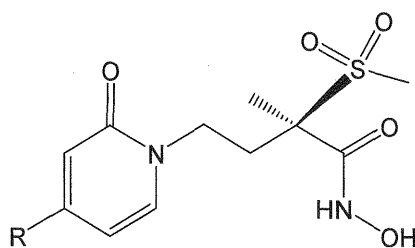


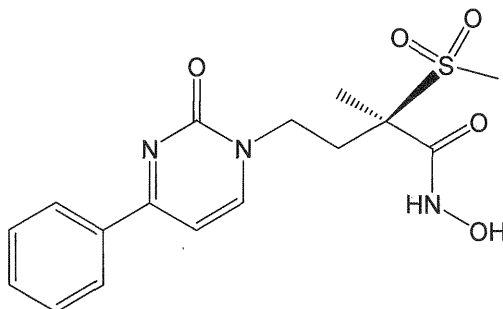
R<sup>1B</sup> represents 3-hydroxyoxetan-3-yl, 3-hydroxythietan-3-yl, hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl, amino(C<sub>1</sub>-C<sub>3</sub>)alkyl, 1-hydroxymethyl-cycloprop-1-yl or *trans*-2-hydroxymethyl-cycloprop-1-yl.

Besides, in Montgomery et al., *J. Med. Chem.* (2012), **55**(4), 1662-1670, further LpxC inhibitors are disclosed, among others the compounds of general formula (A8)



(A8)

wherein R can notably be phenylethynyl or styryl, and the compound of formula (A9)

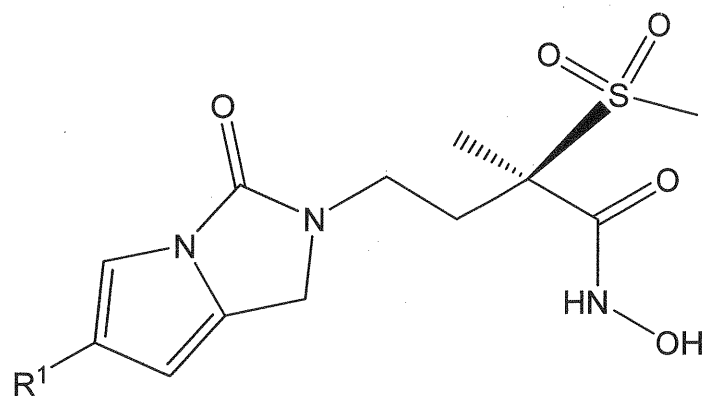


(A9)

The instant invention provides new antibacterial 1,2-dihydro-3*H*-pyrrolo[1,2-*c*]imidazol-3-one derivatives, namely the compounds of formula I described herein.

#### Summary

10 In one aspect, there is provided a compound of formula I

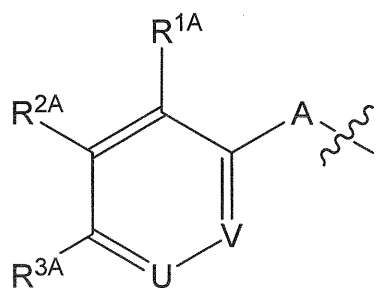


I

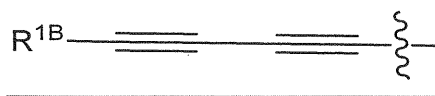
wherein

$R^1$  represents the group M;

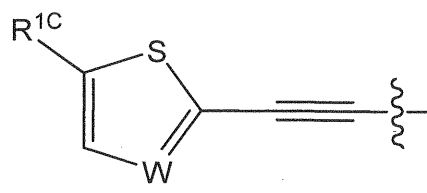
M is one of the groups  $M^A$ ,  $M^B$  and  $M^C$  represented below



$M^A$



$M^B$



$M^C$

wherein A represents a bond, CH=CH or C≡C;

5

U represents N or CH;

V represents N or CH;

W represents N or CH;

$R^{1A}$  represents H or halogen;

$R^{2A}$  represents H, (C<sub>1</sub>-C<sub>3</sub>)alkoxy or halogen;

R<sup>3A</sup> represents H, halogen, (C<sub>1</sub>-C<sub>3</sub>)alkoxy, hydroxy(C<sub>2</sub>-C<sub>4</sub>)alkoxy, dihydroxy(C<sub>3</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>3</sub>)alkoxy, (C<sub>1</sub>-C<sub>3</sub>)thioalkoxy, trifluoromethoxy, trifluoromethyl, amino, hydroxy(C<sub>1</sub>-C<sub>4</sub>)alkyl, 1,2-dihydroxyethyl, 1-hydroxy-2,2-difluoroethyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl, 2-hydroxy-1-oxoethyl, 5 [(C<sub>1</sub>-C<sub>4</sub>)alkoxy]carbonyl, methylsulfonamidomethyl, 3-hydroxy-3-methylbut-1-yn-1-yl, 2-hydroxyacetamido, (carbamoyloxy)methyl, 1-aminocyclopropyl, 1-hydroxymethyl-cycloprop-1-yl, 1-(((dimethylglycyl)oxy)methyl)cyclopropyl, 1-aminomethyl-cycloprop-1-yl, 1-(carbamoyloxy)methyl-cycloprop-1-yl, 1-(morpholin-4-yl)methylcycloprop-1-yl, *trans*-2-hydroxymethyl-cycloprop-1-yl, 1-(hydroxymethyl)-cyclobut-1-yl, 10 1-(2-hydroxyacetyl)azetidin-3-yl, (1-*tert*-butyloxycarbonyl)-3-hydroxyazetidin-3-yl, 3-hydroxyoxetan-3-yl, 3-(hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl)oxetan-3-yl, 3-aminooxetan-3-yl, 3-hydroxythietan-3-yl, 4-aminopiperidin-1-yl, morpholin-4-yl(C<sub>2</sub>-C<sub>3</sub>)alkoxy, [4-*N*-(C<sub>1</sub>-C<sub>3</sub>)alkylpiperazin-1-yl](C<sub>1</sub>-C<sub>3</sub>)alkyl, morpholin-4-yl-(C<sub>1</sub>-C<sub>2</sub>)alkyl, [1,2,3]triazol-2-yl, 3-[hydroxy(C<sub>2</sub>-C<sub>3</sub>)alkyl]-2-oxo-imidazolidin-1-yl, (*Is,3r*)-(1-hydroxy-15 3-(hydroxymethyl)cyclobutyl)methyl, (4-hydroxypiperidinyl)methyl or (4-aminopiperidinyl)methyl;

R<sup>1B</sup> represents 3-hydroxyoxetan-3-yl, 3-hydroxythietan-3-yl, 3-(hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl)oxetan-3-yl, hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl, 1,2-dihydroxyethyl, amino(C<sub>1</sub>-C<sub>3</sub>)alkyl, (dimethylamino)methyl, methylsulfonamidomethyl, 20 1-aminocyclopropyl, 1-hydroxymethyl-cycloprop-1-yl, 1-(carbamoyloxy)methyl-cycloprop-1-yl, 1-(((dimethylglycyl)oxy)methyl)cycloprop-1-yl, 1-((phosphonooxy)methyl)-cycloprop-1-yl, 1-(((phosphonooxy)methoxy)carbonyl)oxymethyl)cycloprop-1-yl, 1-(((phosphonooxy)methoxy)carbonyl)amino)-cycloprop-1-yl, *trans*-2-hydroxymethyl-cycloprop-1-yl, 25 1-fluoro-2-hydroxymethyl-cycloprop-1-yl, 2-fluoro-2-hydroxymethyl-cycloprop-1-yl, 1-methyl-2-hydroxymethyl-cycloprop-1-yl, 2-hydroxymethyl-2-methylcycloprop-1-yl, (1*R*\*,2*S*\*,3*S*\*)-1,2-*bis*-(hydroxymethyl)-cycloprop-3-yl, 1-(hydroxymethyl)cyclobut-1-yl, 3-amino-oxetan-3-yl, 3-(hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl)oxetan-3-yl, 1-(2-hydroxyacetyl)-azetidin-3-yl, *trans*-(*cis*-3,4-dihydroxy)-cyclopent-1-yl, 30 3-hydroxymethyl-bicyclo[1.1.1]pentan-1-yl, 4-hydroxy-tetrahydro-2*H*-pyran-4-yl, 5-amino-tetrahydro-2*H*-pyran-2-yl, 3-hydroxyoxetan-3-ylmethyl, 1-cyclobutyl-2-hydroxyethyl or 1-(oxetan-3-yl)-azetidin-3-yl; and

R<sup>1C</sup> represents 1-aminocyclopropyl or hydroxy(C<sub>1</sub>-C<sub>3</sub>)alkyl;

or a salt of this compound.

In another aspect, there is provided a compound of formula I as defined herein, or a pharmaceutically acceptable salt thereof for use as a medicament.

5 In yet another aspect, there is provided a pharmaceutical composition containing, as active ingredient, a compound of formula I as defined herein, or a pharmaceutically acceptable salt thereof, and at least one therapeutically inert excipient.

In a further aspect, there is provided a use of a compound of formula I as defined herein, or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the prevention or treatment of a bacterial infection.

10

#### **Detailed Disclosure of Embodiments**

- (R)-N-hydroxy-2-methyl-4-(6-((4-(methylsulfonamidomethyl)phenyl)ethynyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-2-methyl-2-(methylsulfonyl)-4-(6-((1-(oxetan-3-yl)azetidin-3-yl)buta-1,3-diyn-1-yl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)butanamide;
- (R)-N-hydroxy-4-(6-(4-(3-hydroxyoxetan-3-yl)phenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-4-(6-((4-(2-ethoxypropan-2-yl)phenyl)ethynyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-N-hydroxy-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-4-(6-((2-fluoro-4-(hydroxymethyl)phenyl)ethynyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-N-hydroxy-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-4-(6-(4-(3-hydroxy-3-methylbut-1-yn-1-yl)phenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-4-(6-(5-hydroxy-5-methylhexa-1,3-diyn-1-yl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-2-methyl-4-(6-((4-((4-methylpiperazin-1-yl)methyl)phenyl)ethynyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-4-(6-(4-(2-hydroxyethoxy)phenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-N-hydroxy-4-(6-(4-(2-methoxyethoxy)phenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-4-(6-(2-fluoro-4-methylphenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-N-hydroxy-2-methyl-2-(methylsulfonyl)butanamide;
- (R)-4-(6-(3-fluoro-4-isopropoxyphenyl)-3-oxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl)-N-hydroxy-2-methyl-2-(methylsulfonyl)butanamide;

or a salt of this compound.

**12.** ~~As a medicament,~~ a compound of formula I as defined in one of claims 1 to 11, or a pharmaceutically acceptable salt thereof for use as a medicament.

**13.** A pharmaceutical composition containing, as active ingredient, a compound of formula I as defined in one of claims 1 to 11, or a pharmaceutically acceptable salt thereof, and at least one therapeutically inert excipient.

14. Use of Aa compound of formula I as defined in one of claims 1 to 11, or a pharmaceutically acceptable salt thereof, in the preparation of a medicament for the prevention or treatment of a bacterial infection.

15. ~~A compound or pharmaceutically acceptable salt~~ The use according to claim 14, which is for the prevention or treatment of a Gram-negative bacterial infection.