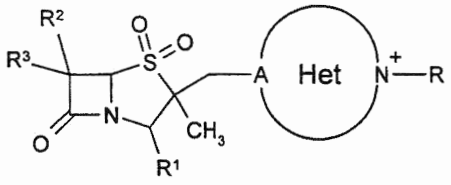
We Claim:

1. ~~A~~ Use of a compound of formula (I), their derivatives, analogs, tautomeric forms, stereoisomers, polymorphs, solvates, metabolites, prodrugs, hydrates, pharmaceutically acceptable salts and esters, in the manufacture of a medicament for the treatment or prevention of carbapenemase-producing bacterial infection~~use in the inhibition of β-lactamases produced by bacteria; restoring/potentiating the activity of antibiotics, comprising administering a therapeutically effective amount of compound of formula (I), to a subject in need thereof~~;



(I)

wherein

A = C or N;

Het represents optionally substituted three- to seven-membered heterocyclic ring;

R^1 represents carboxylate anion or $-COOR^4$ wherein R^4 represents hydrogen, C_1-C_6 alkyl, C_6-C_{10}aryl, C_6-C_{10}arylC_1-C_6alkyl, methoxybenzyl, nitrobenzyl, silyl, diphenylmethyl, proxetil, axetil, cilexetil, pivoxil, hexetil, daloxate or a pharmaceutically acceptable salt;

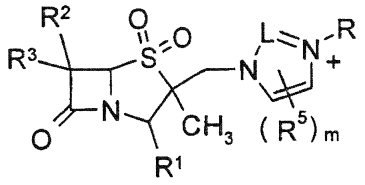
R^2 and R^3 independently represent hydrogen, halogen, amino, protected amino or optionally substituted C_1-C_6alkyl, C_2-C_6alkenyl and C_2-C_6alkynyl;

R represents substituted or unsubstituted C_1-C_6alkyl, C_2-C_6alkenyl, C_6-C_{10}aryl, C_6-C_{10}arylC_1-C_6alkyl, C_3-C_{12}cycloalkyl, oxo, heterocyclyl and heterocyclylalkyl groups;

when the groups R, R^2 and R^3 are substituted, the substituents which may be one or more are selected from lower alkyl (C_1-C_4alkyl selected from the group consisting of methyl, ethyl, propyl and isopropyl); lower alkoxy (C_1-C_4alkoxy selected from the group consisting of methoxy, ethoxy and propoxy); lower alkylthio (C_1-C_4alkylthio selected from the group consisting of methylthio and ethylthio); lower alkylamino (C_1-C_4alkylamino selected from the group consisting of methylamino, ethylamino and propylamino); cyclo(lower)alkyl (C_5-C_6cycloalkyl selected from the group consisting of cyclopentyl and cyclohexyl); cyclo(lower)alkenyl (C_5-C_6cycloalkenyl selected from the group consisting of cyclohexenyl and cyclohexadienyl); hydroxy; halogen (chloro, bromo, fluoro and iodo); amino;

protected amino; cyano; nitro; carbamoyl; -CONHC$_1$-C$_4$alkyl-COO-C$_1$-C$_4$alkyl; carboxy; protected carboxy; -COO-C$_1$-C$_4$aIkyl; -CO-heterocyclyl; sulfonyl; sulfamoyl; imino; oxo; amino(lower)alkyl selected from the group consisting of aminomethyl, aminoethyl and aminopropyl; halo(lower)alkyl selected from the group consisting of trifluoromethyl (-CF_3), fluoromethyl, fluoroethyl, bromomethyl and difluoromethyl; carboxylic acid and carboxylic acid derivatives selected from the group consisting of hydroxamic acid, ester and amide.

2. The ~~compound for~~ use as claimed in claim 1, wherein the compounds are selected from formula (II),



(II)

their ~~derivatives, analogs,~~ tautomeric forms, stereoisomers, polymorphs, solvates, ~~metabolites, prodrugs,~~ hydrates, pharmaceutically acceptable salts and esters~~, for use in the inhibition of carbapenemases produced by bacteria; restoring/potentiating the activity of antibiotics, comprising administering a therapeutically effective amount of compound of formula (I), to a subject in need thereof~~;

wherein:

L = C or N;

R^1 represents carboxylate anion or –$COOR^4$ wherein R^4 represents hydrogen, C$_1$-C$_6$alkyl, C$_6$-C$_{10}$aryl, C$_6$-C$_{10}$arylC$_1$-C$_6$alkyl, methoxybenzyl, nitrobenzyl, silyl, diphenylmethyl, proxetil, axetil, cilexetil, pivoxil, hexetil, daloxate or a pharmaceutically acceptable salt;

R^2 and R^3 may be same or different and independently represent hydrogen, halogen, amino, protected amino, optionally substituted C$_1$-C$_6$alkyl, C$_2$-C$_6$alkenyl and C$_2$-C$_6$alkynyl;

R represents substituted or unsubstituted C$_1$-C$_6$alkyl, C$_2$-C$_6$alkenyl, C$_6$-C$_{10}$aryl, C$_6$-C$_{10}$aryl C$_1$-C$_6$alkyl, C$_3$-C$_{12}$cycloalkyl, oxo, heterocyclyl and heterocyclylalkyl;

R^5 is hydrogen, C$_1$-C$_6$alkyl, C$_1$-C$_6$alkoxy, C$_1$-C$_6$alkylthio, C$_1$-C$_6$alkylamino, hydroxyl, halogen and trihalomethyl; and

m is 0, 1 or 2.

3. The ~~compound for~~ use as claimed in claim 1 or 2, wherein the compound is selected from:

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-methyl-1*H*-1,2,3-triazol-3-ium;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-ethyl-1*H* -1,2,3-triazol-3-ium;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-n-propyl-1*H*-1,2,3-triazol-3-ium;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-allyl-1*H* -1,2,3-triazol-3-ium;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-(2-amino-2-oxoethyl)-1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-(2-t-butoxy-2-oxoethyl)- 1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-(2-morpholin-4-yl-2-oxoethyl)-1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-{2[(2-ethoxy-2-oxoethyl)amino]-2-oxoethyl}-1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1-{ [(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-{2-[(3-ethoxy-3-oxopropyl)amino]-2-oxoethyl}-1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-(2-{[1-(ethoxycarbonyl)-2-hydroxypropyl]amino}-2-oxoethyl)-1*H*-1,2,3-triazol-3-ium and the corresponding acid;

1 -{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-benzyl-1H-1,2,3-triazol-3-ium and the corresponding acid;

(2*S*,3*S*,5*R*)-3-Methyl-3-(3-methyl-imidazol-3-ium-l-ylmethyl)-4,4,7-trioxo-4-thia-l-azabicyclo[3.2.0]heptane-2-carboxylate and the corresponding acid; and

(2*S*,3*S*,5*R*)-3-Methyl-3-(4-methyl-3-methyl-imidazol-3-ium-l-ylmethyl)-4,4,7-trioxo-4-thia-l-aza-bicyclo[3.2.0]heptane-2-carboxylate and the corresponding acid, their derivatives, analogs, tautomeric forms, stereoisomers, polymorphs, solvates, metabolites, prodrugs, hydrates, pharmaceutically acceptable salts and esters.

4. The ~~compound for~~ use as claimed in claim 1 or 2, wherein the compound is, 1-{[(2*S*,3*S*,5*R*)-2-Carboxy-3-methyl-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]hept-3-yl]methyl}-3-methyl-lH-l,2,3-triazol-3-ium and its ~~derivatives, analogs,~~ tautomeric forms, stereoisomers, polymorphs, solvates, ~~metabolites, prodrugs,~~ hydrates, pharmaceutically acceptable salts and esters.

~~5. The compound of formula (I) for treating and/or preventing infections caused by bacteria producing β-lactamases comprising carbapenemases, cephalosporinases, penicillinases, ESBLs, inhibitor-resistant β -lactamases, in combination with suitable antibiotic, comprising administering therapeutically effective amount of compound of formula (I), to a subject in need thereof.~~

~~6. The compound for use as claimed in any one of the claims 1-4, for treating and/or preventing infection caused by carbapenemase producing bacteria comprising administering therapeutically effective amount of compound of formula (I), in combination with suitable antibiotics to a subject in need thereof.~~

~~7~~5. The ~~compound for~~ use as claimed in ~~any one of the~~ claims 1-4, wherein bacteria are selected from Gram-negative bacteria.

~~8~~6. The ~~compound for~~ use as claimed in ~~any one of the~~ claims 1-4, wherein the carbapenemases are selected from KPC.

~~9. A pharmaceutical composition comprising a compound of formula (I) as claimed in any one of the claims 1-4, as an active ingredient for inhibiting β -lactamases.~~

~~10~~7. ~~A pharmaceutical composition comprising a compound of formula (I)~~The use as claimed in ~~any one of the~~ claims 1-4, ~~as an active ingredient along with~~

~~a. one or more compounds of claim 1~~wherein the medicament is a composition comprising;

~~b~~a. one or more ~~antibiotics~~antibacterial agents and

~~c~~b. one or more pharmaceutically acceptable carrier.

~~11~~8. The ~~compound for~~ use as claimed in ~~any one of the~~ claims 1-4, wherein the ~~antibiotics~~antibacterial agents are β -lactam ~~antibiotics~~antibacterial agents.

~~12~~9. The ~~compound for~~ use as claimed in claim ~~11~~8, wherein the ~~antibiotics~~antibacterial agents are selected from Penicillins, Cephalosporins, Carbacephem, Oxacephem, Carbapenems, Cephamycins, Penems, Monobactams or a combination thereof.

~~13~~10. The ~~compound for~~ use as claimed in claim ~~12~~9, wherein the penicillins are selected from Amdinocillin (Mecillinam), Amoxicillin, Ampicillin, Amylpenicillin, Apalcillin, Aspoxicillin, Azidocillin, Azlocillin, Bacampicillin, Carbenicillin, Carindacillin, Clometocillin, Cloxacillin, Cyclacillin (Ciclacillin), Dicloxacillin, Epicillin, Fenbenicillin, Floxacillin (flucloxacillin), Hetacillin, Lenampicillin, Metampicillin, Methicillin, Mezlocillin, Nafcillin, Oxacillin, Penamecillin, Penethecillin, Penicillin G (Procaine Pencillin), Penicillin N, Penicillin O, Penicillin V (Phenoxymethyl Penicillin), Phenethicillin, Piperacillin, Pivampicillin, Propicillin, Quinacillin, Sulbenicillin, Talampicillin, Temocillin, Ticarcillin, Pivmecillinam, Benzathine Penicillin, Benzyl Penicillin, Co-amoxiclav and Lenampicillin.

~~14~~11. The ~~compound for~~ use as claimed in claim ~~12~~9, wherein the cephalosporins are selected from Cephaloridin, Cephradine, Cefoxitin, Cephacetril, Cefinenoxime, Cephaloglycin, Cefonicid, Cefodizime, Cefpirome, Cefpiramide, Cefozopran, Cefoselis, Cefluprenam, Cefpimizole, Cefclidin, Cefpodoxime axetil, Cefteram pivoxil, Cefcapene pivoxil, Ceftobiprole, Ceftaroline, Cefoperazone, Cefquinome,

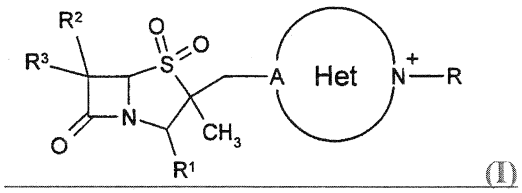
Ceftiofur, Cefovecin, Cefadroxil, Cefalonium, Cefepime, Cefotaxime, Ceftazidime, Cefetamet pivoxil, Cefditoren pivoxil, Cephaloridine, Ceftazidime, Ceftriaxone, Cefbuperazone, Cephalothin, Cephazolin, Cephapirin, Ceftezole, Cefamandole, Cefotiam, Cefotiam hexetil, Cefuroxime, Ceftizoxime, Cefmenoxime, Cefuzonam, Cefsulodin, Cefmetazole, Cefminox, Cephalexin, Cefradine, Cefaclor, Cefadroxil, Cefalonium, Cefprozil, Cefuroxime axetil, Cefixime, Cefpodoxime proxetil, Ceftibuten, CXA-101(FR264205), and Cefdinir.

~~15~~12. The ~~compound for~~ use as claimed in claim ~~12~~9, wherein the carbapenems are selected from Meropenem, Ertapenem, Doripenem, Biapenem, Panipenem, Ritipenem, Tebipenem, Tomopenem, Sulopenem, Razupenem, Imipenem, ME 1036 and SM216601.

~~16~~13. The ~~compound for~~ use as claimed in claim ~~12~~9, wherein the Monobactams are selected from Aztreonam, Carumonam, Tigemonam, BAL19764 and BAL30072.

~~17~~14. The ~~compound for~~ use as claimed in ~~anyone of~~ claims ~~1 to 4~~, wherein the ~~antibiotics~~antibacterial agents are selected from Imipenem, Faropenem, Doripenem, Meropenem, Ertapenem, Aztreonam, Cefepime, Cefotaxime, Ceftazidime, Ceftobiprole, Cefquinome, Ceftiofur, Cefadroxil and Cefalonium.

~~18~~15. ~~The~~ Use of the compound of formula (I) ~~for use~~ as ~~a~~ an *in vitro* diagnostic reagent for the detection of ~~β-lactamases including~~ carbapenemases:



(I)

wherein

A = C or N;

Het represents optionally substituted three- to seven-membered heterocyclic ring;

R^1 represents carboxylate anion or $-COOR^4$ wherein R^4 represents hydrogen, C_1-C_6 alkyl, C_6-C_{10}aryl, C_6-C_{10}arylC_1-C_6alkyl, methoxybenzyl, nitrobenzyl, silyl, diphenylmethyl, proxetil, axetil, cilexetil, pivoxil, hexetil, daloxate or a pharmaceutically acceptable salt;

R^2 and R^3 independently represent hydrogen, halogen, amino, protected amino or optionally substituted C_1-C_6alkyl, C_2-C_6alkenyl and C_2-C_6alkynyl;
R represents substituted or unsubstituted C_1-C_6alkyl, C_2-C_6alkenyl, C_6-C_{10}aryl, C_6-C_{10}arylC_1-C_6alkyl, C_3-C_{12}cycloalkyl, oxo, heterocyclyl and heterocyclylalkyl groups;
when the groups R, R^2 and R^3 are substituted, the substituents which may be one or more are selected from lower alkyl (C_1-C_4alkyl selected from the group consisting of methyl, ethyl, propyl and isopropyl); lower alkoxy (C_1-C_4alkoxy selected from the group consisting of methoxy, ethoxy and propoxy); lower alkylthio (C_1-C_4alkylthio selected from the group consisting of methylthio and ethylthio); lower alkylamino (C_1-C_4alkylamino selected from the group consisting of methylamino, ethylamino and propylamino); cyclo(lower)alkyl (C_5-C_6cycloalkyl selected from the group consisting of cyclopentyl and cyclohexyl); cyclo(lower)alkenyl (C_5-C_6cycloalkenyl selected from the group consisting of cyclohexenyl and cyclohexadienyl); hydroxy; halogen (chloro, bromo, fluoro and iodo); amino; protected amino; cyano; nitro; carbamoyl; -CONHC_1-C_4alkyl-COO-C_1-C_4alkyl; carboxy; protected carboxy; -COO-C_1-C_4alkyl; -CO-heterocyclyl; sulfonyl; sulfamoyl; imino; oxo; amino(lower)alkyl selected from the group consisting of aminomethyl, aminoethyl and aminopropyl; halo(lower)alkyl selected from the group consisting of trifluoromethyl (-CF_3), fluoromethyl, fluoroethyl, bromomethyl and difluoromethyl; carboxylic acid and carboxylic acid derivatives selected from the group consisting of hydroxamic acid, ester and amide.

~~19~~16. The ~~compound for~~ use as claimed in claim ~~18~~15 as a diagnostic reagent for the detection of β-lactamases belonging to the families of KPC ~~and ESBL producing Enterobacteriaceae~~.

~~20. (2*S*,3*S*,5*R*)-3-Methyl-3-(3-methyl-imidazol-3-ium-1-ylmethyl)-4,4,7-trioxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylate; and (2*S*, 3*S*, 5*R*)-3-Methyl-3-(4-methyl-3-methyl-imidazol-3-ium-1-ylmethyl)-4,4,7-trioxo-4-thia-1-aza-bicyclo[3.2.0]heptane-2-carboxylate, their derivatives, analogs, tautomeric forms,~~

~~stereoisomers, polymorphs, solvates, metabolites, prodrugs, hydrates, pharmaceutically acceptable salts and esters.~~

~~21~~17. ~~The~~Use of a compound ~~for use~~ as claimed in claim 1 or 2~~, wherein the 'subject' is selected from~~ in the manufacture of a medicament for the treatment of patients with bacterial infections, preoperative patients, postoperative patients, patients in ICU, patients with nosocomial infections, community acquired infections and ~~veterinaries~~non-human animals.

~~22~~18. The ~~pharmaceutical composition~~ use as claimed in claim ~~10~~17, wherein the ~~antibiotics~~antibacterial agents are selected from the group consisting of Penicillins, Cephalosporins, Penems, Carbacephem, Carbapenems, Oxacephem, Monobactams, Aminoglycosides, Bacteriocins, Quinolones, Sulfonamides, Macrolides, Tetracyclines, Glycylcyclines, Oxazolidinones, Lipopeptides, Polypeptides, Rifamycins, Chloramphenicol, Polyene antifungals and derivatives thereof.

19. The use as claimed in claim 1 wherein the medicament is administered separately to an antibacterial agent.