfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
78	(3*Z*)-3-[(4-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
79	(3*Z*)-3-[(3,4-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
80	(3*Z*)-3-[(3-klor-4-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
81	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-(piperidin-4-ylamino)-1,3-dihydro-2H-indol-2-on
82	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-{[1-(2-piperidin-1-yletyl)piperidin-4-yl]amino}-1,3-dihydro-2H-indol-2-on
83	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-{[1-(2-morfolin-4-yletyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-on
84	(3*Z*)-5-({1-[2-(dietylamino)etyl]piperidin-4-yl}amino)-3-[(3-fluorfenyl)(1H-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
85	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-{[1-(2-pyrrolidin-1-yletyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-on
86	(3*Z*)-3-[1*H*-imidazol-2-yl(4-metylfenyl)metyliden]-5-[(1-metylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
87	(3*Z*)-3-[(3-fuorofenyl)(1*H*-1,2,4-triazol-5-yl)metyliden]5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
88	etyl 2-{(*Z*)-(3-fluorfenyl)[5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-2-okso-1,2-dihydro-3*H*-indol-3-yliden]metyl}-4-metyl-1*H*-imidazol-5-karboksylat
89	(3*Z*)-3-[1*H*-imidazol-2-yl(fenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
90	(3*Z*)-3-{1*H*-imidazol-2-yl[4-(metyloksy)fenyl]metyliden}-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
91	(3*Z*)-3-[(4-klorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
92	(3*Z*)-3-[[3-fluor-4-(trifluormetyl)fenyl](1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
93	(3*Z*)-3-[(3-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-{[1-(metylsulfonyl)piperidin-4-yl]amino}-1,3-dihydro-2*H*-indol-2-on
94	(3*Z*)-3-[1*H*-imidazol-2-yl(4-propylfenyl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
95	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(3-fluorfenyl)(4-fenyl-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
96	(3*Z*)-3-[(3-fluorfenyl)(4-fenyl-1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
97	(3*Z*)-3-[(3-fluor-4-metylfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
98	(3*Z*)-3-{1*H*-imidazol-2-yl[6-(trifluormetyl)pyridin-3-yl]metyliden}-5-({1-[2-(metyloksy)etyl]piperidin-4-yl}amino)-1,3-dihydro-2*H*-indol-2-on
99	(3*Z*)-5-[(1-etylpiperidin4-yl)amino]-3-[(3-fluorfenyl)(1*H*-1,2,4-triazol-5-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
100	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[2-fluor-4-(trifluormetyl)fenyl](1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
101	(3*Z*)-5-[(1-etylpiperidin4-yl)amino]-3-{(4-metyl-1*H*-imidazol-2-yl)[4-(trifluormetyl)fenyl]metyliden}-1,3-dihydro-2*H*-indol-2-on
102	(3*Z*)-3-[(4-klorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
103	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[3-fluor-4-(trifluormetyl)fenyl](4-metyl-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
104	(3*Z*)-3-[(3,4-difluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
105	(3*Z*)-3-[(3-klor-4-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
106	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[(4-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
107	(3*Z*)-5-[(1-etylpiperidin4-yl)amino]-3-[(2-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
108	(3*Z*)-5-[(1-etylpiperidin-4-yl)amino]-3-[[2-fluor-4-(trifluormetyl)fenyl](4-metyl-1*H*-imidazol-2-yl)metyliden]-1,3-dihydro-2*H*-indol-2-on
109	(3*Z*)-3-[(2,3-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
110	(3*Z*)-3-[(2,3-difluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
111	(3*Z*)-3-[(2,4-difluorfenyl)(4-methy-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
112	(3*Z*)-3-[(2,4-difluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
113	(3*Z*)-3-[(2-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
114	(3*Z*)-3-[(3-trifluormetylfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on

Oppføring	Navn
115	(3*Z*)-3-[(3-trifluormetylfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
116	(3*Z*)-3-[(2,4-diklor-5-fluorfenyl)(1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
117	(3*Z*)-3-[(2,4-diklor-5-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on
118	(3*Z*)-3-[(4-klor-2-fluorfenyl)(4-metyl-1*H*-imidazol-2-yl)metyliden]-5-[(1-etylpiperidin-4-yl)amino]-1,3-dihydro-2*H*-indol-2-on

Tabell 8. c-KIT-inhibitorer

Forbindelsene i tabell 8 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 8 kan anvendes.

Oppføring	Navn
1	*N*-[5-klor-2-(metyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
2	*N*-fenyl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
3	*N*-(2-metylfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
4	*N*-(2-klorfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
5	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
6	etyl 2-[({[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetyl)amino]-4,5,6,7-tetrahydro-1-benzotiofen-3-karboksylat
7	*N*-(3-klor-2-metylfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
8	*N*-(3-fluorfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
9	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(2*H*-tetrazol-5-yl)fenyl]oksy}acetamid
10	*N*-(4-klor-2-fluorfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
11	*N*-(4-brom-3-metylfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
12	*N*-(4-morfolin-4-ylfenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
13	*N*-[4-fluor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid

Oppføring	Navn
14	*N*-[4-brom-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
15	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
16	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}propanamid
17	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(5-metyl-1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
18	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[2-metyl-5-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
19	*N*-(4-klorfenyl)-*N*-metyl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
20	*N*-[4-klor-2-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
21	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(2,5-dioksopyrrolidin-1-yl)fenyl]oksy}acetamid
22	(2E)-*N*-[4-klor-3-(trifluormetyl)fenyl]-3-[3-(1*H*-tetrazol-1-yl)fenyl]prop-2-enamid
23	*N*-[4-fluor-3-(trifluormetyl)fenyl]-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
24	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(2-metyl-2*H*-tetrazol-5-yl)fenyl]oksy}acetamid
25	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[2,4-diklor-5-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
26	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]tio}acetamid
27	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-[3-(1*H*-tetrazol-1-yl)fenyl]glycinamid
28	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[2-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
29	metyl 1-{3-[(2-{[4-klor-3-(trifluormetyl)fenyl]amino}-2-oksoetyl)oksy]fenyl}-1*H*-1,2,3-triazol-4-karboksylat
30	1,1-dimetyletyl {4-[({[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetyl)amino]fenyl}karbamat
31	1,1-dimetyletyl {4-[({[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetyl)amino]fenyl}karbamat
32	*N*-{4-[(1-etylpiperidin-4-yl)amino]fenyl}-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
33	*N*-{4-[(1-etylpiperidin-3-yl)amino]fenyl}-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
34	*N*-(4-aminofenyl)-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
35	*N*-{4-[(1-etylpiperidin-4-yl)amino]fenyl}-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
36	*N*-{4-[(1-etylpiperidin-3-yl)amino]fenyl}-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
37	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-pyridin-4-ylfenyl)oksy]acetamid
38	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-metyl-*N*~2~-[3-(1*H*-tetrazol-1-yl)fenyl]glycinamid

Oppføring	Navn
39	*N*-1,3-benzotiazol-2-yl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
40	*N*-kinolin-8-yl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
41	*N*-(2,3-dihydro-1,4-benzodioksin-6-yl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
42	N-isokinolin-5-yl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
43	*N*-{3-[(fenylmetyl)oksy]fenyl}-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
44	*N*-[5-metyl-2-(metyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
45	*N*-[2,5-bis(metyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
46	*N*-(6-fluor-1,3-benzotiazol-2-yl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
47	metyl 3-[({[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetyl)amino]benzoat
48	5-klor-2-[({[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetyl)amino]benzamid
49	*N*-[5-klor-2,4-bis(metyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
50	*N*-[2-(fenyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
51	*N*-[3-(aminosulfonyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
52	*N*-[2-(metyloksy)-5-(trifluormetyl)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
53	*N*-(4-{[(4-metylfenyl)sulfonyl]amino}fenyl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
54	*N*-(5-fenyl-1*H*-pyrazol-3-yl)-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
55	*N*-1,3-benzotiazol-2-yl-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
56	*N*-kinolin-8-yl-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
57	1,1-dimetyletyl2-{3-[(2-{[4-klor-3-(trifluormetyl)fenyl]amino}-2-oksoetyl)oksy]fenyl}-1*H*-pyrrol-1-karboksylat
58	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-pyrrol-2-yl)fenyl]oksy}acetamid
59	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-pyrimidin-5-ylfenyl)oksy]acetamid
60	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-(1*H*-1,2,3-triazol-1-yl)fenyl]oksy}acetamid
61	4-klor-*N*-(2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}etyl)-3-(trifluormetyl)anilin
62	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*-(2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}etyl)formamid
63	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-pyridin-3-ylfenyl)oksy]acetamid
64	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-furan-3-ylfenyl)oksy]acetamid

Oppføring	Navn
65	(2E)-*N*-[4-fluor-3-(trifluormetyl)fenyl]-3-[3-(1*H*-tetrazol-1-yl)fenyl]prop-2-enamid
66	*N*-[4-fluor-3-(trifluormetyl)fenyl]-3-[3-(1*H*-tetrazol-1-yl)fenyl]propanamid
67	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[6-(1*H*-tetrazol-1-yl)pyrimidin-4-yl]oksy}acetamid
68	N-[4-klor-3-(trifluormetyl)fenyl]-2- {[3-(3,5-dimetylisoksazol-4-yl)fenyl]oksy}acetamid
69	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-kinolin-7-ylfenyl)oksy]acetamid
70	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-furan-2-ylfenyl)oksy]acetamid
71	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[3-(1*H*-tetrazol-1-yl)fenyl]hydrazinkarboksamid
72	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(3-dibenzo[b,d]furan-4-ylfenyl)oksy]acetamid
73	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(4-pyrimidin-5-ylfenyl)oksy]acetamid
74	*N*-metyl-*N*-[4-(metyloksy)fenyl]-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
75	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-{[3-(1*H*-tetrazol-1-yl)fenyl]metyl}urea
76	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*-metyl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
77	*N*-[4-fluor-3-(trifluormetyl)fenyl]-*N*~2~-[3-(1*H*-tetrazol-1-yl)fenyl]glycinamid
78	*N*-[4-fluor-3-(trifluormetyl)fenyl]-2-{[3-(pyridin-2-ylamino)fenyl]oksy}acetamid
79	*N*-[2-fluor-5-(trifluormetyl)fenyl]-2-[3-(1*H*-tetrazol-1-yl)fenyl]hydrazinkarboksamid
80	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(4-pyridin-3-ylfenyl)oksylacetamid
81	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(3-pyrimidin-5-ylfenyl)metyl]urea
82	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(4-pyrimidin-5-ylfenyl)metyl]urea
83	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(4-pyridin-3-ylfenyl)metyl]urea
84	[3-(1*H*-tetrazol-1-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
85	*N*-[4-fluor-3-(trifluormetyl)fenyl]-2-[(4-pyrimidin-5-ylfenyl)oksy]acetamid
86	*N*~2~-[4-klor-3-(trifluormetyl)fenyl]-*N*-[3-(1*H*-tetrazol-1-yl)fenyl]glycinamid
87	2-{[4-klor-3-(trifluormetyl)fenyl]oksy}-*N*-[3-(1*H*-tetrazol-1-yl)fenyl]acetamid
88	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-metyl-4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
89	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[4-(1*H*-1,2,3-triazol-1-yl)fenyl]oksy}acetamid
90	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[3-fluor-4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid

Oppføring	Navn
91	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[2-fluor-4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
92	*N*-({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)-3-(1*H*-tetrazol-1-yl)benzensulfonamid
93	*N*-({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)-*N*-metyl-3-(1*H*-tetrazol-1-yl)benzensulfonamid
94	*N*-[4-fluor-3-(trifluormetyl)fenyl]-2-[(4-pyridin-3-ylfenyl)oksy]acetamid
95	2-({4-[2,4-bis(metyloksy)pyrimidin-5-yl]fenyl}oksy)-*N*-[4-fluor-3-(trifluormetyl)fenyl]acetamid
96	2-({4-[2,4-bis(metyloksy)pyrimidin-5-yl]fenyl}oksy)-*N*-[4-klor-3-(trifluormetyl)fenyl]acetamid
97	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[(4-pyridin4-ylfenyl)oksy]acetamid
98	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-[3-(metyloksy)-4-(1*H*-tetrazol-1-yl)fenyl]glycinamid
99	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-[4-(metyloksy)-3-(1*H*-tetrazol-1-yl)fenyl]glycinamid
100	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-[4-(1*H*-tetrazol-1-yl)fenyl]glycinamid
101	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(2,3,5,6-tetrafluor4-pyrimidin-5-ylfenyl)hydrazinkarboksamid
102	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-{[4-(1*H*-tetrazol-1-yl)fenyl]metyl}urea
103	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(4-pyrimidin-5-ylfenyl)hydrazinkarboksamid
104	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(3-pyridin-3-ylfenyl)metyl]urea
105	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-metyl-2-{[3-(1*H*-tetrazol-1-yl)fenyl]oksy}propanamid
106	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[4-(1*H*-tetrazol-1-yl)fenyl]oksy}propanamid
107	*N*-({4-[2,4-bis(metyloksy)pyrimidin-5-yl]fenyl}metyl)-*N'*-[4-klor-3-(trifluormetyl)fenyl]urea
108	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-({3-[2-(metyloksy)pyrimidin-5-yl]fenyl}metyl)urea
109	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-({3-[6-(metyloksy)pyridin-3-yl]fenyl}metyl)urea
110	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-({4-[2-(metyloksy)pyrimidin-5-yl]fenyl}metyl)urea
111	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-({4-[6-(metyloksy)pyridin-3-yl]fenyl}metyl)urea

Oppføring	Navn
112	1,1-dimetyletyl 2-{4-[(2-{[4-klor-3-(trifluormetyl)fenyl]amino}-2-oksoetyl)oksy]fenyl}-1*H*-indol-1-karboksylat
113	*N*-({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)-4-(1*H*-tetrazol-1-yl)benzensulfonamid
114	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*~2~-[3-(2*H*-tetrazol-5-yl)fenyl]glycinamid
115	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[2,6-difluor-4-(1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
116	(3-pyridin-3-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
117	(3-pyrimidin-5-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
118	(3-pyridin-4-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
119	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-[4-(1*H*-tetrazol-1-yl)fenyl]hydrazinkarboksamid
120	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(4-pyridin-3-ylfenyl)hydrazinkarboksamid
121	(4-pyridin-3-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
122	(4-pyridin-4-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
123	(4-pyrimidin-5-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
124	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[(4-pyridin-4-ylfenyl)metyl]urea
125	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(3-pyridin-3-ylfenyl)hydrazinkarboksamid
126	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(3-pyrimidin-5-ylfenyl)hydrazinkarboksamid
127	*N*-[5-klor-2,4-bis(metyloksy)fenyl]-*N′*-[(4-pyrimidin-5-ylfenyl)metyl]urea
128	*N*-[5-klor-2,4-bis(metyloksy)fenyl]-*N′*-[(4-pyridin-3-ylfenyl)metyl]urea
129	(4-pyrimidin-5-ylfenyl)metyl [5-klor-2,4-bis(metyloksy)fenyl]karbamat
130	(4-pyridin-3-ylfenyl)metyl [5-klor-2,4-bis(metyloksy)fenyl]karbamat
131	1-(4-pyridin-3-ylfenyl)etyl [4-klor-3-(trifluormetyl)fenyl]karbamat
132	1-(4-pyrimidin-5-ylfenyl)etyl [4-klor-3-(trifluormetyl)fenyl]karbamat
133	*N*-[5-klor-2,4-bis(metyloksy)fenyl]-*N′*-[(3-pyridin-3-ylfenyl)metyl]urea
134	*N*-[5-klor-2,4-bis(metyloksy)fenyl]-*N'*-[(3-pyrimidin-5-ylfenyl)metyl]urea
135	(3-pyridin-3-ylfenyl)metyl [5-klor-2,4-bis(metyloksy)fenyl]karbamat
136	(3-pyrimidin-5-ylfenyl)metyl [5-klor-2,4-bis(metyloksy)fenyl]karbamat

Oppføring	Navn
137	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-metyl-2-(3-pyrimidin-5-ylfenyl)hydrazinkarboksamid
138	*N*-[4-fluor-3-(trifluormetyl)fenyl]-*N′*-[(4-pyridin-3-ylfenyl)metyl]urea
139	*N*-{[3-(6-aminopyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
140	*N*-{[4-(6-aminopyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
141	*N*-{[3-(2-aminopyrimidin-5-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
142	*N*-{[4-(2-aminopyrimidin-5-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
143	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[1-(4-pyridin-3-ylfenyl)etyl]urea
144	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[1-(4-pyrimidin-5-ylfenyl)etyl]urea
145	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[4-(1*H*-indol-2-yl)fenyl]oksy}acetamid
146	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(isokinolin-7-yloksy)acetamid
147	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(4-pyridin-4-ylfenyl)hydrazinkarboksamid
148	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-(3-pyridin-4-ylfenyl)hydrazinkarboksamid
149	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[(3-pyridin-4-ylfenyl)metyl]urea
150	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[(3-kinoksalin-6-ylfenyl)metyl]urea
151	metyl 3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-karboksylat
152	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-[(4-kinoksalin-6-ylfenyl)metyl]urea
153	*N*-{[3-(2-amino-5-metylpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
154	metyl 3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-karboksylat
155	[3-(1*H*-tetrazol-1-yl)fenyl]metyl [3-klor-4-(metyloksy)fenyl]karbamat
156	*N*-[3-klor-4-(metyloksy)fenyl]-*N′*-{[3-(1*H*-tetrazol-1-yl)fenyl]metyl}urea
157	*N*-[4-klor-3-(trifluormetyl)fenyl]-2-{[4-(5-hydroksy-1*H*-tetrazol-1-yl)fenyl]oksy}acetamid
158	*N*-{[3-(2-amino-5-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
159	*N*-{[4-(2-amino-5-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
160	*N*-{[3-(6-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea

Oppføring	Navn
161	*N*-{[4-(6-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
162	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[4-(pyrimidin-2-yloksy)fenyl]metyl}urea
163	*N*-({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)-3-(1*H*-tetrazol-1-yl)benzamid
164	3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)-*N*-[2-(dimetylamino)etyl]pyrazin-2-karboksamid
165	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[3-(6-fluorpyridin-3-yl)fenyl]metyl}urea
166	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-({3-[2-(metyloksy)pyridin-3-yl]fenyl}metyl)urea
167	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[4-(6-fluorpyridin-3-yl)fenyl]metyl}urea
168	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-({4-[2-(metyloksy)pyridin-3-yl]fenyl}metyl)urea
169	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[4-(6-metylpyridin-3-yl)fenyl]metyl}urea
170	*N*-{[4-(2-amino-5-fluorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
171	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N*'-{[3-(6-metylpyridin-3-yl)fenyl]metyl}urea
172	*N*-{[4-(2-aminopyridin-3-yl)fenyl]metyl}-*N*'-[4-klor-3-(trifluormetyl)fenyl]urea
173	*N*-{[3-(2-aminopyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
174	[3-(6-metylpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
175	[3-(2-amino-5-fluorpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
176	[3-(2-aminopyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
177	(3-pyrazin-2-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
178	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-({3-[6-(hydroksymetyl)pyridin-3-yl]fenyl}metyl)urea
179	*N*-{[3-(6-acetylpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
180	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[3-(6-cyanopyridin-3-yl)fenyl]metyl}urea
181	1,1-dimetyletyl (3S)-3-({[3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}amino)piperidin-1-karboksylat
182	3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)-*N*-[(3S)-piperidin-3-yl]pyrazin-2-karboksamid

Oppføring	Navn
183	1,1-dimetyletyl (3S)-3-({[3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}amino)piperidin-1-karboksylat
184	3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)-*N*-[(3S)-piperidin-3-yl]pyrazin-2-karboksamid
185	[3-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
186	*N*-([3-(2-amino-5-fluorpyridin-3-yl)fenyl]metyl)-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
187	[6-(1*H*-tetrazol-1-yl)pyridin-2-yl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
188	[3-(1*H*-benzimidazol-2-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
189	[3-(6-amino-2-metylpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
190	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-({3-[5-(metyltio)pyridin-3-yl]fenyl}metyl)urea
191	[4-(6-metylpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
192	[4-(2-amino-5-fluorpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
193	[4-(2-aminopyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
194	(4-pyrazin-2-ylfenyl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
195	[4-(7*H*-pyrrolo[2,3-d]pyrimidin-4-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
196	[4-(6-amino-2-metylpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
197	[3-(1*H*-tetrazol-1-yl)fenyl]metyl 1,3-benzotiazol-2-ylkarbamat
198	[3-(1*H*-tetrazol-1-yl)fenyl]metyl (5-brompyridin-2-yl)karbamat
199	(3-pyridin-3-ylfenyl)metyl (3,5-dimetylfenyl)karbamat
200	(3-pyridin-3-ylfenyl)metyl [5-klor-2-(metyloksy)fenyl]karbamat
201	[4-(1*H*-tetrazol-1-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
202	(3-pyrimidin-5-ylfenyl)metyl [5-klor-2-(metyloksy)fenyl]karbamat
203	(4-pyrimidin-5-ylfenyl)metyl (3,4-dimetylfenyl)karbamat
204	(3-pyridin-3-ylfenyl)metyl (3,4-dimetylfenyl)karbamat

Oppføring	Navn
205	1,1-dimetyletyl 3-({[3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}amino)piperidin-1-karboksylat
206	1,1-dimetyletyl 3-({[3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}amino)piperidin-1-karboksylat
207	3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)-*N*-piperidin-3-ylpyrazin-2-karboksamid
208	3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)-*N*-piperidin-3-ylpyrazin-2-karboksamid
209	1,1-dimetyletyl 4-{[3-amino-6-(3-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}piperazin-1-karboksylat
210	1,1-dimetyletyl 4-{[3-amino-6-(4-{[({[4-klor-3-(trifluormetyl)fenyl]amino}karbonyl)amino]metyl}fenyl)pyrazin-2-yl]karbonyl}piperazin-1-karboksylat
211	*N*-({3-[5-amino-6-(piperazin-1-ylkarbonyl)pyrazin-2-yl]fenyl} metyl)-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
212	*N*-({4-[5-amino-6-(piperazin-1-ylkarbonyl)pyrazin-2-yl]fenyl}metyl)-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
213	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[3-(1*H*-pyrazol-4-yl)fenyl]metyl}urea
214	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[4-(1*H*-pyrazol-4-yl)fenyl]metyl}urea
215	[3-(2-piperazin-1-ylpyrimidin-5-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
216	[4-(2-piperazin-1-ylpyrimidin-5-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
217	N-{[3-(2-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
218	*N*-{[4-(2-klorpyridin-3-yl)fenyl]metyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
219	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[3-(2-fluorpyridin-3-yl)fenyl]metyl}urea
220	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N′*-{[4-(2-fluorpyridin-3-yl)fenyl]metyl}urea
221	[3-(1*H*-tetrazol-1-yl)fenyl]metyl [3-(trifluormetyl)fenyl]karbamat
222	[3-(1*H*-tetrazol-1-yl)fenyl]metyl [6-(trifluormetyl)pyridin-2-yl]karbamat

Oppføring	Navn
223	[3-(1*H*-tetrazol-1-yl)fenyl]metyl [4-(trifluormetyl)pyridin-2-yl]karbamat
224	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-({3-[5-(metyltio)pyridin-2-yl]fenyl}metyl)urea
225	[3-(2,6-dimetylpyridin-3-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
226	{3-[5-(metyloksy)pyridin-3-yl]fenyl}metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
227	2,3'-bipyridin-6-ylmetyl [4-klor-3-(trifluormetyl)fenyl]karbamat
228	(6-pyrimidin-5-ylpyridin-2-yl)metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
229	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(3-isokinolin-4-ylfenyl)metyl]urea
230	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[(4-isokinolin-4-ylfenyl)metyl]urea
231	[6-(1*H*-tetrazol-1-yl)pyridin-2-yl]metyl [4-(trifluormetyl)pyridin-2-yl]karbamat
232	[3-(1*H*-pyrazol-4-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat
233	[4-(1*H*-pyrazol-4-yl)fenyl]metyl [4-klor-3-(trifluormetyl)fenyl]karbamat

Tabell 9. c-KIT- og/eller Flt-3-inhibitorer

Forbindelsene i tabell 9 kan fremstilles som farmasøytisk akseptable salter, solvater, hydrater og/eller isomerer derav. Alle slike salt-, solvat-, hydrat- og isomerkombinasjoner av forbindelsene i tabell 9 kan anvendes.

Oppføring	Navn
1	4-((*E*)-2-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}etenyl)fenol
2	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[5-(4-etylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
3	*N*-(3-etylfenyl)-*N'*-(4-{3-[5-(4-etylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
4	*N*-(4-{3-[5-(4-etylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-[3-(trifluormetyl)fenyl]urea
5	*N*-(3-acetylfenyl)-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
6	*N*-(3,4-diklorfenyl)-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea

Oppføring	Navn
7	*N*-(3-bromfenyl)-*N'*-(4-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
8	*N*-[4-fluor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
9	*N*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-[4-(fenyloksy)fenyl]urea
10	*N*-(3-klorfenyl)-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
11	*N*-[3,5-bis(metyloksy)fenyl]-*N'*-(4-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
12	*N*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-{4-[(trifluormetyl)oksy]fenyl}urea
13	*N*-(4-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-[4-(trifluormetyl)fenyl]urea
14	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
15	*N*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-[3-(trifluormetyl)fenyl]urea
16	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}fenyl)urea
17	*N*-(3,4-dimetylfenyl)-*N'*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)urea
18	*N*-(4-klorfenyl)-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
19	*N*-(3,5-difluorfenyl)-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
20	*N*-[3-(metyloksy)fenyl]-*N'*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
21	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[4-(4-etylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}fenyl)urea

Oppføring	Navn
22	*N*-(3-fluorfenyl)-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
23	*N*-(4-fluorfenyl)-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
24	*N*-(3-cyanofenyl)-*N′*-(4-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
25	*N*-(3,4-difluorfenyl)-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
26	*N*-[3,4-bis(metyloksy)fenyl]-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
27	*N*-[5-klor-2-(metyloksy)fenyl]-*N′*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)urea
28	*N*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)-*N′*-[4-(fenyloksy)fenyl]urea
29	*N*-(2,4-difluorfenyl)-*N′*-(4-{3-[6-(4-etylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
30	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]fenyl}-*N′*-[4-klor-3-(trifluormetyl)fenyl]urea
31	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]fenyl}-*N′*-[2-fluor-5-(trifluormetyl)fenyl]urea
32	*N*-(2,4-difluorfenyl)-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
33	*N*-{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]fenyl}-*N′*-fenylurea
34	*N*-[3,5-bis(trifluormetyl)fenyl]-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
35	*N*-(2-fluorfenyl)-*N′*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
36	4-((*E*)-2-{5-[(*E*)-2-fenyletenyl]-1*H*-pyrazol-3-yl}etenyl)fenol
37	2-(metyloksy)-4-((*E*)-2-{5-[(*E*)-2-fenyletenyl]-1*H*-pyrazol-3-yl}etenyl)fenol
38	*N*-(5-fluor-2-metylfenyl)-*N′*-(4-{3-[6-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea

Oppføring	Navn
39	*N*-(4-{3-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-fenylurea
40	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-(4-{3-[3-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}fenyl)urea
41	*N*-(2,4-difluorfenyl)-*N'*-(4-{3-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}fenyl)urea
42	*N*-(2,3-dihydro-1,4-benzodioksin-6-yl)-*N'*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)urea
43	*N*-[2,4-bis(metyloksy)fenyl]-*N'*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)urea
44	4-((*E*)-2-{3-[(*E*)-2-(4-fluorfenyl)etenyl]-1*H*-pyrazol-5-yl}etenyl)-2-(metyloksy)fenol
45	4-{(*E*)-2-[3-(1-benzofuran-2-yl)-1*H*-pyrazol-5-yl]etenyl}fenol
46	*N*-(4-{3-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}fenyl)-*N'*-(2-fenyletyl)etandiamid
47	4-{(*E*)-2-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]etenyl}fenol
48	4-((*E*)-2-{3-[(*E*)-2-(4-klorfenyl)etenyl]-1*H*-pyrazol-5-yl}etenyl)-2-(metyloksy)fenol
49	4-{(*E*)-2-[3-(1-benzotien-2-yl)-1*H*-pyrazol-5-yl]etenyl}fenol
50	*N*-[4-klor-3-(trifluormetyl)fenyl]-*N'*-[4-(3-fenyl-1*H*-pyrazol-5-yl)fenyl]urea
51	4-((*E*)-2-{3-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}etenyl)fenol
52	1,1-dimetyletyl{4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]fenyl}karbamat
53	*N*-(5-fluor-2-metylfenyl)-*N'*-(4-{5-[4-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-3-yl}fenyl)urea
54	4-[(*E*)-2-(3-fenyl-1*H*-pyrazol-5-yl)etenyl]fenol
55	2-(metyloksy)-4-[(*E*)-2-(5-fenyl-1*H*-pyrazol-3-yl)etenyl]fenol
56	4-[(*E*)-2-(5-naftalen-2-yl-1*H*-pyrazol-3-yl)etenyl]fenol
57	4-{(*E*)-2-[5-(2-fluorfenyl)-1*H*-pyrazol-3-yl]etenyl}fenol
58	4-((*E*)-2-{3-[3-(4-metylpiperazin-1-yl)fenyl]-1*H*-pyrazol-5-yl}etenyl)fenol
59	4-((*E*)-2-{3-[(*E*)-2-(2,4-difluorfenyl)etenyl]-1*H*-pyrazol-5-yl}etenyl)-2-(metyloksy)fenol

Oppføring	Navn
60	4-{(*E*)-2-[5-(4-fluorfenyl)-1*H*-pyrazol-3-yl]etenyl}fenol
61	4-{(*E*)-2-[3-(4-klorfenyl)-1*H*-pyrazol-5-yl]etenyl}fenol
62	4-[(*E*)-2-(5-pyridin-2-yl-1*H*-pyrazol-3-yl)etenyl]fenol
63	4-{(*E*)-2-[3-(5-klor-1-benzofuran-2-yl)-1*H*-pyrazol-5-yl]etenyl}fenol
64	*N*-(1,1-dimetyletyl)-*N'*-(4-{3-[5-(4-etylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-5-yl}fenyl)urea
65	4-[(*E*)-2-(3-pyridin-4-yl-1*H*-pyrazol-5-yl)etenyl]fenol
66	4-{(*E*)-2-[3-(3-klorfenyl)-1*H*-pyrazol-5-yl]etenyl} fenol
67	4-((*E*)-2-{5-[2-(metyloksy)fenyl]-1*H*-pyrazol-3-yl}etenyl)fenol
68	4-{(*E*)-2-[3-(2-klorfenyl)-1*H*-pyrazol-5-yl]etenyl}fenol
69	4-[(*E*)-2-(3-pyridin-3-yl-1*H*-pyrazol-5-yl)etenyl]fenol
70	4-((*E*)-2-{5-[3-(metyloksy)fenyl]-1*H*-pyrazol-3-yl}etenyl)fenol
71	1,1-dimetyletyl(4-{3-[(*E*)-2-fenyletenyl]-1*H*-pyrazol-5-yl}fenyl)karbamat
72	4-{(*E*)-2-[3-(3,4-diklorfenyl)-1*H*-pyrazol-5-yl]etenyl}fenol
73	2-{5-[(*E*)-2-fenyletenyl]-1*H*-pyrazol-3-yl}-1-benzofuran-6-ol
74	4-{(*E*)-2-[5-(3-fluorfenyl)-1*H*-pyrazol-3-yl]etenyl}fenol
75	2-(5-fenyl-1*H*-pyrazol-3-yl)-1*H*-benzimidazol
76	*N*-fenyl-*N'*-[4-(3-fenyl-1*H*-pyrazol-5-yl)fenyl]urea
77	4-[3-(1*H*-benzimidazol-2-yl)-1*H*-pyrazol-5-yl]anilin
78	4-[(*E*)-2-(5-bifenyl-3-yl-1*H*-pyrazol-3-yl)etenyl]fenol
79	4-((*E*)-2-{5-[5-(4-metylpiperazin-1-yl)-1*H*-benzimidazol-2-yl]-1*H*-pyrazol-3-yl}etenyl)fenol

Generell administrasjon

Også beskrevet er farmasøytiske preparater omfattende en inhibitor av PI3K som beskrevet heri, og en farmasøytisk akseptabel bærer, eksipiens eller diluent. I visse andre spesifikke muligheter kan administrasjon spesifikt være via den orale rute. Administrasjon av de heri beskrevne forbindelser eller deres farmasøytisk akseptable salter, i ren form eller i et hensiktsmessig farmasøytisk preparat, kan utføres via hvilke som helst av de aksepterte

administrasjonsmåter eller midler for å tjene lignende nytte. Administrasjon kan således skje for eksempel oralt, nasalt, parenteralt (intravenøst, intramuskulært eller subkutant), topisk, transdermalt, intravaginalt, intravesikalt, intracisternalt eller rektalt i form av fast, halvfast, lyofilisert pulver, eller flytende doseringsformer, slik som for eksempel tabletter, stikkpiller, piller, myke elastiske gelatinkapsler og harde gelatinkapsler, pulvere, løsninger, suspensjoner eller aerosoler, eller lignende, spesifikt i enhetsdoseringsformer som er egnet for enkel administrasjon av nøyaktige doser. Ved behandling av hjernekreft, herunder glioblastomer, kan administrasjonen spesifikt skje ved å plassere en gliadel, et oppløselig materiale som inneholder det kjemoterapeutiske legemiddel (især BCNU), direkte inn i hjernetumorer under en operasjon.

Preparatene vil innbefatte en forbindelse ifølge formel I eller II som det/et aktivt middel og kan innbefatte en konvensjonell farmasøytisk bærer eller eksipiens og kan i tillegg ytterligere innbefatte andre medisinske midler og farmasøytiske midler som generelt administreres til en pasient som behandles for kreft.

Adjuvanser innbefatter preserverings-, fukte-, suspenderings-, søte-, smaks-, parfymerings-, emulgerings- og dispenseringsmidler. Forebygging av mikroorganismers innvirkning kan sikres ved hjelp av en rekke antibakterielle og antifungale midler, for eksempel parabener, klorbutanol, fenol, sorbinsyre og lignende. Det kan også være ønskelig å innbefatte isotoniske midler, for eksempel sukkere, natriumklorid og lignende. Forlenget absorpsjon av den injiserbare farmasøytiske form kan avstedkommes under anvendelse av midler som forsinker absorpsjon, for eksempel aluminiummonostearat og gelatin.

Hvis ønskelig kan et farmasøytisk preparat ifølge oppfinnelsen også inneholde mindre mengder hjelpesubstanser slik som fukte- eller emulgeringsmidler, pH-bufringsmidler, antioksidanter og lignende, slik som for eksempel sitronsyre, sorbitanmonolaurat, trietanolaminoleat, butylert[4] hydroksytoluen osv.

Valget av formulering avhenger av en rekke faktorer, slik som legemiddeladministrasjonsmåte (f.eks. til oral administrasjon, formuleringer i form av tabletter, piller eller kapsler) og legemiddelsubstansens biotilgjengelighet. I den senere tid har det blitt utviklet farmasøytiske formuleringer spesielt til legemidler som utviser dårlig biotilgjengelighet, basert på prinsippet at biotilgjengelighet kan økes ved å øke overflateområdet, dvs. ved å redusere partikkelstørrelse. Eksempelvis i US-patent nr. 4,107,288 beskrives en farmasøytisk formulering som har partikler i størrelsesområdet fra 10 til 1000 nm, hvor det aktive materiale støttes på en kryssbundet matriks av makromolekyler. I US-pat. nr. 5,145,684 beskrives

[4] O.a.: «butylalted» oversatt med «butylert».

produksjonen av en farmasøytisk formulering, hvor legemiddelsubstansen pulveriseres til nanopartikler (gjennomsnittlig partikkelstørrelse på 400 nm) i nærvær av en overflatemodifikator, og deretter dispergeres i et flytende medium for å gi en farmasøytisk formulering som utviser bemerkelsesverdig høy biotilgjengelighet.

Preparater egnet for parenteral injeksjon kan omfatte fysiologisk akseptable sterile vandige eller ikke-vandige løsninger, dispersjoner, suspensjoner eller emulsjoner, og sterile pulvere for rekonstituering til sterile injiserbare løsninger eller dispersjoner. Eksempler på egnede vandige og ikke-vandige bærere, diluenter, løsemidler eller vehikler innbefatter vann, etanol, polyoler (propylenglykol, polyetylenglykol, glyserol og lignende), egnede blandinger derav, vegetabilske oljer (slik som olivenolje) og injiserbare organiske estere slik som etyloleat. Passende fluiditet kan opprettholdes for eksempel under anvendelse av et belegg så som lecitin, gjennom opprettholdelsen av den påkrevede partikkelstørrelse i tilfellet for dispersjoner, og under anvendelse av surfaktanter.

Én spesifikk administrasjonsrute er oral, under anvendelse av et passende daglig doseringsregime som kan justeres i henhold til alvorlighetsgraden av sykdomstilstanden som skal behandles.

Faste doseringsformer for oral administrasjon innbefatter kapsler, tabletter, piller, pulvere og granuler. I slike faste doseringsformer blandes den aktive forbindelse med i det minste én inert vanlig eksipiens (eller bærer), slik som natriumcitrat eller dikalsiumfosfat eller (a) fyllstoffer eller ekstendere, som for eksempel stivelser, laktose, sukrose, glukose, mannitol og kiselsyre, (b) bindemidler, som for eksempel cellulosederivater, stivelse, alginater[5], gelatin, polyvinylpyrrolidon, sukrose og gummi arabicum, (c) humektanter, som for eksempel glyserol, (d) desintegrasjonsmidler, som for eksempel agar-agar, kalsiumkarbonat, potet- eller tapiokastivelse, alginsyre, kroskarmellosenatrium, komplekse silikater og natriumkarbonat, (e) løsningsretarderingsmidler, som for eksempel parafin, (f) absorpsjonsakseleratorer, som for eksempel kvaternære ammoniumforbindelser, (g) fuktemidler, som for eksempel cetylalkohol, og glyserolmonostearat, magnesiumstearat og lignende, (h) adsorpsjonsmidler, som for eksempel kaolin og bentonitt, og (i) smøremidler, som for eksempel talkum, kalsiumstearat, magnesiumstearat, faste polyetylenglykoler, natriumlaurylsulfat, eller blandinger derav. I tilfellet av kapsler, tabletter og piller kan doseringsformene også omfatte bufringsmidler.

Faste doseringsformer som beskrevet over, kan fremstilles med belegg og skall, slik som enteriske belegg og andre som er velkjente innenfor teknikken. De kan inneholde opasifiserende midler, og kan også være av en slik sammensetning at de frigjør den aktive

[5] O.a.: «alignates» oversatt med «alginater».

forbindelse eller forbindelser i en bestemt del av fordøyelseskanelen på en forsinket måte. Eksempler på innkapslede sammensetninger som kan anvendes, innbefatter polymere substanser og voks. De aktive forbindelser kan også være i mikroinnkapslet form, hvis det er hensiktsmessig, med én eller flere av de ovennevnte eksipienser.

Flytende doseringsformer for oral administrasjon innbefatter farmasøytisk akseptable emulsjoner, løsninger, suspensjoner, siruper og eliksirer. Slike doseringsformer fremstilles for eksempel ved oppløsning, dispergering osv. av en forbindelse(r) ifølge oppfinnelsen, eller et farmasøytisk akseptabelt salt eller solvat derav, og valgfritt farmasøytiske adjuvanser i en bærer, slik som for eksempel vann, saltløsning, vandig dekstrose, glyserol, etanol og lignende; løsningshjelpemidler og emulgeringsmidler som for eksempel etylalkohol, isopropylalkohol, etylkarbonat, etylacetat, benzylalkohol, benzylbenzoat, propylenglykol, 1,3-butylenglykol, dimetylformamid; oljer, især bomullsfrøolje, jordnøttolje, maisolje, olivenolje, lakserolje og sesamolje, glyserol, tetrahydrofurfurylalkohol, polyetylenglykoler og fettsyreestere av sorbitan; eller blandinger av disse stoffer og lignende, for dermed å danne en løsning eller suspensjon.

Suspensjoner, i tillegg til de aktive forbindelser, kan inneholde suspenderingsmidler som for eksempel etoksylerte isostearylalkoholer, polyoksyetylensorbitol og sorbitanestere, mikrokrystallinsk cellulose, aluminiummetahydroksid, bentonitt, agar-agar og tragant, eller blandinger av disse stoffer, og lignende.

Preparater for rektale administrasjoner er for eksempel stikkpiller, som kan fremstilles ved å blande forbindelsene ifølge den foreliggende oppfinnelse med for eksempel egnede ikke-irriterende eksipienser eller bærere, slik som kakaosmør, polyetylenglykol eller en stikkpillevoks, som er faste ved vanlige temperaturer, men flytende ved kroppstemperatur og derfor smelter i et egnet kroppshulrom og frigjør den aktive komponent deri.

Doseringsformer for topisk administrasjon av en forbindelse ifølge denne forbindelse innbefatter salver, pulvere, spray og inhalasjonsmidler. Den aktive komponent blandes under sterile forhold med en fysiologisk akseptabel bærer og eventuelle preservativer, bufringsmidler eller propellanter, etter hva som er påkrevet. Oftalmiske formuleringer, øyesalver, pulvere og løsninger betraktes også som værende innenfor foreliggende oppfinnelses omfang.

Komprimerte gasser kan også anvendes til å dispergere en forbindelse ifølge denne oppfinnelsen i aerosol form. Inerte gasser som egner seg til dette formål, er nitrogen, karbondioksid osv.

Generelt, avhengig av tiltenkt administrasjonsmåte, vil de farmasøytisk akseptable preparater inneholde cirka 1 vekt% til cirka 99 vekt% av en forbindelse(r) ifølge oppfinnelsen, eller et farmasøytisk akseptabelt salt eller solvat derav, og 99 vekt% til 1 vekt% av en egnet farmasøytisk eksipiens. I ett eksempel vil preparatet være på mellom cirka 5 vekt% og cirka 75 vekt% av en forbindelse(r) beskrevet heri, eller et farmasøytisk akseptabelt salt eller solvat derav, idet resten er egnede farmasøytiske eksipienser.

Faktiske fremgangsmåter for fremstilling av slike doseringsformer er kjente for eller vil være innlysende for fagfolk; se for eksempel Remington's Pharmaceutical Sciences, 18th Ed., (Mack Publishing Company, Easton, Pa., 1990). Preparatet som skal administreres, vil i alle tilfeller inneholde en terapeutisk effektiv mengde av en heri beskrevet forbindelse, eller et farmasøytisk akseptabelt salt eller solvat derav, for behandling av en sykdomstilstand i overensstemmelse med denne oppfinnelsens lære.

De heri beskrevne forbindelser eller deres farmasøytisk akseptable salter administreres i en terapeutisk effektiv mengde som vil variere avhengig av en mangfoldighet av faktorer, herunder den spesifikke anvendte forbindelses aktivitet, forbindelsens metabolske stabilitet og virkningslengde, alderen, kroppsvekt, generell helsetilstand, kjønn, kosthold, administrasjonsmåte og tidspunkt for administrasjon, ekskresjonshastighet, legemiddelkombinasjon, de enkelte sykdomstilstanders alvorlighetsgrad, og verten som gjennomgår behandling. Forbindelsene kan administreres til en pasient på doseringsnivåer i området på cirka 0,1 til cirka 1000 mg per dag. For et normalt voksent menneske med en kroppsvekt på cirka 70 kilo, er en dosering på i området fra cirka 0,01 til cirka 100 mg per kilo kroppsvekt per dag et eksempel. Den anvendte spesifiserte dosering kan imidlertid variere. Eksempelvis kan doseringen avhenge av en rekke faktorer, herunder pasientens behov, alvorligheten av tilstanden som behandles, og den farmakologiske aktivitet til forbindelsen som anvendes. Fastsettelsen av optimale doseringer for en bestemt pasient er velkjent for fagfolk.

Hvis formulert som en fast dose, benytter slike kombinasjonsprodukter de heri beskrevne forbindelser innenfor de ovenfor beskrevne doseringsområder og med de(t) øvrige farmasøytisk aktiv(e) middel(midler) innenfor deres godkjente doseringsområde. Heri beskrevne forbindelser kan alternativt anvendes sekvensielt med kjent(e) farmasøytisk(e) middel(midler) når en kombinasjonsformulering er uhensiktsmessig.

Representative farmasøytiske formuleringer som inneholder en forbindelse ifølge formel I eller II, beskrives nedenfor i eksemplene på farmasøytiske preparater.

ANVENDBARHET

Visse forbindelser ifølge formel I har blitt testet under anvendelse av assayet som beskrives i biologisk eksempel 1, og har blitt fastslått som PI3K-inhibitorer. Siden slike forbindelser ifølge formel I er nyttige til å behandle sykdommer, især kreft, hvor PI3K-aktivitet bidrar til sykdommens patologi og/eller symptomatologi. Kreft hvor PI3K-aktivitet bidrar til sykdommens patologi og/eller symptomatologi innbefatter for eksempel brystkreft, tykktarmskreft, endetarmskreft, endometriekreft, gastrisk karsinom, glioblastom, hepatocellulært karsinom, småcellet lungekreft, ikke-småcellet lungekreft, melanom, eggstokkreft, bukspyttkjertelkreft, prostatakarsinom, akutt myelogen leukemi (AML), kronisk myelogen leukemi (CML) og tyreoideakarsinom, og lignende.

Egnede *in vitro*-assayer for å måle PI3K-aktivitet og inhiberingen derav av forbindelser, er kjent. Assayet vil vanligvis måle PI3K-indusert ATP-forbruk. For flere detaljer om et *in vitro*-assay for måling av PI3K-aktivitet, se biologiske eksempler, eksempel 1 nedenfor. Cellulær aktivitet kan bestemmes under anvendelse av assayer som beskrevet i biologiske eksempler 2, 3 og 4 nedenfor. Egnede *in vivo*-modeller av kreft er kjent for fagfolk. For ytterligere detaljer om *in vivo*-assayer, se biologiske eksempler 5-10 nedenfor. Eksempler som beskriver administreringen av en forbindelse ifølge formel I i kombinasjon med antikreftmidler, er beskrevet i biologiske eksempler 11-14 nedenfor. Ved å følge de heri beskrevne eksempler så vel som det som beskrives innenfor teknikken, kan en fagmann fastslå hvilke kombinasjoner av en forbindelse ifølge formel I og antikreftmidler som vil være effektive for behandling av kreft.

FREMSTILLINGER AV MELLOMPRODUKTENE OG FORBINDELSENE IFØLGE OPPFINNELSEN

Heri beskrevne forbindelser kan fremstilles ved hjelp av de syntetiske prosedyrer som er beskrevet i WO 2007/044729.

Utgangsmaterialene og reagensene som anvendes i fremstillingen av disse forbindelsene, er enten tilgjengelige fra kommersielle leverandører slik som Aldrich Chemical Co. (Milwaukee, Wis.), eller Bachem (Torrance, Calif.), eller fremstilles ved hjelp av fremgangsmåter som er kjente for fagfolk etter prosedyrer som legges frem i referanser slik som Fieser and Fieser's Reagents for Organic Synthesis, Volumes 1-17 (John Wiley and Sons, 1991); Rodd's Chemistry of Carbon Compounds, Volumes 1-5 and Supplementals (Elsevier Science Publishers, 1989); Organic Reactions, Volumes 1-40 (John Wiley and Sons, 1991), March's Advanced Organic Chemistry (John Wiley and Sons, 4th Edition) og Larock's Comprehensive

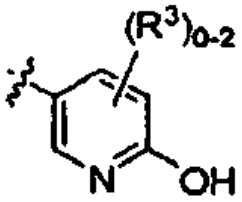
Organic Transformations (VCH Publishers Inc., 1989). Disse skjemaene er kun ment å illustrere noen av fremgangsmåtene ved hjelp av hvilke den foreliggende oppfinnelse kan syntetiseres, og en rekke modifikasjoner til disse skjemaene kan gjøres og vil bli anskueliggjort for fagfolk under henvisning til denne fremleggelse. Utgangsmaterialene og mellomproduktene av reaksjonen kan isoleres og renses ved behov under anvendelse av konvensjonelle teknikker, herunder, men ikke begrenset til, frafiltrering, destillering, krystallisering, kromatografi og lignende. Slik materialer kan karakteriseres under anvendelse av konvensjonelle midler, herunder fysikalske konstanter og spektraldata.

Med mindre annet er angitt, finner de heri beskrevne reaksjoner sted ved atmosfæretrykk og i et temperaturområde på fra cirka -78°C til cirka 150°C, i en annen utførelsesform på fra cirka 0°C til cirka 125°C, og nærmere bestemt ved cirka romtemperatur (eller omgivelsestemperatur), f.eks. cirka 20°C. Med mindre annet er angitt (som i tilfellet av en hydrogenering), utføres alle reaksjoner i en nitrogenatmosfære.

Prodrugs kan fremstilles ved hjelp av teknikker som er kjente for fagfolk. Disse teknikkene modifiserer generelt formålstjenlige funksjonelle grupper i en gitt forbindelse. Disse modifiserte funksjonelle grupper regenererer originale funksjonelle grupper ved rutinemanipulasjon eller *in vivo.* Amider og estere av de heri beskrevne forbindelser kan fremstilles i overensstemmelse med konvensjonelle fremgangsmåter. En grundig drøfting av prodrugs er tilveiebrakt i T. Higuchi og V. Stella, «Pro-drugs as Novel Delivery Systems,» Vol 14 i A.C.S. Symposium Series, og i Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987.

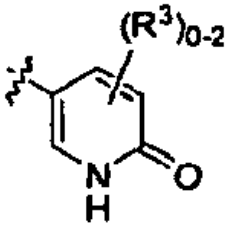
Forbindelsene for anvendelse i oppfinnelsen, eller deres farmasøytisk akseptable salter, kan ha asymmetriske karbonatomer eller kvaternære nitrogenatomer i sin struktur. Forbindelser ifølge formel I som kan fremstilles gjennom den heri beskrevne syntese, kan finnes som single stereoisomerer, racemater, og som blandinger av enantiomerer og diastereomerer. Forbindelsene kan også finnes som geometriske isomerer. Single stereoisomerer, racemater og blandinger derav, og geometriske isomerer av forbindelsen ifølge krav 1, kan anvendes innenfor oppfinnelsens omfang. Noen av forbindelsene for anvendelse i oppfinnelsen kan finnes som tautomerer. For eksempel når et keton eller aldehyd er til stede, kan molekylet finnes i enolformen; når et amid er til stede, kan molekylet finnes som imidsyren; og når et enamin er til stede, kan molekylet finnes som et imin. Slike tautomerer kan anvendes innenfor oppfinnelsens omfang.

B kan være 2-hydroksypyridinyl, også beskrevet som sin struktur:



14.

Både 2-hydroksypyridinyl og strukturen **14** over innbefatter, og er ekvivalente med, pyridin-2(1*H*)-on og dens struktur **15**:



15.

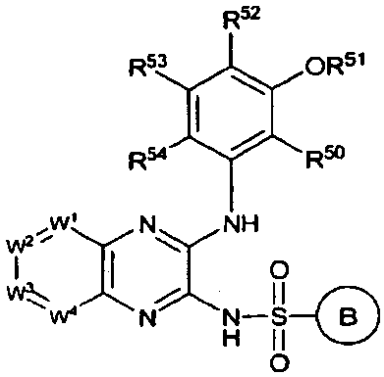
Også beskrevet er N-oksidderivater og beskyttede derivater av forbindelser ifølge formel I. Eksempelvis når forbindelser ifølge formel I inneholder et oksiderbart nitrogenatom, kan nitrogenatomet omdannes til et N-oksid ved hjelp av fremgangsmåter som er velkjente innenfor teknikken. Når forbindelser ifølge formel I inneholder grupper slik som hydroksy, karboksy, tiol eller en hvilken som helst gruppe som inneholder et nitrogenatom(er), kan disse grupper beskyttes med en egnet «beskyttelsesgruppe» eller «beskyttende gruppe». En uttømmende liste over egnede beskyttelsesgrupper finnes i T.W. Greene, *Protective Groups in Organic Synthesis*, John Wiley & Sons, Inc. 1991. De beskyttede derivater av forbindelser ifølge formel I kan fremstilles ved hjelp av fremgangsmåter som er velkjente innenfor teknikken.

Fremgangsmåter for fremstillingen og/eller separering og isolering av single stereoisomerer fra racemiske blandinger eller ikke-racemiske blandinger av stereoisomerer, er velkjente innenfor teknikken. Eksempelvis kan optisk aktive (R)- og (S)- isomerer fremstilles under anvendelse av kirale syntoner eller kirale reagenser, eller resolveres under anvendelse av konvensjonelle teknikker. Enantiomerer (R- og S-isomerer) kan resolveres ved fremgangsmåter som er kjente for fagfolk, for eksempel ved: danning av diastereoisomere salter eller komplekser som kan separeres, for eksempel ved krystallisering; via dannelse av diastereoisomere derivater som kan separeres for eksempel ved krystallisering, selektiv reaksjon av én enantiomer med en enantiomer-spesifikk reagens, for eksempel enzymatisk oksidasjon eller reduksjon, etterfulgt av separering av de modifiserte og umodifiserte enantiomerer; eller gass-væske- eller væske-kromatografi i et kiralt miljø, for eksempel på en kiral støtte, slik som silika med en bundet kiralligand eller i nærvær av et kiralt løsemiddel. Det

er klart at der hvor en ønsket enantiomer omdannes til en annen kjemisk enhet ved hjelp av én av de ovenfor beskrevne separeringsprosedyrer, kan et ytterligere trinn være nødvendig for å frigjøre den ønskede enantiomere form. Alternativt kan spesifikk enantiomer syntetiseres ved asymmetrisk syntese under anvendelse av optisk aktive reagenser, substrater, katalysatorer eller løsemidler eller ved omdanning på enantiomer til den annen ved asymmetrisk transformasjon. For en blanding av enantiomerer, beriket i en bestemt enantiomer, kan hovedkomponentenantiomeren berikes ytterligere (med medfølgende tap i utbytte) ved rekrystallisering.

I tillegg kan forbindelser til anvendelse i den foreliggende oppfinnelse finnes i usolvatiserte så vel som solvatiserte former med farmasøytisk akseptable løsemidler slik som vann, etanol og lignende. Generelt betraktes de solvatiserte former som ekvivalente med de usolvatiserte former for den foreliggende oppfinnelses formål.

I forbindelser ifølge formel I



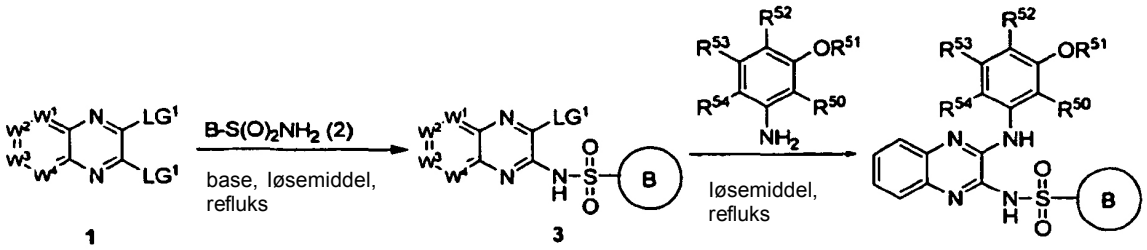
er hydrogenet på -NHS(O)$_2$- gruppen svært acidisk. Mellomprodukter som fører til forbindelser ifølge formel I så vel som selve forbindelsene ifølge formel I, kan således gjenvinnes som uladde eller zwitterionske molekyler, eller kationiske salter slik som et natrium eller kalium, avhengig av substitusjonene på B-ringen og av reaksjonsbetingelsene. I eksemplene som følger, med mindre annet er angitt, ble det antatt at forbindelsens endelig form var det uladde molekyl i fraværet av analytiske teknikker som kunne ha fastslått annet.

Forbindelser ifølge formel I kan fremstilles under anvendelse av fremgangsmåter som er kjente for fagfolk. I en annen utførelsesform er det kjent at fusjon av egnede reagenser ved 180°C i nærvær av en base slik som K_2CO_3 og metallisk kobber, tilveiebringer mellomprodukter ifølge formel I (se S. H. Dandegaonker og C. K. Mesta, *J. Med. Chem.* **1965**, *8*, 884).

Alternativt kan mellomproduktet ifølge formel 3 fremstilles i overensstemmelse med skjemaet nedenfor, hvor hver LG^1 er en gruppe som byttes ut eller fjernes i en kjemisk reaksjon (i én

utførelsesform halo, i en annen utførelsesform klor), og alle andre grupper er som definert i den detaljerte beskrivelse av oppfinnelsen.

Skjema 1



I skjema 1 kan et mellomprodukt ifølge formel 3 fremstilles ved kort å varme opp kommersielt tilgjengelig 2,3-diklorkinoksalin og et mellomprodukt ifølge formel 2 (som er kommersielt tilgjengelig eller kan fremstilles av en fagmann), en base slik som K_2CO_3, i et løsemiddel, slik som DMF eller DMSO. Etter fullføring (cirka 2 timer) helles reaksjonsblandingen i vann og etterfølges av 2 N HCl. Produktet ekstraheres deretter inn i et løsemiddel slik som etylacetat, og vaskes med vann og saltlake. De organiske lag kombineres og tørkes over et tørkemiddel slik som natriumsulfat, filtreres og konsentreres under vakuum.

Mellomproduktet ifølge formel 3 behandles deretter med et mellomprodukt ifølge formel 4 i et løsemiddel slik som DMF eller p-xylen ved reflukstemperatur. Etter fullføring av reaksjonen (cirka 16 timer eller mindre) avkjøles reaksjonen, ekstraheres inn i DCM, vaskes med 2 N HCl og saltlake, tørkes over et tørkemiddel slik som natriumsulfat eller magnesiumsulfat, filtreres og konsentreres for å gi en forbindelse ifølge formel I.

Alternativt er andre fremgangsmåter for å fremstille kinoksalinderivater kjente for fagfolk og innbefatter, men er ikke begrenset til, S. V. Litvinenko, V. I. Savich, D. D. Bobrovnik, *Chem. Heterocycl. Compd*. (Engl. Transl), **1994**, *30*, 340 og W. C. Lumma, R. D. Hartman, *J. Med. Chem.* **1981**, *24*, 93.

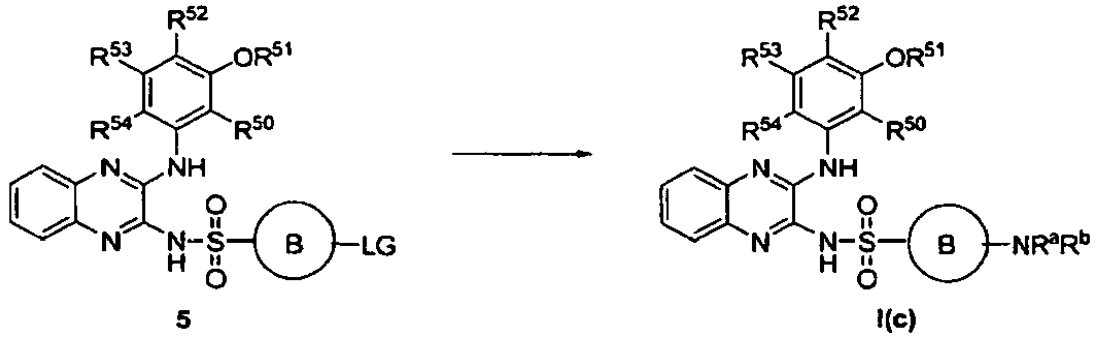
De følgende forbindelser ble fremstilt på en lignende måte som det ovenfor beskrevne.
Eksempel 1: *N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid.
Eksempel 2: *N*-(3-{[2,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-4-klorbenzensulfonamid.
Eksempel 3: *N*-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid.
Eksempel 4: 4-klor-*N*-(3-klorkinoksalin-2-yl)benzensulfonamid.
Eksempel 5: 4-klor-*N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid.
^{1}H NMR (400 MHz, DMSO-d_6) δ 9,18 (s, 1H), 8,78 (s, 1H), 8,40-8,60 (m, 3H), 7,98 (t, 2H), 7,62 (d, 1H), 7,41 (m, 2H), 6,98 (d, 1H), 6,59 (d, 1H), 3,78 (s, 3H), 3,76 (s, 3H); MS (EI) m/z for $C_{22}H_{19}N_5O_6S$: 482,1 (MH^+).

Eksempel 6: *N*-(3-(2,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 12,68 (br s, 1H), 9,18 (s, 1H), 8,55 (s, 1H), 8,08 (d, 2H), 7,98 (d, 1H), 7,78 (d, 2H), 7,62 (dd, 1H), 7,40 (m, 2H), 7,00 (d, 1H), 6,60 (dd, 1H), 3,78 (s, 6H) ; MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 471,1 (MH^+).

Eksempel 7: *N*-(3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,0 (br s, 1 H), 10,6 (s, 1 H), 10,0 (br s, 1 H), 9,52 (s, 1 H), 8,91 (d, 1 H), 8,25 (d, 1 H), 7,69 (dd, 1H), 7,47 (m, 1 H), 7,39 (d, 1 H), 7,16 (m, 3 H), 6,01 (dd, 1 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

Forbindelser ifølge formel I, hvor B er fenyl substituert med R^{3a}, hvor R^{3a} er alkylamino eller dialkylamino, eller B er heteroaryl substituert med R^3, hvor R^3 er amino, alkylamino eller dialkylamino, og alle andre grupper er som definert i den korte beskrivelse av oppfinnelsen, kan fremstilles i henhold til skjema 2.

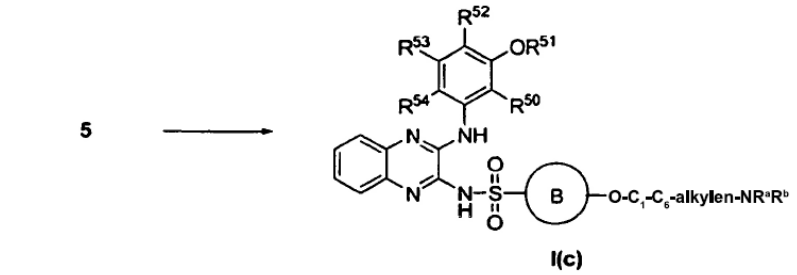
Skjema 2



LG er en gruppe som byttes ut eller fjernes i en kjemisk reaksjon, slik som klor. **5** bringes til å reagere med NHR^aR^b eller HO-C_1-C_6-alkylen-NHR^aR^b, hvor R^a og R^b er uavhengig hydrogen eller alkyl. Reaksjonen utføres i nærvær av en base, slik som $KHCO_3$, i et løsemiddel slik som DMF.

Forbindelser ifølge formel I, hvor B er fenyl substituert med R^{3a}, hvor R^{3a} er aminoalkyloksy, alkylaminoalkyloksy eller dialkylaminoalkyloksy, eller B er heteroaryl substituert med R^3, hvor R^3 er aminoalkyloksy, alkylaminoalkyloksy eller dialkylaminoalkyloksy, og alle andre grupper er som definert i den korte beskrivelse av oppfinnelsen, kan fremstilles i henhold til skjema 3.

Skjema 3



Reaksjonen utføres i nærvær av en base, slik som NaH, i et løsemiddel, slik som DMF.

Forbindelser ifølge formel I, hvor B er fenyl substituert med R^{3a} eller B er heteroaryl substituert med R^3, hvor R^{3a} og R^3 er

i. $-N(R^7)C(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$, hvor R^7, R^{7a} og R^{7b} er som definert i den korte beskrivelse av oppfinnelsen;

ii. $-NR^9C(O)R^{9a}$, hvor R^9 er som definert i den korte beskrivelse av oppfinnelsen;

iii. $-NR^{11}C(O)NR^{11a}R^{11b}$, hvor R^{11a}, R^{11a} og R^{11b} er som definert i den korte beskrivelse av oppfinnelsen;

iv. $-NR^{13}C(O)OR^{13a}$, hvor R^{13} og R^{13a} er som definert i den korte beskrivelse av oppfinnelsen;

v. $-N(R^{18})C(O)-C_1-C_6$-alkylen-$N(R^{18b})C(O)R^{18a}$, hvor R^{18}, R^{18a} og R^{18b} er som definert i den korte beskrivelse av oppfinnelsen;

vi. $-N(R^{20})C(O)-C_1-C_6$-alkylen-$C(O)R^{20a}$, hvor R^{20} og R^{20a} er som definert i den korte beskrivelse av oppfinnelsen;

vii. $-NR^{21}S(O)_2-C_1-C_6$-alkylen-$N(R^{21b})R^{21a}$, hvor R^{21}, R^{21a} og R^{21b} er som definert i den korte beskrivelse av oppfinnelsen;

viii. $-N(R^{22})C(O)-C_0-C_6$-alkylen-$N(R^{22b})-N(R^{22c})(R^{22a})$, hvor R^{22}, R^{22a} and R^{22b} er som definert i den korte beskrivelse av oppfinnelsen;

ix. $-NR^{24}C(O)-C_1-C_6$-alkylen-OR^{24}, hvor R^{24} og R^{24a} er som definert i den korte beskrivelse av oppfinnelsen;

og hvor alkylenet i R^3 og R^{3a} er uavhengig valgfritt substituert som beskrevet i den korte beskrivelse av oppfinnelsen, kan fremstilles i henhold til skjema 4 ved å bringes til å reagere med et mellomprodukt ifølge formel 9(a), 9(b), 9(c), 9(d), 9(e), 9(f) eller 9(g):

9(a) $HOC(O)-C_1-C_6$-alkylen-$N(R^{7a})(R^{7b})$, hvor R^a er R^{7a} eller en N-beskyttende gruppe, slik som Boc eller Fmoc;

9(b) $HOC(O)R^{9a}$;

9(c) $HOC(O)NR^{11a}R^{11b}$;

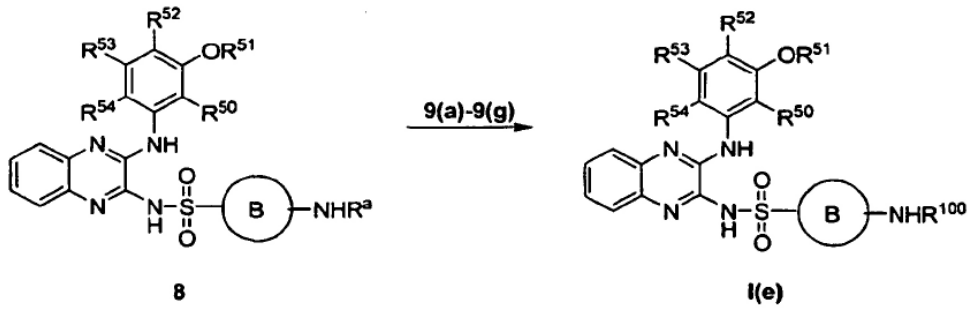
9(d) $HOC(O)OR^{13a}$;

9(e) $HOC(O)-C_1-C_6$-alkylen-$N(R^{18b})C(O)R^{18a}$;

9(f) $HOC(O)-C_1-C_6$-alkylen-$C(O)R^{20a}$;

9(g) LG-S(O)$_2$-C$_1$-C$_6$-alkylen-N(R^{21b})R^a, hvor R^a er R^{21a} eller en N-beskyttende gruppe, slik som Boc eller Fmoc.

Skjema 4

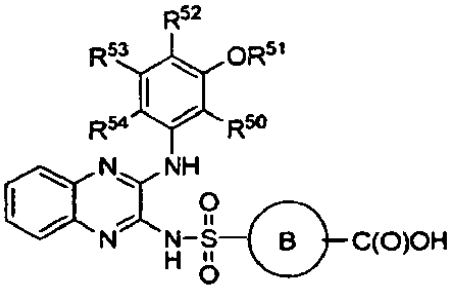


R^{100} i skjema 4 er -C(O)R^{9a}, -C(O)NR^{11a}R^{11b}, -C(O)OR^{13a}, -C(O)-C$_1$-C$_6$-alkylen-N(R^{18b})C(O)R^{18a}, -C(O)-C$_1$-C$_6$-alkylen-C(O)R^{20a} eller -S(O)$_2$-C$_1$-C$_6$-alkylen-N(R^{21b})R^a. Reaksjonen utføres under standard amidkoblingsbetingelser som er kjente for fagfolk. Reaksjonen utføres især i nærvær av et koplingsmiddel, slik som HATU, en base, slik som DIEA, og i et løsemiddel, slik som DMF. Hvis relevant fjernes den N-beskyttende gruppe under anvendelse av prosedyrer som er kjente for fagfolk, slik som å behandle med syre hvor PG er Boc.

Idet man går frem som beskrevet for skjema 4, kan forbindelser ifølge oppfinnelsen hvor B er fenyl substituert med R^{3a}, eller B er heteroaryl substituert med R^3, hvor R^{3a} og R^3 er

a) -C(O)NR^{8}R^{8a};
b) -C(O)N(R^{10})-C$_1$-C$_6$-alkylen-N(R^{10a})R^{10b};
c) -C(O)R^{12}, hvor R^{12} er et N-substituert heterosykloalkyl;
d) -C(O)N(R^{14})N(R^{14a})(R^{14b});
e) -C(O)N(R^{16})-C$_1$-C$_6$-alkylen-C(O)OR^{16a}; eller
f) -C(O)N(R^{19})-C$_1$-C$_6$-alkylen-C(O)R^{19a}; eller

fremstilles ved å bytte utgangsmaterialene etter behov. Især mellomproduktet ifølge formel 11:

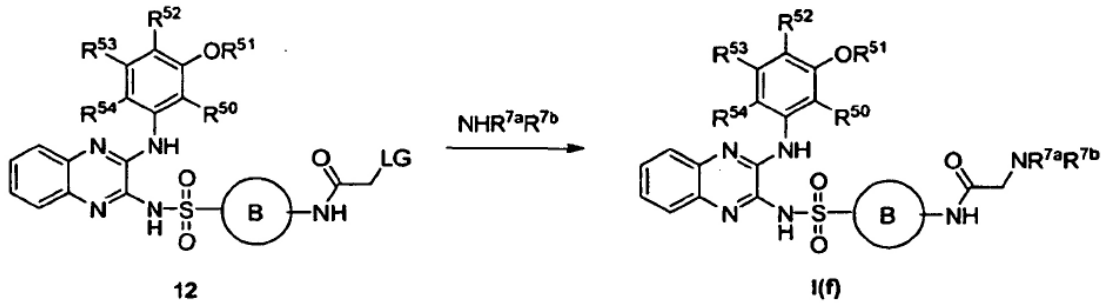


11

anvendes i stedet for **8**.

Forbindelser ifølge formel I, hvor B er fenyl substituert med R^{3a}, eller B er heteroaryl substituert med R^3, hvor R^{3a} og R^3 er -NHC(O)$CH_2NR^{7a}R^{7b}$, hvor R^{7a} og R^{7b} er som definert i den korte beskrivelse av oppfinnelsen, kan fremstilles i overensstemmelse med skjema 5.

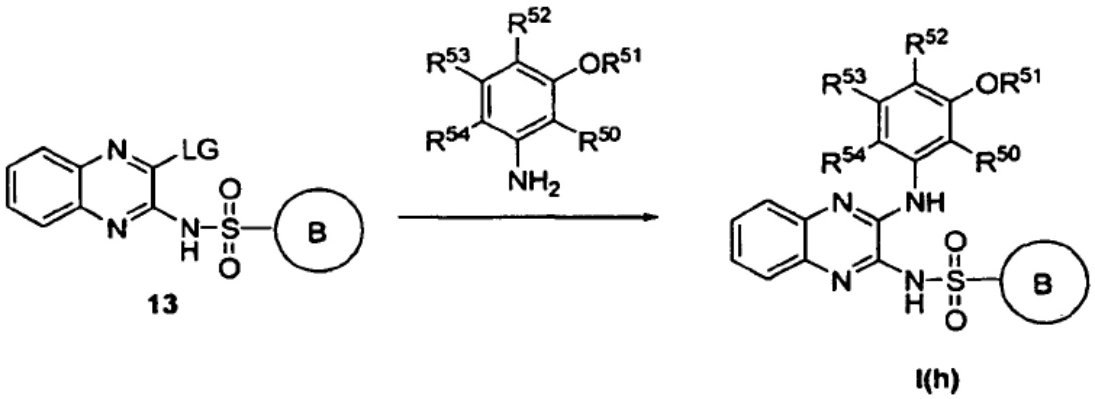
Skjema 5



LG er en gruppe som byttes ut eller fjernes i en kjemisk reaksjon, slik som brom eller klor. **12** bringes til å reagere med NH(R^{7b})R^{7a} i nærvær av en base, slik som DIEA, i et løsemiddel, slik som ACN.

Forbindelser ifølge formel I kan fremstilles i overensstemmelse med skjema 6.

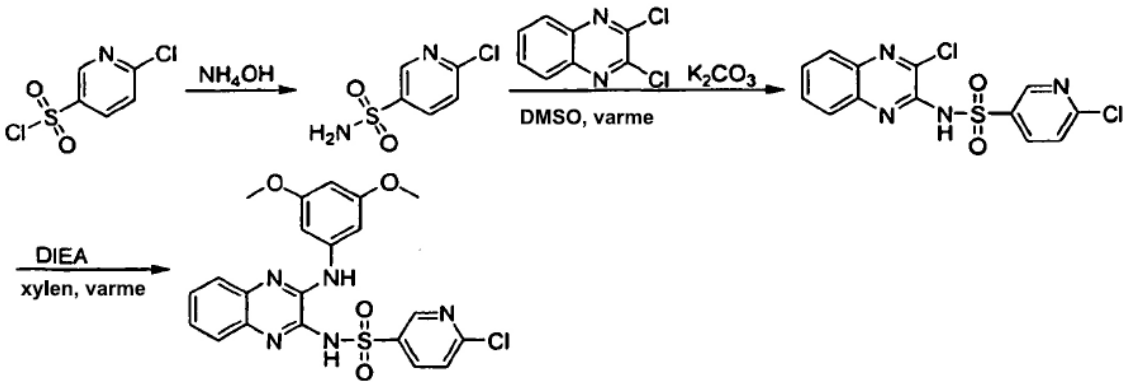
Skjema 6



LG i skjema 6 er en gruppe som byttes ut eller fjernes i en kjemisk reaksjon, slik som klor. Reaksjonen kan utføres ved bestråling i et løsemiddel, slik som DMA. Alternativt kan reaksjonen utføres i nærvær av eddiksyre i et løsemiddel, slik som DMA, og ved oppvarming.

Eksempel 8

6-klor-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)pyridin-3-sulfonamid



6-klorpyridin-3-sulfonamid. 6-klorpyridin-3-sulfonylklorid (4,1 g, 19,3 mmol) ble omrørt i ammoniumhydroksid (30 mL) ved romtemperatur i 2 hr. Reaksjonsblandingen ble fortynnet med EtOAc (150 mL) og eventuelt uoppløselig materiale frafiltrert. Filtratet ble overført til en skilletrakt, og fasene ble separert. Vannfasen ble ytterligere ekstrahert med EtOAc (1 x 15 mL). De kombinerte EtOAc-ekstraksjoner ble vasket med H_2O (1 x 50 mL) og mettet NaCl (1 x 50 mL), tørket over Na_2SO_4, og konsentrert *in vacuo* for å gi 6-klorpyridin-3-sulfonamid (2,58 g, 69%). MS (EI) m/z for $C_5H_5Cl_2N_2O_2S$: 190,9 (MH^-).

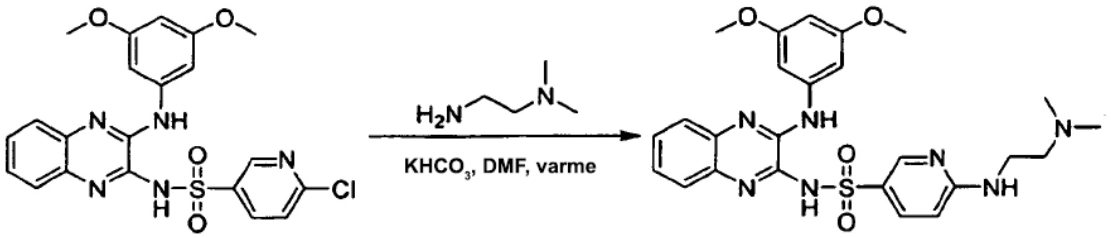
6-klor-*N*-(3-klorkinoksalin-2-yl)pyridin-3-sulfonamid. 2,3-diklorkinoksalin (1,09 g, 5,48 mmol), 6-klorpyridin-3-sulfonamid (1,05 g, 5,45 mmol), K_2CO_3 (753 mg, 5,45 mmol) og tørr DMSO (30 mL) ble kombinert og oppvarmet til 150°C under kraftig omrøring i 3-4 hr. Reaksjonsblandingen ble avkjølt til romtemperatur, deretter helt i 1% AcOH i isvann (300 mL) under kraftig omrøring. De resulterende faste stoffene ble frafiltrert, vasket med H_2O og tørket under høyt vakuum for å gi 6-klor-*N*-(3-klorkinoksalin-2-yl)pyridin-3-sulfonamid (1,87 g, 96%). MS (EI) m/z for $C_{13}H_8Cl_2N_4O_2S$: 354,99 (MH^+).

6-klor-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)pyridin-3-sulfonamid. 6-klor-*N*-(3-klorkinoksalin-2-yl)pyridin-3-sulfonamid (775 mg, 2,2 mmol), 3,5-dimetoksyanilin (355 mg, 2,3 mmol) og toluen (12 mL) ble kombinert og oppvarmet til 125°C med omrøring over natten. Reaksjonen ble avkjølt til romtemperatur, og fortynnet med Et_2O under kraftig omrøring. De resulterende faste stoffene ble frafiltrert, vasket med Et_2O, og tørket for å gi 6-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)pyridin-3-sulfonamid (920 mg, 89%). ^1H NMR (400 MHz, DMSO-d_6) δ 12,20 (br s, 1H), 9,12 (d, 1H), 9,01 (br s, 1H), 8,53 (dd, 1H), 7,91 (br d, 1H), 7,77 (d, 1H), 7,60 (dd, 1H), 7,40 (m, 4H), 6,26 (m, 1H), 3,78 (s, 6H). MS (EI) m/z for

$C_{21}H_{18}ClN_5O_4S$: 472,0 (MH^+).

Eksempel 9

***N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-(2-(dimetylamino)-etylamino)pyridin-3-sulfonamid**

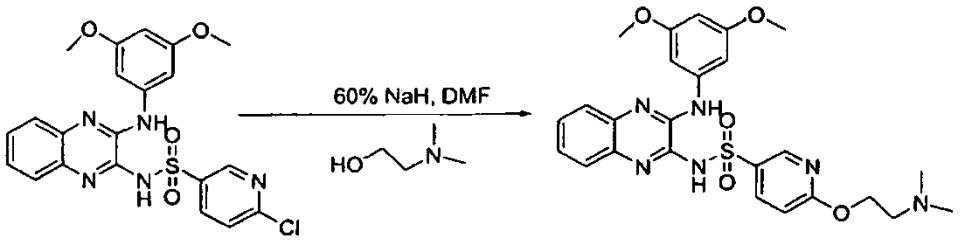


6-klor-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-pyridin-3-sulfonamid (100 mg, 0,21 mmol), fremstilt under anvendelse av prosedyrer som er lignende de som anvendes i eksempel 8, $KHCO_3$ (40 mg, 0,40 mmol), N^1,N^1-dimetyletan-1,2-diamin (225 µL, 2,0 mmol) og tørr DMF (1,0 mL) ble kombinert og varmet opp til 130°C med omrøring over natten. Reaksjonsblandingen ble konsentrert *in vacuo* og renset ved preparativ HPLC for å gi *N*-(3-(3,5-dimetoksy-fenylamino)-kinoksalin-2-yl)-6-(2-(dimetylamino)etylamino)pyridin-3-sulfonamid (21,0 mg, 19%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8,76 (br s, 1H), 8,63 (d, 1H), 8,07 (dd, 1H), 7,40 (m, 1H), 7,34 (m, 1H), 7,28 (d, 2H), 7,14 (m, 4H), 6,47 (d, 1H), 6,12 (m, 1H), 3,75 (s, 6H), 3,35 (m, 2H), 3,14 (m, 2H), 2,74 (s, 6H). MS (EI) m/z for $C_{25}H_{29}N_7O_4S$: 524,1 (MH^+).

Eksempel 10: *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-(dimetylamino)pyridin-3-sulfonamid ble fremstilt under anvendelse av prosedyrer som ligner de som anvendes i eksempel 9. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,00 (br s, 1H), 8,92 (br s, 1H), 8,74 (d, 1H), 8,10 (dd, 1H), 7,38 (br s, 1H), 7,54 (m, 1H), 7,33 (m, 4H), 6,70 (d, 1H), 6,22 (s, 1H), 3,77 (s, 6H), 3,08 (s, 6H). MS (EI) m/z for $C_{23}H_{24}N_6O_4S$: 481,1 (MH^+).

Eksempel 11

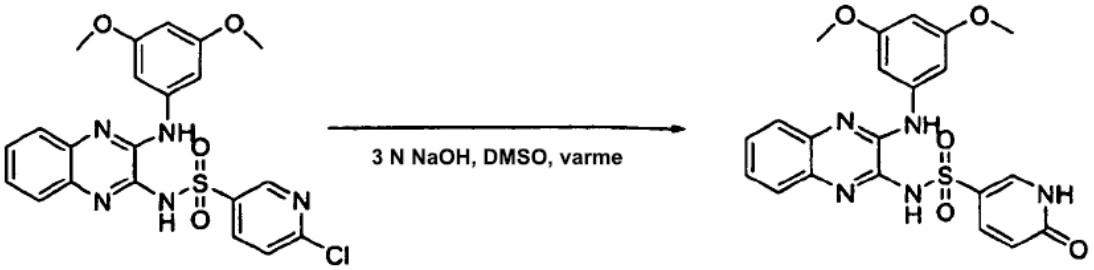
***N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-(2-(dimetylamino)-etoksy)pyridin-3-sulfonamid**



N-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)pyridin-3-sulfonamid (100 mg, 0,21 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet over i eksempel 1, 2-(dimetylamino)etanol (50 µL, 0,50 mmol) og tørr DMF ble kombinert, og 60% NaH i olje (80 mg, 2,0 mmol) ble tilsatt. Blandingen ble omrørt ved romtemperatur over natten. Reaksjonsblandingen ble konsentrert in vacuo og renset ved preparativ HPLC for å gi *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-(2-(dimetylamino)etoksy)pyridin-3-sulfonamid (23 mg, 21%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8,78 (d, 1H), 8,73 (s, 1H), 8,38 (dd, 1H), 7,40 (dd, 1H), 7,31 (m, 3H), 7,14 (m, 2H), 6,85 (d, 1H), 6,12 (m, 1H), 4,56 (m, 2H), 3,76 (s, 6H), 3,43 (m, 2H), 2,77 (s, 6H). MS (EI) m/z for $C_{25}H_{28}N_6O_5S$: 525,1 (MH^+).

Eksempel 12

***N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-okso-1,6-dihydropyridin-3-sulfonamid**



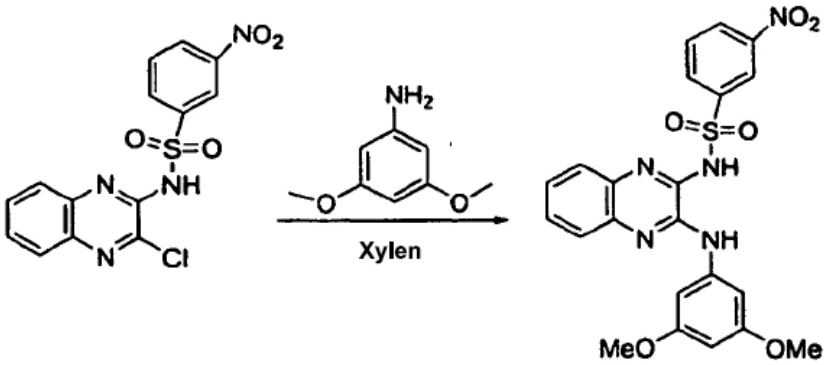
N-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)pyridin-3-sulfonamid (220 mg, 0,47 mmol), fremstilt under anvendelse av prosedyrer som ligner de som beskrives ovenfor i eksempel 8, DMSO (5 mL) og 3N NaOH (5 mL) kombineres og varmes opp til 100°C over natten under omrøring. Etter avkjøling til romtemperatur ble reaksjonsblandingen fortynnet med H_20, og pH-en ble justert til 7,0 med 1N HCl. Det resulterende faste stoff ble frafiltrert, vasket med H_20, og lufttørket. Det faste stoffet ble deretter sonikert i EtOAc, frafiltrert, vasket med EtOAc, og tørket under høyt vakuum for å gi *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-6-okso-

1,6-dihydropyridin-3-sulfonamid (190 mg, 90%). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,23 (br s, 1H), 12,10 (br s, 1H), 8,97 (s, 1H), 8,23 (s, 1H), 7,95 (m, 2H), 7,59 (m, 1H), 7,37 (m, 4H), 6,43 (d, 1H), 6,25 (s, 1H), 3,77 (s, 6H). MS (EI) m/z for $C_{21}H_{19}N_5O_5S$: 454,0 (MH^+).

Eksempel 13: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-6-okso-1,6-dihydropyridin-3-sulfonamid. Den i overskriften nevnte forbindelse ble fremstilt i henhold til eksempel 12 over. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,22 (br s, 1H), 12,10 (br s, 1H), 9,16 (s, 1H), 8,60 (s, 1H), 8,14 (d, 1H), 7,94 (m, 1H), 7,85 (dd, 1H), 7,62 (m, 1H), 7,40 (m, 3H) 6,69 (dd, 1H), 6,43 (d, 1H), 3,81 (s, 3H). MS (EI) m/z for $C_{20}H_{16}ClN_5O_4S$: 456,0 (MH^-).

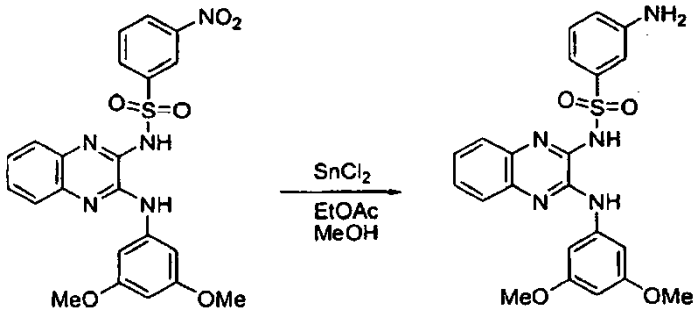
Eksempel 14

3-amino-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)benzensulfonamid.



***N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid.** En kolbe ble fylt med N-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid (5 g, 13,7 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 1, 3,5-dimetoksyanilin (4,2 g, 27,4 mmol) og 80 mL xylen. Reaksjonsblandingen ble omrørt under en N_2-atmosfære ved 150°C i 3 timer, hvoretter løsemidlet ble fjernet på en roterende fordamper, og 10 mL diklormetan og 50 mL metanol ble tilsatt. Slurryen ble varmet opp til refluks og filtrert mens den var varm, hvilket resulterte i 4,6 g (69,7%) *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid MS (EI) m/z for $C_{22}H_{19}N_5O_6S$: 482,2 (MH^+).

Eksempel 153-amino-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)benzensulfonamid



En kolbe ble fylt med *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-nitro-benzensulfonamid (3,4 g, 7,06 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 14, tinnkloridsolvat (6,4 g, 28,2 mmol), og 30 mL DMA. Noen få dråper vann ble tilsatt, og reaksjonsblandingen ble omrørt ved 80°C i 3 timer, hvoretter løsemidlet ble fjernet på en roterende fordamper, og 50 mL vann og 10 mL metanol ble tilsatt. Slurryen ble filtrert, og filtratet ble vasket med MeOH, vann og dietyleter (20 mL av hver), hvilket resulterte i 3,25 g 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. ^{1}H NMR (400 MHz, DMSO) δ 12,2 (br s, 1H), 8,85 (s, 1H), 7,90 (br s, 1H), 7,50-7,60 (m, 1H), 7,3-7,4 (m, 4H), 7,2 (m, 3H), 6,74 (m, 1H), 6,24 (m, 1H), 5,56 (br s, 2H), 3,76 (s, 6H). MS (EI) m/z for $C_{22}H_{21}N_5O_4S$: 452,0 (MH^+).

De følgende forbindelser ble laget under anvendelse av prosedyrer som ligner de som ble anvendt i eksempel 15.

Eksempel 16: Idet man gikk frem som over, ble 3-amino-*N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid fremstilt. ^{1}H NMR (400 MHz, DMSO) δ 12,4 (br s, 1H), 9,20 (s, 1H), 8,56 (d, 1H), 7,95 (d, 1H), 7,62 (m, 1H), 7,38 (m, 2H), 7,24 (q, 2H), 7,14 (d, 1H), 6,98 (d, 1 H), 6,8 (m, 1 H), 6,60 (m, 1H), 5,6 (br s, 2H), 3,78 (d, 6H). MS (EI) m/z for $C_{22}H_{21}N_5O_4S$: 452,3 (MH^+).

Eksempel 17: Idet man gikk frem som over, ble **3-amino-*N*-(3-(2-klor-5-hydroksy-fenylamino)kinoksalin-2-yl)benzensulfonamid** fremstilt. MS (EI) m/z for $C_{20}H_{16}ClN_5O_3S$ 1,0 x $C_2H_1O_2F_3$: 442,2, 444,2 (MH^+).

Eksempel 18: Idet man gikk frem som over, ble **3-amino-*N*-(3-(6-metoksykinolin-8-ylamino)kinoksalin-2-yl)benzensulfonamid** fremstilt. MS (EI) m/z for $C_{24}H_{20}N_6O_3S$: 473,0 (MH^+).

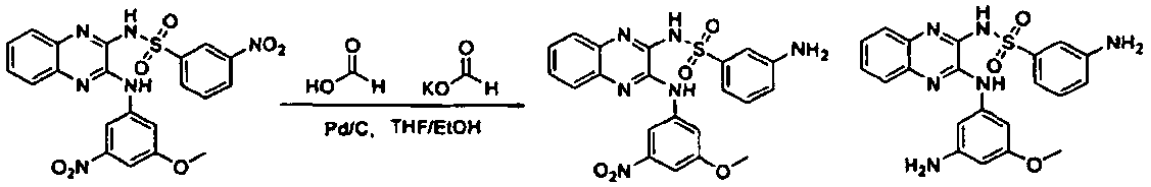
Eksempel 19: 3-amino-*N*-(3-(3-fluor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{18}FN_5O_3S$: 439,99 (MH^+).

Eksempel 20: 3-amino-*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{18}ClN_5O_3S$: 457,02 (MH^+).

Eksempel 21: 3-amino-*N*-(3-(5-metoksy-2-metyl-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{21}N_5O_3S$: 436,32 (MH^+).

Eksempel 22a og eksempel 22b

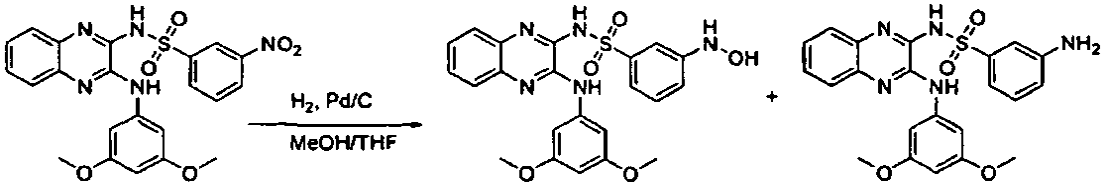
3-amino-*N*-(3-(3-metoksy-5-nitro-fenylamino)kinoksalin-2-yl)benzensulfonamid og 3-amino-*N*-(3-(3-amino-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid



Til en blanding av *N*-(3-{[3-(metyloksy)-5-nitrofenyl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid (400 mg), THF (2 mL) og EtOH (2 mL) ble det tilsatt maursyre (938 µL), kaliumformat (203 mg). Etter at blandingen var spylt med N_2, ble 10 vekt% Pd/C (50 mg) tilsatt. Den resulterende blanding ble varmebehandlet ved 60°C med omrøring. LC/MS-analyse indikerte at reaksjonsblandingen inneholdt den fullstendig reduserte diaminoforbindelsen som hovedproduktet, og den delvis reduserte mono-amino-forbindelsen som et biprodukt. En del av råblandingen ble renset ved HPLC for å gi de to produktene. Produkt A: 3-amino-*N*-(3-(3-metoksy-5-nitro-fenylamino)kinoksalin-2-yl)benzensulfonamid. ^{1}H NMR (400 MHz, DMSO) δ 12,2 (br s, 1H), 9,51 (s, 1H), 8,77 (s, 1H), 8,21 (s, 1H), 7,92 (s, 1H), 7,48 (m, 1H), 7,43-7,38 (m, 3H), 7,24-7,16 (m, 3H), 6,75 (d, 1H), 5,57 (br s, 2H), 3,90 (s, 3H). MS (EI) for $C_{21}H_{18}N_6O_5S$: 467,00 (MH+). Produkt B: 3-amino-*N*-(3-(3-amino-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. ^{1}H NMR (400 MHz, DMSO) δ 12,0 (br. s, 1H), 8,53 (s, 1H), 7,84 (s, 1H), 7,56 (d, 1H), 7,37-7,30 (m, 2H), 7,21-7,17 (m, 3H), 6,87 (s, 1H), 6,81 (s, 1H), 6,74 (br s, 2H), 5,91 (s, 1H), 5,56 (br s, 3H), 3,69 (s, 3H). MS (EI) for $C_{21}H_{20}N_6O_3S$: 437,2 (MH+).

Eksempel 23a og eksempel 23b

***N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-(hydroksyamino)-benzensulfonamid og 3-amino-N-(3-{[3,5-(dimetoksy)fenyl]amino}kinoksalin-2-yl)benzensulfonamid**

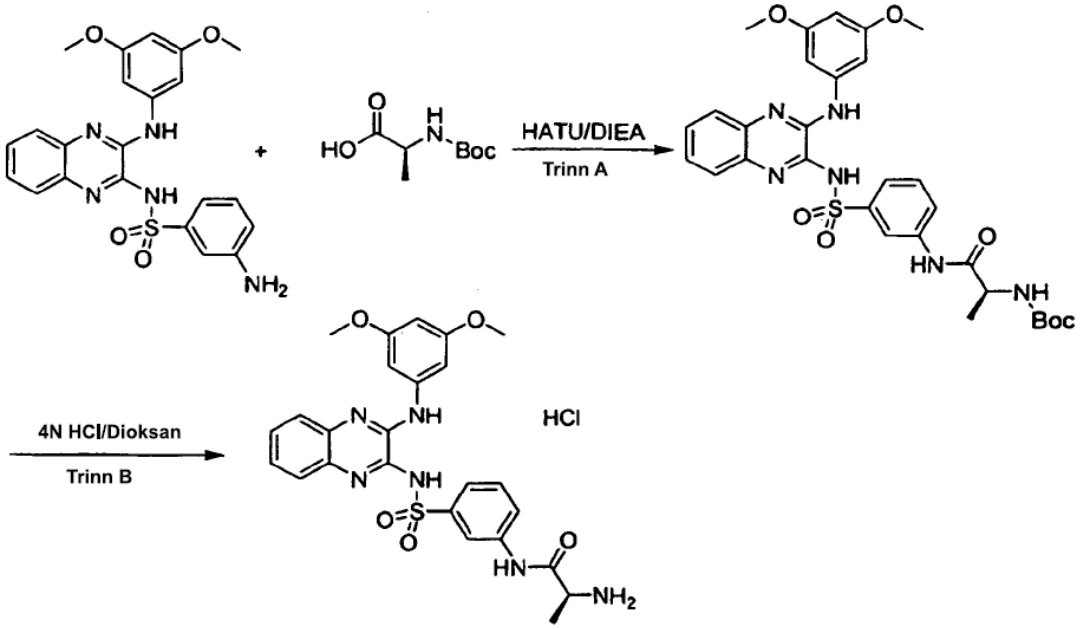


Til en løsning *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid (1,3 g) i 20 mL THF og 10 mL MeOH, ble det tilsatt 10 vekt% Pd/C (100 mg). Blandingen ble omrørt

under en H_2-ballong over natten. En del av reaksjonsblandingen ble tatt ut og filtrert, deretter renset ved hjelp av HPLC for å gi to produkter. Produkt A: *N*-(3-{[3,5-bis(metyloksy)fenyl]amino}kinoksalin-2-yl)-3-(hydroksyamino)benzensulfonamid. MS (EI) for $C_{22}H_{21}N_5O_5S$: 468,1 (MH^+). Produkt B: 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. ^{1}H NMR (400 MHz, DMSO) δ 12,2 (br s, 1H), 8,85 (s, 1H), 7,90 (br s, 1H), 7,50-7,60 (m, 1H), 7,3-7,4 (m, 4H), 7,2 (m, 3H), 6,74 (m, 1H), 6,24 (m, 1H), 5,56 (br s, 2H), 3,76 (s, 6H). MS (EI) for $C_{22}H_{21}N_5O_4S$: 452,0 (MH^+).

Eksempel 24

(*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamidhydroklorid.



(*S*)-*tert*-butyl 1-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenylamino)-1-oksopropan-2-ylkarbamat. 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid (1,1 mmol, 500 mg), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet over i eksempel 15, (L)-Boc-Ala-OH (1,5 mmol, 284 mg), diklormetan (15 mL), DMF (10 mL), DIEA (2 mmol, 330 µL) og HATU (2 mmol, 760 mg) omrørt ved romtemperatur over natten. Råblandingen ble renset i kolonne under anvendelse av 1/1 etylacetat/heksaner på silika for å ga 160 mg.

(*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamidhydroklorid. 4 N HCl i dioksan (10 mL) ble tilsatt til en

løsning av (*S*)-*tert*-butyl 1-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenylamino)-1-oksopropan-2-ylkarbamat (160 mg) og DCM (15 mL). Blandingen ble omrørt ved romtemperatur i tre timer. Løsemidlet dekantert og eter tilsatt til det faste stoffet, eter dekantert for å ga 80 mg produkt som HCl-salt. ^{1}H NMR (400 MHz, CD_3OD) δ 8,50-8,49 (t, 1H), 7,89-7,87 (m, 1H), 7,74-7,72 (m, 1H), 7,61-7,5 (m, 3H), 7,40-7,36 (m, 2H), 7,21-7,20 (d, 2H), 6,23-6,21 (t, 1H), 4,09-4,03 (q, 1H), 3,78 (s, 6H), 1,60-1,58 (d, 3H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S\cdot HCl$: 523,1 ($MH^+$).

De følgende forbindelser ble fremstilt som det fri amin og/eller HCl-salt under anvendelse av prosedyrer som ligner de som anvendes i eksempel 24. Der hvor avbeskyttelsestrinnet ikke er nødvendig, ble trinn B i skjemaet over ikke utført.

Eksempel 25: *N*-(2-klor-5-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. Den i overskriften nevnte forbindelse ble fremstilt i henhold til eksemplene over. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,50 (s, 1H), 9,14 (s, 1H), 9,03 (m, 2H), 8,63 (d, 1H), 8,44 (d, 1H), 7,98 (m, 1H), 7,91 (dd, 1H), 7,80 (d, 1H), 7,67 (m, 1H), 7,44 (m, 3H), 6,71 (dd, 1H), 4,06 (m, 2H), 3,83 (s, 3H), 2,64 (t, 3H), MS (EI) m/z for $C_{24}H_{22}Cl_2N_6O_4S$: 561,0 (MH^+).

Eksempel 26: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 8,72-8,71 (d, 1H), 8,48-8,46 (t, 1H), 7,86-7,84 (m, 1H), 7,80-7,78 (m, 1H), 7,63-7,59 (m, 2H), 7,58-7,55 (t, 1H), 7,41-7,38 (m, 2H), 7,24-7,22 (d, 1H), 6,60-6,58 (dd, 1H), 4,10-4,04 (q, 1H), 3,83 (s, 3H), 1,61-1,60 (d, 3H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S\cdot HCl$: 527,2 ($MH^+$).

Eksempel 27: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)butanamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 8,74-8,73 (d, 1H), 8,80-8,47 (t, 1H), 7,87-7,85 (m, 1H), 7,80-7,78 (m, 1H), 7,67-7,61 (m, 2H), 7,59-7,55 (t, 1H), 7,42-7,39 (m, 2H), 7,26-7,24 (d, 1H), 6,62-6,59 (dd, 1H), 3,96-3,93 (t, 1H), 3,84 (s, 3H), 2,02-1,94 (m, 2H), 1,09-1,06 (t, 3H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S\cdot HCl$: 541,3 ($MH^+$).

Eksempel 28: (*S*)-*N*-(3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrrolidin-2-karboksamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 8,78-8,77 (d, 1H), 8,47-8,46 (t, 1H), 7,87-7,85 (m, 1H), 7,80-7,75 (m, 1H), 7,69-7,65 (m, 2H), 7,59-7,55 (t, 1H), 7,45-7,41 (m, 2H), 7,31-7,28 (d, 1H), 6,65-6,63 (dd, 1H), 4,42-4,38 (m, 1H), 3,86 (s, 3H), 3,48-3,42 (m, 2H), 2,55-2,49 (m, 1H), 2,18-2,08 (m, 3H); MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S\cdot HCl$: 553,3 ($MH^+$).

Eksempel 29: (*S*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrrolidin-2-karboksamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 10,62 (br s, 1H), 8,50-8,49 (t, 1H), 7,90-7,87 (m, 1H), 7,76-7,73 (m, 1H), 7,63-7,58 (m, 3H), 7,43-7,35 (m, 2H), 7,14 (s, 2H), 6,27-6,26 (t, 1H), 4,43-4,38 (m, 1H), 3,78 (s, 6H), 3,48-3,41 (m, 1H), 3,40-3,36 (m, 1H), 2,54-2,48 (m, 1H), 2,19-2,05 (m, 3H); MS (EI) m/z for

$C_{27}H_{28}N_6O_5S \cdot HCl$: 549,3 ($MH^+$).

Eksempel 30: (*R*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-hydroksypropanamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 8,49-8,48 (t, 1H), 7,89-7,87 (m, 1H), 7,75-7,72 (m, 1H), 7,65-7,62 (m, 2H), 7,62-7,55 (t, 1H), 7,44-7,38 (m, 2H), 7,23-7,22 (d, 2H), 6,27-6,26 (t, 1H), 4,07-4,05 (m, 1H), 3,99-3,93 (m, 2H), 3,80 (s, 6H); MS (EI) m/z for $C_{25}H_{26}N_6O_6S \cdot HCl$: 539,1 ($MH^+$).

Eksempel 31: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)piperidin-3-karboksamidhydroklorid. ^{1}H NMR (400 MHz, CD_3OD) δ 8,79-8,78 (d, 1H), 8,45 (m, 1H), 7,83-7,81 (d, 1H), 7,76-7,74 (m, 1H), 7,636 (m, 2H), 7,54-7,50 (t, 1H), 7,41 (m, 2H), 7,30-7,28 (d, 1H), 6,65-6,62 (dd, 1H), 3,86 (s, 3H), 3,40-3,32 (m, 2H), 3,20-3,13 (m, 3H), 2,93 (m, 1H), 2,15-2,11 (m, 1H), 1,98-1,93 (m, 2H), 1,83 (m, 1H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S \cdot HCl$: 567,3 ($MH^+$). **Eksempel 32: (*S*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)butanamidhydroklorid.** MS (EI) m/z for $C_{26}H_{28}N_6O_5S \cdot HCl$: 537,1 ($MH^+$).

Eksempel 33: (*R*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrrolidin-2-karboksamidhydroklorid. MS (EI) m/z for $C_{27}H_{28}N_6O_5S \cdot HCl$: 549,1 ($MH^+$).

Eksempel 34: (*R*)-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrrolidin-2-karboksamidhydroklorid. MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S \cdot HCl$: 553 ($MH^+$).

Eksempel 35: (*R*)-2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,2 (br s, 1 H), 8,82 (s, 1 H), 8,27 (m, 1 H), 7,75 (m, 2 H), 7,33 (m, 5 H), 7,13 (m, 2 H), 6,14 (t, 1 H), 3,77 (s, 6 H), 1,39 (d, 3 H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S$: 523 (MH^+).

Eksempel 36:*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,6 (s, 1 H), 9,48 (s, 1 H), 8,95 (br s, 1 H), 8,75 (br s, 1 H), 8,19 (br s, 1 H), 7,77 (dd, 1 H), 7,69 (dd, 1 H), 7,41 (m, 4 H), 7,17 (m, 2 H), 6,60 (dd, 1 H), 3,91 (s, 2 H), 3,82 (s, 6 H), 2,62 (s, 3 H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Eksempel 37: (*R*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,5 (s, 1 H), 9,47 (s, 1 H), 8,95 (d, 1 H), 8,22 (d, 2 H), 8,14 (br s, 2 H), 7,76 (m, 2 H), 7,40 (m, 4 H), 7,17 (m, 2 H), 6,60 (m, 1 H), 3,97 (q, 1 H), 3,96 (s, 3 H), 1,45 (d, 3 H); MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Eksempel 38: 2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylpropanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,1 (s, 1 H), 9,46 (s, 1 H), 8,95 (d, 1 H), 8,50 (br s, 1 H), 8,27 (m, 1 H), 7,81 (m, 2 H), 7,47 (m, 1H), 7,37 (m, 3 H), 7,17 (m, 2 H), 6,61 (dd, 1 H), 3,83 (s, 3 H), 1,60 (s, 6 H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$:

541 (MH^+).

Eksempel 39: 2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylpropanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,33 (s, 1 H), 8,89 (s, 1 H), 8,32 (br s, 4 H), 7,92 (m, 3 H), 7,59 (m, 2 H), 7,37 (m, 4 H), 6,24 (s, 1 H), 3,76 (s, 6 H), 1,61 (s, 6 H); MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537 (MH^+).

Eksempel 40: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,58 (s, 1 H), 9,80 (br s, 1 H), 8,85 (s, 1 H), 8,25 (s, 1 H), 7,67 (dd, 1 H), 7,30 (m, 7 H), 6,16 (m, 1 H), 4,02 (br s, 2 H), 3,77 (s, 6 H), 2,81 (s, 6 H), 2,54 (s, 3 H); MS (EI) m/z for $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Eksempel 41: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-((2-(dimetylamino)etyl)(metyl)amino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,0 (s, 1 H), 9,48 (s, 1 H), 8,96 (d, 1 H), 8,16 (m, 1 H), 7,76 (m, 2 H), 7,39 (m, 4 H), 7,17 (m, 2 H), 6,61 (dd, 1 H), 3,82 (s, 3 H), 3,40 (br s, 2 H), 2,94 (br s, 2 H), 2,71 (br t, 2 H), 2,60 (s, 6 H), 2,33 (s, 3 H); MS (EI) m/z for $C_{28}H_{32}ClN_7O_4S$: 598 (MH^+).

Eksempel 42: 2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,5 (s, 1 H), 9,48 (s, 1 H), 8,94 (s, 1 H), 8,15 (s, 1 H), 8,06 (br s, 3 H), 7,74 (m, 2 H), 7,39 (m, 4 H), 7,18 (m, 2 H), 6,61 (dd, 1 H), 3,83 (s, 3 H), 3,77 (s, 2 H); MS (EI) m/z for $C_{23}H_{21}ClN_6O_4S$: 513 (MH^+).

Eksempel 43: *N*-(3-(*N*-(3-(2-acetyl-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,4 (s, 1 H), 10,5 (s, 1 H), 9,27 (s, 1 H), 8,25 (s, 1 H), 8,01 (d, 1 H), 7,82 (d, 1 H), 7,71 (d, 1 H), 7,42 (m, 3 H), 7,21 (m, 2 H), 6,63 (dd, 1H), 3,91 (m, 5 H), 2,75 (s, 6 H), 2,61 (s, 3 H); MS (EI) m/z for $C_{27}H_{28}N_6O_5S$: 549 (MH^+).

Eksempel 44: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)formamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,6 (s, 1 H), 10,5 (s, 1 H), 9,16 (s, 1 H), 8,53 (br s, 1 H), 8,35 (m, 2 H), 8,02 (s, 1 H), 7,56 (m, 7 H), 6,70 (dd, 1 H), 3,83 (s, 3 H); MS (EI) m/z for $C_{22}H_{18}ClN_5O_4S$: 484 (MH^+).

Eksempel 45: 2-amino-*N*-(5-(*N*-(3-(3,5-dlmetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-2-metylfenyl)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,4 (s, 1 H), 10,1 (br s, 1 H), 8,82 (s, 1 H), 8,20 (m, 3 H), 7,82 (m, 1 H), 7,30 (m, 6 H), 6,20 (s, 1 H), 3,85 (s, 2 H), 3,77 (s, 6 H), 2,26 (s, 3 H); MS (EI) m/z for $C_{25}H_{26}N_6O_5S$: 523 (MH^+).

Eksempel 46: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metyl-2-(metylamino)propanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,09 (s, 1 H), 9,46 (s, 1 H), 8,95 (m, 3 H), 8,28 (s, 1 H), 7,81 (m, 2 H), 7,41 (m, 4 H), 7,17 (m, 2 H), 6,60 (dd, 1 H), 3,82 (s, 3 H), 2,53 (s, 3 H), 1,60 (s, 6 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

Eksempel 47: (*S*)-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)propanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,61 (s, 1 H), 9,47 (s, 1 H), 8,95 (s, 1 H), 8,82 (br s, 2 H), 8,27 (m, 1 H), 7,74 (m, 2 H), 7,42 (m, 4 H),

7,17 (m, 2 H), 6,60 (dd, 1 H), 3,90 (m, 1 H), 3,82 (s, 3 H), 2,59 (s, 3 H), 1,49 (d, 3 H); MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541 (MH^+).

Eksempel 48: 3-amino-*N*-(5-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-2-metylfenyl)propanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,25 (s, 1 H), 9,77 (s, 1 H), 8,82 (s, 1 H), 7,84 (m, 5 H), 7,50 (d, 1 H), 7,37 (m, 5 H), 6,22 (m, 1 H), 3,74 (s, 6 H), 3,08 (m, 2 H), 2,77 (m, 2 H), 2,27 (s, 3 H); MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537 (MH^+).

Eksempel 49: 1-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklopropankarboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,54 (br s, 1 H), 9,42 (s, 1 H), 8,91 (s, 1 H), 8,21 (s, 1 H), 8,20 (br s, 2 H), 7,81 (m, 2 H), 7,48 (m, 4 H), 7,22 (m, 2 H), 6,61 (dd, 1 H), 3,82 (s, 3 H), 1,63 (m, 2 H), 1,26 (m, 2 H); MS (EI) m/z for $C_{25}H_{23}ClN_6O_4S$: 539 (MH^+).

Eksempel 50: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-6-(dimetylamino)heksanamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,47 (br s, 1 H), 8,95 (d, 1 H), 8,26 (m, 1 H), 7,73 (m, 2 H), 7,30 (m, 4 H), 7,26 (m, 4 H), 7,16 (m, 2 H), 6,59 (dd, 1 H), 3,82 (s, 3 H), 3,34 (m, 1 H), 2,20 (m, 2 H), 2,09 (s, 6 H), 1,50 (m, 6 H); MS (EI) m/z for $C_{29}H_{34}ClN_7O_4S$: 610 (MH^+).

Eksempel 51: 1-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklopentankarboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,12 (br s, 1 H), 9,46 (s, 1 H), 8,95 (d, 1 H), 8,26 (m, 1 H), 8,16 (m, 3 H), 7,84 (m, 2 H), 7,35 (m, 6 H), 6,60 (dd, 1 H), 3,82 (s, 3 H), 2,34 (m, 2 H), 1,91 (m, 6 H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 52: *N*-(5-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-2-metylfenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,0 (br s, 1 H), 9,98 (s, 1 H), 9,43 (s, 1 H), 8,91 (m, 1 H), 8,08 (s, 1 H), 7,84 (dd, 1 H), 7,32 (m, 6 H), 6,61 (dd, 1 H), 4,07 (s, 2 H), 3,82 (s, 3 H), 2,82 (s, 6 H), 2,21 (s, 3 H); MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555 (MH^+).

Eksempel 53: 1-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklobutankarboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10,34 (br s, 1 H), 8,81 (s, 1 H), 8,49 (br s, 3 H), 8,34 (s, 1 H), 7,83 (m, 2 H), 7,43 (m, 3 H), 7,31 (m, 2 H), 7,16 (m, 2 H), 6,16 (s, 1 H), 3,77 (s, 6 H), 2,83 (m, 2 H), 2,25 (m, 3 H), 2,05 (m, 1 H); MS (EI) m/z for $C_{27}H_{28}N_6O_5S$: 549 (MH^+).

Eksempel 54: *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-(3-(2-(dimetylamino)etyl)ureido)benzensulfonamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 8,91 (br s, 1 H), 8,81 (s, 1 H), 8,08 (s, 1 H), 7,60 (s, 1 H), 7,38 (m, 9 H), 6,28 (m, 1 H), 6,15 (s, 1 H), 3,78 (s, 6 H), 3,40 (m, 2 H), 3,08 (m, 2 H), 2,74 (s, 6 H); MS (EI) m/z for $C_{27}H_{31}N_7O_5S$: 566 (MH^+).

Eksempel 55: 1-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklopentankarboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,40 (br s, 1 H), 10,58 (s, 1 H), 8,46 (m, 4 H), 7,80 (m, 3 H), 7,59 (m, 2 H), 7,34 (m, 4 H), 6,25 (m, 1 H),

3,76 (s, 6 H), 2,35 (m, 2 H), 1,90 (m, 8 H); MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Eksempel 56: 1-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklopropankarboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,54 (br s, 1 H), 8,84 (s, 1 H), 8,29 (s, 1 H), 7,75 (m, 2 H), 7,39 (m, 6 H), 7,17 (m, 2 H), 6,16 (m, 1 H), 3,78 (s, 6 H), 1,52 (m, 2 H), 1,17 (m, 2 H); MS (EI) m/z for $C_{26}H_{26}N_6O_5S$: 535 (MH^+).

Eksempel 57: 2-(dimetylamino)etyl 3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenylkarbamat. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,78 (br s, 1 H), 8,79 (s, 1 H), 8,19 (s, 1 H), 7,66 (d, 1 H), 7,31 (m, 9 H), 6,14 (m, 1 H), 4,17 (t, 2 H), 3,78 (s, 6 H), 2,54 (t, 2 H), 2,21 (s, 6 H): MS (EI) m/z for $C_{27}H_{30}N_6O_6S$: 567 (MH^+).

Eksempel 58: 4-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tetrahydro-2H-pyran-4-karboksamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12,2 (br s, 1 H), 10,6 (s, 1 H), 8,74 (m, 5 H), 7,93 (m, 2 H), 7,47 (m, 6 H), 6,24 (m, 1 H), 3,77 (m, 10 H), 2,45 (m, 2 H), 1,81 (m, 2 H); MS (EI) m/z for $C_{28}H_{30}N_6O_6S$: 579 (MH^+).

Eksempel 59: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-*N*3-(2-(dimetylamino)etyl)benzen-1,3-disulfonamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,35 (m, 2 H), 8,92 (m, 1 H), 8,64 (s, 1 H), 8,30 (m, 1 H), 8,11 (s, 1 H), 7,86 (m, 1 H), 7,68 (m, 1 H), 7,49 (s, 1 H), 7,42 (m, 2 H), 7,21 (m, 2 H), 6,61 (m, 1 H), 3,82 (s, 3 H), 3,05 (m, 4 H), 2,74 (s, 6 H); MS (EI) m/z for $C_{25}H_{27}ClN_6O_5S_2$: 591 (MH^+).

Eksempel 60: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-*N*3-(3-(dimetylamino)propyl)benzen-1,3-disulfonamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,38 (m, 2 H), 8,90 (m, 1 H), 8,60 (s, 1 H), 8,32 (m, 1 H), 8,12 (s, 1 H), 7,88 (m, 1 H), 7,72 (m, 1 H), 7,59 (s, 1 H), 7,40 (m, 2 H), 7,20 (m, 2 H), 6,67 (m, 1 H), 3,82 (s, 3 H), 2,97 (m, 2 H), 2,78 (m, 2 H), 2,71 (s, 6 H), 1,70 (m, 2 H); MS (EI) m/z for $C_{26}H_{29}ClN_6O_5S_2$: 605 (MH^+).

Eksempel 61: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)-2-(metylamino)acetamid. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541,0 (MH^+).

Eksempel 62: (*S*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)propanamid. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541,2 (MH^+).

Eksempel 63: (*R*)-2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)propanamid. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541,0 (MH^+).

Eksempel 64: (*S*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)propanamid. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537,1 (MH^+).

Eksempel 65: (*R*)-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)propanamid. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 541,1(MH^+).

Eksempel 66: (*R*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)propanamid. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 537,3 (MH^+).

Eksempel 67: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)piperidin-2-karboksamid. MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563,1 (MH^+).

Eksempel 68: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-(dimetylamino)etylamino)acetamid. MS (EI) m/z for $C_{28}H_{33}N_7O_5S$: 580,1 (MH^+).

Eksempel 69: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sultamoyl)fenyl)-2-(4-(metylamino)piperidin-1-yl)acetamid. MS (EI) m/z for $C_{30}H_{35}N_7O_6S$: 606,1 (MH^+).

Eksempel 70: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-(dimetylamino)piperidin-1-yl)acetamid. MS (EI) m/z for $C_{31}H_{37}N_7O_5S$: 620,1 (MH^+).

Eksempel 71: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 12,4 (br s, 1H), 10,9 (s, 1H), 9,8 (s, 1H), 8,9 (s, 1H), 8,3 (br s, 1H), 7,9 (d, 2H), 7,8 (d, 1H), 7,6 (t, 2H), 7,4 (q, 2H), 7,3 (s, 1H), 6,25 (s, 1H), 4,15 (s, 2H), 3,8 (s, 6H), 2,9 (s, 6H). MS (EI) m/z for $C_{26}H_{28}N_6O_5S$ 2,0 x $C_2H_1O_2F_3$: 537,1 (MH^+).

Eksempel 72: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,8 (s, 1H), 9,20 (s, 1H), 8,84 (br s, 2H), 8,64 (br s, 1H), 8,30 (s, 1H), 7,9-8,0 (br s, 1H), 7,80 (t, 2H), 7,55-7,68 (m, 2H), 7,4 (d, 3H), 6,70 (m, 1H), 3,97 (br s, 2H), 3,83 (s, 3H), 3,04 (br s, 2H), 1,3 (t, 3H). MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$ 2,0 x $C_2H_1O_2F_3$: 541,3, 543,2 (MH^+).

Eksempel 73: 2-(azetidin-1-yl)-*N*-(3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,8 (s, 1H), 10,2 (s, 1H), 9,2 (s, 1H), 8,7 (s, 1H), 8,3 (s, 1H), 7,9-8,0 (br s, 1H), 7,80 (d, 1H), 7,72 (d, 1H), 7,65 (br s, 1H), 7,56 (t, 1H), 7,40 (d, 3H), 6,70 (m, 1H), 4,28 (s, 2H), 4,15 (m, 4H), 3,82 (s, 3H), 2,32 (br s, 1H). MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S$ 2,0 x $C_2H_1O_2F_3$: 553,3, 555,2 (MH^+).

Eksempel 74: *N*-(3-(*N*-(3-(2-brom-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. Den i overskriften nevnte forbindelse ble fremstilt i henhold til eksemplene over, ^{1}H NMR (400 MHz, DMSO) δ 10,6 (s, 1H), 9,5 (s, 1H), 8,95 (d, 1H), 8,18 (t, 1H), 7,78 (m, 1H), 7,70 (m, 1H), 7,54 (d, 1H), 7,46 (m, 1H), 7,38 (t, 1H), 7,32 (d, 1H), 7,12-7,22 (m, 2H), 6,56 (m, 1H), 3,90 (s, 2H), 3,82 (s, 3H), 2,62 (s, 3H). MS (EI) m/z for $C_{24}H_{23}BrN_6O_4S$: 572,77, 570,90 (MH^+).

Eksempel 75: 2-(dimetylamino)-*N*-(3-(*N*-(3-(6-metoksy-kinolin-8-ylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. Den i overskriften nevnte forbindelse ble fremstilt i henhold til eksemplene over. ^{1}H NMR (400 MHz, DMSO) δ 10,9 (s, 1H), 10,6 (s, 1H), 9,13 (s, 1H), 8,80 (d, 1H), 8,26-8,30 (m, 2H), 7,85 (d, 1H), 7,70 (d, 1H), 7,60 (q, 1H), 7,54 (m, 1H), 7,44 (t, 2H), 7,20 (t, 2H), 6,80 (d, 1H), 4,00 (s, 2H), 3,94 (s, 3H), 2,78 (s, 6H). MS (EI) m/z for $C_{28}H_{27}N_7O_4S$: 558,3 (MH^+).

Eksempel 76: *N*-(3-(*N*-(3-(2-brom-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,6 (s, 1H), 9,4 (s, 1H), 8,9 (s, 1H), 8,25 (s, 1H), 7,78 (d, 1H), 7,70 (d, 1H), 7,54 (d, 1H), 7,48 (d, 1H), 7,40 (t, 2H), 6,56 (d, 1H), 4,02 (s, 2H), 3,82 (s, 3H), 2,80 (s, 6H). MS (EI) m/z for $C_{25}H_{25}BrN_6O_4S$: 586,79, 584,91 (MH^+).

Eksempel 77: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fluoretylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,6 (s, 1H), 9,4 (s, 1H), 8,9 (d, 1H), 8,20 (s, 1H), 7,78 (d, 1H), 7,70 (d, 1H), 7,48 (m, 1H), 7,36-7,44 (m, 3H), 7,20 (q, 3H), 6,6 (m, 1H), 4,78 (t, 1H), 4,66 (t, 1H), 3,94 (s, 2H), 3,82 (s, 3H), 3,4 (t, 1H), 3,3 (t, 1H). MS (EI) m/z for $C_{25}H_{24}ClFN_6O_4S$: 559,2, 561,2 (MH^+).

Eksempel 78: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)formamid. ^{1}H NMR (400 MHz, DMSO) δ 12,4 (br s, 1H), 10,5 (s, 1H), 8,90 (s, 1H), 8,3 (s, 1H), 7,9 (br s, 1H), 7,85 (d, 1H), 7,75 (d, 1H), 7,5-7,6 (m, 2H), 7,3-7,4 (m, 4H), 6,2 (s, 1H), 3,8 (s, 3H). MS (EI) m/z for $C_{23}H_{21}N_5O_5S$: 480,1 (MH^+).

Eksempel 79: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-(dimetylamino)azetidin-1-yl)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,2 (br s, 1H), 9,5 (s, 1H), 8,95 (d, 1H), 8,2 (s, 1H), 7,75 (d, 1H), 7,65 (d, 1H), 7,45 (d, 1H), 7,40 (d, 1H), 7,30-7,35 (t, 1H), 7,1-7,2 (q, 2H), 6,60 (m, 1H), 3,82 (s, 3H), MS (EI) m/z for $C_{28}H_{30}ClN_7O_4S$: 480,1 (MH^+).

Eksempel 80: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(pyrrolidin-1-yl)acetamid. MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563,18 (MH^+).

Eksempel 81: *N*-(3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etyl(metyl)amino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 12,0 (s, 1H), 10,6 (s, 1H), 9,65 (s, 1H), 9,5 (s, 1H), 8,95 (s, 1H), 8,25 (s, 1H), 7,8 (d, 1H), 7,70 (d, 1H), 7,45-7,50 (d, 1H), 7,3-7,4 (m, 3H), 7,2 (t, 2H), 6,60 (d, 1H), 4,02 (br s, 2H), 3,82 (s, 3H), 3,14 (br s, 2H), 2,80 (s, 3H) 1,2 (t, 3H). MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555,2, 557,3 (MH^+).

Eksempel 82: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-(piperidin-1-yl)azetidin-1-yl)acetamid. MS (EI) m/z for $C_{31}H_{34}ClN_7O_4S$ 2,0 x $C_2H_1O_2F_3$: 636,3, 638,3 (MH^+).

Eksempel 83: *N*-(3-(*N*-(3-(3-fluor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. MS (EI) m/z for $C_{24}H_{23}FN_6O_4S$: 511,04 (MH^+).

Eksempel 84: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-metylpiperidin-4-karboksamid. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$ 1,0 x $C_2H_4O_2$: 577,2 (MH^+).

Eksempel 85: *N*-(3-(*N*-(3-(3-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,6 (s, 1H), 8,82 (s, 1H), 8,22 (t, 1H), 7,86 (t, 1H), 7,76 (m, 1H), 7,66 (m, 1H), 7,46 (m, 1H), 7,41 (m, 1H), 7,38 (t, 1H), 7,28 (m 1H), 7,24 (t, 1H), 7,12 (m, 2H), 6,56 (d, 1H), 3,88 (s, 2H), 3,80 (s, 3H), 2,60 (s, 3H). MS (EI) m/z for $C_{24}H_{24}N_6O_4S$: 492,99 (MH^+).

Eksempel 86: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,2,2-trifluoretylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,4 (s, 1H), 9,2 (s, 1H), 8,65 (s, 1H), 8,4 (s, 1H), 8,00 (m, 1H), 7,80 (d, 1H), 7,75 (d, 1H), 7,65 (q, 1H), 7,55 (t, 1H), 7,40-7,5 (m, 3H), 6,7 (m, 1H), 3,82 (s, 3H), 3,62 (br s, 2H), 3,55 (br d, 2H). MS (EI) m/z for $C_{25}H_{22}ClF_3N_6O_4S$ 1,0 x $C_2H_1O_2F_3$: 595,0, 597,0 (MH^+).

Eksempel 87: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(piperidin-1-yl)propanamid. MS (EI) m/z for $C_{30}H_{34}N_6O_5S$: 591,2 (MH^+).

Eksempel 88: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-(dimetylamino)butanamid. MS (EI) m/z for $C_{28}H_{32}N_6O_5S$ 1,0 x $C_2H_4O_2$: 565,2 (MH^+).

Eksempel 89: 2-(dimetylamino)-*N*-(3-(*N*-(3-(3-fluor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,9 (s, 1H), 9,8 (br s, 1H), 9,1 (s, 1H), 8,34 (s, 1H), 7,90 (d, 1H), 7,76 (d, 1H), 7,52-7,68 (m, 4H), 7,40 (m, 2H), 6,54 (m, 1H), 4,16 (s, 2H), 3,82 (s, 3H), 2,86 (s, 6H). MS (EI) m/z for $C_{25}H_{25}FN_6O_4S$: 525,05 (MH^+).

Eksempel 90: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(piperidin-1-yl)acetamid. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$: 577,37 (MH^+).

Eksempel 91: 2-(dimetylamino)-*N*-(3-(*N*-(3-(3-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,5 (s, 1H), 8,8 (s, 1H), 8,25 (s, 1H), 7,83 (t, 1H), 7,76 (d, 1H), 7,64 (d, 1H), 7,3-7,48 (m, 4H), 7,22 (t, 1H), 7,12 (t, 2H), 6,56 (m, 1H), 3,96 (s, 2H), 3,78 (s, 3H), 2,76 (s, 6H). MS (EI) m/z for $C_{25}H_{26}N_6O_4S$: 507,1 (MH^+).

Eksempel 92: *N*-(3-(*N*-(3-(2-klor-5-hydroksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. ^{1}H NMR (400 MHz, DMSO) δ 10,8 (s, 1H), 9,9 (s, 1H), 9,8 (s, 1H), 9,1 (s, 1H), 8,55 (s, 1H), 8,34 (s, 1H), 7,9-8,0 (br s, 1H), 7,82 (d, 1H), 7,76 (d, 1H), 7,52-7,66 (m, 2H), 7,42 (t, 1H), 7,26 (d, 1H), 6,50 (m, 1H), 4,16 (s, 2H), 2,86 (s, 6H). MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527,1, 529,0 (MH^+).

Eksempel 93: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-morfolinoacetamid. MS (EI) m/z for $C_{28}H_{30}N_6O_6S$: 579,1 (MH^+).

Eksempel 94: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z for $C_{24}H_{23}N_5O_5S$: 494,0 (MH^+).

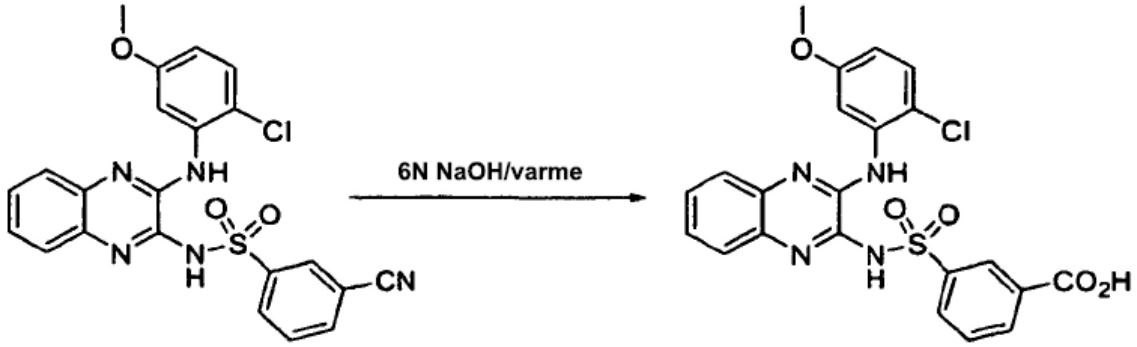
Eksempel 97: 2-amino-*N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-4-metylfenyl)-2-metylpropanamid. MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 556,12 (MH^+).

Eksempel 98: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 542,05 (MH^+).

Eksempel 99: 2-amino-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z for $C_{24}H_{24}N_6O_5S$: 509,59 (MH^+).

Eksempel 100

3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzosyre



Til en løsning av *N*-(3-{[2-klor-5-(metoksy)-fenyl]amino}kinoksalin-2-yl)-3-cyanobenzensulfonamid (6,02 g, 12,95 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 115 eller eksempel 423, i metanol (20 mL) og 1,4-dioksan (20 mL), ble det tilsatt 6,0 *N* vandig natriumhydroksid (40 mL) ved romtemperatur. Løsningen ble omrørt ved 90°C i 3,5 h. Reaksjonen ble avkjølt til romtemperatur og langsomt nøytralisert ved tilsetning av 2,0 *N* saltsyre helt til løsningens pH befant seg i området 2-3 ved 0°C. Løsningen ble fortynnet med etylacetat (300 mL). Det organiske lag ble vasket med mettet vandig natriumklorid (50 mL) og tørket over magnesiumsulfat. Filtrering og konsentrering ved redusert trykk ga 3-{[(3-{[2-klor-5-(metoksy)-fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzosyre (5,921 g, 94%). MS (EI) m/z for $C_{22}H_{17}ClN_4O_5S$: 485,0 (MH^+).

De følgende forbindelser ble laget under anvendelse av prosedyrer som ligner de som ble anvendt i eksempel 100.

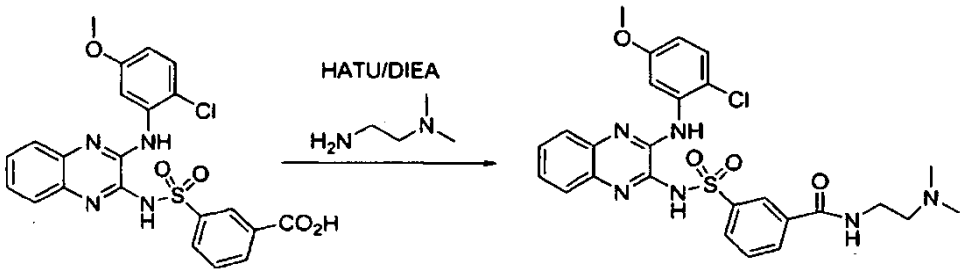
Eksempel 101: Idet man gikk frem som over, ble **3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)benzosyre** fremstilt. MS (EI) m/z for $C_{23}H_{20}N_4O_6S$: 481,0 (MH^+).

Eksempel 102: 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-metyl-1-(piperidin-1-yl)propan-2-yl)benzamid. MS (EI) m/z for $C_{31}H_{35}ClN_6O_4S$: 623,06 (MH^+).

Eksempel 103: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-metyl-1-okso-1-(piperidin-1-yl)propan-2-yl)benzamid. MS (EI) m/z for $C_{31}H_{33}ClN_6O_5S$: 637,65 (MH^+).

Eksempel 104

3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]benzamid



Til en løsning av 3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzosyre (0,20 g, 0,42 mmol), fremstilt under anvendelse av prosedyrer som ligner eksempel 100, i dimetylformamid (4 mL) ble det tilsatt 2-(7-aza-1*H*-benzotriazol-1-yl)-1,1,3,3-tetrametyluronium heksafluorfosfat (HATU, 0,32 g, 0,83 mmol) og *N*-etyldiisopropylamin (DIEA, 0,13 g, 1,04 mmol) ved romtemperatur. Reaksjonen ble omrørt i 15 min før *N*,*N*-dimetyletan-1,2-diamin (73 mg, 0,83 mmol) ble tilsatt. Reaksjonsblandingen ble omrørt over natten. Reaksjonen ble fortynnet med etylacetat (200 mL) og vasket med vann (50 mL), mettet vandig natriumbikarbonat (40 mL), 1,0 *N* vandig saltsyre (30 mL), og mettet vandig natriumklorid (25 mL). Det organiske laget ble tørket over magnesiumsulfat, filtrert og konsentrert ved redusert trykk for å gi 3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-*N*-[2-(dimetylamino)etyl]benzamid (0,20 g, 87%) som gult fast stoff. MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555,1 (MH^+).

De følgende forbindelser ble fremstilt under anvendelse av prosedyrer som ligner de i eksempel 104.

Eksempel 105: 5-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)-2-metoksybenzamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,45 (s, 1H), 8,95 (d, 1H), 8,57 (d, 1H), 8,28 (t, 1H), 8,14 (dd, 1H), 7,46 (dd, 1H), 7,39 (m, 2H), 7,17 (m, 4H), 6,60 (dd, 1H), 3,89 (s, 3H), 3,82 (s, 3H), 3,38 (m, 2H), 2,43 (m, 2H), 2,21 (s, 6H). MS (EI) m/z for $C_{27}H_{29}ClN_6O_5S$: 585,3 (MH^+).

Eksempel 106: 5-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)-2-fluorbenzamid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9,40 (br s, 1H), 9,16 (s, 1H), 8,73 (m, 1H), 8,67 (d, 1H), 8,36 (dd, 1H), 8,26 (m, 1H), 7,94 (br s, 1H), 7,66 (m, 1H), 7,59 (t, 1H), 7,43 (m, 3H), 6,71 (dd, 1H), 3,83 (s, 3H), 3,62 (m, 2H), 3,27 (m, 2H), 2,85 (d, 6H). MS (EI) m/z for $C_{26}H_{26}ClFN_6O_4S$: 573,1 (MH^+).

Eksempel 107: 3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)benzamid. MS (EI) m/z for $C_{27}H_{30}N_6O_5S$: 551,1 (MH^+).

Eksempel 108: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)-*N*-metylbenzamid. MS (EI) m/z for $C_{27}H_{29}ClN_6O_4S$: 569,1 (MH^+).

Eksempel 109: 3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)-*N*-metylbenzamid. MS (EI) m/z for $C_{28}H_{32}N_6O_5S$: 565,1 (MH^+).

Eksempel 110: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzamid. MS (EI) m/z for $C_{22}H_{18}ClN_5O_4S$: 484,0 (MH^+).

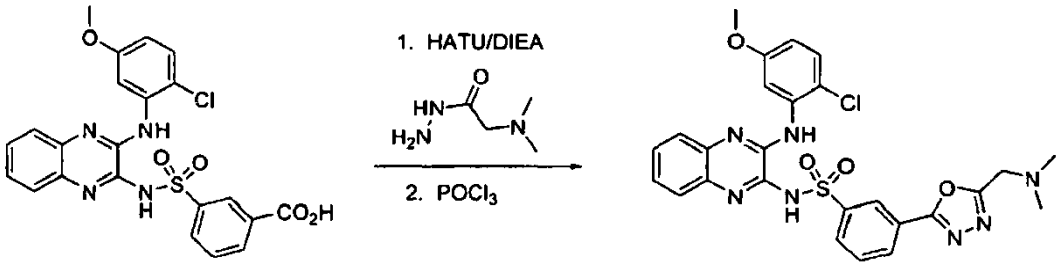
Eksempel 111: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-morfolinoetyl)benzamid. MS (EI) m/z for $C_{28}H_{29}ClN_6O_5S$: 597,0 (MH^+).

Eksempel 112: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-metylbenzamid. MS (EI) m/z for $C_{23}H_{20}ClN_5O_4S$: 498,0 (MH^+).

Eksempel 113: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-morfolinobenzamid. MS (EI) m/z for $C_{26}H_{25}ClN_6O_5S$: 569,0 (MH^+).

Eksempel 114

N-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-{5-[(dimetylamino)metyl]-1,3,4-oksadiazol-2-yl}benzensulfonamid

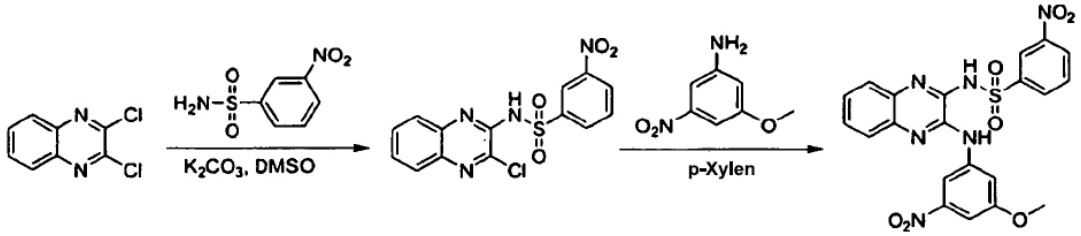


Til en løsning av 3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}benzosyre (0,25 g, 0,52 mmol), fremstilt som beskrevet over i eksempel 100, i dimetylformamid (2,6 mL), ble det tilsatt 2-(7-aza-1*H*-benzotriazol-1-yl)-1,1,3,3-tetrametyluronium heksafluorfosfat (HATU, 0,25 g, 0,67 mmol) og N-etyldiisopropylamin (DIEA, 0,11 g, 0,88 mmol) ved romtemperatur. Reaksjonen ble omrørt i 15 min før 2-(dimetylamino)acetohydrazid (78 mg, 0,67 mmol) ble tilsatt. Reaksjonsblandingen ble omrørt over natten. Reaksjonen ble fortynnet med etylacetat (200 mL) og vasket med vann (30 mL), mettet vandig natriumbikarbonat (30 mL), 1,0 N vandig saltsyre (20 mL) og mettet vandig natriumklorid (25 mL). Det organiske laget ble tørket over magnesiumsulfat, filtrert og konsentrert ved redusert trykk for å gi 180 mg av et koblet mellomprodukt, som deretter ble varmet i fosforoksyklorid (5 mL) ved 100°C i 4h. Reaksjonen ble avkjølt til romtemperatur og behandlet med isvann (50 mL) og ekstrahert med diklormetan (3 X 50 mL). Det organiske laget ble tørket over magnesiumsulfat, filtrert og konsentrert ved redusert trykk for å gi et råprodukt som ble utsatt for omvendt fase-HPLC for å gi *N*-(3-{[2-klor-5-(metoksy)-fenyl]amino} kinoksalin-2-yl)-3- {5-[(dimetylamino)metyl]-1,3,4-oksadiazol-2-yl}-

benzensulfonamid (16 mg, 5%) som gult fast stoff. MS (EI) m/z for $C_{26}H_{24}ClN_7O_4S$: 566,0 (MH^+).

Eksempel 115

***N*-(3-(3-metoksy-5-nitro-fenylamino)-kinoksalin-2-yl)-3-nitrobenzensulfonamid**



***N*-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid.** 2,3-diklorkinoksalin (26,1 g, 131,1 mmol), m-nitrobenzensulfonamid (26,5 g, 131,1 mmol) og kaliumkarbonat (18,1 g, 131,1) ble oppløst i vannfritt DMSO (500 mL). Reaksjonen ble varmet opp til 150°C i 2 h. Reaksjonsblandingen ble helt i vann (400 mL), etterfulgt av tilsetning av 2M HCl (60 mL). Produktet ble ekstrahert med EtOAc (3 x 500 mL). De organiske lag ble kombinert og vasket med vann (2 x 500 mL) og saltlake (2 x 500 mL). Produktet ble deretter tørket med natriumsulfat for å gi *N*-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{14}H_9ClN_4O_4S$: 364,94, 366,97 (MH^+)

***N*-(3-(3-metoksy-5-nitrofenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid.** *N*-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid (700 mg, 1,92 mmol), 3-metoksy-5-nitroanilin (645 mg, 3,84 mmol) og p-xylen (7 mL) ble kombinert og oppvarmet til 140°C, deretter omrørt i 16 timer ved 130°C. Reaksjonen ble avkjølt, plassert i en skilletrakt, fortynnet med DCM, og vasket med 2M HCl og saltlake, og konsentrert in vacuo. Det resulterende faste stoff ble vasket med Et_2O for å gi *N*-(3-(3-metoksy-5-nitro-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid (400 mg, 42%). MS (EI) m/z for $C_{21}H_{16}N_6O_7S$: 496,94 (MH^+).

De følgende forbindelser ble fremstilt under anvendelse av prosedyrer som ligner de i eksempel 115.

Eksempel 116: *N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)-3-cyanobenzensulfonamid. MS (EI) m/z for $C_{22}H_{16}ClN_5O_3S$: 465,9 (MH^+).

Eksempel 117: 3-cyano-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{23}H_{19}N_5O_4S$: 462,3 (MH^+).

Eksempel 118: *N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-fluorbenzensulfonamid. MS (EI) m/z for $C_{22}H_{19}FN_4O_4S$: 456,0 (MH^+).

Eksempel 119: 3-brom-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-

yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516,9 (MH^+).

Eksempel 120: 3-brom-*N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516,9 (MH^+).

Eksempel 121: *N*-(3-(3-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{18}N_4O_3S$: 407,0 (MH^+).

Eksempel 122: *N*-(3-(4-fluor-3-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{17}FN_4O_3S$: 425,0 (MH^+).

Eksempel 123: *N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-metoksybenzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_4O_5S$: 467,0 (MH^+).

Eksempel 124: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-metoksybenzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_4O_5S$: 467,0 (MH^+).

Eksempel 125: *N*-(3-(4-klor-3-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{17}ClN_4O_3S$: 440,9 (MH^+).

Eksempel 126: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)tiofen-2-sulfonamid. MS (EI) m/z for $C_{20}H_{18}N_4O_4S_2$: 443,0 (MH^+).

Eksempel 127: *N*-(3-(6-metoksykinolin-8-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{24}H_{18}N_6O_5S$: 502,95 (MH^+).

Eksempel 128: 3-nitro-*N*-(3-(pyridin-4-ylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{19}H_{14}N_6O_4S$: 423,2 (MH^+).

Eksempel 129: *N*-(3-(2-klorpyridin-4-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{19}H_{13}ClN_6O_4S$: 456,93, 458,90 (MH^+).

Eksempel 130: *N*-(3-(4,6-dimetoksypyrimidin-2-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{20}H_{17}N_7O_6S$: 484,03 (MH^+).

Eksempel 131: *N*-(3-(4-hydroksy-6-metoksypyrimidin-2-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{19}H_{15}N_7O_6S$: 469,97 (MH^+).

Eksempel 132: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2-fluorbenzensulfonamid. MS (EI) m/z for $C_{22}H_{19}FN_4O_4S$: 455,3 (MH^+).

Eksempel 133: *N*-(3-(2-brom-5-metoksy-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{21}H_{16}BrN_5O_5S$: 531,82, 532,84 (MH^+).

Eksempel 134: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-metylbenzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451,0 (MH^+).

Eksempel 136: *N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-metylbenzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451,0 (MH^+).

Eksempel 137: *N*-(3-(3-fluor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{21}H_{16}FN_5O_5S$: 470,0 (MH^+).

Eksempel 138: 4-brom-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{19}BrN_4O_4S$: 516,9, 514,9 (MH^+).

Eksempel 139: *N*-(3-(3-metoksyfenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid.

MS (EI) m/z for $C_{21}H_{17}N_5O_5S$: 451,93 (MH^+).

Eksempel 140: *N*-(3-(2-klor-5-hydroksy-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{20}H_{14}ClN_5O_5S$: 472,15, 474,13 (MH^+).

Eksempel 141: 3-acetyl-*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{23}H_{19}ClN_4O_4S$: 483,08 (MH^+).

Eksempel 142: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{20}N_4O_4S$: 437,49 (MH^+).

Eksempel 143: *N*-(3-(5-metoksy-2-metyl-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{20}N_4O_3S$: 421,46 (MH^+).

Eksempel 144: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{21}H_{17}ClN_4O_3S$: 440,59 (MH^+).

Eksempel 145: *N*-(3-(2,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{20}N_4O_4S$: 437,53 (MH^+).

Eksempel 146: 4-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 470,54 (MH^+).

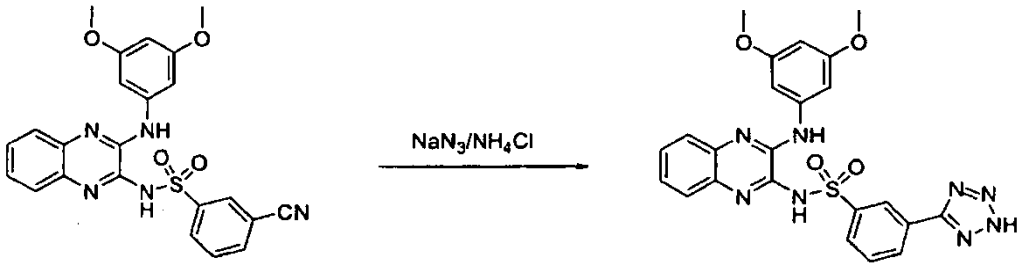
Eksempel 147: *N*-(3-(5-metoksy-2-metyl-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{22}H_{19}N_5O_5S$: 466,32 (MH^+).

Eksempel 148: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid. MS (EI) m/z for $C_{21}H_{16}ClN_5O_5S$: 485,86 (MH^+).

Eksempel 149: *N*-(3-(4-klor-2,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z for $C_{22}H_{19}ClN_4O_4S$: 470,99 (MH^+).

Eksempel 150

N-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(2H-tetrazol-5-yl)benzensulfonamid



Til en omrørt løsning av 3-cyano-*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)benzensulfonamid (0,20 g, 0,44 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 115, i dimetylformamid (1,2 mL) ved 50°C ble det tilsatt natriumazid (0,11 g, 1,76 mmol) og ammoniumklorid (94 mg, 1,76 mmol). Råblandingen ble varmebehandlet ved 100°C over natten. Reaksjonen ble avkjølt til romtemperatur behandlet med isvann (20 mL) etterfulgt av konsentrert saltsyre (10 mL). Det oppnådde faste stoff ble

frafiltrert under redusert trykk og vasket med heksan (20 mL), dietyleter (20 mL) og etylacetat (5 mL) for å gi *N*-(3-{[3,5-bis(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(2*H*-tetrazol-5-yl)benzensulfonamid (55 mg, 25%) som lysegult fast stoff. MS (EI) m/z for $C_{23}H_{20}N_8O_4S$: 505,0 (MH^+).

Eksempel 151

***N*-(3-(2,6-diklorpyridin-4-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid.**

En blanding av *N*-(3-klorkinoksalin-2-yl)-3-nitrobenzensulfonamid (1 g), 2,6-diklorpyridin-4-amin (760 mg) og p-xylen (10 mL) ble varmebehandlet ved 135°C med omrøring over natten. Etter avkjøling til romtemperatur ble blandingen oppløst i diklormetan, vasket med 2 N HCl (2 x) og saltlake, konsentrert in vacuo for å gi et råprodukt av *N*-{3-[(2,6-diklorpyridin-4-yl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid. En liten del av dette råprodukt ble renset ved hjelp av HPLC for å gi *N*-{3-[(2,6-diklorpyridin-4-yl)amino]kinoksalin-2-yl}-3-nitro-benzensulfonamid. ^{1}H NMR (400 MHz, DMSO) δ 9,71 (s, 1H), 8,90 (s, 1H), 8,50 (d, 2H), 8,8,41 (d, 1H), 8,30 (s, 2H), 7,88-7,78 (m, 27,65 (d, 1H), 7,47-7,37 (m, 2H); MS (EI) m/z for $C_{19}H_{12}Cl_2N_6O_4S$: 491,1, 493,1 (MH^+).

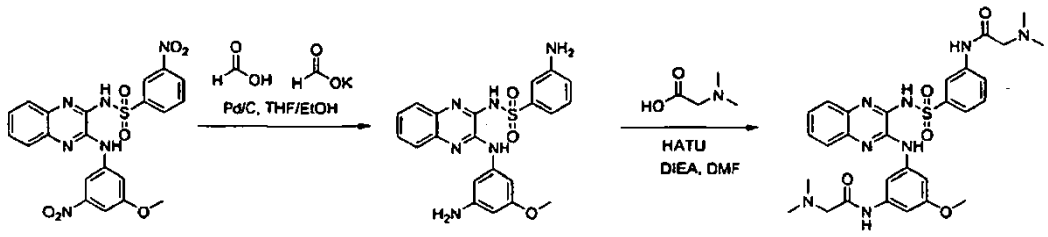
Eksempel 152

***N*-(3-(2-klor-6-metoksypyridin-4-ylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid**

Til et råprodukt av N-{3-[(2,6-diklorpyridin-4-yl)amino]kinoksalin-2-yl}-3-nitrobenzensulfonamid (1,24 g) fremstilt under anvendelse av prosedyrer som ligner de i eksempel 151, ble det tilsatt vandig DMSO (10 mL), etterfulgt av natriummetoksid (273 mg). Den resulterende blanding ble varmebehandlet ved 100°C i 3 dager. Blandingen ble fortynnet med EtOAc og vann, og pH-en ble justert til cirka 4 ved å tilsette eddiksyre. Produktet ble ekstrahert med EtOAc (3 x). De kombinerte ekstrakter ble vasket med saltlake for å gi råproduktet. En del av råproduktet ble renset ved prep. HPLC for å gi *N*-(3-{[2-klor-6-(metyloksy)pyridin-4-yl]amino}kinoksalin-2-yl)-3-nitrobenzensulfonamid. 1H NMR (400 MHz, DMSO) δ 9,44 (s, 1H), 8,90 (s, 1H), 8,50 (d, 1H), 8,42 (d, 1H), 7,88-7,84 (m, 2H), 7,77 (s, 1H), 7,74 (s, 1H), 7,64 (d, 1H), 7,45-7,38 (m, 2H), 3,82 (s, 3H); MS (EI) m/z for $C_{20}H_{15}ClN_6O_5S$: 496,94 (MH^+).

Eksempel 153

2-(dimetylamino)-*N*-(3-(*N*-(3-(3-(2-(dimetylamino)acetamido)-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid



3-amino-*N*-(3-(3-amino-5-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid. *N*-(3-(3-metoksy-5-nitrofenylamino)kinoksalin-2-yl)-3-nitrobenzensulfonamid (400 mg, 0,81 mmol), fremstilt som beskrevet over i eksempel 115, ble oppløst i 1:1 THF:EtOH (4 mL), til hvilket det ble tilsatt maursyre (938 µl, 2,42 mmol) og kaliumformat (203 mg, 2,42 mmol). Systemet ble spylt med nitrogen, og deretter ble 10 vekt% Pd/C (50 mg) tilsatt. Reaksjonen ble deretter oppvarmet til 60°C. Når reaksjonen var bestemt fullstendig ved hjelp av LC-MS, ble den avkjølt, og DMF ble tilsatt for løselighet. Løsningen ble deretter filtrert gjennom en nylonfritte for å fjerne katalysatoren. Filtratet ble fortynnet med vann, og pH-en justert til 7 og ekstrahert med DCM (2x) og EtOAc (2x). Alle organiske lag ble kombinert og inndampet til tørrhet for å gi 3-amino-*N*-(3-(3-amino-5-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid (330 mg, 93%). MS (EI) m/z for $C_{21}H_{20}N_6O_3S$: 437,06 (MH^+)

2-(dimetylamino)-*N*-(3-(*N*-(3-(3-(2-(dimetylamino)-acetamido)-5-metoksyfenylamino)kinoksalin-2-yl)-sulfamoyl)fenyl)acetamid. 3-Amino-*N*-(3-(3-amino-5-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid (330 mg, 0,76 mmol), DMF (4 mL), *N,N*,-Dimetylglysin (312 mg, 3,02 mmol), HATU (1,15 g, 3,02 mmol) og 1,29 (mL) (7,56 mmol) DIEA (1,29 mL, 7,56 mmol) ble kombinert og oppvarmet til 90°C, etterfulgt av varmebehandling ved 50°C i over 16 timer. Reaksjonen ble avkjølt, plassert i en skilletrakt, fortynnet med vann og vandig LiCl og ekstrahert med EtOAc. Den endelige forbindelsen ble deretter renset ved prep. HPLC for å gi 2-(dimetylamino)-*N*-(3-(*N*-(3-(3-(2-(dimetylamino)acetamido)-5-metoksy-fenylamino)-kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^1H NMR (400 MHz, CD_3OD) δ 8,45 (t, 1H), 7,93 (t, 1H), 7,85-7,88 (m, 1H), 7,70-7,74 (m, 1H), 7,65-7,68 (m, 1H), 7,58-7,62 (m, 1H), 7,58 (t, 1H), 7,34-7,42 (m, 3H), 7,0 (t, 1H), 4,05 (d, 2H), 3,8 (s, 3H), 2,9-3,0 (d, 12H). MS (EI) m/z for $C_{29}H_{34}N_8O_5S$: 607,2 (MH^+).

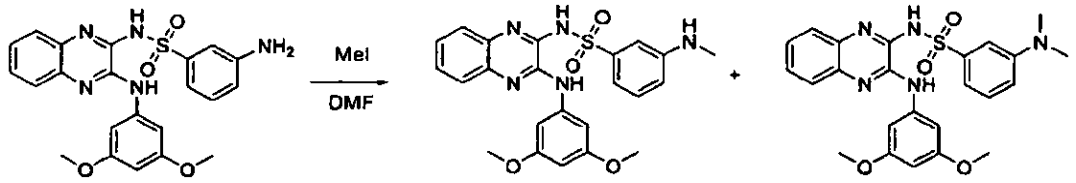
De følgende av de i overskriften nevnte forbindelser ble fremstilt under anvendelse av prosedyrer som ligner de i eksempel 153.

Eksempel 154: *N*-(3-(2,5-dimetoksyfenylamino)-7-metylkinoksalin-2-

yl)benzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_4O_4S$: 451,0 (MH^+).

Eksempel 155a og eksempel 155b

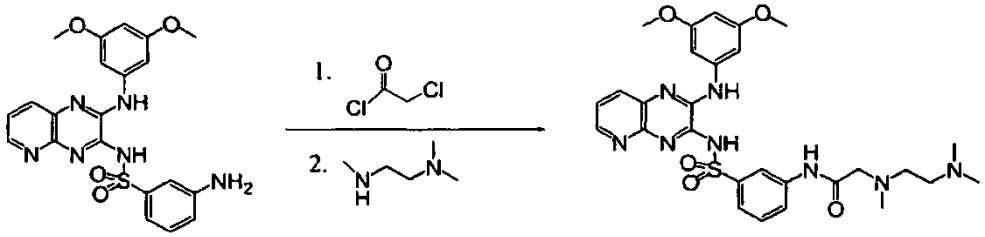
***N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-(metylamino)benzensulfonamid og *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-(dimetylamino)benzensulfonamid.**



Til en løsning av 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid (414 mg) i DMF (4,5 mL) ble det tilsatt jodmetan (114 µL). Reaksjonsblandingen ble varmebehandlet ved 35-50°C til dannelsen av både monometylerte og dimetylerte produkter ble detektert ved hjelp av LC/MS. Blandingen ble fortynnet med EtOAc, vasket med vann, 10% LiCl (2 x) og saltlake. Etter fjerning av løsemiddel in vacuo, ble råblandingen renset ved flash-silika-kolonnekromatografi-utvasking med 15% EtOAc i heksaner, idet det ga de monometylerte og dimetylerte produkter. Produkt A: *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-(metylamino)-benzensulfonamid (35 mg). ^{1}H NMR (400 MHz, DMSO) δ 12,2 (s, 1H), 8,93 (s, 1H), 7,85 (d, 1H), 7,58 (d, 1H), 7,40-7,20 (m, 7H), 6,76 (m, 1H), 6,24 (m, 1H), 6,16 (br s, 1H), 3,77 (s, 6H), 2,71 (s, 3H). MS (EI) for $C_{23}H_{23}N_5O_4S$: 466,05 (MH+). Produkt B: *N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-3-(dimetylamino)benzensulfonamid (33 mg). ^{1}H NMR (400 MHz, DMSO) δ 1220 (s, 1H), 8,98 (s, 1H), 7,98 (d, 1H), 7,56 (d, 1H), 7,42-7,32 (m, 7H), 6,74 (m, 1H), 6,24 (m, 1H), 3,77 (s, 6H), 2,97 (s, 6H). MS (EI) for $C_{24}H_{25}N_5O_4S$: 480,04 (MH+).

Eksempel 156

***N*-(3-{[(2-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-3-yl)amino]sulfonyl}fenyl)-*N*-2-[2-(dimetylamino)etyl]-*N*-2-metylglycinamid**



Til en THF-suspensjon (1,3 mL) av 3-amino-*N*-(3-{[3,5-(dimetoksy)-fenyl]amino}-kinoksalin-2-yl)benzensulfonamid (126 mg, 0,28 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet for eksempel 15, ble det tilsatt 0,143 mL av 2M vandig Na_2CO_3. Til

denne gule suspensjonen tilsettes dråpevis 33 µL (0,42 mmol) kloracetylklorid. Reaksjonsblandingen blir klar etter noen få minutter, og omrøres ved 23°C i 1h. Til reaksjonen tilsettes en DMSO- (1 mL) løsning inneholdende 180 µL (1,4 mmol) *N,N',N'* trimetyletelyendiamin. Reaksjonen oppvarmes deretter til 60°C og omrøres i 18h. Produktet isoleres ved preparativ RP-HPLC (NH_4OAc/AC*N*)-gradient, de egnede fraksjoner ble poolet og lyofiliserer for å gi et fast gult stoff som eddiksyresaltet: 59 mg (51%). ^{1}H-NMR (400 MHz, $CDCL_3$): δ 10,1 (br s, 1H), 8,37 (br s, 2H), 8,18 (d, 1H), 7,97 (d, 1H), 7,60 (br d, 1H), 7,27 (s, 2H), 7,20 (br s, 3H), 6,15 (s, 1H), 3,82 (m, 2H), 3,65 (s, 6H), 3,20 (br m, 2H), 2,82 (br s, 8H), 2,42 (s, 3H), 2,02 (s, 3H). MS (EI) m/z for $C_{28}H_{34}N_8O_5S$: 595,84 (MH^+).

De følgende av de i overskriften nevnte forbindelser ble fremstilt under anvendelse av prosedyrer som ligner de i eksempel 156.

Eksempel 157: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-((3-(dimetylamino)propyl)(metyl)amino)acetamid. MS (EI) m/z for $C_{30}H_{37}N_7O_5S$: 608,1 (MH^+).

Eksempel 158: 2-(1,4'-bipiperidin-1'-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z for $C_{34}H_{41}N_7O_5S$: 660,1 (MH^+).

Eksempel 159: *tert*-butyl 2-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenylkarbamoyl)piperidin-1-karboksylat. MS (EI) m/z for $C_{33}H_{38}N_6O_7S$: 663,1 (MH^+).

Eksempel 160: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-(dimetylamino)propan-2-yl)benzamid. MS (EI) m/z for $C_{27}H_{29}$ ClN_6O_4S: 569,0 (MH^+).

Eksempel 161: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-ureidobenzensulfonamid. MS (EI) m/z for $C_{23}H_{22}N_6O_5S$: 495,40 (MH^+).

Eksempel 162: 2-(dimetylamino)-*N*-(3-(*N*-(3-(5-metoksy-2-metylfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z for $C_{26}H_{28}N_6O_4S$: 521,69 (MH^+).

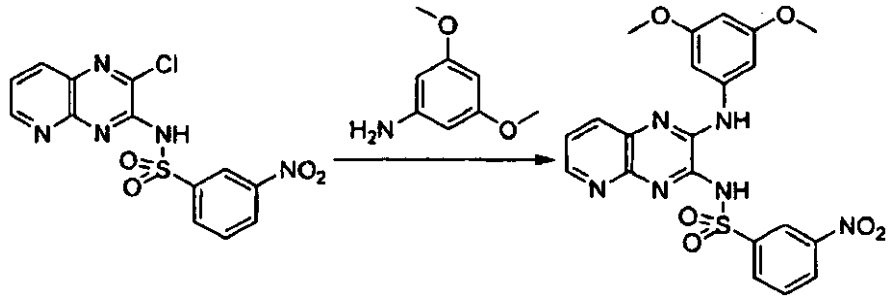
Eksempel 163: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-metylpiperazin-1-yl)acetamid. MS (EI) m/z for $C_{29}H_{33}N_7O_5S$: 592,61 (MH^+).

Eksempel 164: 2-acetamido-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z for $C_{26}H_{26}N_6O_6S$: 550,59 (MH^+).

Eksempel 165: *tert*-butyl 2-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenylamino)-2-oksoetylkarbamat. MS (EI) m/z for $C_{29}H_{32}N_6O_7S$: 609,32 (MH^+).

Eksempel 166

***N*-(2-(3,5-dimetoksy-fenylamino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzensulfonamid**



Til en xylensuspensjon (15 mL) av N-(2-klorpyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzensulfonamid (1 g, 2,7 mmol) (fremstilt under anvendelse av prosedyrer som ligner de i Asier, et al *J. Org Chem* **2005**, *70(7)*, 2878 og Leeson, et al *J. Med.Chem* **1991**, *34*, 1243) ble det tilsatt 420 mg (2,7 mmol) 3,5 dimetoksyanilin. Etter refluks av reaksjonen i 1 h, avkjøles reaksjonen, presipitatet samles opp ved filtrering og tørkes under vakuum for å gi 830 mg av produktet som en ~6:1-blanding av isomerer, idet den betydeligste er N-(2-(3,5-dimetoksy-fenylamino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzensulfonamid, som ble bestemt ved kjent kjemisk reaktivitet. Analytisk HPLC, ret.-tid = 3,3 min (14%), 3,05 min (86%), (betingelser: Phenomenex Gemini C18 50x4,6-kolonne, gradient 5% til 95% MeCN/H_2O, i nærvær av 0,1% TFA, 5 min kjørt ved 3,5 ml/min strømningshastighet, λ=254 nm). ^{1}H-NMR (400 MHz, DMSO-d6): hovedisomer δ 9,14 (br s, 1H), 8,69 (dd, 1H), 8,60 (dd, 1H), 8,33 (dt, 2H), 7,77 (t, 1H), 7,49 (dd, 1H), 7,37 d, 2H), 7,05 (s, 1H), 6,26 (t, 1H), 3,77 (s, 6H); MS (EI) m/z for $C_{21}H_{18}N_6O_6S$: 483,08 (MH^+).

Eksempel 167

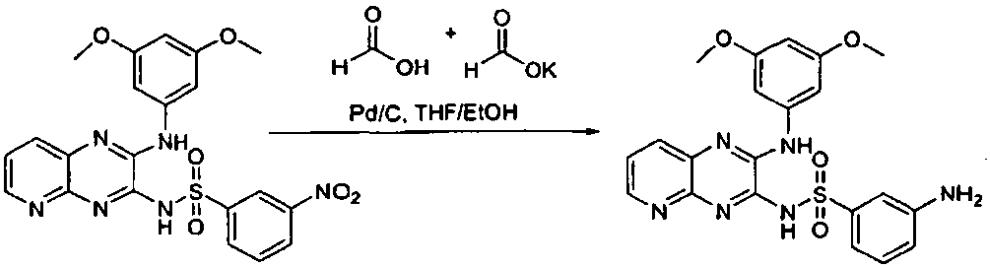
3-amino-*N*-(2-(3,5-dimetoksy-fenylamino)pyrido[2,3-b]pyrazin-3-yl)benzensulfonamid.

Til en 1:1 THF/EtOH-suspensjon (1 mL) av *N*-(3-(3,5-dimetoksyfenylamino)-pyrido[3,2-b]pyrazin-2-yl)-3-nitrobenzensulfonamid (190 mg, 0,21 mmol) (fremstilt under anvendelse av prosedyrer som ligner de i eksempler 166) ble det tilsatt 47 µL (1,26 mmol) maursyre pluss 99 mg (1,17 mmol) kaliumformat og 50 mg 10% palladium på trekull. Etter refluks av reaksjonen i 1h, varm filtrering gjennom kiselgur (vasking med en liten del DMF), fortynning med 30 mL vann, ble pH-en justert til 5,5 med 5% $NaHCO_3$, isoleres produktet som et presipitat 140 mg (80%) hvitt pulver. Analytisk HPLC, ret.-tid = 2,6 min (90%), 3,05 min (10%), 100% rent (betingelser: YMC C18 5x4,6-kolonne, gradient 10% til 90% MeCN/H_2O, i nærvær av 0,1% TFA, 9 min kjørt ved 1 ml/min strømningshastighet, λ =254 nm). ^{1}H-NMR (400 MHz, $CDCL_3$): δ 8,48 (br s, 1H), 8,34 (dd, 1H), 7,92 (dd, 1H), 7,41 (dd, 1H), 7,15 (m, 3H), 7,13 (d, 2H), 6,86

(dd, 1 H), 6,28 (t, 1H), 3,83 (s, 6H); MS (EI) m/z for $C_{21}H_{20}N_6O_4S$: 453,03 (MH^+).

Eksempel 168

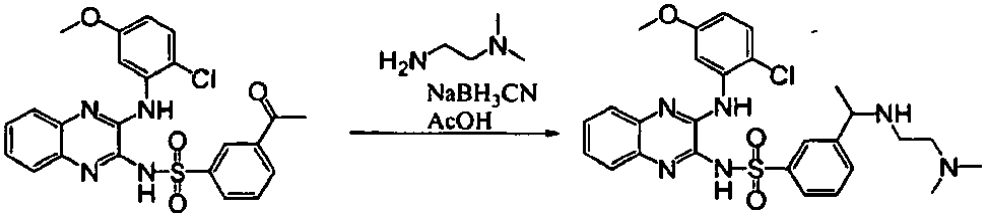
3-amino-*N*-(3-{[3,5-bis(metoksy)fenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzensulfonamid



Til en 1:1 THF/EtOH-suspensjon (1 mL) av 3-nitro-*N*-(3-{[3,5-bis(metoksy)-fenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzensulfonamid (100 mg, 0,21 mmol) (fremstilt under anvendelse av prosedyrer som ligner de som anvendes i eksempel 166) ble det tilsatt 46 µL (0,63 mmol) maursyre pluss 100 mg (0,63 mmol) kaliumformat og 100 mg 10% palladium på trekull. Etter refluks av reaksjonen i 1h, varm filtrering gjennom kiselgur, og konsentrering, isoleres produktet ved preparativ RP-HPLC (NH_4OAc/AC*N*)-gradient. De egnede fraksjoner ble poolet og lyofiliserer for å gi fast gult produkt: 3,2 mg (4%). ^{1}H-NMR (400 MHz, $CDCl_3$): δ 8,62 (d, 1H), 8,52 (s, 1H), 7,62 (d, 1H), 7,3 (m, 4H), 7,18 (d, 2H), 6,88 (d, 1H), 6,27 (t, 1H), 3,96 (br s, 2H), 3,83 (s, 6H). MS (EI) m/z for $C_{21}H_{20}N_6O_4S$: 453,22 (MH^+).

Eksempel 169

***N*-(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)-3-(1-{[2-(dimetylamino)-etyl]amino}etyl)benzensulfonamid trifluoreddiksyresalt**

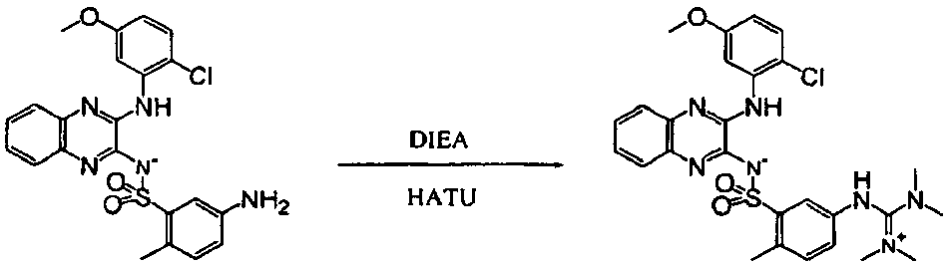


Til en dikloretanløsning (0,6 mL) av 3-acetyl-*N*-(3-{[2-klor-5-(metoksy)-fenyl]amino}kinoksalin-2-yl)benzensulfonamid (150 mg, 0,31 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 115, og 51 µL (0,37 mmol) av *N,N*-dimetyletylendiamin, ble det tilsatt 19 µL eddiksyre etterfulgt av 132 mg (0,62 mmol) natriumcyanoborhydrid. Reaksjonsblandingen

ble reflukset i 18h under en nitrogenatmosfære. Etter konsentrering (in vacuo) isoleres produktet ved preparativ RP-HPLC (0,1% TFA/AC*N*)-gradient, etterfulgt av lyofilisering av egnede fraksjoner for å gi fast gult fast stoff: 189 mg (90%). ^{1}H-NMR (400 MHz, d_3-MeOD): δ 8,74 (s, 1H), 8,18 (s, 1H), 8,12 (d, 1H), 7,71 (m, 3H), 7,48 (m, 4H), 7,28 (d, 1H), 6,63 (d, 1H), 4,38 (q, 1H), 3,80 (s, 3H), 3,30 (m, 3H), 3,12 (m, 1H), 2,84 (s, 3H), 1,60 (d, 3H). MS (EI) m/z for $C_{27}H_{31}ClN_6O_3S$: 555,56 (MH^+).

Eksempel 170

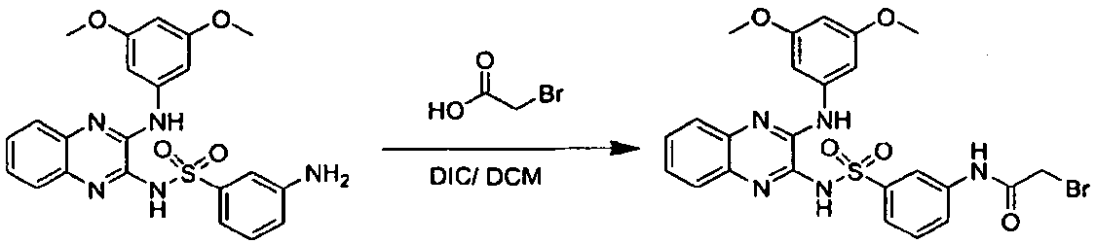
***N,N*-{[(3-{[(3-{[2-klor-5-(metoksy)fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}-4-metylfenyl)amino](dimetylamino)metyliden}-*N*-metylmetanaminium**



Til en dimetylformamidløsning (1 mL) av 3-amino-*N*-(3-{[2-klor-5-(metoksy)-fenyl]amino}kinoksalin-2-yl)2-metylbenzensulfonamid (200 mg, 0,40 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 115, tilsettes det 312 µL (1,8 mmol) DIEA og 122 mg (0,6 mmol) HATU. Etter omrøring i 18h ved 60°C ble produktet presipitert fra en 1:1-blanding av heksan/etylacetat, filtrert og tørket for å gi 60 mg (26%). ^{1}H NMR (400 MHz, DMSO-d_6): δ 9,26 (b rs, 1H), 8,96 (br s, 1H), 7,80 (s, 1H), 7,51 (br s, 1H), 7,45 (d, 1H), 7,18 (brm, 4H), 6,91 (br s, 1H), 6,60 (br d, 1H), 3,82 (s, 3H), 3,36 (s, 3H), 2,85 (s, 6H), 2,58 (s, 3H). MS (EI) m/z for $C_{27}H_{31}ClN_7O_3S^+$: 569,32 (MH^+).

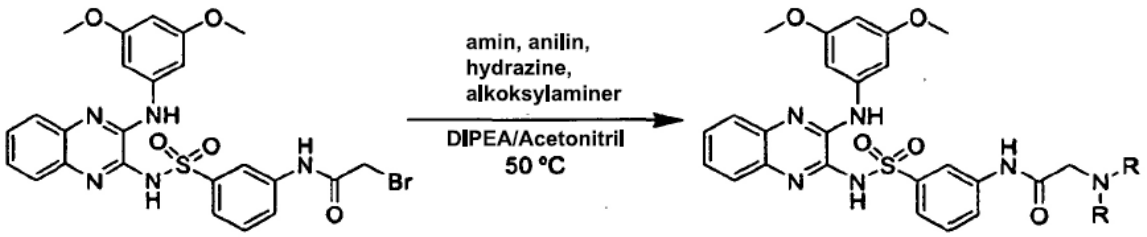
Eksempel 171

2-Brom-N-(3-(N-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid



I en rundbunnet kolbe på 50 mL ble det tilsatt 2-bromeddiksyre (1,87 g, 13,5 mmol), *N,N*-diisopropylkarbodiimid (860 mg, 6,8 mmol) og 10 mL DCM. Til denne blandingen ble det tilsatt 3-amino-*N*-(3-(3,5-dimetoksyfenylamino) kinoksalin-2-yl) benzensulfonamid (2,03 g, 4,5 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 168. Reaksjonen ble omrørt over natten ved romtemperatur. Fullstendig forbruk av startanilinet ble bekreftet ved LCMS. Løsemidlet ble avdampet for å gi råproduktet (2-brom-N-(3-(N-(3-(3,5-dimetoksyfenylamino) kinoksalin-2-yl)sulfamoyl) fenyl) acetamid). Dette ble anvendt direkte i det neste trinn uten ytterligere rensing.

Generell alkyleringsprosedyre 1



I et 2-dramsglass ble det plassert 2-brom-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl) sulfamoyl) fenyl) acetamid (86 mg, 0,15 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 171, sammen med 2 mL acetonitril. Åtte ekvivalenter (1,2 mmol) av det ønskede amin, anilin, hydrazin eller alkoksyamin ble tilsatt, etterfulgt av tilsetningen av Hunigs base (41 µL, 0,25 mmol). Reaksjonen ble deretter omrørt ved 50°C i én time (over natten for anilinreagenser). Preparativ omvendt-fase-HPLC ble anvendt for å isolere det ønskede produkt direkte fra råreaksjonsblandingen. Et Waters Fractionlynx preparativ omvendt-fase-HPLC, utstyrt med en Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril - ble anvendt til å utføre rensingen.

De følgende av de i overskriften nevnte forbindelser ble fremstilt i henhold til **Generell Library-alkyleringsprosedyre 1.**

Eksempel 172: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metylamino)acetamid. ^{1}H-NMR (400MHz, d_6-DMSO): 8,81 (s, 1H), 8,23 (t; 1H), 7,75 (d, 1H), 7,66 (d, 1H), 7,41-7,38 (m, 1H), 7,35 (m, 1H), 7,32 (d, 2H), 7,29-7,27 (m, 1H), 7,14-7,11 (m, 2H), 6,14 (t, 1H), 3,80 (s, 1H), 3,78 (s, 6H), 2,58 (s, 3H), 1,91 (s, 2H); MS (EI) m/z $C_{25}H_{26}N_6O_5S$: 523,6 (MH^+).

Eksempel 173: 2-(syklopropylmetylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H-NMR (400MHz, d_6-DMSO): 10,58 (s, 1H), 8,81 (s, 1H), 8,20 (t, 1H), 7,76 (d, 1H), 7,67 (d, 1H), 7,42-7,36 (m, 2H),

7,32 (d, 2H), 7,27 (s, 1H), 7,14-7,12 (m, 2H), 6,15 (t, 1H), 3,93 (s, 2H), 3,78 (s, 6H), 2,89 (s, 1H), 2,88 (s, 1H), 1,05-1,00 (m, 1H), 0,59 (d, 1H), 0,57 (d, 1H), 0,35 (d, 1H), 0,34 (d, 1H); MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563,6 (MH^+).

Eksempel 174: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-hydroksy-propylamino)acetamid. ^{1}H-NMR (400MHz, d_6-DMSO): 10,49 ppm (s, 1H), 8,81 ppm (s, 1H), 8,23 ppm (t, 1H), 8,13 ppm (s, 1H), 7,76 ppm (d, 1H), 7,765-7,763 (dd, 1H), 7,41-7,37 ppm (m, 2H), 7,33-7,32 ppm (d, 1H), 7,30-7,28 ppm (m, 1H), 7,16-7,09 ppm (m, 2H), 6,55 ppm (s, 1H), 6,14 ppm (t, 1H), 5,49 ppm (d, 2H), 5,25 ppm (s, 1H), 3,85 ppm (s, 1H), 3,78 ppm (s, 6H) 3,67-3,59 ppm (m, 1H), 3,00-2,89 ppm (dd, 1H), 2,79-2,76 ppm (m, 1H), 1,10 ppm (d, 1H), 1,01-0,99 ppm (d, 1 H); MS (EI) m/z $C_{27}H_{30}N_6O_6S$: 566,6 (MH^+).

Eksempel 175: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)2-(3-fluorbenzylamino)acetamid. ^{1}H-NMR (400MHz, d_6-DMSO): 10,42 ppm (s, 1H), 8,82 ppm (s, 1H), 8,23 ppm (s, 1H), 8,14 ppm (s, 1H), 7,75 ppm (d, 1H), 7,65 ppm (d, 1H), 7,49-7,32 ppm (m, 6H), 7,25-7,20 ppm (m, 1H), 7,14-7,12 ppm (m, 2H), 6,55 ppm (s, 1H), 6,15 ppm (t, 1H), 4,14 ppm (s, 2H), 3,78 ppm (s, 6H), 3,74 ppm (s, 2H); MS (EI) m/z $C_{31}H_{29}FN_6O_5S$: 616,7 (MH^+).

Eksempel 176: 2-(benzylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Eksempel 177: 2-(dietylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Eksempel 178: 2-(4-(3,4-diklorfenyl)piperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{34}H_{33}Cl_2N_7O_5S$: 722 (MH^+).

Eksempel 179: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,2-dimetylhydrazinyl)acetamid. MS (EI) m/z $C_{26}H_{29}N_7O_5S$: 552 (MH^+).

Eksempel 180: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(p-tolylamino)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Eksempel 181: 2-(benzyloksyamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Eksempel 182: 2-(2-klorfenylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Eksempel 183: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(isopropylamino)acetamid. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Eksempel 184: 2-(4-syklopentylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{33}H_{39}N_7O_5S$: 646 (MH^+).

Eksempel 185: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-propylpiperidin-1-yl)acetamid. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+).

Eksempel 186: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(isobutoksyamino)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Eksempel 187: 2-(3-*tert*-butylfenylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{34}H_{36}N_6O_5S$: 641 (MH^+).

Eksempel 188: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fenylpropan-2-ylamino)acetamid. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Eksempel 189: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-fluor-4-hydroksyfenylamino)acetamid. MS (EI) m/z $C_{30}H_{27}FN_6O_6S$: 619 (MH^+).

Eksempel 190: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-(metyltio)benzylamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S_2$: 645 (MH^+).

Eksempel 191: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(5-fluor-2-metylbenzylamino)acetamid. MS (EI) m/z $C_{32}H_{31}FN_6O_5S$: 631 (MH^+).

Eksempel 192: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fenylpyrrolidin-1-yl)acetamid. MS (EI) m/z $C_{34}H_{34}N_6O_5S$: 639 (MH^+).

Eksempel 193: 2-(2-benzylpyrrolidin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{35}H_{36}N_6O_5S$: 653 (MH^+).

Eksempel 194: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fenylmorfolino)acetamid. MS (EI) m/z $C_{34}H_{34}N_6O_6S$: 655 (MH^+).

Eksempel 195: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-(pyridin-4-yl)piperidin-1-yl)acetamid. MS (EI) m/z $C_{34}H_{35}N_7O_5S$: 654 (MH^+).

Eksempel 196: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(o-tolylamino)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Eksempel 197: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,4-dimetylbenzylamino)acetamid. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Eksempel 198: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metyl(pyridin-3-ylmetyl)amino)acetamid. MS (EI) m/z $C_{31}H_{31}N_7O_5S$: 614 (MH^+).

Eksempel 199: 2-(3-klor-4-metylbenzylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{32}H_{31}ClN_6O_5S$: 647 (MH^+).

Eksempel 200: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-((2-(dimetylamino)-etyl)(metyl)amino)acetamid. MS (EI) m/z $C_{29}H_{35}N_7O_5S$: 594 (MH^+).

Eksempel 201: 2-(4-acetylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH^+).

Eksempel 202: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(metyl(1-metylpyrrolidin-3-yl)amino)acetamid. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Eksempel 203: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-metyl-1,4-diazepan-1-yl)acetamid. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Eksempel 204: 2-(4-allylpiperazin-1-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{31}H_{35}N_7O_5S$: 618 (MH^+).

Eksempel 205: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-isopropylpiperazin-1-yl)acetamid MS (EI) m/z $C_{31}H_{37}N_7O_5S$: 620 (MH^+).

Eksempel 206: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-(dimetylamino)pyrrolidin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Eksempel 207: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-(dimetylamino)azetidin-1-yl)acetamid. MS (EI) m/z $C_{29}H_{33}N_7O_5S$: 592 (MH^+).

Eksempel 208: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-oksopiperidin-1-yl)acetamid. MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+).

Eksempel 209: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-((2-metoksyetyl)(metyl)amino)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Eksempel 210: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-metylbenzyloksyamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_6S$: 629 (MH^+).

Eksempel 211: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metoksybenzyloksyamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_7S$: 645 (MH^+).

Eksempel 212: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(propylamino)acetamid. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Eksempel 213: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etyl(metyl)amino)acetamid. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+).

Eksempel 214: 2-(allyl(metyl)amino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Eksempel 215: 2-(*tert*-butylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Eksempel 216: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(isobutylamino)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Eksempel 217: 2-(butylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Eksempel 218: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(isopropyl(metyl)amino)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH^+).

Eksempel 219: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-formylpiperazin-1-yl)acetamid. MS (EI) m/z $C_{29}H_{31}N_7O_6S$: 606 (MH^+).

Eksempel 220: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-etylpiperazin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+).

Eksempel 221: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamid. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH^+).

Eksempel 222: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etyl(2-hydroksyetyl)amino)acetamid. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+).

Eksempel 223: (*S*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-hydroksypyrrolidin-1-yl)acetamid. MS (EI) m/z $C_{28}H_{30}N_6O_6S$: 579 (MH^+).

Eksempel 224: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,6-dimetylmorfolino)acetamid. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH^+).

Eksempel 225: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metylbenzylamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Eksempel 226: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metoksy-etylamino)acetamid. MS (EI) m/z $C_{27}H_{30}N_6O_6S$: 567 (MH^+).

Eksempel 227: *N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(tiazolidin-3-yl)acetamid. MS (EI) m/z $C_{27}H_{28}N_6O_5S_2$: 581 (MH^+).

Eksempel 228: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-(hydroksymetyl)piperidin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH^+).

Eksempel 229: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fenylpropylamino)acetamid. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Eksempel 230: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(isobutyl(metyl)amino)acetamid. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Eksempel 231: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(fenylamino)acetamid. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH^+).

Eksempel 232: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-propylfenylamino)acetamid. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Eksempel 233: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-isopropylfenylamino)acetamid. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+).

Eksempel 234: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fluor-4-metylfenylamino)acetamid. MS (EI) m/z $C_{31}H_{29}FN_6O_5S$: 617 (MH^+).

Eksempel 235: 2-(4-klorfenylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Eksempel 236: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metoksyfenylamino)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615. (MH^+).

Eksempel 237: 2-(3-klorfenylamino)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+).

Eksempel 238: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,3-dimetylfenylamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Eksempel 239: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fluorfenylamino)acetamid. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Eksempel 240: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-

(3-fluorfenylamino)acetamid. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Eksempel 241: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(tiofen-2-ylmetylamino)acetamid. MS (EI) m/z $C_{29}H_{28}N_6O_5S_2$: 605 (MH^+).

Eksempel 242: 2-(sykloheksyl(etyl)amino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+).

Eksempel 243: 2-((syklopropylmetyl)(propyl)amino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Eksempel 244: 2-(allyl(syklopentyl)amino)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{32}H_{36}N_6O_5S$: 617 (MH^+).

Eksempel 245: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etyl(isopropyl)amino)acetamid. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Eksempel 246: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(etyl(fenyl)amino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Eksempel 247: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metylpyrrolidin-1-yl)acetamid. MS (EI) m/z $C_{29}H_{32}N_6O_5S$: 577 (MH^+).

Eksempel 248: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metylpiperidin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Eksempel 249: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(pyridin-2-ylmetylamino)acetamid. MS (EI) m/z $C_{30}H_{29}N_7O_5S$: 600 (MH^+).

Eksempel 250: 2-(benzyl(metyl)amino)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Eksempel 251: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(1-fenyletylamino)acetamid. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Eksempel 252: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-metylpiperidin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

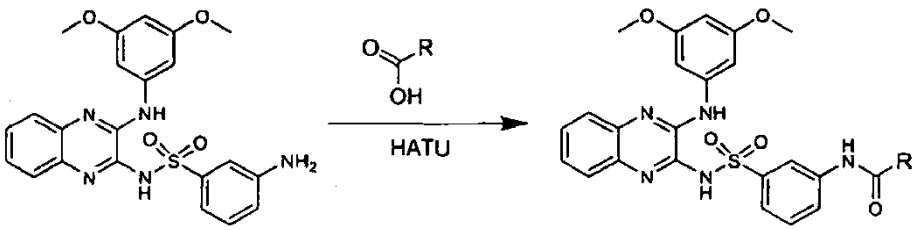
Eksempel 253: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-metylpiperidin-1-yl)acetamid. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Eksempel 254: 2-(3,4-dihydroisokinolin-2(1H)-yl)-*N*-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{33}H_{32}N_6O_5S$: 625 (MH^+).

Eksempel 255: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2,6-dimetylpiperidin-1-yl)acetamid. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Eksempel 256: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-hydroksybenzylamino)acetamid. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Generell Library-acyleringsprosedyre 1



I et 2-dramsglass ble det tilsatt 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid (54 mg, 0,12 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 15, DMA (2 mL) og den ønskede karboksylsyre (0,17 mmol). DIEA (70 µL, 0,4 mmol) etterfulgt av HATU (53 mg, 0,14 mmol) ble tilsatt til glasset, og reaksjonsblandingen omrørt ved 50°C over natten. Preparativ omvendt-fase-HPLC ble anvendt for å isolere det ønskede produkt direkte fra råreaksjonsblandingen. Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18 OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril - ble anvendt til å utføre rensingen.

De følgende av de i overskriften nevnte forbindelser ble fremstilt i henhold til **Generell Library-acyleringsprosedyre 1.**

Eksempel 257: *N*-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)morfolin-4-karboksamid: MS (EI) m/z for $C_{26}H_{25}ClN_6O_5S$: 567 (MH^-).

Eksempel 258: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. MS (EI) m/z for $C_{26}H_{28}N_6O_5S$: 535,1 (MH^-).

Eksempel 259: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propionamid. ^{1}H-NMR (400MHz, d_6-DMSO): 12,37 (s,1H), 10,20 (s, 1H), 8,88 (s, 1H), 8,37 (s, 1H), 7,93 (s, 1H), 7,77 (t, 2H), 7,59 (t, 1H), 7,51 (t, 1H), 7,41-7,34 (m, 4H), 6,24 (t, 1H), 3,76 (s, 6H), 2,36-2,31 (dd, 2H), 1,10 (s, 1H), 1,08 (s, 1H), 1,06 (s, 1H); MS (EI) m/z $C_{25}H_{25}N_5O_5S$: 508,6 (MH^+).

Eksempel 260: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyridazin-4-karboksamid. ^{1}H-NMR (400MHz, d_6-DMSO): 11,01 (s, 1H), 9,66 (dd, 1H), 9,52 (dd, 1H), 8,90 (s, 1H), 8,55 (s, 1H), 8,13 (dd, 1H), 7,99 (d, 1H), 7,93 (d, 1H), 7,65-7,58 (m, 2H), 7,42-7,35 (m, 4H), 6,24 (t, 1H), 3,75 (s, 6H); MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558,6 (MH^+).

Eksempel 261: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylnikotinamid. ^{1}H-NMR (400MHz, d_6-DMSO): 10,78 ppm (s, 1H), 8,90 ppm (s, 1H), 8,58-8,57 ppm (dd, 2H), 7,90-7,86 (m, 4H), 7,60-7,56 ppm (m, 2H), 7,42-7,34 (m, 5H), 6,23 ppm (t, 1H), 3,74 ppm (s, 6H), 2,57 ppm (s, 3H); MS (EI) m/z $C_{29}H_{26}N_5O_5S$: 570,6 (MH^+).

Eksempel 262: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(o-tolyloksy)acetamid. ^{1}H-NMR (400MHz, d_6-DMSO): 12,37 ppm (s, 1H), 10,41 ppm (s, 1H), 8,90 ppm (s, 1H), 8,41 ppm (s, 1H), 7,93 ppm (s, 1H), 7,90-7,8 (m, 2H), 7,59-7,53 ppm (m, 2H), 7,42-7,33 ppm (m, 4H), 7,17-7,12 ppm (m, 2H), 6,89-6,85 ppm (m, 2H), 6,24 ppm (t, 1H), 4,74 ppm (s, 2H), 3,76 ppm (s, 6H), 2,33 ppm (s, 2H); MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 599,7 (MH^+).

Eksempel 263: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metoksy-4-metylbenzamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 264: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metoksy-4-metylbenzamid. MS (EI) m/z $C_{28}H_{24}N_6O_5S$: 557 (MH^+).

Eksempel 265: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tiazol-4-karboksamid. MS (EI) m/z $C_{26}H_{22}N_6O_5S_2$: 563 (MH^+).

Eksempel 266: 2-brom-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tiofen-3-karboksamid. MS (EI) m/z $C_{27}H_{22}BrN_5O_5S_2$ 640 (MH^+).

Eksempel 267: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pivalamid. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Eksempel 268: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pent-4-enamid. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Eksempel 269: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{25}N_5O_5S$: 556 (MH^+).

Eksempel 270: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)butyramid. MS (EI) m/z $C_{26}H_{27}N_5O_5S$: 522 (MH^+).

Eksempel 271: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metoksyacetamid. MS (EI) m/z $C_{25}H_{25}N_5O_6S$: 524 (MH^+).

Eksempel 272: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)syklobutankarboksamid. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Eksempel 273: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylsyklopropankarboksamid. MS (EI) m/z $C_{27}H_{27}N_5O_5S$: 534 (MH^+).

Eksempel 274: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-metylsyklopropankarboksamid. MS (EI) m/z $C_{27}H_{27}NO_5S$: 534 (MH^+).

Eksempel 275: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metylbutanamid. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Eksempel 276: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-etoksyacetamid. MS (EI) m/z $C_{26}H_{27}N_5O_6S$: 538 (MH^+).

Eksempel 277: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metoksypropanamid. MS (EI) m/z $C_{26}H_{27}N_5O_6S$: 538 (MH^+).

Eksempel 278: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-hydroksyacetamid. MS (EI) m/z $C_{24}H_{23}N_5O_6S$: 510 (MH^+).

Eksempel 279: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)isobutyramid. MS (EI) m/z $C_{26}H_{27}N_5O_5S$: 522 (MH^+).

Eksempel 280: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-hydroksysyklopropankarboksamid. MS (EI) m/z $C_{26}H_{25}N_5O_6S$: 536 (MH^+).

Eksempel 281: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)furan-3-karboksamid. MS (EI) m/z $C_{27}H_{23}N_5O_6S$: 546 (MH^+).

Eksempel 282: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tetrahydrofuran-3-karboksamid. MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+).

Eksempel 283: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tetrahydrofuran-2-karboksamid. MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+).

Eksempel 284: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)furan-2-karboksamid. MS (EI) m/z $C_{27}H_{23}N_5O_6S$: 546 (MH^+).

Eksempel 285: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)isonikotinamid. MS (EI) m/z $C_{28}H_{24}N_6O_5S$: 557 (MH^+).

Eksempel 286: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1H-pyrrol-2-karboksamid. MS (EI) m/z $C_{27}H_{24}N_6O_5S$: 545 (MH^+).

Eksempel 287: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrazin-2-karboksamid. MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+).

Eksempel 288: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-metyl-1H-pyrrol-2-karboksamid. MS (EI) m/z $C_{28}H_{26}N_6O_5S$: 559 (MH^+).

Eksempel 289: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-5-metylisoksazol-3-karboksamid. MS (EI) m/z $C_{27}H_{24}N_6O_6S$: 561 (MH^+).

Eksempel 290: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tiofen-2-karboksamid. MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+).

Eksempel 291: (*S*)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-metylpyrrolidin-2-karboksamid. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Eksempel 292: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylbenzamid. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Eksempel 293: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-fenylacetamid. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Eksempel 294: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metylpikolinamid. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Eksempel 295: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(pyridin-3-yl)acetamid. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Eksempel 296: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-6-hydroksypikolinamid. MS (EI) m/z $C_{28}H_{24}N_6O_6S$: 573 (MH^+).

Eksempel 297: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-

fluorbenzamid MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Eksempel 298: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-fluorbenzamid. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Eksempel 299: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-fluorbenzamid. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+).

Eksempel 300: 2-sykloheksyl-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+).

Eksempel 301: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-oksosyklopentyl)acetamid. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Eksempel 302: 4-syklopropyl-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-oksobutanamid. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Eksempel 303: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-oksosykloheksankarboksamid. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+).

Eksempel 304: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(pyridin-3-yl)propanamid. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH^+).

Eksempel 305: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metoksybenzamid. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Eksempel 306: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metoksybenzamid. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Eksempel 307: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-fenoksyacetamid. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Eksempel 308: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-metoksybenzamid. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+).

Eksempel 309: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-fluorfenyl)acetamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 310: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-fluorfenyl)acetamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 311: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-fluorfenyl)acetamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 312: 2-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Eksempel 313: 4-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Eksempel 314: 3-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH^+).

Eksempel 315: (1R,2R)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-fenylsyklopropankarboksamid. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH^+).

Eksempel 316: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-fenylsyklopropankarboksamid. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH^+).

Eksempel 317: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(1H-imidazol-4-yl)acetamid. MS (EI) m/z $C_{27}H_{25}N_7O_5S$: 560 (MH^+).

Eksempel 318: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-metoksy-2-metylbenzamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 319: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-fluorfenoksy)acetamid. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Eksempel 320: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-5-fluor-2-metoksybenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Eksempel 321: 2-(4-klorfenyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Eksempel 322: 2-(2-klorfenyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Eksempel 323: 2-(3-klorfenyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH^+).

Eksempel 324: 1-acetyl-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)piperidin-4-karboksamid. MS (EI) m/z $C_{30}H_{32}N_6O_6S$: 605 (MH^+).

Eksempel 325: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(pyridin-4-yl)acetamid. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Eksempel 326: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(pyridin-2-yl)acetamid. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+).

Eksempel 327: 2,4-diklor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Eksempel 328: 3,4-diklor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Eksempel 329: 2,5-diklor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Eksempel 330: 3,5-diklor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Eksempel 331: 2,3-diklor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamlno)kinoksalin-2-yl)sulfamoyl)fenyl)benzamid. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH^+).

Eksempel 332: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pentanamid. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Eksempel 333: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylbutanamid. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH^+).

Eksempel 334: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1H-imidazol-2-karboksamid. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH^+).

Eksempel 335: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1H-imidazol-4-karboksamid. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH^+).

Eksempel 336: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)isoksazol-5-karboksamid. MS (EI) m/z $C_{26}H_{22}N_6O_6S$: 547 (MH^+).

Eksempel 337: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3,3-dimetylbutanamid. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Eksempel 338: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metylpentanamid. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Eksempel 339: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2,2-dimetylbutanamid. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Eksempel 340: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-metylpentanamid. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Eksempel 341: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)pyrimidin-5-karboksamid. MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+).

Eksempel 342: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-metylfuran-2-karboksamid. MS (EI) m/z $C_{28}H_{25}N_5O_6S$: 560 (MH^+).

Eksempel 343: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)tiofen-3-karboksamid. MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+).

Eksempel 344: *N*-(3-(*N*-(3-(3,5,dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-oksosyklopentankarboksamid. MS (EI) m/z $C_{28}H_{27}N_5O_6S$: 562 (MH^+).

Eksempel 345: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metoksyetoksy)acetamid. MS (EI) m/z $C_{27}H_{29}N_5O_7S$: 568 (MH^+).

Eksempel 346: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-metylbenzamid. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Eksempel 347: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl-2-(3-metylisoksazol-4-yl)acetamid. MS (EI) m/z $C_{28}H_{26}N_6O_6S$: 575 (MH^+).

Eksempel 348: 3-syklopentyl-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)propanamid. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+).

Eksempel 349: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-o-tolylacetamid. MS (EI) m/z $C_{31}H_{29}N_5O_5S$: 584 (MH^+).

Eksempel 350: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metoksynikotinamid. MS (EI) m/z $C_{29}H_{26}N_6O_6S$: 587 (MH^+).

Eksempel 351: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-fluor-3-metylbenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 352: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-fluor-2-metylbenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 353: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-fluor-4-metylbenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 354: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-fluor-5-metylbenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 355: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-5-fluor-2-metylbenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Eksempel 356: 6-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)nikotinamid. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Eksempel 357: 2-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)nikotinamid. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Eksempel 358: 2-klor-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)isonikotinamid. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Eksempel 359: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-4-(dimetylamino)benzamid. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Eksempel 360: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(dimetylamino)benzamid. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Eksempel 361: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)benzo[d][1,3]dioksol-5-karboksamid. MS (EI) m/z $C_{30}H_{25}N_5O_7S$: 600 (MH^+).

Eksempel 362: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(m-tolyloksy)acetamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 363: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(4-metoksyfenyl)acetamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 364: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(2-metoksyfenyl)acetamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 365: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(3-metoksyfenyl)acetamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 366: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-metoksy-4-metylbenzamid. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Eksempel 367: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-fluor-4-metoksybenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Eksempel 368: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-fluor-6-metoksybenzamid. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

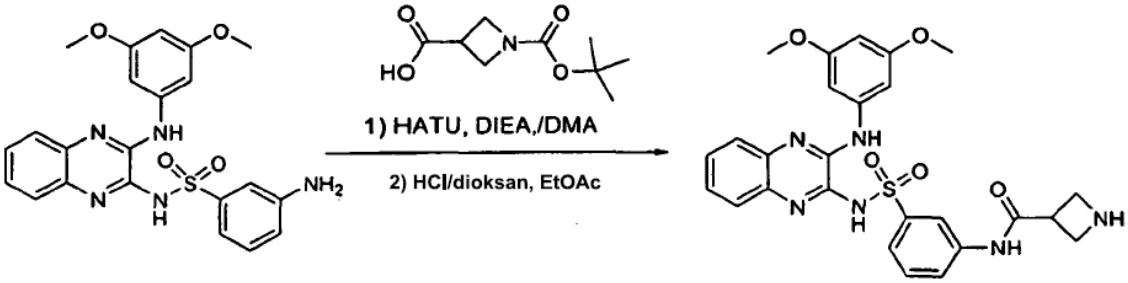
Eksempel 369: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(4-metoksyfenyl)propanamid. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Eksempel 370: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(2-metoksyfenyl)propanamid. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Eksempel 371: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-3-(3-metoksyfenyl)propanamid. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Eksempel 372

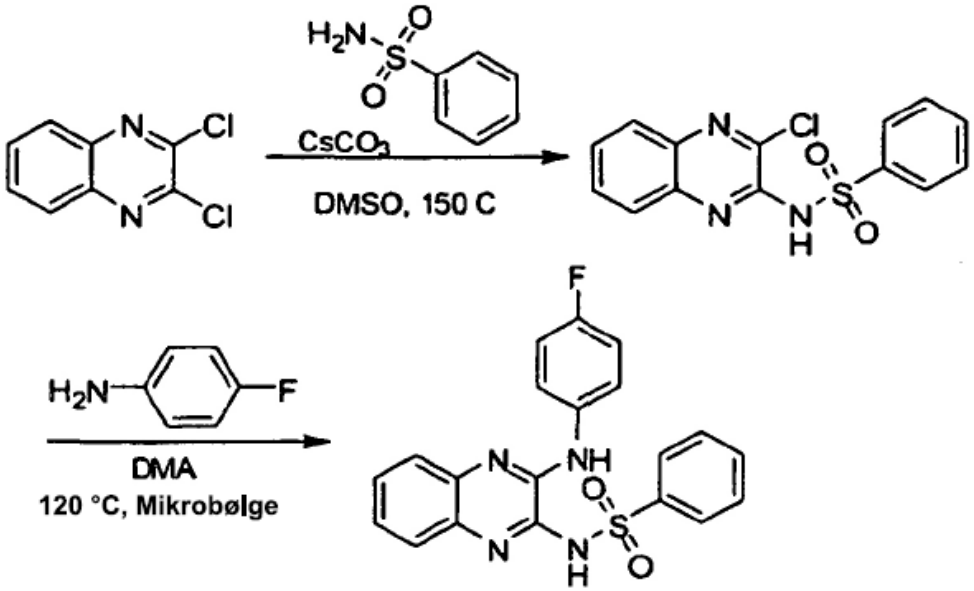
***N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid.**



I et prøveglass på 20 mL ble det tilsatt 3-amino-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid (0,24 mmol, 1 ekviv.), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 15, DMA (5 mL) og 1-(*tert*-butoksykarbonyl)azetidin-3-karboksylsyre (0,336 mmol, 1,4 ekviv). Hunigs base (0,792 mmol, 3,3 ekviv.) og HATU (0,288 mmol, 1,2 ekviv.) ble tilsatt til prøveglasset, og reaksjonsblandingen ble deretter omrørt ved romtemperatur over natten. Fullførelse av reaksjonen ble indikert ved LCMS. Løsemiddelet ble fjernet ved roterende fordampning. Råblandingen ble overført uten ytterligere rensing. Resten ble suspendert i 5 mL etylacetat og avkjølt i et isbad. En løsning av 4 N HCl i dioksan (3 mL, 5 ekviv.) ble tilsatt ved omrøring. Reaksjonsblandingen ble deretter omrørt ved romtemperatur over natten. De faste stoffene ble samlet opp ved filtrering, vasket med etylacetat, deretter renset ved preparativ omvendt fase-HPLC (ammoniumacetat/ACN). Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril; ble anvendt til å utføre rensingen. *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid ble oppnådd (26 mg, 20%). ^{1}H-NMR (400MHz, d_6-DMSO): 10,26 (s, 1H), 8,81 (s, 1H), 8,25 (t, 1H), 8,14 (s, 1H), 7,74 (d, 1H), 7,69 (d, 1H), 7,41-7,39 (m, 1H), 7,36 (d, 1H), 7,32 (d, 2H), 7,30-7,28 (dd, 1H), 7,14-7,11 (m, 2H), 6,14 (t, 1H), 4,09 (d, 4H), 3,78 (s, 6H); MS (EI) m/z $C_{26}H_{26}N_6O_5S$: 535,6 (MH^+).

Eksempel 373

***N*-(3-(4-fluorfenylamino)kinoksalin-2-yl)benzensulfonamid**



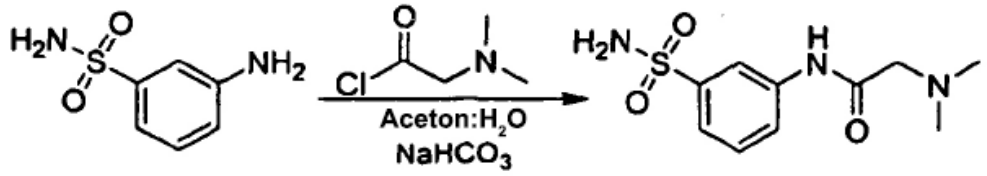
En kolbe ble fylt med 2,3-diklorkinoksalin (3,5 g, 18 mmol), 85 mL dimetylsulfoksid, benzensulfonamid (2,8 g, 18 mmol) og cesiumkarbonat (5,8 g, 18 mmol). Reaksjonsblandingen ble omrørt under en N_2-atmosfære i 15 h ved 150°C, hvoretter den ble overført til en skilletrakt og 100 mL vann ble tilsatt. Konsentrert HCl ble deretter tilsatt for å forsure reaksjonsblandingen til pH<2. Det vandige laget ble etterfølgende vasket tre ganger med 90 mL etylacetat. Etylacetatlagene ble deretter vasket to ganger med 150 mL vann, tre ganger med 100 mL saltlake og deretter tørket over natriumsulfat. Etylacetatet ble fjernet på en roterende fordamper. En slurry ble dannet ved å tilsette etylacetat og diklormetan til det tørkede råprodukt, filtrering ga *N*-(3-klorkinoksalin-2-yl)-benzensulfonamid, som ble anvendt uten ytterligere rensing. MS (EI) m/z $C_{14}H_{10}ClN_3O_2S$: 319,9 (MH^+).

En CEM-mikrobølgereaksjonsbeholder ble fylt med *N*-(3-klorkinoksalin-2-yl)benzensulfonamid (52 mg, 0,16 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i trinnet over, 4-fluoranilin (36 mg, 0,32 mmol) og 0,8 mL dimetylacetamid. Beholderen ble forseglet, og reaksjonsblandingen ble oppvarmet under mikrobølgestråling i 25 m ved 120°C i et CEM Discover-mikrobølgeinstrument. Metanol (1 mL) ble tilsatt til reaksjonsblandingen, og etter 20 minutter presipiterte produktet ut av løsningen. Filtrering ga *N*-(3-(4-fluorfenylamino)kinoksalin-2-yl)benzensulfonamid (39 mg, 62%). ^{1}H-NMR (400MHz, d_6-DMSO): δ 12,30 (s, 1H), 9,11 (s, 1H), 8,16-8,10 (d, 2H), 8,02-7,90 (m, 3H), 7,68-7,58 (m, 3H), 7,55-7,51 (m, 1H), 7,41-7,32 (m, 2H), 7,25-7,16 (m, 2H); MS (EI) m/z $C_{20}H_{15}FN_4O_2S$: 395,0 (MH^+).

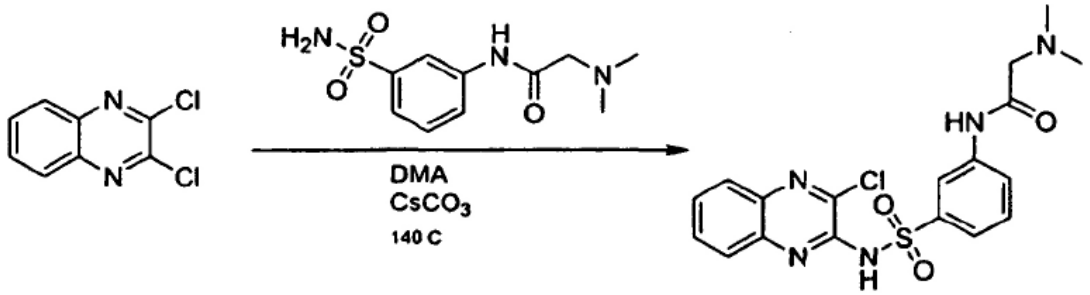
Eksempel 374

***N*-(3-(*N*-(3-klorkinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid**

Skjema A



Skjema B



Skjema A

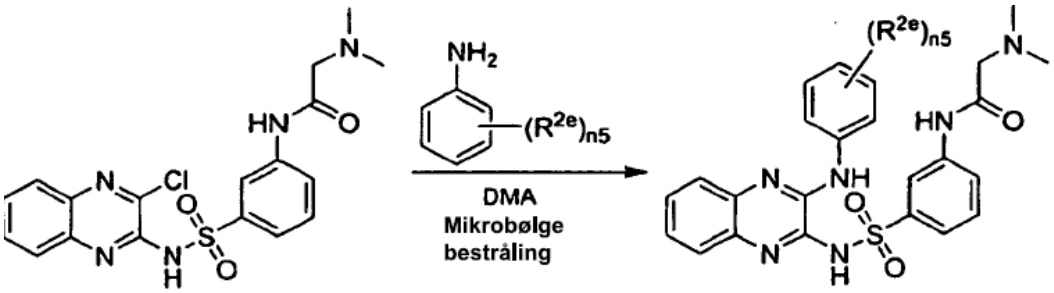
En kolbe ble fylt med 3-aminobenzensulfonamid (3,3 g, 19 mmol) og 20 mL 1:1 aceton:H_2O. Løsningen ble omrørt ved romtemperatur til aminobenzensulfonamidet hadde løst seg opp. Kolben ble deretter avkjølt i et isbad, og dimetylamino-acetylklorid HCl (4,6 g, 29 mmol) ble tilsatt. Til den resulterende slurry ble natriumbikarbonat (4,8 g, 57 mmol) tilsatt over en periode på 15 m. Etter 30 min ble reaksjonen fjernet fra isbadet og omrørt ved romtemperatur i 15 h. Reaksjonsblandingen ble deretter filtrert og vasket med metanol og acetonitril. Filtratet ble tørket på en roterende fordamper for å gi 2-(dimetylamino)-*N*-(3-sulfamoyl-fenyl)acetamid, som ble sendt til det neste trinn uten ytterligere rensing. MS (EI) m/z $C_{10}H_{15}N_3O_3S$: 258,0 (MH^+).

Skjema B

En kolbe ble fylt med diklorkinozalin (1,0 g, 5,8 mmol), 10 mL dimetylacetamid, 2-(dimetylamino)-*N*-(3-sulfamoylfenyl)acetamid (0,70 g, 2,7 mmol) og cesiumkarbonat (1,8 g, 5,5 mmol). Reaksjonsblandingen ble omrørt i 3 h ved 140°C og deretter filtrert. Løsemidlet ble avdampet fra filtratet på en roterende fordamper for å gi (*N*-(3-(*N*-(3-klorkinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid), som ble sendt til neste trinn uten ytterligere

rensing. MS (EI) m/z $C_{18}H_{18}ClN_5O_3S$: 420,0 (MH^+).

Generell aminasjonsprosedyre 1a



En CEM-mikrobølgereaksjonsbeholder ble fylt med N-(3-(N-(3-klorkinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid (30 mg, 0,071 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 374, det ønskede anilin (16 mg, 0,14 mmol, 2 ekv.) og 0,5 mL dimetylacetamid. Beholderen ble forseglet, og reaksjonsblandingen ble oppvarmet under mikrobølgestråling i 70 min ved 140°C i et CEM Discover-mikrobølgeinstrument. Løsemidlet ble deretter fjernet ved roterende fordampning. Rensing av sluttproduktet ble utført ved preparativ omvendt-fase-HPLC med eluentene 25 mM vandig NH_4OAc/ACN til det ønskede produkt.

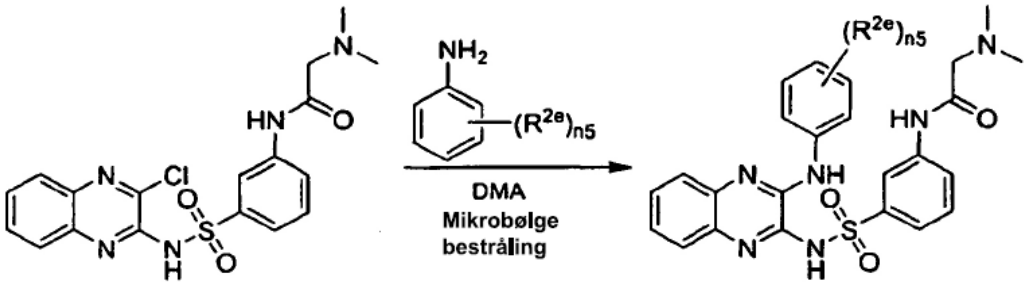
De følgende forbindelser ble fremstilt i overensstemmelse med ovenstående **Generell aminasjonsprosedyre 1a.**

Eksempel 375: 2-(dimetylamino)-*N*-(3-(*N*-(3-(3-fluorfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. ^{1}H-NMR (400MHz, $CDCl_3$): 9,40 ppm (s, 1H), 8,43 ppm (s, 1H), 8,22 ppm (s, 1H), 8,07-8,02 ppm (d, 1H), 7,97-7,93 ppm (d, 1H), 7,76-7,71 (m, 2H), 7,53-7,48 ppm (t, 1H), 7,45-7,36 ppm (m, 4H), 7,35-7,28 ppm (m, 2H), 6,84-6,77 ppm (t, 1H), 3,10 ppm (s, 2H), 2,38 ppm (s, 6H); MS (EI) m/z $C_{24}H_{23}FN_6O_3S$: 495 (MH^+).

Eksempel 376: 2-(dimetylamino)-*N*-(3-(*N*-(3-(4-fluorfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{24}H_{23}FN_6O_3S$: 495 (MH^+).

Eksempel 377: *N*-(3-(*N*-(3-(4-klor-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

Generell aminasjonsprosedyre 1b



En CEM-mikrobølgereaksjonsbeholder ble fylt med *N*-(3-(*N*-(3-klorkinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid (62 mg, 0,147 mmol), fremstilt under anvendelse av prosedyrer som ligner de i eksempel 374, det ønskede anilin (0,567 mmol, 4 ekv.) og 1,0 mL toluen. Beholderen ble forseglet, og reaksjonsblandingen ble oppvarmet under mikrobølgestråling i 60 min ved 180°C i et CEM Discover-mikrobølgeinstrument. Løsemidlet ble fjernet på en roterende fordamper. Rensing av sluttproduktet ble gjort ved preparatorisk HPLC med NH_4OAc/ACN som eluent for å gi det ønskede produkt.

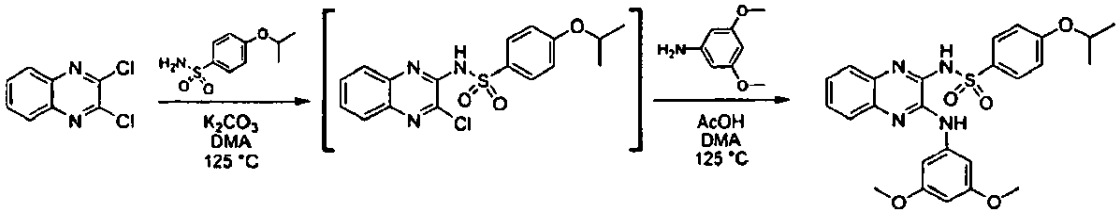
De følgende forbindelser ble fremstilt i overensstemmelse med ovenstående **Generell aminasjonsprosedyre 1b.**

Eksempel 378*N*-(3-(*N*-(3-(3-klor-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-2-(dimetylamino)acetamid. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

Eksempel 379: 2-(dimetylamino)-*N*-(3-(*N*-(3-(4-fluor-3-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. 2-(dimetylamino)-*N*-(3-(*N*-(3-(4-fluor-3-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)fenyl). ^{1}H-NMR (400MHz, $CDCl_3$): δ 9,47 (s, 1H), 8,36 (s, 1H), 8,29 (s, 1H), 7,91-7,87 (d, 1H), 7,80-7,73 (m, 2H), 7,66-7,63 (d, 1H), 7,53-7,47 (t, 1H), 7,43-7,30
(m, 4H), 7,10-7,04 (t, 1H), 6,55-5,95 (br s, 1H), 3,96 (s, 3H), 3,12 (s, 2H), 2,39 (s, 6H), 2,08 (s,3H(*Ac*0H); MS (EI) m/z $C_{25}H_{25}FN_6O_4S$: 525 (MH^+).

Eksempel 380

***N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-isopropoksybenzensulfonamid**



***N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-isopropoksy-benzensulfonamid.** En løsning av 2,3-diklorkinoksalin (2,0 mL, 0,38 M) ble kombinert med K_2CO_3 (105 mg, 0,76 mmol) i et glass. En løsning av 4-isopropoksybenzesulfonamid (1,75 mL, 0,43 M) ble tilsatt, og løsningen ble omrørt over natten ved 125°C. Etter avkjøling ble eddiksyre (45 mL, 0,79 mmol) og 3,5-dimetoksyanilin (230 mg, 1,5 mmol) tilsatt. Reaksjonsblandingen ble omrørt igjen ved 125°C over natten. Etter avkjøling ble reaksjonsblandingen fortynnet med 8 mL metanol og deretter 8 mL vann. Presipitatet ble samlet opp ved filtrering og rekrystallisert fra *N,N*-dimetylacetamid/vann for å gi *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-isopropoksy-benzensulfonamid (45 mg, 12%). ^{1}H-NMR (400MHz, d_6-DMSO): 12,16 (bs, 1H), 8,93 (s, 1H), 8,03 (d, 2H), 7,92 (bs, 1H), 7,56 (d, 1H), 7,36 (m, 4H), 7,07 (d, 2H), 6,24 (s, 1H), 4,72 (m, 1H), 3,76 (s, 6H), 1,27 (d, 6H); MS (EI) m/z $C_{25}H_{26}N_40_5S$: 495 (MH^+).

Eksemplene 381-411 ble syntetisert ved å gå frem som over i eksempel 423. I tilfellene hvor produktet ikke presipiterte, ble blandingen renset ved omvendt fase-HPLC.

Eksempel 381: 3-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-metylbenzensulfonamid. ^{1}H-NMR (400MHz, d_6-DMSO): 12,31 (bs, 1H), 8,96 (s, 1H), 8,18 (s, 1H), 7,98 (d, 1 H), 7,92 (bs, 1H), 7,58 (d, 2H), 7,43-7,33 (m, 4H), 6,24 (t, 1H), 3,76 (s, 6H), 2,39 (s, 3H); MS (EI) m/z $C_{23}H_{21}ClN_40_4S$: 485 (MH^+).

Eksempel 382: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)naftalen-1-sulfonamid. MS (EI) m/z $C_{26}H_{22}N_4O_4S$: 487 (MH^+).

Eksempel 383: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-fluorbenzensulfonamid. MS (EI) m/z $C_{22}H_{19}FN_4O_4S$: 455 (MH^+).

Eksempel 384: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-fluorbenzensulfonamid. MS (EI) m/z $C_{22}H_{19}FN_4O_4S$: 455 (MH^+).

Eksempel 385: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-(trifluormetyl)benzensulfonamid. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Eksempel 386: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-(trifluormetyl)benzensulfonamid. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Eksempel 387: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-(trifluormetoksy)benzensulfonamid. MS (EI) m/z $C_{23}H_{19}F_3N_4O_5S$: 521 (MH^+).

Eksempel 388: *N*-(4-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)acetamid. MS (EI) m/z $C_{24}H_{23}N_5O_5S$: 494 (MH^+).

Eksempel 389: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-fluor-2-metylbenzensulfonamid. MS (EI) m/z $C_{23}H_{21}FN_4O_4S$: 469 (MH^+).

Eksempel 390: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2-metylbenzensulfonamid. MS (EI) m/z $C_{23}H_{22}N_4O_4S$: 451 (MH^+).

Eksempel 391: 2-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{22}H_{19}ClN_4O_4S$: 471 (MH^+).

Eksempel 392: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3,5-difluorbenzensulfonamid. MS (EI) m/z $C_{22}H_{18}F_2N_4O_4S$: 473 (MH^+).

Eksempel 393: 3,5-diklor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{22}H_{18}Cl_2N_4O_4S$: 505 (MH^+).

Eksempel 394: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-fluor-4-metylbenzensulfonamid. MS (EI) m/z $C_{23}H_{21}FN_4O_4S$: 469 (MH^+).

Eksempel 395: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2-(trifluormetyl)benzensulfonamid. MS (EI) m/z $C_{23}H_{19}F_3N_4O_4S$: 505 (MH^+).

Eksempel 396: 4-cyano-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{23}H_{19}N_5O_4S$: 462 (MH^+).

Eksempel 397: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-1-fenylmetansulfonamid. MS (EI) m/z $C_{23}H_{22}N_4O_4S$: 451 (MH^+).

Eksempel 398: 4,5-diklor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)tiofen-2-sulfonamid. MS (EI) m/z $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 ($MH^+$).

Eksempel 399: 1-(3-klorfenyl)-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)metansulfonamid. MS (EI) m/z $C_{23}H_{21}ClN_4O_4S$: 485 (MH^+).

Eksempel 400: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2,5-dimetyltiofen-3-sulfonamid. MS (EI) m/z $C_{22}H_{22}N_4O_4S_2$: 471 (MH^+).

Eksempel 401: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3,5-bis(trifluormetyl)benzensulfonamid. MS (EI) m/z $C_{24}H_{18}F_6N_4O_4S$: 573 (MH^+).

Eksempel 402: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-fluor-3-(trifluormetyl)benzensulfonamid. MS (EI) m/z $C_{23}H_{18}F_4N_4O_4S$: 523 (MH^+).

Eksempel 403: 5-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-1,3-dimetyl-1H-pyrazol-4-sulfonamid. MS (EI) m/z $C_{21}H_{21}ClN_6O_4S$: 489 (MH^+).

Eksempel 404: 5-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2-metoksybenzensulfonamid. MS (EI) m/z $C_{23}H_{21}ClN_4O_5S$: 501 (MH^+).

Eksempel 405: 5-brom-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2-metoksybenzensulfonamid. MS (EI) m/z $C_{23}H_{21}BrN_4O_5S$: 545 (MH^+).

Eksempel 406: 2,5-diklor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)tiofen-3-sulfonamid. MS (EI) m/z $C_{20}H_{16}Cl_2N_4O_4S_2$: 511 ($MH^+$).

Eksempel 407: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3,5-dimetylisoksazol-4-sulfonamid. MS (EI) m/z $C_{21}H_{21}N_5O_5S$: 456 (MH^+).

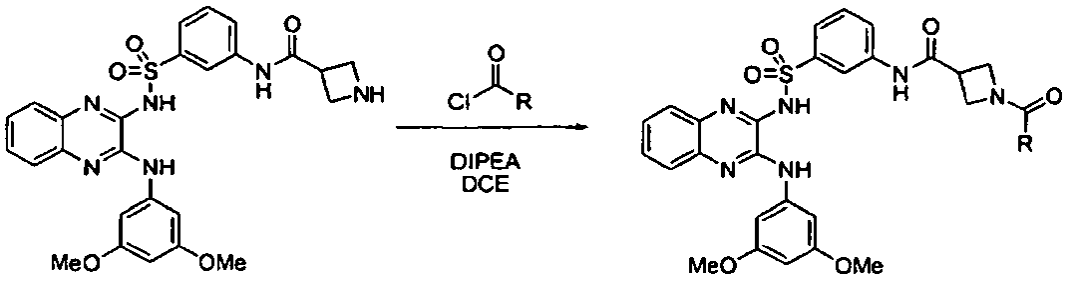
Eksempel 408: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-2,5-dimetoksybenzensulfonamid. MS (EI) m/z $C_{24}H_{24}N_4O_6S$: 497 (MH^+).

Eksempel 409: 3-klor-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-4-fluorbenzensulfonamid. MS (EI) m/z $C_{22}H_{18}ClFN_4O_4S$: 489 (MH^+).

Eksempel 410: 4-(difluormetoksy)-*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid MS (EI) m/z $C_{23}H_{20}F_2N_4O_5S$: 503 (MH^+).

Eksempel 411: *N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)-3-(metylsulfonyl)benzensulfonamid. MS (EI) m/z $C_{23}H_{22}N_4O_6S_2$: 515 (MH^+).

Generell acyleringsprosedyre 2



N-(3-(*N*-(3-(3,5-dimetoksyfenylamino)kinoksalin-2-yl)-sulfamoyl)fenyl)azetidin-3-karboksamid (125 mg, 0,23 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 372, ble oppløst inn i 5 mL DCE i en 10 mL rundbunnet koble. DIEA (1,17 mmol, 5,0 ekviv.) ble deretter tilsatt med omrøring etterfulgt av syreklorid (0,47 mmol, 2,0 ekviv.). Reaksjonen ble deretter omrørt ved romtemperatur i 1 time eller til fullført, som indikert ved LCMS. Løsemidlet ble etterfølgende fjernet under redusert trykk på en roterende fordamper. Råmaterialet ble deretter gjenoppløst i metanol. Rensing av sluttproduktet ble utført ved preparativ omvendt-fase-HPLC med eluentene 25 mM vandig NH_4OAc/CAN. Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril; ble anvendt til å utføre rensingen.

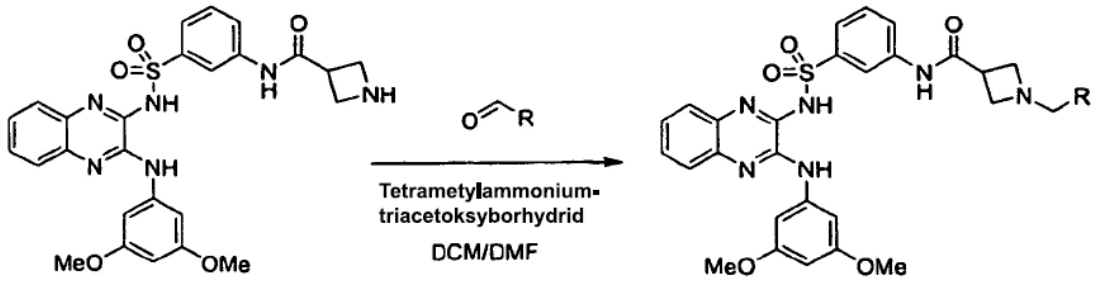
De følgende forbindelser ble fremstilt i henhold til **Generell acyleringsprosedyre 2.**

Eksempel 412: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-propionylazetidin-3-karboksamid. ^{1}H-NMR (400MHz, d_6-DMSO): 12,40 (s, 1 H), 10,45 (s, 1H), 8,88 (s, 1H), 8,40 (s, 1H), 7,93 (s, 1H), 7,82 (d, 1H), 7,77 (d, 1H), 7,60-7,45 (m, 2H), 7,41-7,30 (m, 4H), 6,24 (s, 1H), 4,26 (t, 1H), 4,22-4,17 (m, 1H), 3,99 (t, 1H), 3,95-3,89 (m, 1H), 3,76 (s, 6H), 3,59-3,45 (m, 1H), 2,05 (dd, 2H), 0,95 (t, 3H); MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+).

Eksempel 413: 1-acetyl-*N*-(3-{[(3-{[3,5-bis(metoksy)-fenyl]amino}kinoksalin-2-yl)amino]sulfonyl}fenyl)azetidin-3-karboksamid. MS (EI) m/z $C_{28}H_{28}N_6O_6S$: 577 (MH^+).

Eksempel 414: 1-(syklopropankarbonyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid. MS (EI) m/z $C_{30}H_{30}N_6O_6S$: 603 (MH^+).

Generell reduktiv aminasjonsprosedyre 1



Til en løsning av *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid (110 mg, 0,19 mmol), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet i eksempel 372, i 3 mL DCE og 200 µL DMF, ble aldehyd (0,77 mmol, 4,0 ekv.) tilsatt langsomt, etterfulgt av tetrametylammoniumtriacetoksyborhydrid (1,16 mmol, 6,0 ekv.). Reaksjonen ble omrørt ved romtemperatur over natten. LC/MS indikerte at reaksjonen var fullført. Løsemidlet ble etterfølgende fjernet under redusert trykk på en roterende fordamper. Råmaterialet ble deretter gjenoppløst i metanol. Rensing av sluttproduktet ble utført ved preparativ omvendt-fase-HPLC med eluentene 25 mM vandig NH_4OAc/CAN. Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18 OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril - ble anvendt til å utføre rensingen.

De følgende av de i overskriften nevnte forbindelser ble fremstilt i henhold til **Generell reduktiv aminasjonsprosedyre 1.**

Eksempel 415: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-etylazetidin-3-karboksamid. ^{1}H-NMR (400MHz, d_6-DMSO): 10,29 (s, 1H), 8,82 (s, 1H), 8,25 (t, 1H), 7,75-7,68 (m, 2H), 7,43-7,38 (m, 1H), 7,375-7,340 (m, 1H), 7,338-7,310 (d, 2H), 7,305-7,262 (m, 1H), 7,15-7,08 (m, 2H), 6,56 (s, 1H), 6,15 (t, 1H), 4,15-4,08 (m, 2H), 4,06-3,95 (m, 2H), 3,78 (s, 6H), 3,65-3,56 (m, 1H), 3,12-3,04 (m, 2H), 1,03 (t, 3H); MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+).

Eksempel 416: 1-(syklopropylmetyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid. MS (EI) m/z $C_{30}H_{32}N_6O_5S$: 589 (MH^+).

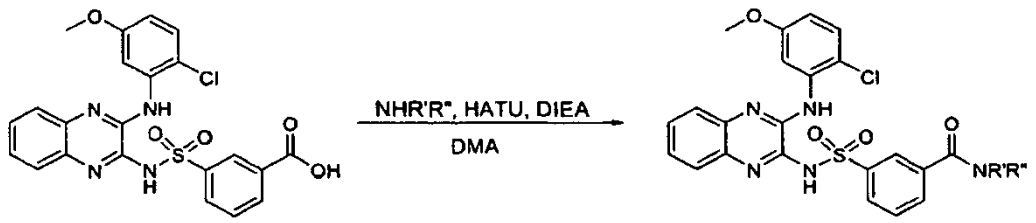
Eksempel 417: 1-benzyl-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid. MS (EI) m/z $C_{33}H_{32}N_6O_5S$: 625 (MH^+).

Eksempel 418: *N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)-1-(furan-2-ylmetyl)azetidin-3-karboksamid. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

Eksempel 419: 1-((1H-imidazol-5-yl)metyl)-*N*-(3-(*N*-(3-(3,5-dimetoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)fenyl)azetidin-3-karboksamid. MS (EI) m/z

$C_{30}H_{30}N_8O_5S$: 615 (MH^+).

Generell amiddannelsesprosedyre 1a



I et lite 1-dramsglass ble det tilsatt 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)-kinoksalin-2-yl)sulfamoyl)benzosyre (61 mg, 0,13 mmol, 1,1 ekviv.), fremstilt under anvendelse av prosedyrer beskrevet for eksempel 100. Syren ble oppløst i DMA (1 mL), og DIEA (42 µL, 0,24 mmol, 2 ekviv.) ble deretter tilsatt til løsningen. Aminreagensen (1 mL 0,12 M-løsning i DMA) ble tilsatt til løsning med omrøring etterfulgt av HATU (64 mg, 0,17 mMol, 1,4 ekviv.). Reaksjonen ble omrørt over natten ved romtemperatur. Etter fullføring som indikert ved LCMS-analyse, ble 2 mL metanol tilsatt til løsningen. Preparativ omvendt-fase-HPLC ble anvendt for å isolere det ønskede produkt. Et Waters Fractionlynx preparativ omvendt-fase-HPLC - utstyrt med en Waters SunFire Prep C18 OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril - ble anvendt til å utføre rensingen.

De følgende forbindelser ble fremstilt i henhold til **Generell amiddannelsesprosedyre 1.**

Eksempel 420: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(dimetylamino)propyl)benzamid. 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(dimetylamino)propyl)benzamid: ^{1}H NMR (400 MHz, d_6-DMSO): 9,44 (s, 1H), 8,94 (s, 1H), 8,79 (t, 1H), 8,54 (s, 1H), 8,24 (d, 1H), 7,87 (d, 1H), 7,48 (m, 3H), 7,33 (d, 1H), 7,18 (m, 2H), 6,60 (dd, 1H), 3,82 (1H), 3,04 (m, 3H), 2,51 (m, 5H), 1,91 (s, 1H), 1,86 (m, 3H); MS (EI) m/z for $C_{27}H_{29}ClN_6O_4S$: 569 (MH^+).

Eksempel 421: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-metylazetidin-3-yl)benzamid. 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-metylazetidin-3-yl)benzamid: ^{1}H NMR (400 MHz, d_6-DMSO): 9,43 (s, 1H), 9,23 (d, 1H), 8,94 (d, 1H), 8,58 (s, 1H), 8,29 (d, 1H), 7,89 (d, 1H), 7,56 (t, 1H), 7,47 (d, 1H), 7,44 (d, 1H), 7,33 (d, 1H), 7,18 (m, 2H), 6,60 (dd, 1H), 4,81 (m, 1H), 4,33 (m, 2H), 4,19 (m, 2H), 3,82 (s, 1H), 2,51 (s, 3H); MS (EI) m/z for $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Eksempel 422: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(pyridin-4-ylmetyl)benzamid. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Eksempel 423: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(dimetylamino)propyl)benzamid. MS (EI) m/z $C_{28}H_{26}ClN_7O_4S$: 592 (MH^+).

Eksempel 424: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(2,2-dimetylhydrazinkarbonyl)benzensulfonamid. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Eksempel 425: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-metoksyetyl)benzamid. MS (EI) m/z $C_{25}H_{24}ClN_5O_5S$: 542 (MH^+).

Eksempel 426: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(4-metylpiperazin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 427: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(pyrrolidin-1-yl)etyl)benzamid. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Eksempel 428: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(pyridin-4-yl)etyl)benzamid. MS (EI) m/z $C_{29}H_{25}ClN_6O_4S$: 589 (MH^+).

Eksempel 429: *N*-(2-(1H-imidazol-4-yl)etyl)-3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)benzamid. MS (EI) m/z $C_{27}H_{24}ClN_7O_4S$: 578 (MH^+).

Eksempel 430: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(piperidin-1-yl)benzamid. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 431: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-hydroksyetyl)benzamid. MS (EI) m/z $C_{24}H_{22}ClN_5O_5S$: 528 (MH^+).

Eksempel 432: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-etoksypropyl)benzamid. MS (EI) m/z $C_{27}H_{28}ClN_5O_5S$: 570 (MH^+).

Eksempel 433: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(pyrrolidin-1-yl)propyl)benzamid. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Eksempel 434: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(dietylamino)propyl)benzamid. MS (EI) m/z $C_{29}H_{33}ClN_6O_4S$: 597 (MH^+).

Eksempel 435: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(2-oksopyrrolidin-1-yl)propyl)benzamid. MS (EI) m/z $C_{29}H_{29}ClN_6O_5S$: 609 (MH^+).

Eksempel 436: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(pyridin-2-ylmetyl)benzamid. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Eksempel 437: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-cyanoetyl)-*N*-metylbenzamid. MS (EI) m/z $C_{26}H_{23}ClN_6O_4S$: 551 (MH^+).

Eksempel 438: 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-cyanoetyl)-*N*-etylbenzamid. MS (EI) m/z $C_{27}H_{25}ClN_6O_4S$: 565 (MH^+).

Eksempel 439: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(etyltio)etyl)benzamid. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S_2$: 572 (MH^+).

Eksempel 440: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-propoksypropyl)benzamid. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+).

Eksempel 441: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(5-(dietylamino)pentan-2-yl)benzamid. MS (EI) m/z $C_{31}H_{37}ClN_6O_4S$: 625 (MH^+).

Eksempel 442: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-

metoksypropyl)benzamid. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+).

Eksempel 443: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-morfolinopropyl)benzamid MS (EI) m/z $C_{29}H_{31}ClN_6O_5S$: 611 (MH^+).

Eksempel 444: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(pyridin-3-ylmetyl)benzamid MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+).

Eksempel 445: 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-cyanoetyl)benzamid. MS (EI) m/z $C_{25}H_{21}ClN_6O_4S$: 537 (MH^+).

Eksempel 446: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-metoksypropan-2-yl)benzamid. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+).

Eksempel 447: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(metyltio)etyl)benzamid. MS (EI) m/z $C_{25}H_{24}ClN_5O_4S_2$: 558 (MH^+).

Eksempel 448: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-(dimetylamino)propyl)-*N*-metylbenzamid. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Eksempel 449: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-isopropoksypropyl)benzamid. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+).

Eksempel 450: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dimetylamino)etyl)-*N*-etylbenzamid. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Eksempel 451: *N*-(3-butoksypropyl)-3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzamid. MS (EI) m/z $C_{29}H_{32}ClN_5O_5S$: 598 (MH^+).

Eksempel 452: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(dietylamino)etyl)benzamid. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+).

Eksempel 453: metyl 3-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzamido)propanoat. MS (EI) m/z $C_{26}H_{24}ClN_5O_6S$: 570 (MH^+).

Eksempel 454: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-metyl-*N*-propylbenzamid. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S$: 540 (MH^+).

Eksempel 455: etyl 3-(3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzamido)propanoat. MS (EI) m/z $C_{27}H_{26}ClN_5O_6S$: 584 (MH^+).

Eksempel 456: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-(piperidin-1-yl)etyl)benzamid. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Eksempel 457: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-((1-etylpyrrolidin-2-yl)metyl)benzamid. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Eksempel 458: *N*-(2-(bis(2-hydroksyetyl)amino)etyl)-3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)benzamid. MS (EI) m/z $C_{28}H_{31}ClN_6O_6S$: 615 (MH^+).

Eksempel 459: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(3-(dietylamino)pyrrolidin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH^+).

Eksempel 460: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-

metyl-*N*-(1-metylpyrrolidin-3-yl)benzamid. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Eksempel 461: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(3-(dimetylamino)pyrrolidin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Eksempel 462: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(2-metyl-1-morfolinopropan-2-yl)benzamid. MS (EI) m/z $C_{30}H_{33}ClN_6O_5S$: 625 (MH^+).

Eksempel 463: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1H-pyrrol-1-yl)benzamid. MS (EI) m/z $C_{26}H_{21}ClN_6O_4S$: 549 (MH^+).

Eksempel 464: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(3-oksopyrazolidin-4-yl)benzamid. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH^+).

Eksempel 465: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(2-((dimetylamino)metyl)piperidin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH^+).

Eksempel 466: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(2-(piperidin-1-ylmetyl)piperidin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{33}H_{37}ClN_6O_4S$: 649 (MH^+).

Eksempel 467: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-etylpiperidin-3-yl)benzamid MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Generell amiddannelsesprosedyre 1b

Prosedyren som skisseres i **Generell amiddannelsesprosedyre 1a** ble anvendt til å inkorporere et antall aminer som inneholdt en andre amingruppe beskyttet som *tert*-butylkarbamaten (dvs. hvor R', i NHR'R", inneholdt en Boc-beskyttet amingruppe). Avbeskyttelsen ble utført etter HPLC-rensing av den Boc-beskyttede prekursor.

I et lite 1-dramsglass ble det tilsatt 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzosyre (61 mg, 0,13 mmol, 1,1 ekviv.). Syren ble oppløst i 1 mL DMA, og DIEA (42 µL, 0,24 mmol, 2 ekviv.) ble deretter tilsatt til løsningen. Den mono-Boc-beskyttede diaminreagens (1 mL 0,12 M løsning i DMA, 1 ekviv.) ble tilsatt til løsning med omrøring etterfulgt av HATU (64 mg, 0,17 mmol, 1,4 ekviv.). Reaksjonen ble omrørt over natten ved romtemperatur. Etter fullføring som indikert ved LCMS-analyse, ble 2 mL metanol tilsatt til løsningen. Preparativ omvendt-fase-HPLC ble anvendt for å isolere det ønskede produkt direkte fra denne råreaksjonsløsning. Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril; ble anvendt til å utføre rensingen. Produktfraksjonene ble kombinert og konsentrert til tørrhet under redusert trykk ved roterende fordampning. En løsning av 4 N HCl i dioksan (2 mL) ble tilsatt. Løsningen ble deretter omrørt ved romtemperatur til det ikke ble

detektert noe utgangsmateriale. Det avbeskyttede produkt presipiterte ut av løsningen som et HCL-salt og ble samlet opp ved filtrering, vasket med eter og tørket under vakuum.

De følgende forbindelser ble fremstilt i overensstemmelse med ovenstående **Generell amiddannelsesprosedyre 1b.**

Eksempel 468: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(piperidin-3-yl)benzamid. 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-N-(piperidin-3-yl)benzamid: ^{1}H NMR (400 MHz, d_6-DMSO): 12,82 (s, 1H), 9,12 (s, 1H), 9,04 (s, 1H), 8,85 (d, 1H), 8,65 (s, 1H), 8,55 (s, 1H), 8,18 (m, 1H), 7,98 (s, 1H), 7,69 (m, 2H), 7,43 (m, 2H), 6,69 (dd, 1H), 4,21 (s, 1H), 3,83 (s, 3H), 3,69 (m, 1H), 3,48 (m, 1H), 3,18 (s, 1H), 2,84 (q, 2H), 1,91 (s, 2H); MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 469: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmetyl)benzamid. 3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmetyl)benzamid: NMR (400 MHz, d_6-DMSO): 12,78 (s, 1H), 9,16 (s, 1H), 9,09 (s, 1H), 8,79 (s, 1H), 8,59 (d, 2H), 8,22 (t, 2H), 7,99 (s, 1H), 7,74 (t, 1H), 7,66 (s, 1H), 7,42 (m, 2H), 6,69 (dd, 1H), 3,82 (s, 3H), 3,69 (dd, 1H), 3,57 (m, 1H), 3,50 (m, 3H), 3,22 (s, 2H), 2,82 (d, 1H), 1,68 (m, 5H); MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Eksempel 470: 3-(3-aminopyrrolidin-1-karbonyl)-*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Eksempel 471: 3-(3-aminoazetidin-1-karbonyl)-*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{25}H_{23}ClN_6O_4S$: 539 (MH^+).

Eksempel 472: 3-(3-aminopiperidin-1-karbonyl)-*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 473: 3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(pyrrolidin-3-yl)benzamid. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+).

Eksempel 474: *N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)-3-(3-(metylamino)pyrrolidin-1-karbonyl)benzensulfonamid. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+).

Eksempel 475: *N*-(2-aminoetyl)-3-(*N*-(3-(2-klor-5-metoksy-fenylamino)kinoksalin-2-yl)sulfamoyl)benzamid. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+).

Eksempel 476: 3-(4-amino-3-oksopyrazolidin-1-karbonyl)-*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)benzensulfonamid. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH^+).

Eksempel 477

3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-((1-metylpiperidin-2-yl)metyl)benzamid

3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(piperidin-2-ylmetyl)benzamid (299 mg, 0,51 mmol, 1 ekviv.), fremstilt under anvendelse av prosedyrer som ligner de som er beskrevet for eksempel 514, ble oppløst i 2,3 mL DMA. Maursyre (388 µL, 10,28 mmol, 20 ekviv.) ble tilsatt til løsning med omrøring etterfulgt av tilsetning av formaldehyd (508 µL av 37% vandig løsning). Reaksjonen ble deretter omrørt ved romtemperatur over natten. Analyse av en alikvot av reaksjonsblandingen ved LCMS indikerte fullstendig forbruk av utgangsmateriale. Reaksjonen ble fortynnet med metanol (2 mL). Preparativ omvendt-fase-HPLC ble anvendt for å isolere det ønskede produkt direkte fra råreaksjonsblandingen. Et Waters Fractionlynx preparativ omvendt-fase-HPLC; utstyrt med en Waters SunFire Prep C18, OCD 5 µM, 30 X 70 mm kolonne og kjørende en 5-100%-gradient med et binært løsemiddelsystem på 25 mM ammoniumacetat i vann/acetonitril; ble anvendt til å utføre rensingen. ^{1}H NMR (400 MHz, d_6-DMSO): 9,44 (s, 1H), 8,94 (s, 1H), 8,79 (t, 1 H), 8,57 (s, 1H), 8,27 (d, 1H), 7,90 (d, 1H) 7,54 (t, 1H), 7,46 (d, 1H), 7,39 (d, 1H), 7,33 (d, 1H), 7,18 (m, 2H), 6,60 (dd, 1H), 3,82 (s, 3H), 3,59 (m, 2H), 3,00 (s, 1H), 2,90 (s, 3H), 1,62 (m, 7H); MS (EI) m/z for $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+).

Eksempel 478

3-(*N*-(3-(2-klor-5-metoksyfenylamino)kinoksalin-2-yl)sulfamoyl)-*N*-(1-metylpiperidin-3-yl)benzamid

Den i overskriften nevnte forbindelse ble fremstilt under anvendelse av prosedyrer som ligner de i eksempel 522. ^{1}H NMR (400 MHz, d_6-DMSO): 9,43 (s, 1H), 8,93 (s, 1H), 8,59 (s, 1H), 8,24 (d, 1H), 7,87 (d, 1 H), 7,47 (m, 2H), 7,40 (d, 1H), 7,33 (d, 1H), 7,19 (m, 2H), 6,60 (dd, 1H), 4,21 (s, 1H), 3,82 (s, 1 H), 2,76 (s, 1H), 2,50 (m, 7H), 1,91 (m, 2H), 1,63 (m, 2H); MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+).

Biologiske eksempler

Biologisk eksempel 1

PI3-alfa Luciferase-koblet kjemiluminescens – assayprotokoll

PI3Kα-aktivitet måles som prosentdelen av ATP som forbrukes etter kinasereaksjonen under

anvendelse av luciferase-luciferin-koblet kjemiluminescens. Reaksjoner ble utført i 384-brønners hvite, middels bindende mikrotiterplater (Greiner). Kinasereaksjoner ble påbegynt ved å kombinere testforbindelser, ATP, substrat (PIP2) og kinase i et 20 µL-volum i en bufferløsning. Den standard PI3Kalfa-assaybufferen utgjøres 50 mM Tris, pH 7,5, 1 mM EGTA, 10 mM $MgCl_2$, 1 mM DTT og 0,03% CHAPS. Standardassaykonsentrasjonene for enzym, ATP og substrat er henholdsvis 0,5-1,1 nM, 1µM og 7,5 µM. Reaksjonsblandingen ble inkubert ved omgivelsestemperatur i cirka 2 h. Etter kinasereaksjonen ble en 10 µL alikvot av luciferase-luciferin-blanding (Promega Kinase-Glo) tilsatt, og kjemiluminescenssignalet målt under anvendelse av en Victor2 plateleser (Perkin Elmer). Totalt ATP-forbruk var begrenset til 40-60%, og kontrollforbindelsers IC50-verdier korrelerer godt med litteraturreferanser.

Visse forbindelser ifølge formel (I) utviste evnen til å binde til PI3K når de ble testet i dette assay. De følgende utførelsesformer er rettet mot selve forbindelsene så vel som deres anvendelse i en behandlingsfremgangsmåte. Eksempelvis i én mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 8 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 4 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 3 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 2 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 1,5 µM eller mindre. I en annen utførelsesform er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 1 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,750 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,5 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,3 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,2 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,1 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,075 µM eller mindre. I en annen mulighet er PI3K-inhibitoren valgt blant de forbindelsene i tabell 1 som har en PI3K-bindingsaffinitet på cirka 0,050 µM eller mindre.

Biologisk eksempel 2

Fosfo-AKT-assay

PC-3-celler ble sådd ut på 6-brønns plater, 150 000 celler/brønn. Celler ble dyrket i 3 dager, deretter behandlet med forbindelser i serumfritt medium i 3 hr. EGF (100 ng/ml) ble tilsatt for de siste 10 min. Celler ble lysert i TENN-buffer. Fosfo T308 Akt og total Akt ble kvantifisert ved ELISA utført i henhold til Biosource-assayprotokollen. Lesningene av fosfo Akt ble normalisert til totale Akt-lesninger.

Biologisk eksempel 3

Fosfo S6-assay

PC-3-celler ble sådd ut på 96-brønns plater, 8 000 celler/brønn. For hvert forsøk ble celler sådd og behandlet i duplikerte plater: én plate for fosfo S6 CellELISA, og én plate for total S6 CellELISA. Celler ble dyrket på platene i 3 dager, deretter behandlet med forbindelser i serumfritt medium i 3 hr i triplikat. Celler ble fiksert med 4% formaldehyd, quenchet med 0,6% H2O2, blokkert med 5% BSA, inkubert med enten fosfo-S6-antistoff eller totalt S6-antistoff over natten, inkubert med geit-anti-kanin-IgG-HRP i 1 hr, og utviklet i kjemoluminescent substrat.

Biologisk eksempel 4

PIP_3-assay

MCF-7-celler dyrket i 10-cm skåler ble sultet i 3 timer i DMEM, og deretter behandlet med forbindelser i 20 minutter. I de siste 2 minuttene av inkuberingen med forbindelsene, ble EGF (100 ng/ml) tilsatt for å stimulere produksjonen av PIP3. Mediet ble aspirert, og cellene ble skrapet med 10% trikloreddiksyre. Lipidene ble ekstrahert fra pelleten etter at cellelysatene var sentrifugert. PIP3 i den cellulære lipidekstrasjon ble kvantifisert med AlphaScreen [Registert TM tilhørende PerkinElmer]-assayet, hvori Grp1-PH anvendes som den PIP3-spesifikke probe. Mengden cellulær PIP3 ble beregnet fra standardkurven av diC_8 PI (3,4,5) P3.

Biologisk eksempel 5-10

In vivo-modeller

Forbindelse A er en forbindelse ifølge formel 1. Forbindelse B er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin.

Atymiske nakne hann- og hunmus (NCr), 5-8 uker gamle og med en vekt på cirka 20-25 g ble anvendt i den følgende modell. Før påbegynnelsen av en studie ble dyrene akklimatisert i minimum 48 h. Under disse studiene ble dyr forsynt med fôr og vann ad libitum oppbevart i et rom kondisjonert ved 70-75°F og 60% relativ fuktighet. En syklus på 12 h lys og 12 h mørke ble opprettholdt med automatiske timere. Alle dyr ble undersøkt daglig for forbindelsesinduserte eller tumorrelaterte dødsfall.

PC-3 humane prostataadenokarsinomceller ble dyrket in vitro i DMEM (Mediatech) supplert med 20% fetalt bovinserum (Hyclone), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og $3x10^6$ celler (passasje 13, 99% viabilitet) i 0,1 mL iskald Hanks balanserte saltløsning ble implantert subkutant inn i baksiden på 5-8 uker gamle nakne hannmus. En transponder ble implantert i hver mus med henblikk på identifisering, og dyrene ble monitorert daglig for kliniske symptomer og overlevelse. Kroppsvekt ble registrert daglig. Forsøk ble utført med forbindelse A som et enkeltmiddel så vel som forbindelse A i kombinasjon med taksol, og forbindelse A i kombinasjon med rapamycin. Denne modell kan anvendes til å anslå ønskeligheten av å behandle med forbindelse A i kombinasjon med andre antikreftmidler.

U-87 MG humane glioblastomceller ble dyrket in vitro i DMEM (Mediatech) supplert med 10% fetalt bovinserum (Hyclone), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og $2x10^6$ celler (passasje 5, 96% viabilitet) i 0,1 mL iskald Hanks balanserte saltløsning ble implantert intradermalt inn i baksiden på 5-8 uker gamle nakne hunmus. En transponder ble implantert i hver mus med henblikk på identifisering, og dyrene ble monitorert daglig for kliniske symptomer og overlevelse. Kroppsvekt ble registrert daglig. Forsøk ble utført med forbindelse A som et enkeltmiddel, og resultatene er ikke inkludert. Denne modell kan anvendes til å anslå ønskeligheten av å behandle med forbindelse A i kombinasjon med andre antikreftmidler.

A549 humane lungekarsinomceller ble dyrket in vitro i DMEM (Mediatech) supplert med 10%

fetalt bovinserum (Hyclone), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og $10x10^6$ celler (passasje 12, 99% viabilitet) i 0,1 mL iskald Hanks balanserte saltløsning ble implantert intradermalt inn i baksiden på 5-8 uker gamle nakne hunmus. En transponder ble implantert i hver mus med henblikk på identifisering, og dyrene ble monitorert daglig for kliniske symptomer og overlevelse. Kroppsvekt ble registrert daglig. Forsøk ble utført med forbindelse A som et enkeltmiddel så vel som forbindelse A i kombinasjon med forbindelse B. Denne modell kan anvendes til å anslå ønskeligheten av å behandle med forbindelse A i kombinasjon med andre antikreftmidler.

MDA-MB-468 humane brystadenomkarsinomceller, passasje nummer <6, ble opprettholdt og propagert i loggfasevekst i Dulbecco's Modification of Eagles's Medium (DMEM; Mediatech) inneholdende L-glutamin supplert med 10% fetalt bovinserum (Hyclone), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og 10×10^6 celler (passasje 10, 98% viabilitet) i 50% kald Hanks balanserte saltløsning/50% Matrigel (100 µL samlet volum per mus) ble implantert subkutant inn i brystfettputene på nakne hunmus. Forsøk ble utført med forbindelse A som et enkeltmiddel så vel som forbindelse A i kombinasjon med erlotinib. Denne modell kan anvendes til å anslå ønskeligheten av å behandle med forbindelse A i kombinasjon med andre antikreftmidler.

Calu-6 humane anaplastiske lungekarsinomceller ble dyrket in vitro i DMEM (Mediatech) supplert med 10% fetalt bovinserum (Hyclone), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og $5x10^6$ celler (passasje #8, 96% viabilitet) i 0,1 mL iskald Hanks balanserte saltløsning ble implantert intradermalt i baksiden på 5-8 uker gamle atymiske nakne hunmus. En transponder ble implantert i hver mus med henblikk på identifisering, og dyrene ble monitorert daglig for kliniske symptomer og overlevelse. Kroppsvekt ble registrert daglig. Forsøk ble utført med forbindelse A som et enkeltmiddel så vel som forbindelse A i kombinasjon med karboplatin. Denne modell kan anvendes til å anslå ønskeligheten av å behandle med forbindelse A i kombinasjon med andre antikreftmidler.

MCF7 humane brystadenokarsinomceller ble dyrket in vitro i DMEM (Cellgro) supplert med 10% fetalt bovinserum (Cellgro), Penicillin-Streptomycin og ikke-essensielle aminosyrer ved 37°C i en fuktet 5% CO_2-atmosfære. På dag 0 ble celler høstet ved trypsinering, og 5×10^6 celler (passasje 10 og 95,4% viabilitet for studie 1, passasje 9 og 90% viabilitet for studie 2) i 100 µL av en løsning laget av 50% kald Hanks balansert saltløsning med 50% vekstfaktor-redusert matrigel (R&D Systems for studie 1 og Becton Dickinson for studie 2) implantert

subkutant inn i baksiden på nakne hunmus.

For subkutane eller intradermale tumorer ble den midlere tumorvekt for hvert dyr i de respektive kontroll- og behandlingsgrupper bestemt to ganger ukentlig i løpet av studien. Tumorvekt (TW) ble bestemt ved å måle perpendikulære diametere med en krumpasser, under anvendelse av følgende formel:

$$\text{tumorvekt (mg)} = [\text{tumorvolum} = \text{lengde (mm)} \times \text{bredde}^2\ (\text{mm}^2)]/2$$

Disse data ble registrert og plottet inn som tumorvekt i forhold til dager etter implantering på en linjegraf, og presentert grafisk som en indikasjon på tumorvekstrater. Prosent inhibering av tumorvekst (TGI) bestemmes med den følgende formel:

$$\left[1 - \left[\frac{(X_f - X_0)}{(Y_f - X_0)}\right]\right] * 100$$

hvor X_0 = gjennomsnittlig TW for alle tumorer på gruppedag
X_f = TW for behandlet gruppe på dag f
Y_f = TW for vehikkelkontrollgruppe på dag f

Dersom tumorer regresserer til under deres startstørrelser, bestemmes tumorregresjonen med den følgende formel:

$$\left[\frac{(X_0 - X_f)}{X_0}\right] * 100$$

Tumorstørrelse beregnes individuelt for hver tumor for å oppnå en midlere ± SEM-verdi for hver forsøksgruppe. Statistisk signifikans bestemmes under anvendelse av den 2-halede Students t-test (signifikans definert som P<0,05).

Biologiske eksempler 11-14

Forbindelse A er en forbindelse ifølge formel I, og er en inhibitor av klasse I PI3-kinaser. Forbindelse B er *N*-(3,4-diklor-2-fluorfenyl)-7-({[(3a*R*,5*r*,6a*S*)-2-metyloktahydrosyklopenta-

[*c*]pyrrol-5-yl]metyl}oksy)-6-(metyloksy)kinazolin-4-amin.

Prostatakreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med taksol

Forbindelse A ble testet alene og i kombinasjon med taksol i en prostatakarsinom-tumormodell. PC-3 er en human prostatakarsinom-cellelinje som har en homozygotisk delesjonsmutasjon i PTEN, som resulterer i konstitutiv aktivering av PI3K-banen. I enkeltdose farmakodynamiske forsøk resulterer oral administrasjon av forbindelse A i en doseavhengig senkning i fosforyleringen av AKT, p70S6K og S6 i PC-3-tumorer dyrket ektopisk i mus. Administrasjon med gjentatt dosering av en forbindelse A inhiberer også veksten av disse tumorer, men induserer ikke regresjoner.

Oral administrasjon av forbindelse A ved 100 mg/kg qd eller 300 mg/kg annenhver uke (biw) resulterte i vesentlig inhibering av tumorvekst hos mus. Se figur 1. Sammenlignbar inhibering av tumorvekst ble oppnådd med 7,5 mg/kg taksol administrert i.v. to ganger ukentlig. Samtidig som tumorvekst ble inhibert vesentlig med forbindelse A alene, var kombinasjonen av en hvilken som helst dose av forbindelse A med taksol bedre enn et hvilket som helst middel alene, og induserte vesentlig regresjon av tumorene. Kroppsvekttap og doseutelatelse var minimal i alle grupper, og ble ikke forverret i kombinasjonsgruppen, hvilket indikerer at kombinasjonen var godt tolerert. Disse resultater støtter anvendelsen av en forbindelse ifølge formel I i kombinasjon med taksol i tumorer med konstitutivt aktivert PI3K-signalering.

Prostatakreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med rapamycin

Forbindelse A ble testet alene og i kombinasjon med rapamycin i en prostatakarsinom-tumormodell (PC-3-cellelinje). Oral administrasjon av forbindelse A ved 100 mg/kg qd resulterte i vesentlig inhibering av tumorvekst. Se figur 2. Sammenlignbar inhibering av tumorvekst ble oppnådd med 5 mg/kg rapamycin administrert i.p. daglig. Samtidig som tumorvekst ble inhibert vesentlig med forbindelse A alene, var kombinasjonen av forbindelse A med rapamycin bedre enn et hvilket som helst middel alene, og induserte vesentlig regresjon av tumorene. Kroppsvekttap og doseutelatelse var minimal med hvert middel alene, men kroppsvekttap ble forverret i kombinasjonsgruppen, hvilket nødvendiggjorde doseutelatelse. Det faktum at tumorregresjon ble observert på tross av doseutelatelse, antyder at å anvende en intermittent doseringsplan vil kunne opprettholde effektivitet og forbedre tolerabilitet. Disse resultater støtter anvendelsen av en forbindelse ifølge formel I i kombinasjon med rapamycin i tumorer med konstitutivt aktivert PI3K-signalering.

Ikke-småcellet lungekreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med karboplatin

Forbindelse A ble testet både som et enkeltmiddel og i kombinasjon med karboplatin i en NSCLC-tumormodell.

Calu-6 er en human NSCLC-cellelinje som har en heterozygotisk aktiverende mutasjon i K-Ras (Q61K). Oral administrasjon av en forbindelse A ved 100 mg/kg qd eller 300 mg/kg hver fjerde dag (q4d) til mus som bar på Calu-6-tumorer, resulterte i vesentlig inhibering av tumorvekst. Se figur 3. Begge doseringsskjemaene resulterte i lignende inhibering av tumorvekst. Signifikant inhibering av tumorvekst ble også observert med 50 mg/kg karboplatin administrert i.v. q4d, men var ikke så uttalt som med forbindelse A. Samtidig som tumorvekst ble inhibert vesentlig med forbindelse A alene, var kombinasjonen av de to midler bedre enn et hvilket som helst middel alene, og resulterte i nesten fullstendig inhibering av tumorvekst. Kroppsvekttap og doseutelatelse var minimal i alle grupper, og ble ikke forverret i kombinasjonsgruppen, hvilket indikerer at kombinasjonen var godt tolerert. Disse resultater støtter anvendelsen av en forbindelse ifølge formel I både som et enkeltmiddel og i kombinasjon med platiner i tumorer med aktiverende mutasjoner i K-Ras.

Ikke-småcellet lungekreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med forbindelse B – Referanseeksempel

Forbindelse A ble testet både som et enkeltmiddel og i kombinasjon med forbindelse B. Cellelinjen A549 human ikke-småcellet lungekarsinom har en homozygotisk stoppmutasjon i genet som koder for LKB1, og en aktiverende G12S-mutasjon i K-Ras, idet aktivering av både PI3K og mTOR fremmes. A549-celler uttrykker også villtype-EGFR.

Som et enkeltmiddel ble forbindelse B, en inhibitor av EGFR, oralt administrert én gang daglig ved 30 mg/kg, og forbindelse A ble oralt administrert enten ved 30 mg/kg qd eller 100 mg/kg q2d. Kombinasjonsterapier besto av forbindelse B med forbindelse A ved 30 mg/kg eller 100 mg/kg. Administrasjon av hvert middel i kombinasjonsgruppene var adskilt med 6 h. Enkeltmiddel-administrasjon av forbindelse B i 18 dager bevirket en signifikant inhibering av tumorvekst på 80%. Se figur 4a. En signifikant inhibering av tumorvekst på 96% ble observert med forbindelse A ved 100 mg/kg q2d, hvorimot forbindelse A ved 30 mg/kg qd førte til en lavere, men likevel signifikant TGI på 76%. Kombinasjonen av forbindelse B 30 mg/kg qd med forbindelse A 30 mg/kg qd eller med forbindelse A 100 mg/kg q2d resulterte i signifikant effektivitet assosiert med henholdsvis 15% og 39% regresjon, hvilket var signifikant høyere enn et hvilket som helst av de enkelte midlene alene.

Som et enkeltmiddel var forbindelse B dosert ved 30 mg/kg generelt godt tolerert, med et kroppsvekttap på 1,5-6,9% og ingen doseutelatelse. Administrasjon av forbindelse A dosert ved 30 mg/kg qd var godt tolerert, idet 4 doser ble utelatt i begynnelsen av studien, hvilket ikke var forbindelsesrelatert. Forbindelse A dosert ved 100 mg/kg q2d var også godt tolerert, idet 1 dose ble utelatt i begynnelsen av studien, hvilket ikke var forbindelsesrelatert. Kombinasjonen av forbindelse B ved 30 mg/kg qd med forbindelse A ved 30 mg/kg qd var forholdsvis godt tolerert, med kroppsvekttap på 1 til 8% og 2 doser utelatt). Kombinasjonen av forbindelse B ved 30 mg/kg qd med forbindelse A ved 100 mg/kg q2d var imidlertid forbundet med kroppsvekttap på 2 til 11% og 13 doser utelatt i løpet av de første 10 dager; kroppsvekt ved enden av studien var imidlertid ikke signifikant annerledes enn i den vehikkelbehandlede kontrollgruppe. Enkeltmiddeladministrasjon av forbindelse B og forbindelse A var godt tolerert, med minimal doseutelatelse. Når administrert i kombinasjon, ble mindre kroppsvekttap observert, hvilket nødvendiggjorde doseutelatelse primært i 100 mg/kg q2d-gruppen.

Brystkreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med forbindelse B – Referanseeksempel

Forbindelse A ble testet både som et enkeltmiddel og i kombinasjon med forbindelse B, en EGFR-inhibitor, i et brysttumormodell. Den humane cellelinjen MCF7 brystkarsinom har en heterozygotisk, aktiverende mutasjon i PI3K (PI3KCA/E545K) og uttrykker villtype-EGFR.

Forbindelse B ble administrert oralt én gang daglig (qd) ved 30 mg/kg, og forbindelse A ble administrert én gang daglig ved 30 mg/kg eller én gang annenhver dag (q2d) ved 100 mg/kg. Kombinasjonsterapier besto av forbindelse B sammen med forbindelse A ved 30 mg/kg qd eller 100 mg/kg q2d. Enkeltmiddel-administrasjon av forbindelse B ved 30 mg/kg qd i 14 dager bevirket en inhibering av tumorvekst på 38%-61%. Se figur 4b-1 og 4b-2. En signifikant inhibering av tumorvekst på 83%-91%% ble observert med forbindelse A ved 100 mg/kg q2d, hvorimot forbindelse A ved 30 mg/kg qd førte til en lavere, men likevel signifikant TGI på 57%. Kombinasjonen av forbindelse B ved 30 mg/kg qd med forbindelse A ved 100 mg/kg q2d resulterte i en signifikant effektivitet assosiert med 16-22% regresjon, hvilket var signifikant høyere enn en hvilken som helst av behandlingene med enkeltmidler alene. Å kombinere forbindelse B ved 30 mg/kg qd med forbindelse A ved 30 mg/kg qd førte til en lavere, men stadig signifikant inhibering av tumorvekst på 66%, men økte ikke nytten av enkeltbehandlingenes antitumoreffektivitet.

Som et enkeltmiddel var forbindelse B dosert ved 30 mg/kg generelt godt tolerert, med et ikke signifikant endelig kroppsvekttap på 4,5 til 6,1% og 7 til 13 doseutelatelser. Administrasjon av

forbindelse A ved 30 mg/kg qd og 100 mg/kg q2d var godt tolerert med minimal doseutelatelse og kroppsvekttap. Kombinasjonen av forbindelse B ved 30 mg/kg qd med forbindelse A ved 30 mg/kg qd førte til et kroppsvekttap på 4 til 13% gjennom hele studien, og 14 doseutelatelser, for det meste i løpet av de første 9 dager. Kombinasjonen av forbindelse B ved 30 mg/kg qd med forbindelse A ved 100 mg/kg q2d var assosiert med et kroppsvekttap på 3,7 til 13% gjennom hele studien, og 20 til 32 doseutelatelser.

Brystkreft, xenograftmodell – en forbindelse ifølge formel I i kombinasjon med erlotinib

Forbindelse A ble testet både som et enkeltmiddel og i kombinasjon med erlotinib i en erlotinibresistent tumormodell med forhøyet PI3K-signalering.

MDA-MB-468 er en human brystkarsinom-cellelinje som har en økning i EGFR-genets kopinummer og en homozygotisk delesjon av PTEN. In vitro-behandling av disse celler med EGFR-inhibitorer slik som erlotinib, inhiberer EGFR-aktivitet, men nedregulerer ikke PI3K-banen. Oral administrasjon av erlotinib ved 100 mg/kg qd til mus som bar på MDA-MB-468-tumorer, resulterte i signifikant, men ufullstendig inhibering av tumorvekst. Se figur 5. Oral administrasjon av forbindelse A ved 100 mg/kg qd resulterte i et lignende nivå av inhibering av tumorvekst. Samtidig som tumorvekst ble inhibert vesentlig med forbindelse A alene, var kombinasjonen av de to midler bedre enn et hvilket som helst middel alene, og resulterte i en regresjon av tumorene.

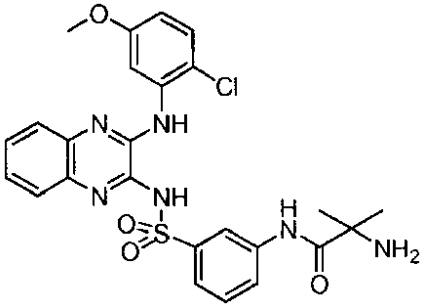
Mus som ble administrert forbindelse A ved 100 mg/kg qd, utviste et beskjedent (~3%) kroppsvekttap sammenlignet med vehikkelkontroller. Mus som ble administrert erlotinib, utviste en tydelig senkning i hastigheten av kroppsvektøkning i forhold til vehikkelkontrollene. Co-administrasjon med erlotinib resulterte i et vesentlig kroppsvekttap hos mus behandlet med forbindelse A (19% kroppsvekttap fra doseringsstart). Samsvarende med disse data var kun minimal doseutelatelse påkrevet når forbindelse A ble administrert som monoterapi (1-3 doser utelatt), men vesentlig doseutelatelse var påkrevet for forbindelse A når erlotinib ble co-administrert. Det faktum at tumorregresjon ble observert på tross av doseutelatelse, antyder at anvendelsen av en intermittent doseringsplan kunne opprettholde effektivitet og forbedre tolerabilitet. Disse resultater støtter anvendelsen av en forbindelse ifølge formel I i kombinasjon med erlotinib i tumorer som uttrykker EGF-reseptorer og har PTEN-delesjoner.

Den ovennevnte oppfinnelsen er blitt beskrevet i viss detalj ved hjelp av illustrasjoner og eksempler av klarhets- og forståelseshensyn. Det vil være klart for fagfolk at det kan foretas endringer og modifikasjoner uten at det avvikes fra omfanget av de vedlagte krav. Det er derfor klart at den ovennevnte beskrivelse skal være illustrerende og ikke begrensende.

Oppfinnelsens omfang skal derfor fastsettes ikke under henvisning til den ovennevnte beskrivelse, men skal i stedet fastsettes under henvisning til de følgende vedlagte krav, sammen med det fulle omfang av ekvivalenter som slike krav gir berettigelse til.

PATENTKRAV

1. Forbindelse som er:



eller en singel isomer eller tautomer derav, hvor forbindelsen er valgfritt som et farmasøytisk akseptabelt salt og ytterligere valgfritt som et hydrat og ytterligere valgfritt som et solvat derav; til anvendelse i kombinasjon med én eller flere behandlinger uavhengig valgt blant ett eller flere kjemoterapeutiske midler valgt fra gruppen bestående av et platin, et taksan, rapamycin og erlotinib, til behandlingen av kreft.

2. Forbindelse eller singel isomer eller tautomer derav ifølge krav 1, hvor kreften er valgt blant brystkreft, tykktarmskreft, endetarmskreft, endometriekreft, gastrointestinale karsinoide tumorer, gastrointestinale stromale tumorer, glioblastom, hepatocellulært karsinom, småcellet lungekreft, ikke-småcellet lungekreft, melanom, eggstokkreft, livmorhalskreft, bukspyttkjertelkreft, prostatakarsinom, akutt myelogen leukemi, kronisk myelogen leukemi, ikke-Hodgkins lymfom og tyreoideakarsinom.

3. Forbindelse ifølge krav 1, hvor behandlingen er ett kjemoterapeutisk middel, hvor det kjemoterapeutiske middel er taksol.

4. Forbindelse ifølge krav 1, hvor behandlingen er ett kjemoterapeutisk middel, hvor det kjemoterapeutiske middel er rapamycin.

5. Forbindelse ifølge krav 1, hvor behandlingen er ett kjemoterapeutisk middel, hvor det kjemoterapeutiske middel er karboplatin.

6. Forbindelse ifølge krav 1, hvor behandlingen er ett kjemoterapeutisk middel, hvor det kjemoterapeutiske middel er erlotinib.

7. Forbindelse ifølge krav 1, hvor kreften er valgt blant prostatakreft, ikke-småcellet lungekreft og brystkreft.

8. Forbindelse ifølge krav 1, hvor det kjemoterapeutiske middel er taksol og kreften er

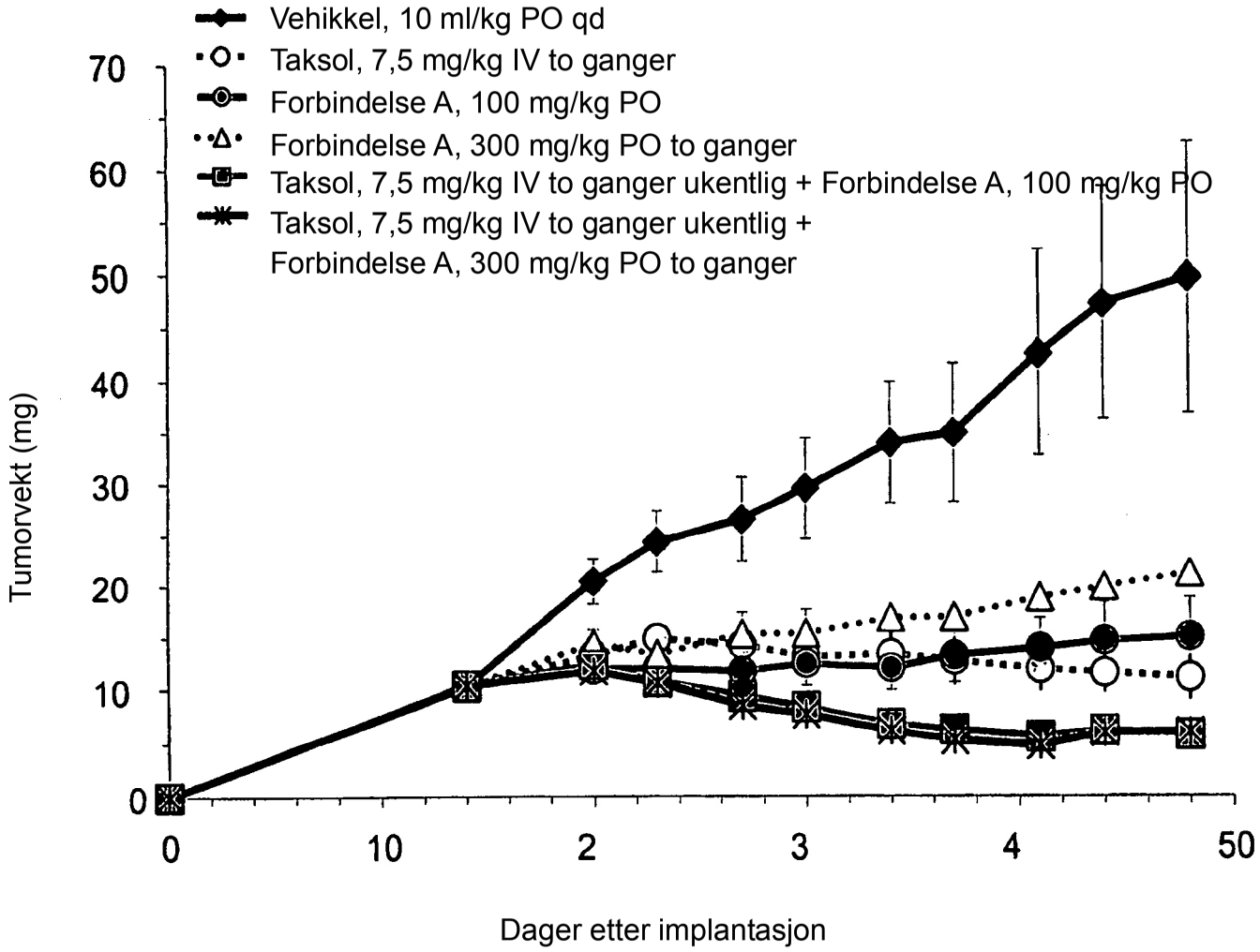
prostatakreft.

9. Forbindelse ifølge krav 1, hvor det kjemoterapeutiske middel er rapamycin og kreften er prostatakreft.

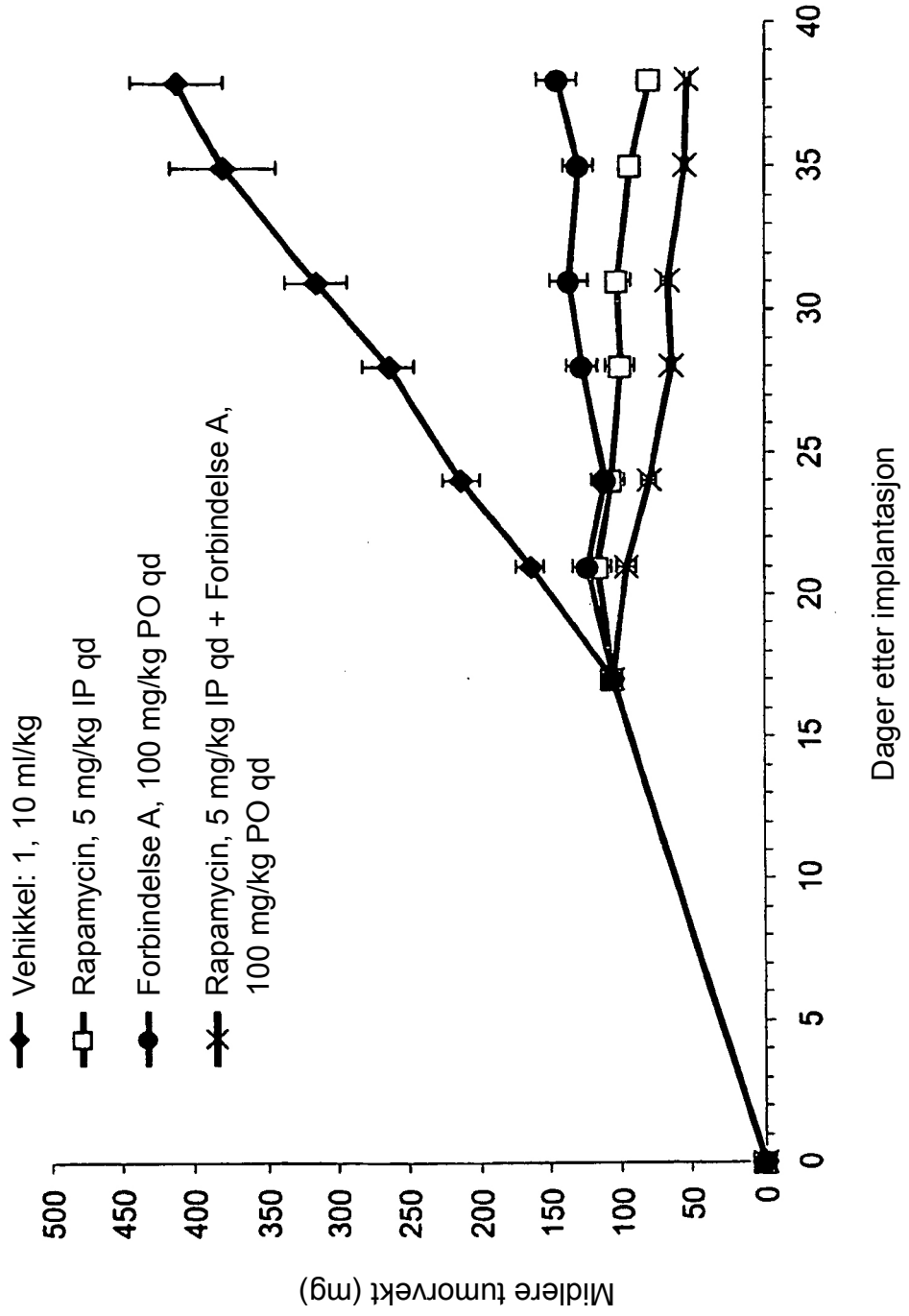
10. Forbindelse ifølge krav 1, hvor det kjemoterapeutiske middel er karboplatin og kreften er ikke-småcellet lungekreft.

11. Forbindelse ifølge krav 1, hvor det kjemoterapeutiske middel er erlotinib og kreften er brystkreft.

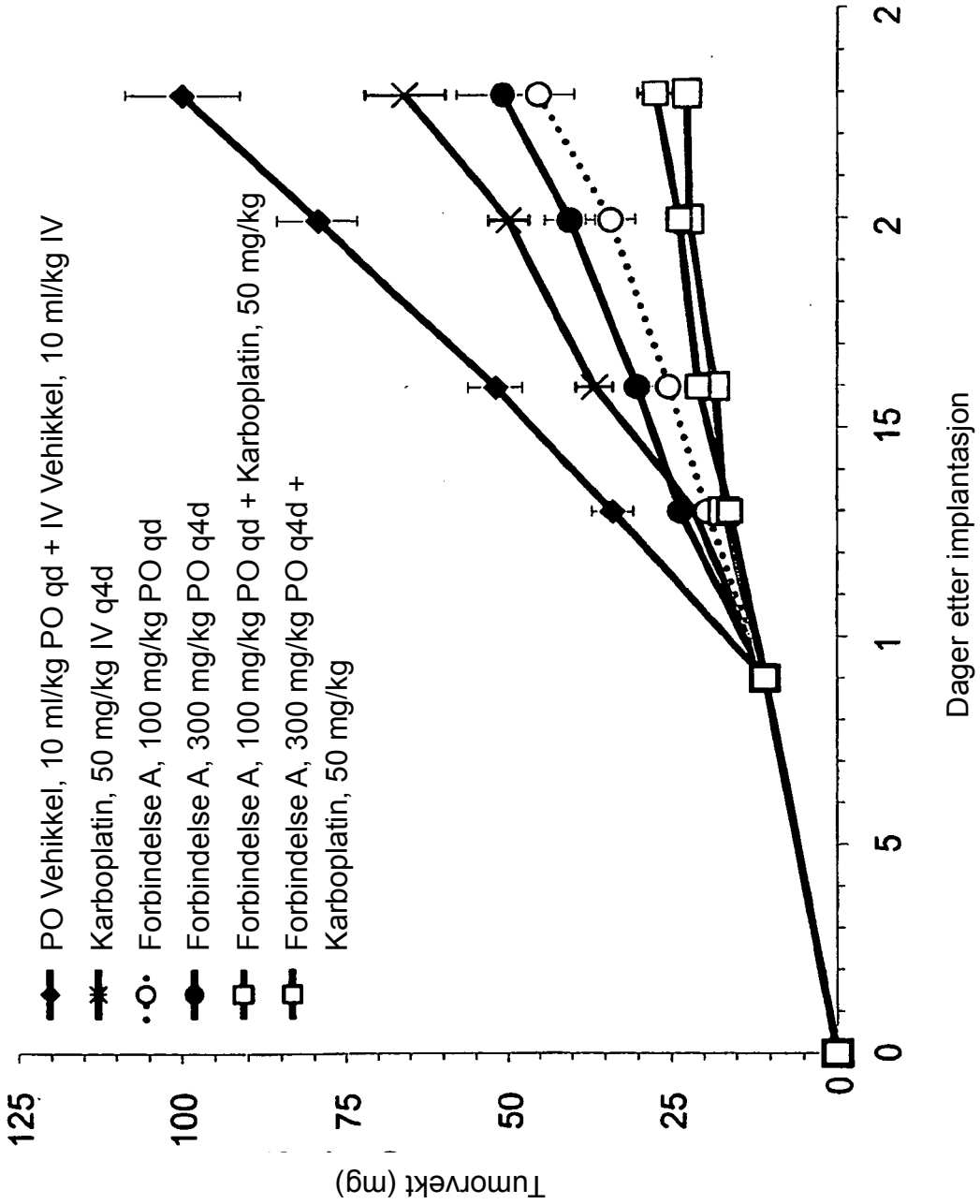
Figur 1. Forbindelse A + Taksol i PC-3 Prostatakarinom Tumormodell



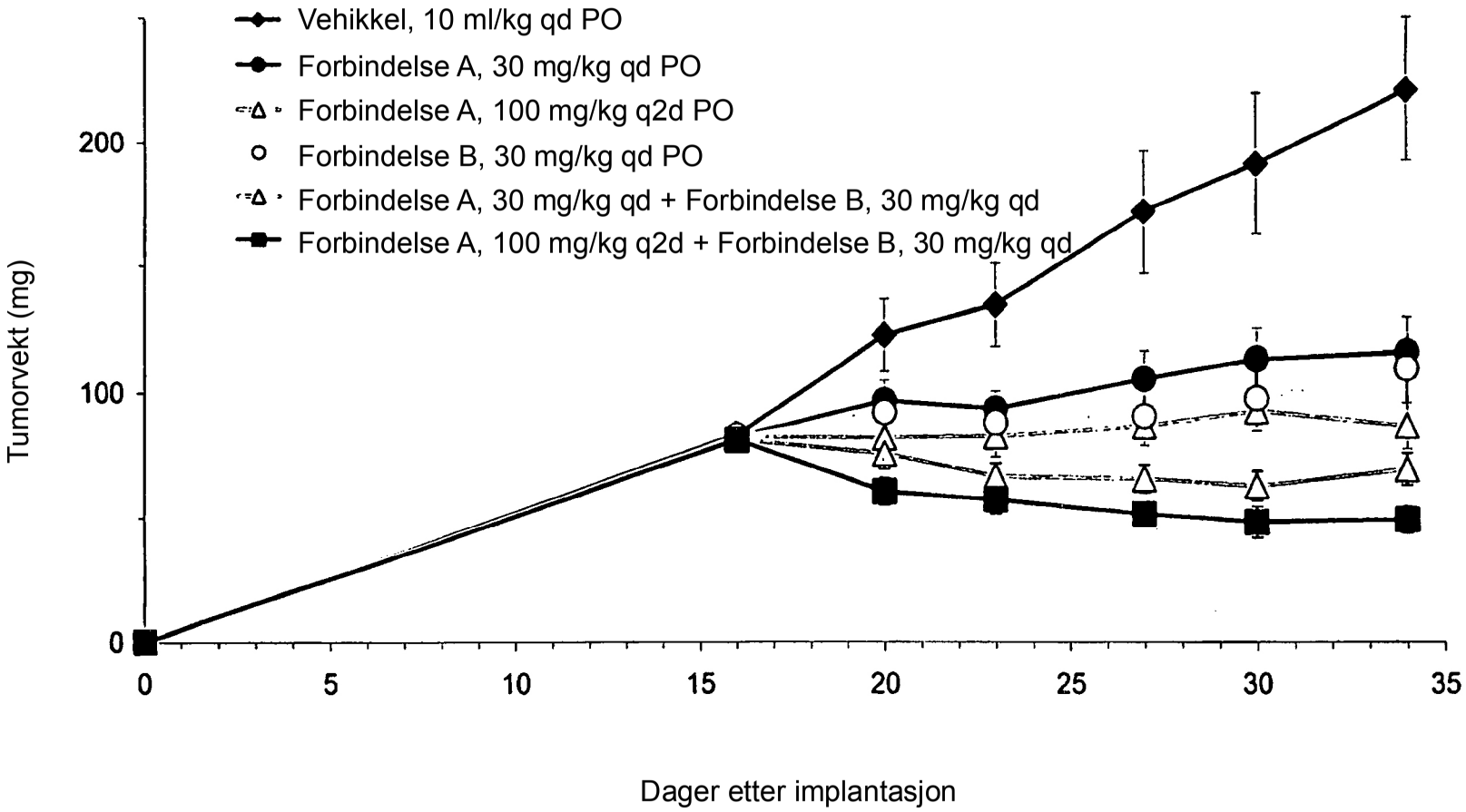
Figur 2. Forbindelse A + Rapamycin i PC-3 Prostatakarsinom Tumormodell



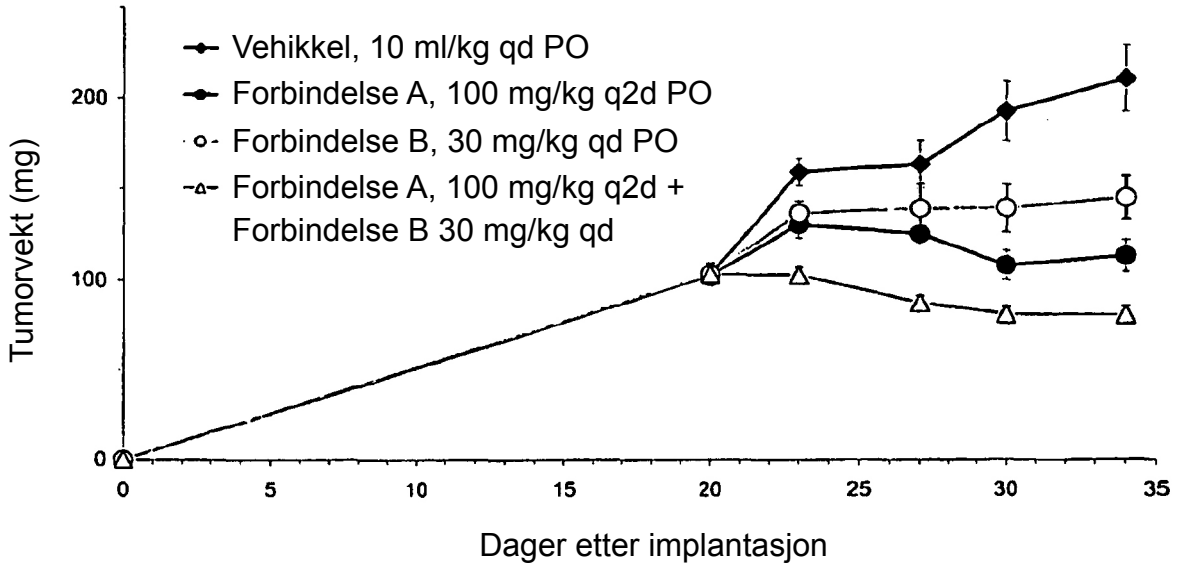
Figur 3. Forbindelse A + Karboplatin i Calu-6 NSCLC Tumormodell



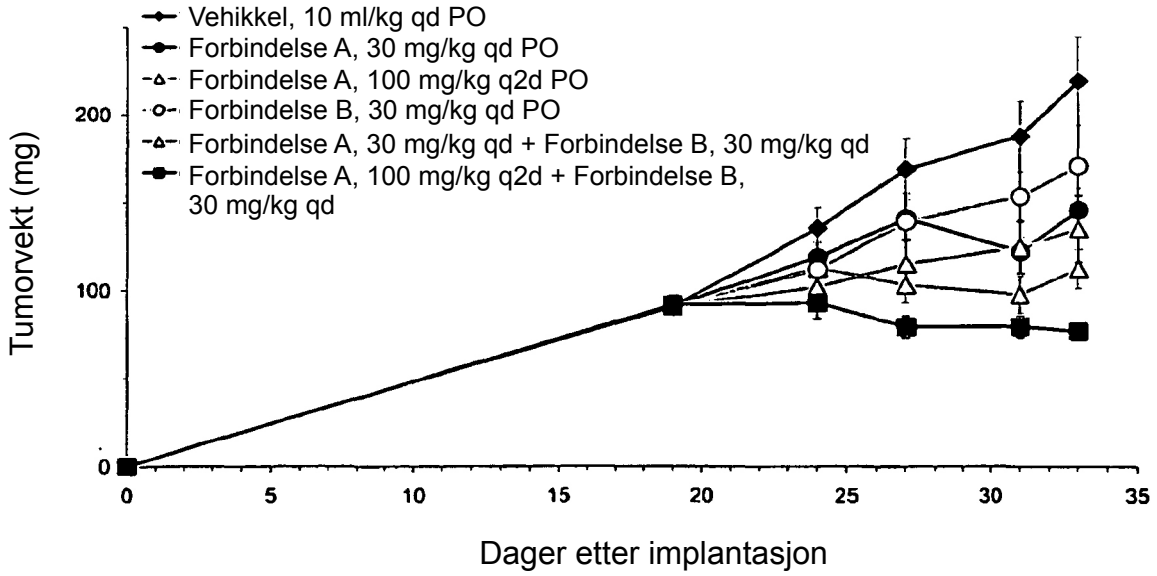
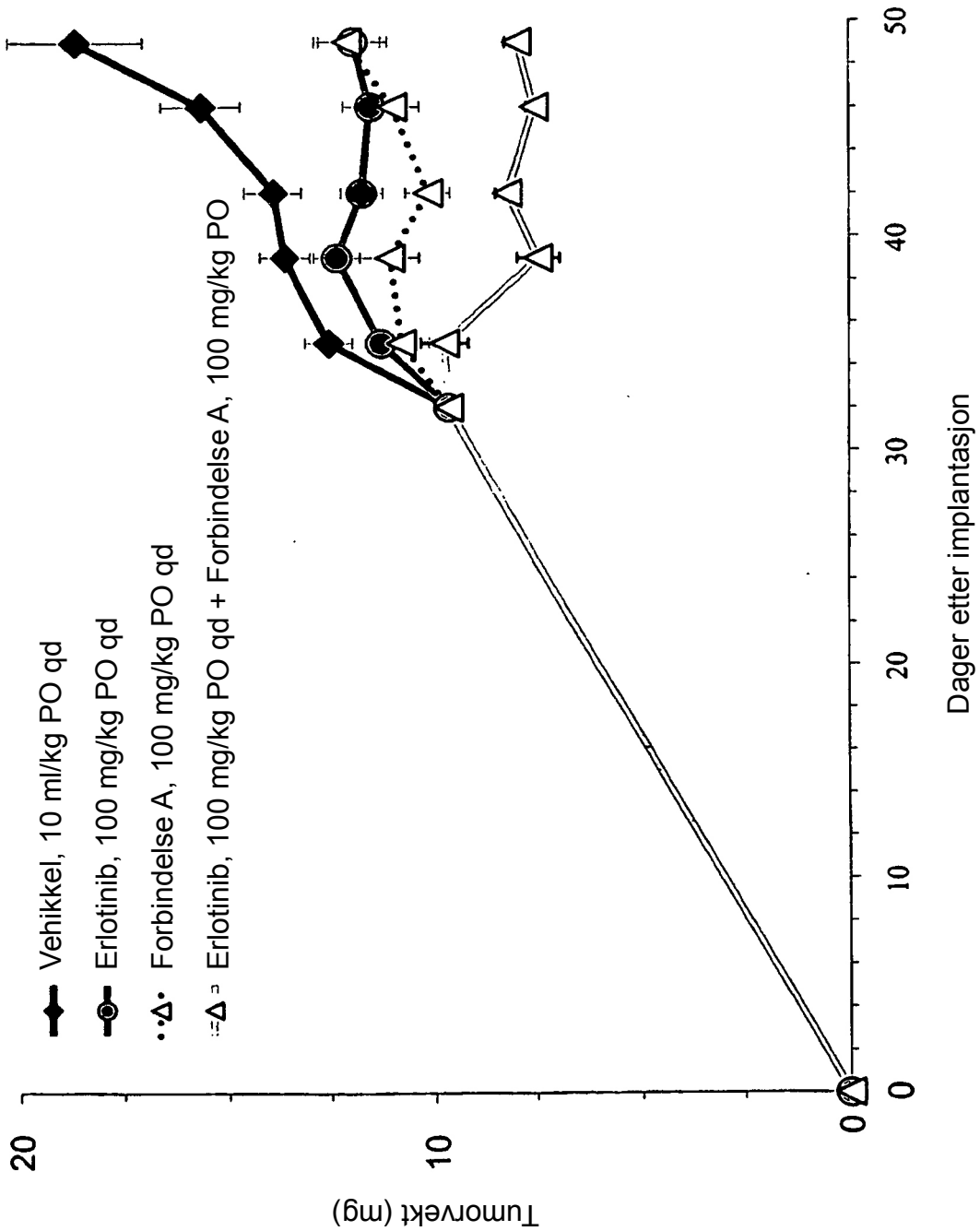
Figur 4a. Forbindelse A + Forbindelse B i A549 Ikke-småcellet lungekreft Tumormodell



Figur 4b-1. Forbindelse A + Forbindelse B i MCF7 Brystkreft Tumormodell (Studie 1)



Figur 4b-2. Forbindelse A + Forbindelse B i MCF7 Brystkreft Tumormodell (Studie 2)



Figur 5. Forbindelse A + Erlotinib i MDA-MB-468 Brystkarsinom Tumormodell