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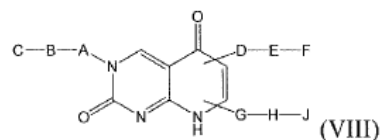
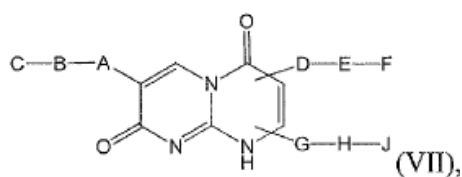
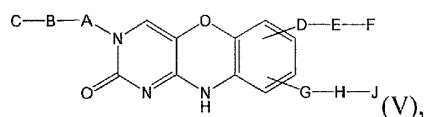
(54)	Title	ANTIMICROBIAL COMPOUNDS AND METHODS OF MAKING AND USING THE SAME
(56)	References Cited:	WO-A1-2007/014308, ORTEGA JPSE-ANTONIO ET AL.: 'Binding Affinities of Oligonucleotides and PNAs Containing Phenoxazine and G-Clamp Cytosine Analogues Are Unusually Sequence-Dependent' ORGANIC LETTERS vol. 9, no. 22, 2007, pages 4503 - 4506, XP008156257, WO-A2-02/097134, AUSTIN, CRISTINA ET AL.: 'Synthesis of Amino- and Guanidino-G-Clamp PNA Monomers' ORGANIC LETTERS vol. 4, no. 23, 2002, ISSN 1523-7060 pages 4073 - 4075,

XP002515121, DEBAENE, FRANCOIS ET AL.: 'Expanding the scope of PNA-encoded libraries: divergent synthesis of libraries targeting cysteine, serine and metallo - proteases as well as tyrosine phosphatases' TETRAHEDRON vol. 63, no. 28, 09 July 2007, pages 6577 - 6586, XP025320925, RAJEEV, KALLANTHTTATHIL G. ET AL.: 'High-Affinity Peptide Nucleic Acid Oligomers Containing Tricyclic Cytosine Analogues' ORGANIC LETTERS vol. 4, no. 25, 2002, pages 4395 - 4398, XP008156256, PETER STOSS ET AL: "Novel pyrimidine and pyrimido[1,2-a]pyrimidine derivatives. By-products of a guanidine based thymine synthesis", JOURNAL OF HETEROCYCLIC CHEMISTRY, vol. 28, no. 2, 1 February 1991 (1991-02-01), pages 231-236, XP055058543, ISSN: 0022-152X, DOI: 10.1002/jhet.5570280205

Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (<http://worldwide.espacenet.com>) or via the search engine on our website here: <https://search.patentstyret.no/>

EP2488532**Patentkrav**

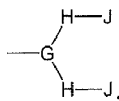
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1. Forbindelse som har formelen:

10

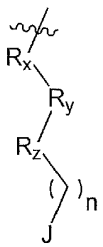
eller

hvor -G-H-J alternativt er



hvor hver H og J er uavhengig valgt,

eller -G-H-J er:



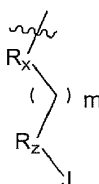
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hvor R_x er valgt fra CH_2 , NH , $\text{N}(\text{C}_{1-8}\text{-alkyl})$, S og O ; R_y og R_z er C , eller CH , hver uavhengig substituert med en eller flere F , CH_3 , CF_3 , OH , og OCH_3 ; eller R_x , R_y , og R_z er hver uavhengig valgt fra CH_2 og CR^aR^b hvor R^a og R^b tas sammen for å danne en C_{3-5} -karbocyklus;

20 J er valgt fra NH_2 , $\text{NH}(\text{C}_{1-8}\text{-alkyl})$, $\text{N}(\text{C}_{1-8}\text{-alkyl})_2$, $\text{NHC}(=\text{O})\text{CH}_3$, $\text{NHC}(=\text{O})\text{NH}_2$, $\text{NHC}(=\text{NH})\text{NH}_2$, og $\text{NHC}(=\text{NH})\text{H}$;

hvor n er 0, 1 eller 2;

alternativt er -G-H-J



hvor R_x er valgt fra CH_2 , NH , $N(C_{1-8}\text{-alkyl})$, S og O ; R_z er en C eller CH , substituert med en eller flere CH_3 eller R_z er CR^aR^b hvor R^a og R^b tas sammen for å danne en C_{3-5} -karbocyklus, hvor m er 1, 2, eller 3;

J er valgt fra NH_2 , $NH(C_{1-8}\text{-alkyl})$, $N(C_{1-8}\text{-alkyl})_2$, $NHC(=O)CH_3$, $NHC(=O)NH_2$,

5 $NHC(-NH)NH_2$, og $NHC(=NH)H$;

$C-B-A$ -, $D-E-F$ og $-G-H-J$ er kjemiske rester, hvor

$D-E-F$ er hydrogen;

A er valgt fra gruppen som består av:

(b) $-(C_{1-8}\text{-alkyl})$ -, (c) $-(C_{2-8}\text{-alkenyl})$ -, (d) $-(C_{2-8}\text{-alkynyl})$ -, hvor

10 i) 0-4 karbonatomer i enhver av (b)-(d) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O$ -, $-S(O)_p$ -, $-NR^6$ -, $-S(O)_pNR^6$ -, $-NR^6S(O)_p$ -, og $-NR^6S(O)_pNR^6$ -

,

ii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})$ - R^5 -grupper;

15 (e) $-O$ -, (f) $-NR^6$ -, (g) $-S(O)_p$ -, (h) $-C(O)$ -, (i) $-C(O)O$ -, (j) $-OC(O)$ -, (k) $-OC(O)O$ -,

(l) $-C(O)NR^6$ -, (m) $-NR^6CO$ -, (n) $-NR^6C(O)NR^6$ -, (o) $-C(=NR^6)$ -, (p) $-C(=NR^6)O$ -,

(q) $-OC(=NR^6)$ -, (r) $-C(=NR^6)NR^6$ -, (s) $-NR^6C(=NR^6)$ -, (t) $-C(=S)$ -, (u) $-C(=S)NR^6$ -,

(v) $-NR^6C(=S)$ -, (w) $-C(O)S$ -, (x) $-SC(O)$ -, (y) $-OC(=S)$ -, (z) $-C(=S)O$ -,

(aa) $-NR^6(CNR^6)NR^6$ -, (bb) $-CR^6R^6C(O)$ -, (cc) $-C(O)NR^6(CR^6R^6)_t$ -, (dd) en 3-14-leddet

20 mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere

heteroatomer valgt fra gruppen som består av nitrogen og svovel,

(ee) en 3-14-leddet mettet, umettet eller aromatisk karbocyklisk ring, og

(ff) $-(CR^6R^6)_t$ -,

hvor (dd) eller (ee) eventuelt substitueres med én eller flere R^5 -grupper;

25 G er valgt fra gruppen som består av:

(a) en enkeltbinding, (b) $-(C_{1-8}\text{-alkyl})$ -, (c) $-(C_{2-8}\text{-alkenyl})$ -, (d) $-(C_{2-8}\text{-alkynyl})$ -, hvor

i) 0-4 karbonatomer i enhver av (b)-(d) rett ovenfor eventuelt erstattes av en rest valgt

fra gruppen som består av $-O$ -, $S(O)_p$ -, NR^6 -, $-(C=O)$ -, $-S(O)_pNR^6$ -, $-NR^6S(O)_p$ -, og

$-NR^6S(O)_pNR^6$ -,

30 ii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})$ - R^5 -grupper;

(e) $-O$ -, (f) $-NR^6$ -, (g) $-S(O)_p$ -, (h) $-C(O)$ -, (i) $-C(O)O$ -, (j) $-OC(O)$ -, (k) $-OC(O)O$ -,

(l) $-C(O)NR^6$ -, (m) $-NR^6CO$ -, (n) $-NR^6C(O)NR^6$ -, (o) $-C(=NR^6)$ -, (p) $-C(=NR^6)O$ -,

(q) $-OC(=NR^6)$ -, (r) $-C(=NR^6)NR^6$ -, (s) $-NR^6C(=NR^6)$ -, (t) $-C(=S)$ -, (u) $-C(=S)NR^6$ -,

35 (v) $-NR^6C(=S)$ -, (w) $-C(O)S$ -, (x) $-SC(O)$ -, (y) $-OC(=S)$ -, (z) $-C(=S)O$ -,

(aa) $-NR^6(CNR^6)NR^6$ -, (bb) $-CR^6R^6C(O)$ -, (cc) $-C(O)NR^6(CR^6R^6)_t$ -, (dd) en 3-14-leddet

mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere

heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel,

(ee) en 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring, og

(ff) $-(CR^6R^6)_t-$,

hvor (dd) eller (ee) eventuelt substitueres med én eller flere R^5 -grupper;

B er valgt fra gruppen som består av:

- 5 (b) en 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel, (c) en 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring, hvor (b) eller (c) eventuelt substitueres med én eller flere R^5 -grupper; (d) $-(C_{1-8}\text{-alkyl})-$, (e) $-(C_{2-8}\text{-alkenyl})-$, (f) $-(C_{2-8}\text{-alkynyl})-$, hvor
- 10 i) 0-4 karbonatomer i enhver av (d)-(f) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O-$, $-S(O)_p-$, $-NR^6-$, $-C(=NR^6)-$, $-S(O)_pNR^6-$, $-NR^6S(O)_p-$, og $-NR^6S(O)_pNR^6-$,

ii) enhver av (d)-(f) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (d)-(f) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})-R^5$ -grupper;

- 15 og (g) $-(CR^6R^6)_t-$,

H er valgt fra gruppen som består av:

(a) en enkeltbinding,

(b) en 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel,

- 20 (c) en 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring, hvor (b) eller (c) eventuelt substitueres med én eller flere R^5 -grupper;

(d) $-(C_{1-8}\text{-alkyl})-$, (e) $-(C_{2-8}\text{-alkenyl})-$, (f) $-(C_{2-8}\text{-alkynyl})-$, hvor

i) 0-4 karbonatomer i enhver av (d)-(f) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O-$, $-S(O)_p-$, $-NR^6-$, $-(C=O)-$, $-C(=NR^6)-$, $-S(O)_pNR^6-$,

- 25 $-NR^6S(O)_p-$, og $-NR^6S(O)_pNR^6-$,

ii) enhver av (d)-(f) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (d)-(f) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})-R^5$ -grupper;

og (g) $-(CR^6R^6)_t-$,

C og J er uavhengig valgt fra gruppen som består av:

- 30 (a) hydrogen, (c) F, (d) Cl, (e) Br, (f) I, (g) $-CF_3$, (h) $-CN$, (i) $-N_3$ (j) $-NO_2$, (k) $-NR^6(CR^6R^6)_tR^8$, (l) $-OR^8$, (m) $-S(O)_p(CR^6R^6)_tR^8$, (n) $-C(O)(CR^6R^6)_tR^8$, (o) $-OC(O)(CR^6R^6)_tR^8$, (p) $-SC(O)(CR^6R^6)_tR^8$, (q) $-C(O)O(CR^6R^6)_tR^8$, (r) $-NR^6C(O)(CR^6R^6)_tR^8$, (s) $-C(O)NR^6(CR^6R^6)_tR^8$, (t) $-C(=NR^6)(CR^6R^6)_tR^8$, (u) $-C(=NNR^6R^6)(CR^6R^6)_tR^8$, (v) $-C(=NNR^6C(O)R^6)(CR^6R^6)_tR^8$, (w) $-C(=NOR^8)(CR^6R^6)_tR^8$,
- 35 (x) $-NR^6C(O)O(CR^6R^6)_tR^8$, (y) $-OC(O)NR^6(CR^6R^6)_tR^8$, (z) $-NR^6C(O)NR^6(CR^6R^6)_tR^8$, (aa) $-NR^6S(O)_p(CR^6R^6)_tR^8$, (bb) $-S(O)_pNR^6(CR^6R^6)_tR^8$, (cc) $-NR^6S(O)_pNR^6(CR^6R^6)_tR^8$, (dd) $-NR^6R^8$, (ee) $-NR^6(CR^6R^6)R^8$, (ff) $-OH$, (gg) $-NR^8R^8$, (hh) $-OCH_3$, (ii) $-S(O)_pR^8$, (jj) $-NC(O)R^8$, (kk) $-NR^6C(NR^6)NR^6R^8$, (11) en C_{1-8} -alkylgruppe, (mm) en C_{2-8} -

- alkenylgruppe, (nn) en C₂₋₈-alkynylgruppe, (oo) en 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel, (pp) en 3-14-leddet, mettet, umettet eller aromatisk karbosyklisk ring, (qq) $-(\text{CR}^6\text{R}^6)_t\text{NR}^6(\text{CR}^6\text{R}^6)_t\text{R}^8$,
- 5 (rr) $-\text{N}[(\text{CR}^6\text{R}^6)_t\text{R}^8][\text{C}=\text{O}(\text{CR}^6\text{R}^6)_t\text{R}^8]$, (ss) $-(\text{CR}^6\text{R}^6)_t\text{N}[(\text{CR}^6\text{R}^6)_t\text{R}^8][(\text{CR}^6\text{R}^6)_t\text{R}^8]$, (tt) $-(\text{CR}^6\text{R}^6)_t\text{NR}^6(\text{C}=\text{O})(\text{CR}^6\text{R}^6)_t\text{R}^8$, (uu)-halogenalkyl, (w) $-\text{C}(\text{O})(\text{CR}^6)[(\text{CR}^6\text{R}^6)_t\text{R}^8]\text{R}^8$, (ww) $-(\text{CR}^6\text{R}^6)_t\text{C}(\text{O})\text{NR}^8\text{R}^8$, (xx) $-(\text{CR}^6\text{R}^6)_t(\text{C}(\text{O})\text{O}(\text{CR}^6\text{R}^6)_t\text{R}^8)$, (yy) $-\text{NR}^6\text{C}(\text{O})\text{CR}^8\text{R}^8\text{R}^8$, (zz) $-\text{N}[(\text{CR}^6\text{R}^6)_t\text{R}^8]\text{C}(\text{O})\text{R}^8$, og (aaa) $-\text{S}(\text{O})_p\text{NR}^8\text{R}^8$;
- hvor (11) til (pp) eventuelt substitueres med én eller flere R⁷-grupper;
- 10 R⁵ er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) -CF₃, (g) -CN, (h) -N₃ (i) -NO₂, (j) -NR⁶R⁶, (k) -OR⁸, (l) -NR⁶(CNR⁶)NR⁶R⁶, (m) -C₁₋₈-alkyl, (n) -C₂₋₈-alkenyl, (o) -C₂₋₈-alkynyl, (p) $-(\text{C}_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel})$, (q) $-(\text{C}_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk karbosyklisk ring})$, (r) -halogenalkyl, (s) -SR⁶, (t) $-(3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel})$, og (u) -3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring; alternativt tas to R⁵-grupper sammen for å danne en karbosyklisk ring;
- 15 hvor (m) til og med (r) og (t) til og med (u) eventuelt substitueres med en eller flere R⁸; R⁶ er valgt fra (a) hydrogen, (b) -C₁₋₈-alkyl eller alternativt tas to R⁶-grupper sammen for å danne en karbosyklisk ring, (c) -halogenalkyl, (d) -3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel og (e) -3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring;
- 20 hvor (b) til og med (e) eventuelt substitueres med en eller flere R⁸;
- R⁷ er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) -CF₃, (g) -CN, (h) -N₃ (i) -NO₂, (j) -NR⁶R⁶, (k) -OR⁶, (l) -NR⁶(CNR⁶)NR⁶R⁶, (m) -C₁₋₈-alkyl, (n) -C₂₋₈-alkenyl, (o) -C₂₋₈-alkynyl, (p) $-(\text{C}_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel})$, (q) $-(\text{C}_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk karbosyklisk ring})$, (r) -halogenalkyl, (s) -NR⁶R⁸, (t) -OR⁸, (u) $-(\text{CR}^6\text{R}^6)_t\text{NR}^6\text{R}^8$, (v) -CR⁶R⁸R⁸, (w) -SR⁶, (x) -3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av
- 30 nitrogen, oksygen og svovel, (y) -3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring, (z) $-(\text{CR}^6\text{R}^6)_t\text{C}(\text{O})\text{NR}^8\text{R}^8$, (aa) $-\text{S}(\text{O})_p\text{R}^8$, (bb) $-\text{NR}^6\text{C}(\text{O})\text{NR}^6\text{R}^6$, (cc) $-\text{NR}^6\text{C}(\text{O})\text{R}^6$, og (dd) $-\text{C}(=\text{NR}^6)\text{NR}^6\text{R}^6$;
- 35

hvor (m) til og med (q) og (x) til og med (y) eventuelt substitueres med en eller flere R^9 ;

R^8 er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) $-CF_3$, (g) $-CN$, (h) $-N_3$ (i) $-NO_2$, (j) $-NR^6R^9$, (k) $-OR^9$, (l) $-NR^6(CNR^6)NR^6R^6$, (m) $-C_{1-8}$ -alkyl, (n) $-C_{2-8}$ -alkenyl,

- 5 (o) $-C_{2-8}$ -alkynyl, (p) $-(C_{1-8}$ -alkyl)-(3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel), (q) $-(C_{1-8}$ -alkyl)-(3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring), (r) 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel), (s) 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring, (t) -halogenalkyl, (u) $-C(O)(CR^6R^6)_tR^9$, (v) $-SR^6$, (w) $-OC(O)(CR^6R^6)_tR^9$, (x) $-NR^6C(O)NR^6R^9$, (y) $-NR^6C(O)R^9$, (z) $-NR^6(CNR^9)(NR^6R^6)$, (aa) $-ONR^6(CNR^6)NR^6R^6$, (bb) $-C(=NR^9)NR^6R^6$, (cc) $-S(O)_pR^9$, (dd) $-(CR^6R^6)_tC(O)NR^6R^9$, (ee) $-(CR^6R^6)_tR^9$, og (ff) $-(CR^6R^6)_tNR^6R^9$;

- 15 hvor (m) til og med (s) eventuelt substitueres med én eller flere R^9 ;

R^9 er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) $-CF_3$, (g) $-CN$, (h) $-N_3$, (i) $-NO_2$, (j) $-NR^6R^{10}$, (k) $-OR^6$, (l) $-NR^6(CNR^6)NR^6R^6$, (m) $-C(O)(CR^6R^6)_tNR^6R^6$,

- (n) $-C_{1-8}$ alkyl, (o) $-C_{2-8}$ -alkenyl, (p) $-C_{2-8}$ -alkynyl, (q) 3-14-leddet, mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt blant gruppen som består av nitrogen, oksygen, og svovel, (r) 3-14-leddet, mettet, umettet eller aromatisk karbosyklisk ring, (s) -halogenalkyl, (t) $-(CR^6R^6)_tOR^6$, (u) $-O(CR^6R^6)_tNR^6R^{10}$, (v) $-C(O)R^6$, (w) $-SR^6$, (x) $-C(O)OR^{10}$, (y) $-S(O)_pR^6$, (z) $-(C_{1-8}$ -alkyl)-(3-14-leddet, mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen, og svovel), (aa) $-(C_{1-8}$ -alkyl)-(3-14-leddet, mettet, umettet eller aromatisk karbosyklisk ring), (bb) $-O(CR^6R^6)_tOR^6$, (cc) $-C(=NR^6)NR^6R^6$, (dd) $-ONR^6R^6$, (ee) $-NR^6C(O)NR^6R^6$, (ff) $-O(CR^6R^6)_tOR^6$, (gg) $-NR^6C(O)R^6$, og (hh) $-(CR^6R^6)_tNR^6R^{10}$;

hvor (n) til og med (r) og (z) til og med (aa) eventuelt substitueres med ett eller flere R^{10} ;

- 30 R^{10} er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) $-CF_3$, (g) $-CN$, (h) $-N_3$, (i) $-NO_2$, (j) $-NR^6R^6$, (k) $-OR^6$, (l) $-NR^6(CNR^6)NR^6R^6$, (m) $-C(O)(CR^6R^6)_tNR^6R^6$,

- (n) $-C_{1-8}$ -alkyl, (o) $-C_{2-8}$ -alkenyl, (p) $-C_{2-8}$ -alkynyl, (q) 3-14-leddet, mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen, og svovel, (r) 3-14-leddet, mettet, umettet eller aromatisk karbosyklisk ring, (s) -halogenalkyl, (t) $-(CR^6R^6)_tOR^6$, (u) $-O(CR^6R^6)_tNR^6R^6$, (v) $-C(O)R^6$, (w) $-SR^6$, (x) $-C(O)OR^6$, (y) $-S(O)_pR^6$, (z) $-(C_{1-8}$ -alkyl)-(3-14-leddet, mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller

flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen, og svovel),
 (aa) $-(C_{1-8}\text{-alkyl})-(3\text{-}14\text{-leddet, mettet, umettet eller aromatisk karbosyklisk ring})$,
 (bb) $-O(CR^6R^6)_tOR^6$, (cc) $-C(=NR^6)NR^6R^6$, (dd) $-ONR^6R^6$, (ee) $-NR^6C(O)NR^6R^6$,
 (ff) $-O(CR^6R^6)_tOR^6$, (gg) $-NR^6C(O)R^6$, og (hh) $-(CR^6R^6)_tNR^6R^6$;

5 hvori gruppen $-G-H-J$ er noe annet enn hydrogen;

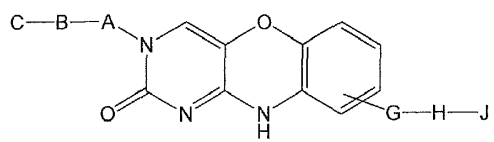
p er 0, 1 eller 2, og

t er 1, 2 eller 3,

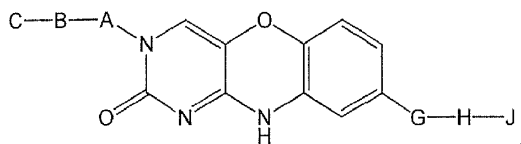
eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

10 **2.** Forbindelsen ifølge krav 1, som har formelen valgt fra

i)



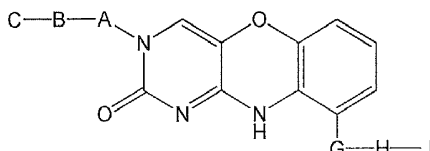
ii)



15

og

iii)



eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

20

3. Forbindelsen ifølge krav 2, som har formelen ii) eller iii) hvori A er valgt fra

(a) en 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen og svovel, og

(b) en 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring,

25 hvori (a) eller (b) eventuelt substitueres med én eller flere R^5 -grupper;

B er valgt fra (a) $-(C_{1-8}\text{-alkyl})-$, (b) $-(C_{2-8}\text{-alkenyl})-$, og (c) $-(C_{2-8}\text{-alkynyl})-$,

hvori

i) 0-4 karbonatomer i enhver av (a)-(c) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O-$, $-S(O)_p-$, $-NR^6-$, $-C(=NR^6)-$, $-S(O)_pNR^6-$, og $-NR^6S(O)_pN^6-$,

30 ii) enhver av (a)-(c) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (a)-(c) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})-R^5$ -grupper, og

C er valgt fra (a) NH_2 , (b) $-\text{NHC}(=\text{NH})\text{NH}_2$ og (c) hydrogen, eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

4. Forbindelsen ifølge krav 3, hvori A er valgt fra azepanyl, syklobutyl, syklopentyl,

5 sykloheksyl, sykloheptyl, fenyl, pyridinyl, sykloheksenyl, sykloheksadienyl, dihydropyridyl, tetrahydropyridyl, azetidiny, pyrrolidinyl, piperidinyl og piperidenyl; hvori enhver av A rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper;

B er valgt fra (a) $-(\text{C}_{1-8}\text{-alkyl})-$, hvori

10 i) 0-4 karbonatomer i (a) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-\text{O}-$, $-\text{S}(\text{O})_p-$, $-\text{NR}^6-$, $-\text{S}(\text{O})_p\text{NR}^6-$, og $-\text{NR}^6\text{S}(\text{O})_p\text{NR}^6-$,

ii) (a) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) (a) rett ovenfor eventuelt substitueres med $-(\text{C}_{1-8}\text{-alkyl})-\text{R}^5$ -grupper; og

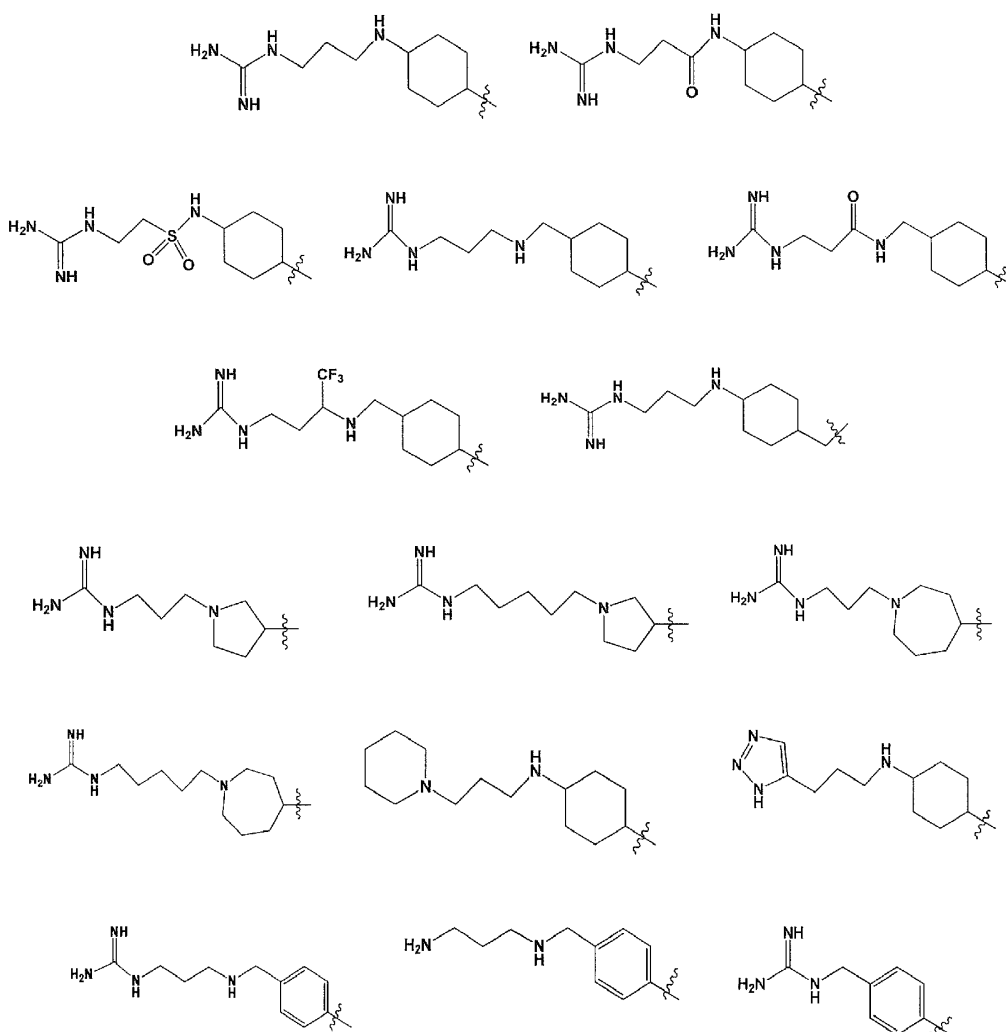
C er valgt fra (a) NH_2 , (b) $-\text{NHC}(=\text{NH})\text{NH}_2$ og (c) hydrogen;

eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

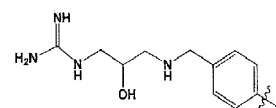
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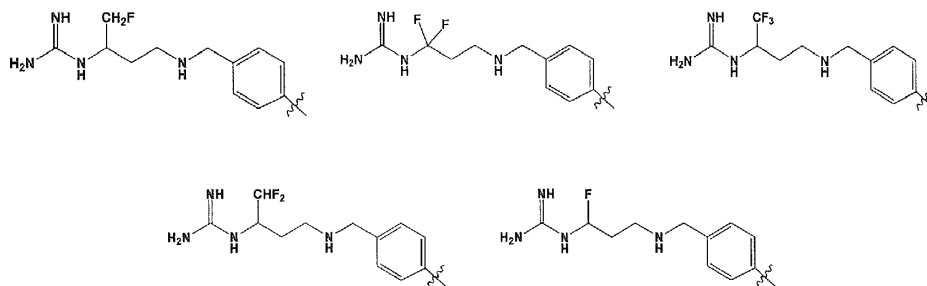
5. Forbindelsen ifølge krav 4, hvori C-B-A er valgt fra gruppen som består av:

20



25





5 eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

6. Forbindelsen ifølge krav 2, som har formelen ii) eller iii) hvori G er valgt fra

(a) en enkeltbinding, (b) $-(C_{1-8}\text{-alkyl})-$, (c) $-(C_{2-8}\text{-alkenyl})-$, (d) $-(C_{2-8}\text{-alkynyl})-$, hvori

10 i) 0-4 karbonatomer i enhver av (b)-(d) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O-$, $S(O)_p$, $-NR^6-$, $-(C=O)-$, $-C(=NR^6)-$, $-S(O)_pNR^6-$, $-NR^6S(O)_p-$, og $NR^6S(O)_pNR^6-$,

ii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})-R^5$ -grupper;

(e) en 3-14-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett
15 eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel, og
(f) en 3-14-leddet mettet, umettet eller aromatisk karbosyklisk ring,

hvori (a) eller (f) eventuelt substitueres med én eller flere R^5 -grupper;

eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

20 **7.** Forbindelsen ifølge krav 3, hvori R^5 er valgt fra (a) hydrogen, (b) F, (c) Cl, (d) Br, (e) I, (f) $-CF_3$, (g) $-CN$, (h) $-N_3$ (i) $-NO_2$, (j) $-NH_2$, (k) $-OR^6$, (l) $-NHC(=NH)NH_2$,

(m) $-C_{1-8}\text{-alkyl}$, (n) $-C_{2-8}\text{-alkenyl}$, (o) $-C_{2-8}\text{-alkynyl}$, (p) $-(C_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel})$, (q) $-(C_{1-8}\text{-alkyl})-(3-14\text{-leddet mettet, umettet eller aromatisk karbosyklisk ring})$, (r) $-\text{halogenalkyl}$, (s) $-SR^6$,

25 (t) $-3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel}$ og (u) $-3-14\text{-leddet mettet, umettet eller aromatisk karbosyklisk ring}$; alternativt tas to R^5 -grupper sammen for å danne en karbosyklisk ring, eller hvori R^6 er valgt fra

30 (a) hydrogen, (b) $-C_{1-8}\text{-alkyl}$ eller alternativt tas to R^6 -grupper sammen for å danne en karbosyklisk ring, (c) $-\text{halogenalkyl}$, (d) $-3-14\text{-leddet mettet, umettet eller aromatisk heterosyklisk ring som inneholder ett eller flere heteroatomer valgt fra gruppen som består av nitrogen, oksygen og svovel}$, og (e) $-3-14\text{-leddet mettet, umettet eller aromatisk karbosyklisk ring}$; eller et farmasøytisk akseptabelt salt, ester eller tautomer

derav.

8. Forbindelsen ifølge krav 6, hvori hver G er valgt fra

(a) en enkeltbinding, (b) $-(C_{1-8}\text{-alkyl})-$, (c) $-(C_{2-8}\text{-alkenyl})-$, (d) $-(C_{2-8}\text{-alkynyl})-$, hvori

5 i) 0-4 karbonatomer i enhver av (b)-(d) rett ovenfor eventuelt erstattes av en rest valgt fra gruppen som består av $-O-$, $S(O)_p-$, $-NR^6-$, $-(C=O)-$, $-C(=NR^6)-$, $-S(O)_pNR^6-$, $-NR^6S(O)_p-$, og $-NR^6S(O)_pNR^6-$,

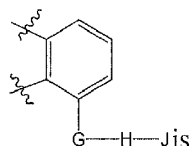
ii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med én eller flere R^5 -grupper, og

iii) enhver av (b)-(d) rett ovenfor eventuelt substitueres med $-(C_{1-8}\text{-alkyl})-R^5$ -grupper;

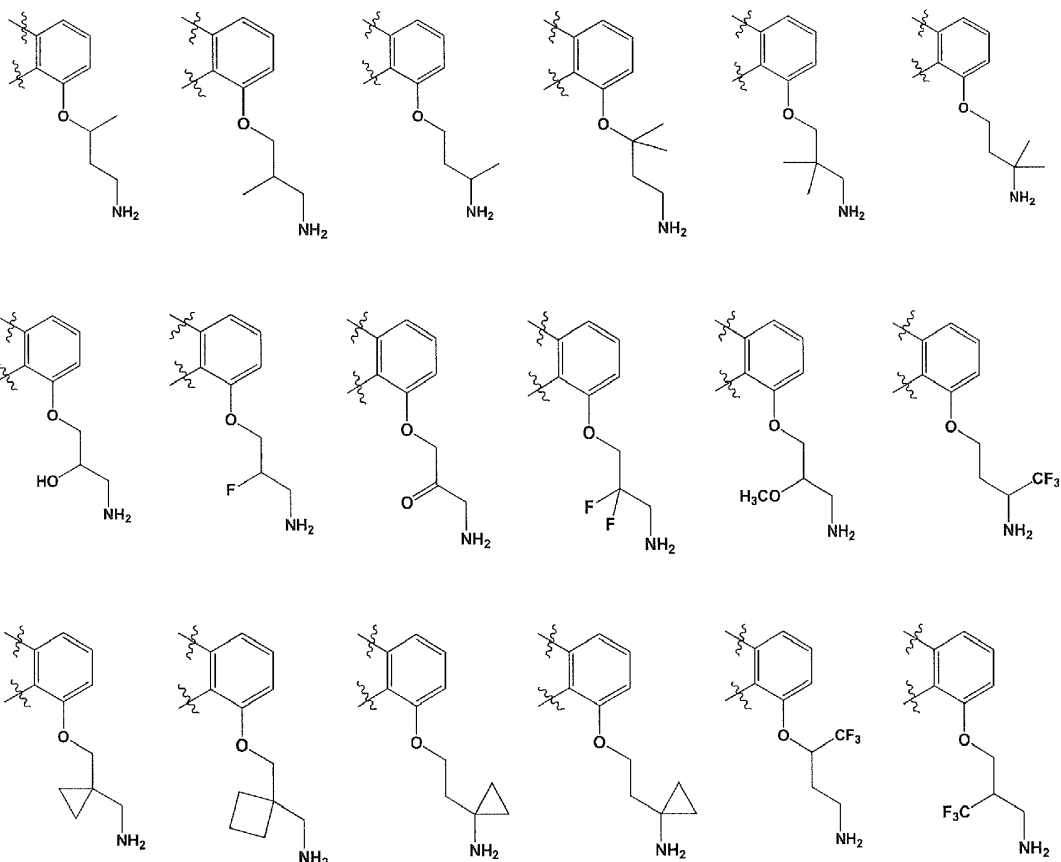
10 hvori p er 0, 1 eller 2,

eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

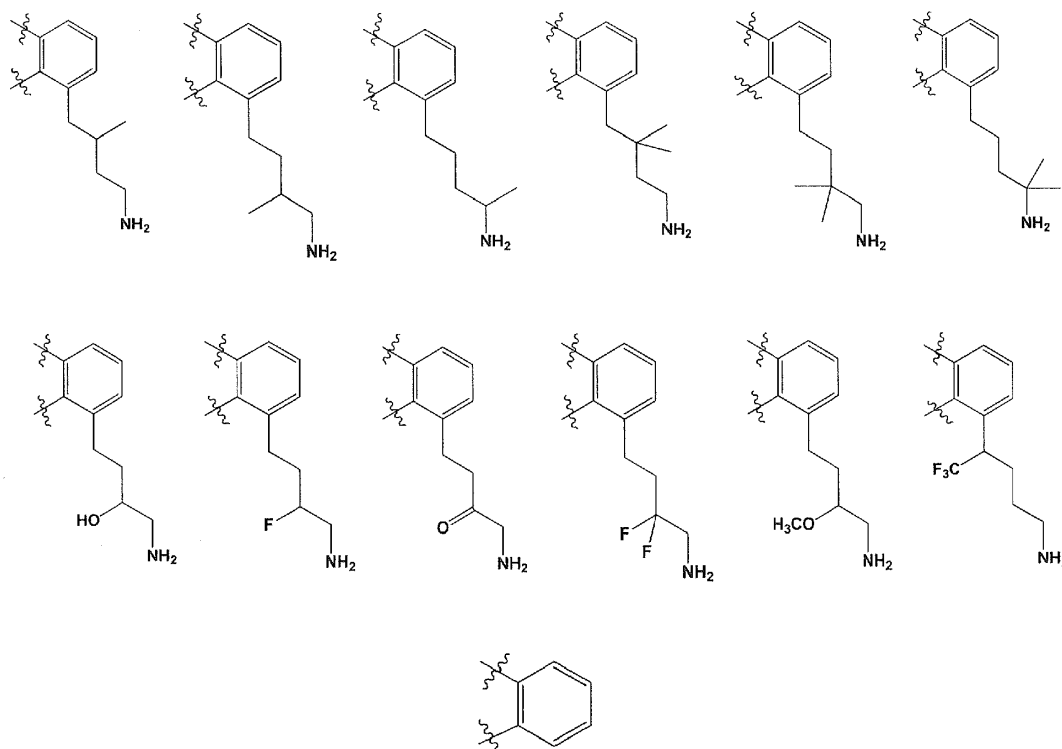
9. Forbindelsen ifølge krav 2, som har formelen iii) hvori



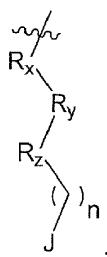
15 valgt fra:



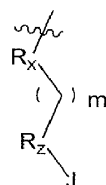
20



eller -G-H-J er:



- 10 hvori R_x er valgt fra CH_2 , NH , $\text{N}(\text{C}_{1-8}\text{-alkyl})$, S , og O ; R_y og R_z er C eller CH , hver uavhengig substituert med én eller flere F , CH_3 , CF_3 , OH , og OCH_3 ; eller R_x , R_y og R_z er hver uavhengig valgt fra CH_2 og CR^aR^b hvori R^a og R^b tas sammen for å danne en C_{3-5} -karbocyklisk ring;
- J er valgt fra NH_2 , $\text{NH}(\text{C}_{1-8}\text{-alkyl})$, $\text{N}(\text{C}_{1-8}\text{-alkyl})_2$, $\text{NHC}(=\text{O})\text{CH}_3$, $\text{NHC}(=\text{O})\text{NH}_2$,
- 15 $\text{NHC}(=\text{NH})\text{NH}_2$, og $\text{NHC}(=\text{NH})\text{H}$;
- hvor n er 0, 1 eller 2;
- alternativt er -G-H-J



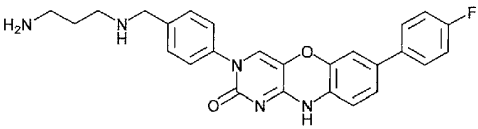
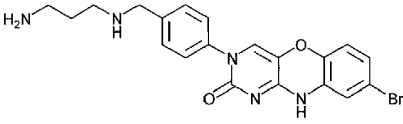
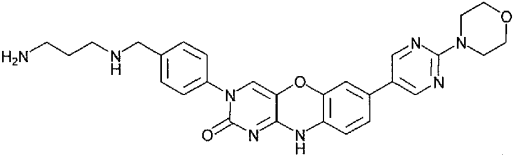
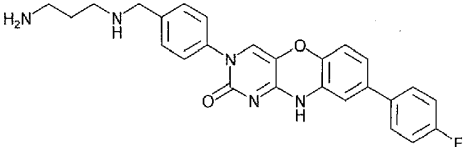
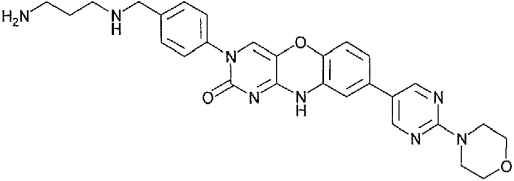
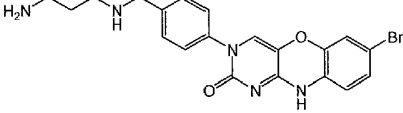
hvor R_x er valgt fra CH_2 , NH , $\text{N}(\text{C}_{1-8}\text{-alkyl})$, S og O ; R_z er en C eller CH , substituert med

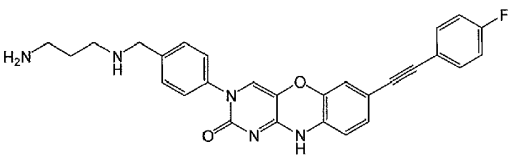
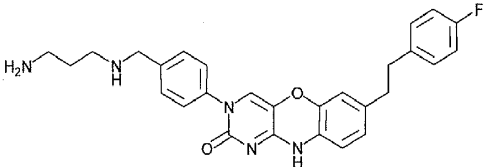
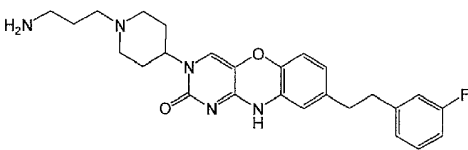
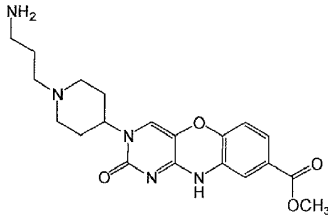
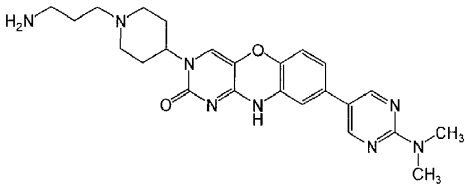
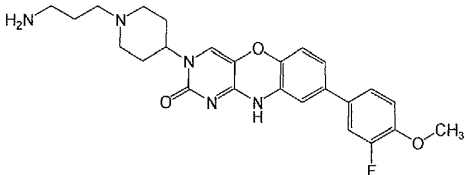
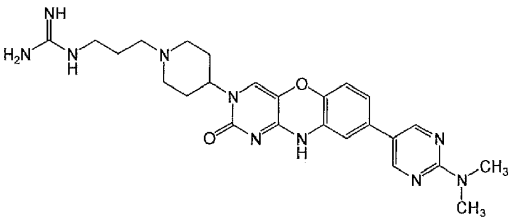
en eller flere CH₃, eller R_z er CR^aR^b hvori R^a og R^b tas sammen for å danne en C₃₋₅-karbocyklisk ring, hvori m er 1, 2, eller 3;

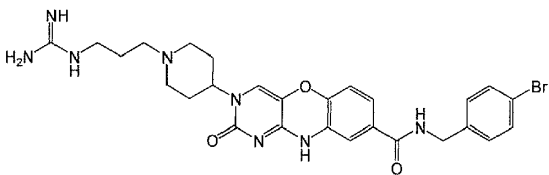
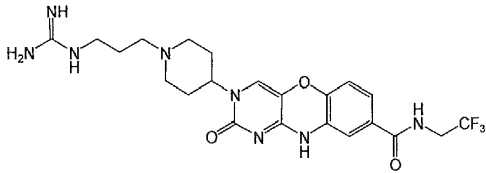
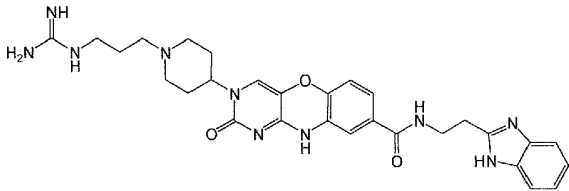
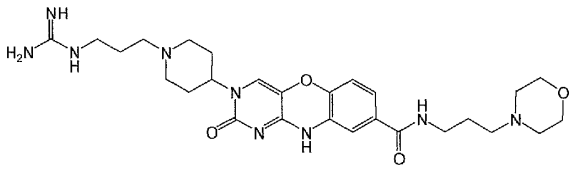
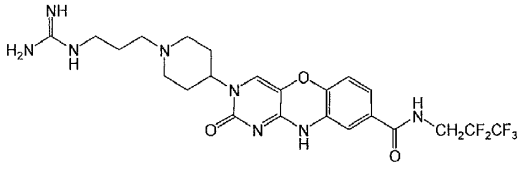
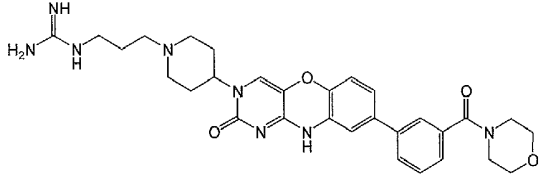
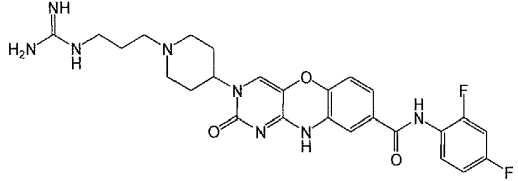
J er valgt fra NH₂, NH(C₁₋₈-alkyl), N(C₁₋₈-alkyl)₂, NHC(=O)CH₃, NHC(=O)NH₂, NHC(=NH)NH₂, og NHC(=NH)H; eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

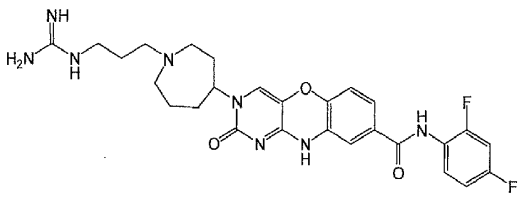
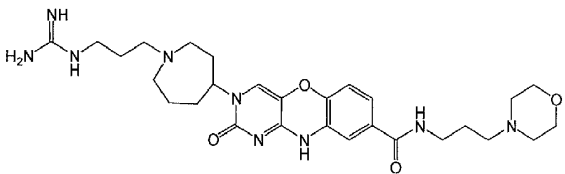
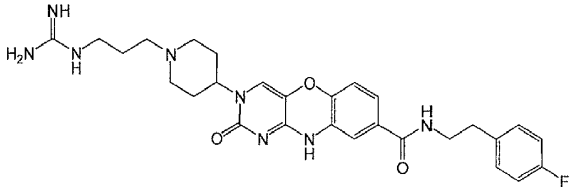
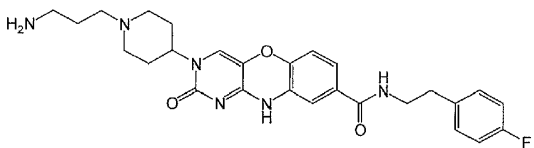
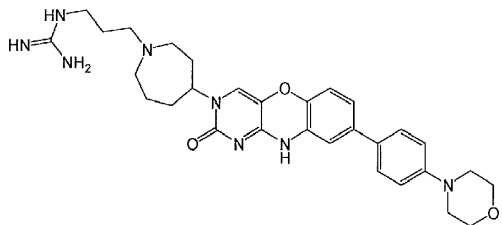
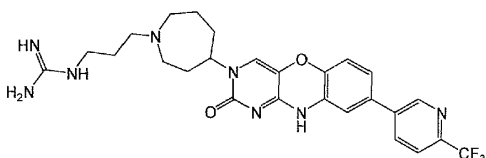
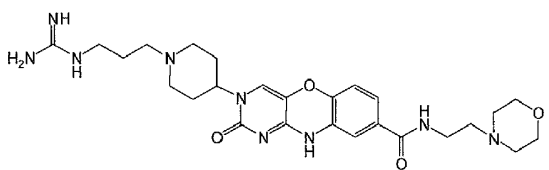
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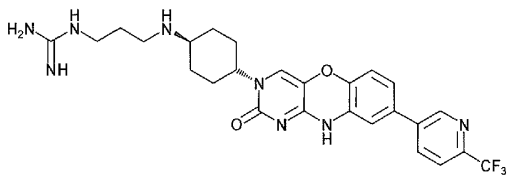
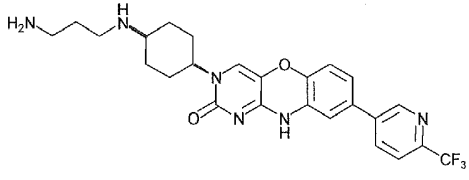
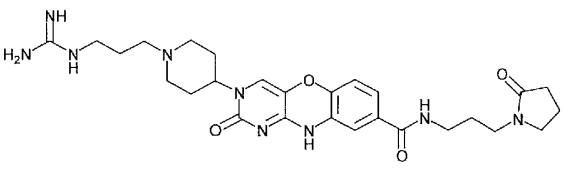
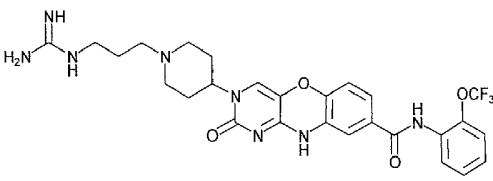
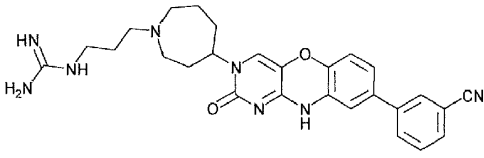
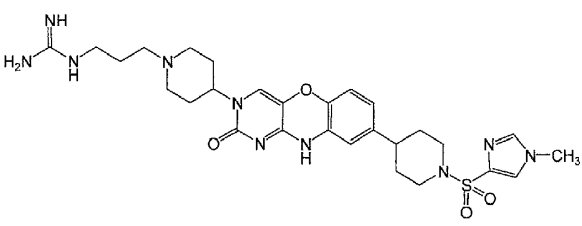
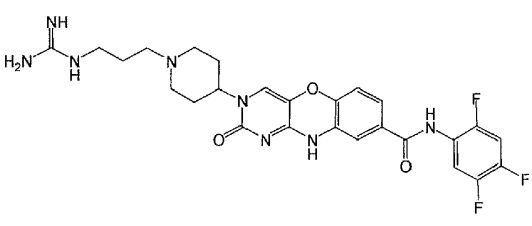
10. Forbindelsen ifølge krav 1, hvori forbindelsen er valgt fra:

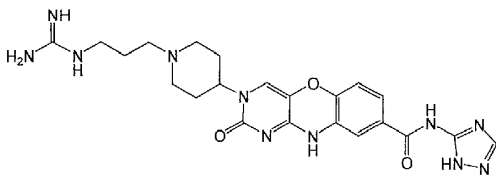
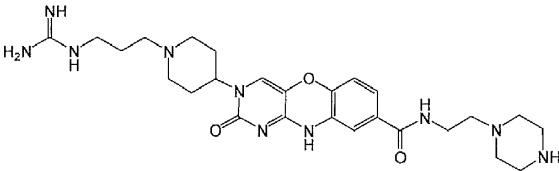
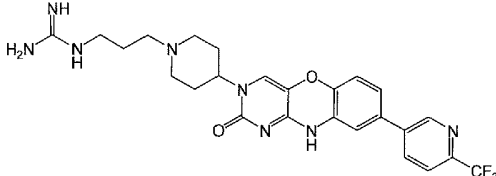
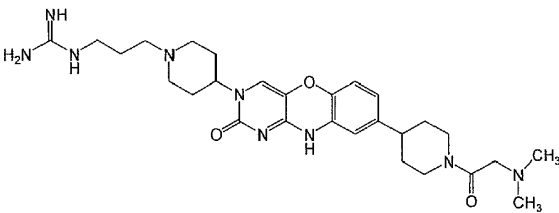
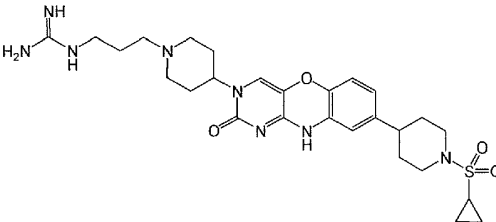
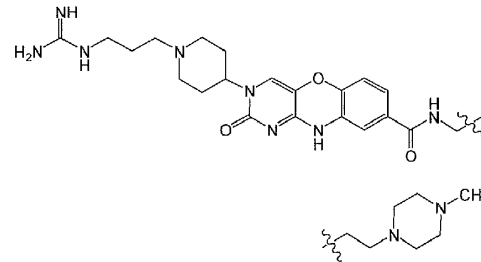
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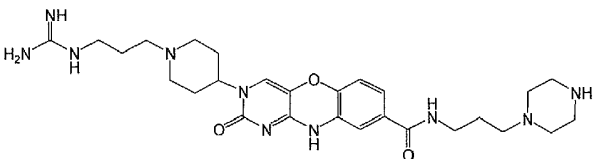
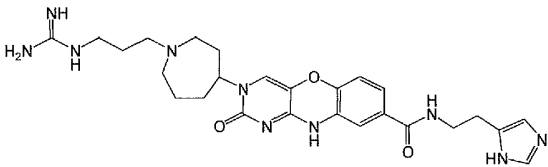
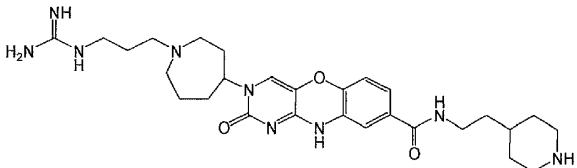
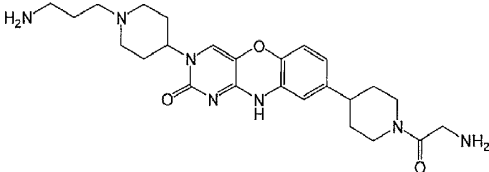
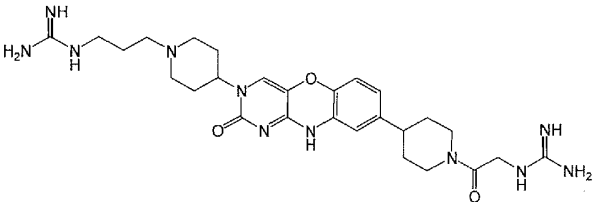
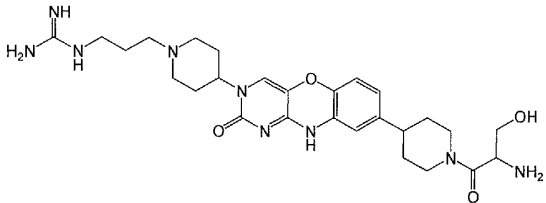
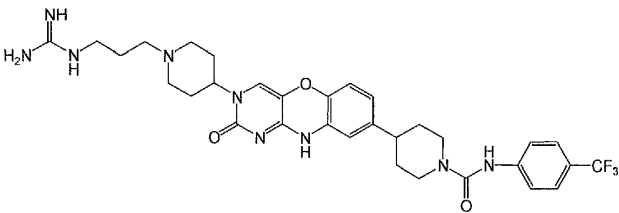
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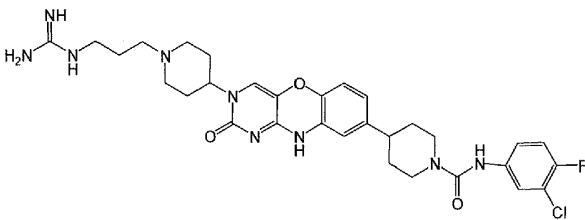
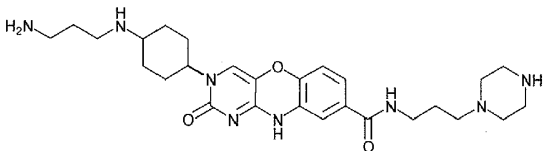
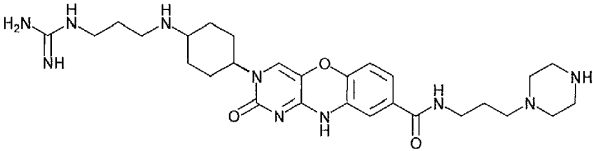
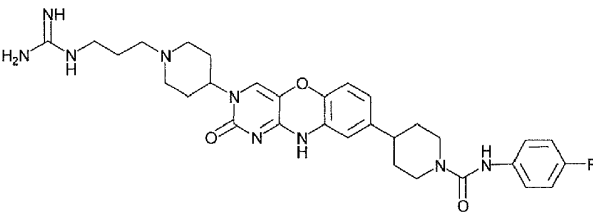
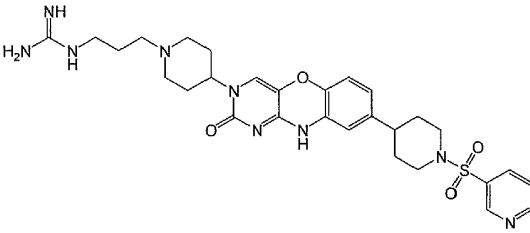
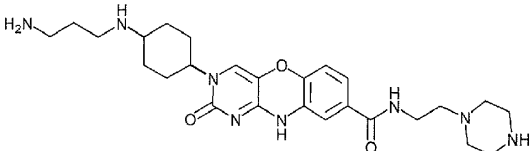
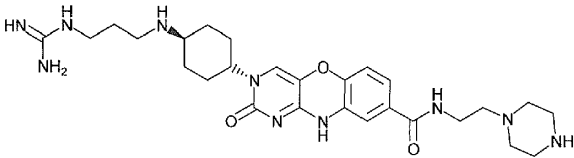
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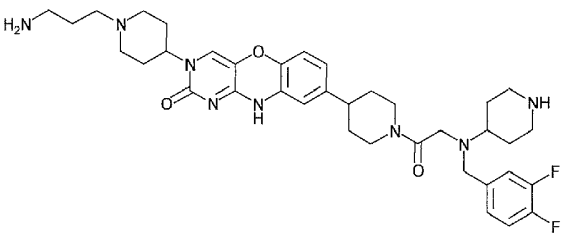
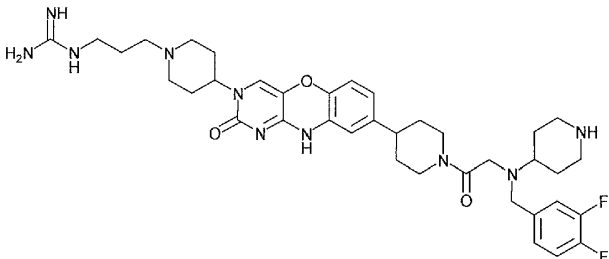
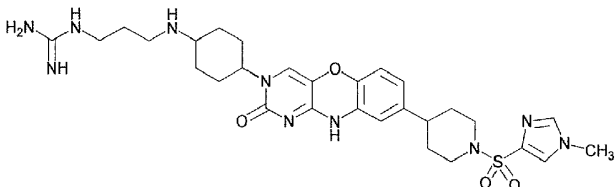
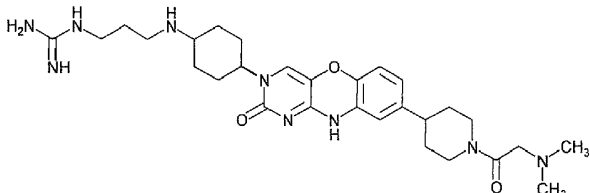
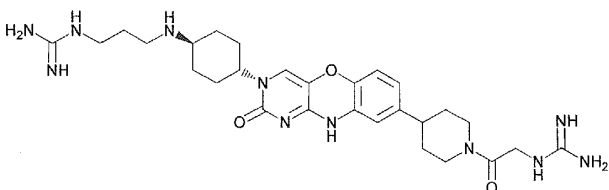
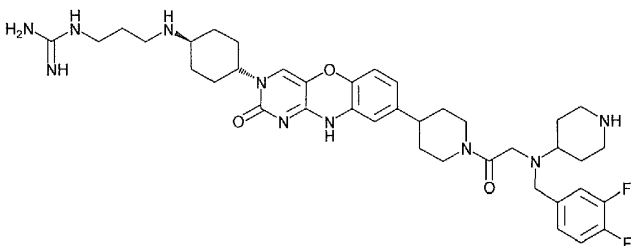
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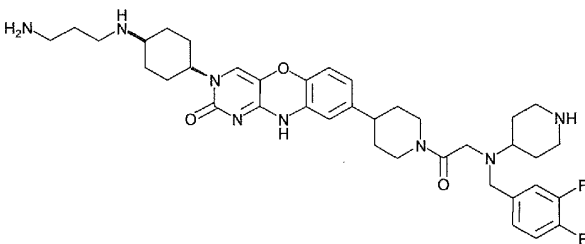
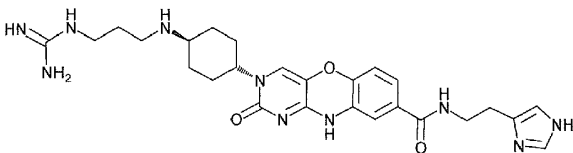
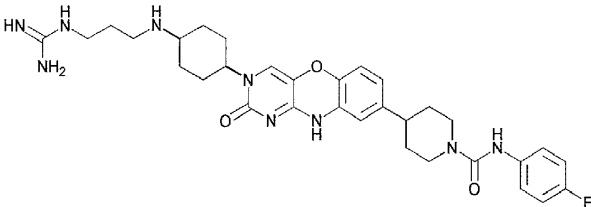
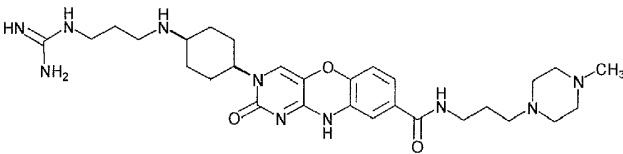
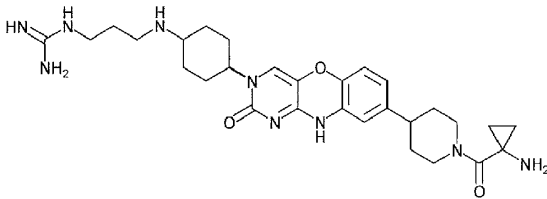
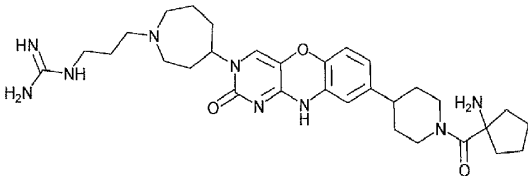
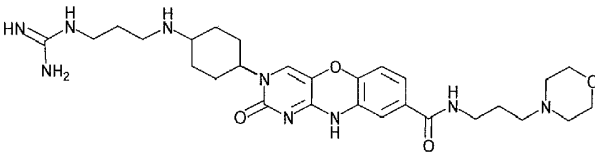
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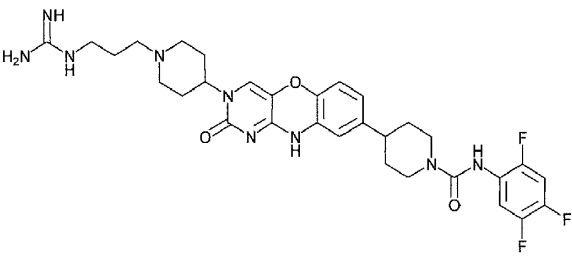
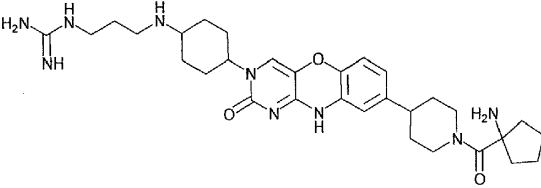
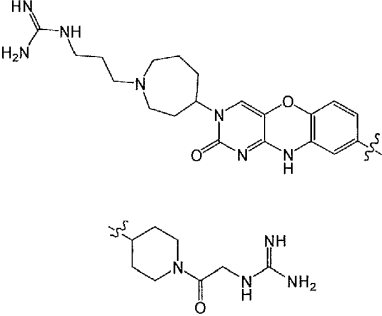
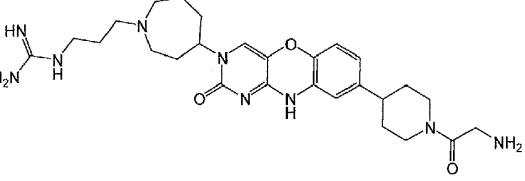
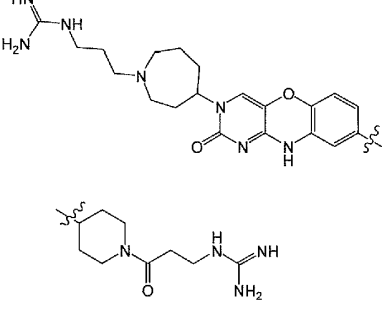
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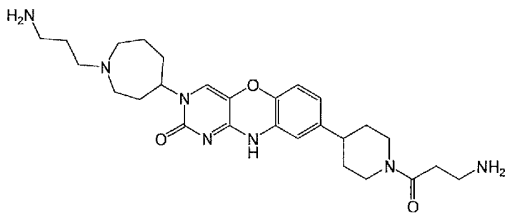
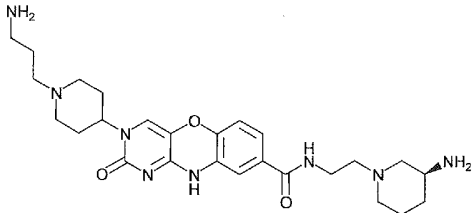
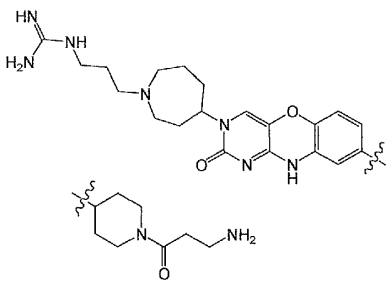
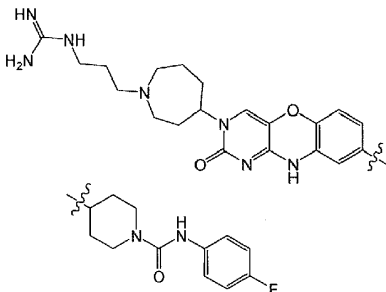
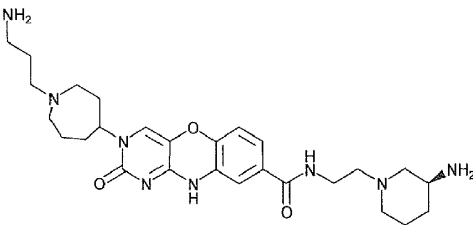
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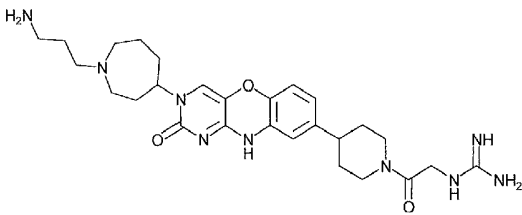
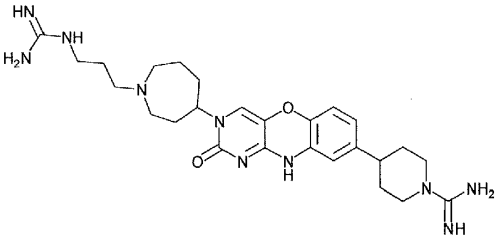
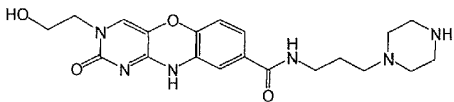
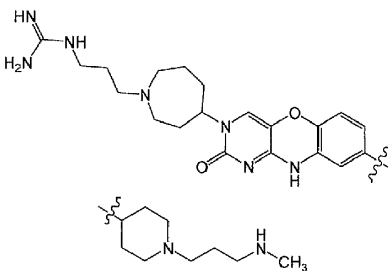
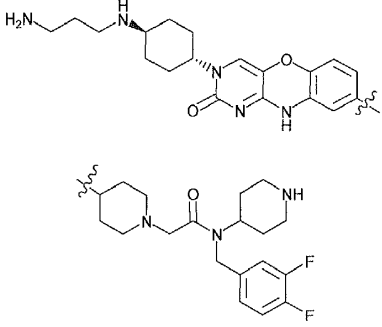
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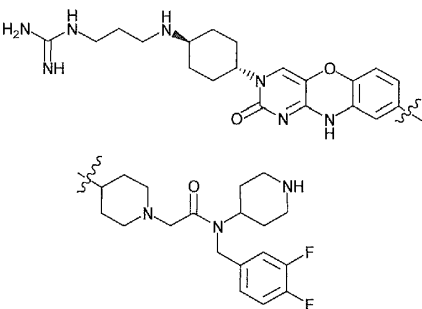
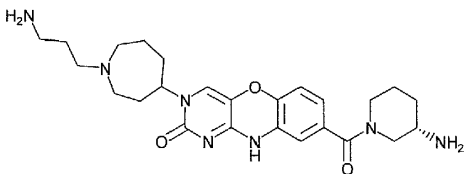
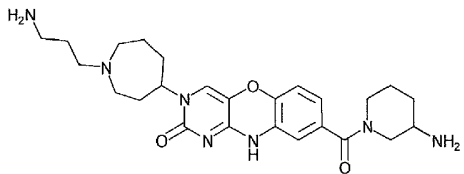
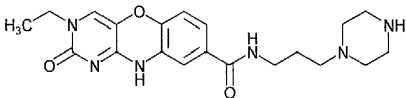
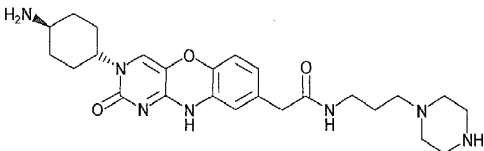
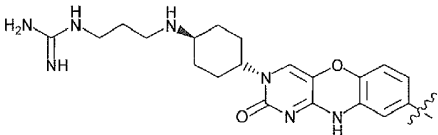
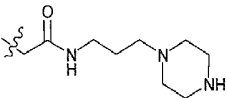
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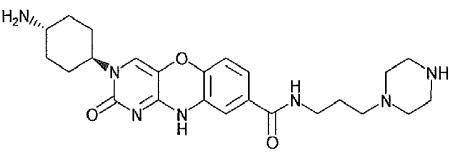
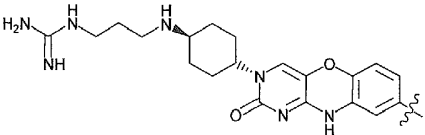
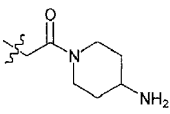
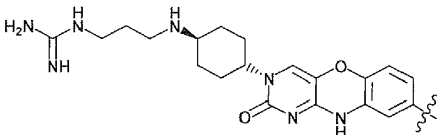
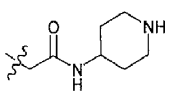
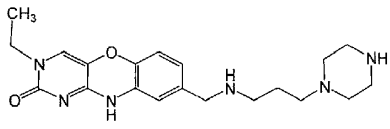
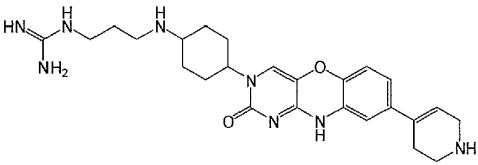
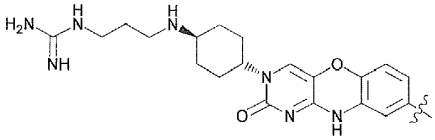
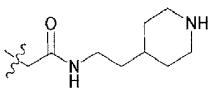
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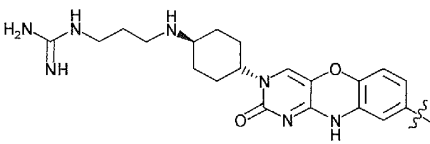
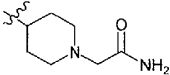
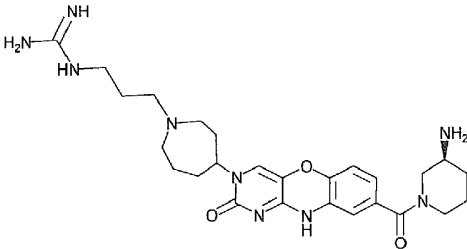
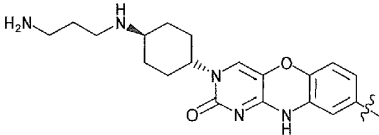
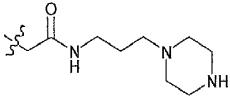
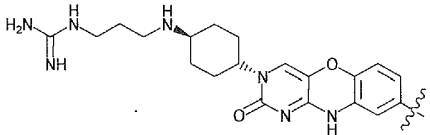
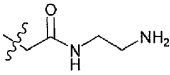
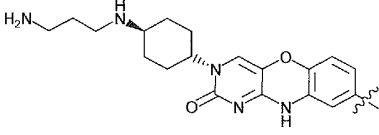
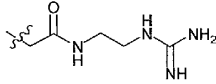
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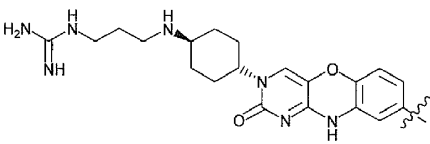
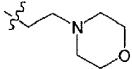
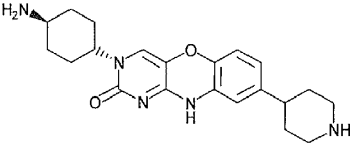
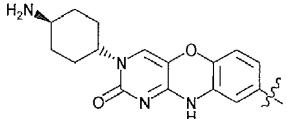
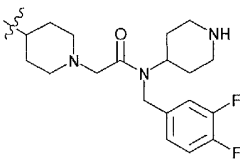
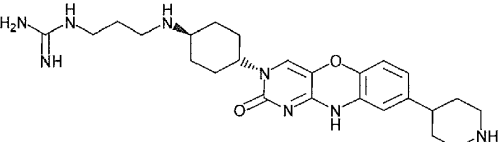
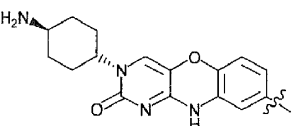
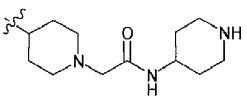
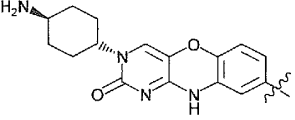
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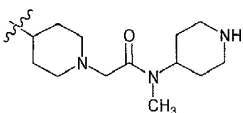
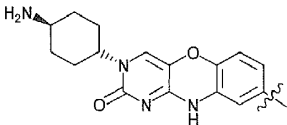
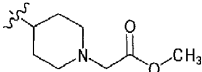
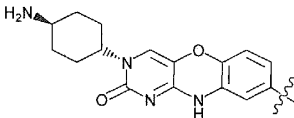
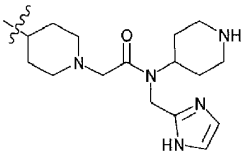
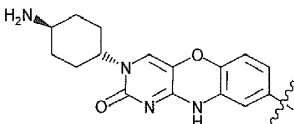
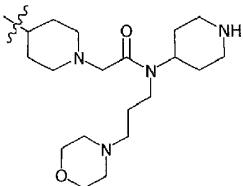
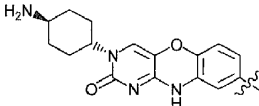
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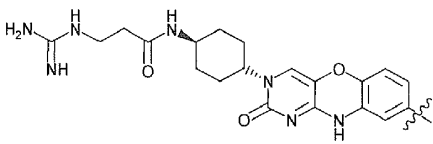
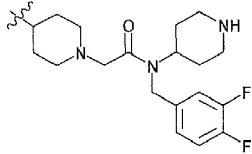
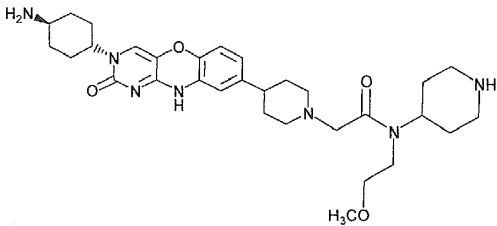
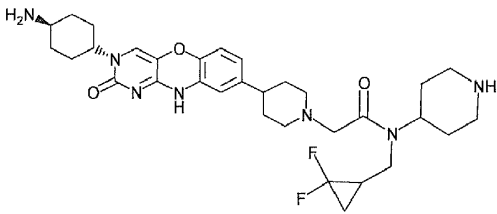
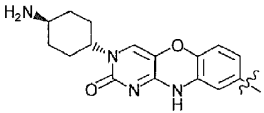
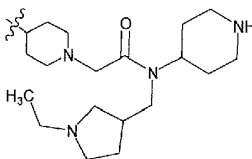
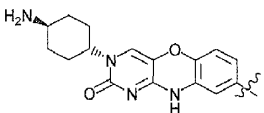
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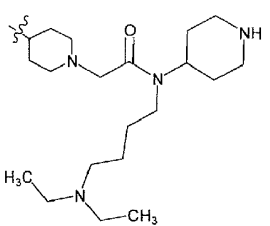
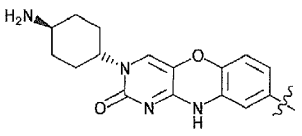
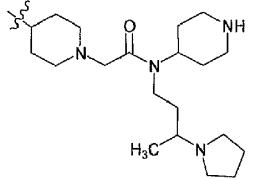
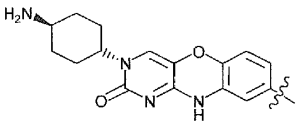
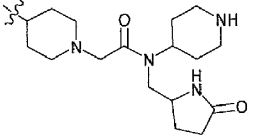
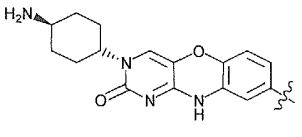
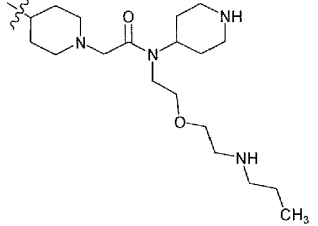
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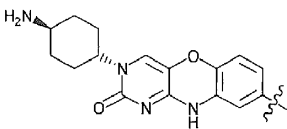
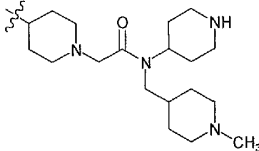
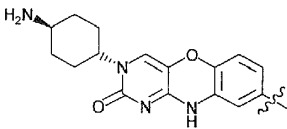
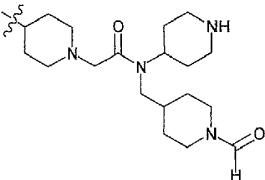
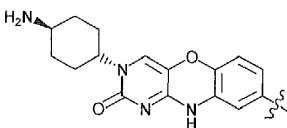
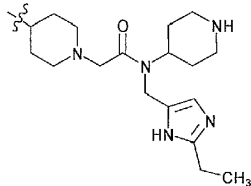
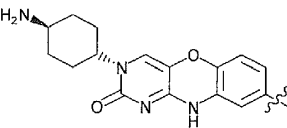
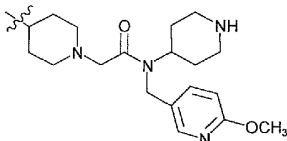
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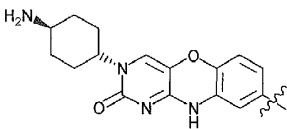
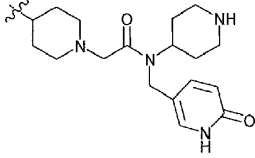
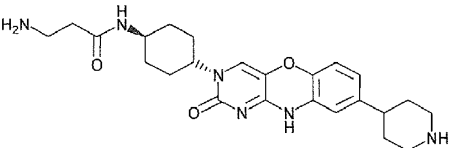
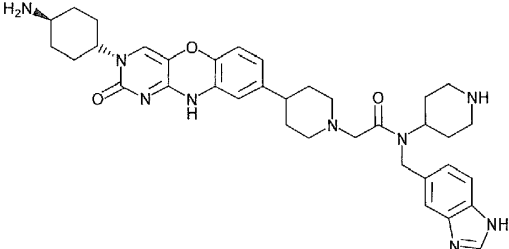
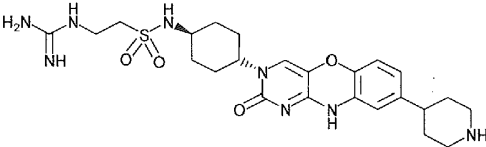
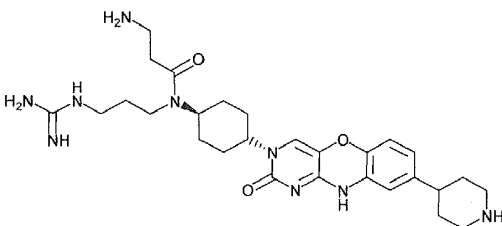
	
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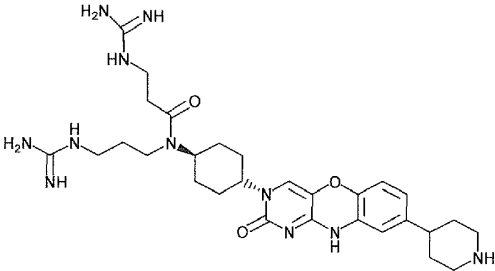
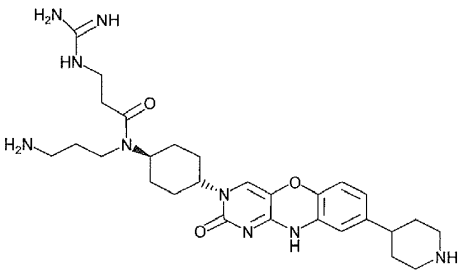
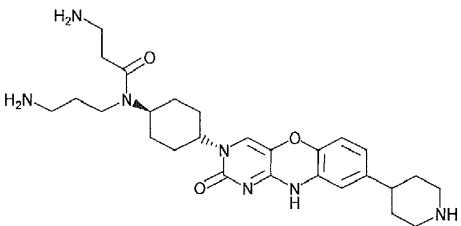
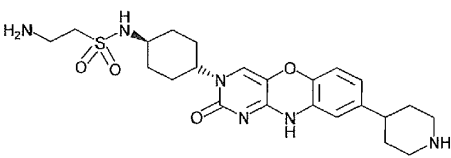
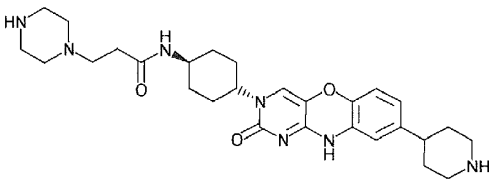
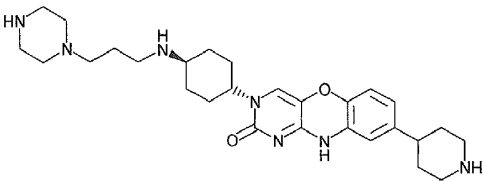
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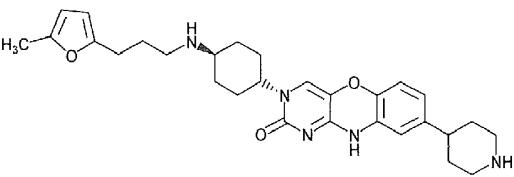
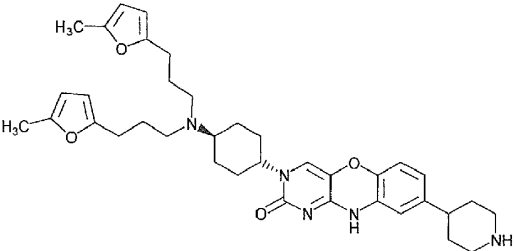
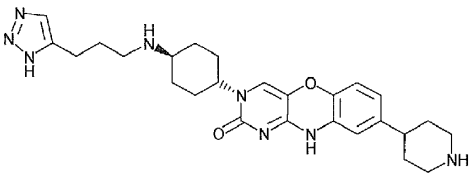
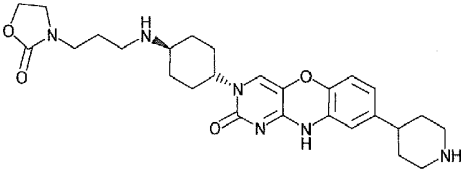
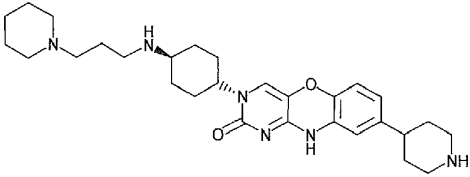
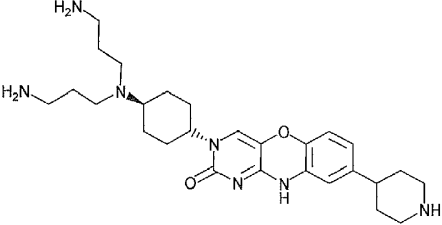
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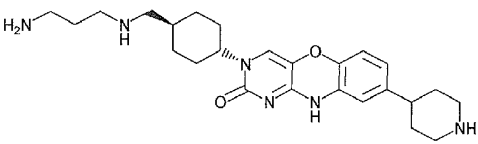
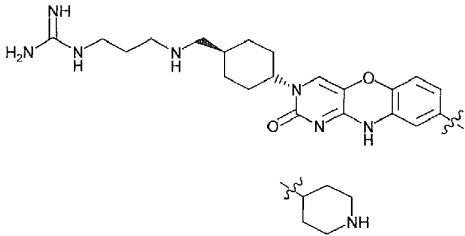
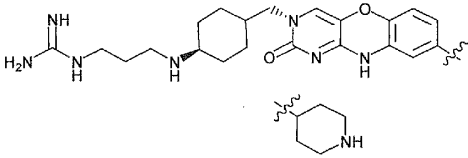
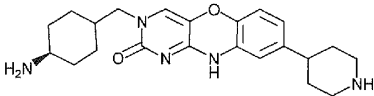
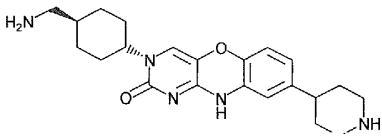
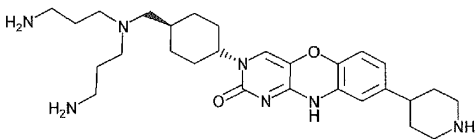
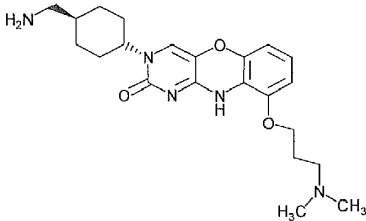
	
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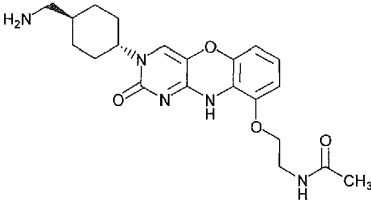
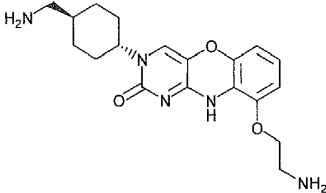
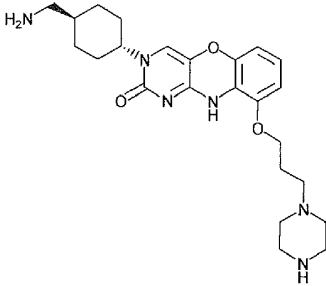
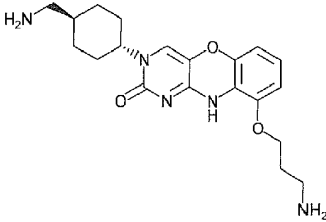
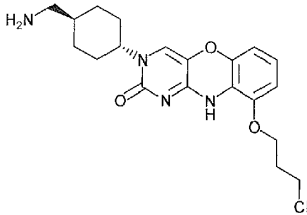
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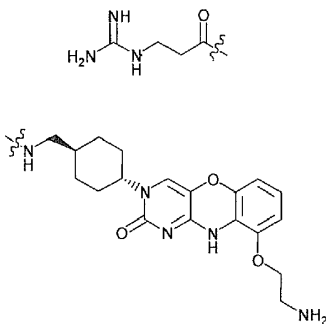
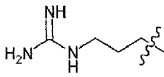
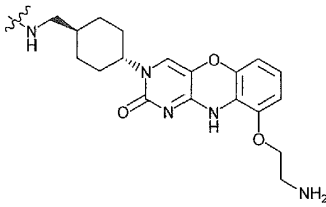
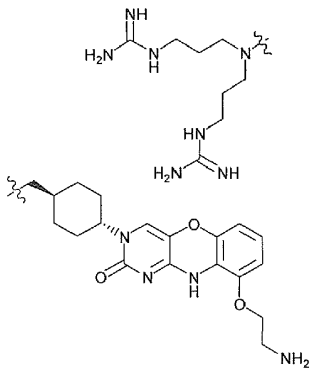
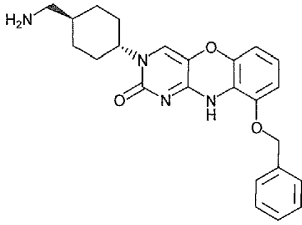
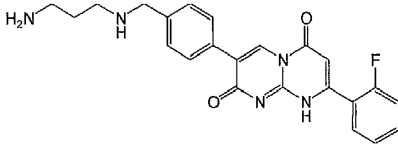
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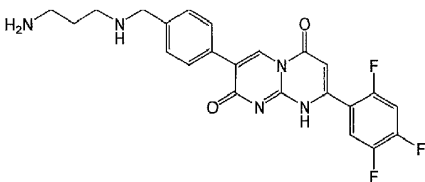
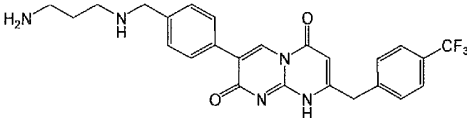
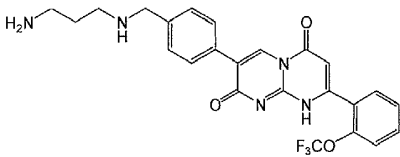
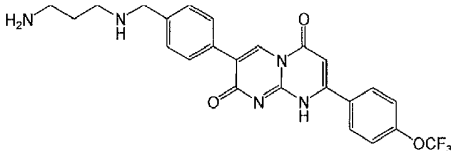
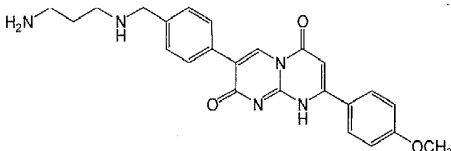
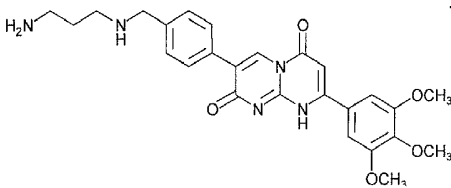
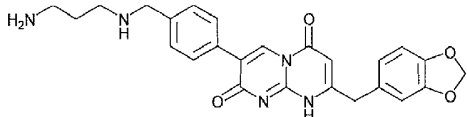
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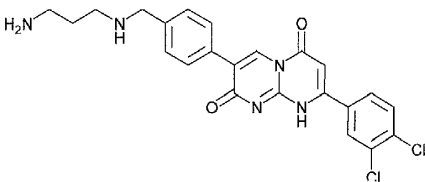
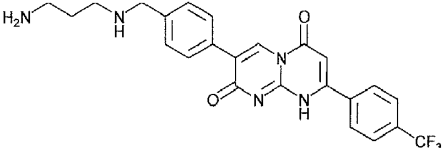
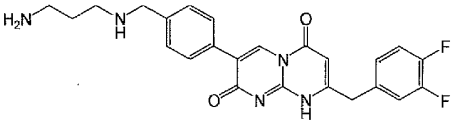
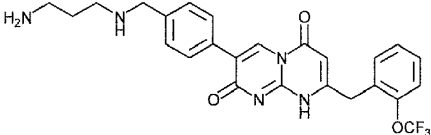
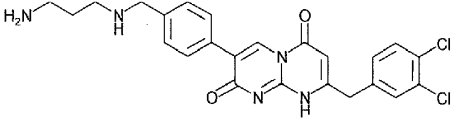
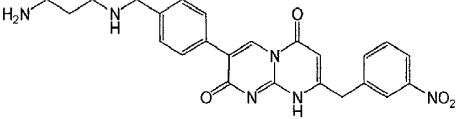
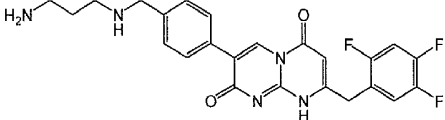
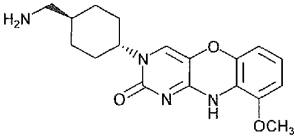
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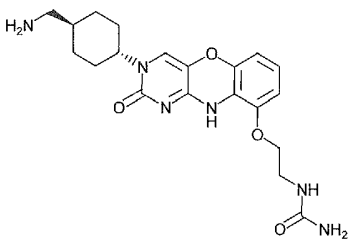
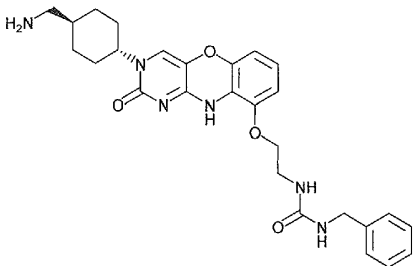
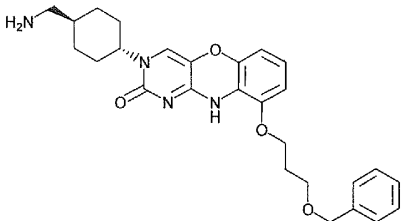
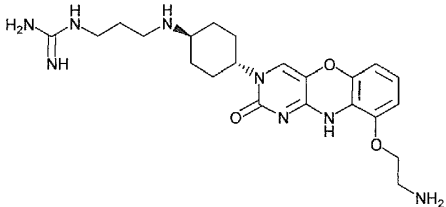
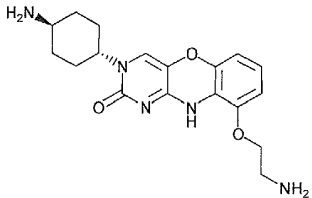
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1426	 <chem>CC(=O)NCCOC1=CC=C2C(=C1)OC(=N2)N3C(=NC(=O)N3)C4CCCCC4N</chem>
1427	 <chem>NCCOC1=CC=C2C(=C1)OC(=N2)N3C(=NC(=O)N3)C4CCCCC4N</chem>
1441	 <chem>C1CCNCC1COC2=CC=C3C(=C2)OC(=N3)N4C(=NC(=O)N4)C5CCCCC5N</chem>
1449	 <chem>NCCOC1=CC=C2C(=C1)OC(=N2)N3C(=NC(=O)N3)C4CCCCC4N</chem>
1450	 <chem>ClCCOC1=CC=C2C(=C1)OC(=N2)N3C(=NC(=O)N3)C4CCCCC4N</chem>

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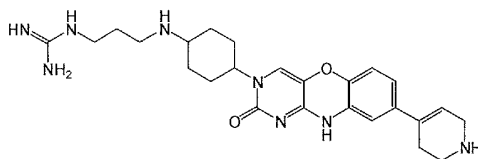
362	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3cc(F)c(F)c(F)c3n2C(=O)c4cc(F)c(F)c(F)c4</chem>
367	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3ccc(Cc4ccc(C(F)(F)F)cc4)cn3C(=O)c5ccccc5</chem>
371	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3cc(Cc4ccccc4C(F)(F)F)cn3C(=O)c5ccccc5</chem>
377	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3ccc(OC(F)(F)F)cn3C(=O)c4ccccc4</chem>
378	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3ccc(OC)cn3C(=O)c4ccccc4</chem>
379	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3cc(OC)c(OC)c(OC)c3n2C(=O)c4ccccc4</chem>
380	 <chem>NCCCNc1ccc(cc1)c2nc(=O)c3cc(Cc4c5ccccc5oc4)cn3C(=O)c6ccccc6</chem>

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4005c	

eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

11. Forbindelse ifølge krav 1, som har formelen:



eller et farmasøytisk akseptabelt salt, ester eller tautomer derav.

- 5 **12.** Forbindelse ifølge ett av kravene 1-11, eller et farmasøytisk akseptabelt salt, ester eller tautomer derav, for anvendelse ved behandling eller redusering av risikoen for en mikrobiell infeksjon hos et menneske eller dyr, som omfatter administrering til mennesket eller dyret hvori den mikrobielle infeksjonen fortrinnsvis er valgt fra gruppen som består av:
- 10 en hudinfeksjon, en Gram-positiv infeksjon, en Gram-negativ infeksjon, nosokomial lungebetennelse, omgivelseservervet lungebetennelse, post-viral lungebetennelse, sykehuservervet lungebetennelse/ventilatorforbundet lungebetennelse, en luftveisinfeksjon, akutt bekkeninfeksjon, en komplisert hud- og hudstrukturinfeksjon, en infeksjon i huden og bløtvev (SSTI), en abdominal infeksjon, en komplisert intra-
- 15 abdominal infeksjon, en urinveisinfeksjon, bakteriemi, sepsis, endokarditt, en atrio-ventrikulær shuntinfeksjon, en vaskulær tilgangsinfeksjon, meningitt, kirurgisk profylakse, en peritoneal infeksjon, en beininfeksjon, en leddinfeksjon, en meticillinresistent *Staphylococcus aureus*-infeksjon, en vankomycinresistent *Enterococci*-infeksjon, en linezolidresistent organismeinfeksjon, en *Bacillus anthracis*-infeksjon, en
- 20 *Francisella tularensis*-infeksjon, en *Yersinia pestis*-infeksjon, og tuberkulose.

13. Forbindelsen for anvendelse ifølge krav 12, hvori forbindelsen, eller et farmasøytisk akseptabelt salt, ester eller tautomer derav administreres otisk, oftalmisk, nasalt, oralt, parenteralt, topisk eller intravenøst.

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14. Medisinsk anordning som inneholder en forbindelse ifølge ett av kravene 1-11, eller et farmasøytisk akseptabelt salt, ester eller tautomer derav, fortrinnsvis hvori anordningen er en stent.