



NORWAY

(12) Translation of
European patent specification

(11) NO/EP 2925757 B1

(19) NO

(51) Int Cl.

C07D 471/04 (2006.01)

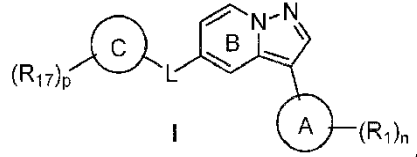
A61K 31/437 (2006.01)

A61P 33/06 (2006.01)

Norwegian Industrial Property Office

(21)	Translation Published	2018.02.26
(80)	Date of The European Patent Office Publication of the Granted Patent	2017.10.04
(86)	European Application Nr.	13795977.1
(86)	European Filing Date	2013.11.18
(87)	The European Application's Publication Date	2015.10.07
(30)	Priority	2012.11.19, US, 201261728018 P 2013.07.18, US, 201361847860 P
(84)	Designated Contracting States:	AL ; AT ; BE ; BG ; CH ; CY ; CZ ; DE ; DK ; EE ; ES ; FI ; FR ; GB ; GR ; HR ; HU ; IE ; IS ; IT ; LI ; LT ; LU ; LV ; MC ; MK ; MT ; NL ; NO ; PL ; PT ; RO ; RS ; SE ; SI ; SK ; SM ; TR
(73)	Proprietor	Novartis AG, Lichtstrasse 35, 4056 Basel, CH-Sveits
(72)	Inventor	CHATTERJEE, Arnab Kumar, 13268 Torrey Crest Court, San Diego, California 92129, US-USA NAGLE, Advait Suresh, Novartis Institute for Functional Genomics Inc.db.a Genomics Institute of the Novartis ResearchFoundation10675 John Jay Hopkins Drive, San Diego, California 92121, US-USA PARASELLI, Prasuna, 6323 Oleander Way, San Diego, California 92130,US-USA KONDREDDI, Ravinder Reddy, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05-01 ChromosSingapore 138670, SG-Singapore LEONG, Seh Yong, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05- 01 ChromosSingapore 138670, SG-Singapore MISHRA, Pranab Kumar, 12427 Heatherton CourtApartment No. 244, San Diego, California 92128, US-USA MOREAU, Robert Joseph, Novartis Vaccines and Diagnostics, Inc.4560 Horton Street, Emeryville, California 94608-2916, US-USA ROLAND, Jason Thomas, 15698 Via Montecristo, San Diego, California 92127, US-USA SIM, Wei Lin Sandra, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05- 01 ChromosSingapore 138670, SG-Singapore SIMON, Oliver, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05-01 ChromosSingapore 138670, SG-Singapore TAN, Liying Jocelyn, 179 Seagull Walk, Singapore 486669, SG-Singapore YEUNG, Bryan KS, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05- 01 ChromosSingapore 138670, SG-Singapore ZOU, Bin, Novartis Institute for Tropical Diseases Ptd Ltd.10 Biopolis Road, No. 05-01 ChromosSingapore 138670, SG-Singapore BOLLU, Venkataiah, 10715 Wexford Street, No. 6, San Diego, California 92131, US-USA
(74)	Agent or Attorney	ZACCO NORWAY AS, Postboks 2003 Vika, 0125 OSLO, Norge
(54)	Title	COMPOUNDS AND COMPOSITIONS FOR THE TREATMENT OF PARASITIC DISEASES
(56)	References Cited:	US-A1- 2007 219 218, WO-A2-2011/006143

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,**

5 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori
n er 0, 1, 2 eller 3;

p er 0, 1, 2 eller 3;

L er valgt fra gruppen bestående av $^{*}-(\text{CHR}_3)_{1-3}-$, $^{*}-\text{CHR}_3\text{N}(\text{R}_2)-$, $^{*}-\text{CHR}_3\text{O}-$, $^{*}-$
 $\text{CHR}_3\text{S}-$, $^{*}-\text{CHR}_3\text{S}(\text{O})-$, $^{*}-\text{CHR}_3\text{N}(\text{R}_2)\text{CHR}_3-$, $^{*}-\text{C}(\text{O})-$, $^{*}-\text{C}(\text{O})\text{N}(\text{R}_2)-$, $^{*}-$
 10 $\text{C}(\text{O})\text{N}(\text{R}_2)\text{CHR}_3-$, $^{*}-\text{N}(\text{R}_2)-$, $^{*}-\text{N}(\text{R}_2)\text{CHR}_3-$, $^{*}-\text{N}(\text{R}_2)\text{C}(\text{O})-$, $^{*}-\text{N}(\text{R}_2)\text{C}(\text{O})\text{N}(\text{R}_2)-$, $^{*}-$
 $\text{N}(\text{R}_2)\text{S}(\text{O})_2-$, hvori

* representerer L's festepunkt til den pyrazol[1,5-a]pyridinfusjonerte ringen
beskrevet i formel I;

hver R_2 er uavhengig valgt fra gruppen bestående av hydrogen, C_{1-6} -alkyl,
 15 halo C_{1-6} -alkyl, $\text{R}-\text{C}_{0-4}$ -alkylen og $\text{R}-\text{C}_{0-4}$ -alkylen- $\text{C}(\text{O})-$, hvori R er valgt fra
 gruppen bestående av hydroksyl, C_{1-4} -alkoksy, amino, C_{1-4} -alkylamino, C_{3-6} -
 sykloalkyl, C_{4-6} -heterosykloalkyl og C_{5-6} -heteroaryl, hvori C_{3-6} -sykloalkylet, C_{4-6} -
 heterosykloalkylet og C_{5-6} -heteroarylet i R hver er usubstituert eller substituert
 med 1-2 substituenten uavhengig valgt fra gruppen bestående av halo, amino,
 20 hydroksyl, C_{1-4} -alkyl, C_{1-4} -alkoksy, okso, og C_{5-6} -heteroaryl; og

hver R_3 er uavhengig valgt fra gruppen bestående av hydrogen og C_{1-4} -alkyl;

ring A er valgt fra gruppen bestående av C_{6-10} -aryl og C_{5-10} -heteroaryl;

ring C er valgt fra gruppen bestående av C_{6-10} -aryl, C_{5-10} -heteroaryl, C_{5-7} -
 sykloalkyl, C_{5-7} -heterosykloalkyl og fusjonert bisyklol omfattende et C_{5-6} -
 25 heterosykloalkyl fusjonert til et fenyl;

hver R_1 er uavhengig valgt fra gruppen bestående av halo, cyano, amino, C_{1-4} -
 alkyl, C_{1-4} -alkoksy, halo- C_{1-4} -alkyl, $-\text{C}(\text{O})\text{NR}_7\text{R}_8$, $-\text{NHC}(\text{O})\text{R}_{11}$, fenyl og C_{5-6} -
 heteroaryl; hvori

fenylet og C_{5-6} -heteroarylet i R_1 hver er usubstituert eller substituert med 1-2
 30 substituenten uavhengig valgt fra gruppen bestående av C_{1-4} -alkyl, amino, halo
 og C_{1-4} -alkylamino;

R_7 og R_8 hver uavhengig er valgt fra hydrogen, C_{1-4} -alkyl og halo C_{1-4} -alkyl;

R_{11} er C_{1-6} -alkyl, usubstituert eller substituert med 1-2 substituenten uavhengig
 valgt fra gruppen bestående av amino, C_{3-6} -sykloalkyl og C_{4-6} -heterosykloalkyl;

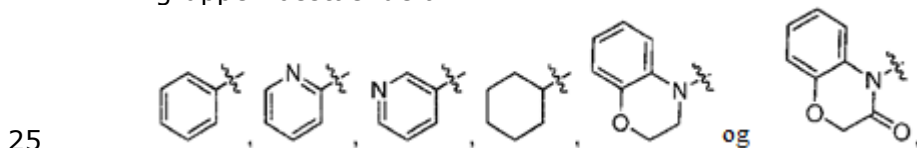
R_{17} er valgt fra gruppen bestående av cyano, halo, C_{1-4} -alkyl, halo- C_{1-4} -alkyl, okso, C_{3-6} -sykloalkyl, og $-SO_2-C_{1-4}$ -alkyl.

5 **2.** Forbindelsen ifølge krav 1 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori L er valgt fra gruppen bestående av $^*-C(O)N(R_2)-$; og $^*-N(R_2)C(O)-$, hvori hver R_2 er uavhengig valgt fra hydrogen, C_{1-4} -alkyl og $R-C_{0-4}$ -alkylen, og hvori R er valgt fra gruppen bestående av C_{1-4} -alkylamino, C_{3-6} -sykloalkyl, C_{4-6} -heterosykloalkyl og C_{5-6} -heteroaryl, hvori C_{3-6} -sykloalkylet, C_{4-6} -heterosykloalkylet og C_{5-6} -heteroarylet hver er usubstituert eller substituert med
10 1-2 substituenten uavhengig valgt fra gruppen bestående av halo, amino, hydroksyl, C_{1-4} -alkyl, C_{1-4} -alkoksy, okso, og C_{5-6} -heteroaryl.

3. Forbindelsen ifølge krav 1 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori L er valgt fra $^*-C(O)N(CH_3)-$, $^*-C(O)N(CH_2CH_3)-$,
15 $^*-C(O)N(CH(CH_3)_2)-$, $^*-C(O)N(NH(CH_3))-$, og $^*-N(CH_3)C(O)-$.

4. Forbindelsen ifølge et hvilket som helst av kravene 1 til 3 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori ring A er valgt fra gruppen bestående av fenyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl,
20 pyrrolopyridinyl og indazolyl, der hver er usubstituert eller substituert med $(R_1)_n$.

5. Forbindelsen ifølge et hvilket som helst av kravene 1 til 4 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori ring C er valgt fra gruppen bestående av



der hver er usubstituert eller substituert med $(R_{17})_p$.

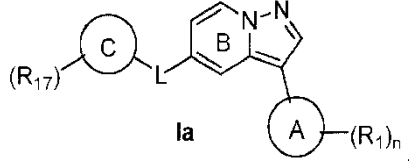
6. Forbindelsen ifølge et hvilket som helst av kravene 1 til 4 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori ring C er valgt fra gruppen bestående av fenyl og pyridinyl, der hver er usubstituert eller
30 substituert med $(R_{17})_p$

7. Forbindelsen ifølge et hvilket som helst av kravene 1 til 6 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori hver R_1 er uavhengig

valgt fra gruppen bestående av trifluormetyl, cyano, $-NH_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, $-C(O)N(CH_3)_2$, og $-NHC(O)CH(NH_2)(CH_3)$.

8. Forbindelsen ifølge et hvilket som helst av kravene 1 til 7 eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori hver R_{17} er uavhengig valgt fra gruppen bestående av cyano, halo, C_{1-4} -alkyl, halo C_{1-4} -alkyl, $-SO_2-C_{1-4}$ -alkyl, og C_{3-6} -sykloalkyl.

9. Forbindelsen ifølge krav 1, hvori forbindelsen har formel Ia:



eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, hvori

n er 1 eller 2;

ring A er fenyl, pyridinyl eller pyrimidinyl;

ring C er fenyl eller pyridinyl;

L er $^*-C(O)NR_2-$ eller $^*-NR_2C(O)-$, hvori R_2 er valgt fra hydrogen, C_{1-4} -alkyl, C_{1-4} -alkylamino- (C_{0-4}) -alkylen, C_{3-6} -sykloalkyl- (C_{0-4}) -alkylen, C_{4-6} -heterosykloalkyl- (C_{0-4}) -alkylen, hvori C_{4-6} -heterosykloalkylet er valgt fra gruppen bestående av piperazinyl, morfolinyl, tiomorfolinyl, tetrahydropyranyl og oksetanyl, og hvori C_{3-6} -sykloalkylet er valgt fra syklopropyl, syklobutyl, syklopentyl og sykloheksyl; hver R_1 er uavhengig $-C(O)NR_7R_8$ eller $-NH_2$, hvori R_7 og R_8 hver uavhengig er hydrogen eller C_{1-4} -alkyl; og

R_{17} er valgt fra gruppen bestående av cyano, halo.

10. Forbindelsen ifølge krav 1, hvori forbindelsen eller et farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, er valgt fra gruppen bestående av:

N-(4-cyanofenyl)-N-metyl-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;

4-fluor-N-metyl-N-((3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)metyl)anilin;

N-(4-klorfenyl)-N-metyl-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;

N-(4-fluorfenyl)-N-metyl-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;

- N-metyl-N-(5-metylpyridin-2-yl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 4-klor-N-metyl-N-((3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)metyl)anilin;
- 5 N,5-dimetyl-N-((3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)metyl)pyridin-2-amin;
 5-((4-fluorfenoksy)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin;
 N-(4-cyanofenyl)-N-(2-metoksyetyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 10 N-(4-cyanofenyl)-N-(2-(dimetylamino)etyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(4-cyanofenyl)-N-((tetrahydro-2H-pyran-4-yl)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(4-(metylsulfonyl)fenyl)-N-((tetrahydro-2H-pyran-4-yl)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 15 N-(5-cyanopyridin-2-yl)-N-metyl-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-metyl-N-(5-metylpyridin-3-yl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 20 5-(((5-metylpyridin-2-yl)oksy)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin;
 5-(4-fluorfenetyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin;
 N-(4-cyanofenyl)-N-metyl-3-(1-metyl-1H-indazol-5-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 25 3-(6-acetamidopyridin-3-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 3-(4-karbamoylfenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 3-(4-karbamoylfenyl)-N-(4-fluorfenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 30 5-(((4-fluorfenyl)tio)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin;
 5-(((4-fluorfenyl)sulfinyl)metyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin;
 3-(4-(1H-pyrazol-5-yl)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 35 N-(4-cyanofenyl)-N-metyl-3-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;

- N-(5-cyanopyridin-2-yl)-N-metyl-3-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 (S)-3-(4-(2-aminopropanamido)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 5 3-(5-karbamoylpyridin-2-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 4-cyano-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
 4-fluor-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- 10 4-cyano-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzensulfonamid;
 4-fluor-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzensulfonamid;
- 15 3-(4-karbamoylfenyl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 N-metyl-3-(4-(trifluormetyl)fenyl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-metyl-N-(5-(metylsulfonyl)pyridin-2-yl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 20 N-(4-fluorbenzyl)-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-amin
 N-(4-fluorbenzyl)-N-metyl-3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-amin;
 N-metyl-6-(trifluormetyl)-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)nikotinamid;
- 25 N-metyl-5-(trifluormetyl)-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)pikolineamid;
 4-cyano-N-((tetrahydro-2H-pyran-4-yl)metyl)-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- 30 N-(4-cyanofenyl)-N-metyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 3-(6-aminopyridin-3-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 3-(4-aminofenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 35 3-(4-(2-aminoacetamido)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;

- (R)-3-(4-(2-aminopropanamido)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- (S)-3-(4-(2-amino-3-metylbutanamido)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 5 (S)-3-(4-(2-amino-2-sykloheksylacetamido)fenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(4-fluorfenyl)-1-metyl-1-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)urea;
- 6-(1,1-difluoretyl)-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)nikotinamid;
- 10 6-syklopropyl-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)nikotinamid;
- 4-syklopropyl-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- 15 5-fluor-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)pikolinamid;
- N-metyl-4-(metylsulfonyl)-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- N-(5-cyanopyridin-2-yl)-N-metyl-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 20 3-(6-aminopyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 4-klor-N-metyl-N-(3-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- 25 N-(3-(4-karbamoylfenyl)pyrazol[1,5-a]pyridin-5-yl)-4-fluor-N-metylbenzamid;
- 4-fluor-N-metyl-N-(3-(4-(5-(metylamino)-1,3,4-tiadiazol-2-yl)fenyl)pyrazol[1,5-a]pyridin-5-yl)benzamid;
- N-metyl-N-(5-(metylsulfonyl)pyridin-2-yl)-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 30 N-(5-cyanopyridin-2-yl)-N-metyl-3-(5-metylpyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(5-cyanopyridin-2-yl)-3-(5-metoksypyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 35 3-(5-karbamoylpyridin-2-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(4-karbamoylfenyl)-N-metyl-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;

- 3-(4-karbamoylfenyl)-N-metyl-N-(5-metylpyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(4-fluorfenyl)-N-metyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 5 4-(5-(1-(metyl(5-metylpyridin-2-yl)amino)etyl)pyrazol[1,5-a]pyridin-3-yl)benzamid;
- 4-(5-(1-(7-fluor-3-okso-2H-benzo[b][1,4]oksazin-4(3H)-yl)etyl)pyrazol[1,5-a]pyridin-3-yl)benzamid;
- 10 N-(4-cyanofenyl)-N-metyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(4-(5-(1-(metyl(5-metylpyridin-2-yl)amino)etyl)pyrazol[1,5-a]pyridin-3-yl)fenyl)acetamid;
- 3-(4-acetamidofenyl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 15 4-(5-(7-fluor-3,4-dihydro-2H-benzo[b][1,4]oksazin-4-karbonyl)pyrazol[1,5-a]pyridin-3-yl)benzamid;
- 4-(5-(7-fluor-3-metyl-3,4-dihydro-2H-benzo[b][1,4]oksazin-4-karbonyl)pyrazol[1,5-a]pyridin-3-yl)benzamid;
- 20 4-(5-(7-fluor-3,4-dihydro-2H-benzo[b][1,4]oksazin-4-karbonyl)pyrazol[1,5-a]pyridin-3-yl)-N-metylbenzamid;
- 4-(5-(7-fluor-3-metyl-3,4-dihydro-2H-benzo[b][1,4]oksazin-4-karbonyl)pyrazol[1,5-a]pyridin-3-yl)-N-metylbenzamid;
- N-(5-cyanopyridin-2-yl)-N-metyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 25 N-(5-cyanopyridin-2-yl)-3-(4-(metylkarbamoyl)fenyl)-N-(oksetan-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(1-(1H-pyrazol-1-yl)propan-2-yl)-3-(4-karbamoylfenyl)-N-(5-cyanopyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 30 3-(6-amino-5-fluorpyridin-3-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(4-amino-3,5-dimetylfenyl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(6-amino-5-metylpyridin-3-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 35 3-(4-karbamoylfenyl)-N-(4-cyanosykloheksyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;

- 3-(2-aminopyrimidin-5-yl)-N-(4-cyanofenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(6-amino-5-(trifluormetyl)pyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 5 3-(6-amino-5-cyanopyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(6-amino-5-klorpyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 10 3-(6-amino-5-(dimetylkarbamoyl)pyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(6-amino-5-metoksypyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(4-karbamoylfenyl)-N-(4-klor-2-formylfenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 15 N-(5-cyanopyridin-2-yl)-N-etyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(5-cyanopyridin-2-yl)-N-isopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 20 5-(5-(1-(4-cyanofenyl)-2-metylhydrazinkarbonyl)pyrazol[1,5-a]pyridin-3-yl)-N-metyl-pikolinamid;
- N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 5-cyano-N-metyl-N-(3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-yl)pikolinamid;
- 25 N-etyl-N-(5-fluorpyridin-2-yl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-etyl-3-(4-(metylkarbamoyl)fenyl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(4-cyanofenyl)-N-metyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 30 3-(5-amino-6-klorpyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- N-(4-klorfenyl)-N-metyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 35 3-(4-karbamoylfenyl)-N-(4-klorfenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;

- N-(5-cyanopyridin-2-yl)-3-(4-((2-hydroksyetyl)karbamoyl)fenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 N-metyl-3-(4-(metylkarbamoyl)fenyl)-N-(4-(trifluormetyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 5 3-(4-((2-aminoetyl)karbamoyl)fenyl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 3-(4-karbamoylfenyl)-N-(4-cyanofenyl)-N-(2-hydroksyetyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-
- 10 karboksamid;
 3-(6-klor-5-(metylsulfonamido) pyridin-3-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol [1,5-a]pyridin-5-karboksamid;
 3-(2-aminopyridin-4-yl)-N-(5-cyanopyridin-2-yl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
- 15 N-(4-klorfenyl)-N-metyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(4-cyanofenyl)-N-(2-hydroksyetyl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-syklobutyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-
- 20 a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-metyl-3-(4-(piperidin-4-ylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-metyl-3-(4-((2-(metylamino)etyl)karbamoyl)fenyl)pyrazol [1,5-a]pyridin-5-karboksamid;
- 25 N-(5-cyanopyridin-2-yl)-3-(4-((2-(dimetylamino)etyl)karbamoyl)fenyl)-N-metylpyrazol[1,5-a]pyridin-5-karboksamid;
 N-(4-klorfenyl)-N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 30 N-(5-cyanopyridin-2-yl)-N-(syklopropylmetyl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;
 N-(4-cyanofenyl)-N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(tert-butyl)-N-(5-cyanopyridin-2-yl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-
- 35 a]pyridin-5-karboksamid;
 3-(4-karbamoylfenyl)-N-(5-cyanopyridin-2-yl)-N-syklopropylpyrazol[1,5-a]pyridin-5-karboksamid;

- N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(4-(isopropylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(4-(syklopropylkarbamoyl)fenyl)pyrazol[1,5a] pyridin-5-karboksamid;
- 5 N-(5-klorpyridin-2-yl)-N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-syklopropyl-N-(5-fluorpyridin-2-yl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5 a]-pyridin-5-karboksamid;
- N-(5-cyanopyridin-2-yl)-N-syklopentyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;
- 10 N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(4-(etylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(5-karbamoylpyridin-2-yl)-N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;
- 15 N-syklopropyl-N-(3,4-difluorfenyl)-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(4-(oksetan-3-ylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;
- N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol [1,5-a]pyridin-5-karboksamid;
- 20 N-(5-cyanopyridin-2-yl)-N-syklopropyl-3-(5-(metylkarbamoyl)pyridin-2-yl)pyrazol[1,5-a] pyridin-5-karboksamid;
- 3-(4-karbamoylfenyl)-N-(4-cyanofenyl)-N-syklopropylpyrazol[1,5-a]pyridin-5-karboksamid;
- 25 3-(4-karbamoylfenyl)-N-syklopropyl-N-(3,4-difluorfenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)-N-(5-metylpyridin-2-yl)pyrazol[1,5-a] pyridin-5-karboksamid;
- N-(4-cyanofenyl)-N-syklopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a] pyridin-5-karboksamid;
- 30 3-(6-karbamoylpyridin-3-yl)-N-(4-cyanofenyl)-N-syklopropylpyrazol[1,5-a]pyridin-5-karboksamid;
- 3-(5-karbamoylpyridin-2-yl)-N-(5-cyanopyridin-2-yl)-N-syklopropylpyrazol[1,5-a]pyridin-5-karboksamid;
- 35 N-(5-cyanopyridin-2-yl)-N-etyl-3-(4-[N-metylsulfamoyl]fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;

- N-(4-cyanofenyl)-N-syklopropyl-3-(5-(metylkarbamoyl)pyridin-2-yl)pyrazol[1,5-a] pyridin-5-karboksamid;
 3-(5-karbamoylpyridin-2-yl)-N-(4-cyanofenyl)-N-syklopropylpyrazol[1,5-a]pyridin-5-karboksamid;
- 5 N-(4-klorfenyl)-N-syklopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a] pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-syklobutyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 10 N-(4-cyanofenyl)-N-syklobutyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(4-cyanofenyl)-N-isopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 5-cyano-N-syklopropyl-N-(3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-yl) pikolinamid;
- 15 N-(5-cyanopyridin-2-yl)-N-isopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-etyl-3-(6-[metylkarbamoyl]pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 20 N-(5-fluorpyridin-2-yl)-N-isopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-isopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol [1,5-a]pyridin-5-karboksamid;
 N-isopropyl-3-(4-(metylkarbamoyl)fenyl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 25 N-(5-cyanopyridin-2-yl)-N-isopropyl-3-(5-(metylkarbamoyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-syklobutyl-3-(5-(metylkarbamoyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 30 N-(5-fluorpyridin-2-yl)-N-isopropyl-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-etyl-3-(6-(metylkarbamoyl)pyridin-3-yl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;
 N-(5-cyanopyridin-2-yl)-N-etyl-3-(4-(metylsulfonyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid;
- 35 N-etyl-N-(5-fluorpyridin-2-yl)-3-(6-(metylkarbamoyl)pyridin-3-yl)pyrazol[1,5-a]pyridin-5-karboksamid;

N-syklobutyl-3-(4-(metylkarbamoyl)fenyl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;

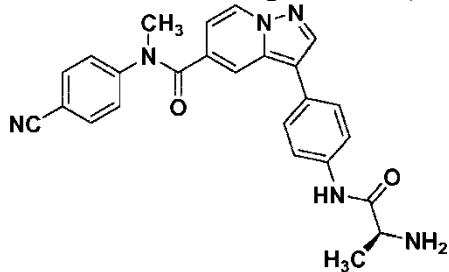
N N-syklobutyl-3-(6-(metylkarbamoyl)pyridin-3-yl)-N-(5-(trifluormetyl)pyridin-2-yl)pyrazol[1,5-a]pyridin-5-karboksamid;

5 4-(5-(N-(5-cyanopyridin-2-yl)-N-metylsulfamoyl)pyrazol[1,5-a]pyridin-3-yl)-N-metyl-benzamid;

4-(5-(N-(5-cyanopyridin-2-yl)-N-syklopropylsulfamoyl)pyrazol[1,5-a]pyridin-3-yl)-N-metylbenzamid; og

10 4-(5-(N-(5-cyanopyridin-2-yl)-N-syklopropylsulfamoyl)pyrazol[1,5-a]pyridin-3-yl)benzamid.

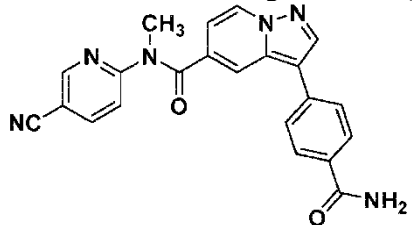
11. Forbindelse ifølge krav 10, som er:



eller et farmasøytisk akseptabelt salt derav.

15

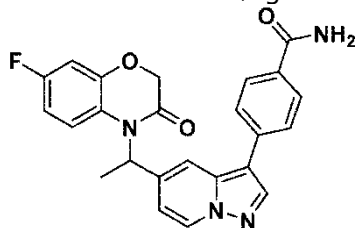
12. Forbindelse ifølge krav 10, som er:



eller et farmasøytisk akseptabelt salt derav.

20

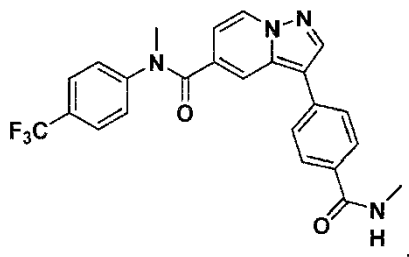
13. Forbindelse ifølge krav 10, som er:



eller et farmasøytisk akseptabelt salt derav.

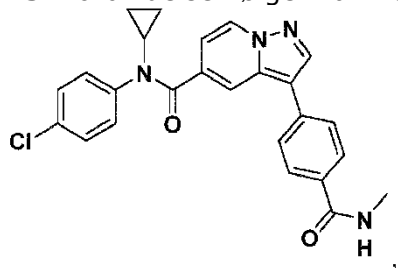
14. Forbindelse ifølge krav 10, som er:

13



eller et farmasøytisk akseptabelt salt derav.

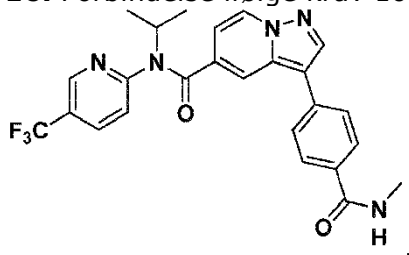
15. Forbindelse ifølge krav 10, som er:



5

eller et farmasøytisk akseptabelt salt derav.

16. Forbindelse ifølge krav 10, som er:



10

eller et farmasøytisk akseptabelt salt derav.

17. Forbindelse som er valgt fra:

4-(5-((5-cyanopyridin-2-yl)(metyl)karbamoyl)pyrazol[1,5-a]pyridin-3-yl)benzoesyre;

15

N-(5-(2-aminoetoksy)pyridin-2-yl)-N-metyl-3-(4-

(metylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;

N-(6-metoksy-pyridin-3-yl)-N-metyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a] pyridin-5-karboksamid;

6-(N-syklopropyl-3-(4-(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamido) nikotinsyre;

20

N-(5-cyano-6-metoksy-pyridin-2-yl)-N-syklopropyl-3-(4-

(metylkarbamoyl)fenyl)pyrazol [1,5-a]pyridin-5-karboksamid; og

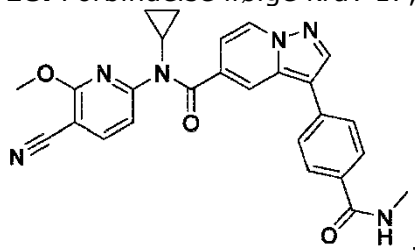
N-(5-cyano-6-(2-hydroksyetoksy)pyridin-2-yl)-N-etyl-3-(4-

(metylkarbamoyl)fenyl)pyrazol[1,5-a]pyridin-5-karboksamid,

14

eller et farmasøytisk akseptabelt salt derav.

18. Forbindelse ifølge krav 17, som er:



5 eller et farmasøytisk akseptabelt salt derav.

19. Farmasøytisk sammensetning omfattende minst én forbindelse ifølge et hvilket som helst av kravene 1 til 18 eller et farmasøytisk akseptabelt salt derav, og en farmasøytisk akseptabel bærer, tynner eller eksipient.

10

20. Forbindelse ifølge et hvilket som helst av kravene 1 til 18 eller farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, for anvendelse alene eller i kombinasjon med et andre middel i behandling, forebygging, inhibering, bedring eller utryddelse av patologien og/eller symptomologien til en sykdom forårsaket av en Plasmodium-parasitt.

15

21. Forbindelse, farmasøytisk akseptabelt salt, tautomer eller stereoisomer derav, for anvendelse ifølge krav 20, hvori sykdommen er malaria.