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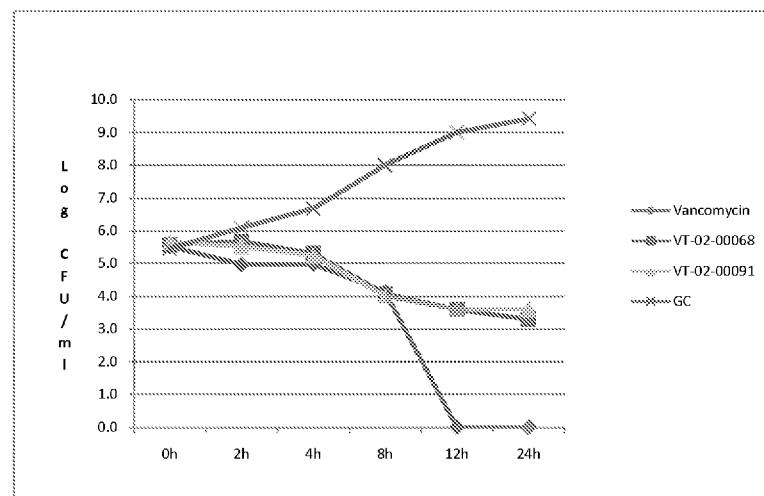
Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i))
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

[Continued on next page]

(54) Title: HETEROCYCLIC COMPOUNDS AS INHIBITORS OF FATTY ACID BIOSYNTHESIS FOR BACTERIAL INFECTIONS

Figure 1



(57) Abstract: The present invention relates to novel heterocyclic compounds which specifically inhibit bacterial Fab I and can be used for the treatment of Staphylococcal infections.



— *of inventorship (Rule 4.17(iv))*

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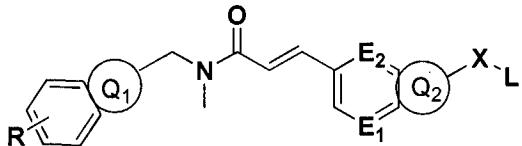
— *with international search report (Art. 21(3))*

— *with amended claims (Art. 19(1))*

Date of publication of the amended claims: 8 August 2013

AMENDED CLAIMS
received by the International Bureau on 15 April 2013 (15.04.2013).

1. A compound of formula A



or its prodrugs, tautomeric forms, stereoisomers, optical isomers, pharmaceutically acceptable salts, solvates or polymorphs thereof, wherein

Q_1 is 5-membered heterocyclic ring substituted with alkyl chain at 2 or 3, or 8-10 membered bicyclic group wherein a six membered heterocyclic ring is fused with 5-membered heterocyclic ring;

Q_2 represents a 5-10 membered monocyclic or bicyclic heteroaryl ring, 5-10 membered monocyclic or bicyclic heterocycloalkyl group, 8-10 membered bicyclic group wherein a 5-6 membered heterocycloalkyl ring is fused to 5-6 membered aryl, heteroaryl, cycloalkyl or heterocycloalkyl ring, or 5-10 membered monocyclic or bicyclic ring wherein the 5-6 membered ring is fused to a 3-6 membered cycloalkyl, heterocycloalkyl ring.

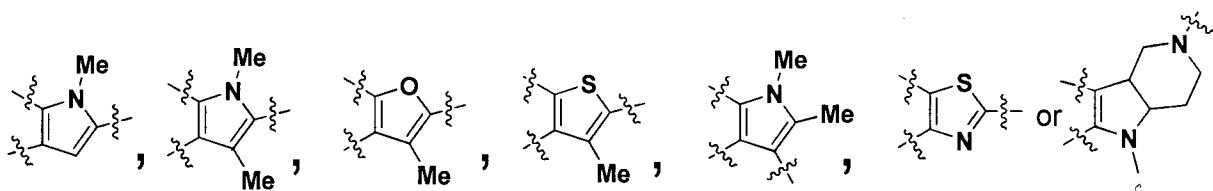
R is selected from small alky group or halogen substitution;

X is selected from a group consisting of NH, O, -(CH₂)_n-, S, -C(=O)-, -SO₂-, -NHC(=O)-, -NHSO₂-, alkyl, cycloalkyl, heteroalkyl, aryl, and alkyl wherein n = 0, 1, 2;

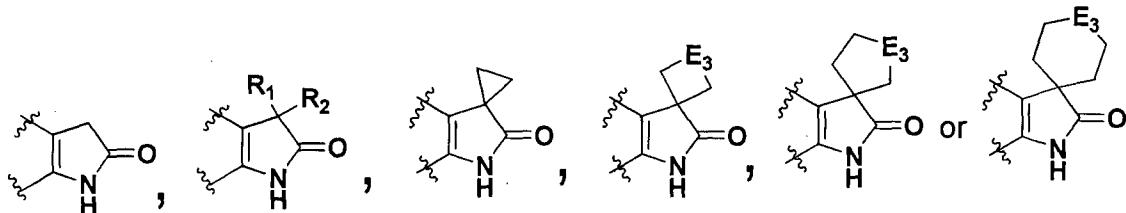
L is selected from H, alkyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl;

E₁ and E₂ are independently selected from the group consisting of -CH- and N.

2. The compound of claim 1 wherein Q_1 is

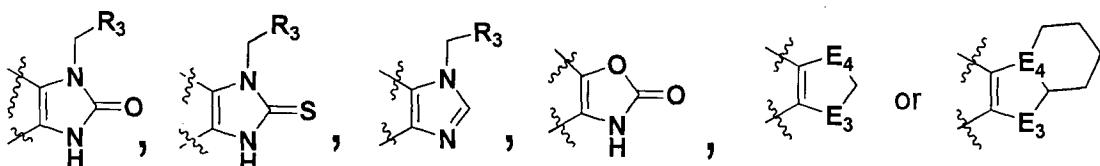


3. The compound of claim 1 wherein Q₂ is



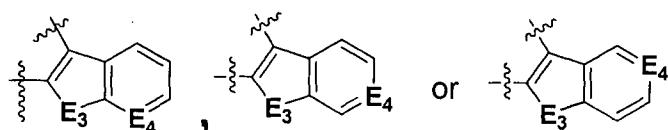
wherein E₃ is selected from the group consisting of -CH₂- , NH, NMe and O; and R₁ and R₂ are independently selected from the group consisting of methyl, ethyl, *n*-propyl and alkyl chain (C4-C9) .

4. The compound of claim 1 wherein Q₂ is



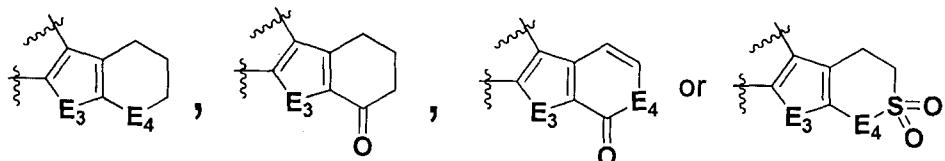
wherein E₃ and E₄ are independently selected from the group consisting of -CH₂- and NH and N with the proviso that both E₃ and E₄ are NH or one of E₃, E₄ is NH or one of E₃, E₄ is NH or one of E₃, E₄ is N-X-L and R₃ is selected from a group consisting of small alkyl group comprisingmethyl, ethyl or *n*-propyl and 3-6 membered cycloalkyl ring.

5. The compound of claim 1 wherein Q₂ is



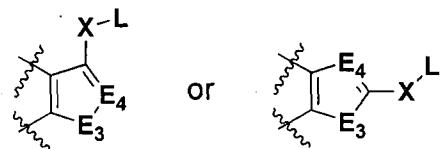
wherein E₃ and E₄ are independently selected from the group consisting of -CH₂- , NH or N with the proviso that E₃ is NH and E₄ is N.

6. The compound of claim 1 wherein Q₂ is



E₃ and E₄ are independently selected from the group consisting of NH or N-X-L.

7. The compound of claim 1 wherein Q₂ is



E₃ and E₄ are independently selected from the group consisting of -CH₂-, N, O, S and NH or N-X-L with the proviso that E₃ is NH or O or S or -N-X-L while E₄ is -CH₂- or N.

8. The compound of claim 1 wherein the compound is,

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)acrylamide;

(E)-3-(3-amino-1H-pyrazolo[3,4-b]pyridin-5-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-N-Methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-(1H-pyrazolo[3,4-b]pyridin-5-yl)-acrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(9H-pyrido[2,3-b]indol-3-yl)acrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(9H-pyrido[2,3-b]indol-6-yl)acrylamide;

(E)-N-Methyl-3-(3-methylamino-1H-pyrazolo[3,4-b]pyridin-5-yl)-N-(1-methyl-1H-indol-2-ylmethyl)-acrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(3-(1-methylpiperidin-4-ylamino)-1H-pyrazolo[3,4-b]pyridin-5-yl)acrylamide;

(E)-3-(3-(ethylamino)-1H-pyrazolo[3,4-b]pyridin-5-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-3-(3-Dimethylamino-1H-pyrazolo[3,4-b]pyridin-5-yl)-N-methyl-N-(1-methyl-1H-indol-2-ylmethyl)-acrylamide;

(E)-N-Methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-(1-methyl-2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)-acrylamide;

(E)-3-(1-ethyl-2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-3-(3-Methoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)-N-methyl-N-(1-methyl-1H-indol-2-ylmethyl)-acrylamide;

(E)-3-(1-cyclopropyl-2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-N-(benzo[b]thiophen-2-ylmethyl)-3-(1-ethyl-2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)-N-methylacrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)acrylamide;

(E)-N-(benzo[d]thiazol-2-ylmethyl)-3-(1-ethyl-2-oxo-2,3-dihydro-1H-imidazo[4,5-b]pyridin-6-yl)-N-methylacrylamide;

(E)-3-(3,3-dimethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(2-oxo-2,3-dihydrooxazolo[4,5-b]pyridin-6-yl)acrylamide;

(E)-3-(3H-imidazo[4,5-b]pyridin-6-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-3-(3,3-diethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)acrylamide;

(E)-N-(benzo[b]thiophen-2-ylmethyl)-3-(3,3-dimethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methylacrylamide;

(E)-3-(3,3-dimethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((3-methylbenzofuran-2-yl)methyl)acrylamide;

(E)-3-(3,3-dimethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((3-methylbenzo[b]thiophen-2-yl)methyl)acrylamide;

(E)-N-methyl-N-((1-methyl-1H-indol-2-yl)methyl)-3-(2'-oxo-1',2'-dihydrospiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide,

(E)-3-(3,3-diethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((3-methylbenzofuran-2-yl)methyl)acrylamide;

(E)-N-methyl-N-((3-methylbenzo[b]thiophen-2-yl)methyl)-3-(2'-oxo-1',2'-dihydrospiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide;

(E)-N-methyl-N-((3-methylbenzo[b]thiophen-2-yl)methyl)-3-(2'-oxo-1',2'-dihydrospiro[cyclopentane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide;

(E)-N-methyl-N-((3-methylbenzo[b]thiophen-2-yl)methyl)-3-(2'-oxo-1',2'-dihydrospiro[cyclohexane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide;

(E)-3-(3,3-diethyl-2-oxo-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-5-yl)-N-methyl-N-((3-methylbenzo[b]thiophen-2-yl)methyl)acrylamide;

(E)-5'-(3-(5-methyl-3,4-dihydro-1H-pyrido[4,3-b]indol-2(5H)-yl)-3-oxoprop-1-enyl)spiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one;

(E)-N-methyl-N-((1-methyl-1H-indol-3-yl)methyl)-3-(2'-oxospiro[cyclobutane-1,3'-indoline]-5-yl)acrylamide;

(E)-N-((3,5-dimethylbenzofuran-2-yl)methyl)-N-methyl-3-(2'-oxospiro[cyclobutane-1,3'-indoline]-5'-yl)acrylamide;

(E)-5'-(3-(3,4-dihydrobenzofuro[2,3-c]pyridin-2(1H)-yl)-3-oxoprop-1-enyl)spiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one;

(E)-5'-(3-(3,4-dihydrobenzofuro[3,2-c]pyridin-2(1H)-yl)-3-oxoprop-1-enyl)spiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one;

(E)-5'-(3-(9-methyl-3,4-dihydro-1H-pyrido[3,4-b]indol-2(9H)-yl)-3-oxoprop-1-enyl)spiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridin]-2'(1'H)-one ;

(E)-3,3-diethyl-5-(3-(5-methyl-3,4-dihydro-1H-pyrido[4,3-b]indol-2(5H)-yl)-3-oxoprop-1-enyl)-1H-pyrrolo[2,3-b]pyridin-2(3H)-one;

(E)-N-((1,2-dimethyl-1H-indol-3-yl)methyl)-N-methyl-3-(2'-oxo-1',2'-dihydrospiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide; or

(E)-N-methyl-N-((3-methylbenzofuran-2-yl)methyl)-3-(2'-oxo-1',2'-dihydrospiro[cyclobutane-1,3'-pyrrolo[2,3-b]pyridine]-5'-yl)acrylamide.

9. Use of the compound of claim 1 in the treatment of patients suffering from Staphylococcal infections.

10. Use of the compound of claim 1 in the treatment of patients suffering from human infections selected from skin, skin structure infections, lung infections, endocarditis, blood stream infections, surgical site infections and infections associated with intravascular devices caused by microorganisms selected from the group consisting of *Staphylococcus aureus*, *Staphylococcus epidermidis* and *Staphylococcus haemolyticus*.