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(54) Title **2-(3-(1H-BENZO[D]IMIDAZOL-1-YL)PROPYL)PIPERIDIN-3-OL DERIVATIVES AND RELATED COMPOUNDS AS PRS INHIBITORS FOR TREATING E.G. CANCER**

(56) References
Cited: WO-A1-2016/200116, WO-A1-2010/018134, WO-A1-2007/034882, WO-A2-2004/005279, WO-A2-2015/199418 ZHANG, Z. et al.: "Benzoylbenzimidazole-based selective inhibitors targeting *Cryptosporidium parvum* and *Toxoplasma gondii* calcium-dependent protein kinase-1", *Bioorganic & Medicinal Chemistry Letters*, vol. 22, no. 16, 2012, pages 5264-5267, XP028932803, POON, C. Y. et al.: "A synthesis of the tetracyclic carboskeleton of isaindigotidione", *Tetrahedron Letters*, vol. 45, no. 14, 2004, pages 2985-2988, XP004495695,

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/>

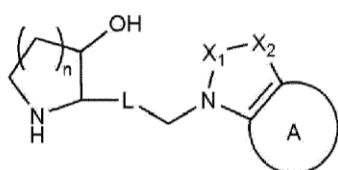
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Patentkrav

1. Forbindelse representert av den følgende kjemiske formelen (1) eller et farmasøytisk akseptabelt salt derav:

5

[Kjemisk formel 1]

i kjemisk formel 1,

n er 1 eller 2,

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L er $-\text{CH}_2\text{CH}_2-$, $-\text{CH}=\text{C}(\text{R}')-$ eller $-\text{C}\equiv\text{C}-$,

hvor R' er hydrogen, C_{1-4} alkyl eller halogen,

X_1 er CR_1R_2 , NR_1 eller $-\text{CO}-$,

X_2 er CR_3R_4 eller NR_3 ,

hvor R_1 til R_4 er hver uavhengig hydrogen, C_{1-4} alkyl, C_{1-4} hydroksyalkyl,

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hydroksy, amino, karboksy, $-\text{COO}(\text{C}_{1-4}\text{alkyl})$, $-\text{CONH}_2$, $-\text{CONH}(\text{C}_{1-4}\text{alkyl})$, $-\text{CON}(\text{C}_{1-4}\text{alkyl})_2$, eller pyrazolyl usubstituert eller substituert med C_{1-4} halogenalkyl; eller R_1 og R_3 , sammen med hverandre, binder X_1 og X_2 via en dobbeltbinding, og

A er benzen-, pyridin-, pyrimidin- eller pyrimidindionring,

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hvor A er usubstituert eller substituert med én til tre substituenten hver uavhengig valgt fra gruppen som består av en ringtypesubstituent valgt fra gruppen som består av furanyl, imidazolyl, isoksazolyl, fenyl, pyrazolyl, pyridinonyl, pyridinyl, pyrrolyl, tiazolyl og tiofenyl; C_{1-4} alkyl; C_{1-4} alkoksy; C_{1-4} halogenalkyl; C_{1-4} halogenalkoksy; halogen; $\text{di}(\text{C}_{1-4}\text{alkyl})\text{amino}$; nitro; $-\text{COO}(\text{C}_{1-4}\text{alkyl})$; dihydropyranyl; morfolino; piperidinyl; og pyrrolidinyl; og hvor ringtypesubstituenten er usubstituert eller substituert med én eller to substituenten hver uavhengig valgt fra gruppen som består av C_{1-5} alkyl, C_{1-4} halogenalkyl, C_{3-6} sykloalkyl, monovalent av C_{2-5} alkylenkarbonat,

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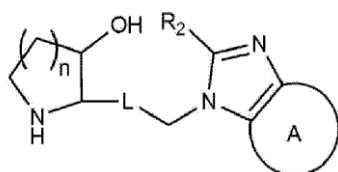
-COO(C₁₋₄alkyl), halogen, cyano, tiazolyl og (1,3-dioksolan-2-yl)metyl.

2. Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er usubstituert eller substituert med én til tre substituenten hver uavhengig valgt fra gruppen som består av C₁₋₄alkyl; C₁₋₄alkoksy; C₁₋₄halogenalkyl; halogen; fenyl usubstituert eller substituert med halogen, eller C₁₋₄halogenalkyl; pyrazolyl usubstituert eller substituert med C₁₋₅alkyl, tiazolyl eller C₁₋₄halogenalkyl; tiofenyl usubstituert eller substituert med C₁₋₅alkyl eller -COO(C₁₋₄alkyl); pyrrollyl usubstituert eller substituert med C₁₋₅alkyl og/eller -COO(C₁₋₄alkyl); di(C₁₋₄alkyl)amino; morfolino; piperidinyll; furanyl; og pyrrolidinyl.

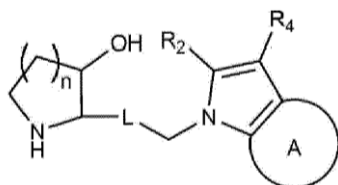
3. Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori L er -CH₂CH₂-, -CH=CH-, -CH=CF-, -CH=C(CH₃)- eller -C≡C-.

4. Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori forbindelsen representert ved kjemisk formel 1 er representert ved de følgende kjemiske formlene 1-1 til 1-5:

[Kjemisk formel 1-1]

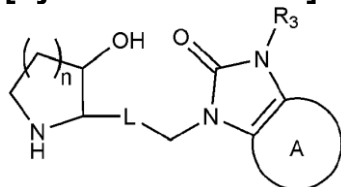
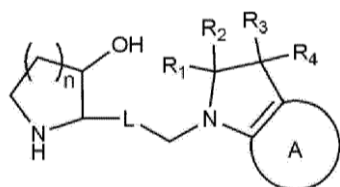


[Kjemisk formel 1-2]

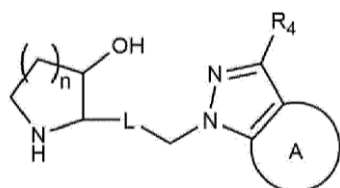


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[Kjemisk formel 1-3]**[Kjemisk formel 1-4]**

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[Kjemisk formel 1-5]

i de kjemiske formlene 1-1 til 1-5,
er n, L, R₁ til R₄ og A som definert i krav 1.

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5. Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori R₁ til R₄ er hver uavhengig hydrogen, metyl, hydroksymetyl, hydroksy, amino, karboksy, -COOCH₃, -CONH₂, -CONHCH₃ eller -CON(CH₃)₂; eller R₁ og R₃, sammen med hverandre, binder X₁ og X₂ via en dobbeltbinding.

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6. Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er benzen-, pyridin-, pyrimidin- eller pyrimidindionring, og A er usubstituert eller substituert med én til tre substituenten hver uavhengig valgt fra gruppen som består av metyl, isobutyl, metoksy, trifluormetyl, fluor, klor, brom, fenyl, fenyl substituert med fluor, fenyl substituert med klor, fenyl substituert med trifluormetyl, tiofenyl, tiofenyl substituert med metyl, tiofenyl substituert med -COOCH₃, pyrazolyl substituert med difluormetyl, pyrazolyl substituert med

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metyl, pyrazolyl substituert med tiazolyl, pyrrolyl substituert med metyl og -COOCH₂CH₃, furanyl, dimetylamino, dietylamino, metyletylamino, morfolino, piperidinyll og pyrrolidinyl.

- 5 **7.** Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er benzen, og A er usubstituert eller substituert med en til tre substituenten hver uavhengig valgt fra gruppen som består av C₁₋₄alkyl; C₁₋₄alkoksy; halogen; og fenyl usubstituert eller substituert med halogen eller C₁₋₄halogenalkyl.
- 10 **8.** Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er pyridin, og A er usubstituert eller substituert med en eller to substituenten hver uavhengig valgt fra gruppen som består av C₁₋₄alkyl; C₁₋₄alkoksy; halogen; og fenyl substituert med halogen.
- 15 **9.** Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er pyrimidin, og A er substituert med en substituent valgt fra gruppen som består av halogen; di(C₁₋₄alkyl)amino; morfolino; piperidinyll; og pyrrolidinyl.
- 20 **10.** Forbindelsen eller et farmasøytisk akseptabelt salt derav ifølge krav 1, hvori A er pyrimidindion, og A er usubstituert eller substituert med ett eller to C₁₋₄alkyl.
- 25 **11.** Forbindelse eller et farmasøytisk akseptabelt salt derav, hvori forbindelsen er valgt fra gruppen som består av:
- 30 1) (2R,3S)-2-(3-(6-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 2) (2R,3S)-2-(3-(4-brom-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 3) (2R,3S)-2-(3-(5-brom-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 4) (2R,3S)-2-(3-(6-brom-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 5) (2R,3S)-2-(3-(7-brom-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 6) (2R,3S)-2-(3-(4-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 7) (2R,3S)-2-(3-(5-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
 8) (2R,3S)-2-(3-(6-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 9) (2R,3S)-2-(3-(7-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
10) (2R,3S)-2-(3-(4-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
11) (2R,3S)-2-(3-(5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
12) (2R,3S)-2-(3-(7-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
5 13) (2R,3S)-2-(3-(6-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
14) (2R,3S)-2-(3-(5-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
15) (2R,3S)-2-(3-(6-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
16) (2R,3S)-2-(3-(7-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
10 17) (2R,3S)-2-(3-(7-(trifluormetoksy)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
18) (2R,3S)-2-(3-(4-(pyrrolidin-1-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
15 19) (2R,3S)-2-(3-(4-(piperidin-1-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
20) (2R,3S)-2-(3-(4-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
21) (2R,3S)-2-(3-(4-(3-klorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
20 22) (2R,3S)-2-(3-(5-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
23) (2R,3S)-2-(3-(6-(2-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
25 24) (2R,3S)-2-(3-(6-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
25) (2R,3S)-2-(3-(6-(4-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
26) (2R,3S)-2-(3-(7-(2-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
30 27) (2R,3S)-2-(3-(7-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 28) (2R,3S)-2-(3-(7-(4-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 29) (2R,3S)-2-(3-(5-(1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 5 30) (2R,3S)-2-(3-(6-(1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 31) (2R,3S)-2-(3-(7-(1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 32) (2R,3S)-2-(3-(6-klor-5-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 10 33) (2R,3S)-2-(3-(6-brom-5-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 34) (2R,3S)-2-(3-(5-klor-6-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 35) (2R,3S)-2-(3-(5-brom-6-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 15 36) (2R,3S)-2-(3-(6-brom-5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 37) (2R,3S)-2-(3-(6-klor-5-metoksy-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 38) (2R,3S)-2-(3-(6-fluor-5-metoksy-1 H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 20 39) (2R,3S)-2-(3-(5-fluor-6-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 40) (2R,3S)-2-(3-(4,5-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 41) (2S,3S)-2-(3-(4,5-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 25 42) (2S,3R)-2-(3-(4,5-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 43) (2R,3R)-2-(3-(4,5-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 44) (2R,3S)-2-(3-(4-klor-5-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 45) (2R,3S)-2-(3-(5-brom-4-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 30 46) (2R,3S)-2-(3-(5-klor-4-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 47) (2R,3S)-2-(3-(5-brom-4-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
48) (2R,3S)-2-(3-(6-brom-7-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
5 49) (2R,3S)-2-(3-(4,5-difluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
50) (2R,3S)-2-(3-(6,7-difluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
51) (2R,3S)-2-(3-(4,5-dimetyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
52) (2R,3S)-2-(3-(5,6-difluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
53) (2R,3S)-2-(3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
10 54) (2R,3S)-2-(3-(5,6-dibrom-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
55) (2R,3S)-2-(3-(5,6-dimetyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
56) (2R,3S)-2-(3-(6,7-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
57) (2R,3S)-2-(3-(4,6-difluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
58) (2R,3S)-2-(3-(5,7-difluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
15 59) (2R,3S)-2-(3-(4-klor-5-metoksy-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
60) (2R,3S)-2-(3-(7-klor-6-metoksy-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
61) (2R,3S)-2-(3-(6-klor-4-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
20 62) (2R,3S)-2-(3-(4-klor-5-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
63) (2R,3S)-2-(3-(6-brom-4-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
64) (2R,3S)-2-(3-(5-fluor-4-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
25 65) (2R,3S)-2-(3-(6-fluor-7-metyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
66) (2R,3S)-2-(3-(4-klor-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
30 67) (2R,3S)-2-(3-(7-klor-6-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
68) metyl-7-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-5-karboksylat,

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- 69) (2R,3S)-2-(3-(7-brom-5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
70) (2R,3S)-2-(3-(5-brom-7-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
5 71) (2R,3S)-2-(3-(7-brom-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
72) (2R,3S)-2-(3-(6-brom-4-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
73) (2R,3S)-2-(3-(5-brom-7-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
10 74) (2R,3S)-2-(3-(7-klor-4-fluor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
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76) (2R,3S)-2-(3-(6-brom-7-nitro-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
15 77) (2R,3S)-2-(3-(4-klor-5-nitro-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
78) (2R,3S)-2-(3-(7-klor-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
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20 80) (2R,3S)-2-(3-(5,7-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
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82) (2R,3S)-2-(3-(7-(1H-pyrazol-4-yl)-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
25 83) (2R,3S)-2-(3-(5-klor-7-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
84) (2R,3S)-2-(3-(7-(3-fluorfenyl)-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
85) (2R,3S)-2-(3-(5-klor-7-(2-metyltiazol-5-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
30 86) (2R,3S)-2-(3-(5-klor-7-(pyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 87) (2R,3S)-2-(3-(5-klor-7-(5-fluorpyridin-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 88) 5-(5-klor-1-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-7-yl)-1-metylpyridin-2(1H)-on,
- 5 89) (2R,3S)-2-(3-(5-klor-7-(1-(difluormetyl)-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 90) (2R,3S)-2-(3-(5-klor-7-(isoksazol-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 91) (2R,3S)-2-(3-(5-klor-7-(tiofen-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 10 92) (2R,3S)-2-(3-(5-klor-7-(2-metyltiofen-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 93) (2R,3S)-2-(3-(5-klor-7-(3,5-dimetylisoksazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 15 94) (2R,3S)-2-(3-(5-klor-7-(3,6-dihydro-2H-pyran-4-yl)-1 H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 95) (2R,3S)-2-(3-(7-(1-((1,3-dioksolan-2-yl)metyl)-1H-pyrazol-4-yl)-5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 96) (2R,3S)-2-(3-(5-klor-7-(1-metyl-1H-imidazol-5-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 20 97) (2R,3S)-2-(3-(5-klor-7-(1-metyl-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 98) (2R,3S)-2-(3-(7-(pyrrolidin-1-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 25 99) (2R,3S)-2-(3-(5-klor-7-(pyrrolidin-1-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 100) (2R,3S)-2-(3-(5-klor-7-(2-syklopropyltiazol-5-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 101) (2R,3S)-2-(3-(5-klor-7-(1-(tiazol-2-yl)-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 30 102) (2R,3S)-2-(3-(5-klor-7-(1-(oksetan-3-yl)-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 103) (2R,3S)-2-(3-(5-klor-7-(2-(tetrahydro-2H-pyran-4-yl)thiazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 104) (2R,3S)-2-(3-(5-klor-7-(furan-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 5 105) 4-(5-klor-1-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-7-yl)thiazol-2-karbonitril,
- 106) (2R,3S)-2-(3-(7-(1-(tert-butyl)-1H-pyrazol-4-yl)-5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 107) (2R,3S)-2-(3-(5-klor-7-(1-isopentyl-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 10 108) (2R,3S)-2-(3-(5-klor-7-(1-isopropyl-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 109) (2R,3S)-2-(3-(5-klor-7-(4-metyltiofen-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 15 110) etyl-3-(5-klor-1-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-7-yl)furan-2-karboksylat,
- 111) metyl-4-(5-klor-1-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-7-yl)tiofen-2-karboksylat,
- 112) (2R,3S)-2-(3-(5-klor-7-(1-(2-fluoretyl)-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 20 113) (2R,3S)-2-(3-(7-(1-butyl-1H-pyrazol-4-yl)-5-klor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 114) (2R,3S)-2-(3-(5-klor-7-(2,5-dimetyltiofen-3-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 25 115) (2R,3S)-2-(3-(5-klor-7-(1-isobutyl-1H-pyrazol-4-yl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
- 116) etyl-4-(5-klor-1-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-7-yl)-1-metyl-1H-pyrrol-2-karboksylat,
- 117) (2R,3S)-2-(3-(5-klor-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,
- 30 118) (2R,3S)-2-(3-(5-klor-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
- 119) (2R,3S)-2-(3-(5-brom-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,
- 120) (2R,3S)-2-(3-(6-brom-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,
- 121) (2R,3S)-2-(3-(5-metyl-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,

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- 122) (2R,3S)-2-(3-(6-klor-1H-imidazo[4,5-c]pyridin-1-yl)propyl)piperidin-3-ol.
123) (2R,3S)-2-(3-(6-klor-3H-imidazo[4,5-c]pyridin-3-yl)propyl)piperidin-3-ol,
124) (2R,3S)-2-(3-(6-brom-1H-imidazo[4,5-c]pyridin-1-yl)propyl)piperidin-3-ol,
125) (2R,3S)-2-(3-(6-brom-3H-imidazo[4,5-c]pyridin-3-yl)propyl)piperidin-3-ol,
5 126) (2R,3S)-2-(3-(7-klor-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,
127) (2R,3S)-2-(3-(5,6-diklor-1H-imidazo[4,5-b]pyridin-1-yl)propyl)piperidin-3-ol,
128) (2R,3S)-2-(3-(7-brom-1H-imidazo[4,5-c]pyridin-1-yl)propyl)piperidin-3-ol,
129) (2R,3S)-2-(3-(5-(trifluormetyl)-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
10 130) (2R,3S)-2-(3-(6,7-diklor-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
131) (2R,3S)-2-(3-(6-klor-7-metyl-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
15 132) (2R,3S)-2-(3-(6-brom-7-metyl-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
133) (2R,3S)-2-(3-(6-brom-7-klor-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
134) (2R,3S)-2-(3-(7-klor-6-(3-klorfenyl)-3H-imidazo[4,5-b]pyridin-3-yl)propyl)piperidin-3-ol,
20 135) (2R,3S)-2-(3-(2-klor-7H-purin-7-yl)propyl)piperidin-3-ol,
136) (2R,3S)-2-(3-(2-klor-9H-purin-9-yl)propyl)piperidin-3-ol,
137) (2R,3S)-2-(3-(6-(dimetylamino)-9H-purin-9-yl)propyl)piperidin-3-ol,
138) (2R,3S)-2-(3-(6-(dietylamino)-9H-purin-9-yl)propyl)piperidin-3-ol,
25 139) (2R,3S)-2-(3-(6-(etyl(metyl)amino)-9H-purin-9-yl)propyl)piperidin-3-ol,
140) (2R,3S)-2-(3-(6-morfolino-9H-purin-9-yl)propyl)piperidin-3-ol,
141) (2R,3S)-2-(3-(6-(piperidin-1-yl)-9H-purin-9-yl)propyl)piperidin-3-ol,
142) (2R,3S)-2-(3-(6-(pyrrolidin-1-yl)-9H-purin-9-yl)propyl)piperidin-3-ol,
143) 1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-2-ol,
30 144) 5,6-diklor-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-2-ol,
145) (2R,3S)-2-(3-(2-(hidroksymetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,

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- 146) (2R,3S)-2-(3-(2-(hydroksymetyl)-4,5-dimetyl-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
147) (2R,3S)-2-(3-(5,6-diklor-2-(hydroksymetyl)-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
5 148) (2R,3S)-2-(3-(2-amino-5,6-diklor-1H-benzo[d]imidazol-1-yl)propyl)piperidin-3-ol,
149) metyl-7-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
150) metyl-5-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
10 151) metyl-6-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
152) metyl-4-klor-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
15 153) metyl-6-klor-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
154) metyl-7-klor-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-indol-3-karboksylat,
155) (2R,3S)-2-(3-(5-klor-3-syklopropyl-1H-indazol-1-yl)propyl)piperidin-3-ol,
20 156) (2R,3S)-2-(3-(5-klor-3-(trifluormetyl)-1H-indazol-1-yl)propyl)piperidin-3-ol,
157) (2R,3S)-2-(3-(5-klor-3-(1-(difluormetyl)-1H-pyrazol-4-yl)-1H-indazol-1-yl)propyl)piperidin-3-ol,
158) (2R,3S)-2-(3-(6-klor-3-(1-(difluormetyl)-1H-pyrazol-4-yl)-1H-indazol-1-yl)propyl)piperidin-3-ol,
25 159) 1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-3-metyl-1H-benzo[d]imidazol-2(3H)-on,
160) 5-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-2(3H)-on,
30 161) 6-brom-1-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1H-benzo[d]imidazol-2(3H)-on,
162) 7-(3-((2R,3S)-3-hidroksypiperidin-2-yl)propyl)-1,3-dimetyl-1H-purin-2,6(3H,7H)-dion,

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- 163) 7-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-3-metyl-1H-purin-2,6(3H,7H)-dion,
- 164) 9-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-1-metyl-1H-purin-2,6(3H,9H)-dion,
- 5 165) 7-(3-((2R,3S)-3-hydroksypiperidin-2-yl)propyl)-3-isobutyl-1-metyl-1H-purin-2,6(3H,7H)-dion,
- 166) (2R,3S)-2-((E)-3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 167) (2R,3S)-2-((E)-3-(5-fluor-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 10 168) (2R,3S)-2-((E)-3-(5-brom-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 169) (2R,3S)-2-((E)-3-(5,6-dimetyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 15 170) (2R,3S)-2-((E)-3-(5,6-dibrom-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 171) (2R,3S)-2-((E)-3-(5,6-difluor-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 172) (2R,3S)-2-((E)-3-(4,5-dimetyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 20 173) (2R,3S)-2-((E)-3-(5-klor-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 174) (2R,3S)-2-((E)-3-(4,5-diklor-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 25 175) (2R,3S)-2-((E)-3-(4-klor-5-metyl-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 176) (2R,3S)-2-((E)-3-(5-brom-4-nitro-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 177) (2R,3S)-2-((E)-3-(6-brom-7-nitro-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 30 178) (2R,3S)-2-((E)-3-(4-klor-5-nitro-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,

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- 179) (2R,3S)-2-((E)-3-(4,6-difluor-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 180) (2R,3S)-2-((E)-3-(6-klor-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 5 181) (2R,3S)-2-((E)-3-(7-klor-5-(trifluormetyl)-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 182) (2R,3S)-2-((E)-3-(5,7-diklor-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 183) (2R,3S)-2-((E)-3-(5-klor-7-fluor-1H-benzo[d]imidazol-1-yl)prop-1-en-1-yl)piperidin-3-ol,
- 10 184) (2R,3S)-2-((E)-3-(5-brom-1H-imidazo[4,5-b]pyridin-1-yl)prop-1-enyl)piperidin-3-ol,
- 185) (2R,3S)-2-((E)-3-(7-klor-6-(3-klorfenyl)-3H-imidazo[4,5-b]pyridin-3-yl)prop-1-enyl)piperidin-3-ol,
- 15 186) (2R,3S)-2-((E)-3-(6-brom-7-metyl-3H-imidazo[4,5-b]pyridin-3-yl)prop-1-enyl)piperidin-3-ol,
- 187) (2R,3S)-2-((E)-3-(6-brom-7-klor-3H-imidazo[4,5-b]pyridin-3-yl)prop-1-enyl)piperidin-3-ol,
- 188) (2R,3S)-2-((E)-3-(6-klor-7-metyl-3H-imidazo[4,5-b]pyridin-3-yl)prop-1-enyl)piperidin-3-ol,
- 20 189) (2R,3S)-2-((E)-3-(6,7-diklor-3H-imidazo[4,5-b]pyridin-3-yl)prop-1-enyl)piperidin-3-ol,
- 190) (2R,3S)-2-((E)-3-(6-(3-klorfenyl)-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 25 191) (2R,3S)-2-((E)-3-(6-(3-fluorfenyl)-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 192) (2R,3S)-2-((E)-3-(6-(3-(trifluormetyl)fenyl)-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 193) (2R,3S)-2-((E)-3-(5-brom-6,7-difluor-2-metyl-1H-benzo[d]imidazol-1-yl)prop-1-enyl)piperidin-3-ol,
- 30 194) (2R,3S)-2-((E)-3-(indolin-1-yl)prop-1-enyl)piperidin-3-ol,
- 195) (2R,3S)-2-((E)-3-(5-klorindolin-1-yl)prop-1-enyl)piperidin-3-ol,
- 196) (2R,3S)-2-((E)-3-(1H-pyrrolo[2,3-b]pyridin-1-yl)prop-1-enyl)piperidin-3-ol,

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- 197) (2R,3S)-2-((E)-3-(6-klor-1H-indol-1-yl)prop-1-enyl)piperidin-3-ol,
198) (2R,3S)-2-((E)-3-(6-klor-1H-indazol-1-yl)prop-1-enyl)piperidin-3-ol,
199) (2R,3S)-2-((E)-3-(4-klor-7H-pyrrolo[2,3-d]pyrimidin-7-yl)prop-1-enyl)piperidin-3-ol,
5 200) (2R,3S)-2-((E)-3-(5-klor-1H-pyrazolo[3,4-b]pyridin-1-yl)prop-1-enyl)piperidin-3-ol,
201) (2R,3S)-2-((E)-3-(3,5-dimetyl-1H-indazol-1-yl)prop-1-enyl)piperidin-3-ol,
202) metyl-7-brom-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,
10 203) 7-brom-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
204) 5-brom-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
205) 4-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
15 206) 6-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
207) 7-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
20 208) 6-fluor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
209) 1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylsyre,
210) metyl-4-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,
25 211) metyl-6-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,
212) metyl-7-klor-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,
30 213) metyl-5-brom-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,
214) metyl-6-brom-1-((E)-3-((2R,3S)-3-hidroksypiperidin-2-yl)allyl)-1H-indol-3-karboksylat,

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- 215) 5-brom-1-((E)-3-((2R,3S)-3-hydroksypiperidin-2-yl)allyl)-N-metyl-1H-indol-3-karboksamid,
- 216) 5-brom-1-((E)-3-((2R,3S)-3-hydroksypiperidin-2-yl)allyl)-N,N-dimetyl-1H-indol-3-karboksamid,
- 5 217) (2R,3S)-2-((Z)-3-(5-klor-4-metyl-1H-benzo[d]imidazol-1-yl)-2-fluorprop-1-enyl)piperidin-3-ol,
- 218) (2R,3S)-2-((Z)-3-(5-brom-4-metyl-1H-benzo[d]imidazol-1-yl)-2-fluorprop-1-enyl)piperidin-3-ol,
- 10 219) (2R,3S)-2-((Z)-3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)-2-fluorprop-1-enyl)piperidin-3-ol,
- 220) (2R,3S)-2-((Z)-3-(5,6-diklor-2-(hydroksymetyl)-1H-benzo[d]imidazol-1-yl)-2-fluorprop-1-enyl)piperidin-3-ol,
- 221) (2R,3S)-2-((E)-3-(5-klor-4-metyl-1H-benzo[d]imidazol-1-yl)-2-metylprop-1-enyl)piperidin-3-ol,
- 15 222) (2R,3S)-2-((E)-3-(5-brom-4-metyl-1H-benzo[d]imidazol-1-yl)-2-metylprop-1-enyl)piperidin-3-ol,
- 223) (2R,3S)-2-((E)-3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)-2-metylprop-1-enyl)piperidin-3-ol,
- 224) (2R,3S)-2-((E)-3-(5,6-diklor-2-(hydroksymetyl)-1H-benzo[d]imidazol-1-yl)-2-metylprop-1-enyl)piperidin-3-ol,
- 20 225) (2R,3S)-2-(3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)prop-1-ynyl)piperidin-3-ol,
- 226) (2R,3S)-2-(3-(5-brom-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-ynyl)piperidin-3-ol,
- 25 227) (2R,3S)-2-(3-(5-klor-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-ynyl)piperidin-3-ol,
- 228) (2R,3S)-2-(3-(5,6-dibrom-1H-benzo[d]imidazol-1-yl)prop-1-ynyl)piperidin-3-ol,
- 229) (2R,3S)-2-(3-(5-fluor-4-metyl-1H-benzo[d]imidazol-1-yl)prop-1-ynyl)piperidin-3-ol, og
- 30 230) (2R,3S)-2-((E)-3-(5,6-diklor-1H-benzo[d]imidazol-1-yl)prop-1-enyl)pyrrolidin-3-ol.

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12. Farmasøytisk sammensetning for anvendelse i forebygging eller behandling av kreft, inflammatoriske sykdommer, autoimmune sykdommer eller fibrose, omfattende forbindelsen ifølge et hvilket som helst av kravene 1 til 11 eller et farmasøytisk akseptabelt salt derav.

5

13. Fremgangsmåte for fremstilling av en forbindelse representert ved den følgende kjemiske formelen 1 omfattende trinnene:

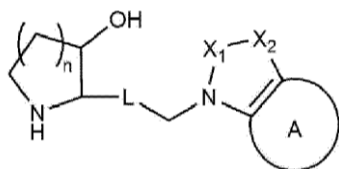
å reagere en forbindelse representert ved den følgende kjemiske formelen 1-A med en forbindelse representert ved den følgende kjemiske formelen 1-B i nærværet av en base for å fremstille en forbindelse representert ved den følgende kjemiske formelen 1-C; og

10

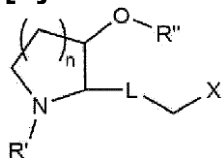
å reagere en forbindelse representert ved den følgende kjemiske formelen 1-C i nærværet av en syre for å fremstille en forbindelse representert ved den følgende kjemiske formelen 1:

15

[Kjemisk formel 1]

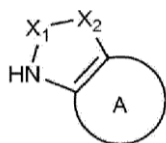


[Kjemisk formel 1-A]



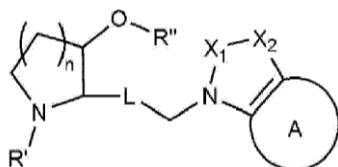
20

[Kjemisk formel 1-B]



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[Kjemisk formel 1-C]

i kjemiske formler 1, 1-A, 1-B og 1-C,

n , L , X_1 , X_2 og A er som definert i krav 1,

5 X er halogen, og

R' og R'' er hver uavhengig en beskyttende gruppe.

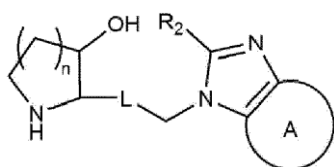
14. Fremgangsmåte for fremstilling av en forbindelse representert ved den følgende kjemiske formelen 1-1 omfattende trinnene:

10 å reagere en forbindelse representert ved den følgende kjemiske formelen 2-A med en forbindelse representert ved den følgende kjemiske formelen 2-B i nærværet av en base for å fremstille en forbindelse representert ved den følgende kjemiske formelen 2-C;

15 å reagere en amingruppe og en nitrogruppe av forbindelsen representert ved den kjemiske formelen 2-C for å danne en ring, og derved fremstille en forbindelse representert ved den følgende kjemiske formelen 2-D; og

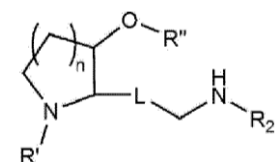
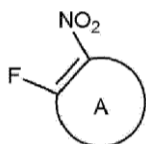
å reagere en forbindelse representert ved den følgende kjemiske formelen 2-D i nærværet av en syre for å fremstille en forbindelse representert ved den følgende kjemiske formelen 1-1:

20

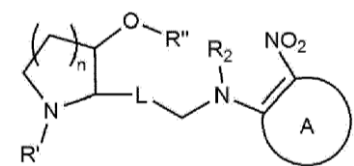
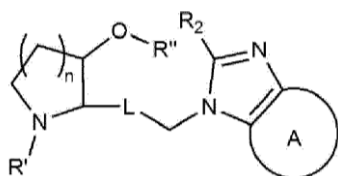
[Kjemisk formel 1-1]

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[Kjemisk formel 2-A]**[Kjemisk formel 2-B]**

5

[Kjemisk formel 2-C]**[Kjemisk formel 2-D]**

i kjemiske formler 1-1, 2-A, 2-B, 2-C og 2-D,

10

n , L , R_2 og A er som definert i krav 1, og

R' og R'' er hver uavhengig en beskyttende gruppe.

15. Fremgangsmåte for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3 omfattende trinnene:

15

å reagere forbindelsen representert ved den følgende kjemiske formelen 3-A med (karbetoksymetylen)trifenylfosforan for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-B;

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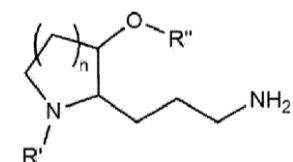
å hydrogenere forbindelsen representert ved den følgende kjemiske formelen 3-B for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-C;

5 å hydrolysere forbindelsen representert ved den kjemiske formelen 3-C for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-D; å utsette forbindelsen representert ved den kjemiske formelen 3-D for en karbonylreduksjonsreaksjon for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-E;

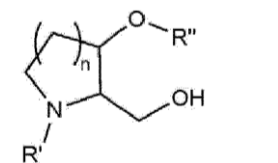
10 å bromere forbindelsen representert ved den kjemiske formelen 3-E for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-F; å utsette forbindelsen representert ved den kjemiske formelen 3-F for en azidreaksjon for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3-G; og

15 å aminere forbindelsen representert ved den kjemiske formelen 3-G for å fremstille en forbindelse representert ved den følgende kjemiske formelen 3:

[Kjemisk formel 3]

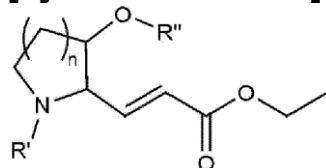


[Kjemisk formel 3-A]



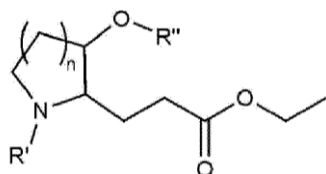
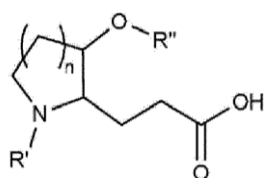
20

[Kjemisk formel 3-B]

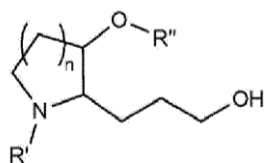
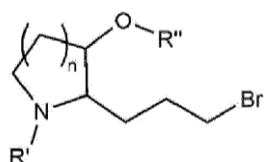
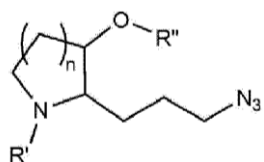


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[Kjemisk formel 3-C]**[Kjemisk formel 3-D]**

5

[Kjemisk formel 3-E]**[Kjemisk formel 3-F]****[Kjemisk formel 3-G]**

10

i kjemiske formler 3, 3-A, 3-B, 3-C, 3-D, 3-E, 3-F og 3-G,
 n er som definert i krav 1, og
 R' og R'' er hver uavhengig en beskyttende gruppe.