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*C07D 487/10 (2006.01)*  
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*G01N 33/50 (2006.01)*

**Norwegian Industrial Property Office**

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(73)	Proprietor	Eisai R&D Management Co., Ltd., 6-10, Koishikawa 4-chome Bunkyo-ku, Tokyo 112-8088, Japan
(72)	Inventor	HAWKINS, Lynn, 963 Lowell Road, Concord, Massachusetts 01742, USA CARLSON, Eric, 14 Blair Road, Merrimack, New Hampshire 03054, USA HANSEN, Hans, 18 Sanborn Avenue Apartment 3, Somerville, Massachusetts 02143, USA MACKEY, Matthew, 52 Henry Avenue, Melrose, Massachusetts 02176, USA SCHILLER, Shawn, 614 Hilldale Avenue, Haverhill, Massachusetts 01832, USA OGAWA, Chikako, Lichtstrasse 35, CH-4056 Basel, Sveits DAVIS, Heather, 202 Morgan Drive, Haverhill, Massachusetts 01832, USA ENDO, Atsushi, 311 Lowell Street, Apartment 1123, Andover, MA 01810, USA ISHIZAKA, Sally, 110 Mountvale Road, Weston, MA 02493, USA

(74) Agent or Attorney                    TANDBERG INNOVATION AS, Postboks 1570 Vika, 0118 OSLO, Norge

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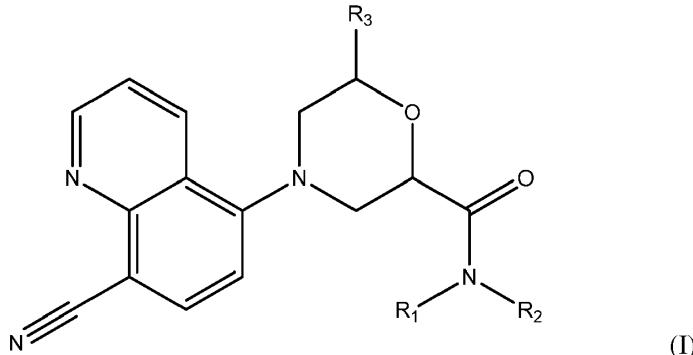
(54) Title                                    **SELECTIVELY SUBSTITUTED QUINOLINE COMPOUNDS**

(56) References  
Cited: WO-A2-2005/007672  
COSTEDOAT-CHALUMEAU N ET AL: "Why all systemic lupus erythematosus patients should be given hydroxychloroquine treatment?", JOINT BONE SPINE, ELSEVIER, PARIS, FR, vol. 77, no. 1, 1 January 2010 (2010-01-01), pages 4-5, XP026832816, ISSN: 1297-319X [retrieved on 2010-01-01]

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/>

**Patentkrav**

**1.** Forbindelse med formel (I) eller farmasøytisk akseptabelt salt derav:



5

eller en stereoisomer derav eller blanding av stereoisomerer derav,

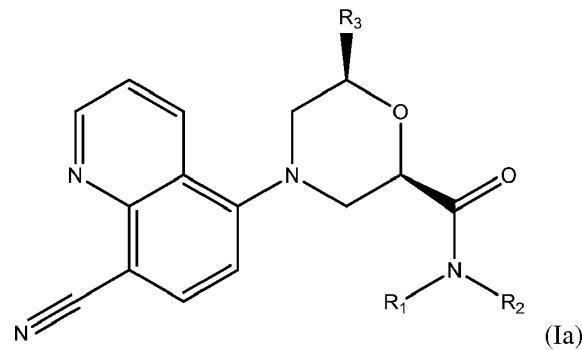
hvorin minst én av R<sub>1</sub> og R<sub>2</sub> er -H, methyl eller etyl, og den andre er

-H; eller den andre er

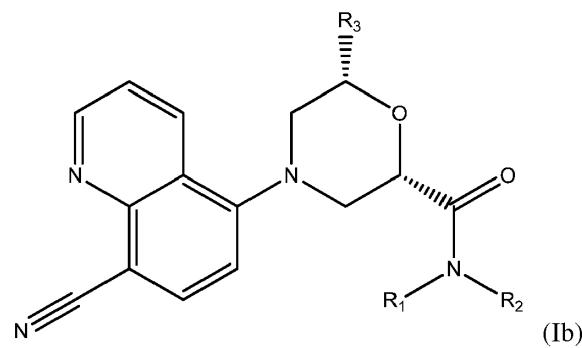
C<sub>1</sub>-C<sub>6</sub>alkyl som eventuelt er substituert med:

- 10 -OH, metoksy, etoksy, -OCH(CH<sub>3</sub>)<sub>2</sub>, -O(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, fenyl, furanyl, -O(CH<sub>2</sub>)<sub>2</sub>OH, fenoksy, metyltio, -F, -N(CH<sub>3</sub>)<sub>2</sub>, cyano, pyridinyloksy, fluorfenoksy, isokromanyl, fenol, benzylamino, -NHCH<sub>3</sub>, okso-, amino, karboksy, 7-leddet spiroaminyl, et tre- til seksleddet sykloalkyl, mettet eller umettet og som eventuelt inkluderer ett eller flere heteroatomer valgt fra O og N, og eventuelt substituert ved ett eller flere C- eller
- 15 N-atomer med methyl, cyano, fluor, metylamino eller trifluormetyl; eller den andre er C<sub>3</sub>-C<sub>7</sub>sykloalkan, mettet eller umettet, eventuelt brodannet, som eventuelt inkluderer ett eller flere heteroatomer valgt fra O, S og N, og eventuelt substituert ved ett eller flere C- eller N-atomer med methyl, etyl, pyridinyl, azetidinyl, acetamidyl, karboksamidyl, cyano, fluor, metylamino eller trifluormetyl; eller
- 20 R<sub>1</sub> og R<sub>2</sub>, sammen med nitrogenatomet som de er bundet til, danner et 8- til 11-leddet spirodiamin, et 8-leddet bisykloamin, et 7-leddet spiroksammin, et piperidinyl eventuelt substituert med etyl, eller et fire- til seksleddet sykloalkyl, eventuelt substituert med minst ett av karboksamidyl, aminometyl, methyl, (ethylamino)methyl, (dimethylamino)methyl, dimethylamino, (methylamino)methyl og amino; og hvori
- 25 R<sub>3</sub> er -H eller methyl.

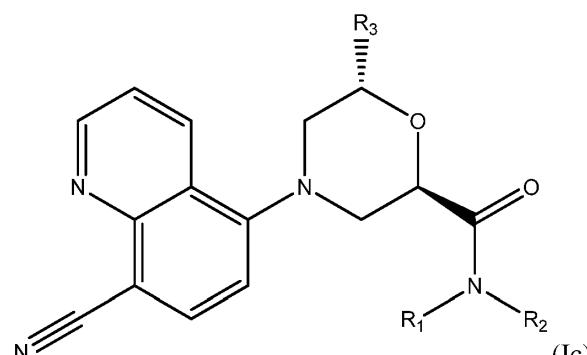
**2.** Forbindelsen med formel 1 eller farmasøytisk akseptabelt salt derav, hvorfor forbindelsen eller saltet har en stereokjemisk konfigurasjon valgt fra én av de som er vist i gruppen som består av formel (Ia), formel (Ib), formel (Ic) og formel (Id):



(Ia)

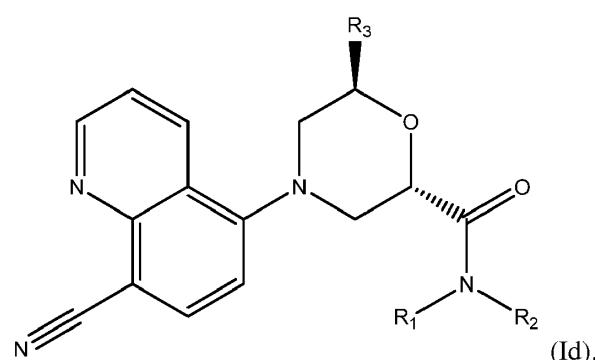


(Ib)



(Ic)

5



(Id).

**3.** Forbindelsen ifølge krav 1, valgt fra gruppen som består av:

- 10 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-etyl-6-methylmorpholin-2-karboksamid;  
 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-isopropyl-6-methylmorpholin-2-karboksamid;  
 (6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-karboksamid;

- 5-((2*R*,6*R*)-2-((*S*)-3-etylpirerazin-1-karbonyl)-6-methylmorfolino)kinolin-8-karbonitril;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(3,4-difluorbenzyl)-6-methylmorfolin-2-karboksamid;  
5-((2*R*,6*R*)-2-((*S*)-3-aminopyrrolidine-1-karbonyl)-6-methylmorfolino)kinolin-8-  
karbonitril;
- 5 5-((2*R*,6*R*)-2-(azetidin-1-karbonyl)-6-methylmorfolino)kinolin-8-karbonitril;  
5-((2*R*,6*R*)-2-([1,4'-bipiperidin]-1'-karbonyl)-6-methylmorfolino)kinolin-8-karbonitril;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-syklopropyl-6-methylmorfolin-2-karboksamid;  
5-((2*R*,6*R*)-2-(3-aminoazetidin-1-karbonyl)-6-methylmorfolino)kinolin-8-karbonitril;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksyethyl)-6-methylmorfolin-2-karboksamid;
- 10 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-metoksyethyl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-2-hydroksypropyl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-1-hydroksypropan-2-yl)-6-methylmorfolin-2-  
karboksamid;
- 15 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-1-hydroksypropan-2-yl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-1-hydroksybutan-2-yl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-1-hydroksy-3-metylbutan-2-yl)-6-methylmorfolin-  
2-karboksamid;
- 20 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-2-hydroksy-1-fenyletyl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-2-hydroksy-1-fenyletyl)-6-methylmorfolin-2-  
karboksamid;
- 25 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksybutyl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-etoksyethyl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-1-hydroksybutan-2-yl)-6-methylmorfolin-2-  
karboksamid;
- 30 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1,3-dihydroksypropan-2-yl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2,3-dihydroksypropyl)-6-methylmorfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-(((*R*)-tetrahydrofuran-2-yl)metyl)morfolin-2-  
karboksamid;
- 35 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-((tetrahydrofuran-2-yl)metyl)morfolin-2-  
karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-(2-propoksyethyl)morfolin-2-karboksamid;

- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-1-hydroksypentan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-isopropoxetyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1-metoksybutan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(2-fluorfenyl)-2-hydroksyethyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*R*)-1-hydroksy-3-methylbutan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2,2-dimetoksyethyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(2-hydroksyethyl)ethyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((1*S*,2*S*)-2-hydroksyproktoheksyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksyproktoheksyl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1-hydroksyheksan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-1-hydroksy-3,3-dimethylbutan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksyheksan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-3-methylpentan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-4-methylpentan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-4-methylpentan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(4-methylmorpholin-2-yl)methyl)morpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-4-(methylthio)butan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(2-fenoksyethyl)morpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-3-phenylpropan-2-yl)-6-methylmorpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(2-fenoksypropyl)morpholin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-3-phenylpropyl)-6-methylmorpholin-2-karboksamid;

- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(2-(pyridin-3-yloksy)propyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(4-fluorfenoksy)etyl)-6-methylmorfolin-2-karboksamid;
- 5 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(3-fluorfenyl)-2-hydroksyethyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((*S*)-1-sykloheksyl-3-hydroksypropan-2-yl)-6-methylmorfolin-2-karboksamid;
- 10 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(isokroman-1-ylmetyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-3-fenoksypropyl)-6-methylmorfolin-2-karboksamid;
- 15 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((1*S*,2*R*)-1-hydroksy-1-(4-hydroksyfenyl)propan-2-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((1*S*)-1,3-dihydroksy-1-fenylpropan-2-yl)-6-methylmorfolin-2-karboksamid;
- 20 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-hydroksy-3-(piperazin-1-yl)propyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(azetidin-3-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- 25 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*((*S*)-pyrrolidin-3-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*((*R*)-pyrrolidin-3-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*((*S*)-piperidin-3-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*((*R*)-piperidin-3-yl)morfolin-2-karboksamid;
- 30 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*((*S*)-pyrrolidin-2-ylmetyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(2-(benzylamino)etyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(pyridin-2-yl)morfolin-2-karboksamid;
- 35 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(6-methylpyridin-2-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(5-metylisoksazol-3-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(2,2,2-trifluoretyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2,2-difluoretyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(3,3,3-trifluorpropyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(dimethylamino)-2-metylpropyl)-6-methylmorfolin-2-karboksamid;

- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*S*)-morfolin-2-ylmethyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*(S)*-4-methylmorfolin-2-yl)methyl)morfolin-2-karboksamid-eddiksyreacetat;
- 5 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-fluoretyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(3-fluorpropyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*S*)-1,1,1-trifluorpropan-2-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*R*)-1,1,1-trifluorpropan-2-yl)morfolin-2-karboksamid;
- 10 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1,3-dimethyl-1*H*-pyrazol-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-methyl-1*H*-pyrazol-5-yl)morfolin-2-karboksamid;
- 15 (2*R*,6*R*)-*N*-(cyanomethyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(1-cyanosyklopropyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1,2,4-tiadiazol-5-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(3-methyl-1,2,4-tiadiazol-5-yl)morfolin-2-karboksamid;
- 20 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(piperidin-4-yl)morfolin-2-karboksamid;
- 5-((2*R*,6*R*)-2-metyl-6-(2,6-diazaspiro[3.4]oktan-2-karbonyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-(3-((methylamino)methyl)azetidin-1-karbonyl)morfolino)kinolin-8-karbonitril;
- 25 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((4-fluorpiperidin-4-yl)methyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(azetidin-3-ylmethyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- 5-((2*R*,6*R*)-2-metyl-6-(2,6-diazaspiro[3.5]nonan-2-karbonyl)morfolino)kinolin-8-karbonitril;
- 30 (2*R*,6*R*)-5-((2*R*,6*R*)-2-metyl-6-(1,6-diazaspiro[3.4]oktan-1-karbonyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-(1,7-diazaspiro[4.4]nonan-7-karbonyl)morfolino)kinolin-8-karbonitril;
- 35 (2*R*,6*R*)-*N*-(3-karbamoyl-1-metyl-1*H*-pyrazol-4-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(oksetan-3-ylmethyl)morfolin-2-karboksamid;

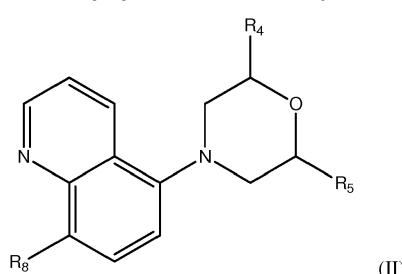
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(tetrahydro-2*H*-pyran-4-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(tetrahydrofuran-3-yl)morfolin-2-karboksamid;
- 5 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((3-metyloketan-3-yl)metyl)morfolin-2-karboksamid;
- 5-((2*R*,6*R*)-2-metyl-6-(2-oksa-6-azaspido[3.3]heptan-6-karbonyl)morfolino)kinolin-8-karbonitril;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(oksetan-3-yl)morfolin-2-karboksamid;
- 10 (2*R*,6*R*)-*N*-((3-(aminometyl)oksetan-3-yl)metyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(oksetan-2-ylmetyl)morfolin-2-karboksamid;
- 5-((2*R*,6*R*)-2-metyl-6-(piperazin-1-karbonyl)morfolino)kinolin-8-karbonitril;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(2-(methylamino)ethyl)morfolin-2-karboksamid;
- 15 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*S*)-3,3,3-trifluor-2-hydroksypropyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*R*)-3,3,3-trifluor-2-hydroksypropyl)morfolin-2-karboksamid;
- 20 Metyl-2-((2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamido)acetat;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(2-(dimethylamino)ethyl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*S*)-4,4,4-trifluor-3-hydroksybutyl)morfolin-2-karboksamid;
- 25 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*R*)-4,4,4-trifluor-3-hydroksybutyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(3-amino-4,4,4-trifluorbutyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- 2-((2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamido)eddiksyre;
- 30 1-((2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karbonyl)azetidin-3-karboksamid;
- 5-((2*R*,6*R*)-2-metyl-6-(2,7-diazaspido[4.4]nonan-2-karbonyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-(3,9-diazaspido[5.5]undekan-3-karbonyl)morfolino)kinolin-8-karbonitril;
- 35 (2*R*,6*R*)-*N*-(3-karbamoylpyridin-4-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*R*)-morpholin-2-ylmetyl)morpholin-2-karboksamid;

- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(pyridin-4-yl)morfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(pyridin-3-yl)morfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-(piperidin-4-yl)-1*H*-pyrazol-4-yl)morfolin-2-karboksamid;
- 5 (2*R*,6*R*)-*N*-(1-(azetidin-3-yl)-1*H*-pyrazol-4-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-*N*-((1*H*-pyrazol-5-yl)metyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-*N*-((1*H*-pyrazol-4-yl)metyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- 10 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(3-(trifluormetyl)pyridin-2-yl)metyl)morfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-(pyridin-2-yl)ethyl)morfolin-2-karboksamid;
- 15 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(pyridin-2-ylmethyl)morfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((6-methylpyridin-2-yl)methyl)morfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((1-methylpiperidin-2-yl)methyl)morfolin-2-karboksamid;
- 20 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((3-methylpyridin-2-yl)methyl)morfolin-2-karboksamid;  
(2*R*,6*R*)-*N*-(4-cyano-1*H*-pyrazol-3-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((3*S*,4*R*)-4-fluor-1-methylpyrrolidin-3-yl)-6-
- 25 methylmorfolin-2-karboksamid;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((3*S*,4*R*)-4-fluorpiperidin-3-yl)-N,6-dimethylmorfolin-2-karboksamid;  
5-((2*R*,6*R*)-2-(3-(aminomethyl)azetidin-1-karbonyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 30 5-((2*R*,6*R*)-2-(3-((ethylamino)methyl)azetidin-1-karbonyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*R*,6*R*)-2-(3-((dimethylamino)methyl)azetidin-1-karbonyl)-6-methylmorpholino)kinolin-8-karbonitril;  
(2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-methylazepan-4-yl)morfolin-2-karboksamid;
- 35 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(((2*R*,6*R*)-6-methylmorfolin-2-yl)methyl)morfolin-2-karboksamid;

- 5-((2*R*,6*R*)-2-metyl-6-(oktahydropyrrolo[3,4-c]pyrrol-2-karbonyl)morfolino)kinolin-8-karbonitril;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-((*R*)-pyrrolidin-2-ylmethyl)morfolin-2-karboksamid;
- 5 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-((*S*)-piperidin-2-ylmethyl)morfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-((1*R*,3*R*,5*S*)-8-azabisyklo[3.2.1]oktan-3-yl)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(azepan-4-yl)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-karboksamid;
- 10 (2*R*,6*R*)-*N*-((1*R*,5*S*,6*S*)-3-azabisyklo[3.1.0]heksan-6-yl)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-6-dimethyl morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(((2*S*,6*R*)-4,6-dimethyl morfolin-2-yl)methyl)-6-metyl morfolin-2-karboksamid;
- 15 5-((2*R*,6*R*)-2-(4-(dimethylamino)piperidin-1-karbonyl)-6-metyl morfolino)kinolin-8-karbonitril;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-(1-methylpiperidin-4-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-((3*S*,4*R*)-4-fluorpyrrolidin-3-yl)-6-metyl morfolin-2-karboksamid;
- 20 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl-*N*-(2-azaspiro[3.3]heptan-6-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1-(2-amino-2-oksoetyl)piperidin-4-yl)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-karboksamid;
- 25 5-((2*R*,6*R*)-2-(4-aminopiperidin-1-karbonyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-(4-amino-4-methylpiperidin-1-karbonyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 30 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*,6-dimetyl-*N*-((*R*)-piperidin-3-yl)morfolin-2-karboksamid;
- (2*R*,6*R*)-*N*-(2-karbamoylpyridin-4-yl)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-6-dimetyl-*N*-((*S*)-piperidin-3-yl)morfolin-2-karboksamid;
- 35 (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1-ethylpiperidin-4-yl)-6-metyl morfolin-2-karboksamid;
- (2*R*,6*R*)-4-(8-cyanokinolin-5-yl)-*N*-(1-ethylpiperidin-3-yl)-6-metyl morfolin-2-karboksamid;

- (*2R,6R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-((*R*)-1-methylpiperidin-3-yl)morfolin-2-karboksamid;
- (*2R,6R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(4-methylpiperidin-4-yl)morfolin-2-karboksamid;
- 5 (*2R,6R*)-*N*-(2-amino-2-methylpropyl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- rel-(*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-((*3R,4S*)-4-fluoropyrrolidin-3-yl)-6-methylmorfolin-2-karboksamid;
- 10 rel-(*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-((*3S,4R*)-4-fluoropyrrolidin-3-yl)-6-methylmorfolin-2-karboksamid;
- (*2R,6R*)-*N*-(azepan-3-yl)-4-(8-cyanokinolin-5-yl)-6-methylmorfolin-2-karboksamid;
- (*2R,6R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-metylazepan-3-yl)morfolin-2-karboksamid;
- (*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-(1,4-dimethylpiperidin-4-yl)-6-methylmorfolin-2-karboksamid; og
- 15 (*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-(4-fluor-1-methylpiperidin-3-yl)-6-methylmorfolin-2-karboksamid;
- eller et farmasøytisk akseptabelt salt derav.
- 20 **4.** Forbindelsen ifølge krav 3 eller farmasøytisk akseptabelt salt derav, hvori forbindelsen eller saltet velges fra gruppen som består av rel-(*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-((*3R,4S*)-4-fluoropyrrolidin-3-yl)-6-methylmorfolin-2-karboksamidhydroklorid og (*2R,6R*)-4-(8-cyanokinolin-5-yl)-6-methyl-*N*-(1-methylpiperidin-4-yl)morfolin-2-karboksamid.
- 25 **5.** Forbindelse med formel (I) ifølge krav 1, som er (*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-[(*3S,4R*)-4-fluoropyrrolidin-3-yl]-6-methylmorfolin-2-karboksamid eller et farmasøytisk akseptabelt salt derav.
- 30 **6.** Farmasøytisk akseptabelt salt ifølge krav 5, som er (*2R,6R*)-4-(8-cyanokinolin-5-yl)-*N*-((*3S,4R*)-4-fluoropyrrolidin-3-yl)-6-methylmorfolin-2-karboksamidhydroklorid.

**7.** Forbindelse med formel (II) eller farmasøytisk akseptabelt salt derav:



hvor

R<sub>4</sub> er -H eller methyl;

R<sub>5</sub> er C<sub>1</sub>-C<sub>5</sub>alkyl som er mettet, delvis mettet eller umettet, og som eventuelt er substituert med:

-H, -Cl, -F, -OH, -NH<sub>2</sub>, okso-, -N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, fenyl, sykloheksyl, fenyltriazolyl,

5 sykloheksyltriazolyl, pyridinyl, pyrrolidinyl,

morfolinyl eventuelt substituert med methyl eller hydroksymetyl,

-O-, substituert med:

C<sub>1</sub>-C<sub>6</sub>alkyl, methylfenyl, metylsykloheksyl, pyridinyl, diazinyl eller fenyl, eventuelt substituert med -F eller methyl,

10 -NH-, substituert med:

C<sub>2</sub>-C<sub>7</sub>alkyl som er lineært, forgrenet eller syklisk, mettet eller umettet, og eventuelt substituert med okso-, fenyl, methyl eller -OH,

pyridinyl eventuelt substituert med methyl, metoksy, fenyl eller amino,

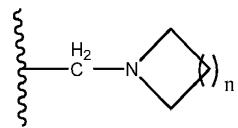
diazinyl eventuelt substituert med etyl,

15 benzoimidazolyl, methylfenyl, fenylpyrazolyl, naftyridyl,

fenyl eventuelt substituert med -F, methyl, etyl eller etoksy,

imidazolidinyl eventuelt substituert med methyl

eller R<sub>5</sub> er



20 hvori n er 1-3, og hvori det sykliske aminet eventuelt er substituert med

C<sub>1</sub>-C<sub>3</sub>alkyl eventuelt substituert med

-OH, -F, fenyl, -NH<sub>2</sub>, sykloheksyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)NH<sub>2</sub>, metylsulfonamidyl, benzensulfonamidyl, metylbenzensulfonamidyl eller

pyrrolidinyl eventuelt substituert med methyl eller hydroksyl eller

25 -NHC(O)R<sub>6</sub>, hvori R<sub>6</sub> er

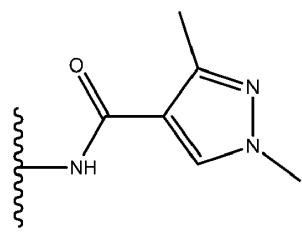
C<sub>1</sub>-C<sub>5</sub>alkyl, fenyl, pyridinyl, fluorfenyl, metylsulfonyl, fluorbenzensulfonyl,

dimetylpyrazolsulfonyl eller

pyrazolyl eventuelt substituert med methyl;

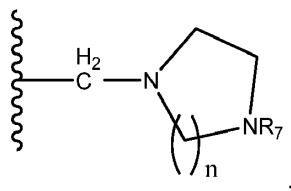
piperidinyl eventuelt substituert med -C(O)CH<sub>3</sub>, -C(O)CH<sub>2</sub>CH<sub>3</sub>, methyl, okso-, C(O)Ph,

30 -NH<sub>2</sub>, -NH-C(O)CH<sub>3</sub> eller



piperazinyl eventuelt substituert med  $-C(O)OC(CH_3)_3$ , methyl,  $-C(O)CH_3$ ,  $-C(O)Ph$ ,  $C(O)CH(CH_3)_2$ ,  $-C(O)CH_3$  eller metylsulfonyl; eller

$R_5$  er



- 5 der  $n$  er 1 eller 2, og hvori det sykliske diaminet eventuelt er substituert på minst ett karbonatom med  
metyl, okso-,  $-N(CH_3)_2$ , amino,  $-CH_2CH_3$  eller  
piperidinyl eventuelt substituert med methyl,  $-C(O)CH_3$ ,  $-C(O)CH(CH_3)_2$ ,  $-C(O)Ph$  eller  
 $-C(O)OC(CH_3)_3$  og
- 10 hvori  $R_7$  er  $-H$ , fenyl,  $-C(O)CH_3$ ,  $C_1-C_3$ alkyl,  $-C(O)NH_2$  eller  $-C(O)Ph$ ; og  
 $R_8$  er metoksy eller cyano,  
eller en forbindelse eller farmasøytsk akseptabelt salt derav, valgt fra gruppen som består av:  
 $5-((2S,6R)-2-([1,4'-bipiperidin]-1'-ylmethyl)-6-methylmorpholino)kinolin-8-karbonitril$ ,
- 15  $5-((2R,7R)-2-(hydroksymetyl)-7-methyl-1,4-oksazepan-4-yl)kinolin-8-karbonitril$ ,  
 $5-((2S,7R)-2-([1,4'-bipiperidin]-1'-ylmethyl)-7-methyl-1,4-oksazepan-4-yl)kinolin-8-karbonitril$ ,
- $5-((2R,6S)-2,6-diethylmorpholino)kinolin-8-karbonitril$ , og  
 $5-((2R,6R)-2-((benzyloksy)metyl)-6-ethylmorpholino)kinolin-8-karbonitril$ .

20

**8.** Forbindelsen eller det farmasøytsk akseptable saltet derav ifølge krav 7, hvori forbindelsen er saltet velges fra gruppen som består av:

- $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitril$ ;
- $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitrildihydroklorid$ ;
- 25  $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitrilmetansulfonat$ ;
- $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitril-bis(2,2,2-trifluoracetat)$ ;
- $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitril-bis(sulfonat)$ ;
- $5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitrilsulfonat$ ;
- $5-((2S,6R)-2,6-dimethylmorpholino)kinolin-8-karbonitril-2,3-dihydroksysuksinat$ ;
- 30  $5-((2S,6R)-2,6-dimethylmorpholino)kinolin-8-karbonitrildimetansulfonat$ ;
- $5-(2-(klormetyl)morpholino)kinolin-8-karbonitril$ ;
- $(S)-5-(2-(klormetyl)morpholino)kinolin-8-karbonitril$ ;
- $N-((2S,6R)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)acetamid$ ;
- $N-((2S,6R)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pivalamid$ ;
- 35  $5-(2-methylmorpholino)kinolin-8-karbonitril$ ;

- 5-((2S,6S)-2,6-dimethylmorpholino)kinolin-8-karbonitril;  
 5-(2-ethylmorpholino)kinolin-8-karbonitril;  
 5-((2S,6R)-2-(aminomethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-(2-(hydroxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5 (2R,6S)-4-(8-methoxykinolin-5-yl)-2,6-dimethylmorpholin;  
 5-((2R,6S)-2,6-dimethylmorpholino)kinolin-8-karboksamid;  
 (R)-5-(2-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(hydroxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(methoxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 10 5-((2R,6R)-2-((benzyl)oxy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(fluormethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(etoxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(isopropoxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(isobutoxymethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 15 5-((2R,6R)-2-(chloromethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((hexyloxy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((cyclohexylmethyl)oxy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6S)-2-methyl-6-propylmorpholino)kinolin-8-karbonitril;  
 5-((2S,6S)-2-(fluormethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 20 5-((2S,6S)-2-(chloromethyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((2,6-dimethylphenyl)oxy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6S)-2-methyl-6-pentylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-formyl-6-methylmorpholino)kinolin-8-karbonitril;  
 N-(((2S,6R)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)-2-phenylpropanamid;
- 25 5-((2R,6R)-2-(1-hydroxysallyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((S)-1-hydroxysallyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 N-(((2S,6R)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)-1-  
 phenylsulfonylbutanekarboksamid;  
 5-((2R,6R)-2-((R)-1-hydroxysallyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 30 5-((2R,6S)-2-methyl-6-(pyrrolidin-1-ylmethyl)morpholino)kinolin-8-karbonitril;  
 5-((2S,6R)-2-((diethylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2S,6R)-2-((benzylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-methyl-6-(fenoxymethyl)morpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-methyl-6-((m-tolyloxy)methyl)morpholino)kinolin-8-karbonitril;
- 35 5-((2R,6R)-2-methyl-6-((p-tolyloxy)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-(1-hydroxyspropyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((R)-1-hydroxypentyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2R,6R)-2-((S)-1-hydroxypentyl)-6-methylmorpholino)kinolin-8-karbonitril;

- 5-((2*R*,6*R*)-2-((*R*)-sykloheksyl(hydroksy)metyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((*S*)-sykloheksyl(hydroksy)metyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 N-((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)-2-fenylacetamid;  
 5-((2*R*,6*R*)-2-((*R*)-1-hydroksy-2-fenyletyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5 5-((2*R*,6*R*)-2-((*S*)-1-hydroksy-2-fenyletyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((*R*)-1-hydroksy-3-phenylpropyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((*S*)-1-hydroksy-3-phenylpropyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-((fenylamino)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-((m-tolylamino)methyl)morpholino)kinolin-8-karbonitril;
- 10 5-((2*R*,6*S*)-2-metyl-6-((o-tolylamino)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-((p-tolylamino)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((3,4-difluorfenoksy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((3-fluorfenoksy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*S*,6*R*)-2-(((1,2-dimetyl-1*H*-benzo[d]imidazol-5-yl)amino)methyl)-6-
- 15 methylmorpholino)kinolin-8-karbonitril;  
 5-((2*S*,6*R*)-2-(((1-etyl-2-metyl-1*H*-benzo[d]imidazol-5-yl)amino)methyl)-6-  
 methylmorpholino)kinolin-8-karbonitril;  
 5-((2*S*,6*R*)-2-((sykloheksylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-((2-fluorfenoksy)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 20 5-((2*R*,6*R*)-2-metyl-6-propionylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-(sykloheksankarbonyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-metyl-6-(3-phenylpropanoyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*R*)-2-metyl-6-((o-tolyloksy)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-((pyrimidin-2-ylamino)methyl)morpholino)kinolin-8-karbonitril;
- 25 5-((2*R*,6*S*)-2-metyl-6-((pyridin-2-ylamino)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-(((6-metylpyridin-2-yl)amino)methyl)morpholino)kinolin-8-  
 karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-(((5-metylpyridin-2-yl)amino)methyl)morpholino)kinolin-8-  
 karbonitril;
- 30 5-((2*S*,6*R*)-2-(((*R*)-2-(hydroksymethyl)pyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-  
 karbonitril;  
 5-((2*R*,6*R*)-2-((2,2-dimethylpyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*S*,6*R*)-2-((2-isopropylpyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-(((*S*)-2-methylpyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 35 5-((2*R*,6*S*)-2-metyl-6-(((*S*)-3-fenylpyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*R*,6*S*)-2-metyl-6-(((*R*)-3-methylpyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
 5-((2*S*,6*R*)-2-(((*S*)-3-hydroksypyrrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-  
 karbonitril;

- 5-((2*R*,6*S*)-2-metyl-6-(((4-metylpyridin-2-yl)amino)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((3-fenylpyrrolidin-1-yl)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((6-metoksypyridin-3-yl)amino)metyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((*R*)-2-metylpyrrolidin-1-yl)methyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((2,5-dimetylpyrrolidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((4-metoksypyridin-2-yl)amino)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 10 5-((2*S*,6*R*)-2-(((6-metoksypyridin-2-yl)amino)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((1-fenyl-1*H*-pyrazol-5-yl)amino)methyl)morfolino)kinolin-8-karbonitril;
- 15 5-((2*S*,6*R*)-2-(((*S*)-2-(hydroksymethyl)pyrrolidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((*R*)-3-hydroksypyrrolidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((*S*)-3-metylpyrrolidin-1-yl)methyl)morfolino)kinolin-8-karbonitril;
- 15 5-((2*S*,6*R*)-2-((3,3-dimetylpyrrolidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 20 5-((2*R*,6*R*)-2-metyl-6-((*R*)-3-fenyl-1-(pyrrolidin-1-yl)propyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((*S*)-3-fenyl-1-(pyrrolidin-1-yl)propyl)morfolino)kinolin-8-karbonitril;
- 25 5-((2*S*,6*R*)-2-(((3-metoksypyridin-2-yl)amino)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((*R*)-3-hydroksypiperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((2*R*,6*S*)-2,6-dimethylpiperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 30 5-((2*S*,6*R*)-2-(((*S*)-3-hydroksypiperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-hydroksypiperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((2-(hydroksymethyl)piperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 35 5-((2*R*,6*S*)-2-metyl-6-((2-metyl)piperidin-1-yl)methyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((2-etyl)piperidin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((2,3-dimetyl)piperazin-1-yl)methyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((pyridin-3-ylamino)methyl)morfolino)kinolin-8-karbonitril;

- 5-((2*R*,6*S*)-2-methyl-6-((pyridin-4-ylamino)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((*S*)-2-(trifluormethyl)pyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-((4-methylpiperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((4,4-difluoropiperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-((4-fenylpiperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((4-fluoropiperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((syklopentylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((3-metylsykloheksyl)amino)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((3-methylpyridin-2-yl)amino)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*R*)-2-ethyl-6-(hydroksymethyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((4-fenylpyridin-2-yl)amino)methyl)morpholino)kinolin-8-karbonitril;  
15 5-((2*R*,6*S*)-2-methyl-6-(piperazin-1-ylmethyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-((4-fenylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-(((6-aminopyridin-2-yl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((2,5-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
20 5-((2*S*,6*R*)-2-((4-acetyl)piperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-(((2*S*,4*R*)-4-hydroksy-2-(hydroksymethyl)pyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((*R*)-2-methylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*R*,6*S*)-2-methyl-6-(((*R*)-3-methylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
25 5-((2*R*,6*S*)-2-methyl-6-(((*S*)-3-methylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-(((2*R*,*S**R*)-2,5-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-(((2*R*,5*S*)-2,5-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
30 5-((2*R*,6*S*)-2-methyl-6-(((4-methylsykloheksyl)amino)methyl)morpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((syklobutylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-((sykloheptylamino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
5-((2*S*,6*R*)-2-(((4-hydroksysykloheksyl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;  
35 5-((2*S*,6*R*)-2-(((2-hydroksysyklopentyl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;

- 5-((2*R*,6*S*)-2-metyl-6-(((2-metylsykloheksyl)amino)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((5-fenylpyridin-2-yl)amino)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((3-fenylpyridin-2-yl)amino)metyl)morfolino)kinolin-8-karbonitril;
- 5 5-((2*S*,6*R*)-2-((((1*S*,3*R*)-3-hydroksysyklopentyl)amino)metyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((3-etoksypyridin-2-yl)amino)metyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((2-fenylpyridin-4-yl)amino)metyl)morfolino)kinolin-8-karbonitril;
- 10 5-((2*R*,6*S*)-2-metyl-6-(((6-fenylpyridin-2-yl)amino)metyl)morfolino)kinolin-8-karbonitril;
- (*S*)-5-(2-((pyridin-2-ylamino)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((2-metyl-5-oksopiperazin-1-yl)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((4-metyl piperazin-1-yl)metyl)morfolino)kinolin-8-karbonitril;
- 15 5-((2*R*,6*S*)-2-metyl-6-((4-propyl piperazin-1-yl)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-(dimethylamino)piperidin-1-yl)methyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-([1,4'-bipiperidin]-1'-ylmethyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-([1,4'-bipiperidin]-1'-ylmethyl)-6-metyl morfolino)kinolin-8-
- 20 karbonitrildihydroklorid;
- 5-((2*S*,6*R*)-2-(((*R*)-3-aminopiperidin-1-yl)methyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-aminopiperidin-1-yl)methyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((5-fluorpyrimidin-2-yl)amino)methyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 25 5-((2*R*,6*S*)-2-metyl-6-(piperidin-1-ylmethyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(morpholinomethyl)morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((2*S*,6*R*)-2,6-dimethyl morfolino)methyl)-6-metyl morfolino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((2*R*,6*R*)-2-(hydroksymethyl)-6-metyl morfolino)methyl)-6-
- 30 methyl morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((4-(pyridin-2-yl)piperazin-1-yl)methyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((4-(pyridin-4-yl)piperazin-1-yl)methyl)morfolino)kinolin-8-karbonitril;
- 35 N-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-yl)methyl)piperidin-4-yl)acetamid;
- N-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl morfolin-2-yl)methyl)piperidin-4-yl)acetamidhydroklorid;

- 1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-karboksamid;
- N*-((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)benzamid;
- 5 5-((2*S*,6*R*)-2-((4-isopropylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 4-((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperazin-1-karboksamid;
- 5-((2*S*,6*R*)-2-((4-sykloheksylpiperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((4-(pyrrolidin-1-yl)piperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 10 5-((2*R*,6*R*)-2-((4-sykloheksyl-1*H*-1,2,3-triazol-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((4-fenyl-1*H*-1,2,3-triazol-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 15 5-((2*R*,6*S*)-2-metyl-6-((2-okso-[1,4'-bipiperidin]-1'-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((5-etylpyrimidin-2-yl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((6-amino-3,5-dimethylpyridin-2-yl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 20 5-((2*R*,6*S*)-2-metyl-6-((pyrazin-2-ylamino)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((1,3-dimethyl-1*H*-pyrazol-5-yl)amino)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-etylpirazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 25 5-((2*R*,6*S*)-2-metyl-6-((3-(pyrrolidin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((3-(piperidin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((*R*)-2,4-dimethylpirazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 30 5-((2*S*,6*R*)-2-((4-(hydroksymethyl)piperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((*R*)-[1,3'-bipyrrolidin]-1'-ylmethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((*R*)-3-(piperidin-1-yl)pyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 35 5-((2*R*,6*S*)-2-metyl-6-((4-methyl-1,4-diazepan-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-benzoylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;

- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)nikotinamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)isonikotinamid;
- 5 *N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)pikolinamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)heksanamid;
- 10 *N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)isobutyramid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)isobutyramidhydroklorid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)pivalamid;
- 15 5-((2*R*,6*S*)-2-metyl-6-((4-morpholinopiperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;  
*tert*-butyl-4-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)piperazin-1-karboksylat;
- tert*-butyl-4-(4-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperazin-1-yl)piperidin-1-karboksylat;
- 20 5-((2*R*,6*S*)-2-metyl-6-((4-(piperazin-1-yl)piperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((4-(piperidin-4-yl)piperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((3-(4-methylpiperazin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-25 karbonitril;
- 5-((2*S*,6*R*)-2-([4,4'-bipiperidin]-1-ylmethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((1'-acetyl-[4,4'-bipiperidin]-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((1'-methyl-[4,4'-bipiperidin]-1-yl)methyl)morpholino)kinolin-8-30 karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((3-(piperazin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((3-(4-acetyl)piperazin-1-yl)azetidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 35 5-((2*S*,6*R*)-2-((1'-isobutyryl-[4,4'-bipiperidin]-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((3-(4-benzoylpiperazin-1-yl)azetidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;

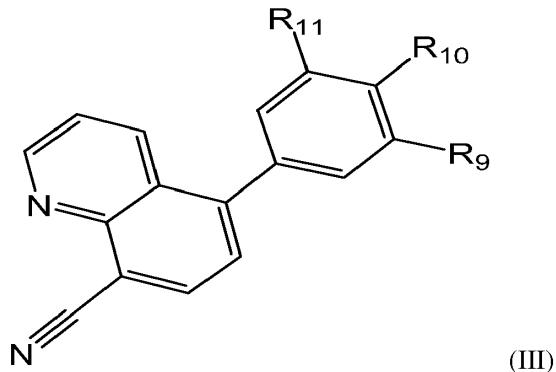
- 5-((2*S*,6*R*)-2-((1'-benzoyl-[4,4'-bipiperidin]-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-methyl-6-((4-(1-methylpiperidin-4-yl)piperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5 5-((2*S*,6*R*)-2-((4-(1-acetyl piperidin-4-yl)piperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-(1-isobutyrylpiperidin-4-yl)piperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-(1-benzoylpiperidin-4-yl)piperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 10 5-((2*S*,6*R*)-2-((3-(4-isobutyrylpiperazin-1-yl)azetidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-(4-acetyl piperazin-1-yl)piperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 15 5-((2*S*,6*R*)-2-((4-(4-isobutyrylpiperazin-1-yl)piperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((4-(4-benzoylpiperazin-1-yl)piperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-methyl-6-((4-(4-methylpiperazin-1-yl)piperidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 20 5-((2*R*,6*S*)-2-methyl-6-((4-(4-methylpiperazin-1-yl)piperidin-1-yl)methyl)morpholino)kinolin-8-karbonitriltrihydroklorid;
- 5-((2*S*,6*R*)-2-([1,4'-bipiperidin]-1'-ylmethyl)-6-methylmorpholino)-2-methylkinolin-8-karbonitril;
- 25 *N*-((*R*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)acetamid;
- N*-((*R*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)isobutyramid;
- 5-((2*R*,6*S*)-2-methyl-6-(((*S*)-3-(piperidin-1-yl)pyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 30 5-((2*R*,6*S*)-2-methyl-6-(((*S*)-3-(piperidin-1-yl)pyrrolidin-1-yl)methyl)morpholino)kinolin-8-karbonitrildihydroklorid;
- 5-((2*R*,6*S*)-2-methyl-6-((3-morpholinoazetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-methyl-6-((3-((*S*)-2-methylpyrrolidin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 35 5-((2*R*,6*S*)-2-methyl-6-(((*S*)-2-methylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-methyl-6-(((*S*)-2,4-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;

- 5-((2*S*,6*R*)-2-(((*R*)-3,4-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((*S*)-3,4-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5 5-((2*S*,6*R*)-2-(((*S*)-3-ethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-(((*S*)-3-ethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitrildihydroklorid;
- 5-((2*S*,6*R*)-2-(((*S*)-3-ethyl-4-methylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 10 5-((2*S*,6*R*)-2-((4-(azepan-1-yl)piperidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((*S*)-[1,3'-bipyrrolidin]-1'-ylmethyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((3-(4-aminopiperidin-1-yl)azetidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 15 15 *N*-(1-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)piperidin-4-yl)acetamid;
- 5-((2*R*,6*S*)-2-methyl-6-((3-(4-(methylsulfonyl)piperazin-1-yl)azetidin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*S*,6*R*)-2-((3-((*S*)-3-hydroxypyrrolidin-1-yl)azetidin-1-yl)methyl)-6-
- 20 methylmorpholino)kinolin-8-karbonitril;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)-1,3-dimethyl-1*H*-pyrazol-4-karboksamid;
- N*-(1-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)piperidin-4-yl)-1,3-dimethyl-1*H*-pyrazol-4-karboksamid;
- 25 25 *N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)metansulfonamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)benzensulfonamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)-4-
- 30 30 fluorbenzensulfonamid;
- 5-((2*S*,6*R*)-2-((3-aminoazetidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)acetamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)-4-
- 35 35 fluorbenzamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)metansulfonamid;

- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)-4-fluorbenzensulfonamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)-1,3-dimethyl-1*H*-pyrazol-4-sulfonamid;
- 5 *N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)piperidin-4-yl)-1,3-dimethyl-1*H*-pyrazol-4-sulfonamid;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)isobutyramid;
- 10 5-((2*R*,6*S*)-2-metyl-6-(((*S*)-2-metyl-5-oksopiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((*R*)-2-metyl-5-oksopiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-(((*R*)-2-metyl-3-oksopiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 15 5-((2*R*,6*S*)-2-metyl-6-(((*S*)-2-metyl-3-oksopiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((2,4,5-trimethylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*S*)-2-metyl-6-((2,3,4-trimethylpiperazin-1-yl)methyl)morpholino)kinolin-8-karbonitril;
- 20 *N*-((*R*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)benzamid;
- 5-((2*S*,6*R*)-2-(((*R*)-3-(dimethylamino)pyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 25 *N*-((*S*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)acetamid;
- N*-((*S*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)isobutyramid;
- N*-((*S*)-1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)pyrrolidin-3-yl)benzamid;
- 30 5-((2*S*,6*R*)-2-(((*S*)-3-(dimethylamino)pyrrolidin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((pyrazin-2-yloksy)methyl)morpholino)kinolin-8-karbonitril;
- N*-(1-(((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-methylmorpholin-2-yl)methyl)azetidin-3-yl)benzamid;
- 35 5-((2*S*,6*R*)-2-((3,3-dimethylpiperazin-1-yl)methyl)-6-methylmorpholino)kinolin-8-karbonitrildihydroklorid;

- 5-((2*R*,6*S*)-2-metyl-6-((3,3,4-trimetylpirazin-1-yl)metyl)morfolino)kinolin-8-karbonitrildihydroklorid;
- 5-((2*R*,6*R*)-2-((*R*)-1-hydroksyethyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 1-((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl)morfolin-2-yl)metyl)-*N*-etylpiridin-4-karboksamid;
- 1-((2*S*,6*R*)-4-(8-cyanokinolin-5-yl)-6-metyl)morfolin-2-yl)metyl)-*N*-etylpiridin-4-karboksamidhydroklorid;
- 5-((2*R*,6*R*)-2-((*S*)-1-hydroksyethyl)-6-metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((pyridin-2-yloksy)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((pyrimidin-2-yloksy)metyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((*R*)-1-(pyrimidin-2-yloksy)etyl)morfolino)kinolin-8-karbonitril;
- 5-((2*R*,6*R*)-2-metyl-6-((*S*)-1-(pyrimidin-2-yloksy)etyl)morfolino)kinolin-8-karbonitril; og
- 5-((2*R*,6*R*)-2-((*S*)-hydroksy(pyridin-2-yl)metyl)-6-metyl)morfolino)kinolin-8-karbonitril.

15 **9.** Forbindelse med formel (III) eller farmasøytisk akseptabelt salt derav:



hvor

R<sub>11</sub> er H eller methyl;

R<sub>10</sub> er H eller, når både R<sub>11</sub> og R<sub>9</sub> er H, er methyl-1,4'-bipiperidinyl;

20 R<sub>9</sub> er -H eller er -CH<sub>2</sub>- substituert med 1,4'-bipiperidinyl, okso-, hydroksyl, methylpyridinyl eller piperidinyl eventuelt substituert med hydroksyl, -N(CH<sub>3</sub>)<sub>2</sub> eller piperidinyl, eller en forbindelse eller farmasøytisk akseptabelt salt derav, valgt fra gruppen som består av 5-(3-(klormetyl)-5-metylfenyl)kinolin-8-karbonitril og 5-(3-metyl-5-((4-metylpirazin-1-yl)metyl)fenyl)kinolin-8-karbonitril.

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**10.** Forbindelsen eller det farmasøytisk akseptable saltet derav ifølge krav 9, hvorfor forbindelsen er valgt fra gruppen som består av:

5-(3-([1,4'-bipiperidin]-1'-ylmetyl)fenyl)kinolin-8-karbonitril;

5-(4-([1,4'-bipiperidin]-1'-ylmetyl)fenyl)kinolin-8-karbonitril;

30 5-(3-formyl-5-metylfenyl)kinolin-8-karbonitril;

5-(3-(hydroksymetyl)-5-metylfenyl)kinolin-8-karbonitril;

5-(3-((4-hydroksypiperidin-1-yl)metyl)-5-metylfenyl)kinolin-8-karbonitril;  
5-(3-((4-(dimethylamino)piperidin-1-yl)metyl)-5-metylfenyl)kinolin-8-karbonitril;  
og  
5-(3-([1,4'-bipiperidin]-1'-ylmetyl)-5-metylfenyl)kinolin-8-karbonitril.

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**11.** Forbindelse eller farmasøytisk akseptabelt salt derav ifølge et hvilket som helst av kravene 1-10, for anvendelse ved behandling av en systematisk lupus erythematosus eller lupus.

10 **12.** Farmasøytisk sammensetning omfattende minst én forbindelse eller farmasøytisk akseptabelt salt ifølge et hvilket som helst av kravene 1-10 og minst én farmasøytisk akseptabel bærer.

15 **13.** Den farmasøytiske sammensetningen ifølge krav 12, hvori forbindelsen eller det farmasøytisk akseptable saltet derav har en IC<sub>50</sub> mindre enn eller lik 100 nM mot humane TLR7-reseptorer i en HEK-293-cellelinje.

20 **14.** Forbindelse eller farmasøytisk akseptabelt salt derav ifølge et hvilket som helst av kravene 1-10 for anvendelse ved behandling av en systematisk lupus erythematosus, kutan lupus, nevropsykiatrisk lupus eller lupus.