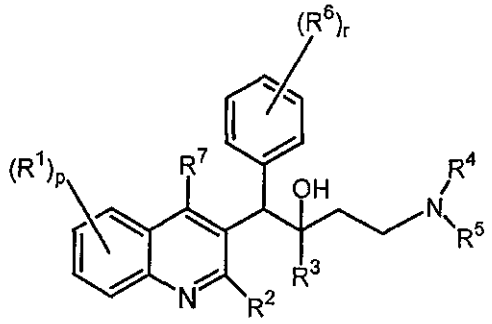
Claims

1. Use of a compound for the manufacture of a medicament for the treatment of a bacterial infection, said compound being a compound of formula



(I)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a *N*-oxide form thereof, wherein

R^1 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, Ar or Het;

p is an integer equal to 1 or 2;

R^2 is C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyloxy or C_{1-6}alkylthio;

R^3 is C_{1-6}alkyl, Ar, Het or Het[1];

R^4 and R^5 each independently are hydrogen, C_{1-6}alkyl or benzyl; or

R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl;

R^6 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkylthio; or

two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH- ;

r is an integer equal to 1 or 2;

R^7 is hydrogen, C_{1-6}alkyl, Ar, Het or Het[1];

Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, 1,2-dihydro-acenaphthyl, tetrahydronaphthyl, each homocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of

hydroxy, halo, cyano, nitro, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl, carboxyl, C_{1-6}alkyloxycarbonyl, aminocarbonyl, morpholinyl and mono- or di(C_{1-6}alkyl)aminocarbonyl;

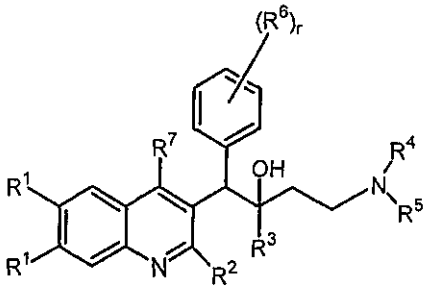
Het is a monocyclic heterocycle selected from the group of *N*-phenoxypiperidinyl, piperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; each monocyclic heterocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of halo, hydroxy, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl or Ar-C(=O)-;

Het^1 is a bicyclic heterocycle selected from the group of quinolinyl, quinoxalinyl, indolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl ; each bicyclic heterocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of halo, hydroxy, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl or Ar-C(=O)-;

provided that the bacterial infection is other than a Mycobacterial infection; and provided that the compound is other than (αS, βR)-6-bromo-α-[2-(dimethylamino) ethyl]-2-methoxy-α-1-naphthalenyl-β-phenyl-3-quinolineethanol, a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof.

2. Use according to claim 1 wherein R^1 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, Ar or Het; R^2 is Ar, Het or Het^1, and Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each homocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl, carboxyl, C_{1-6}alkyloxycarbonyl, aminocarbonyl, morpholinyl and mono- or di(C_{1-6}alkyl)aminocarbonyl.

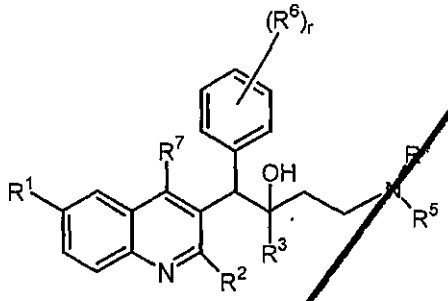
3. Use according to claim 1 or 2 wherein the compound of formula (I) is a compound having the following formula



(I-a)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a *N*-oxide form thereof.

4. Use according to any one of the preceding claims wherein the compound of formula (I) or (I-a) is a compound having the following formula



(I-b)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a *N*-oxide form thereof.

5. Use according to any one of the preceding claims wherein R^1 is hydrogen; C_{1-6}alkyl; halo; hydroxyC_{1-6}alkyl; C_{1-6}alkyloxy; optionally substituted phenyl; or Het.

6. Use according to claim 5 wherein R^1 is hydrogen, halo, optionally substituted phenyl, or Het.

7. Use according to claim 6 wherein R^1 is hydrogen, halo or optionally substituted phenyl.

8. Use according to claim 7 wherein R^1 is halo.

9. Use according to any one of the preceding claims wherein R^2 is C_{1-6}alkyloxy or C_{1-6}alkylthio.

10. Use according to any one of the preceding claims wherein R^3 is C_{1-6}alkyl, Ar or Het.

11. Use according to claim 10 wherein R^3 is Ar or Het.

12. Use according to any one of claims 1 to 9 wherein R^3 is Ar or Het^1.

13. Use according to claim 10, 11 or 12 wherein R^3 is Ar.

14. Use according to claim 13 wherein R^3 is optionally substituted phenyl or optionally substituted naphthyl.

15. Use according to any one of the preceding claims wherein R^4 and R^5 each independently are hydrogen, C_{1-6}alkyl or benzyl.

16. Use according to claim 15 wherein R^4 and R^5 each independently are hydrogen or C_{1-6}alkyl.

17. Use according to any one of claims 1 to 14 wherein R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl.

18. Use according to claim 17 wherein R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl.

19. Use according to any one of the preceding claims wherein R^6 is hydrogen, halo, polyhaloC_{1-6}alkyl, or C_{1-6}alkyl; or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-.

20. Use according to any one of claims 1 to 18 wherein R^6 is hydrogen, halo, C_{1-6}alkyl, C_{1-6}alkyloxy, or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-.

21. Use according to claim 19 or 20 wherein R^6 is hydrogen or halo.

22. Use according to any one of the preceding claims wherein R^7 is hydrogen.

23. Use according to any one of the preceding claims wherein r is an integer equal to 1.

24. Use according to any one of claims 1, 2, 5 to 23 wherein p is an integer equal to 1.

25. Use according to claim 3 provided that when one R^1 is C_{1-6}alkyl then the other R^1 substituent is selected from halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, Ar or Het.

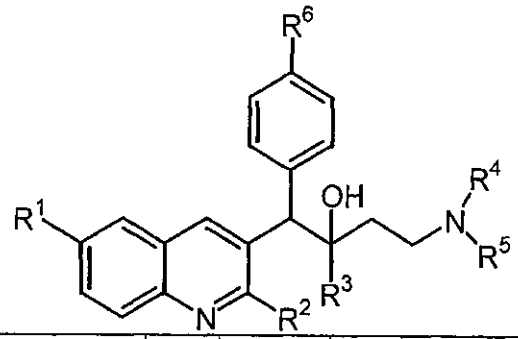
26. Use according to any one of the preceding claims wherein the bacterial infection is an infection with a gram-positive bacterium.

27. Use according to claim 1 wherein R^1 is hydrogen; C_{1-6}alky; halo; hydroxyC_{1-6}alkyl; C_{1-6}alkyloxy; phenyl; furanyl optionally substituted with hydroxyC_{1-6}alkyl; or pyridyl; R^2 is C_{1-6}alkyloxy; C_{1-6}alkylthio; or C_{1-6}alkyloxyC_{1-6}alkyloxy; R^3 is phenyl optionally substituted with 1 or 2 halo; naphthyl optionally substituted with 1 or 2 halo or C_{1-6}alkyloxy; thienyl; piperidinyl substituted with Ar-C(=O); 2,3-dihydrobenzo[1,4]dioxinyl; benzo[1,3]dioxolyl; acenaphthyl; furanyl; or C_{1-6}alkyl; R^4 and R^5 are each independently hydrogen; C_{1-6}alkyl; benzyl; or R^4 and R^5 together and including the N to which they are attached may form a radical selected from imidazolyl; pyrazinyl substituted with C_{1-6}alkyl; piperazinyl substituted with C_{1-6}alkyl; piperazinyl substituted with pyrimidinyl; piperidinyl; piperidinyl substituted with dimethylamino; thiomorpholinyl; morpholinyl; pyrrolidinyl; or triazolyl; R^6 is hydrogen; halo; C_{1-6}alkyl; C_{1-6}alkyloxy or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-; R^7 is hydrogen.

28. Use according to claim 1 provided that when R^3 is Ar, Het or Het^1; then R^1 is hydroxyC_{1-6}alkyl or C_{1-6}alkyloxy.

29. Use according to claim 28 wherein R^1 is halo, hydroxyC_{1-6}alkyl, or C_{1-6}alkyloxy; R^2 is C_{1-6}alkyloxy; R^3 is C_{1-6}alkyl, phenyl or naphthyl; R^4 and R^5 are C_{1-6}alkyl; R^6 is hydrogen; R^7 is hydrogen; p is 1; r is 1.

30. Use according to claim 1 wherein the compound of formula (I) is selected from the following compounds



R^1	R^2	R^3	R^4	R^5	R^6	Stereochemistry
Cl	OCH_3	phenyl	CH_3	CH_3	H	(B)
phenyl	OCH_3	phenyl	CH_3	CH_3	H	(A)
Br	SCH_3	phenyl	CH_3	CH_3	H	(B)
Br	OCH_3	3-fluorophenyl	CH_3	CH_3	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	Cl	(A)
Br	OCH_3	2-naphthyl	CH_3	CH_3	H	(B)
Br	OCH_3	1-naphthyl	CH_3	CH_3	F	(B)
Br	OCH_3	phenyl	H	H	H	(A)
Br	OCH_3	2,4-difluorophenyl	H	CH_2CH_3	H	(B)
Br	OCH_3	1-naphthyl	CH_3	CH_3	H	(A2) ; (1S,2R)
Br	OCH_3	phenyl	CH_3	CH_3	H	(B1) ; (1S,2S)
Br	OCH_3	phenyl	CH_3	CH_3	H	(B2) ; (1R,2R)
Br	OCH_3	1-naphthyl	CH_3	H	H	(A2)
Br	OCH_3	2-naphthyl	CH_3	H	H	(B)

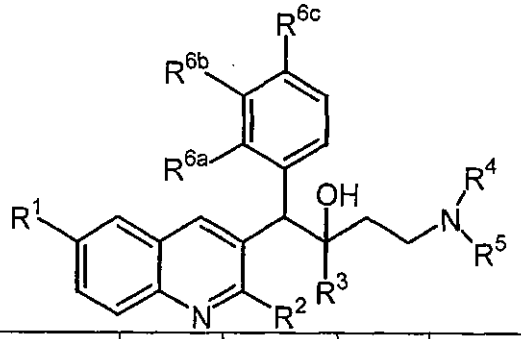
a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof.

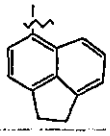
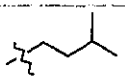
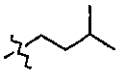
31. A combination of (a) a compound of formula (I) as defined in any one of claims 1 to 30, and (b) one or more other antibacterial agents provided that the one or more other antibacterial agents are other than antimycobacterial agents.

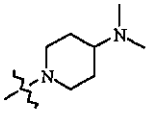
32. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and, as active ingredient, a therapeutically effective amount of (a) a compound of formula (I) as defined in any one of claims 1 to 30, and (b) one or more other antibacterial agents provided that the one or more other antibacterial agents are other than antimycobacterial agents.

33. The use of a combination as claimed in claim 31 or a pharmaceutical composition as claimed in claim 32 for the treatment of a bacterial infection.

34. A compound of formula (I) wherein the compound is selected from the following compounds:



R^1	R^2	R^3	R^4	R^5	R^{6a}	R^{6b}	R^{6c}	Stereo-chemistry
Br	OCH_3	phenyl	CH_3	CH_3	H	H	H	(B1);(1S,2S)
Br	OCH_3	phenyl	CH_3	CH_3	H	H	H	(B2);(1R,2R)
3-pyridyl	OCH_3	3,5-difluorophenyl	CH_3	CH_3	H	H	H	(A)
2-furanyl	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(B)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3		CH_3	CH_3	H	H	H	(B)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	H	H	(B)
$-CH_2OH$	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(B)
$-CH_2OH$	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(A)
Br	OCH_3	1-naphthyl	CH_3	H	H	H	H	(A2)
Br	OCH_3	2-naphthyl	CH_3	H	H	H	H	(B)
Br	OCH_3	2-naphthyl	CH_3	H	H	H	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_3	H	H	H	(A)
CH_3	OCH_3	phenyl	CH_3	H	H	H	H	(B)
OCH_3	OCH_3	phenyl	CH_2CH_3	CH_2CH_3	H	H	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_3	H	Cl	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_2CH_3	H	H	Cl	(B)

R^1	R^2	R^3	R^4	R^5	R^{6a}	R^{6b}	R^{6c}	Stereo-chemistry
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	Cl	(B)
Br	OCH_3	phenyl	CH_3	CH_3	OCH_3	OCH_3	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	Cl	H	Cl	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	OCH_3	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	CH_3	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	CH_3	H	(A)
Br	OCH_3	phenyl	NR^4R^5 = 		H	H	H	(A)
H	SCH_3	phenyl	NR^4R^5 = 1-imidazolyl		H	H	H	(B1)
H	SCH_3	phenyl	NR^4R^5 = 1-imidazolyl		H	H	H	(B2)

a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof.

35. Use according to any one of claims 1 to 30 and 33 wherein the bacterial infection is an infection with Staphylococci, Enterococci or Streptococci.

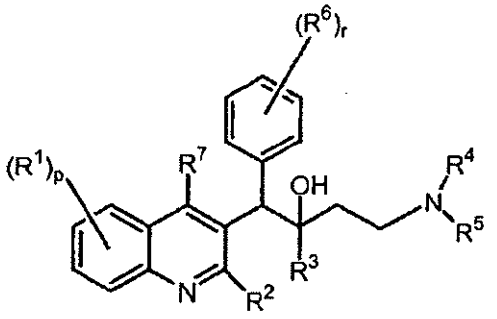
36. Use according to any one of claims 1 to 30 and 33 wherein the bacterial infection is an infection with methicillin resistant *Staphylococcus aureus* (MRSA), methicillin resistant coagulase negative staphylococci (MRCNS), penicillin resistant *Streptococcus pneumoniae* or multiple resistant *Enterococcus faecium*.

37. Use according to any one of claims 1 to 30 and 33 wherein the bacterial infection is an infection with Staphylococcus aureus or Streptococcus pneumoniae.

38. Use according to any one of claims 1 to 30 and 33 wherein the bacterial infection is an infection with methicillin resistant *Staphylococcus aureus (MRSA).*

Claims

1. Use of a compound for the manufacture of a medicament for the treatment of a bacterial infection caused by *Staphylococci, Enterococci* or *Streptococci,* said compound being a compound of formula



(I)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a N-oxide form thereof, wherein

R^1 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, Ar or Het;
p is an integer equal to 1 or 2;
R^2 is C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyloxy or C_{1-6}alkylthio;
R^3 is C_{1-6}alkyl, Ar, Het or Het^1;
R^4 and R^5 each independently are hydrogen, C_{1-6}alkyl or benzyl; or
R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl;
R^6 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkylthio; or

two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH- ;

r is an integer equal to 1 or 2;
R^7 is hydrogen, C_{1-6}alkyl, Ar, Het or Het^1;
Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, 1,2-dihydro-acenaphthyl, tetrahydronaphthyl, each homocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl, carboxyl, C_{1-6}alkyloxycarbonyl, aminocarbonyl, morpholinyl and mono- or di(C_{1-6}alkyl)aminocarbonyl;
Het is a monocyclic heterocycle selected from the group of *N*-phenoxypiperidinyl, piperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; each monocyclic heterocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of halo, hydroxy, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl or Ar-C(=O)-;
Het^1 is a bicyclic heterocycle selected from the group of quinolinyl, quinoxalinyl, indolyl, benzimidazolyl, ben-

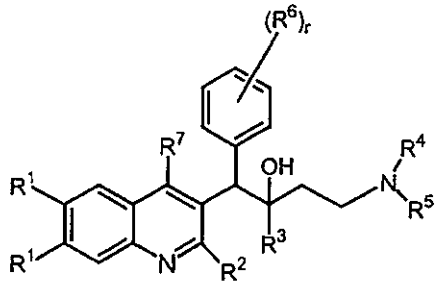
zoxazolyl, benzisoxazolyl, benzothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4] dioxinyl or benzo[1,3]dioxolyl ; each bicyclic heterocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of halo, hydroxy, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl or Ar-C(=O)-;

and

provided that the compound is other than (αS, βR)-6-bromo-α-[2-(dimethylamino) ethyl]-2-methoxy-α-1-naphthalenyl-β-phenyl-3-quinolineethanol, a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof.

2. Use according to claim 1 wherein R^1 is hydrogen, halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, Ar or Het; R^3 is Ar, Het or Het[1], and Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each homocycle may optionally be substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkyl, polyhaloC_{1-6}alkyl, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, polyhaloC_{1-6}alkyloxy, C_{1-6}alkyloxyC_{1-6}alkyl, carboxyl, C_{1-6}alkyloxycarbonyl, aminocarbonyl, morpholinyl and mono- or di(C_{1-6}alkyl)aminocarbonyl.

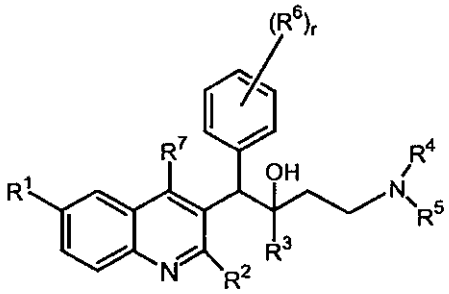
3. Use according to claim 1 or 2 wherein the compound of formula (I) is a compound having the following formula



(I-a)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a N-oxide form thereof.

4. Use according to any one of the preceding claims wherein the compound of formula (I) or (I-a) is a compound having the following formula



(I-b)

a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof or a N-oxide form thereof.

5. Use according to any one of the preceding claims wherein R^1 is hydrogen; C_{1-6}alkyl; halo; hydroxyC_{1-6}alkyl; C_{1-6}alkyloxy; optionally substituted phenyl; or Het.

6. Use according to claim 5 wherein R^1 is hydrogen, halo, optionally substituted phenyl, or Het.

7. Use according to claim 6 wherein R^1 is hydrogen, halo or optionally substituted phenyl.

8. Use according to claim 7 wherein R^1 is halo.

9. Use according to any one of the preceding claims wherein R^2 is C_{1-6}alkyloxy or C_{1-6}alkylthio.

10. Use according to any one of the preceding claims wherein R^3 is C_{1-6}alkyl, Ar or Het.

11. Use according to claim 10 wherein R^3 is Ar or Het.

12. Use according to any one of claims 1 to 9 wherein R^3 is Ar or Het[1].

13. Use according to claim 10, 11 or 12 wherein R^3 is Ar.

14. Use according to claim 13 wherein R^3 is optionally substituted phenyl or optionally substituted naphthyl.

15. Use according to any one of the preceding claims wherein R^4 and R^5 each independently are hydrogen, C_{1-6}alkyl or benzyl.

16. Use according to claim 15 wherein R^4 and R^5 each independently are hydrogen or C_{1-6}alkyl.

17. Use according to any one of claims 1 to 14 wherein R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group ofpyrrolidinyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl.

18. Use according to claim 17 wherein R^4 and R^5 together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings may optionally be substituted with C_{1-6}alkyl, halo, polyhaloC_{1-6}alkyl, hydroxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxy, amino, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkylthioC_{1-6}alkyl or pyrimidinyl.

19. Use according to any one of the preceding claims wherein R^6 is hydrogen, halo, polyhaloC_{1-6}alkyl, or C_{1-6}alkyl; or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-.

20. Use according to any one of claims 1 to 18 wherein R^6 is hydrogen, halo, C_{1-6}alkyl, C_{1-6}alkyloxy, or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-.

21. Use according to claim 19 or 20 wherein R^6 is hydrogen or halo.

22. Use according to any one of the preceding claims wherein R^7 is hydrogen.

23. Use according to any one of the preceding claims wherein r is an integer equal to 1.

24. Use according to any one of claims 1, 2, 5 to 23 wherein p is an integer equal to 1.

25. Use according to claim 3 provided that when one R^1 is C_{1-6}alkyl then the other R^1 substituent is selected from halo, polyhaloC_{1-6}alkyl, C_{1-6}alkyl, Ar or Het.

26. Use according to any one of the preceding claims wherein the bacterial infection is an infection with a gram-positive bacterium.

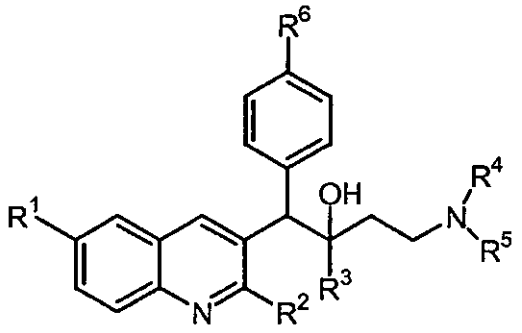
27. Use according to claim 1 wherein R^1 is hydrogen; C_{1-6}alky; halo; hydroxyC_{1-6}alkyl; C_{1-6}alkyloxy; phenyl; furanyl optionally substituted with hydroxyC_{1-6}alkyl; or pyridyl; R^2 is C_{1-6}alkyloxy; C_{1-6}alkylthio; or C_{1-6}alkyloxyC_{1-6}alkyloxy; R^3 is phenyl optionally substituted with 1 or 2 halo; naphthyl optionally substituted with 1 or 2 halo or C_{1-6}alkyloxy; thienyl; piperidinyl substituted with Ar-C(=O); 2,3-dihydrobenzo[1,4]dioxinyl; benzo[1,3]dioxolyl; acenaphthyl; furanyl; or C_{1-6}alkyl; R^4 and R^5 are each independently hydrogen; C_{1-6}alkyl; benzyl; or R^4 and R^5 together and including the N to which they are attached may form a radical selected from imidazolyl; pyrazinyl substituted with C_{1-6}alkyl;

piperazinyl substituted with C_{1-6}alkyl; piperazinyl substituted with pyrimidinyl; piperidinyl; piperidinyl substituted with dimethylamino; thiomorpholinyl; morpholinyl; pyrrolidinyl; or triazolyl; R^6 is hydrogen; halo, C_{1-6}alkyl, C_{1-6}alkyloxy or two vicinal R^6 radicals may be taken together to form a bivalent radical of formula -CH=CH-CH=CH-; R^7 is hydrogen

28. Use according to claim 1 provided that when R^3 is Ar, Het or Het[1]; then R^1 is hydroxyC_{1-6}alkyl or C_{1-6}alkyloxy.

29. Use according to claim 28 wherein R^1 is halo, hydroxyC_{1-6}alkyl, or C_{1-6}alkyloxy, R^2 is C_{1-6}alkyloxy; R^3 is C_{1-6}alkyl, phenyl or naphthyl; R^4 and R^5 are C_{1-6}alkyl; R^6 is hydrogen, R^7 is hydrogen, p is 1; r is 1

30. Use according to claim 1 wherein the compound of formula (I) is selected from the following compounds



R^1	R^2	R^3	R^4	R^5	R^6	Stereochemistry
Cl	OCH_3	phenyl	CH_3	CH_3	H	(B)
phenyl	OCH_3	phenyl	CH_3	CH_3	H	(A)
Br	SCH_3	phenyl	CH_3	CH_3	H	(B)
Br	OCH_3	3-fluorophenyl	CH_3	CH_3	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	Cl	(A)
Br	OCH_3	2-naphthyl	CH_3	CH_3	H	(B)
Br	OCH_3	1-naphthyl	CH_3	CH_3	F	(B)
Br	OCH_3	phenyl	H	H	H	(A)
Br	OCH_3	2,4-difluorophenyl	H	CH_2CH_3	H	(B)
Br	OCH_3	1-naphthyl	CH_3	CH_3	H	(A2) ; (1S,2R)
Br	OCH_3	phenyl	CH_3	CH_3	H	(B1) ; (1S,2S)
Br	OCH_3	phenyl	CH_3	CH_3	H	(B2) ; (1R,2R)
Br	OCH_3	1-naphthyl	CH_3	H	H	(A2)
Br	OCH_3	2-naphthyl	CH_3	H	H	(B)

a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof, and wherein:

(A) or (B) means that the compound is a mixture of two enantiomers and (A) is isolated first and (B) second, wherein the isolation is by chromatography over silica gel using eluent $CH_2Cl_2/CH_3OH/NH_4OH$, CH_2Cl_2/CH_3OH or CH_2Cl_2/iPrOH/NH_4OH;
(A1), (A2), (B1) and (B2) means that the compound is an enantiomer;
(A1) and (A2) respectively represent the first and second fractions isolated from (A); and
(B1) and (B2) respectively represent the first and second fractions isolated from (B),

and wherein the isolation of the enantiomers is by chiral column (chiracel OD, chiracel AD) chromatography using eluent hexane/ethanol, heptane/iPrOH or hexane/2-propanol.

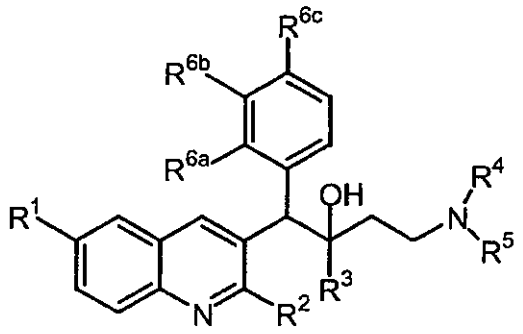
31. A combination of (a) a compound of formula (I) as defined in any one of claims 1 to 30, and (b) one or more other antibacterial agents provided that the one or more other antibacterial agents are other than antimycobacterial agents, wherein the combination is for use in the treatment of a bacterial infection caused by *Staphylococci, Enterococci* or *Streptococci.*

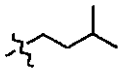
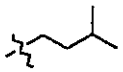
32. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and, as active ingredient, a therapeutically effective amount of (a) a compound of formula (I) as defined in any one of claims 1 to 30, and (b) one or more other antibacterial agents provided that the one or more other antibacterial agents are other than antimycobacterial agents, wherein the composition is for use in the treatment of a bacterial infection caused by *Staphylococci, Enterococci* or *Streptococci.*

33. A compound as defined in any one of claims 1 to 30, for use in the treatment of a bacterial infection caused by *Staphylococci, Enterococci* or *Streptococci.*

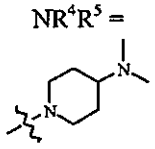
34. A product containing (a) a compound of formula (I) as defined in any one of claims I to 30, and (b) one or more other antibacterial agents provided that the one or more other antibacterial agents are other than antimycobacterial agents, as a combined preparation for simultaneous, separate or sequential use in the treatment of a bacterial infection caused by *Staphylococci, Enterococci* or *Streptococci.*

35. A compound of formula (I) wherein the compound is selected from the following compounds



R^1	R^2	R^3	R^4	R^5	R^{6a}	R^{6b}	R^{6c}	Stereo-chemistry
3-pyridyl	OCH_3	3,5-difluorophenyl	CH_3	CH_3	H	H	H	(A)
2-furanyl	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(B)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3		CH_3	CH_3	H	H	H	(B)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3		CH_3	CH_3	H	H	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	H	H	(B)

(continued)

R^1	R^2	R^3	R^4	R^5	R^{6a}	R^{6b}	R^{6c}	Stereo-chemistry
$-CH_2OH$	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(B)
$-CH_2OH$	OCH_3	1-naphthyl	CH_3	CH_3	H	H	H	(A)
Br	OCH_3	2-naphthyl	CH_3	H	H	H	H	(B)
Br	OCH_3	2-naphthyl	CH_3	H	H	H	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_3	H	H	H	(A)
OCH_3	OCH_3	phenyl	CH_2CH_3	CH_2CH_3	H	H	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_3	H	Cl	H	(A)
Br	OCH_3	phenyl	CH_2CH_3	CH_2CH_3	H	H	Cl	(B)
Br	OCH_3	phenyl		CH_3	H	H	Cl	(A)
Br	OCH_3	phenyl		CH_3	H	H	Cl	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	OCH_3	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	CH_3	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	Cl	(B)
Br	OCH_3	phenyl	CH_3	CH_3	OCH_3	OCH_3	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	Cl	H	Cl	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	OCH_3	(B)
Br	OCH_2	phenyl	CH_3	CH_3	H	CH_3	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	F	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	H	(A)
Br	OCH_3	phenyl	CH_3	CH_3	H	Cl	H	(B)
Br	OCH_3	phenyl	CH_3	CH_3	H	CH_3	H	(A)
Br	OCH_3	phenyl	NR^4R^5 = 		H	H	H	(A)
H	SCH_3	phenyl	NR^4R^5 = 1-imidazolyl		H	H	H	(B1)
H	SCH_3	phenyl	NR^4R^5 = 1-imidazolyl		H	H	H	(B2)

a pharmaceutically acceptable acid or base addition salt thereof or a *N*-oxide form thereof, and wherein:

(A) or (B) means that the compound is a mixture of two enantiomers and (A) is isolated first and (B) second, wherein the isolation is by chromatography over silica gel using eluent $CH_2Cl_2/CH_3OH/NH_4OH$, CH_2Cl_2/CH_3OH or CH_2Cl_2/iPrOH/NH_4OH;
(A1), (A2), (B1) and (B2) means that the compound is an enantiomer,
(A1) and (A2) respectively represent the first and second fractions isolated from (A), and
(B1) and (B2) respectively represent the first and second fractions isolated from (B),

and wherein the isolation of the enantiomers is by chiral column (chiracel OD, chiracel AD) chromatography using eluent hexane/ethanol, heptane/iPrOH or hexane/2-propanol

36. Use as claimed in any of claims 1 to 30, combination as claimed in claim 31, composition as claimed in claim 32, compound as claimed in claim 33 or product as claimed in claim 34, wherein the bacterial infection is an infection with methicillin resistant *Staphylococcus aureus* (MRSA), methicillin resistant coagulase negative staphylococci (MRCNS), penicillin resistant *Streptococcus pneumoniae* or multiple resistant *Enterococcus faecium*.

37. Use, combination, composition, compound or product as claimed in claim 36, wherein the bacterial infection is an infection with *Staphylococcus aureus* or *Streptococcus pneumoniae*.

38. Use, combination, composition, compound or product as claimed in claim 37, wherein the bacterial infection is an infection with *Staphylococcus aureus* (MRSA).