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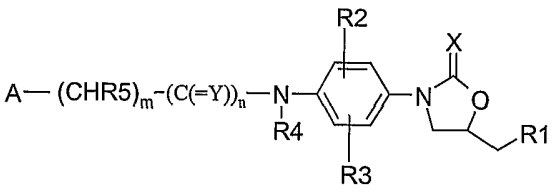
(54) Title: OXAZOLIDINONE DERIVATIVES AS ANTIBACTERIAL AGENTS



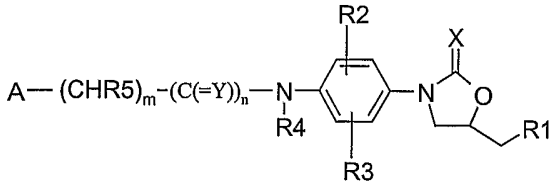
(I)

(57) Abstract: The present invention provides novel compounds, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them. The present invention more particularly provides novel oxazolidinone derivatives of the general formula (I).

NEW COMPOUNDS

Field of the Invention

The present invention provides novel compounds, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them. The present invention more particularly provides novel oxazolidinone derivatives of the general formula (I).



(I)

The present invention also provides a process for the preparation of the above said novel oxazolidinone derivatives of the formula (I) their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts, and pharmaceutical compositions containing them.

The novel oxazolidinone derivatives of the present invention may be useful as antibacterial agents and hence are useful in the treatment of conditions such as nosocomial pneumoniae, community acquired pneumoniae, vancomycin resistance enterococci (VRE) caused by methicillin resistance staphylococcus aureus (MRSA) and penicillin resistance streptococcus pneumoniae. The compounds of the present invention are effective against a number of human or animal pathogens, clinical isolates, including Vancomycin resistant organisms, methicillin resistant organisms.

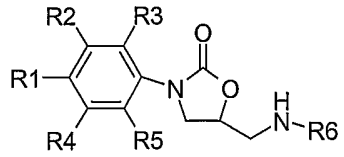
Background of Invention

The oxazolidinone class of compounds represents totally synthetic antibacterials endowed with a mechanism different from the mode of action of known antibacterial compounds. The oxazolidinone interact with 50S ribosomal subunit to form an initiation complex and thus prevent the bacterial translation

necessary for the replication of the bacteria. These compounds had shown antibacterial activity against gram + ve organisms and a host of opportunistic pathogens such as methicillin resistant Staphlococcus aureus (MRSA), penicillin resistant Streptococcus pneumoniae (PRSE), vancomycin resistant Enterococci (VRE). The best-represented compounds are linezolid and eperezolid, linezolid being approved by US FDA for treatment of several bacterial infections. Since then a lot of work had been done and there is still a need for research to extend the activity of oxazolidinones to act against gram negative pathogens. Some literature and patents are available where efforts have been made to modify the oxazolidinone moiety to impart the gram negative activity.

Several oxazolidinone derivatives have been reported in the literature some of which are given here:

WO 99/37630 and 01/09107 discloses compound of formula (II)



(II)

wherein R^2, R^3, R^4 and R^5 are independently hydrogen, alkyl, heteroalkyl, heteroaryl or an electron withdrawing group; R^6 is acyl or sulfonyl; and, R^1 is one of the following functional groups: C (O) NR^7R^8, wherein R^7 and R^8 are, independently, hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $C(O)OR^9$, wherein R^9 is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $C(O)R^{10}$, wherein R^{10} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; SR^{11}, wherein R^{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $S(O)_2$ R^{11}, wherein R^{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $S(O)R^{11}$ wherein R^{11} is hydrogen, alkyl, heteroalkyl, aryl or heteroaryl; $NR^{12}R^{13}$, wherein R^{12} and R^{13} are, independently, hydrogen, acyl, sulfonyl, alkyl, heteroalkyl, aryl or heteroaryl; 2-oxazolyl, wherein R^{14} is at the 4-position and R^{15} is at the 5-position of the oxazolyl, and wherein R^{14} and R^{15} are independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or an electron withdrawing group; 2-

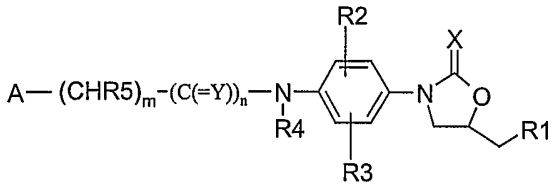
aminothiazolyl, wherein R^{16} is at the 4-position and R^{17} is at the 5-position of the thiazole, and wherein R^{16} and R^{17} are, independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl or an electron withdrawing group; and, $CH_2NR^{18}R^{19}$, wherein R^{18} and R^{19} are, independently, hydrogen, alkyl, heteroalkyl, aryl, heteroaryl, acyl or sulfonyl.

OBJECTIVE OF THE INVENTION

We have focussed our research to identify novel oxazolidinone derivatives, which are effective against resistant organisms. Our sustained efforts have resulted in novel oxazolidinone derivatives of the formula (I). The novel oxazolidinone derivatives of the present invention may be useful as antibacterial agents and hence are useful in the treatment of conditions such as nosocomial pneumoniae, community acquired pneumoniae, vancomycin resistance enterococci (VRE) caused by methicillin resistance staphylococcus aureus (MRSA) and penicillin resistance streptococcus pneumoniae. The compounds of the present invention are effective against a number of human or animal pathogens, clinical isolates, including Vancomycin resistant organisms, methicillin resistant organisms

SUMMARY OF THE INVENTION

The present invention relates to novel oxazolidinone derivatives of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted

group selected from (C_1-C_6)alkylaminocarbonyloxy; OR^6, wherein R^6 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; SR^7, wherein R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, acyl, thioacyl, heteroaryl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; or R^1 represents the formula –NHC(=Q)R^9 wherein Q represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_1-C_6)alkoxy, aryl, (C_3-C_6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, heterocyclylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino, or R^1 is of the formula –$NHS(O)_p$(C_1-C_4)alkyl, –$NHS(O)_p$aralkyl or –$NHS(O)_p$heteroaralkyl, where p is 0 to 2; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl,

heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl.

Suitable groups represented by R^1 are selected from halogen atom such as fluorine, chlorine, bromine or iodine, azido, nitro, cyano, (C_1-C_6)alkylaminocarbonyloxy; OR^6, SR^7, $N(R^{8a}R^{8b})$, -NHC(=Q)R^9, -NHS(O)$_p$(C_1-C_4)alkyl, –NHS(O)$_p$aralkyl or –NHS(O)$_p$heteroaralkyl,

Suitable groups represented by R^2, R^3 and R^5 are selected from selected from hydrogen, halogen, hydroxy, cyano, nitro, amino, substituted or unsubstituted linear or branched (C_1-C_6)alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; (C_1-C_6)alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy and the like, which may be substituted; aryl such as phenyl, naphthyl and the like, which may be substituted.

Suitable groups represented by R^4 are selected from hydrogen, substituted or unsubstituted linear or branched (C_1-C_6)alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; (C_2-C_6)alkenyl, (C_1-C_6)alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, piperidinyl, piperazinyl, and the like, which may be substituted; heteroaralkyl, wherein the heteroaryl is as defined above;

monoalkylamino group such as $NHCH_3$, NHC_2H_5, NHC_3H_7, NHC_6H_{13}, and the like, which may be substituted; dialkylamino group such as $N(CH_3)_2$, $NCH_3(C_2H_5)$, $N(C_2H_5)_2$ and the like, which may be substituted.

Suitable groups represented by R^6 are selected from hydrogen, formyl, substituted or unsubstituted linear or branched $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; aryl group such as phenyl, naphthyl and the like, the aryl group may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, the aralkyl group may be substituted; acyl group such as $-C(=O)CH_3$, $-C(=O)C_2H_5$, $-C(=O)C_3H_7$, $-C(=O)C_6H_{13}$, benzoyl and the like, the acyl group may be substituted; thioacyl group such as $-C(=S)CH_3$, $-C(=S)C_2H_5$, $-C(=S)C_3H_7$, $-C(=S)C_6H_{13}$ and the like, the thioacyl group may be substituted; alkylsulfonyl group such as methylsulfonyl, ethylsulfonyl, n-propylsulfonyl, iso-propylsulfonyl and the like, which may be substituted; arylsulfonyl group such as phenylsulfonyl, naphthylsulfonyl and the like , which may be substituted; aralkylsulfonyl group such as phenylmethylsulfonyl, phenylethylsulfonyl, naphthylmethylsulfonyl, naphthylethylsulfonyl and the like, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted.

Suitable groups represented by R^7 are selected from hydrogen, formyl, substituted or unsubstituted linear or branched $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; aryl group such as phenyl, naphthyl and the like, the aryl group may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, the aralkyl group may be

substituted; acyl group such as $-C(=O)CH_3$, $-C(=O)C_2H_5$, $-C(=O)C_3H_7$, $-C(=O)C_6H_{13}$, benzoyl and the like, the acyl group may be substituted; thioacyl group such as $-C(=S)CH_3$, $-C(=S)C_2H_5$, $-C(=S)C_3H_7$, $-C(=S)C_6H_{13}$ and the like, the thioacyl group may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted.

Suitable groups represented R^{8a} and R^{8b} are selected from hydrogen, formyl, substituted or unsubstituted linear or branched (C_1-C_6)alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; aryl group such as phenyl, naphthyl and the like, which may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted; heteroaralkyl group wherein the heteroaryl moiety is as defined above; an aminoacid residue group selected from glycine, alanine, lysine, arginine, asparagine, aspartic acid, cysteine, glutamic acid, glutamine, histidine, isoleucine, leucine, methionine, phenylalanine, proline, serine, threonine, tryptophan, tyrosine or valine.

Suitable ring systems formed by R^{8a} and R^{8b} together are selected from pyridyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzopyrrolyl, benzoxadiazolyl, benzothiadiazolyl and the like.

Suitable groups represented by R^9 are selected from hydrogen, amino, substituted or unsubstituted linear or branched (C_1-C_6)alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; (C_1-C_6)alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy, butoxy and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; (C_3-C_6)cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, which may be substituted; monoalkylamino group such as $NHCH_3$, NHC_2H_5, NHC_3H_7, NHC_6H_{13}, and the like, which may be substituted; dialkylamino group such as $N(CH_3)_2$, $NCH_3(C_2H_5)$, $N(C_2H_5)_2$ and the like, which may be substituted; arylamino group such as phenylamino or naphthylamino, which may be substituted; alkylcarbonylamino group such as methylcarbonylamino, ethylcarbonylamino, n-propylcarbonylamino, iso-propylcarbonylamino and the like, which may be substituted; arylcarbonylamino group such as phenylcarbonylamino or naphthylcarbonylamino, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzopyrrolyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted; heteroaralkyl group wherein the heteroaryl moiety is as defined above; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl, and the like, which may be substituted; heterocyclylamino, wherein the heterocyclyl group is as deinfed above; cycloalkyl amino group such as cyclopropyl amino, cyclobutylamino, cyclopentylamino, cyclohexylamino and the like, which may be substituted;

The substituents on any of the groups represented by R^1, R^3, R^4, R^5, R^6, R^7, R^8, R^9 may be selected from halogen, hydroxy, formyl, nitro, cyano, azido,

amino, alkyl, aryl, alkylamino, alkylaminocarbonyl, haloalkyl, acylamino, alkoxy, acyl and these substituents are as defined above.

Suitable groups represented by A are selected from substituted aryl group such as phenyl, naphthyl and the like; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, which may be substituted; (C_3-C_6)cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, piperidinyl, piperazinyl, and the like, which may be substituted; heteroaralkyl, heterocycloalkyl wherein the heteroaryl and heterocyclyl groups are as defined above. The substituents are selected from halogen, hydroxy, formyl, nitro, cyano, azido, amino, alkyl, haloalkyl, aryl, alkylamino, alkylaminocarbonyl, haloalkyl, acylamino, heteroaryl, heterocyclyl, alkoxy, acyl, carboxylic acid or its derivatives such as esters or amides and these substituents are as defined above.

n is an integer of 0 or 1.

m is an integer in the range of 0 to 4.

Pharmaceutically acceptable salts of the present invention include salts of the alkali metal like Li, Na, and K, alkaline earth metal like Ca and Mg, salts of organic bases such as diethanolamine, α-phenylethylamine, benzylamine, piperidine, morpholine, pyridine, hydroxyethylpyrrolidine, hydroxyethylpiperidine, choline and the like, ammonium or substituted ammonium salts, aluminum salts. Salts also include amino acid salts such as

glycine, alanine, cystine, cysteine, lysine, arginine, phenylalanine, guanidine etc. Salts may include acid addition salts where appropriate which are, sulphates, nitrates, phosphates, perchlorates, borates, hydrohalides, acetates, tartrates, maleates, citrates, succinates, palmoates, methanesulphonates, tosylates, benzoates, salicylates, hydroxynaphthoates, benzenesulfonates, ascorbates, glycerophosphates, ketoglutarates and the like. Pharmaceutically acceptable solvates may be hydrates or comprising other solvents of crystallization such as alcohols.

Representative compounds according to the present invention include:
(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(5-carboxyethylfuran-2-ylmethyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;
(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;
(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;
(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2,4,6-trifluorophenylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2,4,6-trifluorophenylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]-N'-cyclopropyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]-N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]morpholinothioamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrazin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-carboxyethylpyrazin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyridin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrimidin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrimidin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrollyl-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl] thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-piperidin-1ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-piperidin-1-yl)piperidin-1ylcarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylcarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-cyclopropyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylthiocarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

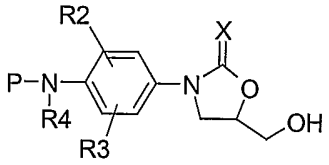
(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide and

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate.

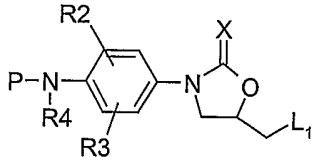
According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents the formula $-NHC(=Q)R^9$; where Q is O, m is 1, n is 0 and all other symbols are as defined above, which comprises

(i) converting the compound of formula (IIIa)



(IIIa)

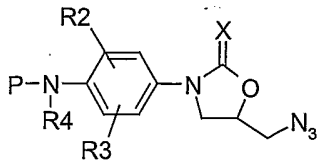
wherein P represents protecting group and all other symbols are as defined earlier to produce compound of formula (IIIb)



(IIIb)

wherein L^1 represents a leaving group such mesylate, tosylate or triflate and all other symbols are as defined earlier,

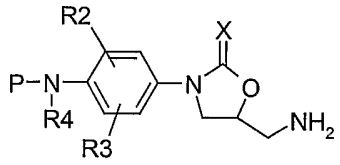
(ii) converting the compound of formula (IIIb) to produce compound of formula (IIIc)



(IIIc)

wherein all symbols are as defined earlier,

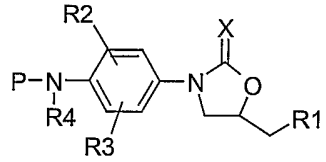
iii) reducing the compound of formula (IIIc) to produce compound of formula (IIId)



(IIId)

wherein all symbols are as defined earlier,

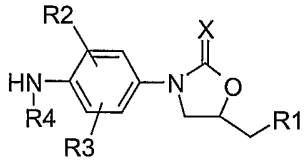
iv) acylating the compound of formula (IIId) to produce a compound of formula (IIIe)



(IIIe)

where R^1 represents the formula –NHC(=Q)R^9 and all other symbols are as defined earlier,

v) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

wherein all symbol are as defined earlier and

vi) reacting the compound of formula (IIIf) with compound of formula (IIIg)

A—CHO (IIIg)

wherein A is as defined earlier to produce compound of formula (I) wherein all symbols are as defined earlier.

The conversion of compound of formula (IIIa) may be carried out using sulfonyl chlorides in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out in the presence of base selected from dimethylamino pyridine, triethylamine, pyridine and the like. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 4 hrs.

The conversion of compound of formula (IIIb) may be carried out in the presence of one or more equivalents of metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using N_2 or Ar. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 80 °C to 100 °C. The reaction time may range from 0.5 to 18 h.

The reduction of compound of formula (IIIc) may be carried out in the presence of gaseous hydrogen and a catalyst such as Ru, Pd, Rh, Pt, Ni on solid beads such as charcoal, alumina, asbestos and the like. The reduction may be conducted in the presence of a solvent such as dioxane, acetic acid, ethyl acetate, THF, alcohol such as methanol, ethanol, isopropanol and the like or mixtures thereof. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature in the range of 25 to 60 °C, preferably at room temperature. The reaction time ranges from 2 to 48 h. The reduction may also be carried out by employing metal in mineral acids such Sn/HCl, Fe/HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

Acylation of compound of formula (IIId) may be carried out using acylating agents such as anhydrides like acetic anhydride, propionic anhydride,

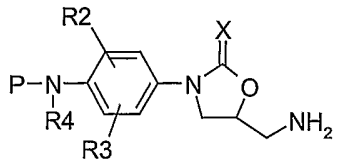
acid chlorides like acetyl chloride, propionyl chloride, thioacids such as thioacetic acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 6 to 12 hrs.

The deprotection of compound of formula (IIIe) to produce a compound formula (IIIf) may be carried out using strong acids such as trifluoro acetic acid, hydrochloric acid, sulfuric acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 6 hrs.

The reaction of compound of formula (IIIf) with (IIIg) may be carried out in the presence of solvent such as benzene, toluene, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate and the like or a mixture thereof. The reaction is carried out using reagent such as triacetoxy sodiumborohydride, cyano sodiumborohydride, sodiumborohydride, lithium aluminium hydride and the like. The reaction may be carried out at a temperature in the range of 30 to 100 °C. The duration of the reaction may range from 4 to 36 hrs.

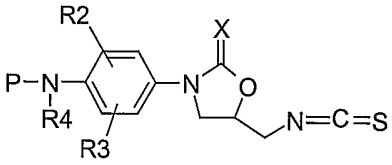
According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents the formula –NHC(=Q)R^9; where Q is S, R^9 is (C_1-C_6)alkoxy, m is 1, n is 0 and all other symbols are as defined above, which comprises :

(i) converting the compound of formula (IIId)



(IIId)

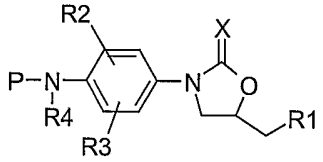
to give compound of formula (IIIh)



(IIIh)

wherein P represents protecting group and all other symbols are as defined earlier,

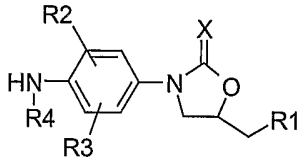
ii) converting the compound of formula (IIIh) to produce a compound of formula (IIIe)



(IIIe)

where R^1 is as defined above and all other symbols are as defined earlier,

iii) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

wherein all symbol are as defined earlier and

iv) reacting the compound of formula (IIIf) with compound of formula (IIIg)

A—CHO (IIIg)

wherein A is as defined earlier to produce compound formula (I) wherein all symbols are as defined earlier.

The conversion of compound of formula (IIId) to produce compound of formula (IIIh) may be carried out using thiophosgene gas in the presence of

solvent such as tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out in the presence of base selected from dimethylamino pyridine, triethylamine, pyridine and the like. The reaction may be carried out at a temperature in the range of 0 °C to room temperature.

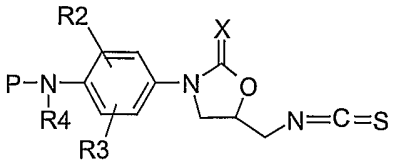
The conversion of compound of formula (IIIh) to compound of formula (IIIe) may be carried out using alcohol such as methanol, ethanol, propanol and the like. The reaction may be carried out at a temperature in the range of 30 °C to reflux temperature. The duration of the reaction may range from 6 to 18 hrs.

The deprotection of compound of formula (IIIe) to produce a compound formula (IIIf) may be carried out using strong acids such as trifluoro acetic acid, hydrochloric acid, sulfuric acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 6 hrs.

The reaction of compound of formula (IIIf) with (IIIg) may be carried out in the presence of solvent such as benzene, toluene, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate and the like or a mixture thereof. The reaction is carried out using reagent such as triacetoxy sodiumborohydride, cyano sodiumborohydride, sodiumborohydride, lithium aluminium hydride and the like. The reaction may be carried out at a temperature in the range of 30 to 100 °C. The duration of the reaction may range from 4 to 36 hrs.

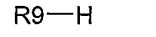
According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents the formula –NHC(=Q)R^9; where Q is S, R^9 is amino, monoalkylamino, dialkylamino, cycloalkylamino, arylamino, m is 1, n is 0 and all other symbols are as defined above, which comprises

(i) reacting the compound of formula (IIIh)



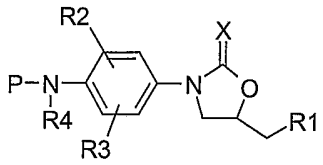
(IIIh)

wherein all symbols are as defined earlier with compound of formula (IIIi)



(IIIi)

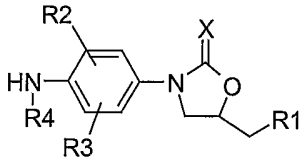
wherein R^9 is as defined above to produce compound of formula (IIIe)



(IIIe)

where R^1 is as defined above and all other symbols are as defined earlier,

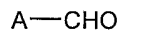
ii) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

wherein all symbol are as defined earlier and

iii) reacting the compound of formula (IIIf) with compound of formula (IIIg)



(IIIg)

wherein A is as defined earlier to produce compound of formula (I) wherein all symbols are as defined earlier.

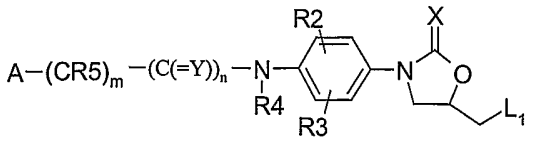
The reaction of compound of formula (IIIh) with compound of formula (IIIi) may be carried out in the presence or absence of solvent such as tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out in the presence of base selected from dimethylamino pyridine, triethylamine, pyridine

and the like. The reaction may be carried out at a temperature in the range of 0 °C to room temperature.

The deprotection of compound of formula (IIIe) to produce a compound formula (IIIf) may be carried out using strong acids such as trifluoro acetic acid, hydrochloric acid, sulfuric acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 6 hrs.

The reaction of compound of formula (IIIf) with (IIIg) may be carried out in the presence of solvent such as benzene, toluene, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate and the like or a mixture thereof. The reaction is carried out using reagent such as triacetoxy sodiumborohydride, cyano sodiumborohydride, sodiumborohydride, lithium aluminium hydride and the like. The reaction may be carried out at a temperature in the range of 30 to 100 °C. The duration of the reaction may range from 4 to 36 hrs.

In yet another embodiment of the present invention, there is provided a process for the preparation of compounds of formula (I) where R^1 represents SR^7, OR^6, $N(R^{8a}R^{8b})$ where R^6, R^7, R^{8a} and R^{8b} are as defined earlier which comprises reacting the compound of formula (IIIj)



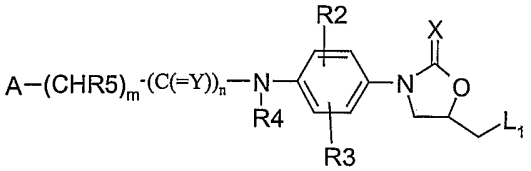
(IIIj)

where L^1 represents a leaving group such mesylate, tosylate or triflate with R^7SH, $NH(R^{8a}R^{8b})$ or R^6OH where R^6 and R^7 are as defined earlier.

The conversion of compounds of formula (IIIj) to a compound of formula (I) may be carried out by heating in the presence of base selected from NaH, KH, t-BuOK and the like and solvents such as DMF, THF, DCM, DMA and the

like. The reaction temperature may range from 0 °C to room temperature. The duration of the reaction may range from 2 to 6 hrs.

In yet another embodiment of the present invention, there is provided a process for the preparation of compounds of formula (I) wherein R^1 represents –$NHS(O)_p(C_1\text{-}C_4)$alkyl, –$NHS(O)_p$aralkyl or –$NHS(O)_p$heteroaralkyl group, which comprises reacting the compound of formula (IIIk)

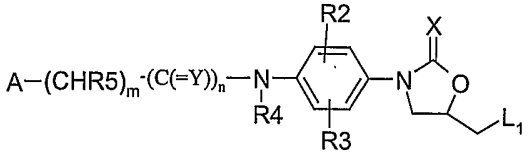


(IIIk)

where all symbols are as defined earlier which represents compounds of formula (I), R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} represent hydrogen, with $R'SO_2Cl$ where R' represents $(C_1\text{-}C_4)$alkyl, aralkyl or heteroaralkyl group.

The reaction of compounds of formula (IIIk) may be carried out by heating in the presence of base selected from pyridine, triethylamine and the like and solvents such as DMF, DCM, ethyl acetate and the like. The reaction temperature may range from 0 °C to room temperature. The duration of the reaction may range from 4 to 12 hrs.

According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents the formula –$NHC(=Q)R^9$; where Q is S, R^9 and all other symbols are as defined above, which comprises reacting the compound of formula (IIIm)

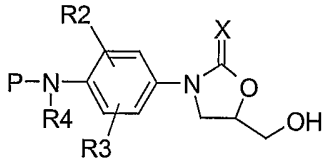


(IIIm)

where all symbols are as defined earlier which represents compound of formula (I) where R^1 represents azido with thioacetic acid to produce compound of formula (I) as defined above.

The acylation of compound of formula (IIIm) may be carried out using acylating agents such as thioacetic acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 6 to 12 hrs.

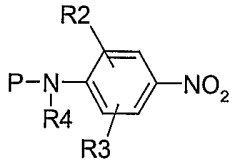
According to another embodiment of the present invention, there is provided a process for the preparation of compound of formula (IIIa)



(IIIa)

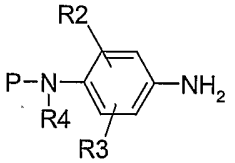
where all symbols are as defined earlier, which comprises :

i) reducing the compound of formula (IIIn)



(IIIn)

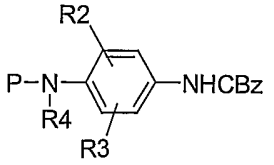
wherein all symbols are as defined above to produce a compound of formula (IIIo)



(IIIo)

wherein all symbols are as defined earlier,

ii) converting the compound of formula (IIIo) to produce compound of formula (IIIp)



(IIIp)

where all symbols are as defined earlier,

iii) cyclizing the compound of formula (IIIp) with R-(-)-glycidyl butyrate to produce a compound of formula (IIIa) where all symbols are as defined earlier.

The reduction of compound of formula (IIIn) may be carried out in the presence of gaseous hydrogen and a catalyst such as Ru, Pd, Rh, Pt, Ni on solid beads such as charcoal, alumina, asbestos and the like. The reduction may be conducted in the presence of a solvent such as dioxane, acetic acid, ethyl acetate, THF, alcohol such as methanol, ethanol, isopropanol and the like or mixtures thereof. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature in the range of 25 to 60 °C, preferably at room temperature. The reaction time ranges from 2 to 48 h. The reduction may also be carried out by employing metal in mineral acids such Sn/HCl, Fe/HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

The conversion of compound of formula (IIIo) to compound of formula (IIIp) may be carried out using benzyloxycarbonyl chloride and sodium bicarbonate, in the presence of solvents such as acetone, DMF, water, THF and the like or mixtures thereof. The reaction temperature may range from –20 °C to room temperature. The duration of the reaction may range from 3 to 6 hrs.

The cyclization of compound of formula (IIIp) may be carried out in the presence of base such as n-butyl lithium, LDA, potassium bis(trimethylsilyl)amide, lithium-bis(trimethylsilyl)amide and the like. The reaction may be carried out in the presence of solvent such as THF, DMF and the like. The reaction is carried out using chiral ester such as R-(-)-glycidyl butyrate. The reaction is carried out at a temperature in the range from –78 °C to –50 °C. The duration of the reaction may range from 2 to 12 hrs.

In another embodiment of the present invention, there is provided a process for the conversion of compounds of formula (I) where R^1 represents the formula –NHC(=Q)R^9; where Q is O, R^9 and all other symbols are as defined above to a compounds of formula (I) where R^1 represents the formula – NHC(=Q)R^9; where Q is S, R^9 and all other symbols are as defined earlier. The conversion may be carried out using Lawesson's reagent in the presence of base such as triethyl amine, pyridine and the like and solvents such as toluene, DCC, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 2 hrs.

Suitable protecting groups used in the invention are conventional protecting groups such as such as Boc, acyl mono(or di or tri)phenyl(lower)alkyl (such as benzyl, benzhydryl, trityl, and the like; lower alkoxycarbonyl(lower)-alkylidene, di(lower)alkylaminomethylene such as dimethylaminomethylene and the like, t-butoxy carbonyl (t-Boc), trityl, trifluoroacetyl, benzyloxy, benzyloxy carbonyl (Cbz) and the like.

It is appreciated that in any of the above-mentioned reactions, any reactive group in the substrate molecule may be protected according to conventional chemical practice. Suitable protecting groups in any of the above-mentioned reactions are those used conventionally in the art. The methods of formation and removal of such protecting groups are those conventional methods appropriate to the molecule being protected.

The pharmaceutically acceptable salts are prepared by reacting the compound of formula (I) with 1 to 4 equivalents of a base such as sodium hydroxide, sodium methoxide, sodium hydride, potassium t-butoxide, calcium hydroxide, magnesium hydroxide and the like, in solvents like ether, tetrahydrofuran, methanol, t-butanol, dioxane, isopropanol, ethanol etc. Mixture of solvents may be used. Organic bases such as diethanolamine, α-

phenylethylamine, benzylamine, piperidine, morpholine, pyridine, hydroxyethylpyrrolidine, hydroxyethylpiperidine, choline and the like, ammonium or substituted ammonium salts, aluminum salts. Amino acid such as glycine, alanine, cystine, cysteine, lysine, arginine, phenylalanine, guanidine etc may be used for the preparation of amino acid salts. Alternatively, acid addition salts wherever applicable are prepared by the treatment with acids such as hydrochloric acid, hydrobromic acid, nitric acid, sulfuric acid, phosphoric acid, p-toluenesulphonic acid, methanesulfonic acid, acetic acid, citric acid, maleic acid, salicylic acid, hydroxynaphthoic acid, ascorbic acid, palmitic acid, succinic acid, benzoic acid, benzenesulfonic acid, tartaric acid and the like in solvents like ethyl acetate, ether, alcohols, acetone, tetrahydrofuran, dioxane etc. Mixture of solvents may also be used.

The stereoisomers of the compounds forming part of this invention may be prepared by using reactants in their single enantiomeric form in the process wherever possible or by conducting the reaction in the presence of reagents or catalysts in their single enantiomer form or by resolving the mixture of stereoisomers by conventional methods. Some of the preferred methods include use of microbial resolution, resolving the diastereomeric salts formed with chiral acids such as mandelic acid, camphorsulfonic acid, tartaric acid, lactic acid, and the like wherever applicable or chiral bases such as brucine, cinchona alkaloids and their derivatives and the like. Commonly used methods are compiled by Jaques et al in "Enantiomers, Racemates and Resolution" (Wiley Interscience, 1981). More specifically the compound of formula (I) may be converted to a 1:1 mixture of diastereomeric amides by treating with chiral amines, aminoacids, aminoalcohols derived from aminoacids; conventional reaction conditions may be employed to convert acid into an amide; the diastereomers may be separated either by fractional crystallization or chromatography and the stereoisomers of compound of formula (I) may be prepared by hydrolysing the pure diastereomeric amide.

Various polymorphs of compound of general formula (I) forming part of this invention may be prepared by crystallization of compound of formula (I) under different conditions. For example, using different solvents commonly used or their mixtures for recrystallization; crystallizations at different temperatures; various modes of cooling, ranging from very fast to very slow cooling during crystallizations. Polymorphs may also be obtained by heating or melting the compound followed by gradual or fast cooling. The presence of polymorphs may be determined by solid probe nmr spectroscopy, ir spectroscopy, differential scanning calorimetry, powder X-ray diffraction or such other techniques.

Pharmaceutically acceptable solvates of the compounds of formula (I) forming part of this invention may be prepared by conventional methods such as dissolving the compounds of formula (I) in solvents such as water, methanol, ethanol, mixture of solvents such as acetone:water, dioxane:water, N,N-dimethylformamide:water and the like, preferably water and recrystallizing by using different crystallization techniques.

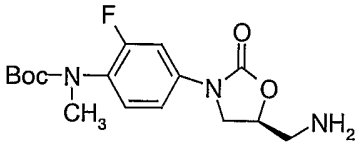
The compounds of the present invention are useful for the treatment of microbial infections in humans and other warm blooded animals, under both parenteral and oral administration. In addition to the compounds of formula (I) the pharmaceutical compositions of the present invention may also contain or be co-administered with one or more known drugs selected from other clinically useful antibacterial agents such as β-lactams or aminoglycosides. These may include penicillins such as oxacillin or flucloxacillin and carbapenems such as meropenem or imipenem to broaden the therapeutic effectiveness against, for example, methicillin-resistant staphylococci. Compounds of the formula (I) of the present invention may also contain or be co-administered with bactericidal/permeability-increasing-g protein product (BPI) or efflux pump inhibitors to improve activity against gram negative bacteria and bacteria resistant to antimicrobial agents.

The pharmaceutical composition may be in the forms normally employed, such as tablets, capsules, powders, syrups, solutions, suspensions and the like, may contain flavoring agents, sweeteners etc. in suitable solid or liquid carriers or diluents, or in suitable sterile media to form injectable solutions or suspensions. Such compositions typically contain from 1 to 20 %, preferably 1 to 10 % by weight of active compound, the remainder of the composition being pharmaceutically acceptable carriers, diluents or solvents.

The present invention is provided by the examples below, which are provided by way of illustration only and should not be considered to limit the scope of the invention.

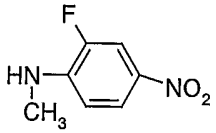
Preparation 1

Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinyl]methyl amine



Step (i)

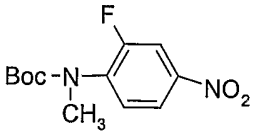
Preparation of 3-fluoro-4-methylamino nitrobenzene



Diisopropyl ethylamine (81.132 g, 0.628 moles) and 3,4 difluoronitrobenzene (50 g, 0.3144 moles) were added successively to acetonitrile solution (200 ml) of methylaminehydrochloride (31.816 g, 0.4716 moles) and the mixture was stirred at room temperature for 24 hours. The acetonitrile was removed under vacuum and diluted with ethyl acetate. Water was added and the ethyl acetate layer was washed with water and brine solution, dried over anhydrous sodium sulfate. The solvent was evaporated to afford the title compound (56 g, yield 100%).

Step (ii)

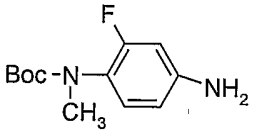
Preparation of 3-fluoro-4-(N-t-butoxycarbonyl)methylamino nitrobenzene



Boc-anhydride(107.7 g, 0.495 moles) was added to THF (200 ml) solution of 3-fluoro-4-methylamino nitrobenzene (56 g, 0.3294 moles) and triethylamine (66.5 g, 0.658 moles) at 0 °C and maintained at room temperature for 6 hours. The reaction mixture was further diluted with ethyl acetate and washed with water and brine solution, dried over sodium sulphate and evaporated the solvent to afford the title compound (60 g, yield 80%).

Step (iii)

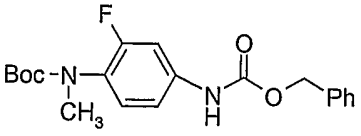
Preparation of 3-fluoro-4-(N-t-butoxycarbonyl)methylamino aniline



10% Pd/C (10 g) was added to a methanol (200 ml) solution of 3-fluoro-4-(N-t-butoxycarbonyl)methylamino nitrobenzene (60 g, 0.222 moles) and the mixture was hydrogenated at 50 Psi for 12 hours at room temperature. The reagent was filtered off and washed the residue thoroughly with methanol. The filtrate was concentrated under vacuum to yield the title compound (45 g).

Step (iv)

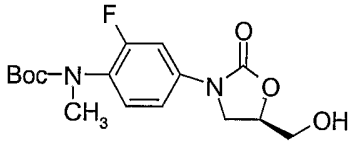
Preparation of N-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl] benzyloxyformamide



Sodium hydrogen carbonate (31.5 g, 0.315 moles) and benzyloxy carbonyl chloride (95.8 g, 0.281 moles) were added successively to 3-fluoro-4-(N-t-butoxycarbonyl)methylamino aniline (45 g, 0.187 moles) dissolved in acetone: water (500 ml + 200 ml) and the mixture was stirred at RT for 14 hours. Acetone was removed under vacuum and diluted further with ethyl acetate. The ethyl acetate layer was washed with water and brine solution and dried over anhydrous sodium sulphate. The solvent was evaporated and the residue was purified by silica gel column chromatography (using ethyl acetate/hexane, 2:8) to afford the title compound (70 g, yield 70%).

Step (v)

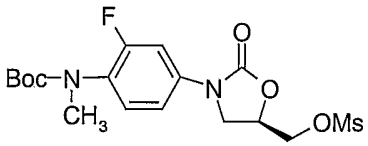
Preparation of (*S*)-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinyl]methanol



Butyl lithium (1.6 M hexane solution) (59.88 g, 0.935 moles) was added to a THF solution (600 ml) of N-[3-fluoro-4-(N-t-butoxycarbonyl) methylaminophenyl]benzyloxyformamide (70 g, 0.187 moles) at –70 °C and the mixture was stirred for 15 minutes. At the same time, (R)-glycidyl butyrate (40.4 g, 0.2807 moles) was added to the stirred solution and the mixture was stirred for 14 hours while the temperature was raised slowly to room temperature. The reaction mixture was quenched by adding water and THF layer was separated, washed with water, brine solution, dried over anhydrous sodium sulphate. The solvent was evaporated and the residue was purified by silica gel column chromatography (using ethyl acetate /hexane, 3:1) to afford the title compound (31.4 g).

Step (vi)

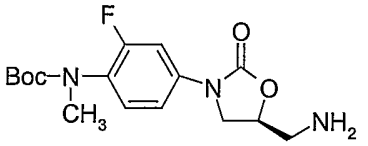
Preparation of (*S*)-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinyl]methyl mesylate



Methane sulphonyl chloride (15.8 g, 0.138 moles) was added to a solution of (*S*)-N-[3-[3-fluoro-4-[N-t-butoxycarbonyl]methylaminophenyl)-2-oxo-5-oxazolidinyl]methanol (31.4 g, 0.0923 moles) dissolved in dichloromethane (70 ml) and triethyl amine (19.5 g, 0.1939 moles) and the mixture was stirred at room temperature for 6 hours. Water was added and the DCM was separated, washed with sodium bicarbonate solution, water, brine solution and dried over anhydrous sodium sulphate and concentrated to afford the title compound (38.6 g).

Step (vii)

Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinyl]methyl amine

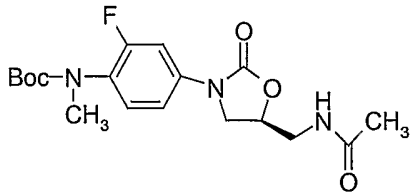


Sodium azide (23.6 g, 0.369 moles) was added to a DMF (1.6 L) solution of (*S*)-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinyl] methane mesylate (38.6 g, 0.0923 moles) at RT and the mixture was stirred at 80 °C for 6 hours. After completion of the reaction, the reaction mixture was cooled to RT, water added and the mixture was extracted with EtOAc. The organic layer was washed with water and brine solution, dried over anhydrous sodium sulphate. The solvent was evaporated and the residue was dried under vacuum to afford an azide (33.7 g). 10% palladium-carbon (3.3 g) was added to a solution of azide (33.7 g, 0.0806 moles) in methanol (40 ml) and hydrogenated

at 50 psi for 12 hours at RT. Filtered the catalyst and washed the residue thoroughly with methanol. The filtrate was concentrated and dried under vacuum to afford the title compound (31.29 g).

Preparation 2

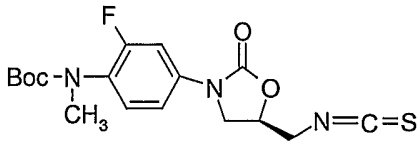
Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide



Acetic anhydride (4.08 g, 0.04 moles) and pyridine (1.18 g, 0.015 moles) were added to a chloroform (20 ml) solution of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinyl]methyl amine (5 g, 0.01 moles) (obtained according to the procedure described in preparation 1) at 0 °C and stirred at RT for 12 hours. Water was added to the RM and the organic layer was washed with bicarbonate solution, water and concentrated. The residue was purified over silica gel column chromatography using chloroform and methanol (1% $CH_3OH/CHCl_3$) to afford the title compound (4.032 g, yield 72%).

Preparation 3

Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinylmethyl]isothiocyanate

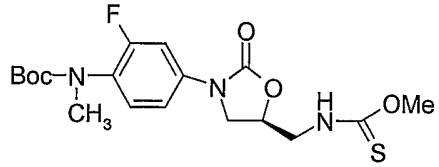


Thiophosgene (1.323 g, 0.0115 moles) was added dropwise to a solution of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-

oxazolidinyl]methyl amine (3 g, 0.008849 moles) (obtained according to the procedure described in preparation 1) and triethylamine (2.6814 g, 0.02654 moles) in dry dichloromethane at ice bath temperature under argon. The reaction mixture was stirred at RT over 3 hours and then the volatiles were removed. The residue was purified over silica gel column chromatography using 1% Methanol / dichloromethane, to afford the title compound (2.7 g, yield 80%).

Preparation 4

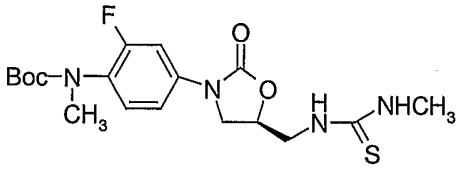
Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate



A solution of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinylmethyl]isothiocyanate (3 g, 0.007874 moles) (obtained according to the procedure described in preparation 3) in methanol (30 ml) was heated to 80 to 100 °C while monitoring by TLC. After completion of the starting material, the solvent was removed from the reaction mixture and the residue purified over silica gel column using 1% methanol / dichloromethane to afford the title compound (2.38 g, yield 73%).

Preparation 5

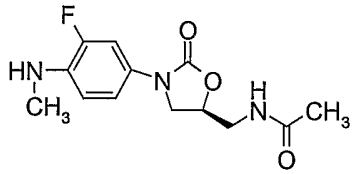
Preparation of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylamino phenyl]-2-oxo-5-oxazolidinylmethyl]-N'-methyl thiourea



A solution of (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]isothiocyanate (1.2 g,0.003149 moles) (obtained according to the procedure described in preparation 3) in THF (20 ml) and triethyl amine (0.6362 g, 0.006299 moles) was added to methylamine hydrochloride (0.318 g, 0.004714 moles) and stirred at RT over 6 hours and water was added to the RM followed by extraction with ethyl acetate. The residue obtained upon evaporating the solvent was passed through a column of silica gel using 40 % ethyl acetate / hexane afforded the title compound (0.9 g, yield 59.44%)

Preparation 6

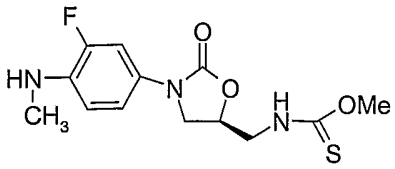
Preparation of (*S*)-N-[3-[3-fluoro-4-N-methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]acetamide



(*S*)-N-[3-[3-Fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]acetamide (0.6 g, 0.001574 moles) (obtained according to the procedure described in preparation 2) was taken in dry dichloromethane (10 ml) and cooled to 0 °C. Trifluoroacetic acid (0.718 g, 0.006299 moles) was added to the reaction mixture and stirred the RM at room temperature for 3 hours. Excess sodium bicarbonate was added to the RM and stirred for 15 minutes. Filtered the solid and washed thoroughly with EtOAc. The filtrate was concentrated to dryness and dried under vacuum, to afford the title compound (0.44 g, yield 100%).

Preparation 7

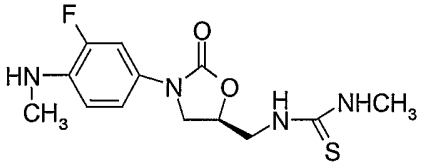
Preparation of (*S*)-N-[3-[3-fluoro-4-N-methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbonate



The title compound was prepared from (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinylmethyl] thiocarbamate (2 g, 0.004842 moles) (obtained according to the procedure described in preparation 4) and trifluoro acetic acid (3.3 g, 0.02905 moles) by following the procedure described in preparation 6, (1.515 g, yield 100%).

Preparation 8

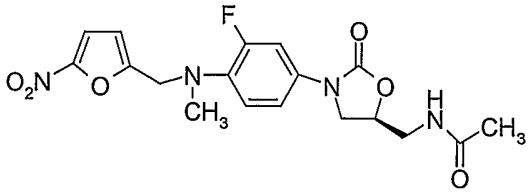
Preparation of (*S*)-N-[3-[3-fluoro-4-N-methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]-N'-methyl thiourea



The title compound was prepared from (*S*)-N-[3-[3-fluoro-4-(N-t-butoxycarbonyl)methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]-N'-methyl thiourea (2 g, 0.004854 moles) (obtained according to the procedure described in preparation 5) and trifluoro acetic acid (3.32 g, 0.029126 moles) by following the procedure described in preparation 6, (1.514 g, yield 100%).

Example 1

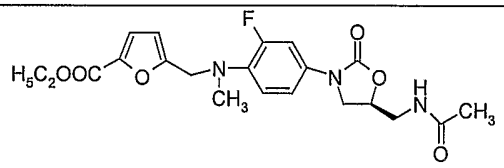
Synthesis of (*S*)-N-[3-[3-fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide

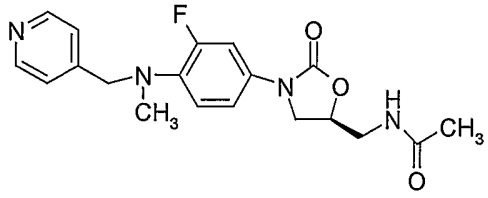
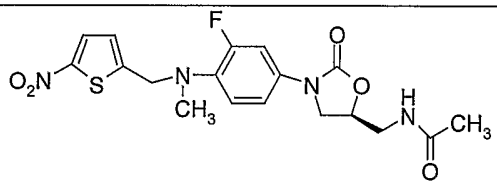
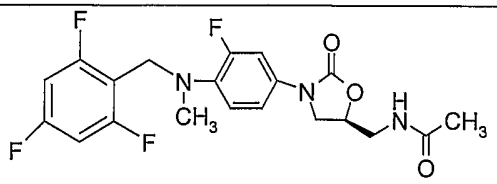


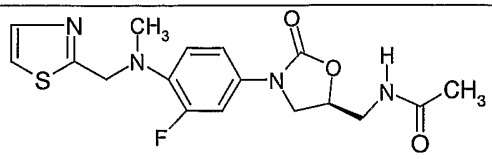
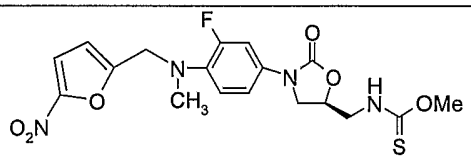
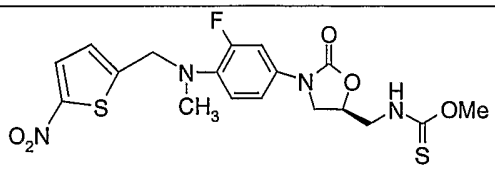
(*S*)-N-[3-[3-Fluoro-4-N-methylaminophenyl]-2-oxo-5-oxazolidinylmethyl] acetamide (prepared according to the procedure described in preparation 6) (1 g, 0.003558 moles) was taken in benzene (100 ml) and 5-nitro-2-furaldehyde (1.5 g, 0.010676 moles) was added and heated the reaction contents using Dean-stark apparatus at 80 to 85 °C for 1 hour. The reaction mixture was cooled to room temperature and triacetoxy sodiumborohydride (3 g, 0.01423 moles) was added and continued the stirring at room temperature for 24 hours. Filtered the RM and washed the residue thoroughly with ethyl acetate. The organic layer was washed with water and brine solution, dried over Na_2SO_4 and concentrated to dryness. The residue was purified over silica gel column, using methanol / dichloromethane solution as eluent, to afford the title compound (800 mg, yield 56%) mp : 51-52 °C.

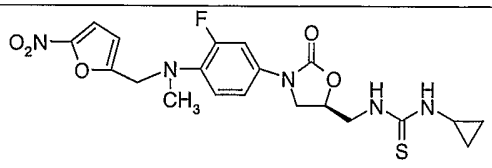
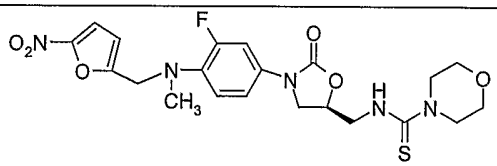
^{1}HNMR ($CDCl_3$) δ 2.05 (s, 3H), 2.97 (s, 3H), 3.60-3.65 (m, 1H), 3.70-3.78 (m, 2H), 4.02 - 4.06 (t, 1H), 4.45 (s, 2H), 4.78-4.80 (m, 1H), 6.05 (s, 1H, D_2O exchangeable), 6.50 (s, 1H), 7.05 (s, 2H), 7.23-7.24 (d, 1H), 7.53-7.56 (d, 1H). MS m/z: 407 (M^+).

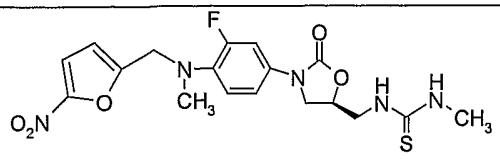
The following compounds were prepared according to the procedure given in example 1.

Example No.	Structure	Analytical Data
2	 Gummy compound	^{1}HNMR ($CDCl_3$) δ : 1.34-1.38 (m, 3H), 2.03 (s, 3H), 2.90 (s, 3H), 3.61 (s, 1H), 3.72 – 3.76 (m, 2H), 4.00-4.02 (t, 1H), 4.31-4.34 (q, 2H), 4.36-4.39 (d, 2H), 4.76-4.79 (m, 1H), 6.22 (s, 1H D_2O exchangeable), 6.24 – 6.25 (s 1H), 7.011 – 7.016 (t,

		1H), 7.060-7.069 (m, 2H), 7.44 – 7.48 (d, 1H). MS m/z: 434 (M^+).
3	 Melting point: 157-158 °C	^{1}HNMR ($CDCl_3$) δ : 2.03 (s, 3H), 2.87 (s, 3H) 3.59 – 3.64 (m, 1H), 3.68-3.78 (m, 2H), 4.00-4.05 (t, 1H), 4.36 (s, 2H), 4.77-4.78 (m, 1H), 6.14 (s, 1H, D_2O exchangeable), 6.90 – 6.94 (t, 1H), 7.05 – 7.07 (t, 1H), 7.45-7.48 (d, 1H), 7.59 (s, 2H), 8.66 (bs, 2H). MS m/z: 373 (M^+).
4	 Melting point: 80 - 84 °C	^{1}HNMR ($CDCl_3$) δ : 2.03 (s, 3H), 2.83 (s, 3H), 3.59 – 3.61 (m, 1H), 3.63-3.77 (m, 2H), 4.01 – 4.03 (t, 1H), 4.42 (s, 2H), 4.77-4.78 (m, 1H), 6.05 (s, 1H, D_2O exchangeable), 6.85 – 6.92 (m, 2H), 7.05 – 7.07 (d, 1H), 7.46-7.77 (m, 1H), 7.78 (s, 1H). MS m/z: 423 (M^+).
5	 Melting point: 95-96 °C	^{1}HNMR ($CDCl_3$) δ : 2.02 (s, 3H), 2.71 (s, 3H), 3.56 – 3.60 (m, 1H), 3.70-3.76 (m, 2H), 4.00 – 4.04 (t, 1H), 4.38 (s, 2H), 4.78 – 4.79 (m, 1H), 6.01 (bs, 1H, D_2O exchangeable), 6.58 – 6.62 (t, 2H), 6.76 – 6.80 (t, 1H),

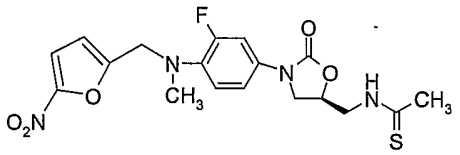
		7.00 -7.03 (d, 1H), 7.41-7.45 (d, 1H). MS m/z: 426 (M^+).
6	 **Sticky material**	^{1}HNMR ($CDCl_3$) δ: 2.02 (s, 3H), 2.88 (s, 3H), 3.61 (t, 1H), 3.68-3.75 (m, 2H), 4.00-4.04 (t, 1H), 4.59 (s, 2H), 4.78-4.79 (bs, 1H), 6.11 (bs, 1H, D_2O exchangeable), 6.90-6.95 (t, 1H), 7.03-7.06 (d, 1H), 7.27-7.28 (s, 1H), 7.43-7.48 (d, 1H) and 7.71-7.72 (s, 1H). MS m/z: 379.3(M^+).
7	 Gummy compound	^{1}HNMR ($CDCl_3$) δ : 2.27 (s, 3H), 2.91 (s, 3H), 3.81 – 3.83 (t, 1H), 3.98-4.01 (m, 2H), 4.01 – 4.14 (m, 1H), 4.39 (s, 2H), 4.91-4.93 (m, 1H), 6.36 – 6.37 (d, 1H), 6.69 (s, 1H, D_2O exchangeable), 6.89 – 6.91 (m, 1H), 7.03 – 7.04 (d, 1H), 7.23-7.24 (d, 1H), 7.46-7.50 (dd, 1H). MS m/z: 439 (M^+).
8	 Melting point: 52-53 °C	^{1}HNMR ($CDCl_3$) δ : 2.83 (s, 3H), 3.82-3.84 (t, 1H), 3.95-3.96 (d, 1H), 4.01 (s, 3H), 4.03-4.09 (m, 2H), 4.43 (s, 2H), 4.78 – 4.79 (m, 1H), 6.67 (bs, 1H,

		D_2O exchangeable), 6.85-6.93 (m, 2H), 7.06 – 7.09 (t, 1H), 7.45-7.50 (d, 1H), 7.77-7.78 (d, 1H). MS m/z: 455 (M^+).
9	 Oily material	^{1}HNMR ($CDCl_3$) δ : 0.87 – 0.89 (m, 2H), 1.25 – 1.26 (t, 2H), 2.90 (s, 3H), 3.91 – 3.94 (m, 1H), 4.06 – 4.07 (t, 2H), 4.19 – 4.20 (m, 2H), 4.39 (s, 2H), 4.91 – 4.92 (bs, 1H), 6.36 – 6.37 (d, 2H), 6.48 – 6.49 (bs, 1H, D_2O exchangeable), 6.62 – 6.63 (t, 1H), 6.89 – 6.90 (m, 1H), 7.23 – 7.24 (s, 1H), 7.56 – 7.58 (d, 1H). MS m/z: 464 (M^+).
10	 Semi-solid	^{1}HNMR ($CDCl_3$) δ : 2.91 (s, 3H), 3.69 – 3.70 (t, 4H), 3.79 – 3.80 (m, 4H), 3.84 (s, 1H), 4.07 – 4.09 (m, 2H), 4.12 – 4.18 (t, 2H), 4.21 (s, 1H), 4.91 (bs, 1H), 6.01 – 6.02 (s, 1H, D_2O exchangeable), 6.36 – 6.37 (d, 1H), 6.88 – 6.91 (t, 1H), 7.03 – 7.04 (d, 1H), 7.22 – 7.23 (s, 1H), 7.46 – 7.50 (d, 1H). MS m/z: 494 (M^+).

11	 Oily material	^{1}HNMR ($CDCl_3$) δ : 2.88 (s, 3H), 2.92 (bs, 1H), 3.02 (s, 3H), 4.01 – 4.04 (t, 2H), 4.06 (bs, 1H), 4.12 – 4.16 (d, 1H), 4.39 (s, 2H), 4.91- 4.93 (m, 1H), 6.37 – 6.38 (s, 1H), 6.46 (bs, 1H, D_2O exchangeable), 6.85-6.88 (t, 1H), 7.00 – 7.05 (d, 1H), 7.23 – 7.25 (s, 1H). 7.41 – 7.45 (d, 1H). MS m/z: 438 (M^+).

Example 12

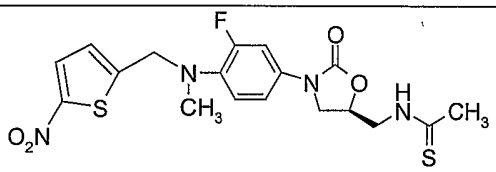
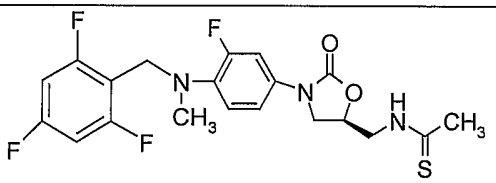
Synthesis of (*S*)-N-[3-[3-fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide

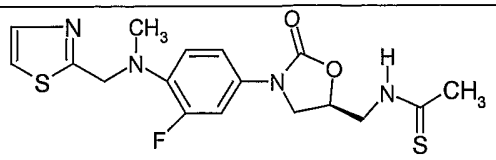


(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide (prepared according to the procedure described in example 1) (500 mg, 0.001231 moles) was taken in dry toluene (10 ml) and Lawessan's reagent (498 mg, 0.001231 moles) was added and heated the reaction contents to 100 °C for 3 hours. The reaction mixture was cooled to room temperature and water was added, extracted the RM with ethyl acetate (3 x 100 ml), washed the organic layer with water and brine solution. The product was dried over anhydrous sodium sulphate and concentrated, and purified the residue over silica gel column using hexane and ethyl acetate mixture as eluent to afford the title compound as gummy material. (364 mg, yield 70%).

^{1}HNMR ($CDCl_3$) δ : 2.58 (s, 3H), 2.96 (s, 3H), 3.62 – 3.65 (m, 1H), 3.71-3.78 (m, 2H), 4.01 – 4.07 (t, 1H), 4.46 (s, 2H), 4.78 – 4.81 (m, 1H), 6.21 (6s, 1H), 6.58 (s, 1H), 7.07 (bs, 2H), 7.24 -7.25 (d, 1H), 7.54-7.58 (bs, 1H, D_2O exchangeable). MS m/z: 423 (M^+).

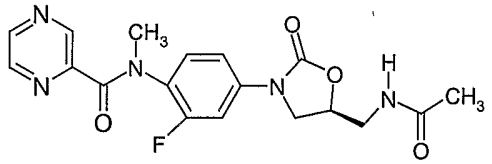
The following compounds were prepared according to the procedure given in example 6.

Example No.	Structure	Analytical Data
13	 Melting point: 125-128 °C	^{1}HNMR ($CDCl_3$) δ : 2.60 (s, 3H), 2.83 (s, 3H), 3.81 – 3.83 (d, 1H), 4.06 – 4.11 (m, 2H), 4.31 – 4.36 (d, 1H), 4.43 (s, 2H), 4.78 – 4.79 (m, 1H), 6.86 – 6.92 (t, 1H), 7.04 (s, 1H), 7.45-7.50 (d, 1H), 7.77-7.78 (s, 1H), 7.79-7.80 (s, 1H, D_2O exchangeable). MS m/z: 439 (M^+).
14	 Gummy compound	^{1}HNMR ($CDCl_3$) δ : 2.60 (s, 3H), 2.71 (s, 3H), 3.76 – 3.80 (t, 1H), 4.02 – 4.05 (m, 1H), 4.06 – 4.07 (t, 1H), 4.08 – 4.10 (m, 1H), 4.39 (s, 2H), 4.94 (bs, 1H), 6.58 – 6.62 (d, 2H), 6.76 – 6.81 (t, 1H), 7.00 -7.03 (d, 1H), 7.40-7.44 (m, 1H), 7.73 (bs, 1H, D_2O exchangeable). MS m/z: 442 (M^+).

15	 Sticky compound	^{1}HNMR ($CDCl_3$) δ: 2.58 (s, 3H), 2.88 (s, 3H), 3.81-3.84 (t, 1H), 3.88-3.89 (bs, 2H), 4.12-4.14 (t, 1H), 4.60 (s, 2H), 4.79-4.80 (m, 1H), 6.14 (s, 1H), 6.98-6.99 (d, 1H), 7.24-7.25 (t, 1H), 7.28-7.29 (s, 1H), 7.65-7.67 (d, 1H) & 7.99-8.01 (bs, 1H, D_2O, exchangeable). MS m/z : 395 (M^+).

Example 16

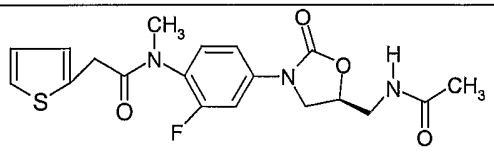
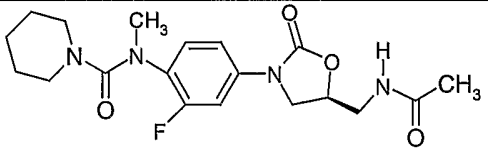
Synthesis of (*S*)-N-[3-[3-fluoro-4-[N-pyrazine-2ylcarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide

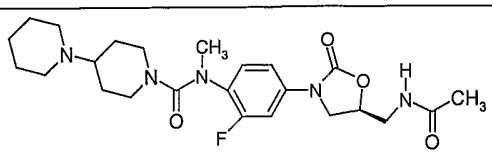
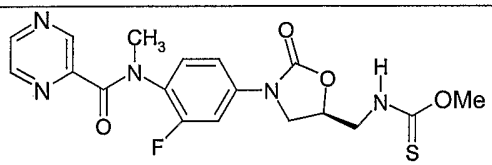
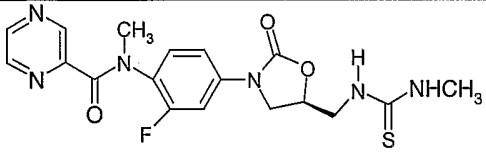


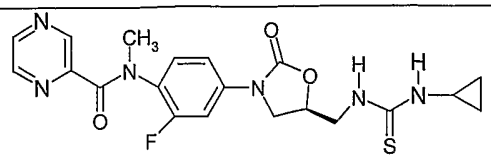
To a solution of (*S*)-N-[3-[3-Fluoro-4-N-methylaminophenyl]-2-oxo-5-oxazolidinylmethyl]acetamide (prepared according to the procedure described in preparation 6) (500 mg, 1.77304 m.moles) in dry THF(10 ml), Pyrazine-2-carboxylchloride [which was prepared by reacting pyrazine-2-carboxylic acid (330 mg, 2.659574 mmoles) with thionyl chloride (5 ml) and triethyl amine (803 mg, 7.959 mmoles)] and triethyl amine (268 mg, 2.6595 mmoles) was added at 0 °C and stirred at room temperature for 4 hours. The reaction mixture was diluted with ethyl acetate and washed with sodium bicarbonate solution, water and brine. The residue was dried over anhydrous sodium sulphate, concentrated and purified over silica gel column using dichloromethane and methanol mixture as eluent to afford the title compound (315 mg, yield 46 %), mp : 124-27 °C.

^{1}HNMR ($CDCl_3$) δ : 2.02 (s, 3H), 3.46 (s, 3H), 3.58-3.62 (m, 1H), 3.68-3.74 (m, 2H), 3.97-4.01 (t, 1H), 4.76-4.77 (m, 1H), 5.98 (bs, 1H, D_2Oexchangeable), 7.06-7.09 (bs, 1H), 7.12-7.14 (t, 1H), 7.42-7.45 (d, 1H), 8.25 (s, 1H), 8.44-8.45 (d, 1H), 8.86 (s, 1H). MS m/z : 388(M^+).

The following compounds were prepared according to the procedure given in the above example.

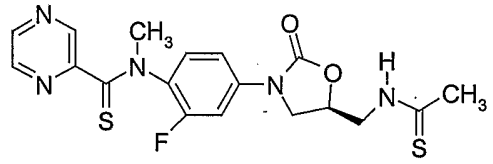
17		Melting point: 165-166 °C ^{1}HNMR ($CDCl_3$) δ : 2.01 (s, 3H), 3.31 (s, 3H), 3.62-3.67 (m, 1H), 3.70-3.73 (m, 1H), 3.79-3.83 (q, 1H), 4.06-4.10 (t, 1H), 4.78-4.84 (m, 1H), 6.15 (s, 1H, D_2O exchangeable), 6.83-6.85 (t, 1H), 6.99-7.00 (d, 1H), 7.22-7.24 (m, 2H), 7.29-7.32 (bs, 1H), 7.61 (s, 1H). MS m/z : 392(M^+).
18	 Sticky material	^{1}HNMR ($CDCl_3$) δ : 1.25 – 1.33 (m, 4H), 1.42-1.46 (m, 2H), 2.03 (s, 3H), 3.09 (s, 3H), 3.11-3.20 (t, 4H), 3.63 (s, 1H), 3.78-3.80 (m, 2H), 4.05-4.08 (t, 1H), 4.78-4.79 (m, 1H), 6.13 (bs, 1H, D_2O exchangeable), 7.01-7.17 (m, 2H), 7.51-7.54 (d, 1H)

		MS m/z : 393(M^+).
19	 Melting point: 155-158 °C	^{1}HNMR ($CDCl_3$) δ : 1.03-1.12 (m, 4H), 1.21-1.50 (m, 6H), 1.80 (s, 3H,), 2.32 (bs, 4H), 2.95 (s, 3H), 3.31-3.33 (bs, 4H), 3.64-3.71 (m, 2H), 4.03-4.08 (bs, 2H), 4.77-4.79 (1H, bs), 6.81-6.83 (m, 1H), 7.28 (bs, 2H, D_2O exchangeable), 7.51-7.53 (d, 1H) & 8.23-8.26 (bs, 1H). MS m/z : 476(M^+).
20	 Melting point: 107-110 °C	^{1}HNMR ($CDCl_3$) δ : 3.46 (s, 3H), 3.81-3.83 (t, 1H), 4.00 (s, 3H), 4.02 (bs, 1H), 4.07-4.08 (m, 2H), 4.92 (bs, 1H), 6.65 (s, 1H, D_2O exchangeable), 7.07-7.12 (t, 2H), 7.41 (d, 1H), 8.24 (s, 1H), 8.45 (s, 1H), 8.86 (s, 1H). MS m/z : 420(M^+).
21	 Melting point: 119-123 °C	^{1}HNMR ($CDCl_3$) δ : 2.98 (s, 3H), 3.45-3.46 (bs, 3H), 3.94-4.13 (m, 4H), 4.91-4.92 (bs, 1H), 6.31-6.32 (s, 1H, D_2O exchangeable), 7.06-7.14 (t, 1H), 7.43-7.46 (d, 1H), 8.26 (s, 1H), 8.45-8.46 (d, 1H) & 8.85 (s, 1H).

		MS m/z : 419(M^+).
22	 Semi solid	^{1}HNMR ($CDCl_3$) δ : 1.16-1.25 (m, 2H), 1.55-1.56 (m, 2H), 3.46 (s, 3H), 3.52 (m, 1H), 4.04 (t, 1H), 4.18-4.19 (m, 2H), 4.20-4.22 (bs, 1H), 4.89-4.90 (bs, 1H), 6.39 (s, 1H), 6.62 (bs, 1H, D_2O exchangeable), 7.12-7.18 (m, 2H), 7.34 (bs, 1H), 8.25 (s, 1H), 8.45 (s, 1H) & 8.86 (s, 1H). MS m/z : 445(M^+).

Example 23

Synthesis of (*S*)-N-[3-[3-fluoro-4-[N-(Pyrazine-2-ylthiocarbonyl)methyl amino]phenyl]-2-oxo-5-oxazolidinylmethyl] thioacetamide



To a solution of (*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2-ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide (prepared according to the procedure described in example 17) (300 mg, 0.77519 mmoles) was taken in dry toluene (20 ml) and Lawesson's reagent (626 mg, 1.5503 mmoles) was added and heated the reaction contents to 100 °C for 3 hours. The reaction mixture was cooled to room temperature and water was added, extracted the RM with ethyl acetate (3 x 100 ml), washed the organic layer with water and brine solution. The product was dried over anhydrous sodium sulphate and concentrated, and purified the residue over silica gel

column using chloroform and methanol mixture as eluent to afford the title compound as semi solid (130 mg, yield:40 %).

^{1}HNMR ($CDCl_3$) δ : 2.58 (s, 3H), 3.76 (s, 3H), 3.80-3.86 (m, 3H), 4.02-4.09 (t, 1H), 4.94 (bs, 1H), 6.92 (bs, 1H, D_2O exchangeable), 7.003 (bs, 1H), 7.07-7.09 (t, 1H), 7.43-7.46 (d, 1H), 7.52 (s, 1H), 8.18 (s, 1H) & 8.31 (s, 1H). MS m/z : 420(M^+).

Pharmacological Testing

The compounds of the invention displayed antibacterial activity when tested by the agar incorporation method. The *in vitro* antibacterial activity of the compounds were demonstrated by the agar incorporation method (NCCLS). Briefly, the compounds were dissolved in DMSO and doubling dilution of the compounds were incorporated into Meuller Hilton agar before solidification. Inoculum was prepared by suspending 4 to 5 colonies into 5 ml of normal saline solution and adjusting the turbidity to 0.5 Macfarland turbidity standard tables (1.5.times.10^8 CFU/ml), after appropriate dilutions, 10^4 CFU/spot was transferred into the surface of dried plate and incubated for 18 hours. The concentration showing no growth of the inoculated culture was recorded as the MIC. Appropriate ATCC standard strains were simultaneously tested and result recorded.

The minimum inhibitory concentrations (μg/ml) were obtained for representative compounds of the invention which are given in the table 1:

Table 1

Antimicrobial Screening (MIC) (μg/ml)

S.No	Organism	Linezolid	Example No.											
			1	2	3	4	5	6	7	8	9	10	11	12
1	*S.aureus* MRO 00013	2	4	>16	8	8	>16	-	2	2	8	16	4	4
2	*S.aureus* MRO 00055	2	4	>16	8	8	>16	-	2	2	8	16	4	4
3	*S.epidermidis* MRO 02046	1	1	>16	4	<1	>16	-	0.25	0.25	<1	<1	0.25	0.5
4	*S.haemolyticus* MRO 02053	2	4	>16	8	8	>16	-	1	2	8	16	2	4
5	*S.aureus* MRO 00001	1	1	>16	4	2	>16	-	0.5	0.5	4	8	1	1
6	*S.aureus* MRO 00003	2	4	>16	8	4	>16	-	2	2	8	16	4	4
7	*S.aureus* MRO 00030	2	2	>16	4	4	>16	-	1	1	8	8	2	2
8	*S.aureus* MRO 00048	1	2	>16	4	2	>16	-	0.5	1	8	8	1	0.5
9	*S.aureus* MRO 00059	2	2	>16	8	2	>16	-	1	0.25	4	4	2	1
10	*S.epidermidis* MRO 02002	2	1	>16	4	<1	>16	-	0.25	0.25	<1	<1	0.25	0.5

S.No	Organism	Linezolid	Example No.											
			1	2	3	4	5	6	7	8	9	10	11	12
11	*S.epidermidis* MRO 02045	2	1	>16	4	2	>16	-	0.5	0.25	4	4	0.5	2
12	*S.epidermidis* MRO 02095	2	1	>16	8	2	>16	-	0.5	0.25	4	4	0.5	1
13	*S.saprophyticus* MRO 02003	2	1	>16	8	<1	>16	-	0.5	0.5	4	4	1	0.5
14	*S.haemolyticus* MRO 02064	1	1	>16	4	<1	>16	-	0.5	0.5	4	8	1	1
15	*E..faecalis* MRO 04045	2	4	>16	4	8	>16	-	1	1	8	16	2	2
16	*E.faecalis* MRO 04034	2	2	>16	8	8	>16	-	2	2	8	16	4	4
17	*E.faecalis* MRO 04035	2	2	>16	8	4	>16	-	2	2	8	16	4	4
18	*E.faecium* MRO 04036	2	4	>16	8	8	>16	-	2	2	8	16	4	4
19	*E.faecium* MRO 04037	2	4	>16	4	4	>16	-	1	1	8	16	2	2
20	*E.faecium* MRO 04038	2	2	>16	8	4	>16	-	1	1	8	8	2	2
21	*E.faecalis* ATCC 51299	2	2	>16	8	4	>16	-	1	1	8	8	2	2
22	*E.faecium* ATCC 700221	2	4	>16	8	4	>16	-	1	1	8	8	2	2

S.No	Organism	Linezolid	Example No.											
			1	2	3	4	5	6	7	8	9	10	11	12
23	*E.faecalis* ATCC 29212	2	2	>16	8	4	>16	-	1	1	8	16	4	2
24	*S.aureus* ATCC 29213	2	2	>16	4	2	>16	-	0.25	1	8	8	0.5	2
25	*S.aureus* ATCC 43300	2	1	>16	4	2	>16	-	1	0.5	8	8	2	1
26	*M.catarrhalis ATCC 43617*	4	>8	-	-	4	>16	-	2	1	-	-	>4	4
27	*M.catarrhalis ATCC 43627*	4	>8	-	-	2	>16	-	2	1	-	-	>4	4
28	*M.catarrhalis ATCC 43628*	4	>8	-	-	4	>16	-	2	1	-	-	>4	4
29	*E.coli* ATCC 25922	>16	>16	>16	>16	>16	>16	-	>4	>4	>16	>16	>4	>16

S.No	Organism	Linezolid	Example No.									
			13	14	15	16	17	18	19	20	21	22	23
1	*S.aureus* MRO 00013	2	1	>16	8	>16	>16	>16	>16	>16	>16	>16	>16
2	*S.aureus* MRO 00055	2	2	>16	8	>16	>16	>16	>16	>16	>16	>16	>16
3	*S.epidermidis* MRO 02046	1	0.25	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
4	*S.haemolyticus* MRO 02053	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
5	*S.aureus* MRO 00001	1	0.5	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
6	*S.aureus* MRO 00003	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
7	*S.aureus* MRO 00030	2	1	>16	4	16	>16	>16	>16	>16	>16	>16	>16

S.No	Organism	Linezolid	Example No.									
			13	14	15	16	17	18	19	20	21	22	23
8	*S.aureus* MRO 00048	1	0.25	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
9	*S.aureus* MRO 00059	2	0.5	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
10	*S.epidermidis* MRO 02002	2	0.25	>16	8	>16	>16	>16	>16	>16	>16	>16	>16
11	*S.epidermidis* MRO 02045	2	1	>16	8	>16	>16	>16	>16	>16	>16	>16	>16
12	*S.epidermidis* MRO 02095	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
13	*S.saprophyticus* MRO 02003	2	0.5	>16	8	>16	>16	>16	>16	>16	>16	>16	>16
14	*S.haemolyticus* MRO 02064	1	0.25	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
15	*E.faecalis* MRO 04045	2	2	>16	4	>16	>16	>16	>16	>16	>16	>16	>16

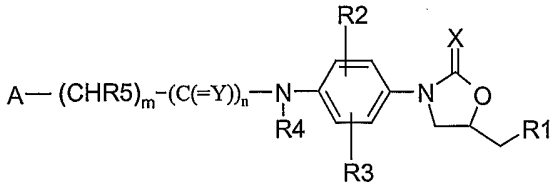
S.No	Organism	Linezolid	Example No.									
			13	14	15	16	17	18	19	20	21	22	23
16	*E.faecalis* MRO 04034	2	2	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
17	*E.faecalis* MRO 04035	2	2	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
18	*E.faecium* MRO 04036	2	2	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
19	*E.faecium* MRO 04037	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
20	*E.faecium* MRO 04038	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
21	*E.faecalis* ATCC 51299	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
22	*E.faecium* ATCC 700221	2	1	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
23	*E.faecalis* ATCC 29212	2	1	>16	8	>16	>16	>16	>16	>16	>16	>16	>16

S.No	Organism	Linezolid	Example No.									
			13	14	15	16	17	18	19	20	21	22	23
24	*S.aureus* ATCC 29213	2	1	>16	>8	>16	>16	>16	>16	>16	>16	>16	>16
25	*S.aureus* ATCC 43300	2	0.25	>16	4	>16	>16	>16	>16	>16	>16	>16	>16
26	*M.catarrhalis ATCC 43617*	4	2	>16	8	-	-	>16	>16	-	-	-	-
27	*M.catarrhalis ATCC 43627*	4	1	>16	>8	-	-	>16	>16	-	-	-	-
28	*M.catarrhalis ATCC 43628*	4	1	>16	>8	-	-	>16	>16	-	-	-	-
29	*E.coli* ATCC 25922	>16	>8	>16	>8	>16	>16	>16	>16	>16	>16	>16	>16

S.aureus - *Staphylococus aureus*
Ent. Faecalis - *Enterococcus faecalis*
E. faecium - *Enterococcus* faecium
ATCC – American Type Culture Collection
MRO - Microbial Resource Orchid

We claim :

1. A compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted group selected from $(C_1$-$C_6)$alkylaminocarbonyloxy; OR^6, wherein R^6 represents hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1$-$C_6)$alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; SR^7, wherein R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1$-$C_6)$alkyl, aryl, aralkyl, acyl, thioacyl, heteroaryl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1$-$C_6)$alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; or R^1 represents the formula $-NHC(=Q)R^9$ wherein Q represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from $(C_1$-$C_6)$alkyl, $(C_1$-$C_6)$alkoxy, aryl, $(C_3$-$C_6)$cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, heterocyclylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino, or R^1 is of the formula $-NHS(O)_p(C_1$-$C_4)$alkyl, $-NHS(O)_p$aralkyl or $-NHS(O)_p$heteroaralkyl, where p is 0 to 2; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or

substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl.

2. The compound as heteroaryl group represented by A are selected from phenyl, naphthyl, phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, pyrazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, quinoxalinyl, quinazolinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, benzoxadiazolyl, benzothiadiazolyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, piperidinyl or piperazinyl.

3. A compound of formula (I) as claimed in claim 1, which is selected from :

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-carboxyethylfuran-2-ylmethyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyridylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2,4,6-trifluorophenylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2,4,6-trifluorophenylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]-N'-cyclopropyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]-N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrofuran-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]morpholinothioamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitrothien-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrazin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-carboxyethylpyrazin-2-ylmethyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-pyrazinmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyridin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrimidin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrimidin-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(5-nitropyrollyl-2-ylmethyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl] thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazin-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate ;

(*S*)-N-[3-[3-Fluoro-4-[N-piperidin-1ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(4-piperidin-1-yl)piperidin-1ylcarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-methyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylcarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]- N'-cyclopropyl thiourea ;

(*S*)-N-[3-[3-Fluoro-4-[N-pyrazine-2ylthiocarbonyl)methylamino] phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide ;

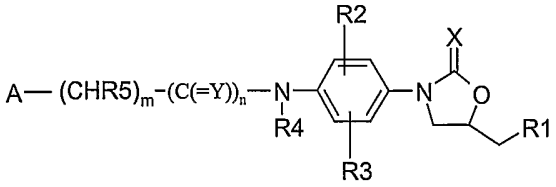
(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thioacetamide ;

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]acetamide and

(*S*)-N-[3-[3-Fluoro-4-[N-(2-thiazolmethylcarbonyl)methylamino]phenyl]-2-oxo-5-oxazolidinylmethyl]thiocarbamate.

4. The compound as claimed in claim 3, wherein the salt is selected from hydrochloride or hydrobromide.

5. A process for the preparation of compound of the formula (I)

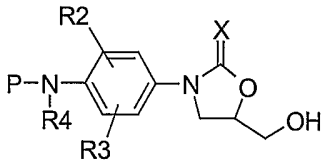


(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or

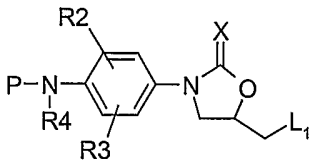
sulfur; R^1 represents the formula –NHC(=Q)R^9; where Q is O, R^9 is hydrogen, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_1-C_6)alkoxy, aryl, (C_3-C_6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, heterocyclylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises :

(i) converting the compound of formula (IIIa)



(IIIa)

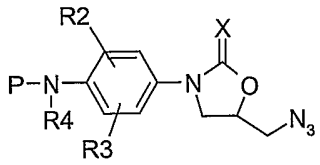
wherein P represents protecting group and all other symbols are as defined earlier to produce compound of formula (IIIb)



(IIIb)

wherein L^1 represents a leaving group and all other symbols are as defined earlier,

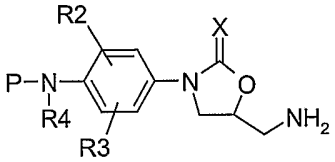
(ii) converting the compound of formula (IIIb) to produce compound of formula (IIIc)



(IIIc)

wherein all symbols are as defined earlier,

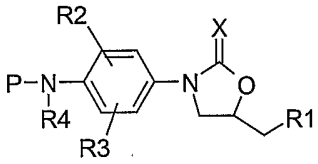
iii) reducing the compound of formula (IIIc) to produce compound of formula (IIId)



(IIId)

wherein all symbols are as defined earlier,

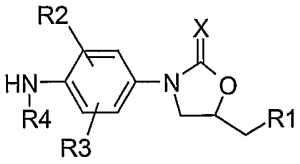
iv) acylating the compound of formula (IIId) to produce a compound of formula (IIIe)



(IIIe)

where R^1 represents the formula $-NHC(=Q)R^9$ and all other symbols are as defined earlier,

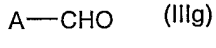
v) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

wherein all symbol are as defined earlier and

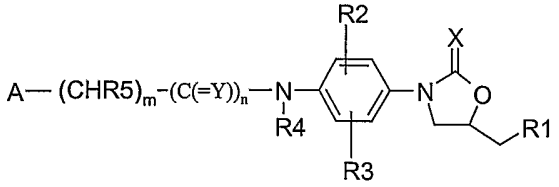
vi) reacting the compound of formula (IIIf) with compound of formula (IIIg)



(IIIg)

wherein A is as defined earlier to produce compound of formula (I) wherein all symbols are as defined above.

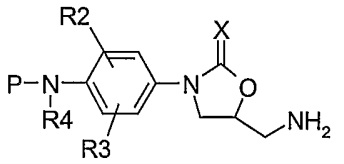
6. A process for the preparation of compound of the formula (I)



(I)

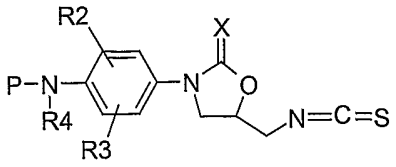
their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; R^1 represents the formula –NHC(=Q)R^9; where Q is S, R^9 is (C_1-C_6)alkoxy; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises :

(i) converting the compound of formula (IIId)



(IIId)

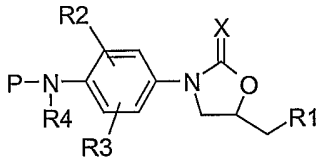
to give compound of formula (IIIh)



(IIIh)

wherein P represents protecting group and all other symbols are as defined above,

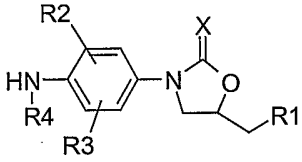
ii) converting the compound of formula (IIIh) to produce a compound of formula (IIIe)



(IIIe)

where R^1 is as defined above and all other symbols are as defined above,

iii) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

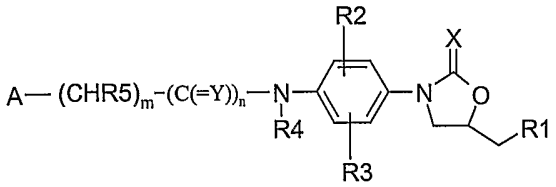
wherein all symbol are as defined earlier and

iv) reacting the compound of formula (IIIf) with compound of formula (IIIg)

A—CHO (IIIg)

wherein A is as defined earlier to produce compound formula (I) wherein all symbols are as defined above.

7. A process for the preparation of compound of the formula (I)

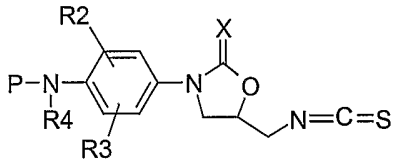


(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or

sulfur; R^1 represents the formula –NHC(=Q)R^9; where Q is S, R^9 is amino, monoalkylamino, dialkylamino, cycloalkylamino, heterocyclylamino, arylamino; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises :

(i) reacting the compound of formula (IIIh)

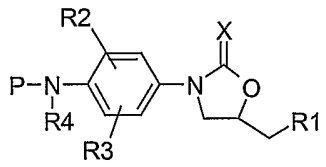


(IIIh)

wherein all symbols are as defined earlier with compound of formula (IIIi)

R9—H (IIIi)

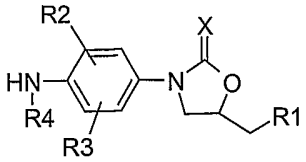
wherein R^9 is as defined above to produce compound of formula (IIIe)



(IIIe)

where R^1 is as defined above and all other symbols are as defined above,

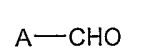
ii) deprotecting the compound of formula (IIIe) to produce compound of formula (IIIf)



(IIIf)

wherein all symbol are as define defined earlier and

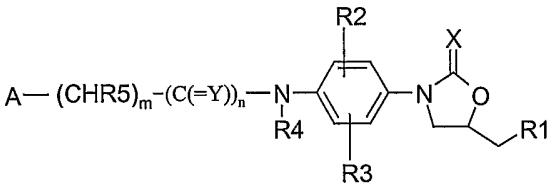
iii) reacting the compound of formula (IIIf) with compound of formula (IIIg)



(IIIg)

wherein A is as defined earlier to produce compound of formula (I) wherein all symbols are as defined above.

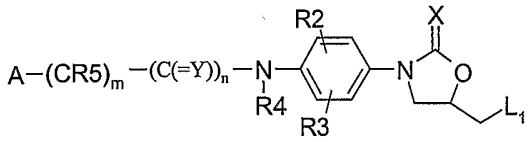
8. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; where R^1 represents SR^7, OR^6, $N(R^{8a}R^{8b})$, wherein R^6 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, acyl, thioacyl, heteroaryl; R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected

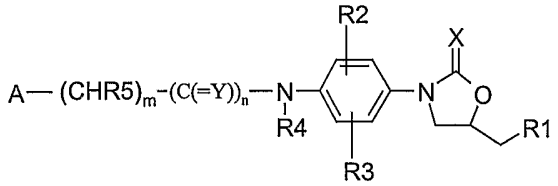
from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, $(C_2\text{-}C_6)$alkenyl, $(C_1\text{-}C_6)$alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises reacting the compound of formula (IIIj)



(IIIj)

where L^1 represents a leaving group with R^7SH, $NH(R^{8a}R^{8b})$ or R^6OH where R^6, R^7, R^{8a} and R^{8b} are as defined above.

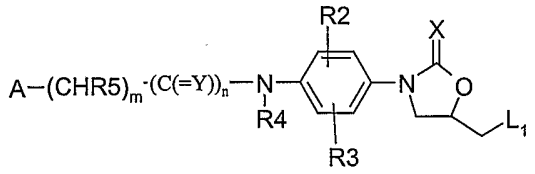
9. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; where R^1 represents $-NHS(O)_p(C_1\text{-}C_4)$alkyl, $-NHS(O)_p$aralkyl or $-NHS(O)_p$heteroaralkyl group; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, $(C_2\text{-}C_6)$alkenyl, $(C_1\text{-}C_6)$alkoxy, monoalkylamino, dialkylamino, aryl,

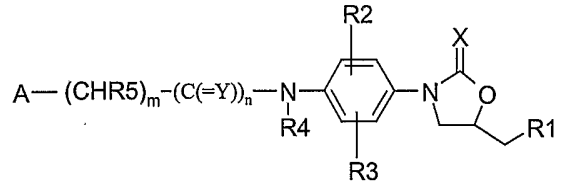
aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises reacting the compound of formula (IIIk)



(IIIk)

where all symbols are as defined earlier which represents compounds of formula (I), R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} represent hydrogen, with $R'SO_2Cl$ where R' represents (C_1-C_4)alkyl, aralkyl or heteroaralkyl group.

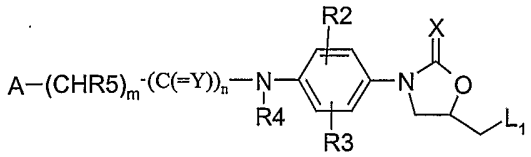
10. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; R^1 represents the formula $-NHC(=Q)R^9$; where Q is O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_1-C_6)alkoxy, aryl, (C_3-C_6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, heterocyclylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or

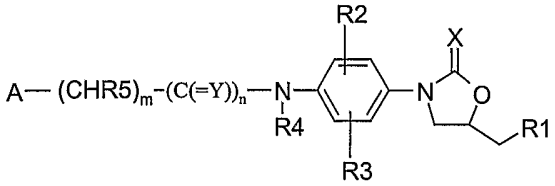
unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 0 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, which comprises reacting the compound of formula (IIIm)



(IIIm)

where all symbols are as defined above with thio acetic acid to produce compound of formula (I) as defined above.

11. A process for the preparation of compound of the formula (I)

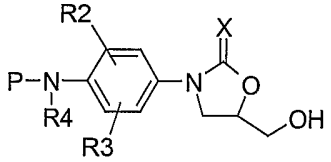


(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their solvates, their pharmaceutically acceptable salts and their pharmaceutically acceptable compositions wherein X represents oxygen or sulfur; R^1 represents the formula –NHC(=Q)R^9; where Q is O, R^9 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, acyl, thioacyl, heteroaryl; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl;

R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; Y represents oxygen or sulfur; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; R^5 represents hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; A represents substituted aryl or substituted or unsubstituted groups selected from aralkyl, cycloalkyl, heteroaryl, heterocyclyl, heteroaralkyl, heterocycloalkyl, with a proviso that when m is 0, A is not aryl, furyl, thienyl, pyridiyl, pyrrolyl, to compounds of formula (I) wherein Q is S and all other symbols are as defined above using Lawesson's reagent in the presence of base.

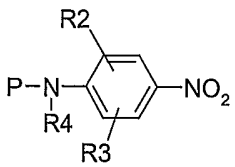
12. A process for the preparation of compound of the formula (IIIa)



(IIIa)

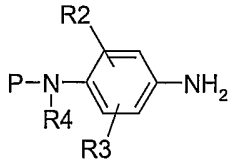
wherein X represents oxygen or sulfur; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, cyano, nitro, amino or substituted or unsubstituted groups selected from alkyl, alkoxy or aryl; R^4 represents hydrogen or substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_2-C_6)alkenyl, (C_1-C_6)alkoxy, monoalkylamino, dialkylamino, aryl, aralkyl, cycloalkyl, heteroaryl, heteroaralkyl, heterocyclyl; P represents protecting group, which comprises :

i) reducing the compound of formula (IIIn)



(IIIn)

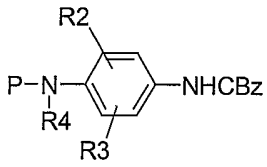
wherein all symbols are as defined above to produce a compound of formula (IIIo)



(IIIo)

wherein all symbols are as defined earlier,

ii) converting the compound of formula (IIIo) to produce compound of formula (IIIp)

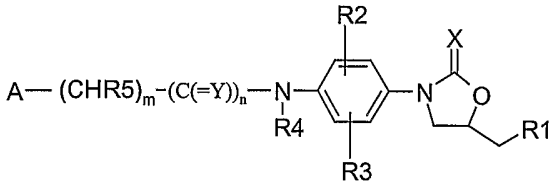


(IIIp)

where all symbols are as defined earlier and

iii) cyclizing the compound of formula (IIIp) with R-(-)-glycidyl butyrate to produce a compound of formula (IIIa) where all symbols are as defined earlier.

13. A pharmaceutical composition, which comprises a compound of formula (I)



(I)

as defined in claim 1 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

14. A pharmaceutical composition as claimed in claim 13, in the form of a tablet, capsule, powder, syrup, solution, aerosol or suspension.

15. A method of treating or preventing an infectious disorder in a human or animal, comprising administering an effective amount of a compound of claim 1 to human or animal in need thereof.

16. A method as claimed in claim 15, wherein the infectious disorder is caused by bacteria.

17. A method of treating or preventing an infectious disorder in a human or animal, comprising administering an effective amount of a compound of claim 3 to human or animal in need thereof.

18. A method as claimed in claim 17, wherein the infectious disorder is caused by bacteria.

19. A method of treating or preventing an infectious disorder in a human or animal, comprising administering a composition as claimed in claim 13 to human or animal in need thereof.

20. A method as claimed in claim 19, wherein the infectious disorder is caused by bacteria.

INTERNATIONAL SEARCH REPORT

onal Application No
PCT/IB2004/001508

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D413/12 C07D263/22 C07D417/12 A61K31/422 A61P31/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01/09107 A (GORDEEV MIKHAIL F ; UPJOHN CO (US); LUEHR GARY W (US); PATEL DINESH V) 8 February 2001 (2001-02-08) examples 23-25	1-20
X	WO 03/031443 A (MORPHOCHEM AG FUER KOMBINATORI ; SPECKLIN JEAN-LUC (FR); HUBSCHWERLEN) 17 April 2003 (2003-04-17) example 15	1-20
X	WO 99/37630 A (GORDEEV MIKHAIL F ; GORDON ERIC (US); LUEHR GARY W (US); NI ZHI JIE (U) 29 July 1999 (1999-07-29) * see page 51, structure 5e; figure 19 ; claim 56; pages 127-128 *	1-20

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
3 August 2004	18/08/2004

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Lauro, P

INTERNATIONAL SEARCH REPORT

In onal Application No
PCT/IB2004/001508

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 03/000256 A (PERNERSTORFER JOSEF ; POHLMANN JENS (DE); BAYER AG (DE); LAMPE THOMAS) 3 January 2003 (2003-01-03) example 156	1
X	M. J. GENIN ET AL.: J. MED. CHEM., vol. 41, no. 26, 1998, XP002290955 * See Scheme 1 *	12

INTERNATIONAL SEARCH REPORT

Information on patent family members

In ional Application No
PCT/IB2004/001508

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			CA	2374383 A1	08-02-2001
			CN	1367780 T	04-09-2002
			EP	1200416 A1	02-05-2002
			JP	2003513885 T	15-04-2003
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			WO	0109107 A1	08-02-2001
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			WO	03031443 A1	17-04-2003
			WO	03032962 A2	24-04-2003
			EP	1432705 A2	30-06-2004
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