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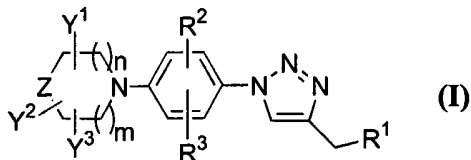
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(54) Title: NOVEL TRIAZOLE COMPOUNDS: PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM



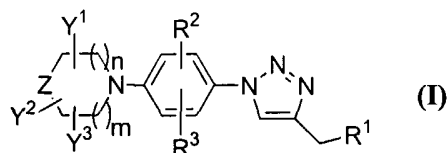
(57) Abstract: The present invention relates to novel triazole compounds of formula (I), their prodrugs, their pharmaceutically acceptable salts and their stereoisomers thereof. The present invention also relates to a process for the preparation of the novel compound of the formula (I).

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NOVEL TRIAZOLE COMPOUNDS: PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Field of the Invention

The present invention relates to novel triazole compounds of formula (I),



their prodrugs, their pharmaceutically acceptable salts and their stereoisomers thereof.

The present invention also relates to a process for the preparation of the novel compound of the formula (I).

Background of the Invention

Since the discovery of penicillin, pharmaceutical companies have produced more than one hundred antibacterial agents to combat a wide variety of bacterial infections. In the past several years, there has been rapid emergence of bacterial resistance to several of these antibiotics. The multidrug resistance among these bacterial pathogens may also be due to mutation leading to more virulent clinical isolation; the most disturbing milestone has been the acquisition of resistance to vancomycin, an antibiotic generally regarded as the agent of last resort for serious Gram-positive infections. This growing multidrug resistance has recently rekindled interest in the search for new structural class of antibiotic that inhibit or kill these bacteria possibly by novel mechanisms.

A problem of larger dimension is the increasing incidence of the more virulent, methicillin-resistant *Staphylococcus aureas* (MRSA) among clinical isolates found worldwide. As with vancomycin resistant organisms, many MRSA strains are resistant to most of the known antibiotics, but MRSA strains have remained sensitive to vancomycin. However, in view of the increasing reports of vancomycin resistant clinical isolates and growing problem of bacterial resistance, there is an urgent need for new molecular entities effective against the emerging and currently problematic Gram-positive organisms.

Recently, several oxazolidinones have been discovered, which inhibit protein synthesis by binding to the 50S-ribosomal subunit which is close to the site to which chloramphenicol and lincomycin bind but their mode of action is mechanistically distinct from these two antibiotics.

Various 1, 2, 3-triazoles, 1, 2, 4-triazoles and benzotriazoles have been reported to show various biological activities and have therefore found applications in medicinal chemistry.

Some of the literature references are:

- (a) Chem. Pharm. Bull. 48(12), 1935-1946 (2000) discloses the triazoles of formula (ia) and (ib), which are reported as antifungal agents,
- (b) US 6054471 discloses fluorinated triazoles of the formula (ii), which are reported for the treatment of neuropathic pain and associated hyperalgesia, including trigeminal and herpetic neuralgia, diabetic neuropathic pain, migraine, causalgia and deafferentation syndromes such as brachial plexus avulsion,
- (c) J. Med. Chem., 2843, 1991 discloses compound of formula (iii), which is an anticoccidiostat and also been found to have antiproliferative activity in several disease models and to possess antimetastatic activity in a model of ovarian cancer progression,
- (d) J. Heterocycl. Chem., 609, 1989 discloses compound of formula (iv), which is reported for anti-inflammatory effects,
- (e) EPO publication no 0304221 A2 discloses compounds of formula (v), which are reported as antiproliferative reagents.
- (f) PCT publication no. WO03/059894 (by Dr. Reddy's Laboratories Ltd.) discloses 1,2,3-triazoles as antibacterial agents.

The novel triazole compound of the present invention is useful for the treatment of various infections

Summary of the Invention

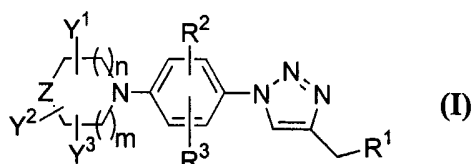
According to one aspect of the present invention, there is provided novel triazole compounds of the general formula (I) as defined above, their prodrugs, their pharmaceutically acceptable salts and their stereoisomers thereof.

Another aspect of the present invention provides a process for the preparation of novel triazole compounds of the formula (I).

Yet another aspect of the present invention provides the use of novel compounds of formula (I) or its pharmaceutical compositions in the treatment of bacterial infections.

Detailed description of the Invention

The present invention relates to compounds having the general formula (I),



their prodrugs, their pharmaceutically acceptable salts and their stereoisomers thereof;

where R¹ represents halogen, azido, thioalcohol, isothiocyanate, hydroxy, isoindole-1,3-dione, optionally substituted (C₁-C₁₀)alkylsulfonyloxy, arylsulfonyloxy, (C₁-C₁₀)acyloxy group, -SO₂-(C₁-C₁₀)alkyl, -SO₂-aryl;

NHR⁴ wherein R⁴ represents

(a) hydrogen,

(b) $\begin{array}{c} -\text{C}-\text{R}^5 \\ \parallel \\ \text{Q} \end{array}$

where

Q represents oxygen or sulfur,

R⁵ represents

(i) hydrogen,

Optionally substituted groups selected from,

(ii) alkyl,

(iii) cycloalkyl,

(iv) alkoxy,

(v) cycloalkoxy,

(vi) alkenyl,

(vii) alkenyloxy,

(viii) aryl,

(ix) aryloxy,

(x) heteroaryl,

(xi) heterocyclyl,

(xii) heteroaryloxy,

(xiii) -S(O)₂alkyl,

(xiv) -S(O)₂aryl,

(xv) -NH-R⁶, where R⁶ represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, alkenyl, aryl, aralkyl, heteroaryl, heteroaralkyl,

$\begin{array}{c} -\text{C}-\text{R}^7 \\ \parallel \\ \text{Q}_1 \end{array}$, wherein R⁷ is optionally substituted group selected from alkyl, alkoxy, cycloalkyl, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, and Q₁ represents oxygen or sulfur;

(xvi) -N-[alkyl]₂,

(xvii) -N(R'R''), wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

(xviii) -SR⁷, wherein R⁷ is as defined above;

(xix) $\begin{array}{c} \text{---C---R}^7 \\ \parallel \\ \text{Q}_2 \end{array}$, wherein R⁷ is as defined above; or

(xx) $\begin{array}{c} \text{---O---C---R}^7 \\ \parallel \\ \text{Q}_3 \end{array}$, wherein Q₃ represents oxygen or sulfur, R⁷ is as defined above;

(c) $\begin{array}{c} \text{---C---R}^6 \\ \parallel \\ \text{NR} \end{array}$

wherein R represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, aryl or aralkyl;

R⁶ represents optionally substituted groups selected from

- (i) alkyl,
- (ii) cycloalkyl,
- (iii) alkoxy,
- (iv) cycloalkoxy,
- (v) alkenyl,
- (vi) alkenyloxy,
- (vii) aryl,
- (viii) aryloxy,
- (ix) heteroaryl,
- (x) heteroaryloxy,
- (xi) -NH-R⁸, where R⁸ represents hydrogen or optionally substituted alkyl,
- (xii) -N-[alkyl]₂;

R² and R³ at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,

- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
(vii) haloalkyl,
(viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y¹ represents =O,

Y² and Y³ may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
(ii) halogen,
(iii) cyano,
(iv) nitro,
(v) formyl,
(vi) hydroxy,
(vii) amino,
(viii) =O,
(ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
(xi) hydroxyalkyl,
(xii) alkoxyalkyl,
(xiii) alkoxycarbonyl,
(xiv) carboxyalkyl,
(xv) alkylsulfonyl,
(xvi) aminoalkyl,
(xvii) monoalkylamino,
(xviii) dialkylamino,
(xix) arylamino,
(xx) alkoxy,
(xxi) aryl,
(xxii) aryloxy,

(xxiii) aralkyl or

(xxiv) heteroaryl,

Z represents

(i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;

(ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

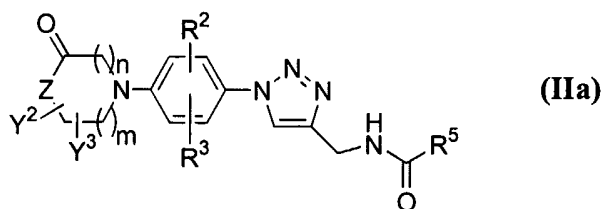
$-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3; and

n represents 1-3.

The present invention provides novel triazole compounds that have the general formula

(IIa)



where R^5 represents

(i) hydrogen,

optionally substituted groups selected from

- (ii) alkyl,
- (iii) cycloalkyl,
- (iv) alkoxy,
- (v) cycloalkoxy,
- (vi) alkenyl,
- (vii) alkenyloxy,
- (viii) aryl,
- (ix) heteroaryl,
- (x) $-\text{NH}-\text{R}^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl or cycloalkyl
- (xi) $-\text{N}[\text{alkyl}]_2$,
- (xii) $-\text{N}(\text{R}'\text{R}'')$, wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

Y^2 and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent hydrogen, =O, =S, alkyl or hydroxyalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen or haloalkyl;

m represents 0-3, n represents 1-3;

Z represents

- (i) $-\text{NR}^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;
- $-(\text{CH}_2)_p-\text{NR}^{10}\text{R}^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7

membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(\text{CH}_2)_q-\text{O}-\text{CO}-\text{(CH}_2)_r-\text{R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

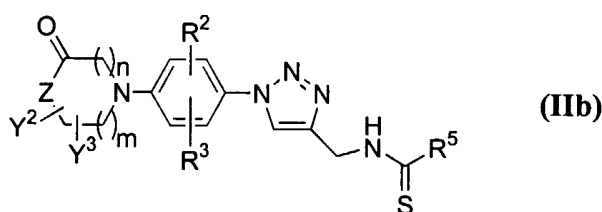
The present invention provides novel triazole compounds of formula (IIa), where R^5 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, $-\text{NH}-\text{R}^6$ where in R^6 represents hydrogen, alkyl or cycloalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen;

Z represents $-(\text{CH}_2)_p-\text{NR}^{10}\text{R}^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(\text{CH}_2)_q-\text{O}-\text{CO}-\text{(CH}_2)_r-\text{R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{12} where R^{12} represents hydrogen or alkyl.

The present invention provides novel triazole compounds that have the general formula (IIb)



where R^5 represents

(i) hydrogen,

optionally substituted groups selected from

(ii) alkyl,

(iii) cycloalkyl,

- (iv) alkoxy,
- (v) cycloalkoxy,
- (vi) alkenyl,
- (vii) alkenyloxy,
- (viii) aryl,
- (ix) heteroaryl,
- (x) $-NH-R^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl or cycloalkyl
- (xi) $-N-[alkyl]_2$,
- (xii) $-N(R'R'')$, wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

Y^2 and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent hydrogen, =O, =S, alkyl or hydroxyalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen or haloalkyl;

m represents 0-3, n represents 1-3;

Z represents

- (i) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{13} and R^{14} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(\text{CH}_2)_q\text{-O-CO-(CH}_2)_r\text{-R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

The present invention provides novel triazole compounds of formula (IIb), where R^5 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, $-\text{NH-R}^6$ where in R^6 represents hydrogen, alkyl or cycloalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen;

Z represents $-(\text{CH}_2)_p\text{-NR}^{10}\text{R}^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(\text{CH}_2)_q\text{-O-CO-(CH}_2)_r\text{-R}^{12}$, where R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

The groups defined for $\text{R}^1, \text{R}^2, \text{R}^3, \text{R}^4, \text{R}^5, \text{R}^6, \text{R}^7, \text{R}^8, \text{R}^9, \text{R}^{10}, \text{R}^{11}, \text{R}^{12}, \text{R}^{13}, \text{Y}^2, \text{Y}^3$ and Z are described as follows:

'Halogen' is fluorine, chlorine, bromine, or iodine;

'Alkyl' group is a linear or branched ($\text{C}_1\text{-C}_{10}$)alkyl group. Exemplary alkyl groups include methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, t-butyl, n-pentyl, iso-pentyl, hexyl, heptyl, octyl and the like.

'Haloalkyl' group is a linear or branched halo($\text{C}_1\text{-C}_{10}$)alkyl group. Exemplary alkyl groups include halomethyl, haloethyl, halopropyl, halobutyl, halopentyl, haloethyl, haloheptyl, haloethyl, haloiso-propyl, haloiso-butyl and the like.

'Hydroxyalkyl' group is a linear or branched hydroxy($\text{C}_1\text{-C}_{10}$)alkyl group. Exemplary alkyl groups include hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, hydroxyheptyl, hydroxyoctyl and the like.

'Alkylcarbonyl' is (C₁-C₁₀)alkylcarbonyl, where (C₁-C₁₀)alkyl group is as defined above. Exemplary alkylcarbonyl groups include methylcarbonyl, ethylcarbonyl, propylcarbonyl, isopropylcarbonyl and the like.

'Dihydroxyalkyl' group is a linear or branched dihydroxy(C₁-C₁₀)alkyl group. Exemplary alkyl groups include dihydroxymethyl, dihydroxyethyl, dihydroxypropyl, dihydroxybutyl, dihydroxypentyl, dihydroxyhexyl, dihydroxyheptyl, dihydroxyoctyl and the like.

'Cycloalkyl' group is (C₃-C₈)cycloalkyl group. Exemplary cycloalkyl groups include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like.

'Alkoxy' is (C₁-C₁₀)alkyl-O-, wherein the (C₁-C₁₀)alkyl group is as defined above. Exemplary alkoxy groups include methoxy, ethoxy, propoxy, butoxy, iso-propoxy and the like.

'Cycloalkoxy' is (C₃-C₈)cycloalkoxy group. Exemplary cycloalkoxy groups include cyclopropoxy, cyclobutoxy, cyclopentoxy, cyclohexoxy and the like.

'Alkenyl' is a (C₂-C₁₀)alkenyl group. Exemplary alkenyl groups include ethenyl, propenyl, butenyl, pentenyl, hexenyl and the like.

'Cycloalkenyl' is (C₃-C₈)cycloalkenyl group. Exemplary cycloalkenyl groups include cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl and the like.

'Alkoxyalkyl' is (C₁-C₁₀)alkoxy(C₁-C₁₀)alkyl group, where (C₁-C₁₀)alkoxy and (C₁-C₁₀)alkyl groups are as defined above. Exemplary alkoxyalkyl groups include methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, methoxyisopropyl, ethoxyisobutyl and the like.

'Alkoxycarbonyl' is (C₁-C₁₀)alkoxycarbonyl, wherein (C₁-C₁₀)alkoxy is as defined above. Exemplary alkoxycarbonyl groups include methoxycarbonyl, ethoxycarbonyl and the like.

'Carboxyalkyl' is carboxy(C₁-C₁₀)alkyl, where (C₁-C₁₀)alkyl group is as defined above. Exemplary carboxyalkyl groups include carboxymethyl, carboxyethyl and the like.

'Alkylsulfonyl' or '-SO₂-alkyl' is (C₁-C₁₀)alkylsulfonyl or -SO₂-(C₁-C₁₀)alkyl, where (C₁-C₁₀)alkyl group is as defined above. Exemplary alkylsulfonyl or -SO₂-alkyl group includes methylsulfonyl, ethylsulfonyl and the like.

'Alkylsulfonyloxy' is (C₁-C₁₀)alkylsulfonyloxy, where (C₁-C₁₀)alkyl group is as defined above. Exemplary alkylsulfonyloxy groups include methylsulfonyloxy, ethylsulfonyloxy and the like.

'Aryl' is monocyclic or multicyclic ring system of about 6 to 14 carbon atoms. Exemplary groups include phenyl, naphthyl and the like.

'Arylsulfonyl' or '-SO₂-aryl' is arylsulfonyl or -SO₂-aryl, where aryl group is as defined above. Exemplary arylsulfonyl or -SO₂-aryl group includes phenylsulfonyl, naphthylsulfonyl and the like.

'Arylsulfonyloxy' is arylsulfonyloxy, where aryl group is as defined above. Exemplary arylsulfonyloxy groups include phenylsulfonyloxy, naphthylsulfonyloxy and the like.

'Alkylcarbonylaminoalkyl' is (C₁-C₁₀)alkylcarbonylamino(C₁-C₁₀)alkyl, where (C₁-C₁₀)alkyl group is as defined above. Exemplary alkylcarbonylaminoalkyl groups include methylcarbonylaminoethyl, methylcarbonylaminoethyl and the like.

'Arylcarbonylaminoalkyl' is arylcarbonylamino(C₁-C₁₀)alkyl, where aryl and (C₁-C₁₀)alkyl group are as defined above. Exemplary arylcarbonylaminoalkyl include phenylcarbonylaminoethyl, phenylcarbonylaminoethyl and the like.

'Alkylcarbonyloxyalkyl' is (C₁-C₁₀)alkylcarbonylamino(C₁-C₁₀)alkyl, where (C₁-C₁₀)alkyl group is as defined above. Exemplary alkylcarbonyloxyalkyl groups include methylcarbonyloxymethyl, ethylcarbonyloxymethyl and the like.

'Aminoalkyl' is amino(C₁-C₁₀)alkyl, where (C₁-C₁₀)alkyl is as defined above. Exemplary aminoalkyl groups include aminomethyl, aminoethyl and the like.

'Monoalkylamino' is 'mono(C₁-C₁₀)alkylamino' where (C₁-C₁₀)alkyl is as defined above. Exemplary monoalkylamino groups include methylamino, ethylamino, propylamino, isopropylamino and the like.

'Dialkylamino' is 'di(C₁-C₁₀)alkylamino' where (C₁-C₁₀)alkyl is as defined above. Exemplary dialkylamino groups include dimethylamino, diethylamino and the like.

'Arylamino' where aryl group is as defined above. Exemplary arylamino groups include phenylamino, naphthylamino and the like.

'Alkenyloxy' is (C₂-C₁₀)alkenyl-O-, where the (C₂-C₆)alkenyl group is as defined above. Exemplary alkenyl groups include ethenyloxy, propenyloxy, butenyloxy, pentenyloxy, hexenyloxy and the like.

'Acyloxy' is (C₁-C₁₀)acyl-O-, where acyl group is defined as H-CO- or (C₁-C₁₀)alkyl-CO-, where (C₁-C₁₀)alkyl group is as defined above. Exemplary acyl groups include acetyl, propionyl, and the like. Exemplary acyloxy groups include acetyloxy, propionyloxy, and the like.

'Aryloxy' is aryl-O- group, where the aryl group is as defined above. Exemplary aryloxy groups include phenoxy, naphthyloxy and the like.

'Aralkyl' is aryl-(C₁-C₁₀)alkyl group, wherein aryl and (C₁-C₁₀)alkyl groups are as defined above. Exemplary aralkyl groups include benzyl, 2-phenylethyl and the like.

'Aralkoxy' is aralkyl-O- group, wherein the aralkyl group as defined above. Exemplary aralkoxy groups include bezyloxy, 2-phenethyloxy and the like.

'Heterocyclyl' is non-aromatic saturated monocyclic or polycyclic ring system of about 5 to about 10 carbon atoms, having at least one hetero atom selected from O, S or N. Exemplary heterocyclyl groups include aziridinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, 1,3-dioxolanyl, 1,4-dioxanyl and the like.

'Heterocyclylalkyl' is heterocyclyl(C₁-C₁₀)alkyl. Exemplary heterocyclylalkyl groups include aziridinylmethyl, pyrrolidinylmethyl, pyrrolidinylethyl, piperidinylmethyl, piperazinylmethyl, morpholinylmethyl, morpholinylethyl, thiomorpholinylmethyl, , thiazolidinylmethyl, 1,3-dioxolanyl, 1,3-dioxolanylmethyl, 1,3-dioxolanylethyl, 1,4-dioxanylmethyl and the like.

'Heteroaryl' is aromatic monocyclic or multicyclic ring system of about 5 to about 10 carbon atoms, having at least one heteroatom selected from O, S or N. Exemplary heteroaryl groups include as pyrazinyl, isothiazolyl, oxazolyl, pyrazolyl, pyrrolyl, pyridazinyl, thienopyrimidyl, furyl, indolyl, isoindolyl, 1,3-benzodioxole, 1,3-benzoxathiole, quinazolinyl, pyridyl, thiophenyl and the like.

'Heteroaralkyl' is heteroaryl-(C₁-C₁₀)alkyl group, wherein the heteroaryl and (C₁-C₁₀)alkyl groups are as defined above. Exemplary heteroaralkyl groups include thienylmethyl, pyridylmethyl, imidazolylmethyl and the like.

'Heteroaryloxy' is heteroaryl-O-, wherein the heteroaryl group is as defined above. Exemplary heteroaryloxy groups include pyrazinyloxy, isothiazolyloxy, oxazolyloxy, pyrazolyloxy, phthalazinyloxy, indolyloxy, quinazolinoyloxy, pyridyloxy, thienyloxy and the like.

The cyclic rings formed by R' and R'' selected from pyrrolidine, piperidine, piperazine, morpholine, thiomorpholine, piperazin-2-one and the like.

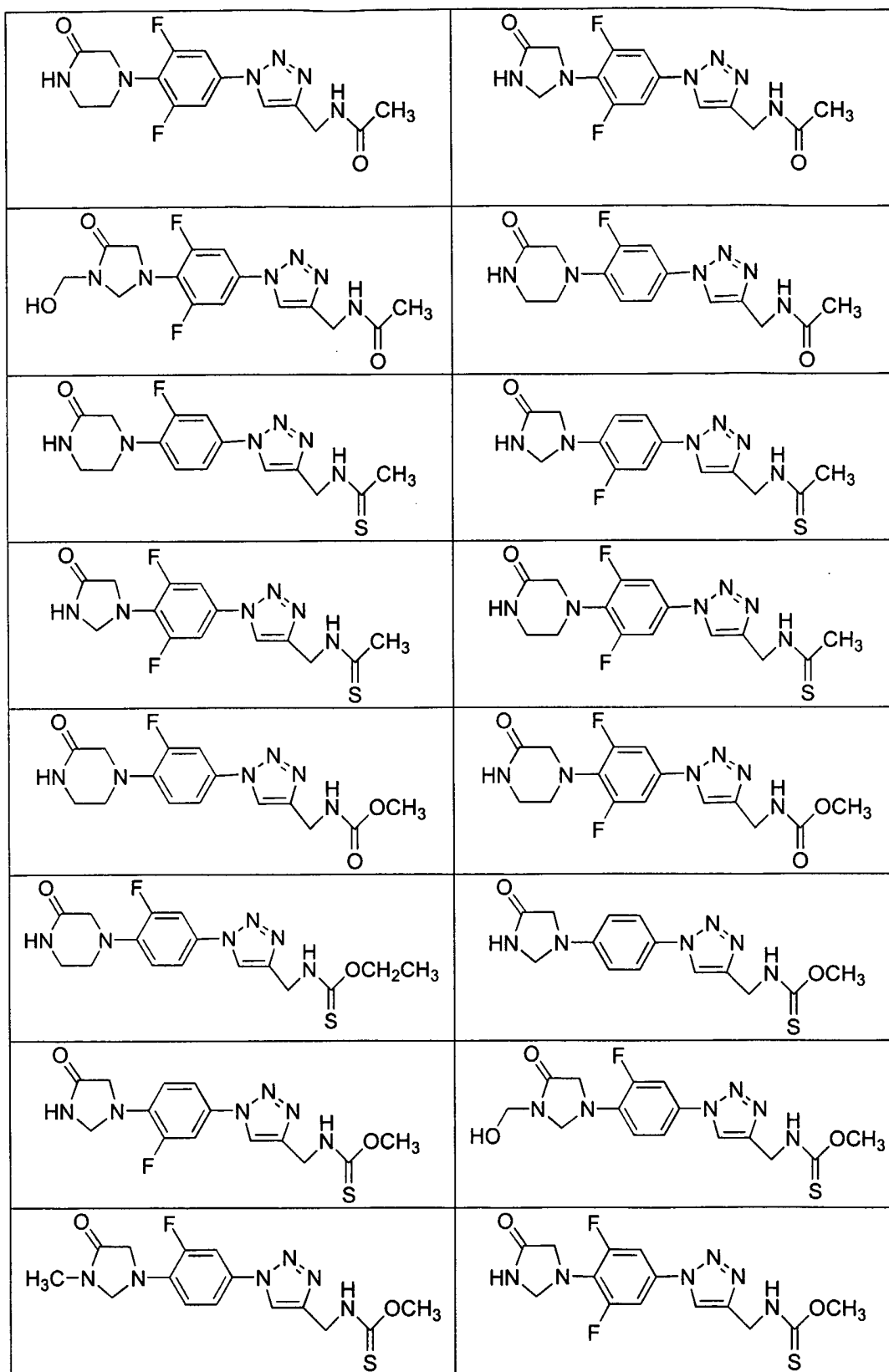
The cyclic rings formed by R¹⁰ and R¹¹ selected from pyrrolidine, piperidine, piperazine, morpholine, thiomorpholine, piperazin-2-one and the like.

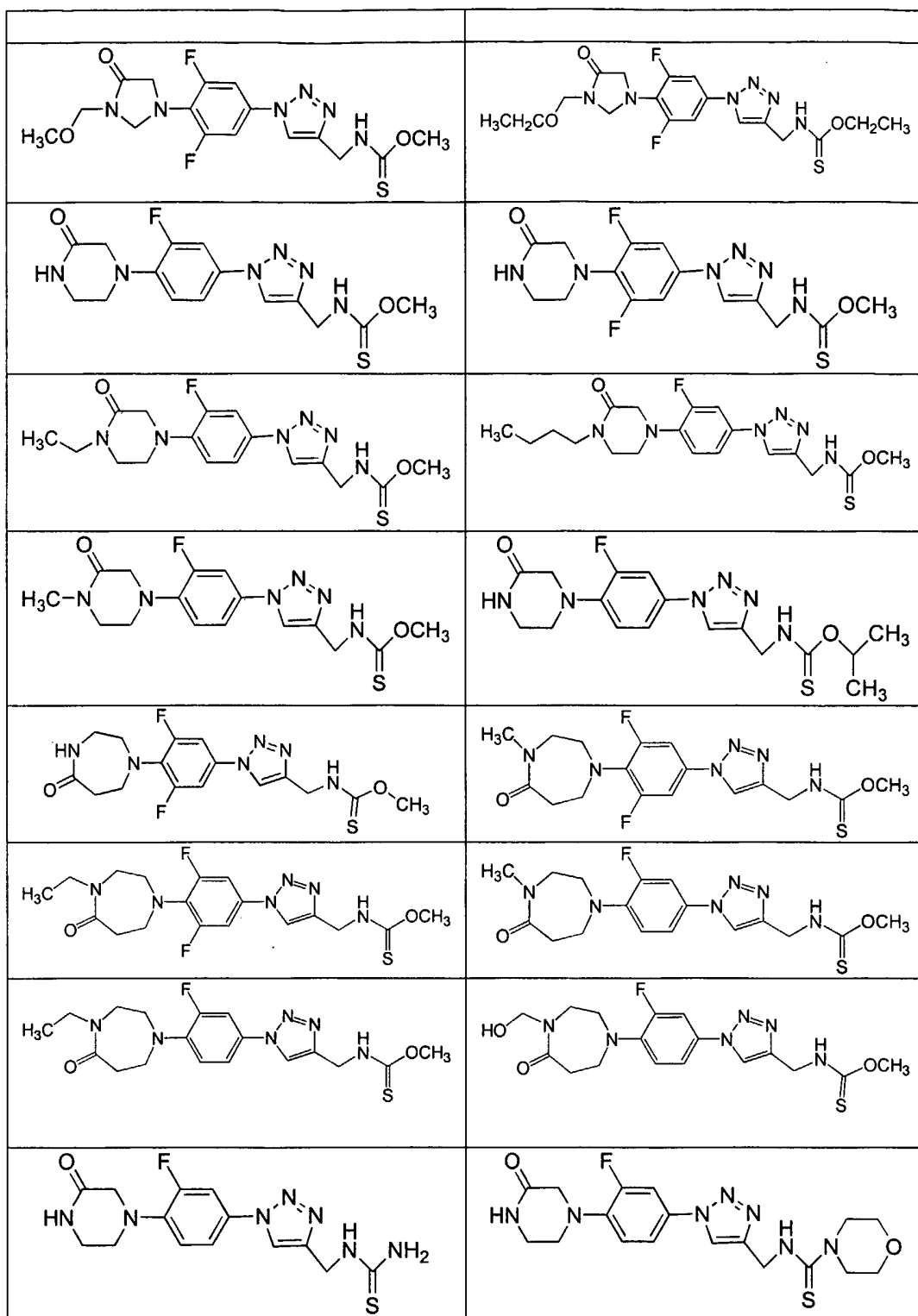
The optional substitutions on the above defined groups, cyclic rings formed by R' and R'' & R¹⁰ and R¹¹ may take place on 1-4 times at suitable sites. The substituents on the above

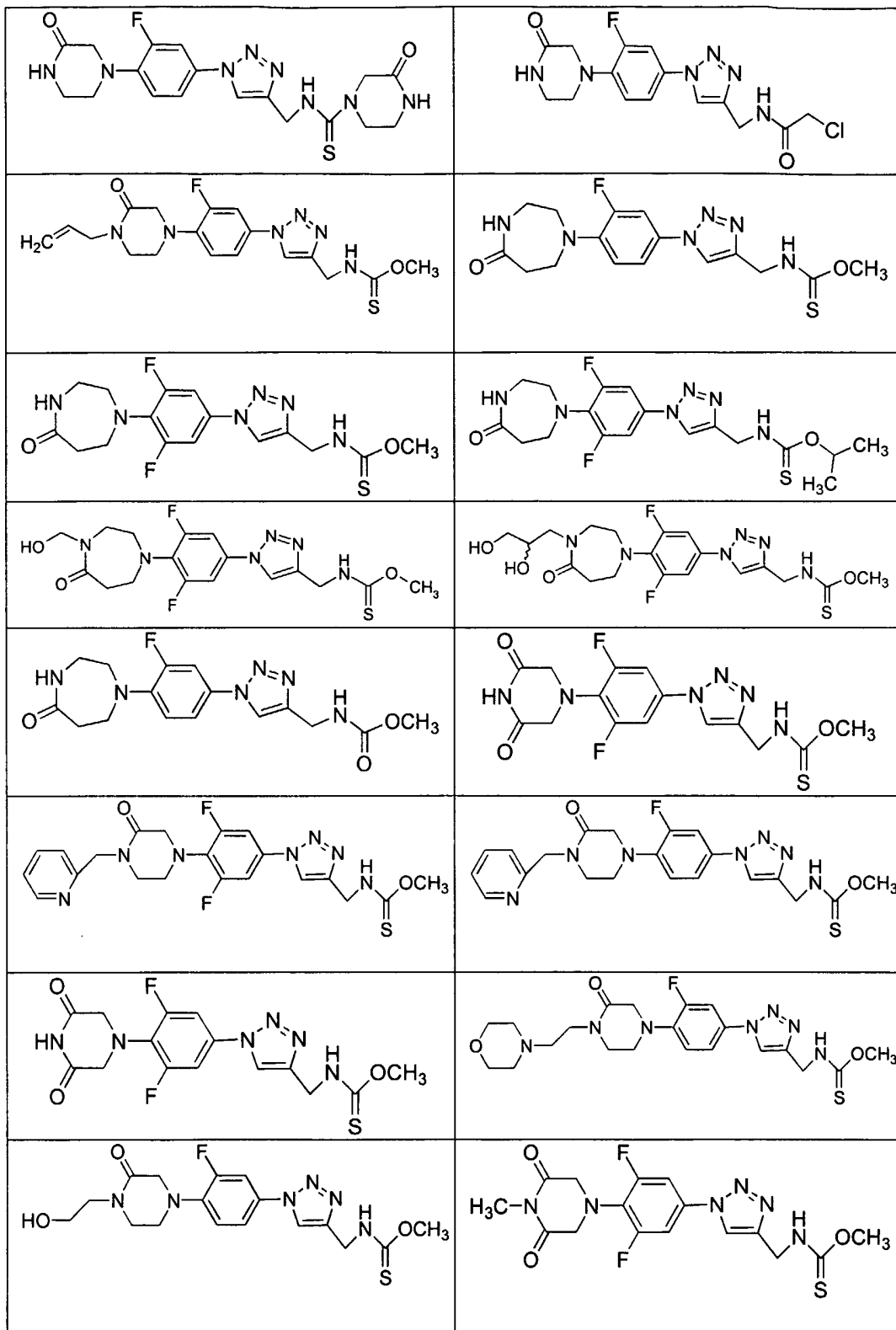
described groups may be selected from hydrogen, halogen, nitro, amino, hydroxy, alkoxy, carboxy, cyano, oxo(O=), thio(S=), alkyl, hydroxyalkyl, monoaminoalkyl, dialkylamino, aminoalkyl, monoalkylamino, dialkylamino, cycloalkyl, alkoxy, haloalkoxy, cycloalkyl, aryl, benzyloxy, acyl, acyloxy, aroyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryl, heterocyclyl, aralkyl, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, alkylthio, arylthio, heteroarylthio, aralkylthio, heterocyclylsulfonyl. The optional substituents on these groups may be selected from halogen, hydroxy, nitro, amino, alkyloxy, hetero cyclyl may be selected from morpholinyl, thiomorphoine, piperzine and like.

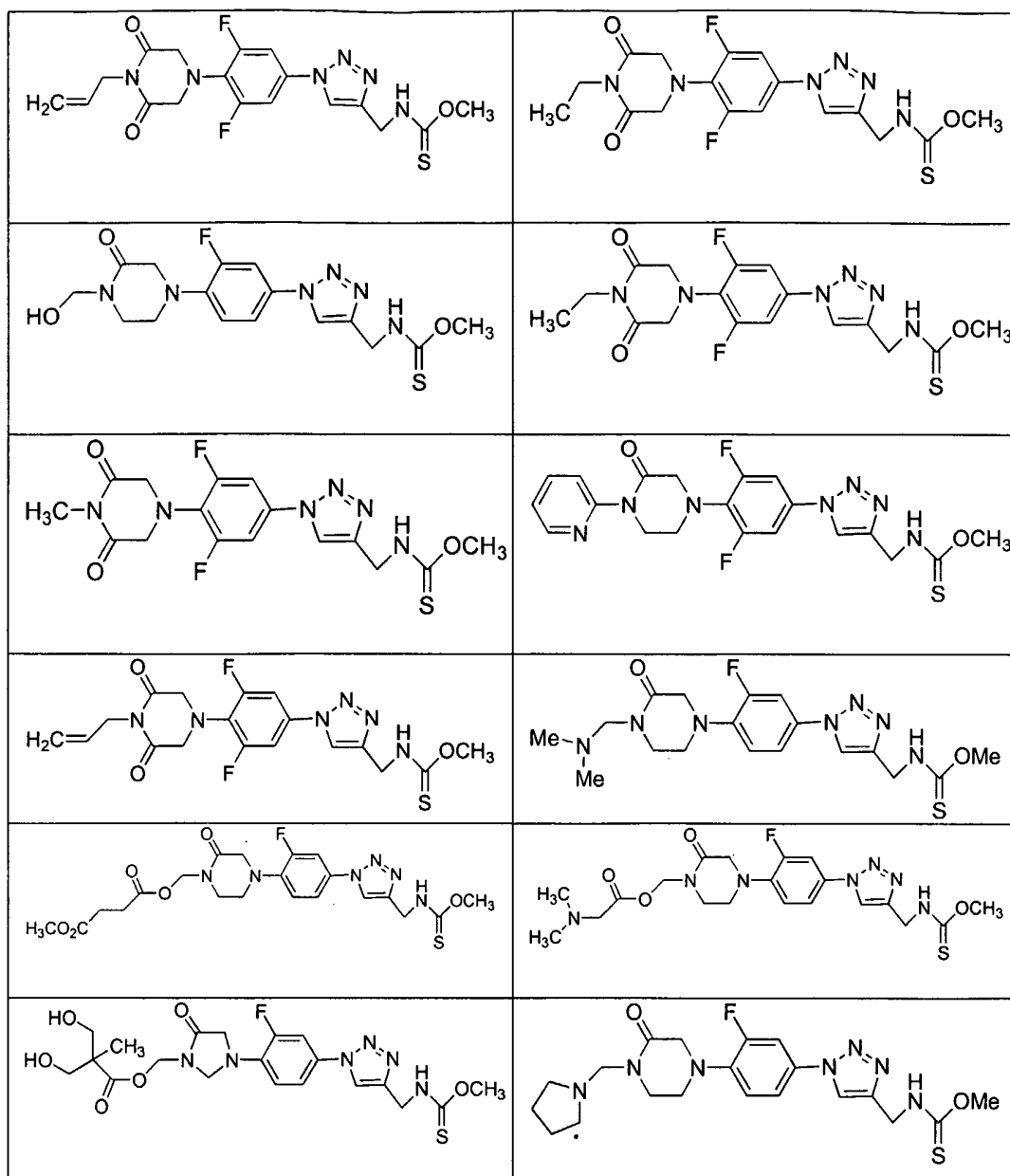
Pharmaceutically acceptable salts forming part of this invention include salts derived from inorganic bases such as Li, Na, K, Ca, Mg, Fe, Cu, Zn, Mn; salts of organic bases such as N,N'-diacetylenediamine, betaine, caffeine, 2-diethylaminoethanol, 2-dimethylaminoethanol, N-ethylmorpholine, N-ethylpiperidine, glucamine, glucosamine, hydrabamine, isopropylamine, methylglucamine, morpholine, piperazine, piperidine, procaine, purines, theobromine, triethylamine, trimethylamine, tripropylamine, tromethamine, diethanolamine, meglumine, ethylenediamine, N,N'-diphenylethylenediamine, N,N'-dibenzylethylenediamine, N-benzyl phenylethylamine, choline, choline hydroxide, dicyclohexylamine, metformin, benzylamine, phenylethylamine, dialkylamine, trialkylamine, thiamine, aminopyrimidine, aminopyridine, purine, spermidine, and the like; chiral bases like phenylalkylamine, substitutedglycinol and the like, salts of natural amino acids such as glycine, alanine, valine, leucine, isoleucine, norleucine, tyrosine, cystine, cysteine, methionine, proline, hydroxy proline, histidine, ornithine, lysine, arginine, serine, threonine, phenylalanine; unnatural amino acids such as D-isomers or substituted amino acids; guanidine, substituted guanidine wherein the substituents are selected from nitro, amino, alkyl such as methyl, ethyl, propyl and the like; alkenyl such as ethenyl, propenyl, butenyl and the like; alkynyl such as ethynyl, propynyl and the like; ammonium or substituted ammonium salts and aluminum salts. Salts may include acid addition salts where appropriate which are, sulphates, nitrates, phosphates, perchlorates, borates, halides, acetates, tartrates, maleates, citrates, succinates, methanesulphonates, benzoates, salicylates, hydroxynaphthoates, benzenesulfonates, ascorbates, glycerophosphates, ketoglutarates and the like.

Representative compounds in accordance with the present invention are presented in the below table. This table is not intended to be exclusive of the compounds of the present invention, but rather exemplary of the compounds that are encompassed by this invention



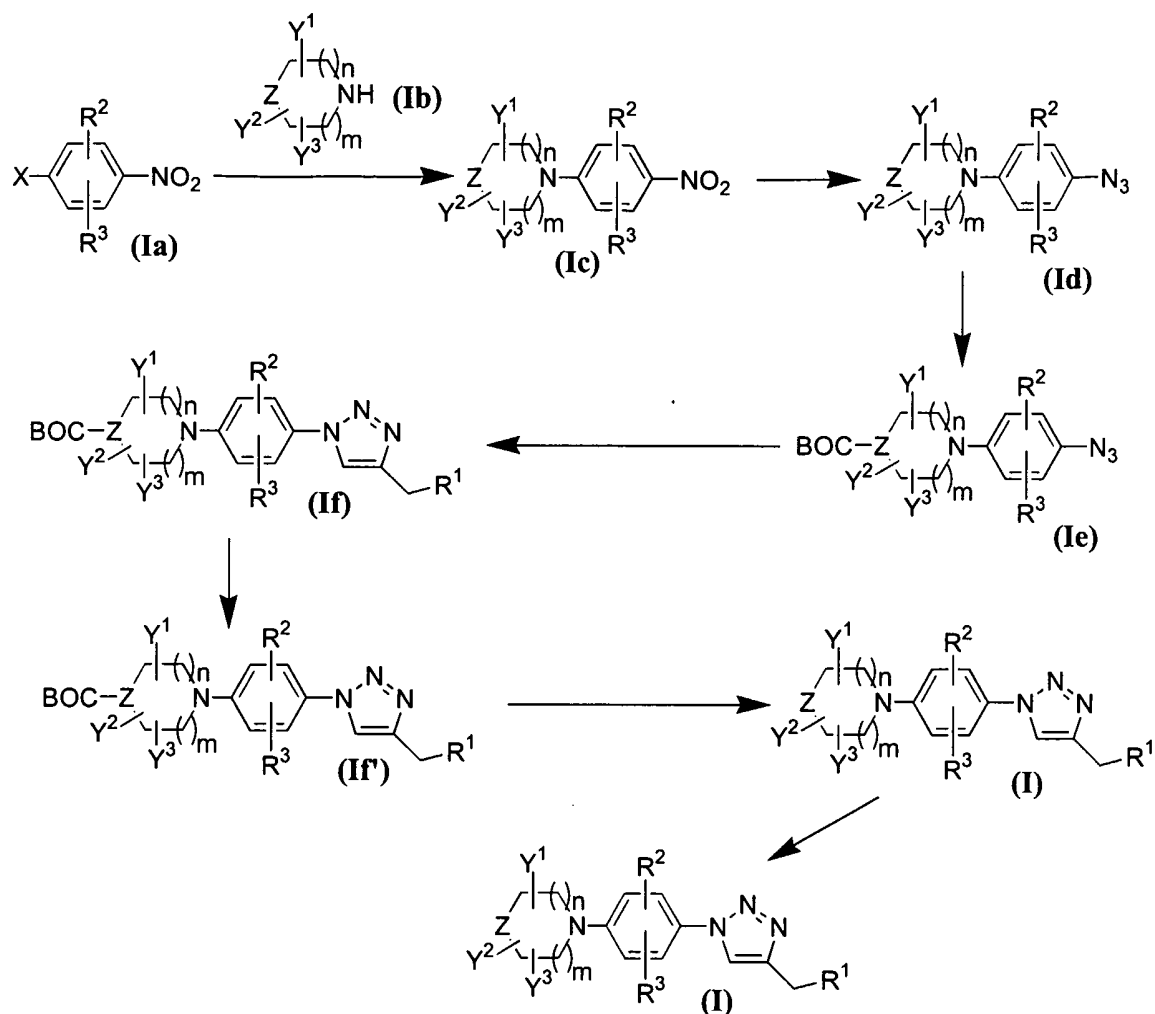






or their pharmaceutically acceptable salts thereof.

The present invention also relates to a process for the preparation of the compound of formula (I) where R^1 represents NHR^4 wherein R^4 represents hydrogen atom and all other symbols are as defined earlier, the process is as shown in the Scheme-I:



where all other symbols are as defined earlier.

Scheme-I

The compound of formula (Ic) is prepared by reacting a compound of formula (Ia), wherein X represents halogen atom, with a compound of formula (Ib) by using a base, which can be selected from potassium hydroxide (KOH), sodium hydroxide (NaOH), potassium carbonate (K₂CO₃), sodium carbonate (Na₂CO₃), sodiumhydride (NaH), potassiumhydride (KH), triethylamine, diisopropylethyl amine and the like. The reaction is carried out using a solvent, which can be diemthylsulfoxide (DMSO), dimethylformamide (DMF), tetrahydrofuran (THF), acetonitrile, chloroform, nitrobenzene and the like or mixtures thereof. The reaction is carried out in inert atmosphere, which can be maintained using inert gases such as N₂ or Ar. The reaction can be carried out at a temperature in the range of about 20 to 100°C, preferably in the range of about

20 to 80 °C. The reaction time can be in the range of about 1 to 15 hours, preferably about 6 to 12 hours.

The compound of formula (Ic) is converted to a compound of formula (Id). The reaction can be carried out in the presence of reducing agents such as nickel chloride/tetrahydridoborate ($\text{NiCl}_2/\text{NaBH}_4$), lithium aluminium hydride (LAH), gaseous hydrogen and a catalyst such as Ru, Pd, Rh, Pt, Ni on solid beads such as charcoal, alumina, asbestos and the like, in presence of a solvent, which can be selected from dioxane, acetic acid, ethyl acetate, tetrahydrofuran (THF), alcohol such as methanol, ethanol and the like or mixtures thereof. A pressure between atmospheric to 60 psi can be used. The reaction can be carried out at a temperature about 0 to 60 °C, preferably about 0 to 40 °C. The reaction period can be in the range of about 0.5 to 48 hours, preferably in the range of about 0.5 to 5 hours. The reduction is carried out by employing metal in mineral acids, which can be selected from Sn/HCl, Fe/HCl, Zn/HCl, Zn/ $\text{CH}_3\text{CO}_2\text{H}$ and the like. The compound obtained is further treated with NaNO_2 in the presence of HCl or acetic acid (CH_3COOH) followed by sodium azide (NaN_3). The temperature of the reaction can be in the range of about -40 °C to boiling temperature of the solvent used, preferably in the range of about 0 to 35 °C. The duration of the reaction can be in the range of about 0.5 to 15 hours, preferably about 0.5 to 5 hours.

The compound of formula (Id) is converted to a compound of formula (Ie) by using a reagent $(\text{BOC})_2\text{O}$. The base used in the reaction can be selected from 4-(dimethylamino)pyridine (DMAP), pyridine, ethylamine, NaH, KH, diisopropyl ethylamine or triethylamine (Et_3N) and the like. The temperature and duration of the reaction can be 0 to 100 °C, preferably about 0 to 30 °C, and about 1 to 24 hours, preferably about 1 to 12 hours, respectively.

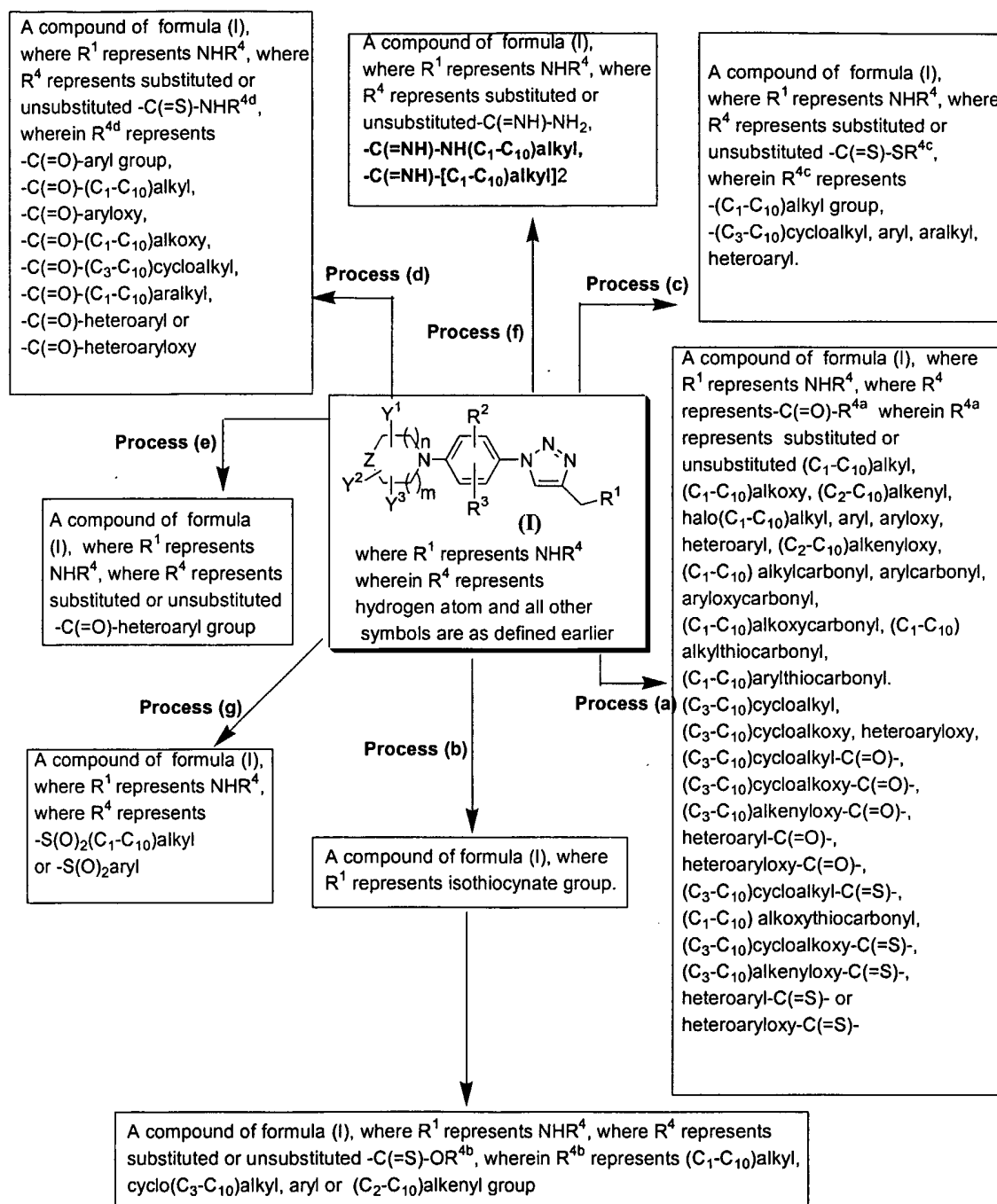
The compound of formula (Ie) is converted to a compound of formula (If), where R^1 represents hydroxy group and Z represent NR^b wherein R^b represents hydrogen atom, by treating with propargyl alcohol in the presence of a reagent, which can be selected from copper(I)iodide (CuI), copper sulfate (CuSO_4) and the like. The reaction can be carried out in the presence of amine selected from diisopropylethylamine, Et_3N , 2,6-lutidine and the like. The solvent used in the reaction can be selected from benzene, toluene, xylene, acetonitrile, THF, dioxane, DMF and the like. The temperature of the reaction can be maintained in the range of about 10 to 200 °C, preferably 20 °C to the boiling temperature of the solvent. The duration of the reaction can be in the range of about 2 to 48 hours, preferably about 12 to 24 hours.

The compound of formula (If), where R^1 represents hydroxy group, is converted to a compound of formula (If'), where R^1 represents azido group and Z represent NR^b wherein R^b represents hydrogen atom, is carried out by treating with alkylsulfonylchloride or arylsulfonylchloride such as methanesulfonyl chloride, p-toluenesulfonyl chloride and the like. The reaction solvent used in the reaction can be selected from chloroform, dichloromethane, THF, dioxane and the like. The base used in the reaction can be selected from Et_3N , diisopropyl ethylamine, Na_2CO_3 , K_2CO_3 and the like. The temperature of the reaction can be maintained in the range of about 0 to 50 °C, preferably in the range of about 0 to 35 °C. The duration of the reaction can be in the range of about 1 to 12 hours, preferably in the range of about 1 to 4 hours. The resultant compound is converted to a compound of formula (I) wherein R^1 represents azido group, by treating with NaN_3 . The solvent used in the reaction can be selected from dimethylformamide (DMF), dimethyl sulfoxide (DMSO), acetonitrile, nitromethane and the like. The temperature of the reaction can be maintained in the range of about 20 to 120 °C, preferably about 20 to 80 °C. The duration of the reaction can be in the range of about 1 to 12 hours, preferably about 2 to 5 hours.

The compound of formula (If'), where R^1 represents azido group is converted to a compound of formula (I), where R^1 represents azido group can be carried out in the presence of trifluoroaceticacid (TFA), hydrochloric acid (HCl), p-toluene sulfonic acid (PTSA) and the other related reagents. The solvent used in the reaction can be selected from dichloromethane, chloroform and the like. The temperature and duration of the reaction can be in the range of about 0 to 100 °C, preferably about 20 to 60 °C. The duration of the reaction can be maintained in the range of about 2 to 24 hours, preferably about 2 to 12 hours.

The compound of formula (I), where R^1 represents azido group is converted to a compound of formula (I) where R^1 represents NHR^4 wherein R^4 represents hydrogen atom, by using triphenyl phosphine, in the presence of a solvent, which can be selected from THF, DMF, toluene and the like, along with water. The above conversion can also be accomplished by using hydrogenation conditions used in the conversion of compound of formula (Ic) to (Id). The reaction can be carried out at a temperature in the range of about 25 to 40 °C, preferably 20 to 35 °C. The duration of the reaction can be in the range from about 3 to 24 hours, preferably about 4 to 12 hours.

The present invention also provides a process for the preparation of the compound of formula (I) where R^1 represents NHR^4 wherein R^4 represents various groups as defined earlier; the process is as shown in the Scheme-II:



Scheme-II

Process (a): The compound of formula (I), where R^1 represents NHR^4 wherein R^4 represents hydrogen atom is converted to a compound of formula (I), where R^1 represents NHR^4 , where R^4

represents $-C(=O)-R^{4a}$ wherein R^{4a} represents optionally substituted (C_1-C_{10}) alkyl, (C_1-C_{10}) alkoxy, (C_2-C_{10}) alkenyl, halo (C_1-C_{10}) alkyl, aryl, aryloxy, heteroaryl, (C_2-C_{10}) alkenyloxy, (C_1-C_{10}) alkylcarbonyl, arylcarbonyl, aryloxycarbonyl, (C_1-C_{10}) alkoxycarbonyl, (C_1-C_{10}) alkylthiocarbonyl or (C_1-C_{10}) arylthiocarbonyl, by treating with appropriate acid halide, which can be selected from acetyl chloride, propionyl chloride and the like; alkylchloroformate like methylchloroformate, ethylchloroformate and the like; aralkylchloroformate like benzylchloroformate and the like; or anhydride of the corresponding acid such as acetic anhydride. The reaction is carried out in the presence of a solvent, which can be selected from dichloromethane (CH_2Cl_2), chloroform ($CHCl_3$), toluene, THF and the like or mixtures thereof. The reaction can also be carried out in the presence of a base like Et_3N , diisopropyl ethylamine, pyridine, K_2CO_3 , NaH , potassium *tert*-butoxide (*t*-BuOK) and the like. The temperature of the reaction can be maintained in the range of about -20 to 60 °C, preferably in the range of about 0 to 35 °C. The duration of the reaction can be in the range of about 1 to 12 hours, preferably about 1 to 4 hours.

Process (b): The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents hydrogen atom is converted to a compound of formula (I) where R^1 represents isothiocyanate group, by using thiophosgene or a combination of carbon disulfide and methylchloroformate in the presence of a base, which can be selected from Et_3N , K_2CO_3 , $NaOH$ and the like. The reaction is carried out in the presence of a solvent, which can be selected from CH_2Cl_2 , acetonitrile (CH_3CN), $CHCl_3$, DMF, THF and the like. The reaction can be carried at a temperature in the range of 0 to 60 °C, preferably at 0 °C. The reaction is carried out in an inert atmosphere, which can be maintained by using argon or any other inert gas. The duration of the reaction is in the range of 1 to 24 hours, preferably 2 to 10 hours. The conversion of compound of formula (I) where R^1 represents isothiocyanate group, to a compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-C(=S)-OR^{4b}$, wherein R^{4b} is as defined above, is carried out by using respective alcohol such as methanol, ethanol, propanol, cyclohexanol and the like, in the absence or presence of a base, which can be selected from NaH , KH and the like. The reaction is carried out in the absence or presence of a solvent, which can be selected from THF, toluene, DMF and the like. The reaction can be carried out at a temperature in the range of about 20 to 130 °C, preferably at reflux temperature of the solvent used. The duration of the reaction can be in the range of about 6 to 24 hours.

Process (c): The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-C(=S)-SR^{4c}$, wherein R^{4c} is as defined above, is prepared from compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents hydrogen atom, by using carbondisulfide (CS_2) in the presence of a base, which can be selected from Et_3N , diisopropyl ethylamine, K_2CO_3 , NaH , $t-BuOK$ and the like. The reaction is carried out in the presence of alkyl halide, which can be selected from methyl iodide, ethyl bromide, propyl bromide and the like. The solvent used in the reaction can be selected from benzene, THF, diethylether, acetonitrile and the like, or mixtures thereof. The reaction temperature can be, in the range of about 20 to 60 °C, preferably at 20 to 35 °C. The duration of the reaction can be in the range of about 6 to 24 hours.

Process (d): The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-C(=S)-NH-R^{4d}$ wherein R^{4d} is as defined above, may be prepared from compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents hydrogen atom by using benzoylisothiocyanate. The solvent used in the reaction can be selected from acetone, ethanol, methanol, isopropanol, THF, diethylether, acetonitrile and the like. The temperature of the reaction can be maintained in the range of about 0 to 80 °C, preferably in the range of about 20 to 60 °C. The duration of the reaction can be in the range of about 1 to 20 hours, preferably in the range of about 1 to 10 hours.

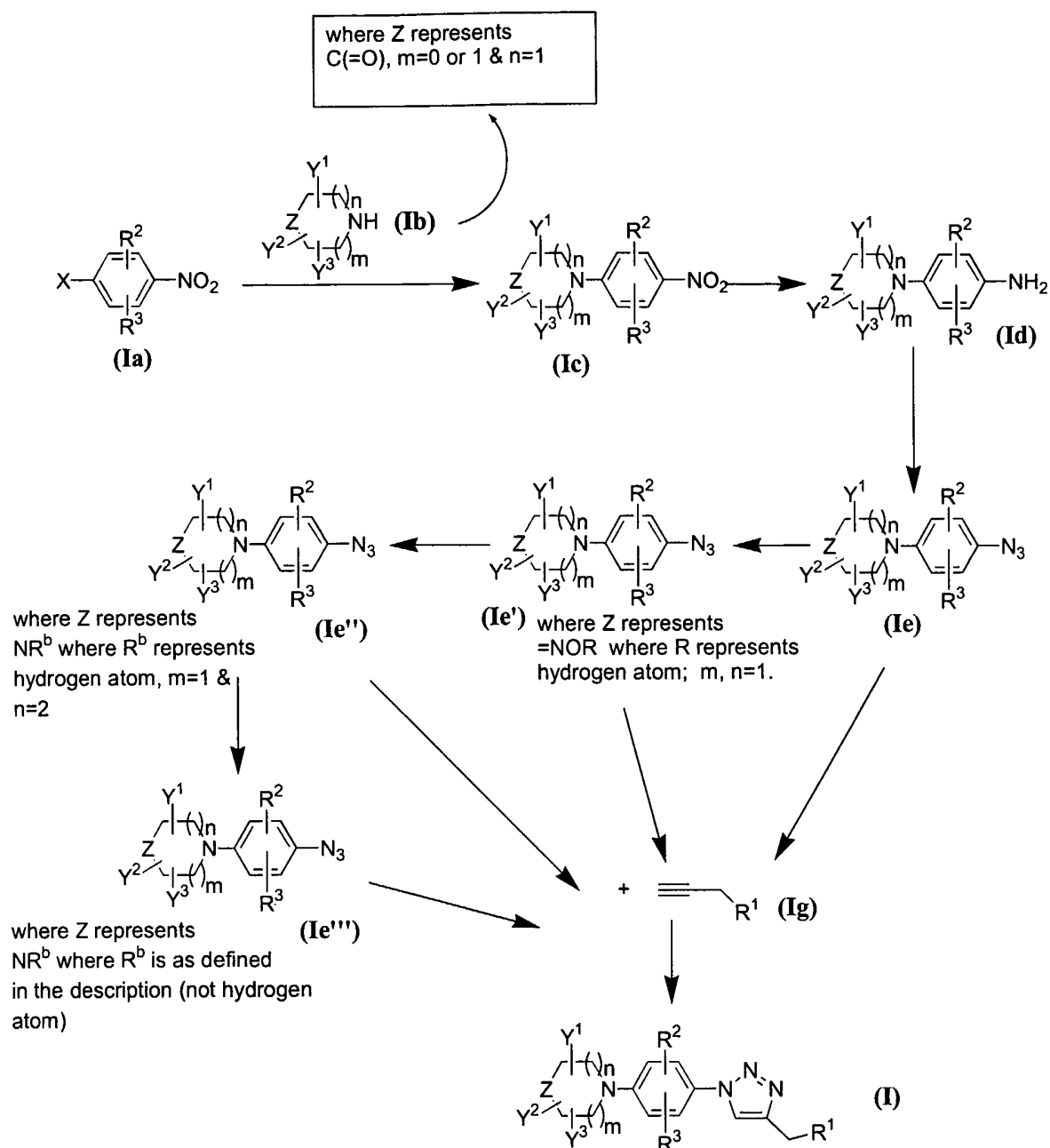
Process (e): The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-C(=O)$ -heteroaryl, is prepared from compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents hydrogen atom by treating with corresponding heteroaryl acid chloride and a base, which can be selected from pyridine, triethylamine or diisopropylamine. The reaction can be carried out by using corresponding heteroaryl acid and dicyclohexylcarbodiimide (DCC) in the presence of DMAP. The solvent used in the reaction can be selected from acetonitrile, THF, Et_2O and the like. The temperature of the reaction can be maintained in the range of about -5 to 100 °C, preferably in the range of about 0 to 80°C. The duration of the reaction can be in the range of about 1 to 15 hours, preferably in the range of about 2 to 12 hours.

Process (f): The compound of formula (I) where R^1 represents NHR^4 where R^4 represents optionally substituted group selected from $-C(=NH)-NH_2$, $-C(=NH)-NH(C_1-C_{10})alkyl$, $-C(=NH)-[C_1-C_{10})alkyl]_2$, is prepared by reacting the compound of formula (I), where R^1 represents NHR^4

where R^4 represents hydrogen atom, with di-*tert*-butoxy carbonyl thiourea in two steps. In the first step, the reaction is carried out in the presence of a solvent, which can be selected from DMF, acetone, THF, dichloromethane and the like. The base used in the reaction can be selected from triethylamine, diisopropylethylamine, pyridine and the like. The temperature of the reaction can be in the range of 0 to 120°C, preferably in the range of about 0 to 90°C. The duration of the reaction can be in the range of about 0.2 to 15 hours, preferably in the range of about 0.5 to 10 hours. In the second step, the compound obtained in the first step is reacted with trifluoroacetic acid in the presence of a solvent, which can be selected from dichloromethane, chloroform, THF and the like. The temperature of the reaction can be in the range of about 0 to 110 °C, preferably in the range of about 0 to 90 °C. The duration of the reaction can be in the range of about 0.5 to 60 hours, preferably in the range of about 0.5 to 54 hours.

Process (g): The conversion of compound of formula (I), where R^1 represents NHR^4 where R^4 represents hydrogen atom, to a compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted group selected from $-S(O)_2-(C_1-C_{10})$ alkyl or $-S(O)_2$ -aryl group, can be carried out by treating with alkylsulfonylchloride or arylsulfonylchloride such as methanesulfonyl chloride, p-toluenesulfonyl chloride and the like. The solvent used can be selected from dichloromethane, tetrahydrofuran, acetonitrile, dimethylformamide, dimethylsulfoxide and the like. The temperature of the reaction can be in the range of about 0 to 50 °C, for duration of about 1 to 6 hours.

The present invention also provides an alternate process for the preparation of the compound of formula (I) where all symbols are as defined earlier, which is shown in the following Scheme-III



where the symbols have the meaning as described in the description.

The compound of formula (Ic) is prepared by reacting a compound of formula (Ia) with a compound of formula (Ib) by using a base such as KOH, NaOH, K₂CO₃, Na₂CO₃, NaH, KH, triethylamine, diisopropylethyl amine and the like. The reaction is carried out using a solvent, which can be selected from DMSO, DMF, THF, acetonitrile, chloroform, nitrobenzene and the like

or mixtures thereof. The reaction is carried out in inert atmosphere, which can be maintained using inert gases such as N₂ or Ar. The reaction can be carried out at a temperature in the range of about 20 to 100 °C, preferably at a temperature in the range of about 20 to 80 °C. The reaction time can be in the range of about 1 to 15 hours, preferably from about 6 to 12 hours.

The reduction of a compound of formula (Ic) to produce a compound of formula (Id) is carried out in the presence of a reducing agent selected from NiCl₂/NaBH₄, lithium aluminium hydride (LAH), 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 can be carried out in the presence of a solvent, which can be selected from dioxane, acetic acid, ethyl acetate, THF, alcohol such as methanol, ethanol and the like or mixtures thereof. A pressure between atmospheric pressure to 60 psi can be maintained. The reaction can be carried out at a temperature from about 0 to 60 °C, preferably about 0 to 45 °C. The reaction time ranges from about 0.5 to 48 hours, preferably in the range of about 0.5 to 5 hours. The reduction may also be carried out by employing metal in mineral acids, which can be selected from Sn/HCl, Fe/HCl, Zn/HCl, Zn/CH₃CO₂H and the like, or Zn/NH₄Cl.

The compound of formula (Id) is converted to a compound of formula (Ie) by using sodium nitrite in the presence of HCl or CH₃COOH followed by NaN₃. The temperature of the reaction can be maintained in the range of about -40 °C to boiling temperature of the solvent used, preferably in the range of 0 °C to boiling temperature. The duration of the reaction can be in the range of about 0.5 to 15 hours, preferably in the range of about 0.5 to 5 hours. The conversion can also be carried out by (C₁-C₆)alkylnitrites such as isoamyl nitrite, t-butyl nitrite and the like, in the presence of inorganic azides such as NaN₃ and the like. The solvent used in the reaction can be selected from acetonitrile, CHCl₃, THF, DMF, DMSO, (C₁-C₈)alcohols such as methanol, ethanol, propanol, iso-propanol, t-butyl alcohol and the like. The temperature of the reaction can be in the range of about 0 °C to boiling temperature of the solvent used. The duration of the reaction can be maintained in the range of about 15 minutes to 18 hours, preferably about 0.5 to 10 hours.

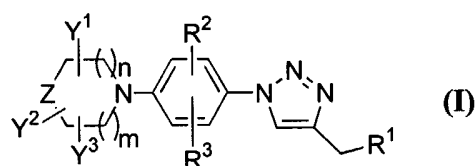
The compound of formula (Ie) is converted to a compound of formula (Ie') by treating with hydroxylamine hydrochloride. The solvent used in the reaction can be selected from CHCl₃, THF, acetonitrile, (C₁-C₈)alcohol such as methanol, ethanol, propanol, iso-propanol, t-butyl alcohol and the like. The reaction can be carried out in the presence of a base selected from triethylamine, pyridine, DMAP, sodium methoxide, sodium ethoxide and the like. The temperature and duration

of the reaction can be maintained in the range of about 0 °C to boiling temperature of the solvent used and about 0.5 to 8 hours respectively.

The compound of formula (Ie') is converted to a compound of formula (Ie'') by Beckmann Rearrangement reaction conditions.

The compound of formulae (d), (e), (e') or (e'') is reacted with compound of formula (Ig), to obtain a compound of formula (I) by using Cu(I) halide in the presence or absence of a base, which can be selected from DMAP, pyridine, triethylamine, diisopropylethylamine, 2,6-lutidine and the like. The solvent used in the reaction can be selected from DMF, DMSO, THF, ether, dioxane, acetonitrile and the like. The temperature and duration of the reaction can be maintained in the range of about 0 °C to boiling temperature of the solvent used and about 0.5 to 5 hours respectively.

Yet another embodiment of the present invention provides a process for the preparation of compound of formula (I), where R¹ represents NHR⁴, wherein R⁴ represents acetyl group and all other symbols are as defined earlier, from a compound of formula (I) where R¹ represents azido group,

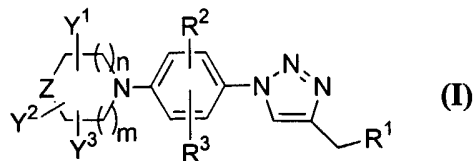


where all symbols are as defined earlier.

The compound of formula (I), where R¹ represents NHR⁴, wherein R⁴ represents optionally substituted acetyl group is prepared from compound of formula (I), where R¹ represents azido group by using thioacetic acid with or without using a solvent, which can be selected from THF, DMF, toluene and the like. The reaction can be carried out at a temperature in the range of about 25 to 40 °C, preferably 20 to 40 °C. The duration of the reaction can be in the range from about 3 to 24 hours, preferably about 4 to 12 hours.

Still another embodiment of the present invention provides a process for the preparation of compound of formula (I), where R¹ represents NHR⁴, where R⁴ represents optionally substituted -C(=S)-R^{4c}, wherein R^{4c} represents (C₁-C₁₀)alkyl, halo(C₁-C₁₀)alkyl, (C₃-C₁₀)cycloalkyl, (C₂-C₁₀)alkenyl, aralkyl, aryl, heteroaryl, from compound of formula (I), where R¹

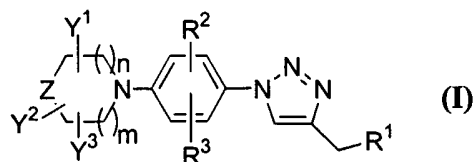
represents NHR^4 , where R^4 represents optionally substituted $-\text{C}(=\text{O})-\text{R}^{4e}$, wherein R^{4e} represents $(\text{C}_1-\text{C}_{10})\text{alkyl}$, $\text{halo}(\text{C}_1-\text{C}_{10})\text{alkyl}$, $(\text{C}_3-\text{C}_{10})\text{cycloalkyl}$, $(\text{C}_2-\text{C}_{10})\text{alkenyl}$, aralkyl , aryl , heteroaryl .



where all symbols are as defined earlier.

The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-\text{C}(=\text{S})-\text{R}^{4e}$, from compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-\text{C}(=\text{O})-\text{R}^{4e}$, wherein R^{4e} is as defined above, is carried out by taking a solution of the amide and Lawesson's reagent (2,4-bis(methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) in dry dioxane, toluene, THF, DMF, hexamethyldisiloxane (HDMA) and the like. The reaction can be carried out at a temperature in the range of about 20 to 130 °C, preferably about 55 to 90 °C. The duration of the reaction can be in the range of about 3 to 24 hours, preferably about 3 to 10 hours.

Another embodiment of the present invention provides a process for the preparation of compound of formula (I), where R^1 represents NHR^4 , where R^4 represents optionally substituted groups selected from $-\text{C}(=\text{S})-\text{NH}_2$, $-\text{C}(=\text{S})-\text{NH}-(\text{C}_1-\text{C}_{10})\text{alkyl}$, $-\text{C}(=\text{S})-\text{N}-((\text{C}_1-\text{C}_{10})\text{alkyl})_2$, $-\text{C}(=\text{S})-\text{NH}-(\text{C}_3-\text{C}_{10})\text{cycloalkyl}$, $-\text{C}(=\text{S})-\text{NH}-(\text{C}_1-\text{C}_{10})\text{alkoxy}$, $-\text{C}(=\text{S})-\text{NH}-(\text{C}_3-\text{C}_{10})\text{cycloalkoxy}$, $-\text{C}(=\text{S})-\text{NH}-\text{aryl}$, $-\text{C}(=\text{S})-\text{NH}-\text{heteroaryl}$, $-\text{C}(=\text{S})-\text{NH}-(\text{C}_2-\text{C}_{10})\text{alkenyl}$, $-\text{C}(=\text{S})-\text{NH}-\text{aralkyl}$, $-\text{C}(=\text{S})-\text{NH}-\text{heteroaralkyl}$ or $-\text{C}(=\text{S})-\text{N}(\text{R}'\text{R}'')$, wherein R' and R'' groups together form a optionally substituted 5 or 6 membered cyclic structures containing nitrogen and optionally one or two additional hetero atoms selected from oxygen, nitrogen or sulfur; from a compound of formula (I) where R^1 represents isothiocyanate group,



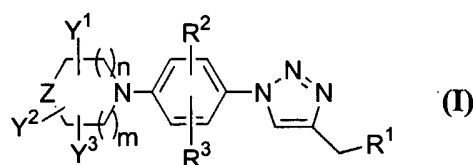
where all symbols are as defined earlier.

The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted $-\text{C}(=\text{S})-\text{NH}_2$, is prepared by passing ammonia gas into a solution of compound of

formula (I) where R^1 represents isothiocyanate group, in the presence of a solvent such as THF, toluene, and the like. The reaction can be carried out at a temperature in the range of about -10 to 35 °C, preferably about -10 to 20 °C. The duration of the reaction can be in the range from about 20 minutes to 4 hours, preferably about 30 minutes.

The compound of formula (I), where R^1 represents NHR^4 , wherein R^4 represents optionally substituted groups selected from , $-C(=S)-NH-(C_1-C_{10})alkyl$, $-C(=S)-N-((C_1-C_{10})alkyl)_2$, $-C(=S)-NH-(C_3-C_{10})cycloalkyl$, $-C(=S)-NH-(C_1-C_{10})alkoxy$, $-C(=S)-NH-(C_3-C_{10})cycloalkoxy$, $-C(=S)-NH-aryl$, $-C(=S)-NH-heteroaryl$, $-C(=S)-NH-(C_2-C_{10})alkenyl$, $-C(=S)-NH-aralkyl$, $-C(=S)-NH-heteroaralkyl$ or $-C(=S)-N(R'R'')$, wherein R' and R'' groups together form a optionally substituted 5 or 6 membered cyclic structures containing nitrogen and optionally one or two additional hetero atoms selected from oxygen, nitrogen or sulfur, can be carried out by treating a compound of formula (I) where R^1 represents isothiocyanate group with appropriate amine such as methylamine, ethylamine, diethylamine, benzylamine, aniline, proline, morpholine, thiomorpholine, pyridylmethylamine and the like, in the presence of a solvent, which can be selected from THF, DMF, toluene, and the like. The reaction temperature used in the reaction can be in the range of about 20 to 140 °C, preferably about 20 to 100 °C. The duration of the reaction can be in the range of about 0.5 to 24 hours, preferably about 0.5 to 12 hours.

Another embodiment of the present invention provides an alternative process for the preparation of compound of formula (I) where R^1 represents NHR^4 where R^4 represents optionally substituted group selected from $-C(=NH)-NH_2$, by reacting a compound of formula (I), where R^1 represents NHR^4 wherein R^4 represents optionally substituted group selected from $-S(O)_2(C_1-C_{10})alkyl$ or $-S(O)_2aryl$ group, with guanidine hydrochloride,

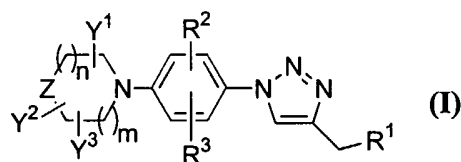


where all other symbols are as defined earlier.

The compound of formula (I) where R^1 represents NHR^4 where R^4 represents optionally substituted group selected from $-C(=NH)-NH_2$, may be prepared by reacting the compound of formula (I), where R^1 represents NHR^4 wherein R^4 represents optionally substituted group selected from $-S(O)_2(C_1-C_{10})alkyl$ or $-S(O)_2aryl$ group, with guanidine hydrochloride. The solvent used in

the reaction can be selected from t-butyl alcohol, DMF and the like. The base used in the reaction can be selected from NaH, KH, sodium hexamethyldisilazide (Na-HMDS) and the like. The temperature of the reaction can be in the range of about 0 °C to boiling temperature of the solvent used. The duration of the reaction can be in the range of about 1 to 30 hours, preferably in the range of about 1 to 24 hours.

In yet another embodiment of the present invention there is provided a process for the preparation of compound of formula (I) where Z represents NR^b wherein R^b represents hydrogen, Y¹ represents '=O' group, Y² and Y³ independently represent hydrogen atom, from a compound of formula (I) where Z represents NR^b wherein R^b represents alkyl group substituted with hydroxy group, Y¹ represents '=O group', Y² and Y³ independently represent hydrogen atom,

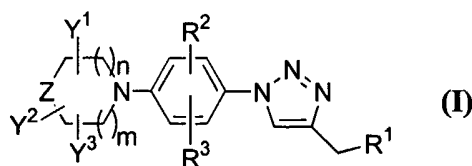


where all other symbols are as defined earlier.

The compound of formula (I) where Z represents NR^b wherein R^b represents hydrogen, Y¹ represents '=O' group, Y² and Y³ independently represent hydrogen atom, from a compound of formula (I) wherein Z represents NR^b wherein R^b represents alkyl group substituted with hydroxy group at the α-position, Y¹ represents '=O group', Y² and Y³ independently represent hydrogen atom, may be prepared by treating with a base, which can be selected from triethylamine, diisopropylamine, di-isopropylethylamine, pyridine, piperidine, DMAP, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), lithium diisopropylamide (LDA), potassium bis-(trimethyl silyl)amide, butyllithium (BuLi), Na₂CO₃, K₂CO₃, NaOH, KOH, sodiummethoxide (NaOMe), sodiummethoxide (NaOEt), sodium isopropoxide (NaOiPr), t-BuOK, NaH, KH and the like. The solvents used in the reaction may be selected from THF, ether, dioxane, toluene, benzene, DMF, DMSO, methylcyanide and the like. The temperature of the reaction can be in the range of about -20 to 150 °C, preferably in the range of about -10 to 100 °C. The duration of the reaction can be in the range of about 0.2 to 64 hours, preferably in the range of about 1 to 48 hours.

In still another embodiment of the present invention there is provided a process for the preparation of compound of formula (I), where Z represents NR^b wherein R^b represents optionally substituted alkyl or aralkyl, Y¹ represents '=O group', Y² and Y³ independently represent hydrogen

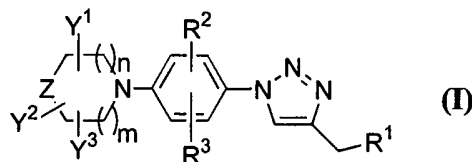
atom; from a compound of formula (I) where Z represents NR^b wherein R^b represents hydrogen, Y^1 represents '=O' group, Y^2 and Y^3 independently represent hydrogen atom,



where all other symbols are as defined earlier.

The compound of formula (I), wherein Z represents NR^b wherein R^b represents optionally substituted alkyl or aralkyl, Y^1 represents '=O group', Y^2 and Y^3 independently represent hydrogen atom, from a compound of formula (I) wherein Z represents NR^b wherein R^b represents hydrogen, Y^1 represents '=O' group, Y^2 and Y^3 independently represent hydrogen atom, is carried out in the presence of a base, which can be selected from triethylamine, di-isopropylamine, di-isopropylethylamine, pyridine, piperidine, DMAP, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), lithium diisopropylamide (LDA), potassium bis-(trimethyl silyl)amide, BuLi, Na_2CO_3 , K_2CO_3 , NaOH, KOH, NaOMe, NaOEt, NaOiPr, t-BuOK, NaH, KH and the like, followed by reacting with alkyl halide such as methyl iodide, methoxymethylchloride, allylbromide, benzylbromide and the like. The solvent used in the reaction can be selected from DMF, DMSO, THF, dioxane, benzene, toluene and the like. The temperature of the reaction can be maintained in the range of about -5 to 150 °C, preferably in the range of about 0 °C to reflux temperature of the solvent used. The duration of the reaction can be in the range of about 0.2 to 48 hours, preferably in the range of about 0.5 to 24 hours.

Another embodiment of the present invention there is provided a process for the preparation of a compound of formula (I) where R^1 represents halogen, from compound of formula (I) where R^1 represents hydroxy group,

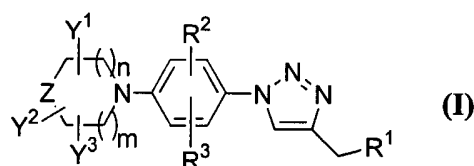


where all other symbols are as defined above.

The compound of formula (I) where R^1 represents halogen is prepared from compound of formula (I) where R^1 represents hydroxy group is carried out by treating with tetrahalomethane

group such as CBr_4 , CCl_4 and the like, in the presence of triphenyl phosphine (PPh_3), $\text{P}(\text{alkyl})_3$ and the like. The reaction is carried out in the presence of a solvent, which is selected from dichloromethane, chloroform, tetrachloromethane, benzene, DMF, DMSO, THF and the like. The temperature of the reaction is maintained in the range of about 0 to 60 °C, preferably about 20 to 40 °C. The duration of the reaction can be in the range of about 2 to 24 hours, preferably about 8 to 13 hours.

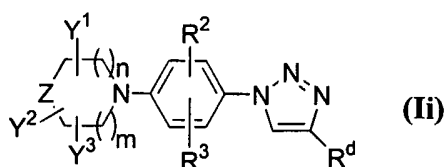
Another embodiment of the present invention provides a process for the preparation of a compound of formula (I) where R^1 represents NHR^4 , where R^4 represents $-\text{C}(=\text{S})-\text{N}(\text{R}'\text{R}'')$, where R' represents hydrogen, alkyl, alkenyl, optionally substituted aralkyl, heteroaralkyl, hydroxyalkyl and R'' represents hydrogen or alkyl or the two R' and R'' groups together form a 5 or 6 membered cyclic structures containing one or two hetero atoms selected from oxygen, sulfur or nitrogen, from a compound of formula (I) where R^1 represents isothiocyanate group,



where all other symbols are as defined earlier.

The compounds of above formula (I) may be prepared by treating the compound of formula (I), where R^1 represents isothiocyanate group with heterocycles such as morpholine, piperidine, pyrrolidine and the like in the presence or absence of a solvent. The temperature of the reaction can be maintained in the range of about 0 °C to reflux temperature of the solvent used, preferably about 20 to 35 °C. The duration of the reaction can be maintained in the range of about 1 to 24 hours, preferably about 1 to 12 hours.

Another embodiment of the present invention provides a novel intermediate of the formula (Ii),

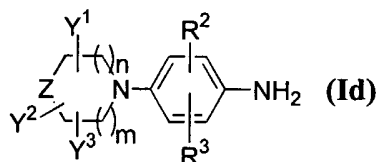


where R^d represents optionally substituted groups selected from $-(C_1-C_{10})$ alkyl, $-CO_2R^c$, $-CH_2OH$, $-CH_2NH_2$, $-CH_2N$ (Pthalimide), $-CH_2NH-C(=S)-O(C_1-C_{10})$ alkyl, $-CH_2NH-C(=S)-S-(C_1-C_{10})$ alkyl or $-CH_2NH-C(=O)-(C_1-C_{10})$ alkyl and all other symbols are as defined earlier.

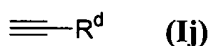
The compound of formula (Ii) represents the compounds of formula (I), when R^d represents optionally substituted groups selected from $-CH_2OH$, $-CH_2NH_2$, $-CH_2N$ (Pthalimide), $-CH_2NH-C(=S)-O(C_1-C_{10})$ alkyl, $-CH_2NH-C(=S)-S-(C_1-C_{10})$ alkyl, $-CH_2NH-C(=O)-(C_1-C_{10})$ alkyl.

Still yet another embodiment of the present invention provides a process for the preparation of novel compound of formula (Ii), which comprises:

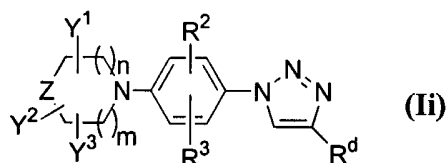
- (i) converting the compound of formula (Id),



where all symbols are as defined earlier, with



where R^d is as defined above, to a compound of formula (Ii)



where all symbols are as defined earlier.

The compound of formula (Ii) is prepared by reacting the compound of formula (Id) with a compound of formula (Ij), in the presence of a base, which is selected from triethylamine, ethyldiisopropylamine, 1,4-diazabicyclo [2.2.2]octane (DABCO) and the like. The reaction can be carried out in the presence of a solvent such as dichloromethane, chloroform, tetrahydrofuran, dimethylformamide, dimethylsulfoxide, acetonitrile and the like. The reaction is carried out in the presence of Cu (I).

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 tertiarybutyldimethylsilyl,

methoxymethyl, triphenyl methyl, benzyloxycarbonyl, tetrahydropyran(THP) etc, to protect hydroxyl or phenolic hydroxy group; N-tert-butoxycarbonyl (N-Boc), N-benzyloxycarbonyl (N-Cbz), N-9-fluorenyl methoxy carbonyl (-N-FMOC), benzophenoneimine, propargyloxy carbonyl (POC) etc, for protection of amino or anilino group, acetal protection for aldehyde, ketal protection for ketone and the like. The methods of formation and removal of such protecting groups are those conventional methods appropriate to the molecule being protected.

A method of treating or preventing an bacterial infections in a subject is provided by administering an therapeutically effective amount of compound of formula (I).

The term "therapeutically effective amount" shall mean that amount of a drug or pharmaceutical agent that will elicit the biological or medical response of a tissue, system or patient that is being sought.

The pharmaceutically acceptable salts are prepared by reacting the compounds of formula (I) wherever applicable 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 the presence of a solvent like ether, THF, methanol, t-butanol, dioxane, isopropanol, ethanol etc. Mixture of solvents may be used. Organic bases like lysine, arginine, diethanolamine, choline, tromethamine, guanidine and their derivatives etc. may also be used. Alternatively, acid addition salts wherever applicable are prepared by 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 the presence of a solvent like ethyl acetate, ether, alcohols, acetone, THF, dioxane etc. Mixture of solvent may also be used. The salts of amino acid groups and other groups may be prepared by reacting the compounds of formula (I) with the respective groups in the presence of a solvent like alcohols, ketones, ether etc. Mixture of solvents may be used.

The present invention also provides pharmaceutical compositions, containing compounds of the general formula (I), their pharmaceutically acceptable salts The pharmaceutical compositions according to this invention can be used for the treatment of bacterial infections. They can also be used for the treatment of bacterial infections associated with multidrug resistance. The pharmaceutical compositions according to this invention can also be administered

prophylactically for the prevention of bacterial infections in a patient at risk of developing a bacterial infection.

The prodrugs such as esters and amides of the compounds of formula (I) can be prepared by conventional methods.

The stereoisomers of the present invention include enantiomers such as (R), (S), a mixture of (R), (S), and mixture of (R) and (S). The individual optical isomers or required isomers may be obtained by using reagents in such a way to obtain single isomeric form in the process wherever applicable or by conducting the reaction in the presence of reagents or catalysts in their single enantiomeric form. Some of the preferred methods of resolution of racemic compounds 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). Where appropriate the compounds of formula (I) may be resolved 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 hydrolyzing the pure diastereomeric amide.

The pharmaceutical compositions may be in the forms normally employed, such as tablets, capsules, powders, dispersible granules, cachets, suppositories, syrups, solutions, suspensions and the like, may contain flavorants, 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 0.5 to 90 % by weight of active compound, the remainder of the composition being pharmaceutically acceptable carriers, diluents or solvents.

Suitable pharmaceutically acceptable carriers include solid fillers or diluents and sterile aqueous or organic solutions. The active compounds will be present in such pharmaceutical compositions in the amounts sufficient to provide the desired dosage in the range as described above. Thus, for oral administration, the compounds can be combined with a suitable solid, liquid carrier or diluent to form capsules, tablets, powders, syrups, solutions, suspensions and the like. The pharmaceutical compositions, may, if desired, contain additional components such as flavorants, sweeteners, excipients and the like. For parenteral administration, the compounds can

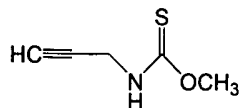
be combined with sterile aqueous or organic media to form injectable solutions or suspensions. For example, solutions in sesame or peanut oil, aqueous propylene glycol and the like can be used, as well as aqueous solutions of water-soluble pharmaceutically-acceptable acid addition salts or salts with base of the compounds. The injectable solutions prepared in this manner can then be administered intravenously, intraperitoneally, subcutaneously, or intramuscularly, with intramuscular administration being preferred in humans.

The compounds of the formula (I) or pharmaceutical compositions thereof as defined above are clinically administered to mammals, including human beings, via oral, parenteral and/or topical routes. Administration by the oral route is preferred, being more convenient and avoiding the possible pain and irritation of injection. However, in circumstances where the patient cannot swallow the medication, or absorption following oral administration is impaired, as by disease or other abnormality, it is essential that the drug be administered parenterally. By either route, the dosage is in the range of about 0.1 mg/kg to about 100 mg / kg, morepreferably about 3.0 mg/kg to about 50 mg/kg of body weight of the subject per day administered singly or as a divided dose. However, the optimum dosage whether for prevention or treatment for the individual subject being treated will be determined by the person responsible for treatment, Initial dosage may be smaller than the optimum and the daily dosage may be progressively increased during the course of treatment depending on the particular situation. If desired, the daily dose may also be divided into multiple doses for administering, e.g. 2-4 times per day. It is to be understood that the dosages may vary depending upon the requirements of the patient, the severity of the bacterial infection being treated, and the particular compound being used. In a topical treatment an effective amount of compound of formula (I) is admixed in a pharmaceutically acceptable gel or cream vehicle that can be applied to the patient's skin at the area of treatment. Such creams and gels can be prepared by the procedures available in the literature and can include penetration enhancers.

The manner in which the compounds of this invention can be prepared is illustrated in the following examples, which demonstrate the preparation of typical species of the invention. In these examples, the identities of compounds, intermediates and final, were confirmed by infrared, nuclear magnetic spectral analyses as necessary. The examples are for the purpose of illustration only and should not be regarded as limiting the invention in any way.

Preparation 1:

Prop-2-ynyl-thiocarbamic acid *O*-methyl ester



To an ice cooled solution of propargyl amine (10 g, 182 mmol) and triethyl amine (38 mL, 273 mmol) in tetrahydrofuran (THF) (300 mL) was added drop wise a solution of carbon disulfide (13.8 mL, 218 mmol) in THF (100 mL) through an addition funnel over a period of 0.5 hours. A solution of ethylchloroformate (17.4 mL, 182 mmol) in THF (100 mL) was then added drop wise to the reaction mixture. The cooling bath was removed and the reaction mixture was allowed to stir at 20-35 °C for 15 min. The precipitate formed was then filtered off and the filtrate was concentrated at 35 °C under reduced pressure. The resulting residue was diluted with methanol (200 mL) and the solution was refluxed for 2 hours. Evaporation of volatiles left a pasty mass, which was purified by passing through a silica gel column (pet. ether/ethyl acetate, 1:9) to obtain the title compound as white solid (13.6 g, 56%).

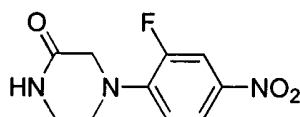
¹H NMR (CDCl₃): δ 6.65 & 6.30 (2 bs, 1H, rotamers in a ratio of 1:4), 4.35-4.25 (m, 2H), 4.04 & 3.96 (2s, 3H, rotamers in a ratio of 1:4), 2.25 (t, *J* = 2.4 Hz, 1H).

MS (m/e): 130 (M⁺+1), 129, 114.

IR (cm⁻¹): 3237, 1542, 1214, 1148, 1074.

Preparation 2:

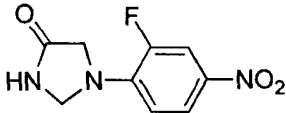
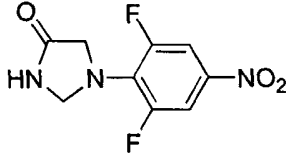
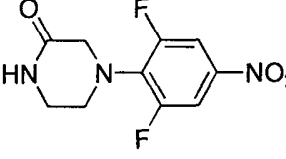
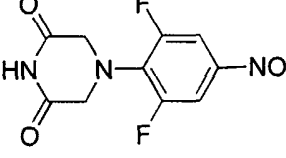
4-(2-Fluoro-4-nitro-phenyl)-piperazin-2-one



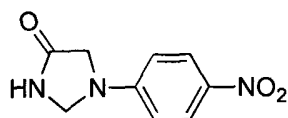
A mixture of 3,4-difluornitrobenzene (24.8 g, 156 mmol) and piperizinone (13 g, 130 mmol) and triethylamine (39.4 g, 390 mmol) in dry DMF was stirred at 20-35°C overnight. Cold water was added to the reaction mixture and the solid formed was filtered. The filtered solids were dried (27.5 g, 90%).

¹H NMR (DMSO-d₆, 200MHz) δ 8.16 (bs, 1H), 8.05-7.99 (m, 2H), 7.16 (t, *J* = 9.3 Hz, 1H), 3.91 (s, 2H), 3.60-3.55 (m, 2H), 3.34 (s, 2H). Mass (CI method): 240, 210. IR (KBr, cm⁻¹): 3086, 2922, 1685, 1518, 1334, 1232, 1072.

Preparations 3-6 have been prepared according to the procedure as described in preparation 2 by taking appropriate starting materials.

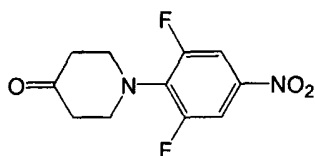
Preparation No.	Compound	Analytical data
3		$^1\text{H NMR}$ (DMSO- d_6 , 200MHz) δ 8.81 (bs, 1H), 8.07-7.96 (m, 2H), 6.82 (t, $J = 8.8$ Hz, 1H), 4.97 (s, 2H), 4.06 (s, 2H); Mass (CI method): 226, 185, 152; IR (KBr, cm^{-1}): 3197, 1697, 1608, 1328.
4		$^1\text{H NMR}$ (DMSO- d_6 , 200MHz) δ 8.76 (s, 1H), 7.97 (dd, $J = 2.6$ Hz & 7.0 Hz, 2H), 5.1 (s, 2H), 4.2 (s, 2H); Mass (CI method): 244, 187; IR (KBr, cm^{-1}): 3188, 3063, 2360, 1715, 1609, 1514, 1449, 1398, 1293, 1157.
5		$^1\text{H NMR}$ (DMSO- d_6 , 200MHz): δ 7.92-7.76 (m, 2H), 6.69 (s, 1H), 4.10 (s, 2H), 3.70-3.59 (m, 4H); Mass (CI method): 258; IR (KBr, cm^{-1}): 3194, 2924, 1679, 1527, 1330, 1026.
6		$^1\text{H NMR}$ ($\text{CDCl}_3 + \text{DMSO-}d_6$, 200MHz): δ 11.02 (bs, 1H), 7.90-7.75 (m, 2H), 4.14 (s, 4H).

The compound given below has been prepared according to the procedure as described in preparation 2 by taking appropriate starting material.



Preparation 7

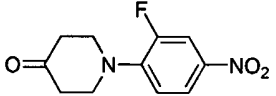
1-(2,6-Difluoro-4-nitrophenyl)-4-piperidinone



To a suspension of anhydrous potassium carbonate (11.80 g, 85.90 mmol) in DMF (30 ml) was added a solution of 4-piperidinone (7.20 g, 47.2 mmol) in DMF (5 ml) followed by the addition of 3,4,5-trifluoronitrobenzene (7.00 g, 42.8 mmol) and stirred at 20-35°C for 3 hours. The reaction mixture was poured onto ice water and the resulting solid was filtered off. Drying the solid under vacuum yielded the title compound as yellow powder (4.50 g, 45%).

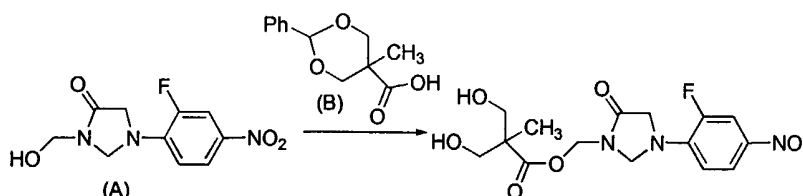
$^1\text{H NMR}$ (CDCl_3): δ 7.70 (d, $J = 9.4$ Hz, 2H), 3.57 (t, $J = 5.9$ Hz, 4H), 2.54 (t, $J = 5.9$ Hz, 4H); MS (m/e): 257 ($\text{M}^+ + 1$), 95.

Preparation 8 has been prepared according to the procedure as described in preparation 7 by taking appropriate starting material.

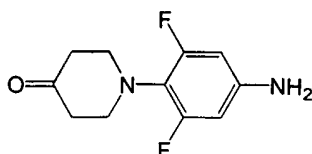
Preparation No.	Compound	Analytical data
8		$^1\text{H NMR}$ (CDCl_3): δ 8.10-7.91 (m, 2H), 6.97 (t, $J = 8.7$ Hz, 1H), 3.61 (t, $J = 6.1$ Hz, 4H), 2.62 (t, $J = 6.1$ Hz, 4H); MS (m/e): 239 ($\text{M}^+ + 1$), 168

Preparation 9

3-Hydroxy-2-hydroxymethyl-2-methyl-propionic acid 3-(2-fluoro-4-nitro-phenyl)-5-oxo-imidazolidin-1-ylmethyl ester



To a solution of the alcohol (Compound A) (1 gram, 3.92 mmol) in dichloromethane (20 mL) was added successively DMAP (717 mg, 5.88 mmol), EDC.HCl (1.13 grams, 5.88 mmol) and the acid (Compound B) (783 mg, 3.53 mmol) at -10 °C. The reaction mixture was allowed to reach 25-30 °C for 10-14 hours. The solvent was evaporated and the residue was purified over a column of silica gel to get an intermediate (1 gram, 59%) that was directly taken for deprotection. The above intermediate and 1M HCl (5 mL) in dioxane (20 mL) was stirred at 25-30 °C over 8 hours. The volatiles were evaporated and diluted with ethyl acetate. The resultant mixture was washed water, brine and dried. The solvent was evaporated and the residue was purified over a column of silica gel to get the nitro-diol (700 grams, 87%).

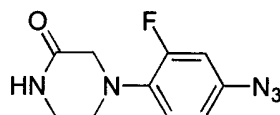
Preparation 10**1-(4-Amino-2,6-difluorophenyl)-4-piperidinone**

1-(2,6-difluoro-4-nitrophenyl)-4-piperidinone (4.50 grams, 17.57 mmol), obtained in preparation 7, was added to a warm (95°C) solution of ammonium chloride (18.60 grams, 351.50 mmol) in ethanol (40 ml) and water (20 ml) followed by the addition of iron powder (2.95 grams, 52.7 mmol) in portion over 0.5 hours and stirred at the same temperature for additional 0.5 hours. The reaction mixture was extracted with ethyl acetate (2 x 250 ml). The combined extract was washed with water followed by brine and dried over sodium sulfate. Evaporation of volatiles on rotavapor yielded the title compound as viscous liquid (4.00 grams, 63%).

¹H NMR (CDCl₃): δ 6.18 (d, *J* = 10.4 Hz, 2H), 3.36 (t, *J* = 5.7 Hz, 4H), 2.55 (t, *J* = 5.7 Hz, 4H); MS (*m/e*): 227 (*M*⁺+1), 209, 183.

Preparation 11 has been prepared according to the procedure as described in preparation 10 by taking appropriate starting material.

Preparation No.	Compound	Analytical data
11		¹ H NMR (CDCl ₃): δ 6.91 (t, <i>J</i> = 8.6 Hz, 1H), 6.49-6.30 (m, 2H), 3.30 (t, <i>J</i> = 5.8 Hz, 4H), 2.61 (t, <i>J</i> = 5.8 Hz, 4H); MS (<i>m/e</i>): 209 (<i>M</i> ⁺ +1).

Preparation 12**4-(4-Azido-2-fluoro-phenyl)-piperazin-2-one**

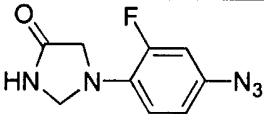
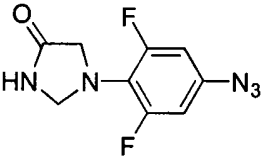
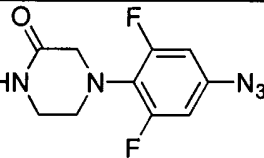
A solution of the nitro compound (24 grams, 100 mmol), obtained in preparation 2, in THF was hydrogenated over 10% Palladium (Pd) on charcoal (8 grams) overnight. After the complete consumption of starting material, the reaction mixture was filtered over celite bed and washed with

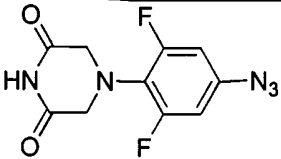
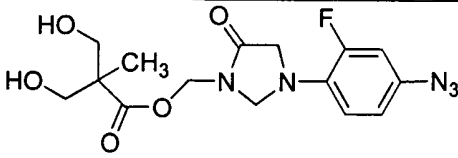
1:1 chloroform-methanol. The filtrate was evaporated and the residue obtained was directly used for the next step (19.9 grams, yield: 95 % for crude)).

To a cooled (ice bath) mixture of the above obtained compound (19 grams) and 50 % HCl (30 mL) (4 eq) in water was added aq. NaNO₂ (12.5 grams, 181 mmol) dropwise. After having stirred the reaction mixture for 5 min at the same temperature, a cold solution of sodium acetate (150 grams, 108 mol) and sodium azide (11.8 grams, 182 mmol) in water was added dropwise. The resultant mixture was stirred for 10 min and diluted with water. The reaction mixture was extracted with ethyl acetate and washed with water. The residue obtained upon evaporation of the solvents was used directly in the next step (12.2 grams, 57%).

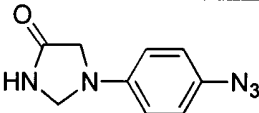
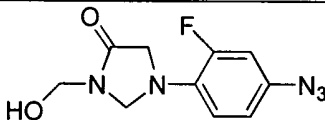
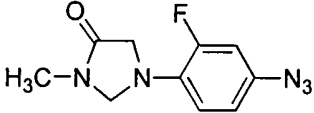
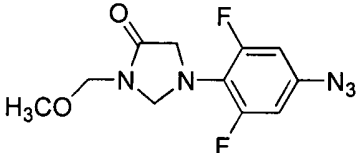
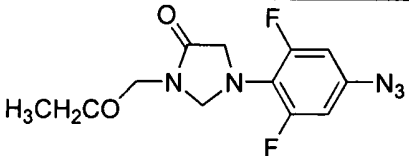
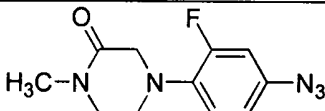
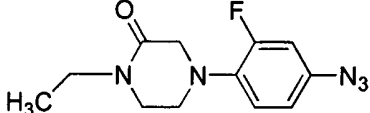
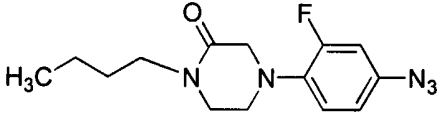
¹H NMR (CDCl₃+DMSO-d₆, 200MHz): δ 7.57 (d, *J* = 6.8 Hz, 1H), 7.00-6.75 (m, 3H), 3.70 (s, 2H), 3.44-3.28 (m, 4H). Mass (CI method): 236, 210. IR (KBr, cm⁻¹): 3200, 3073, 2926, 2116, 1685, 1510, 1309, 1231.

Preparations 13-17 have been prepared according to the procedure as described in preparation 12 by taking appropriate starting materials.

Preparation No.	Compound	Analytical data
13		¹ H NMR (CDCl ₃ +DMSO-d ₆ , 200MHz): δ 8.54 (s, 1H), 6.79-6.58 (m, 3H), 4.81 (s, 2H), 3.83 (s, 2H); Mass (CI method): 222, 193, 136, 109, 92; IR (KBr, cm ⁻¹): 3192, 3108, 2923, 2853, 2112, 1695, 1518, 1308.
14		¹ H NMR (DMSO-d ₆ , 200MHz): δ 8.64 (bs, 1H), 6.97 (d, <i>J</i> = 9.8 Hz, 2H), 4.74 (s, 2H), 3.84 (s, 2H); Mass (CI method): 240, 214; IR (KBr, cm ⁻¹): 3183, 3059, 2926, 2852, 2127, 1750, 1572, 1509, 1283, 1159, 1011.
15		¹ H NMR (CDCl ₃ , 400MHz); δ 6.63-6.57 (m, 2H), 6.42 (bs, 1H), 3.84 (s, 2H), 3.48-3.35 (m, 4H); Mass (CI method): 254; IR (KBr, cm ⁻¹): 2133, 1667.

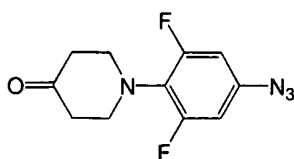
16		¹ H NMR (CDCl ₃ , 200MHz): δ 7.99 (bs, 1H), 6.69-6.56 (m, 2H), 4.00 (s, 4H); Mass (CI method): 268; IR (KBr, cm ⁻¹): 3442, 2121, 1691.
17		¹ H NMR (200 MHz, DMSO-d ₆) δ: 7.08-6.78 (m, 3H), 5.37 (s, 2H), 4.91 (s, 2H), 4.75 (bs, 2H), 3.97 (s, 2H), 3.54-3.35 (m, 4H), 1.07 (s, 3H).

The compounds given in the below table have been prepared according to the procedure as described in preparation 12 by taking appropriate starting materials.

Preparation 18:

1-(4-Azido-2,6-difluorophenyl)-4-piperidinone

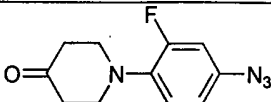


Sodium nitrite (2.40 grams, 35.30 mmol) was added to an ice cooled solution of 1-(4-amino-2,6-difluorophenyl)-4-piperidinone (4.00 grams, 17.69 mmol), obtained in preparation 10, in 6 N HCl (10 mL) and the resulting solution was stirred at 0°C for 0.5 hours. The reaction mixture was quenched with a saturated aqueous solution of sodium azide (2.30 grams, 35.3 mmol) and sodium acetate (29.0 grams, 353 mmol) over a period of 0.5 hours. The reaction mixture was extracted with ethyl acetate (100 mL x 2) and the combined extract was washed with water followed by brine. The ethyl acetate extract was dried over sodium sulfate and concentrated under reduced pressure. The resulting residue was purified by column chromatography (ethyl acetate/ pet ether; 1:9) to yield the title compound (2.20 grams, 55%).

¹H NMR (CDCl₃): δ 6.55 (d, *J* = 9.4 Hz, 2H), 3.43 (t, *J* = 5.9 Hz, 4H), 2.57 (t, *J* = 5.9 Hz, 4H); MS (*m/e*): 253 (*M*⁺+1), 224, 212; IR (cm⁻¹): 2116, 1720, 1629.

Alternatively, the title compound can be prepared by taking sodium azide (860 mg, 13.3 mmol), moist with water (670 μL), was suspended in *t*-BuOH (4.5 mL) into which 1-(4-amino-2,6-difluorophenyl)-4-piperidinone (1.0 grams, 4.42 mmol), was added followed by the addition of *t*-BuONO (10.5 mL, 53 mmol) and the reaction mixture was stirred at 25-30 °C for 6 to 8 hours. Reaction mixture was then diluted with water (50 mL) and extracted with ethyl acetate (75 mL x 2). Combined ethyl acetate layer was dried over sodium sulfate and the volatiles were removed under reduced pressure. The resulting residue was purified by column chromatography (silica gel, ethyl acetate/pet. ether, 1:9) to yield the title compound (657 mg, 59%).

Preparation 19 has been prepared according to the procedure as described in preparation 18 by taking appropriate starting material.

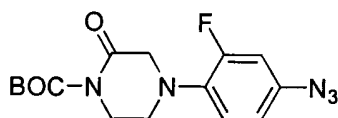
Preparation No.	Compound	Analytical data
19		¹ H NMR (CDCl ₃): δ 6.91 (t, <i>J</i> = 8.6 Hz, 1H), 6.77 (d, <i>J</i> = 10.4 Hz, 2H), 3.36 (t, <i>J</i> = 5.9 Hz, 4H), 2.60 (t, <i>J</i> = 5.9 Hz, 4H); MS (<i>m/e</i>): 235 (<i>M</i> ⁺ +1), 219, 206.

Alternatively, the compound of preparation 19 can be prepared by taking sodium azide (860 mg, 13.3 mmol), moist with water (670 μL), was suspended in *t*-BuOH (4.5 mL) into which 1-(4-amino-2-fluorophenyl)-4-piperidinone (920 mg, 4.42 mmol) was added followed by the addition of *t*-BuONO (10.5 mL, 53 mmol) and the reaction mixture was stirred at 25-30 °C for 6 to 8 hours. Reaction mixture was then diluted with water (50 mL) and extracted with ethyl acetate

(75 mL x 2). Combined ethyl acetate layer was dried over sodium sulfate and the volatiles were removed under reduced pressure. The resulting residue was purified by column chromatography (silica gel, ethyl acetate/pet. ether, 1:9) to yield the title compound (672 mg, 65%).

Preparation 20:

4-(4-Azido-2-fluoro-phenyl)-2-oxo-piperazine-1-carboxylic acid tert-butyl ester



To a solution of the appropriate azide (3 grams, 12.7 mmol), obtained in preparation 12, in dichloromethane (CH₂Cl₂)(100 mL) at 20-35 °C under argon, was added (BOC)₂O (4.17 grams, 19.1 mmol), DMAP (0.155 grams, 1.3 mmol) and Et₃N (2.57 grams, 2515 mmol). The reaction mixture was stirred for 12 hours at the same temperature and the reaction mixture was concentrated. The residue obtained was chromatographed over silica gel to afford the title compound (4.14 grams, 97%).

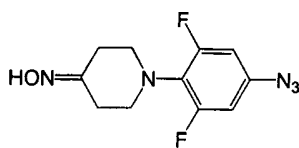
* BOC: *tert*-butoxycarbonyl

¹H NMR (CDCl₃, 200MHz) δ 6.88-6.74 (m, 3H), 3.86-3.80 (m, 4H), 3.37 (t, *J* = 5.4 Hz, 2H), 1.55 (s, 9H); Mass (CI method): 336, 307, 280; IR (KBr, cm⁻¹): 3436, 2989, 2925, 2863, 2115, 1727, 1706, 1510, 1309.

Preparations 21 and 22 have been prepared according to the procedure as described in preparation 20 by taking appropriate starting material.

Preparation No.	Compound	Analytical data
21		¹ H NMR (DMSO-d ₆ , 200MHz) δ 6.81-6.58 (m, 3H), 5.11 (s, 2H), 4.07 (s, 2H), 1.58 (s, 9H); Mass (CI method): 278, 249; IR (KBr, cm ⁻¹): 3397, 2988, 2119, 1773, 1710, 1525, 1330, 1259, 1148.
22		¹ H NMR (DMSO-d ₆ , 200MHz) δ 6.62 (d, <i>J</i> = 9.9 Hz, 2H), 5.05 (s, 2H), 4.09 (s, 2H), 1.56 (s, 9H); Mass (CI method): 284, 240, 214; IR (KBr, cm ⁻¹): 3422, 2115, 1767, 1717, 1510.

Preparation 23:

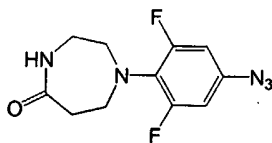
1-(4-Azido-2,6-difluoro-phenyl)-4-piperidin-4-one oxime

To a solution of 1-(4-azido-2,6-difluorophenyl)-4-piperidinone (500 mg, 1.98 mmol), obtained in preparation 18, and hydroxylamine hydrochloride (275 mg, 3.96 mmol) in methanol (5 mL) was added pyridine (313 mg, 3.96 mmol) and refluxed for 1 hour. The reaction mixture was diluted with ethyl acetate (200 mL) and the organic phase was washed with water followed by brine and dried over sodium sulfate. Evaporation of volatiles afforded the title compound as white solid (400 mg, 75%).

$^1\text{H NMR}$ (CDCl_3): δ 6.59 (d, $J = 9.2$ Hz, 2H), 3.32-3.22 (m, 4H), 2.78 (t, $J = 5.8$ Hz, 2H), 2.49 (t, $J = 5.8$ Hz, 2H); MS (m/e): 268 ($\text{M}^+ + 1$), 252, 242, 227, 226; IR (cm^{-1}): 2115, 1628, 1572, 1501.

Preparation 24 has been prepared according to the procedure as described in preparation 14 by taking appropriate starting material.

Preparation No.	Compound	Analytical data
24		$^1\text{H NMR}$ (CDCl_3): δ 6.97 (t, $J = 8.6$ Hz, 1H), 6.77 (d, $J = 10.4$ Hz, 2H), 3.32-3.22 (m, 4H), 2.78 (t, $J = 5.8$ Hz, 2H), 2.49 (t, $J = 5.8$ Hz, 2H); MS (m/e): 250 ($\text{M}^+ + 1$), 234, 222.

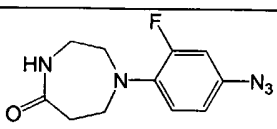
Preparation 25:**1-(4-Azido-2,6-difluoro-phenyl)-[1,4]diazepan-5-one**

To a solution of 1-(4-azido-2,6-difluoro-phenyl)-4-piperidin-4-one oxime (400 mg, 1.58 mmol), obtained in preparation 23, and sodium hydroxide (126 mg, 3.16 mmol) in a mixture of dioxane/water (3:4, 5 mL) at 0 °C was added *p*-toluene sulfonyl chloride (450 mg, 2.37 mmol) and stirred at 20-35°C for 24 hours. The reaction mixture was diluted with ethyl acetate (200 mL) and

the organic phase was washed with water followed by brine and dried over sodium sulfate. Evaporation of volatiles afforded the title compound as white solid (150 mg, 40%).

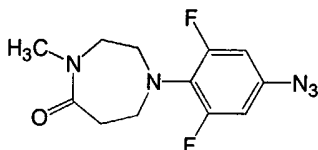
$^1\text{H NMR}$ (CDCl_3): δ 6.57 (d, $J = 9.2$ Hz, 2H), 6.11 (bs, 1H), 3.50-3.39 (m, 4H), 3.25 (d, $J = 4.3$ Hz, 2H), 2.82-2.65 (m, 4H); MS (m/e): 268 ($\text{M}^+ + 1$), 242, 227; IR (cm^{-1}): 2115, 1658, 1571, 1498.

Preparation 26 has been prepared according to the procedure as described in preparation 25 by taking appropriate starting material.

Preparation No.	Compound	Analytical data
26		$^1\text{H NMR}$ (CDCl_3): δ 6.95 (t, $J = 8.7$ Hz, 1H), 6.74 (d, $J = 10.7$ Hz, 2H), 6.55 (bs, 1H), 3.49-3.42 (m 2H), 3.26-3.21 (m, 4H), 2.79 (t, $J = 4.8$ Hz, 2H); MS (m/e): 250 ($\text{M}^+ + 1$), 242, 234, 221; IR (cm^{-1}): 3446, 2115, 1680, 1507.

Preparation 27:

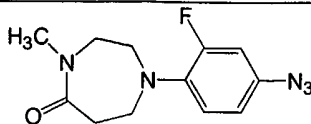
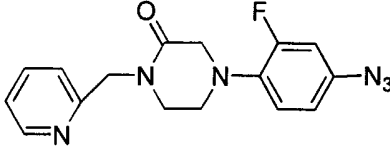
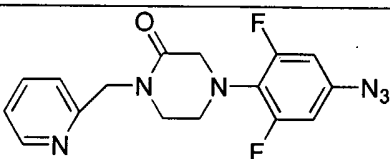
1-(4-Azido-2,6-difluoro-phenyl)-4-methyl-[1,4]diazepan-5-one



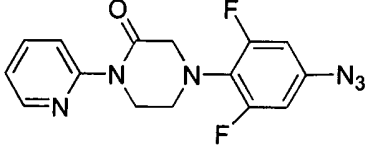
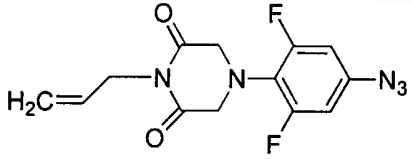
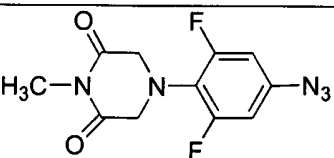
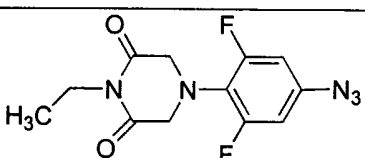
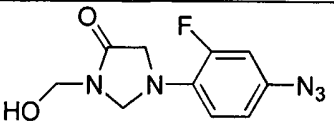
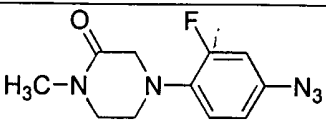
To a solution of 1-(4-azido-2,6-difluoro-phenyl)-[1,4]diazepan-5-one (300 mg, 1.12 mmol), obtained in preparation 25, in DMF (2 mL), was added 60% NaH (80 mg, 3.37 mmol) at 0 °C and stirred for 0.5 hours. Methyl iodide (316 mg, 2.24 mmol) was added to the above mixture at the same temperature and stirred at 20-35°C for 2 hours. The reaction mixture was quenched with brine and extracted with ethyl acetate (100 mL \times 2). The combined organic layer was dried over sodium sulfate. The residue obtained after removal of volatiles was purified by column chromatography over silica gel (ethyl acetate/ pet ether; 4:5) to obtain the title compound (200 mg, 63%).

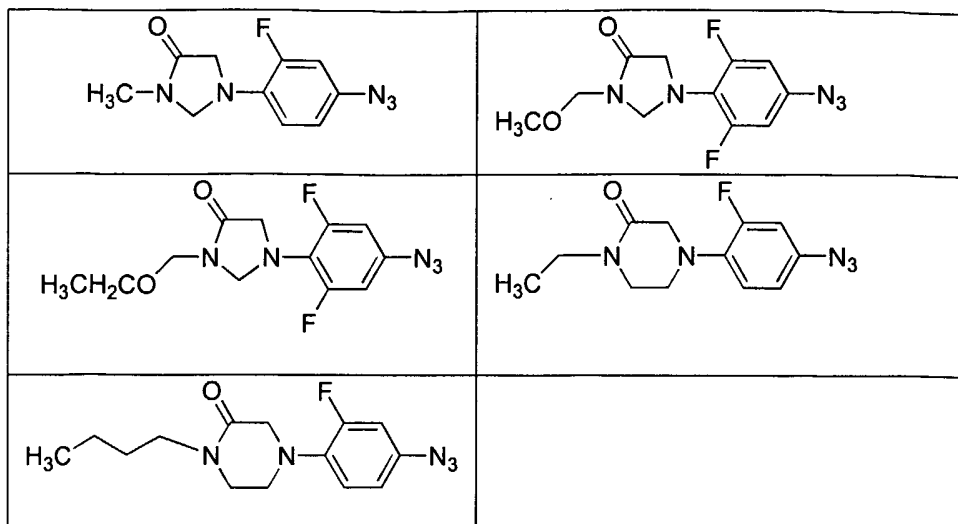
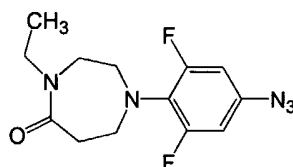
$^1\text{H NMR}$ (CDCl_3): δ 6.56 (d, $J = 8.7$ Hz, 2H), 3.57-3.53 (m, 2H), 3.30-3.15 (m, 4H), 3.04 (s, 3H), 2.82-2.70 (m, 2H); MS (m/e): 282 ($\text{M}^+ + 1$), 270, 253, 234, 193; IR (cm^{-1}): 2112, 1651, 1573, 1501.

Preparations 28-30 have been prepared according to the procedure as described in preparation 27 by taking appropriate starting materials.

Preparation No.	Compound	Analytical data
28		$^1\text{H NMR}$ (CDCl_3): δ 6.94 (t, $J = 8.7$ Hz, 1H), 6.74 (d, $J = 10.7$ Hz, 2H), 3.75-3.61 (m, 2H), 3.22-3.11 (m, 4H), 3.04 (s, 3H), 2.90-2.72 (m, 2H); MS (m/e): 264 ($\text{M}^+ + 1$), 235, 216; IR (cm^{-1}): 2119, 1649, 1508.
29		$^1\text{H NMR}$ (CDCl_3 , 200 MHz) δ 8.56 (d, $J = 4.1$ Hz, 1H), 7.72-7.64 (m, 1H), 7.36-7.19 (m, 2H), 6.96-6.73 (m, 3H), 4.77 (s, 2H), 3.85 (s, 2H), 3.85-3.33 (m, 4H); Mass (Electrospray method): 327 ($\text{M}^+ + 1$); IR (KBr, cm^{-1}): 2114, 1655, 1507.
30		$^1\text{H NMR}$ (CDCl_3 , 200 MHz) δ 8.54 (d, $J = 4.8$ Hz, 1H), 7.73-7.65 (m, 1H), 7.38-7.18 (m, 2H), 6.65-6.51 (m, 2H), 4.77 (s, 2H), 3.92 (s, 2H), 3.50-3.39 (m, 4H); Mass (Electrospray method): 345 ($\text{M}^+ + 1$); IR (KBr, cm^{-1}): 2115, 1655, 1500.

The compounds given in the below table have been prepared according to the procedure as described in preparation 27 by taking appropriate starting materials.

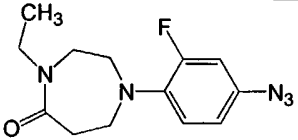
	
	
	

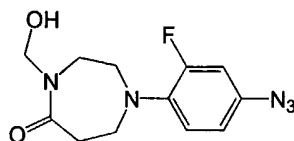
**Preparation 31:****1-(4-Azido-2,6-difluoro-phenyl)-4-ethyl-[1,4]diazepan-5-one**

To a solution of 1-(4-azido-2,6-difluoro-phenyl)-[1,4]diazepan-5-one (300 mg, 1.123 mmol), obtained in preparation 25, in DMF (2 mL), was added NaH (60%, 80 mg, 3.40 mmol) at 0 °C and stirred for 0.5 hours. Ethyl iodide (350 mg, 2.24 mmol) was added to the above mixture at the same temperature and stirred at 20-35°C for 2 hours. The reaction mixture was quenched with brine and extracted with ethyl acetate (100 mL × 2). The combined organic layer was dried over sodium sulfate. The solvent was evaporated and the resulting residue was purified by column chromatography over silica gel (ethyl acetate/ pet ether; 1:1) to obtain the title compound (200 mg, 59%).

¹H NMR (CDCl₃): δ 6.55 (d, *J* = 9.2 Hz, 2H), 3.55-3.42 (m, 4H), 3.24-3.19 (m, 4H), 2.80-2.75 (m, 2H), 1.14 (t, *J* = 7.0 Hz, 3H); MS (*m/e*): 296 (*M*⁺+1), 270, 267; IR (cm⁻¹): 2927, 2116, 1650, 1572, 1503.

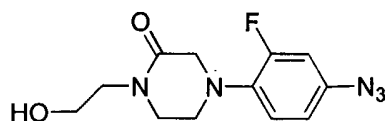
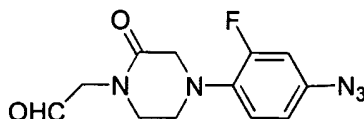
Preparation 32 has been prepared according to the procedure as described in preparation 31 by taking appropriate starting materials.

Preparation No.	Compound	Analytical data
32.		$^1\text{H NMR}$ (CDCl_3): δ 6.94 (t, $J = 8.5$ Hz, 1H), 6.78-6.68 (m, 2H), 3.62-3.40 (m, 4H), 3.25-3.11 (m, 4H), 2.82-2.72 (m, 2H), 1.15 (t, $J = 7.1$ Hz, 3H); MS (m/e): 278 (M^++1), 249; IR (cm^{-1}): 2114, 1647, 1507.

Preparation 33:**1-(4-Azido-2-fluoro-phenyl)-4-hydroxymethyl-[1,4]diazepan-5-one**

To a solution of 1-(4-azido-2-fluoro-phenyl)-[1,4]diazepan-5-one (300 mg, 1.2 mmol), obtained in preparation 26, and formaldehyde (10 mL) was refluxed under nitrogen for 16 hours. The reaction mixture was diluted with ethyl acetate (100 mL), washed successively with water (20 mL) and brine (20 mL). The solvent was dried over sodium sulfate and evaporated on a rotavapor. The crude material was purified by silica gel column chromatography (ethyl acetate/pet ether; 1:1) to obtain the title compound (100 mg, 30%).

$^1\text{H NMR}$ (CDCl_3): δ 6.93 (t, $J = 8.5$ Hz, 1H), 6.73 (d, $J = 10.2$ Hz, 2H), 4.85 (s, 2H), 3.75-3.70 (m, 2H), 3.26-3.18 (m, 4H), 2.85-2.80 (m, 2H); MS (m/e): 280 (M^{++1}), 264, 250, 221; IR (cm^{-1}): 3380, 2114, 1660, 1507.

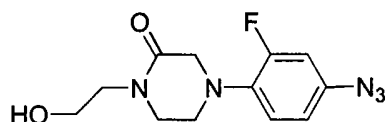
Preparation 34**4-(4-Azido-2-fluoro-phenyl)-1-(2-hydroxy-ethyl)-piperazin-2-one****Step (i)****Preparation of [4-(4-Azido-2-fluoro-phenyl)-2-oxo-piperazin-1-yl]-acetaldehyde**

To a solution of the 1-allyl-4-(4-azido-2-fluoro-phenyl)-piperazin-2-one (1 gram, 3.64 mmol) in 1:1 dioxane-water (10 mL) was added osmium tetroxide (1% solution in *tert*-butanol, 1

mL, 0.036 mmol) followed by sodium periodate (1.56 grams, 7.3 mmol) at 25-30 °C. After 30 minutes of stirring, the solid formed was filtered and the filtrate was extracted with CHCl_3 . The organic phase was washed with saturated solution of sodium metabisulphite and brine. The crude aldehyde obtained upon evaporation of the solvent was taken up for the next step as such.

Step (ii)

Preparation of 4-(4-azido-2-fluoro-phenyl)-1-(2-hydroxy-ethyl)-piperazin-2-one

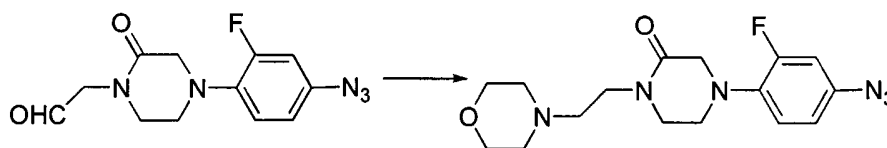


To a solution of the aldehyde, obtained in the step (i) above, in methanol was added sodium borohydride at ice bath temperature. After 10 minutes, a few mL of acetone was added and the reaction mixture was concentrated. The residue was passed through a column of silica gel to get the alcohol (100 mg).

$^1\text{H NMR}$ (DMSO-d_6 , 200 MHz) δ 7.20-6.85 (m, 3H), 4.77 (t, $J = 5.1$ Hz, 1H), 3.63-3.34 (m, 10H).

Preparation 35

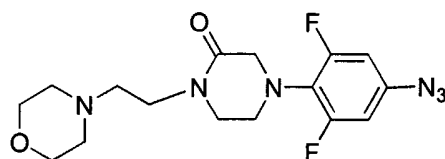
4-(4-Azido-2-fluoro-phenyl)-1-(2-morpholin-4-yl-ethyl)-piperazin-2-one



A mixture of the aldehyde (500 mg, 1.8 mmol), obtained in step (i) of preparation 34, was added morpholine (0.17 mL, 2 mmol), acetic acid (128 mg, 2 mmol) and sodium triacetoxyborohydride (396 mg, 1.9 mmol) in dichloroethane (10 mL) was stirred at 25-30 °C for 10-14 hours. The reaction mixture was quenched with aqueous potassium carbonate and was extracted with ethyl acetate. The organic extracts were washed with water, brine and dried. The residue obtained upon evaporation of the solvent was passed through column to obtain the azide (220 mg, 35%).

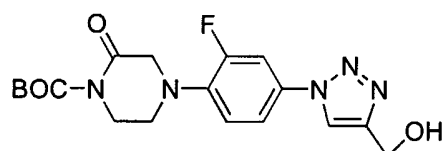
$^1\text{H NMR}$ (CDCl_3 , 400 MHz) δ 6.90 (t, $J = 8.6$ Hz, 1H), 6.79-6.75 (m, 2H), 3.76 (s, 2H), 3.71-3.68 (m, 4H), 3.58-3.36 (m, 6H), 2.56 (t, $J = 6.7$ Hz, 2H), 2.52-2.49 (m, 4H). Mass (EI method): 448. IR (KBr, cm^{-1}): 2114, 1652, 1508.

The following compound has been prepared according to the procedure as described in preparation 35 by taking appropriate starting materials.



Preparation 36:

4-[2-Fluoro-4-(4-hydroxymethyl-4H-[1,2,3]triazol-1-yl)-phenyl]-2-oxo-piperazine-1-carboxylic acid tert-butyl ester



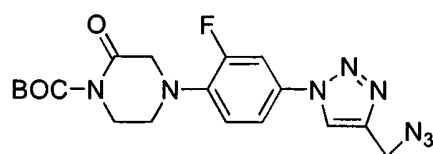
A mixture of the azide (2.7 grams, 8.1 mmol), obtained in preparation 20, was added Cu(I)I (2.3 grams, 12.1 mmol) and propargyl alcohol (1.67 grams, 12.1 mmol) in 1:1 mixture of diisopropyl ethylamine and acetonitrile (20 mL) was stirred at 20-35 °C for 12 hours. Saturated NH_4Cl solution (10 mL) was added to the reaction mixture. Stirred for 15 min, 2 mL of aqueous ammonia solution was added and stirred for 5 min. The resultant mixture was extracted with ethylacetate. The organic layer was washed with brine. The reaction mixture was concentrated afford the product (3 grams, 97% for crude).

^1H NMR ($\text{CDCl}_3 + \text{DMSO}-d_6$, 200MHz) δ 8.13 (s, 1H), 7.63-7.49 (m, 2H), 7.06 (t, $J = 8.7$ Hz, 1H), 4.79 (d, $J = 5.6$ Hz, 2H), 3.97-3.86 (m, 4H), 3.53-3.48 (m, 2H), 1.56 (s, 9H). Mass (CI method): 392, 292. IR (KBr, cm^{-1}): 3499, 1760, 1531, 1148.

Preparations 37 and 38 have been prepared according to the procedure as described in preparation 36 by taking appropriate starting materials.

Preparation No.	Compound	Analytical data
37		^1H NMR (CDCl_3 , 200MHz) δ 7.91 (s, 1H), 7.57-7.42 (m, 2H), 6.72 (t, $J = 9.0$ Hz, 1H), 5.23 (s, 2H), 4.88 (bs, 2H), 4.19 (s, 2H), 2.32 (bs, 1H), 1.59 (s, 9H). Mass (CI method): 322, 293,

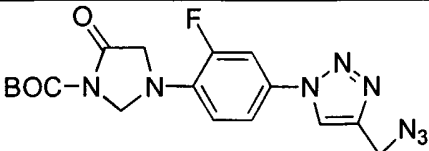
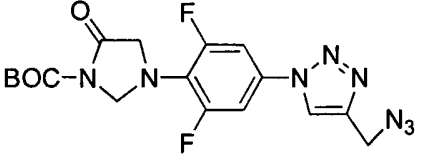
		266, 222, 196. IR (KBr, cm^{-1}): 1748, 1729, 1536, 1455, 1415, 1379, 1300, 1260, 1192.
38		^1H NMR (DMSO- d_6 , 200MHz) δ 8.71 (s, 1H), 7.78 (d, $J = 10.5$ Hz, 2H), 5.38 (t, $J = 5.4$ Hz, 1H), 5.16 (s, 2H), 4.60 (d, $J = 5.4$ Hz, 2H), 4.19 (s, 2H), 1.49 (s, 9H). Mass (CI method): 296, 130.

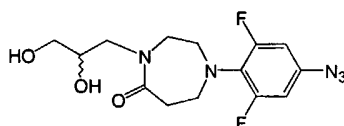
Preparation 39:**4-[4-(4-Azidomethyl-4H-[1,2,3]triazol-1-yl)-2-fluoro-phenyl]-piperazin-2-oneacetic acid tert-butyl ester**

To a solution of the alcohol (2.5 grams, 6.4 mmol), obtained in preparation 36, was added triethylamine (0.97 grams, 9.5 mmol), in dry dichloromethane (100 mL) and methane sulfonylchloride (0.878 grams, 7.6 mmol) at 0 °C. The reaction mixture was warmed to 20-35 °C over 1 hour and then diluted with dichloromethane. The organic layer was washed with water, brine and dried. The residue obtained upon evaporation of the solvent was taken up in dry DMF and then NaN_3 (0.748 grams, 11.51 mmol) was added at 20-35 °C. The resultant mixture was heated to 80 °C for 2 hours while monitoring by TLC. After having allowed the reaction mixture to attain 20-35°C, water was added and extracted with ethyl acetate. The combined organic extracts were washed with water (3 times), brine and dried. The residue obtained upon evaporation of the solvent was passed through column to obtain the title compound (2.2 grams, 82.7 %).

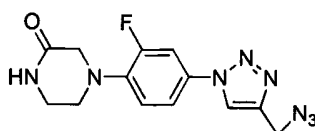
^1H NMR (DMSO- d_6 , 200MHz) δ 8.83 (s, 1H), 7.83 (dd, $J = 11.7$ Hz & 1.9 Hz, 1H), 7.70 (d, $J = 8.8$ Hz, 1H), 7.22 (t, $J = 9.3$ Hz, 1H), 4.60 (s, 2H), 4.00 (s, 2H), 3.80-3.70 (m, 2H), 1.47 (s, 1H). Mass (CI method): 417, 317, 289. IR (KBr, cm^{-1}): 3128, 2926, 2101, 1760, 1521, 1309, 1249, 1147.

Preparations 40 and 41 have been prepared according to the procedure as described in preparation 39 by taking appropriate starting materials.

Preparation No.	Compound	Analytical data
40		$^1\text{H NMR}$ (CDCl_3 , 200MHz) δ 7.92 (s, 1H), 7.58-7.43 (m, 2H), 6.73 (t, J = 8.9 Hz, 1H), 5.24 (s, 2H), 4.58 (s, 2H), 4.20 (s, 2H), 1.59 (s, 9H); Mass (CI method): 403, 303, 102; IR (KBr, cm^{-1}): 3165, 2979, 2929, 2098, 1789, 1531, 1316, 1150.
41		$^1\text{H NMR}$ (CDCl_3 , 200MHz) δ 7.94 (s, 1H), 7.38 (d, J = 10.2 Hz, 2H), 5.24 (s, 2H), 4.59 (s, 2H), 4.27 (s, 2H), 1.58 (s, 9H); Mass (CI method): 421, 365, 264, 130; IR (KBr, cm^{-1}): 2098, 1787, 1528, 1294.

Preparation 42:**5-(4-Azido-2,6-difluoro-phenyl)-1-(2,3-dihydroxy-propyl)-azepan-2-one**

A solution of 1-Allyl-5-(4-azido-2,6-difluoro-phenyl)-azepan-2-one in 4:1 mixture of acetone: water was treated with 0.1 equivalents of osmium tetroxide in the presence of 1 equivalent of *N*-methyl morpholine *N*-oxide at 25-30°C. The reaction mixture was quenched by the addition of aqueous potassium bisulphate after 4 hours. It was extracted twice with ethyl acetate and total organic layer was washed with water and brine successively. Finally it was dried over anhydrous sodium sulphate and solvent was evaporated to obtain the title compound.

Example 1:**4-[4-(4-Azidomethyl-[1,2,3]triazol-1-yl)-2-fluoro-phenyl]-piperazin-2-one**

A solution of azide compound (2.2 grams, 5.3 mmol), obtained in preparation 39, and trifluoroacetic acid (TFA) (4 mL) in CH_2Cl_2 (100 mL) was stirred for 12 hours. The volatiles were removed and the residue obtained was passed through column to obtain the product (1.5 grams, 95%)..

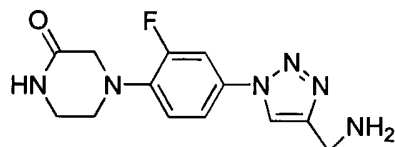
^1H NMR ($\text{CDCl}_3 + \text{DMSO-d}_6$, 200MHz): δ 8.20 (s, 1H), 7.64-7.50 (m, 3H), 7.07 (t, $J = 8.8$ Hz, 1H), 4.56 (s, 2H), 3.82 (s, 2H), 3.48-3.45 (m, 4H). Mass (CI method): 317, 246, 190.. IR (KBr, cm^{-1}): 2927, 2101, 1682, 1520, 1241, 1049.

Examples 2 and 3 have been prepared according to the procedure as described in Example 1 by taking appropriate starting materials.

Example No.	Compound	Analytical Data
2		^1H NMR (DMSO- d_6 , 200MHz): δ 8.79-8.71 (m, 2H), 7.82-7.61 (m, 2H), 6.98-6.92 (m, 1H), 4.85 (s, 2H), 4.60 (s, 2H), 3.92 (s, 2H); Mass (CI method): 303, 232, 102; IR (KBr, cm^{-1}): 3199, 3065, 2926, 2097, 1697, 1533, 1380.
3		^1H NMR (DMSO- d_6 , 200MHz): δ 8.85 (s, 1H), 8.66 (s, 1H), 7.73 (d, $J = 10.5$ Hz, 2H), 4.92 (s, 2H), 4.62 (s, 2H), 4.01 (s, 2H); Mass (CI method): 321, 295, 252; IR (KBr, cm^{-1}): 3131, 2929, 2111, 1748, 1689, 1528, 1164.

Example 4

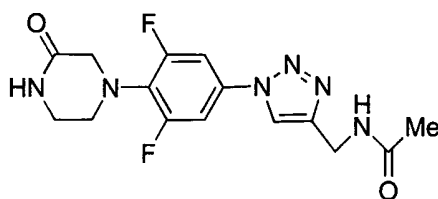
4-[4-(4-Aminomethyl-[1,2,3]triazol-1-yl)-2-fluoro-phenyl]-piperazin-2-one



Triphenyl phosphine (1.49 grams, 5.7 mmol) was added portion wise to a solution of the azide compound (1.5 grams, 4.74 mmol), obtained in example 1, in THF and the resultant mixture was stirred at 25-30 °C for 5 hours. Water (1 mL) was added and the reaction mixture was heated to 60 °C for 12 hours. The solvent was evaporated and the residue was passed through a column of silica gel to afford the title compound (1.2 grams, 93%).

Examples 5-7 have been prepared according to the procedure as described in Example 4 by taking appropriate starting materials

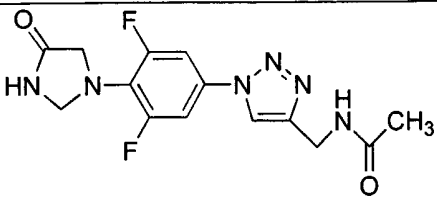
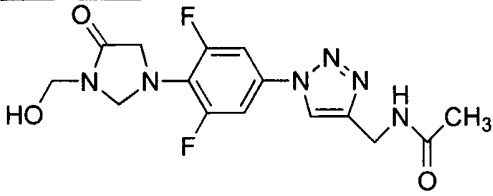
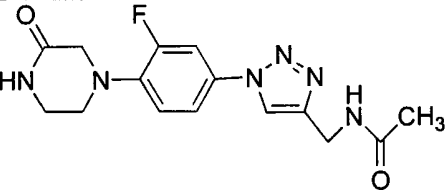
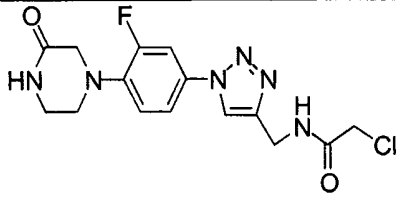
Example No.	Compound
5	
6	
7	

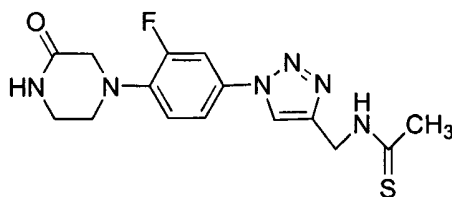
Example 8:**N-{1-[3,5-Difluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-acetamide**

To a solution of the amine (100 mg, 0.3 mmol), obtained in example 7, in CH₂Cl₂ at 0 °C under argon was added Et₃N (93 mg, 0.9 mmol) followed by acetylchloride (36 mg, 0.46 mmol) drop wise. After being stirred at 20-35°C for 4 hours, the reaction mixture was diluted with dichloromethane and washed with water twice followed by brine. The dried organic extract was evaporated of the residue obtained was passed through column to afford the acylated product (80 mg, 75%).

¹H NMR (200 MHz, DMSO-d₆) δ: 8.67 (s, 1H), 8.45 (bs, 1H), 7.99 (s, 1H), 7.76 (d, *J* = 9.8 Hz, 2H), 4.36 (d, *J* = 5.4 Hz, 2H), 3.72 (s, 2H), 3.38-3.28 (m, 4H), 1.87 (s, 3H).

Examples 9-12 have been prepared according to the procedure as described in Example 8 by taking appropriate starting materials

Example No.	Compound	Analytical Data
9		¹ H NMR (200 MHz, DMSO-d ₆) δ: 8.62 (s, 2H), 8.42-8.39 (m, 1H), 7.72 (d, <i>J</i> = 11.7 Hz, 2H), 4.89 (s, 2H), 4.34 (d, <i>J</i> = 5.8 Hz, 2H), 3.98 (s, 2H), 1.85 (s, 3H). MP: 216-218°C
10		¹ H NMR (200 MHz, DMSO-d ₆) δ: 8.63 (s, 1H), 8.43 (bs, 1H), 7.75 (d, <i>J</i> = 11.3 Hz, 2H), 6.15 (bs, 1H), 5.05 (s, 2H), 4.75 (s, 2H), 4.35 (d, <i>J</i> = 5.4 Hz, 2H), 4.14 (s, 2H), 1.86 (s, 3H). MP: 164-166°C
11		¹ H NMR (200 MHz, DMSO-d ₆) δ: 8.61 (s, 1H), 8.46 (bs, 1H), 8.06-7.67 (m, 3H), 7.22 (t, <i>J</i> = 9.0 Hz, 1H), 4.35 (d, <i>J</i> = 5.4 Hz, 2H), 3.69 (s, 2H), 2.89-2.73 (m, 4H), 1.86 (s, 3H).
12		¹ H NMR (200 MHz, DMSO-d ₆) δ: 8.77 (bt, 1H), 8.61 (s, 1H), 8.00 (bs, 1H), 7.79 (dd, <i>J</i> =11 & 2.6Hz, 1H), 7.67 (dt, <i>J</i> =6.1 & 1.3 Hz, 1H), 7.22 (t, <i>J</i> =9.2 Hz, 1H), 4.43 (d, <i>J</i> =5.6 Hz, 2H), 4.11 (s, 2H), 3.69 (s, 2H), 3.35-3.30 (m, 4H).

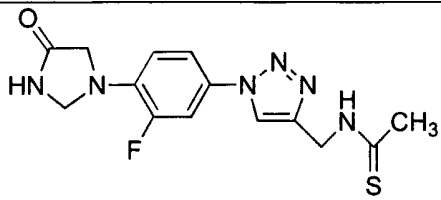
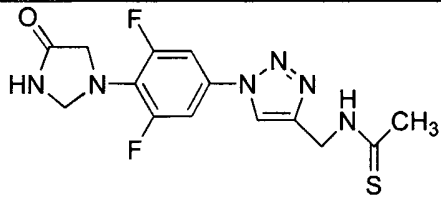
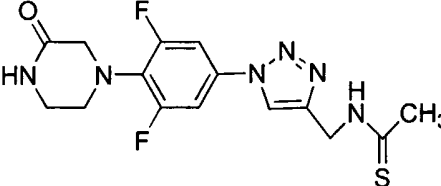
Example 13**N-{1-[3-Fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-thioacetamide**

To a solution of the amine (80 mg, 0.28 mmol), obtained in example 4, and Et₃N (84 mg, 0.83 mmol) in THF was added ethyldithioacetate (40 mg, 0.33 mmol) at 20-35°C and then the

reaction mixture was stirred for 10-14 hours. The volatiles were removed and the residue obtained was passed through column of silica gel to afford the thioacetate (60 mg, 63%).

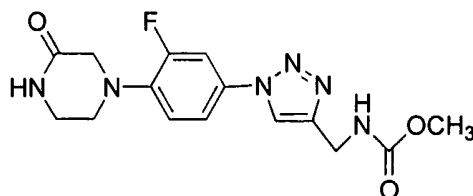
$^1\text{H NMR}$ (200 MHz, DMSO-d_6) δ : 10.48 (bs, 1H), 8.72 (s, 1H), 8.05 (s, 1H), 7.85-7.68 (m, 2H), 7.23 (t, $J = 9.0$ Hz, 1H), 4.82 (d, $J = 4.4$ Hz, 2H), 3.69 (s, 2H), 3.38-3.28 (m, 4H), 2.50-2.44 (m, 3H).

Examples 14-16 have been prepared according to the procedure as described in Example 13 by taking appropriate starting materials

Example No.	Compound	Analytical Data
14		$^1\text{H NMR}$ (200 MHz, DMSO-d_6) δ : 10.46 (bs, 1H), 8.68 (s, 2H), 7.76 (d, $J = 14.2$ Hz, 1H), 7.63 (d, $J = 8.9$ Hz, 1H), 6.91 (t, $J = 9.3$ Hz, 1H), 4.84-4.80 (m, 4H), 3.91 (s, 2H), 2.44 (s, 3H). MP: 210-212°C
15		$^1\text{H NMR}$ (200 MHz, DMSO-d_6) δ : 10.49 (bs, 1H), 8.74 (s, 1H), 8.65 (s, 1H), 7.73 (d, $J = 11.2$ Hz, 2H), 4.90 (s, 2H), 4.82 (d, $J = 5.4$ Hz, 2H), 4.00 (s, 2H), 2.43 (s, 3H). MP: 218-220°C
16		$^1\text{H NMR}$ (200 MHz, DMSO-d_6) δ : 10.47 (bs, 1H), 8.77 (s, 1H), 7.95-7.74 (m, 3H), 4.85 (s, 2H), 3.73 (s, 2H), 3.50-3.05 (m, 4H), 2.45 (s, 3H).

Example 17:

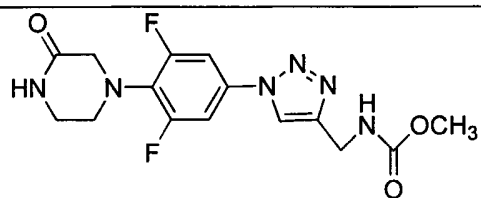
{1-[3-Fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-carbamic acid methyl ester



To a solution of the amine (80 mg, 0.28 mmol), obtained in example 4, was added Et₃N (84 mg, 0.83 mmol) in dry dichloromethane at 0 °C under argon was added methyl chloroformate (39 mg, 0.41 mmol). The reaction mixture was stirred at 20-35°C for 10-14 hours and worked up by diluting with dichloromethane followed by washing with water and brine. The residue obtained after evaporation of the dried organic layer was passed through column to afford the carbamate (60 mg, 63%).

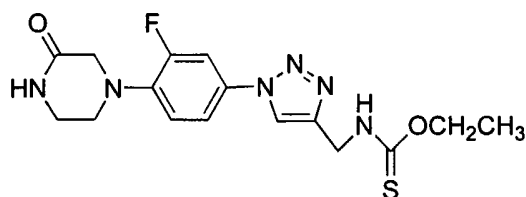
¹H NMR (200 MHz, DMSO-d₆) δ: 8.60 (s, 1H), 8.15-7.60 (m, 3H), 7.22 (t, *J* = 9.3 Hz, 1H), 4.31 (d, *J* = 5.9 Hz, 2H), 3.69 (s, 2H), 3.56 (s, 3H), 3.34-2.73 (m, 4H).

Example 18 has been prepared according to the procedure as described in Example 17 by taking appropriate starting material

Example No.	Compound	Analytical Data
18		¹ H NMR (200 MHz, DMSO-d ₆) δ: 8.67 (s, 1H), 7.99 (s, 1H), 7.80-7.75 (m, 3H), 4.31 (d, <i>J</i> = 5.4 Hz, 2H), 3.72 (s, 2H), 3.56 (s, 2H), 3.33-3.26 (m, 4H).

Example 19

{1-[3-Fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-hiocarbamic acid O-ethyl ester



Step (i):

Thiophosgene (1.2 eq) was added drop wise to a solution of the amine (1 eq), obtained in example 4, was added Et₃N (2.4 eq) in dichloromethane at ice bath temperature under argon. The reaction mixture was warmed to 25-30 °C over 3 hours and then the volatiles were removed. The residue obtained was directly charged on to a column of silica gel to afford the product.

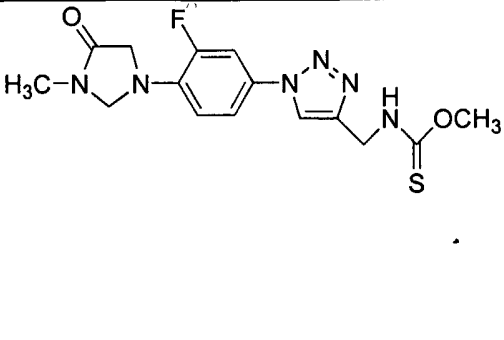
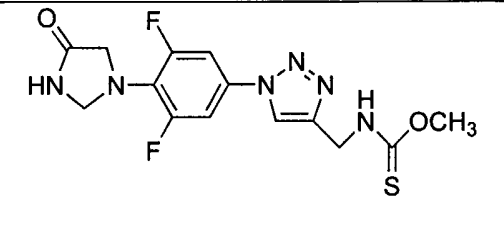
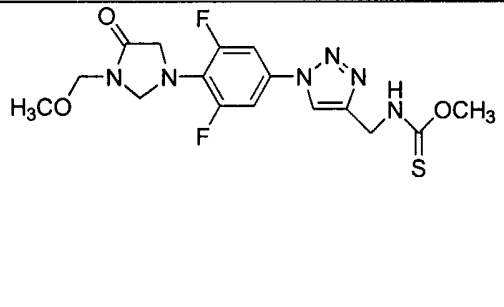
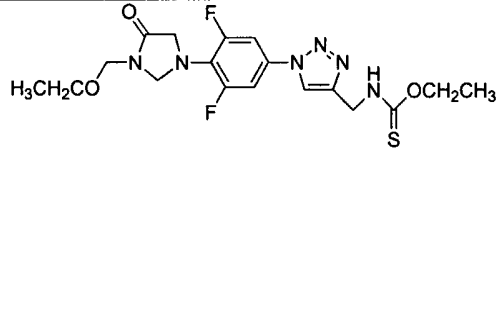
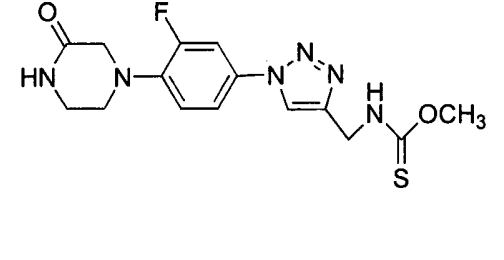
Step (ii):

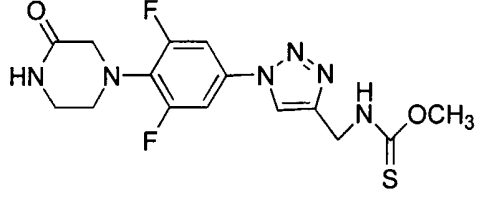
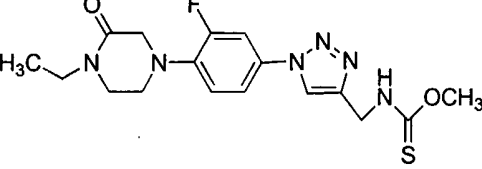
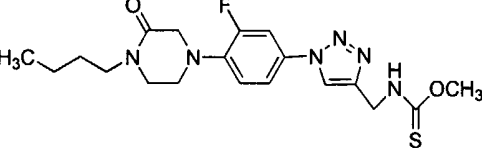
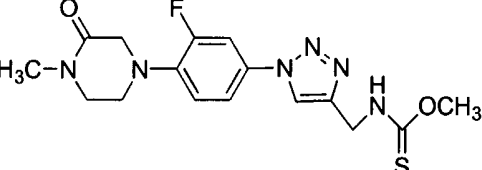
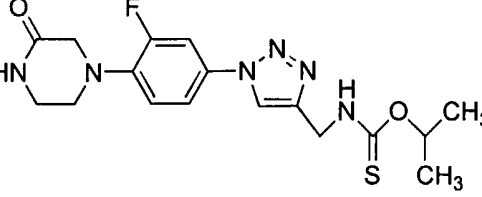
A solution of the isothiocyanate (100 mg, 0.29 mmol), obtained in step (i), in ethanol was refluxed while monitoring by TLC. At the complete consumption of starting material, the reaction mixture was allowed to cool to 20-35°C. The crystals formed were separated, washed with ether and dried at vacuum to yield the pure product (70 mg, 62 %).

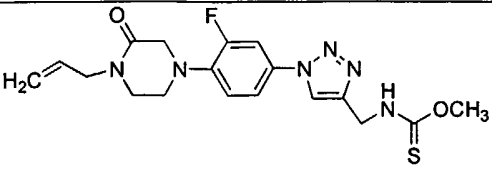
¹H NMR (200 MHz, DMSO-d₆) δ: 9.61-9.59 (m, 1H), 8.63 (d, *J* = 9.8 Hz, 1H), 8.04 (s, 1H), 7.90-7.60 (m, 2H), 7.22 (t, *J* = 9.3 Hz, 1H), 4.72 (d, *J* = 5.4 Hz, 2H), 4.45-4.35 (m, 2H), 3.69 (s, 2H), 3.34-2.73 (m, 4H), 1.25 (t, *J* = 6.8 Hz, 3H).

Examples 20-33 have been prepared according to the procedure as described in Example 19 by taking appropriate starting materials

Example No.	Compound	Analytical Data
20		¹ H NMR (200 MHz, DMSO-d ₆) δ: 9.67 (bs, 1H), 8.75 (s, 1H), 8.52 (d, <i>J</i> = 6.8 Hz, 1H), 7.72 (d, <i>J</i> = 8.8 Hz, 2H), 6.72 (d, <i>J</i> = 8.8 Hz, 2H), 4.73-4.70 (m, 4H), 4.44-3.81 (m, 5H). MP: 234-236°C
21		¹ H NMR (200 MHz, DMSO-d ₆) δ: 9.66-9.64 (m, 1H), 8.79-8.58 (m, 2H), 7.81 (d, <i>J</i> = 1.9 Hz, 1H), 7.73 (d, <i>J</i> = 2.2 Hz, 1H), 6.91 (t, <i>J</i> = 9.2 Hz, 1H), 4.84 (s, 2H), 4.72 & 4.43 (d, <i>J</i> = 5.6 Hz, 2H, rotamers in ratio 4:1), 3.95-3.88 (m, 5H).
22		¹ H NMR (200 MHz, DMSO-d ₆) δ: 9.67-9.64 (m, 1H), 8.61 (d, <i>J</i> = 7.8 Hz, 1H), 7.80 (d, <i>J</i> = 16.1 Hz, 1H), 7.66 (d, <i>J</i> = 8.6 Hz, 1H), 6.94 (t, <i>J</i> = 9.1 Hz, 1H), 6.16 (t, <i>J</i> = 6.9 Hz, 1H), 5.02 (s, 2H), 4.77 (d, <i>J</i> = 7.2 Hz, 2H), 4.72 & 4.43 (d, <i>J</i> = 5.6 Hz, 2H, rotamers in 4:1 ratio), 4.04-3.95 (m, 2H), 3.88 (s, 3H). MP: 220 °C

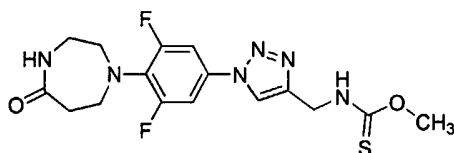
23		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.66-9.60 (m, 1H), 8.59 (d, J = 7.5 Hz, 1H), 7.78 (d, J = 14.8 Hz, 1H), 7.64 (d, J = 9.1 Hz, 1H), 6.91 (d, J = 9.1 Hz, 1H), 4.91 (s, 2H), 4.71 & 4.43 (d, J = 5.6 Hz, 2H, rotamers in ratio 4:1), 3.97 (d, J = 9.4 Hz, 2H), 3.88 (s, 3H), 2.87 (s, 3H). MP: 186-188 °C
24		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.69 (bs, 1H), 8.68 (d, J = 9.3 Hz, 2H), 7.74 (d, J = 11.7 Hz, 2H), 4.91 (s, 2H), 4.72 & 4.43 (d, J = 5.9 Hz, 2H, rotamers in ratio 4:1), 3.97 (d, J = 10.3 Hz, 2H), 3.89 (s, 3H).
25		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.69-9.66 (m, 1H), 8.69 (d, J = 8.9 Hz, 1H), 7.77 (d, J = 11.0 Hz, 2H), 5.03 (s, 2H), 4.75 (s, 2H), 4.72 & 4.43 (d, J = 5.6 Hz, 2H, rotamers in ratio 4:1), 4.20 (s, 2H), 3.95 (s, 1H), 3.88 (s, 2H), 3.25 (s, 3H). MP: 170-172 °C
26		¹ H NMR (200 MHz, CDCl ₃) δ : 8.05 (s, 1H), 7.33 (d, J = 10.7 Hz, 2H), 6.90 (bs, 1H), 5.11 (s, 2H), 4.93-4.89 (m, 4H), 4.49 (q, $J_{1,3}$ = 14.1 Hz, $J_{1,2}$ = 7.1 Hz, 2H), 4.29 (s, 2H), 3.59 (q, $J_{1,3}$ = 14.0 Hz, $J_{1,2}$ = 6.8 Hz, 2H), 1.40-1.20 (m, 6H). MP: 152-154 °C
27		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.67-9.65 (m, 1H), 8.65 (d, J = 7.3 Hz, 1H), 8.05 (bs, 1H), 7.86-7.68 (m, 2H), 7.22 (t, J = 9.0 Hz, 1H), 4.73 & 4.44 (d, J = 5.9 Hz, 2H, rotamers in ratio 4:1), 3.95-3.89 (m, 3H), 3.69 (s, 2H), 3.34-2.73 (m, 4H).

28		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.67-9.64 (m, 1H), 8.71 (d, J = 8.3 Hz, 1H), 7.96 (bs, 1H), 7.77 (d, J = 9.8 Hz, 2H), 4.73 (d, J = 5.4 Hz, 2H), 3.95-3.88 (m, 2H), 3.72 (s, 2H), 3.37-3.31 (m, 2H), 3.31 (s, 3H).
29		¹ H NMR (400 MHz, DMSO-d ₆) δ : 9.63-9.62 (m, 1H), 8.64-8.61 (m, 1H), 7.81 (dd, J = 10.7 Hz & 2.4 Hz, 1H), 7.70-7.68 (m, 1H), 7.21 (t, J = 9.3 Hz, 1H), 4.72 & 4.44 (d, J = 5.9 Hz, 2H, rotamers in ratio 4:1), 4.00 (s, 1H), 3.89 (s, 2H), 3.73 (s, 2H), 3.43 (s, 2H), 3.38 (q, $J_{1,3}$ = 14.2 Hz, $J_{1,2}$ = 7.3 Hz, 2H), 3.30 (s, 3H), 1.08 (t, J = 7.1 Hz, 3H).
30		¹ H NMR (400 MHz, DMSO-d ₆) δ : 9.62 (s, 1H), 8.63-8.59 (m, 1H), 7.80 (dd, J = 11.2 Hz & 2.4 Hz, 1H), 7.67 (dd, J = 7.3 Hz & 1.5 Hz, 1H), 7.19 (t, J = 9.0 Hz, 1H), 4.71 & 4.42 (d, J = 5.9 Hz, 2H, rotamers in ratio 4:1), 3.93 (s, 1H), 3.87 (s, 2H), 3.73 (s, 2H), 3.40 (s, 4H), 3.29 (s, 3H), 1.50-1.46 (m, 4H), 1.28-1.23 (m, 2H).
31		¹ H NMR (200 MHz, DMSO-d ₆) δ : 9.67-9.65 (m, 1H), 8.67-8.63 (m, 1H), 7.83 (d, J = 13.7 Hz, 1H), 7.70 (d, J = 8.8 Hz, 1H), 7.22 (t, J = 9.0 Hz, 1H), 4.72 & 4.44 (d, J = 5.4 Hz, 2H, rotamers), 3.95-3.89 (m, 2H), 3.73 (s, 2H), 3.43 (s, 2H), 3.33 (s, 3H), 2.90 (s, 3H).
32		¹ H NMR (400 MHz, DMSO-d ₆) δ : 9.48 (s, 1H), 8.62-8.57 (m, 1H), 8.01 (s, 1H), 7.81 (dd, J = 11.2 Hz & 2.0 Hz, 1H), 7.68 (d, J = 8.8 Hz, 1H), 7.22 (t, J = 9.0 Hz, 1H), 5.47-5.39 (m, 1H), 4.72 & 4.41 (d, J = 5.4 Hz, 2H, rotamers in ratio 4:1), 3.69 (s, 3H).

		2H), 3.35-3.25 (m, 4H), 1.31-1.23 (m, 6H). MP: 184-186°C
33		¹ H NMR (400 MHz, DMSO-d ₆) δ: 9.63 (bt, 1H), 8.65 & 8.61 (2s, 1H), 7.82 (dd, J=13.2 & 2.4 Hz, 1H), 7.69 (d, J=8.8 Hz, 1H), 7.22 (t, 1H), 5.81-5.74 (m, 1H), 5.22-5.17 (m, 2H), 4.72 & 4.44 (2d, J=5.9Hz, 2H), 4.00 (d, J=5.4 Hz, 2H), 3.95 & 3.89 (2s, 3H), 3.79 (s, 2H), 3.47-3.37 (m, 4H).

Example 34:

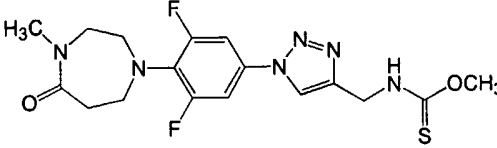
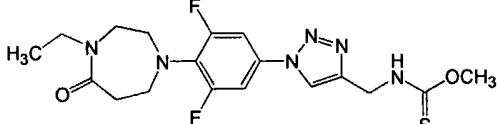
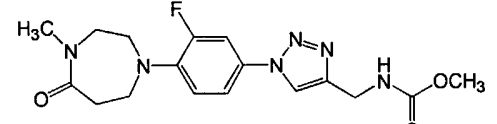
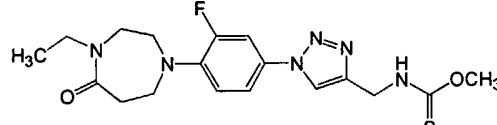
{1-[3,5-Difluoro-4-(5-oxo-[1,4]diazepan-1-yl)-phenyl]-1H-[1,2,3]triazol-4-ylmethyl}-thiocarbamic acid *O*-methyl ester

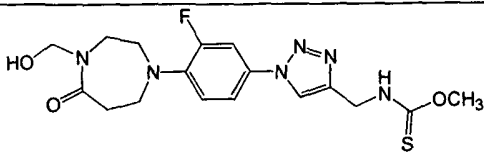
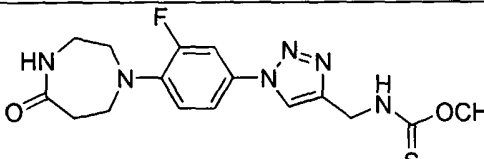
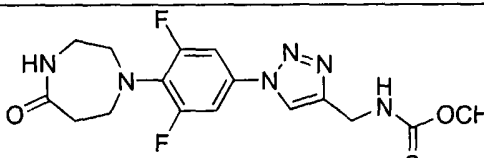
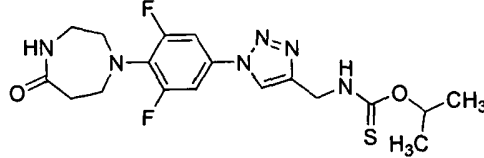


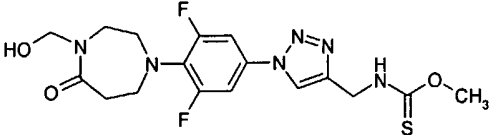
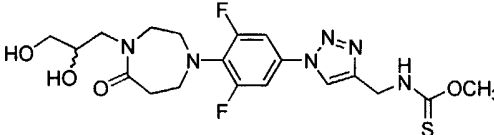
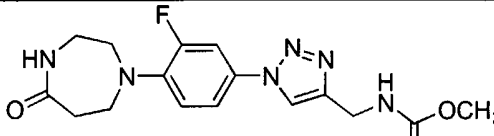
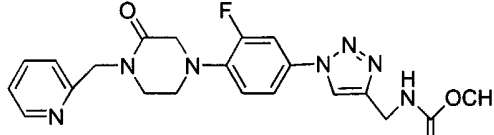
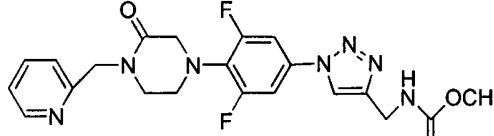
To a DMF solution (2 ml) of 1-(4-azido-2,6-difluoro-phenyl)-[1,4]diazepan-5-one (140 mg, 0.52 mmol), obtained in preparation 25, and was added diisopropylethyl amine (75 mg, 0.58 mmol) and prop-2-ynyl-thiocarbamic acid *O*-methyl ester (100 mg, 0.78 mmol) followed by the addition of cuprous iodide (199 mg, 1.05 mmol) in portion and stirred at 20-35°C for 0.5 hours. Saturated solution of ammonium chloride (5 ml) was added to the reaction mixture followed by the addition of two drops of ammonium hydroxide solution. The reaction mixture was then extracted with ethyl acetate (100 ml x 2) and the organic phase was washed with water followed by brine and dried over sodium sulfate. Evaporation of volatiles on rotavapor and purification of the resulting residue through silica gel column (methanol/chloroform, 1:5) yielded the title compound (80 mg, 40%). Melting point 185-187 °C

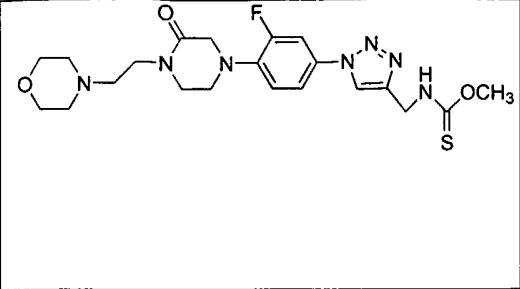
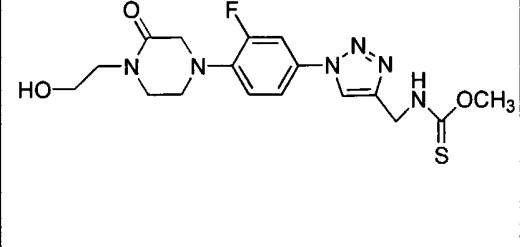
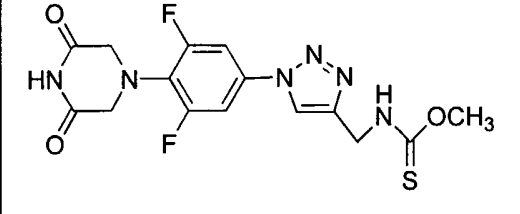
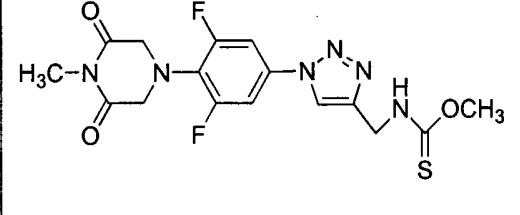
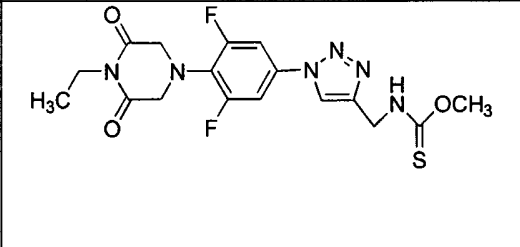
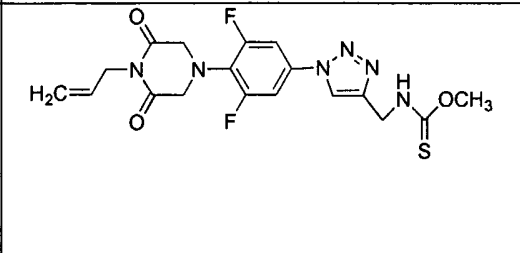
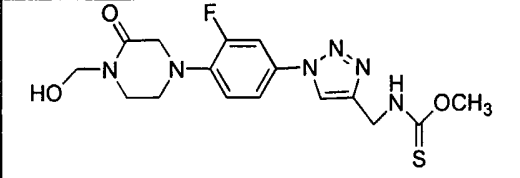
¹H NMR (CDCl₃): δ 8.17 (s, 1H), 8.02-7.93 (m, 2H), 7.90-7.62 (m, 4H), 7.55 (t, *J* = 7.5 Hz, 1H), 6.92 (bs, 1H), 4.93 & 4.72 (2 d, *J* = 5.9 Hz, 2H, rotamers in a ratio of 4:1), 4.13 & 4.01 (2 s, 3H, rotamers in a ratio of 1:4); MS (*m/e*): 412 (*M*⁺+1), 380, 323, 257; IR (KBr, cm⁻¹): 1731, 1528, 1384.

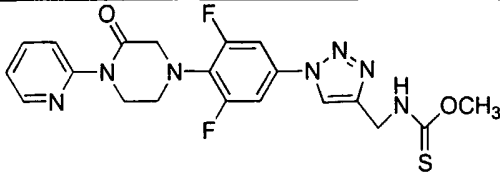
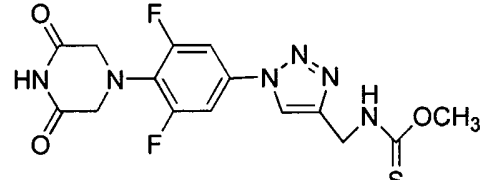
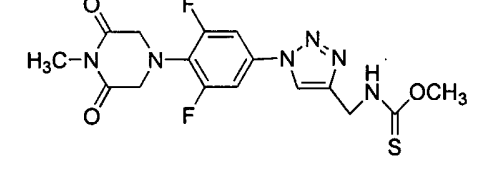
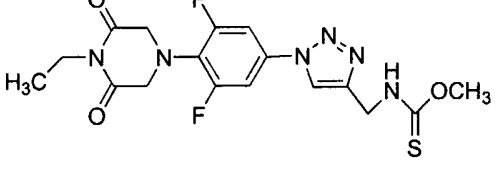
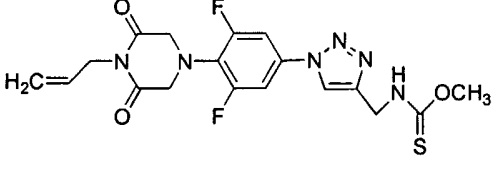
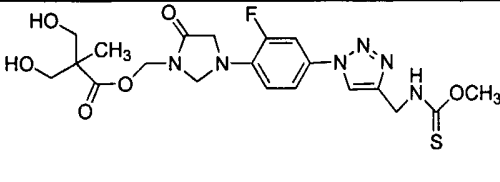
Examples 35-60 have been prepared according to the procedure as described in Example 34 by taking appropriate starting materials

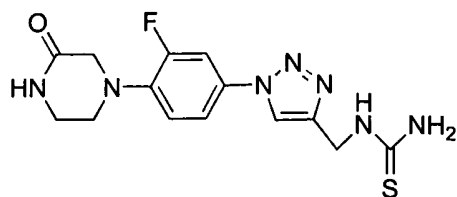
Example No.	Compound	Analytical Data
35		¹ H NMR (CDCl ₃): δ 8.04 & 7.32 (2s, 1H, rotamers in a ratio of 4:1), 7.29 (d, <i>J</i> = 8.7 Hz, 2H), 6.89 (bs, 1H), 4.89 & 4.62 (2d, <i>J</i> = 5.8 Hz, 2H, rotamers in a ratio of 4:1), 4.10 & 3.98 (2s, 3H, rotamers in a ratio of 1:4), 3.63-3.50 (m, 2H), 3.38-3.22 (m, 4H), 3.05 (s, 3H), 2.84-2.79 (m, 2H); MS (m/e): 411 (M ⁺ +1), 379, 292, 250; IR (cm ⁻¹): 3186, 2926, 1619, 1519. Melting point 170-172 °C
36		¹ H NMR (CDCl ₃): δ 8.04 & 7.82 (2s, 1H, rotamers in a ratio of 4:1), 7.29 (d, <i>J</i> = 8.7 Hz, 2H), 6.94 (bs, 1H), 4.89 & 4.64 (2d, <i>J</i> = 5.8 Hz, 2H, rotamers in a ratio of 4:1), 4.10 & 3.98 (2s, 3H, rotamers in a ratio of 1:4), 3.58-3.42 (m, 4H), 3.32-3.29 (m, 4H), 2.83-2.78 (m, 2H), 1.14 (t, <i>J</i> = 7.0 Hz, 3H); MS (m/e): 425 (M ⁺ +1), 393, 306; IR (cm ⁻¹): 3183, 3136, 2925, 1643, 1515. Melting point 188-190 °C
37		¹ H NMR (CDCl ₃): δ 8.04 (s, 1H), 7.50-7.37 (m, 2H), 7.03 (t, <i>J</i> = 8.7 Hz, 1H), 4.90 & 4.62 (2d, <i>J</i> = 5.6 Hz, 2H, rotamers in a ratio of 4:1), 4.10 & 3.90 (2s, 3H, rotamers in a ratio of 1:4), 3.60-3.59 (m, 2H), 3.32-3.28 (m, 4H), 3.05 (s, 3H), 2.89-2.84 (m, 2H); MS (m/e): 393 (M ⁺ +1), 377, 361, 345, 319; IR (cm ⁻¹): 3443, 2925, 1623, 1524. Melting point 165-167 °C
38		¹ H NMR (CDCl ₃): δ 8.04 & 7.82 (2s, 1H, rotamers in a ratio of 4:1), 7.50-7.38 (m, 2H), 7.03 (t, <i>J</i> = 8.7 Hz, 1H), 6.97 (bs, 1H), 4.89 & 4.80 (2d, 2H, rotamers in a ratio of 4:1), 4.10 & 3.99 (2s, 3H, rotamers in a ratio of 1:4), 3.65-3.55 (m, 2H), 3.50 (q, <i>J</i> = 7.0 Hz, 2H), 3.32-3.28 (m, 4H), 2.88-2.82 (m, 2H), 1.15 (t, <i>J</i> = 7.0 Hz, 3H);

		MS (m/e): 407(M ⁺ +1), 377, 375, 359; IR (cm ⁻¹): 1643, 1520, 1491. Melting point 180-182 °C
39		¹ H NMR (CDCl ₃): δ 8.29 (bs, 1H), 8.12 & 7.96 (2s, rotamers in a ratio of 4:1, 1H), 7.58-7.40 (m, 2H), 7.04 (t, J = 8.8 Hz, 1H), 4.91 (s, 2H), 4.89 & 4.60 (2d, rotamers in a ratio of 4:1, J = 5.9 Hz, 2H), 4.08 & 3.99 (2s, rotamers in a ratio of 1:4, 3H), 3.82-3.65 (m, 2H), 3.44-3.25 (m, 4H), 2.98-2.83 (m, 2H); MS (m/e): 393 (M ⁺ -CH ₃), 379 (M ⁺ -OCH ₃), 351, 347; IR (cm ⁻¹): 3353, 1633, 1539, 1519. Melting point 148-150 °C
40		¹ H NMR (200 MHz, CDCl ₃ +DMSO-d ₆): δ 9.03 (bs, 1H), 8.22 & 8.10 (2s in a ratio of 4:1, 1H), 7.55-7.40 (m, 2H), 7.26 (bs, 1H), 7.08 (t, J = 8.8 Hz, 1H), 4.84 & 4.57 (2d in a ratio of 4:1, J = 5.6 Hz, 2H), 4.05 & 3.97 (2s in a ratio of 1:4, 3H), 3.53-3.28 (m, 6H), 2.92-2.71 (m, 2H). MS (m/e): 379 (M ⁺ +1), 347, 290, 250.
41		¹ H NMR (200 MHz, CDCl ₃ +DMSO-d ₆): δ 8.93 (bs, 1H), 8.26 & 8.15 (2s in a ratio of 4:1, 1H), 7.40 (d, J = 8.8 Hz, 2H), 7.10 (bs, 1H), 4.84 & 4.58 (2d in a ratio of 4:1, J = 5.6 Hz, 2H), 4.06 & 3.98 (2s in a ratio of 1:4, 3H), 3.47-3.22 (m, 6H), 2.82-2.67 (m, 2H). MS (m/e): 365 (M ⁺ - MeOH), 325, 308, 113.
42		¹ H NMR (200 MHz, CDCl ₃): δ 8.06 & 7.81 (2s, 1H), 7.32 (d, J = 8.8 Hz, 2H), 6.84 (bs, 1H), 6.08 (bs, 1H), 5.62-5.45 (m, 1H), 4.89 & 4.63 (2d in a ratio of 4:1, J = 5.9 Hz, 2H), 3.55-3.32 (m, 6H), 2.84-2.78 (m, 2H), 1.36 & 1.31 (2d in a ratio of 1:4, J = 6.2 Hz, 6H). MS (m/e): 425 (M ⁺ +1), 365, 349, 323, 308, 280.

43		¹ H NMR (200 MHz, DMSO- <i>d</i> ₆): δ 9.69 (t, <i>J</i> = 5.1 Hz, 1H), 8.73 & 8.67 (2s in a ratio of 4:1, 1H), 7.76 (d, <i>J</i> = 8.8 Hz, 2H), 5.81 (t, <i>J</i> = 7.2 Hz, 1H), 4.79-4.65 & 4.42 (m & d in a ratio of 8:1, <i>J</i> = 5.9 Hz, 4H), 3.94 & 3.88 (2s in a ratio of 1:4, 3H), 3.70-3.52 (m, 2H), 3.40-3.20 (m, 4H), 2.78-2.63 (m, 2H). MS (m/e): 427 (M ⁺ +1), 365, 349.
44		¹ H NMR (200 MHz, CDCl ₃): δ 8.06 & 7.85 (2s in a ratio of 4:1, 1H), 7.32 (d, <i>J</i> = 8.8 Hz, 2H), 6.95 (bs, 1H), 4.91 & 4.67 (2d in a ratio of 4:1, <i>J</i> = 5.4 Hz, 2H), 4.12 & 4.00 (2s in a ratio of 1:4, 3H), 3.92-3.80 (m, 1H), 3.75-3.51 (m, 6H), 3.40-3.25 (m, 4H), 2.92-2.80 (m, 2H). MS (m/e): 439 (M ⁺ -MeOH), 421, 365.
45		¹ H NMR (200 MHz, CDCl ₃ +DMSO- <i>d</i> ₆): δ 8.06 (s, 1H), 7.55-7.42 (m, 2H), 7.15 (bs, 1H), 7.12 (t, <i>J</i> = 8.8 Hz, 1H), 6.85 (bs, 1H), 4.46 (d, <i>J</i> = 4.9 Hz, 2H), 3.67 (s, 3H), 3.50-3.25 (m, 6H), 2.85-2.75 (m, 2H). MS (m/e): 363 (M ⁺ +1), 331, 279
46		¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ: 9.65-9.63 (m, 1H), 8.66 & 8.62 (two s, 1H, rotamers), 8.53 (d, <i>J</i> = 4.3 Hz, 1H), 7.84-7.69 (m, 3H), 7.31-7.23 (m, 3H), 4.73 & 4.44 (two d, <i>J</i> = 5.6 Hz, 2H, rotamers), 4.68 (s, 2H), 3.96 & 3.90 (two s, 3H, rotamers), 3.87 (s, 2H), 3.49 (bs, 4H).
47		¹ H NMR (400 MHz, DMSO- <i>d</i> ₆) δ: 9.67-9.63 (m, 1H), 8.73 & 8.69 (two s, 1H, rotamers), 8.53 (d, <i>J</i> = 5.6 Hz, 1H), 7.82-7.77 (m, 3H), 7.30 (d, <i>J</i> = 7.8 Hz, 2H), 4.67 & 4.44 (two d, <i>J</i> = 5.6 Hz, 2H, rotamers), 4.45 (s, 2H), 3.95 & 3.90 (two s, 3H, rotamers), 3.89 (s, 2H), 3.48 (bs, 4H).

48		¹ H NMR (400 MHz, DMSO-d ₆) δ: 9.64-9.61 (m, 1H), 8.65 & 8.61 (two s, 1H, rotamers), 7.84-7.68 (m, 2H), 7.20 (t, <i>J</i> = 9.1 Hz, 1H), 4.72 & 4.44 (d, <i>J</i> = 5.6 Hz, 2H, rotamers), 3.95 & 3.90 (two s, 3H, rotamers), 3.89 (s, 2H), 3.60-3.40 (m, 4H), 2.50-2.30 (m, 6H).
49		¹ H NMR (400 MHz, DMSO-d ₆) δ: 9.64 (bs, 1H), 8.65 & 8.61 (two s, 1H, rotamers), 7.83-7.68 (m, 2H), 7.25 (bs, 1H), 4.74 & 4.45 (two bs, 2H, rotamers), 3.96 & 3.90 (two s, 3H, rotamers), 3.76 (s, 2H), 3.54-3.15 (m, 8H).
50		¹ H NMR (400 MHz, CDCl ₃) δ: 8.21 (bs, 1H), 8.09 & 7.85 (two s, 1H, rotamers), 7.39 (d, <i>J</i> = 9.1 Hz, 2H), 6.96 (bs, 1H), 4.91 & 4.66 (two d, <i>J</i> = 5.9 Hz, 2H, rotamers), 4.09 (s, 4H), 4.11 & 4.00 (two s, 3H).
51		¹ H NMR (400 MHz, CDCl ₃) δ: 8.07 & 7.81 (two s, 1H, rotamers), 7.38 (d, <i>J</i> = 9.1 Hz, 2H), 6.86 (bs, 1H), 4.91 & 4.62 (two d, <i>J</i> = 6.2 Hz, 2H, rotamers), 4.15 (s, 4H), 4.12 & 4.00 (two s, 3H), 3.24 (s, 3H).
52		¹ H NMR (400 MHz, CDCl ₃) δ: 8.07-7.81 (two s, 1H, rotamers), 7.37 (d, <i>J</i> = 9.1 Hz, 2H), 6.86 (bs, 1H), 4.91 & 4.66 (two d, <i>J</i> = 6.2 Hz, 2H, rotamers), 4.13 (s, 4H), 4.11 & 4.00 (two s, 3H), 3.90 (q, <i>J</i> = 7.0 Hz, 2H), 1.20 (t, <i>J</i> = 7.0 Hz, 3H).
53		¹ H NMR (400 MHz, CDCl ₃) δ: 8.08 & 7.83 (two s, 1H, rotamers), 7.38 (d, <i>J</i> = 9.1 Hz, 2H), 6.91 (bs, 1H), 5.87-5.79 (m, 1H), 5.28-5.19 (m, 2H), 4.91 & 4.66 (two d, <i>J</i> = 6.2 Hz, 2H, rotamers), 4.45 (d, <i>J</i> = 5.9 Hz, 2H), 4.15 (s, 4H), 4.11 & 4.00 (two s, 3H).
54		¹ H NMR (400 MHz, DMSO-d ₆) δ: 9.64-9.60 (m, 1H), 8.65 & 8.61 (two s, 1H, rotamers), 7.84-7.68 (m, 2H), 7.23 (t, <i>J</i> = 8.9 Hz, 1H), 5.95 (t, <i>J</i> = 7.3 Hz, 1H), 4.78 (d, <i>J</i> = 7.3 Hz, 1H),

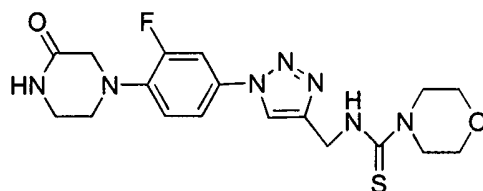
		4.73 & 4.44 (two d, $J = 5.6$ Hz, 2H), 3.95 & 3.89 (two s, 3H), 3.77 (s, 2H), 3.50-3.43 (m, 4H).
55		$^1\text{H NMR}$ (500 MHz, DMSO- d_6) δ : 9.69-9.66 (m, 1H), 8.75 & 8.70 (two s, 1H, rotamers), 8.48-8.46 (m, 1H), 7.91-7.79 (m, 4H), 7.27-7.24 (m, 1H), 4.73 & 4.43 (two d, $J = 5.8$ Hz, 2H), 4.06 (s, 2H), 3.98 (t, $J = 5.2$ Hz, 2H), 3.95 & 3.89 (two s, 3H), 3.64, (t, $J = 5.2$ Hz, 2H).
56		$^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.21 (bs, 1H), 8.09 & 7.85 (two s, 1H, rotamers), 7.39 (d, $J = 9.1$ Hz, 2H), 6.96 (bs, 1H), 4.91 & 4.66 (two d, $J = 5.9$ Hz, 2H, rotamers), 4.09 (s, 4H), 4.11 & 4.00 (two s, 3H).
57		$^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.07 & 7.81 (two s, 1H, rotamers), 7.38 (d, $J = 9.1$ Hz, 2H), 6.86 (bs, 1H), 4.91 & 4.62 (two d, $J = 6.2$ Hz, 2H, rotamers), 4.15 (s, 4H), 4.12 & 4.00 (two s, 3H), 3.24 (s, 3H).
58		$^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.07-7.81 (two s, 1H, rotamers), 7.37 (d, $J = 9.1$ Hz, 2H), 6.86 (bs, 1H), 4.91 & 4.66 (two d, $J = 6.2$ Hz, 2H, rotamers), 4.13 (s, 4H), 4.11 & 4.00 (two s, 3H), 3.90 (q, $J = 7.0$ Hz, 2H), 1.20 (t, $J = 7.0$ Hz, 3H).
59		$^1\text{H NMR}$ (400 MHz, CDCl_3) δ : 8.08 & 7.83 (two s, 1H, rotamers), 7.38 (d, $J = 9.1$ Hz, 2H), 6.91 (bs, 1H), 5.87-5.79 (m, 1H), 5.28-5.19 (m, 2H), 4.91 & 4.66 (two d, $J = 6.2$ Hz, 2H, rotamers), 4.45 (d, $J = 5.9$ Hz, 2H), 4.15 (s, 4H), 4.11 & 4.00 (two s, 3H).
60		$^1\text{H NMR}$ (200 MHz, DMSO- d_6) δ : 9.66-9.60 (m, 1H), 8.61-8.57 (two s, 1H, rotamers), 7.82-7.64 (m, 2H), 6.94 (t, $J = 9.4$ Hz, 1H), 5.34 (s, 2H), 5.01 (s, 2H), 4.73-4.42 (m, 4H), 4.07 (s, 2H), 3.95 & 3.89 (two s, 3H), 3.55-3.38 (m, 4H).

Example 61:**{1-[3-Fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-thiourea**

A solution of isothiocyanate (100 mg, 0.3 mmol), obtained in step (i) of example 19, and aqueous ammonia (5 mL) was stirred at 20-35°C for 2 hours. The residue obtained upon evaporation of the volatiles was passed through a column of silica gel to afford the product (60 mg, 57%, yield).

¹H NMR (400 MHz, DMSO-d₆) δ: 8.64 (s, 1H), 8.12 (bs, 1H), 8.01 (s, 1H), 7.80 (dd, *J* = 11.2 Hz & 2.4 Hz, 1H), 7.68 (dd, *J* = 6.3 Hz & 2.2 Hz, 1H), 7.22 (t, *J* = 9.3 Hz, 1H), 4.70 (bs, 2H), 3.69 (s, 2H), 3.34-3.26 (m, 4H).

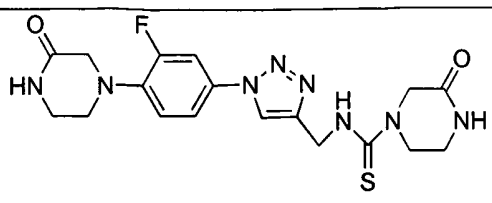
MP: 198-200°C

Example 62:**Morpholine-4-carbothioic acid {1-[3-fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-4H-[1,2,3]triazol-4-ylmethyl}-amide**

A solution of the isothiocyanate (100 mg, 0.3 mmol), obtained in step (i) of example 4, and morpholine (22 mg, 0.3 mmol) in THF was stirred at 20-35°C over 30 minutes. The volatiles were removed and the residue obtained was passed through column of silica gel to afford the title compound (72 mg, 57%).

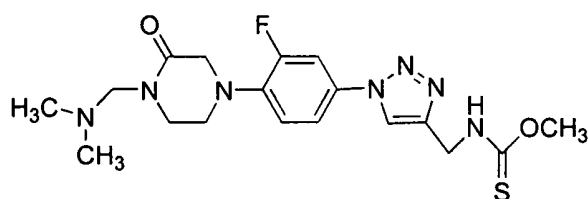
¹H NMR (400 MHz, DMSO-d₆) δ: 8.60 (s, 1H), 8.23 (t, *J* = 5.1 Hz, 1H), 8.01 (s, 1H), 7.81 (dd, *J* = 11.2 Hz & 2.4 Hz, 1H), 7.68 (dt, *J* = 5.9 Hz & 1.3 Hz, 1H), 7.22 (t, *J* = 9.3 Hz, 1H), 4.87 (d, *J* = 4.9 Hz, 2H), 3.79-3.77 (m, 4H), 3.69 (s, 2H), 3.61-3.59 (m, 4H), 3.35-3.25 (m, 4H).

Example 63 has been prepared according to the procedure as described in Example 62 by taking appropriate starting material

Example No.	Compound	Analytical Data
63		^1H NMR (200 MHz, DMSO- d_6). δ : 8.53 (s, 1H), 8.31-8.05 (m, 3H), 7.78-7.64 (m, 2H), 7.18 (t, $J = 9.0$ Hz, 1H), 4.94 (bs, 2H), 4.33 (s, 2H), 4.07 (s, 2H), 3.76 (s, 2H), 3.43-3.08 (m, 4H).

Example 64

{1-[3-Fluoro-4-(3-oxo-piperazin-1-yl)-phenyl]-1H-[1,2,3]triazol-4-ylmethyl}-thio carbamic acid O-methyl ester; compound with N-ethyl-N,N'-dimethyl-hydrazine

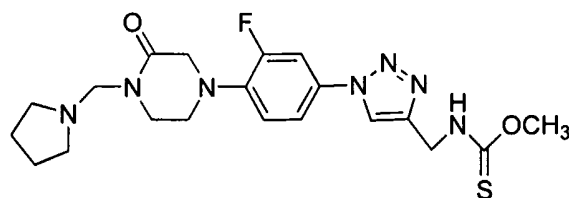


A mixture of the compound (200 mg, 0.54 mmol), obtained in example 27, was added 40% aq. dimethylamine (0.5 mL) and paraformaldehyde (51 mg, 1.62 mmol) in toluene (30 mL) was refluxed using a Dean-Stark apparatus for 25-30 °C. The reaction mixture was allowed to cool down, diluted with ethyl acetate (100 mL), washed with water, brine and dried. The volatiles were evaporated under reduced pressure and the residue was washed repeatedly with ether to afford the target compound (160 mg, 70%) as a colorless solid. Melting point. 158-160 °C.

^1H NMR (400 MHz, DMSO- d_6) δ : 9.65-9.55 (m, 1H), 8.63 & 8.59 (two s, 1H, rotamers in ratio 3:1), 7.90-7.60 (m, 2H), 7.20 (t, $J = 9.1$ Hz, 1H), 4.71 & 4.42 (d, $J = 5.6$ Hz, 2H, rotamers), 3.99 (s, 2H), 3.94 & 3.87 (two s, 3H, rotamers), 3.79 (s, 2H), 3.50-3.40 (m, 4H), 2.17 (s, 6H); Mass (Electrospray method): 422 ($M^+ + 1$).

Example 65

{1-[3-Fluoro-4-(3-oxo-4-pyrrolidin-1-ylmethyl)-piperazin-1-yl)-phenyl]-1H-[1,2,3] triazol-4-ylmethyl}-thiocarbamic acid O-methyl ester

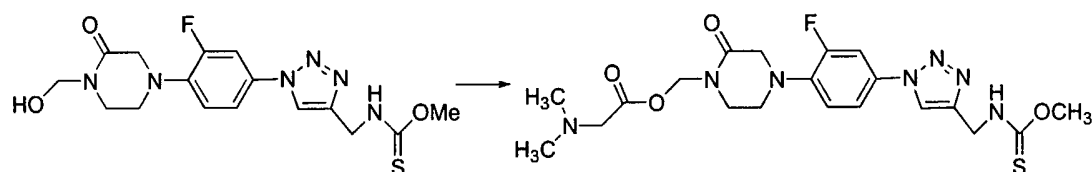


The title compound was prepared according to the procedure as described in Example 64, by taking appropriate starting material.

^1H NMR (400 MHz, DMSO- d_6) δ : 9.65-9.55 (m, 1H), 8.65 & 8.61 (two s, 1H, rotamers in ratio 3:1), 7.95-7.65 (m, 2H), 7.21 (t, $J = 9.1$ Hz, 1H), 4.72 & 4.44 (d, $J = 5.3$ Hz, 2H, rotamers), 4.19 (s, 2H), 3.95 & 3.89 (two s, 3H, rotamers), 3.78 (s, 2H), 3.50-3.40 (m, 4H), 2.56 (bs, 4H), 1.70 (bs, 4H). Melting point. 177-179 °C. Mass (Electrospray method): 448 ($\text{M}^+ + 1$).

Example 66:

Dimethylamino-acetic acid 4-{2-fluoro-4-[4-(methoxythiocarbonylamino-methyl)-[1,2,3]triazol-1-yl]-phenyl}-2-oxo-piperazin-1-ylmethyl ester



To a solution of the compound (500 mg, 1.3 mmol), obtained in example 54, in dichloromethane (20 mL), was added successively *N,N*-dimethylaminopyridine (263 mg, 2.15 mmol), DCC (391 mg, 1.9 mmol) and *N,N*-dimethylglycine hydrochloride (264 mg, 1.9 mmol) at ice bath temperature. The reaction mixture was allowed to stir for 25-30 °C and was diluted with dichloromethane. The resultant mixture was washed water, brine and dried. The crude product obtained by evaporation of the solvents was washed with methanol several times to afford the product (383 mg, 63%) as a colorless solid.

^1H NMR (400 MHz, DMSO- d_6): δ 9.67-9.58 (m, 1H), 8.65 & 8.61 (two s, 1H, rotamers), 7.85-7.68 (m, 2H), 7.20 (t, $J = 9.1$ Hz, 1H), 5.44 (s, 2H), 4.73 & 4.44 (two d, $J = 5.6$ Hz, 2H), 3.95 & 3.89 (two s, 3H), 3.86 (s, 2H), 3.60-3.45 (m, 4H), 3.21 (s, 2H), 2.24 (s, 6H).

Examples 67 has been prepared according to the procedure as described in Example 66 by taking appropriate starting materials

Example No.	Compound	Analytical Data
67		^1H NMR (400 MHz, DMSO- d_6): δ 9.65-9.60 (m, 1H), 8.65 & 8.61 (two s, 1H, rotamers), 7.85-7.68 (m, 2H), 7.24 (t, $J = 9.1$ Hz, 1H), 5.42 (s, 2H), 4.72 & 4.40 (two d, $J = 5.6$ Hz, 2H),

		3.95 & 3.89 (two s, 3H), 3.86 (s, 2H), 3.59 (s, 3H), 3.58-3.39 (m, 4H), 2.63-2.52 (m, 4H).
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In vitro Data

Minimum Inhibitor Concentrations (MICs) were determined by broth microdilution technique as per the guidelines prescribed in the fifth edition of Approved Standards, NCCLS document *M7-A5 Vol 20 - No 2, 2000* Villanova, PA.

Initial stock solution of the test compound was prepared in DMSO. Subsequent two fold dilutions were carried out in sterile Mueller Hinton Broth (Difco) (MHB).

Frozen cultures stocks were inoculated into 50 ml sterile MHB in 250 ml Erlenmeyer flasks.

Composition of MHB is as follows:

Beef Extract Powder - 2.0 grams/litre

Acid Digest of Casein - 17.5 grams/ litre

Soluble Starch - 1.5 grams/litre

Final pH 7.3 ± 0.1

Flasks were incubated for 4 to 5 hours at 35 °C on a rotary shaker at 150 rpm. Inoculum was prepared by diluting the culture in sterile MHB to obtain a turbidity of 0.5 McFarland standard. This corresponds to 1-2 x 10⁸ CFU/ml. The stock was further diluted in sterile broth to obtain 1-2 X 10⁶ CFU/ml. 50 µl of the above diluted inoculum was added from 1-10 wells. The plates were incubated overnight at 37 °C.

MIC is read as the lowest concentration of the compound that completely inhibits growth of the organism in the microdilution wells as detected by the unaided eye.

Organism	Culture No.	DRCC No.
<i>Staphylococcus aureus</i>	ATCC 33591	019
<i>Staphylococcus aureus</i>	ATCC 49951	213
<i>Staphylococcus aureus</i>	ATCC 29213	035
<i>Enterococcus faecalis</i>	ATCC 29212	034
<i>Enterococcus faecalis</i>	NCTC 12201	153
<i>Enterococcus faecium</i>	NCTC 12202	154
<i>Escherichia coli</i>	ATCC 25922	018
<i>Haemophilus influenzae</i>	ATCC 49247	432
<i>Haemophilus influenzae</i>	ATCC 49766	433

<i>Haemophilus influenzae</i>	ATCC 9006	529
<i>Moraxella catarrhalis</i>	ATCC 25238	300
<i>Streptococcus pneumoniae</i>	ATCC 6303	236
<i>Streptococcus pneumoniae</i>	ATCC 49619	237
<i>Streptococcus pneumoniae</i>	ATCC 700673	238
<i>S.aureus</i> - MRSA	-	446
<i>S.aureus</i> - MRSA	-	448
<i>S.aureus</i> - MRSA	-	449
<i>Corynebacterium jeikeium</i>		
Viridans Streptococci		

ATCC: American Type Culture Collection, USA

NCTC: National Collections of Type Cultures, Colindale, UK

DRCC: Dr. Reddy's Culture Collection, Hyderabad, India.

The *in vitro* antibacterial activity data is shown in TABLE 1.

TABLE 1

In vitro Activity of Compounds against Gram positive and Gram negative bacteria

Sample No.	Antimicrobial Screening (MIC) µg/mL							
	<i>Staphylococcus aureus</i>			<i>Enterococcus sp</i>			Mycobacterium	Salmonella
	019 MRSA	213 Smith S	035 S	034 S	153 R	154 R	MTCC006	TA97
3	1	1	1	1	1	1	32	32
5	0.5	1	1	1	1	1	4	32
6	1	1	2	1	1	2	4	32
8	1	2	2	2	2	4	4	32
7	2	2	4	4	4	4	8	32

***In vivo* efficacy studies: Mice Systemic Infection**

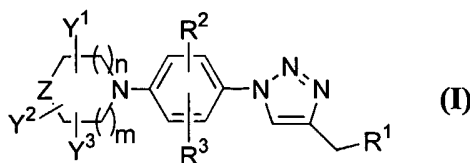
- *S.aureus* ATCC 29213 and other tested strains were grown overnight on Columbia Blood agar (DIFCO).
- The inoculum was prepared by suspending the culture in 0.9% saline and adjusted to 100 x LD₅₀ dose in 10% Hog Gastric Mucin (DIFCO). 0.5ml was injected intraperitoneally to Swiss albino mice weighing 18-22g (n=6)

- Test compounds were solubilised in suitable formulation and 0.25ml was administered intra venously or orally or sub-cutaneously at 1 hour and 5 hours post infection by BID or TID or single dose protocol
- The animals were observed for 5-7 days and the survival was noted.
- ED₅₀ was calculated by probit analysis.

Example No.	MIC ($\mu\text{g/ml}$)	ED ₅₀ (mg/kg)
35	2	>20
36	2-4	>20
40	2	5.47
41	1-2	6.59

We claim:

1. A compound of formula (I),



their prodrugs, their pharmaceutically acceptable salts and their stereoisomers thereof;

where R^1 represents NHR^4 wherein R^4 represents



where

Q represents oxygen or sulfur,

R^5 represents

(i) hydrogen,

Optionally substituted groups selected from,

(ii) alkyl,

(iii) cycloalkyl,

(iv) alkoxy,

(v) cycloalkoxy,

(vi) alkenyl,

(vii) alkenyloxy,

(viii) aryl,

(ix) aryloxy,

(x) heteroaryl,

(xi) heterocyclyl,

(xii) heteroaryloxy,

(xiii) $-S(O)_2$ alkyl,

(xiv) $-S(O)_2$ aryl,

(xv) $-NH-R^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, alkenyl, aryl, aralkyl, heteroaryl, heteroaralkyl,

$\begin{array}{c} \text{---C---R}^7 \\ \parallel \\ \text{Q}_1 \end{array}$, wherein R⁷ is optionally substituted group selected from alkyl, alkoxy, cycloalkyl, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, and Q₁ represents oxygen or sulfur;

(xvi) -N-[alkyl]₂,

(xvii) -N(R'R''), wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

(xviii) -SR⁷, wherein R⁷ is as defined above;

(xix) $\begin{array}{c} \text{---C---R}^7 \\ \parallel \\ \text{Q}_2 \end{array}$, wherein R⁷ is as defined above; or

(xx) $\begin{array}{c} \text{---O---C---R}^7 \\ \parallel \\ \text{Q}_3 \end{array}$, wherein Q₃ represents oxygen or sulfur, R⁷ is as defined above;

(c) $\begin{array}{c} \text{---C---R}^6 \\ \parallel \\ \text{NR} \end{array}$

wherein R represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, aryl or aralkyl;

R⁶ represents optionally substituted groups selected from

- (i) alkyl,
- (ii) cycloalkyl,
- (iii) alkoxy,
- (iv) cycloalkoxy,
- (v) alkenyl,
- (vi) alkenyloxy,
- (vii) aryl,
- (viii) aryloxy,
- (ix) heteroaryl,
- (x) heteroaryloxy,
- (xi) -NH-R⁸, where R⁸ represents hydrogen or optionally substituted alkyl,
- (xii) -N-[alkyl]₂;

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents =O,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) =O,
- (ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxycarbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,

- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
- (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

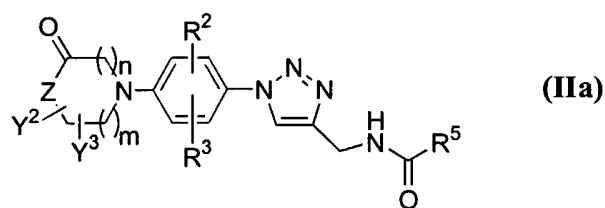
$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3; and

n represents 1-3.

2. The compound of formula (I) as claimed in claim 1, is represented by compound of formula (IIa)



where R^5 represents

(i) hydrogen,

optionally substituted groups selected from

(ii) alkyl,

(iii) cycloalkyl,

(iv) alkoxy,

(v) cycloalkoxy,

(vi) alkenyl,

(vii) alkenyloxy,

(viii) aryl,

(ix) heteroaryl,

(x) $-NH-R^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl or cycloalkyl

(xi) $-N-[alkyl]_2$,

(xii) $-N(R'R'')$, wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

Y^2 and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent hydrogen, =O, =S, alkyl or hydroxyalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen or haloalkyl;

m represents 0-3, n represents 1-3;

Z represents

(i) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxycarbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl,

dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

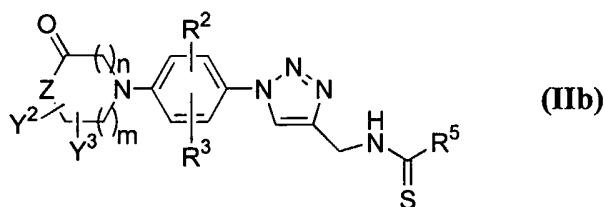
3. The compound of formula (IIa) as claimed in claim 2, where R^5 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, $-NH-R^6$ where in R^6 represents hydrogen, alkyl or cycloalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen;

Z represents $-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(CH_2)_p-O-CO-(CH_2)_q-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

4. The compound formula (I) as claimed in claim 1, is represented by compound of formula (IIb)



where R^5 represents

(i) hydrogen,

optionally substituted groups selected from

- (ii) alkyl,
- (iii) cycloalkyl,
- (iv) alkoxy,
- (v) cycloalkoxy,
- (vi) alkenyl,
- (vii) alkenyloxy,
- (viii) aryl,
- (ix) heteroaryl,
- (x) $-NH-R^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl or cycloalkyl
- (xi) $-N-[alkyl]_2$,
- (xii) $-N(R'R'')$, wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;

Y^2 and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent hydrogen, =O, =S, alkyl or hydroxyalkyl;

R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen or haloalkyl;

m represents 0-3, n represents 1-3;

Z represents

- (i) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(\text{CH}_2)_q\text{-O-CO-(CH}_2)_r\text{-R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

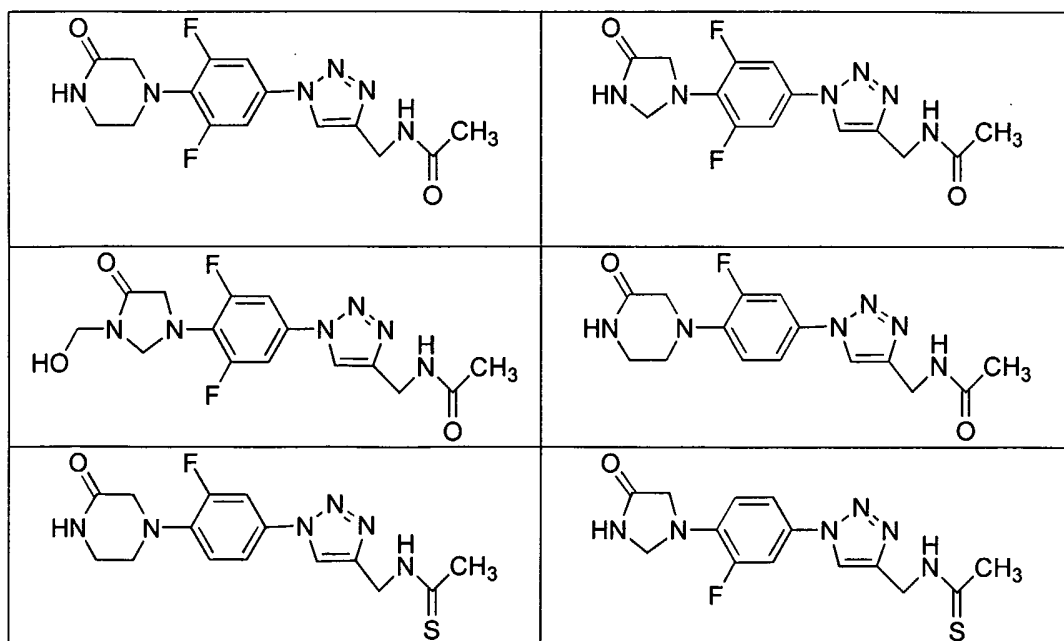
5. The compound as claimed in claim 4, where R^5 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, $-\text{NH-R}^6$ where in R^6 represents hydrogen, alkyl or cycloalkyl;

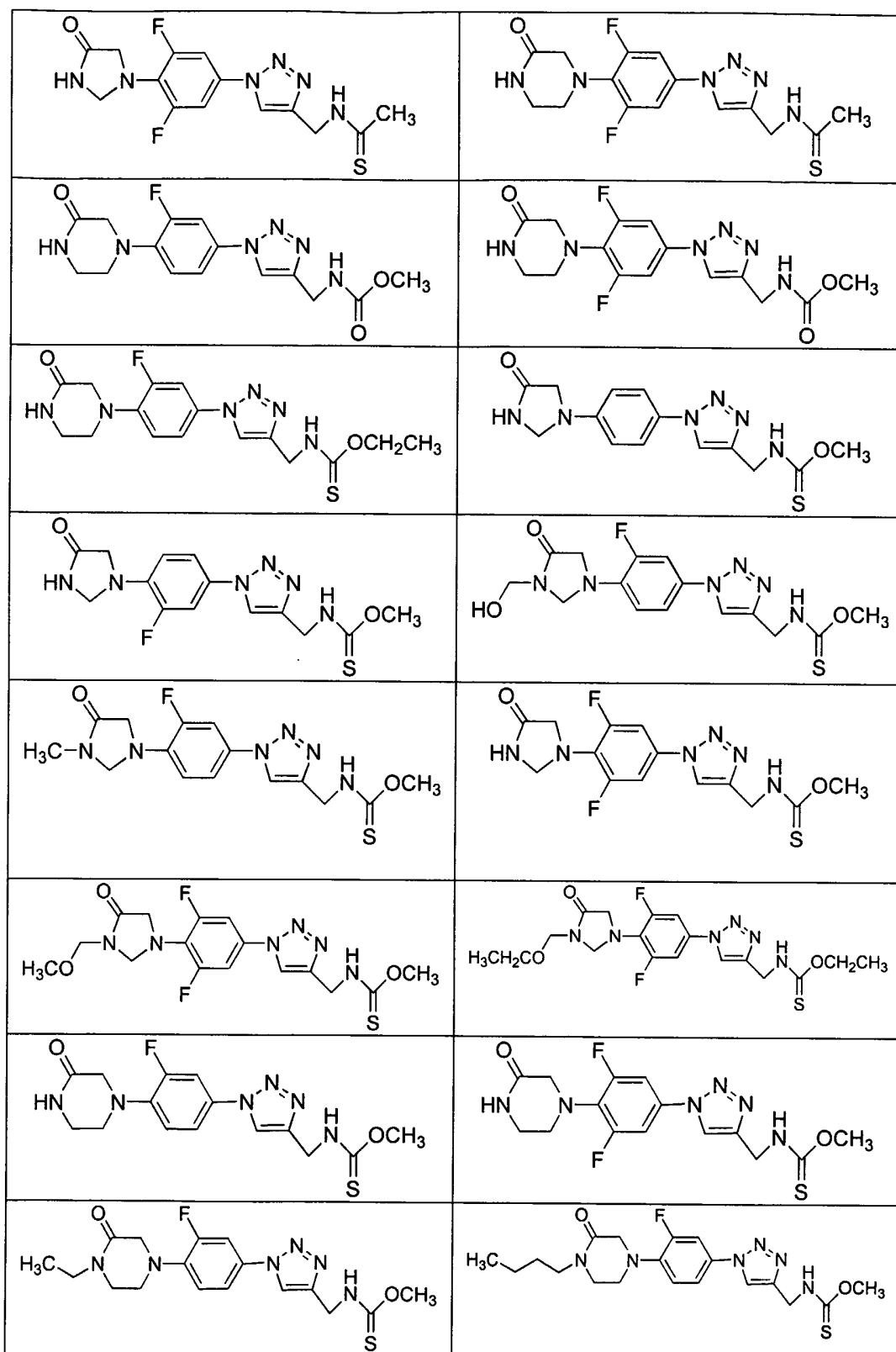
R^2 , and R^3 at each occurrence are the same or different and are selected from hydrogen, halogen;

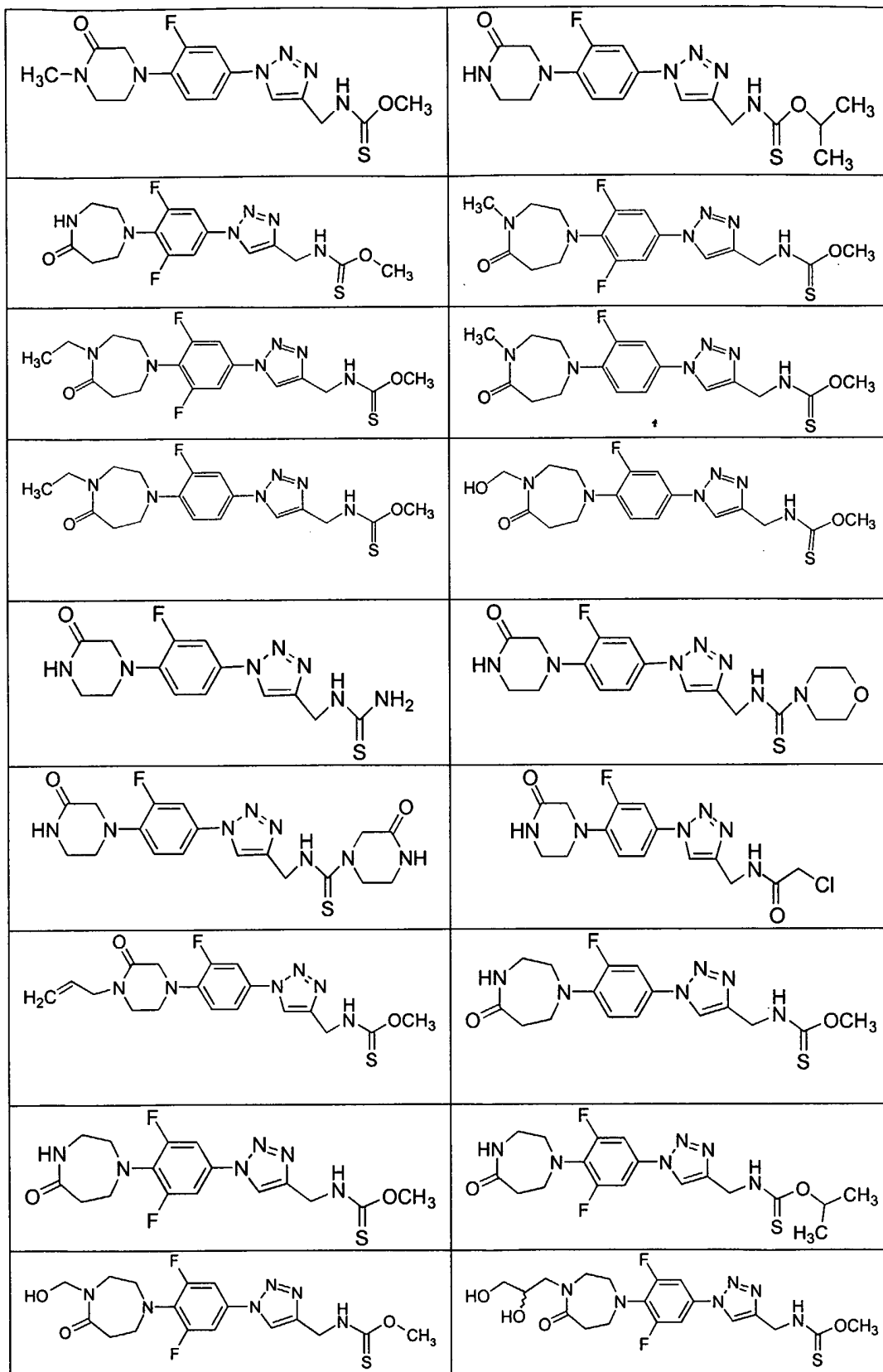
Z represents $-(\text{CH}_2)_p\text{-NR}^{10}\text{R}^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

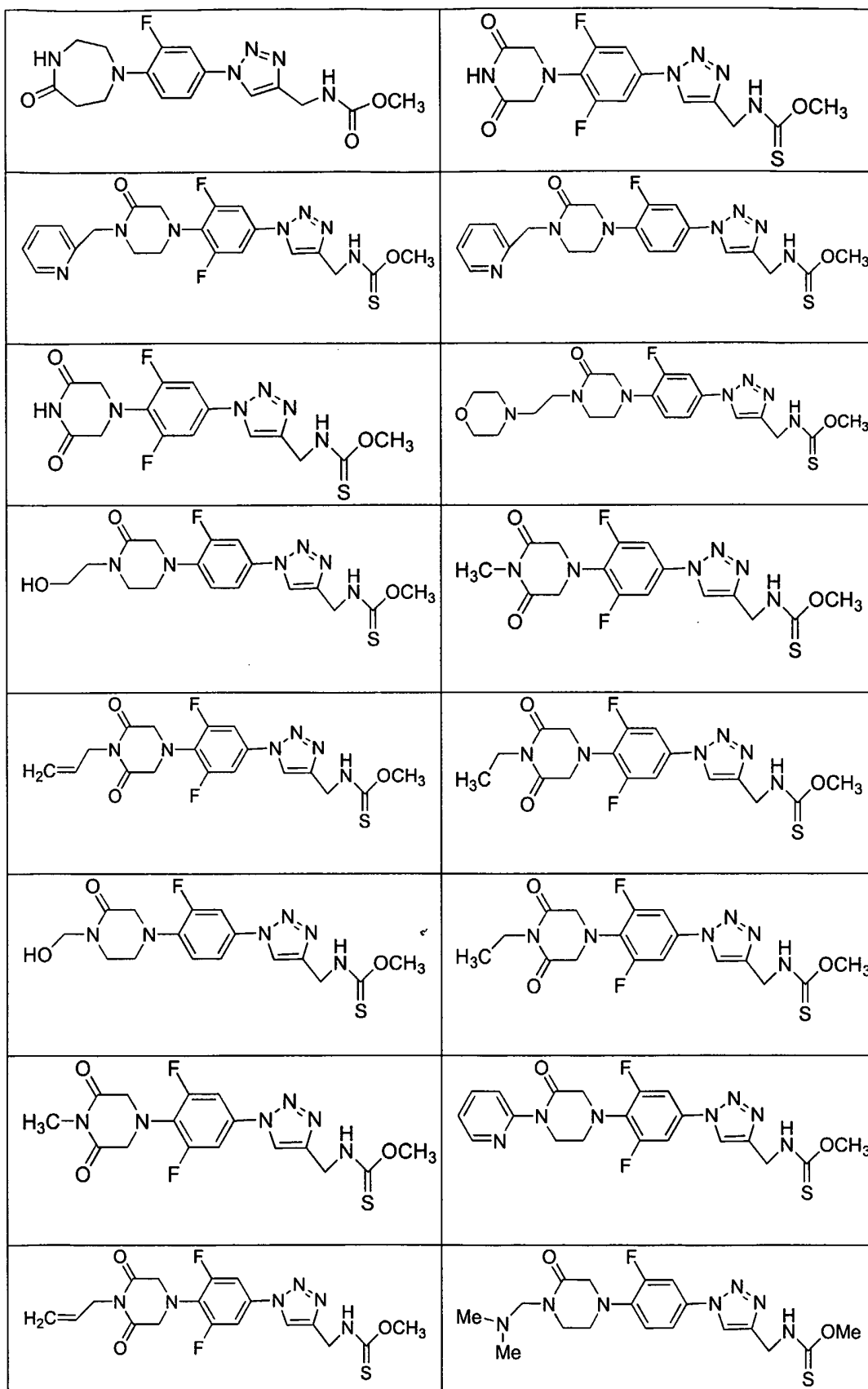
$-(\text{CH}_2)_q\text{-O-CO-(CH}_2)_r\text{-R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl.

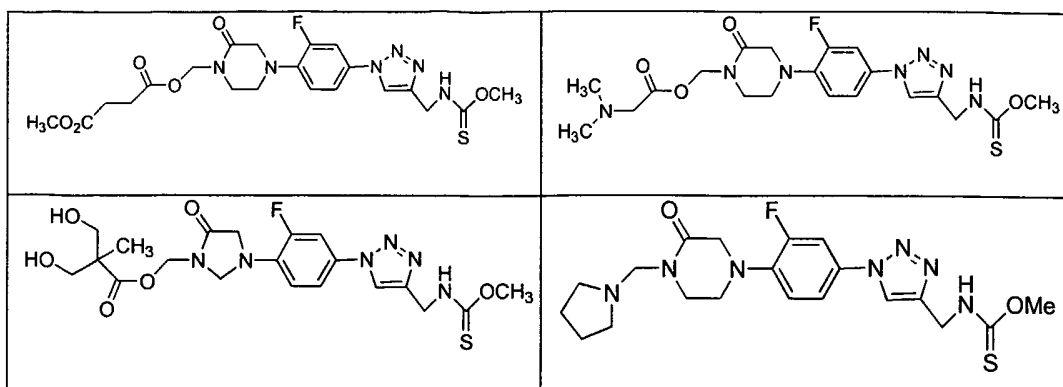
6. The compound of the formula (I) as claimed in claim 1 is



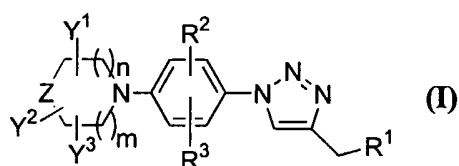








7. A process for the preparation of the compound of formula (I)



where R^1 represents NHR^4 wherein R^4 represents hydrogen atom,
 R^2 and R^3 at each occurrence are the same or different and they are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents $=\text{O}$,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,

- (vi) hydroxy,
- (vii) amino,
- (viii) =O,
- (ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxy carbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
 - (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;
- $-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl,

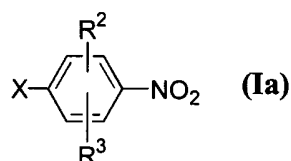
heterocyclalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

$-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r indendently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

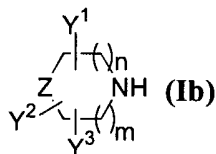
m represents 0-3; and

n represents 1-3; which comprises:

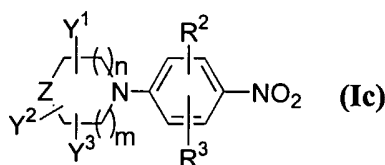
(i) reacting the compound of formula (Ia)



where X represents halogen atom, R^2 and R^3 are as defined above, with a compound of formula (Ib)

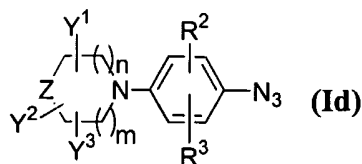


where all symbols are as defined above, to obtain a compound of formula (Ic)



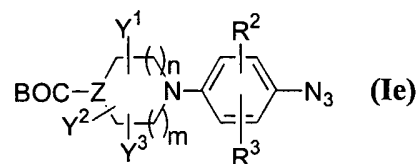
where all symbols are as defined above,

(ii) converting the compound of formula (Ic) to a compound of formula (Id)



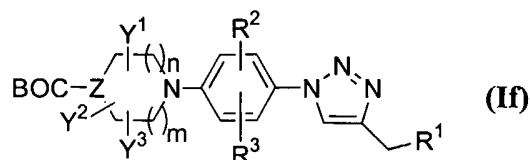
where all symbols are as defined above,

(iii) converting the compound of formula (Id) to a compound of formula (Ie)



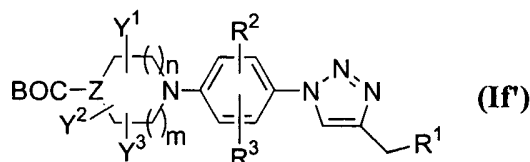
where 'BOC' represents *tert*-butoxycarbonyl, and all other symbols are as defined above,

(iv) converting the compound of formula (Ie) to a compound of formula (If)



where R¹ represents hydroxy group and all other symbols are as defined above,

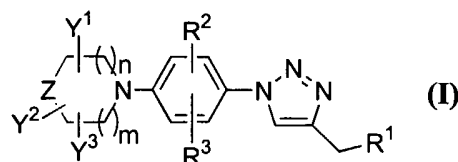
(v) converting the compound of formula (If) to a compound of formula (If')



where R¹ represents azido group and all other symbols are as defined above and

(vi) converting the compound of formula (If') to a compound of formula (I), where R¹ represents azido group followed by compound of formula (I) where R¹ represents amino group and all other symbols are as defined above.

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



where

(a) R¹ represents NHR⁴, where R⁴ represents -C(=O)-R^{4a} wherein R^{4a} represents substituted or unsubstituted (C₁-C₁₀)alkyl, (C₁-C₁₀)alkoxy, (C₂-C₁₀)alkenyl, halo(C₁-C₁₀)alkyl, aryl, aryloxy, heteroaryl, (C₂-C₁₀)alkenyloxy, (C₁-C₁₀)alkylcarbonyl, arylcarbonyl, aryloxy carbonyl, (C₁-C₁₀)alkoxycarbonyl, (C₁-C₁₀)alkylthiocarbonyl, (C₁-C₁₀)arylthiocarbonyl, (C₃-C₁₀)cycloalkyl, (C₃-C₁₀)cycloalkoxy, heteroaryloxy, (C₃-C₁₀)cycloalkyl-C(=O)-, (C₃-C₁₀)cycloalkoxy-C(=O)-, (C₃-C₁₀)alkenyloxy-C(=O)-, heteroaryl-C(=O)-, heteroaryloxy-C(=O)-, (C₃-C₁₀)cycloalkyl-C(=S)-, (C₁-C₁₀)alkoxythiocarbonyl, (C₃-C₁₀)cycloalkoxy-C(=S)-, (C₁-C₁₀)alkenyloxy-C(=S)-, heteroaryl-C(=S)- or heteroaryloxy-C(=S)-,

- (b) R^1 represents NHR^4 , where R^4 represents substituted or unsubstituted $-C(=S)-OR^{4b}$, wherein R^{4b} represents (C_1-C_{10}) alkyl, (C_3-C_{10}) cycloalkyl, aryl or (C_2-C_{10}) alkenyl group,
- (c) R^1 represents NHR^4 , where R^4 represents substituted or unsubstituted $-C(=S)-SR^{4c}$, wherein R^{4c} represents $-(C_1-C_{10})$ alkyl group, $-(C_3-C_{10})$ cycloalkyl, aryl, aralkyl, heteroaryl,
- (d) R^1 represents NHR^4 , wherein R^4 represents substituted or unsubstituted $-C(=S)-NHR^{4d}$, wherein R^{4d} represents $-C(=O)$ -aryl group, $-C(=O)-(C_1-C_{10})$ alkyl, $-C(=O)$ -aryloxy, $-C(=O)-(C_1-C_{10})$ alkoxy, $-C(=O)-(C_3-C_{10})$ cycloalkyl, $-C(=O)-(C_1-C_{10})$ aralkyl, $-C(=O)$ -heteroaryl or $-C(=O)$ -heteroaryloxy,
- (e) R^1 represents NHR^4 , where R^4 represents substituted or unsubstituted $-C(=NH)-NH_2$, $-C(=NH)-NH(C_1-C_{10})$ alkyl, $-C(=NH)-[(C_1-C_{10})alkyl]_2$,
- (f) R^1 represents NHR^4 , where R^4 represents $-S(O)_2(C_1-C_{10})$ alkyl or $-S(O)_2$ aryl,
- (g) R^1 represents NHR^4 , where R^4 represents substituted or unsubstituted $-C(=O)$ -heteroaryl group;

and in all the above processes,

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents $=O$,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,

- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) =O,
- (ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxycarbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
- (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxycarbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(\text{CH}_2)_p-\text{NR}^{10}\text{R}^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

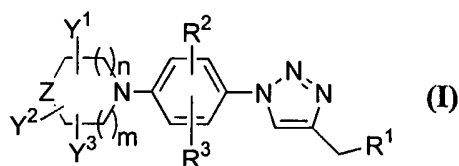
$-(\text{CH}_2)_q-\text{O}-\text{CO}-(\text{CH}_2)_r-\text{R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3; and

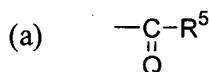
n represents 1-3;

which comprises: using compound of formula (I), where R^1 represents NHR^4 wherein R^4 represents hydrogen atom and all other symbols are as defined above, under various reaction conditions.

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



where R^1 represents NHR^4 wherein R^4 represents hydrogen,



where

Q represents oxygen or sulfur,

R^5 represents

(i) hydrogen,

Optionally substituted groups selected from

(ii) alkyl,

(iii) cycloalkyl,

(iv) alkoxy,

(v) cycloalkoxy,

- (vi) alkenyl,
- (vii) alkenyloxy,
- (viii) aryl,
- (ix) aryloxy,
- (x) heteroaryl,
- (xi) heterocyclyl,
- (xii) heteroaryloxy,
- (xiii) $-\text{NH}-\text{R}^6$, where R^6 represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, alkenyl, aryl, aralkyl, heteroaryl, heteroaralkyl,

$-\overset{\text{O}}{\underset{\text{Q}_1}{\parallel}}\text{C}-\text{R}^7$, wherein R^7 is optionally substituted group selected from alkyl, alkoxy, cycloalkyl, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, and Q_1 represents oxygen or sulfur;

- (xiv) $-\text{N}[\text{alkyl}]_2$,
- (xv) $-\text{N}(\text{R}'\text{R}'')$, wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;
- (xvi) $-\text{SR}^7$, wherein R^7 is as defined above;

(xvii) $-\overset{\text{O}}{\underset{\text{Q}_2}{\parallel}}\text{C}-\text{R}^7$, where R^7 is as defined above, Q_2 represents oxygen or sulfur; or

(xviii) $-\text{O}-\overset{\text{O}}{\underset{\text{Q}_3}{\parallel}}\text{C}-\text{R}^7$, where Q_3 represents oxygen or sulfur, R^7 is as defined above;

(b) $-\overset{\text{O}}{\underset{\text{NR}}{\parallel}}\text{C}-\text{R}^6$

where R represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, aryl or aralkyl;

R^6 represents optionally substituted groups selected from

- (i) alkyl,
- (ii) cycloalkyl,
- (iii) alkoxy,
- (iv) cycloalkoxy,

- (v) alkenyl,
- (vi) alkenyloxy,
- (vii) aryl,
- (viii) aryloxy,
- (ix) heteroaryl,
- (x) heteroaryloxy,
- (xi) -NH-R^{10} , where R^{10} represents hydrogen or optionally substituted -alkyl,
- (xii) -N-[alkyl]_2 ;

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents $=\text{O}$,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) $=\text{O}$,
- (ix) $=\text{S}$,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxycarbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

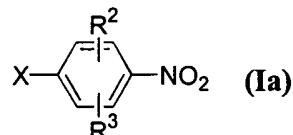
Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
 - (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxycarbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;
- $-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

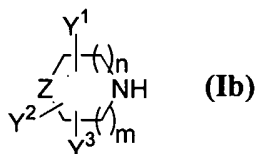
$-(\text{CH}_2)_q-\text{O}-\text{CO}-(\text{CH}_2)_r-\text{R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

which comprises:

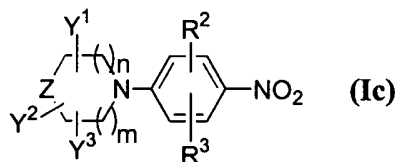
- (i) reacting the compound of formula (Ia)



where X represents halogen atom, R^2 and R^3 are as defined above, with a compound of formula (Ib)

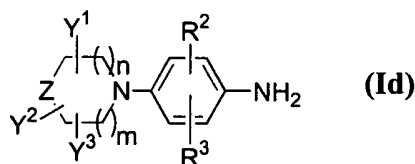


where Z represents $\text{C}(=\text{O})$; m represents 0 or 1, n represents 1 and other symbols are as defined above, to obtain a compound of formula (Ic)



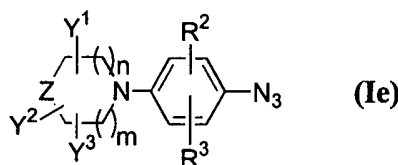
where all symbols are as defined above,

- (ii) converting the compound of formula (Ic), to a compound of formula (Id)



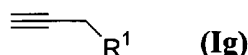
where all symbols are as defined above,

- (iii) converting the compound of formula (Id) to a compound of formula (Ie),



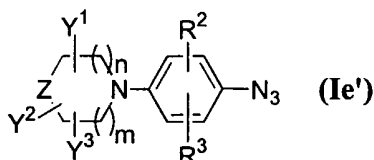
where all symbols are as defined above,

(iv) reacting the compound of formula (Ie) with a compound of formula (Ig)

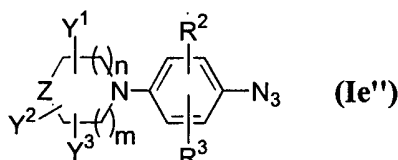


to obtain a compound of formula (I), where all symbols are as defined above.

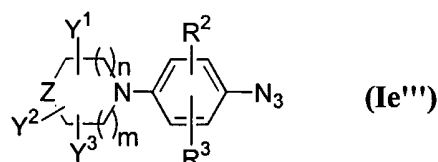
Optionally, the compound of formula (Ie) is converted to a compound of formulae (Ie'), (Ie'') or (Ie''')



where m, n represent 1, Z represents =NOR where R represents hydrogen atom and all other symbols are as defined above,



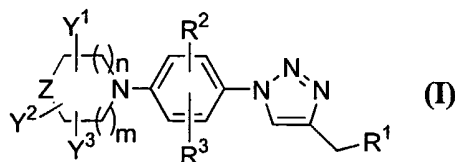
where Z represents NR^b where R^b represents hydrogen atom, m represents 1, n represents 2 and all other symbols are as defined above,



where Z represents NR^b where R^b is as defined above (not hydrogen atom), 'm' represents 1, 'n' represents 2, and all other symbols are as defined above,

which are treated with a compound of formula (Ig) to obtain a compound of formula (I).

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



where R¹ represents NHR⁴, where R⁴ represents optionally substituted -C(=S)-R^{4e}, wherein R^{4e} represents (C₁-C₁₀)alkyl, halo(C₁-C₁₀)alkyl, (C₃-C₁₀)cycloalkyl, (C₂-C₁₀)alkenyl, aralkyl, aryl, heteroaryl;

R² and R³ at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y¹ represents =O,

Y², and Y³ may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) =O,
- (ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxycarbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,

- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
- (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;

$-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

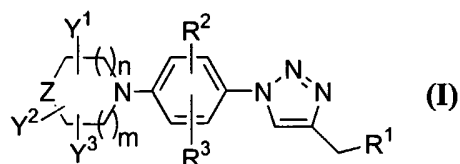
$-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3; and

n represents 1-3;

which comprises: converting a compound of formula (I), where R^1 represents NHR^4 , where R^4 represents optionally substituted $-C(=O)-R^{4e}$, wherein R^{4e} represents (C_1-C_{10}) alkyl, halo (C_1-C_{10}) alkyl, (C_3-C_{10}) cycloalkyl, (C_2-C_{10}) alkenyl, aralkyl, aryl, heteroaryl.

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



where R^1 represents NHR^4 , where R^4 represents optionally substituted groups selected from - $C(=S)-NH_2$, - $C(=S)-NH-(C_1-C_{10})alkyl$, - $C(=S)-N-((C_1-C_{10})alkyl)_2$, - $C(=S)-NH-(C_3-C_{10})cycloalkyl$, - $C(=S)-NH-(C_1-C_{10})alkoxy$, - $C(=S)-NH-(C_3-C_{10})cycloalkoxy$, - $C(=S)-NH-aryl$, - $C(=S)-NH-heteroaryl$, - $C(=S)-NH-(C_2-C_{10})alkenyl$, - $C(=S)-NH-aralkyl$, - $C(=S)-NH-heteroaralkyl$ or - $C(=S)-N(R'R'')$, wherein R' and R'' groups together form a optionally substituted 5 or 6 membered cyclic structures containing nitrogen and optionally one or two additional hetero atoms selected from oxygen, nitrogen or sulfur;

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents =O,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) =O,

(ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxycarbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
 - (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxycarbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;
- $-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;

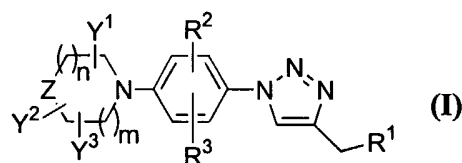
$-(\text{CH}_2)_q-\text{O}-\text{CO}-(\text{CH}_2)_r-\text{R}^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3; and

n represents 1-3;

which comprises: converting a compound of formula (I), where R^1 represents isothiocyanate group.

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



where R^1 represents where R^1 represents NHR^4 wherein R^4 represents

(a) hydrogen,

(b)
$$\begin{array}{c} \text{—C—R}^5 \\ \parallel \\ \text{Q} \end{array}$$

where

Q represents oxygen or sulfur,

R^5 represents

(i) hydrogen,

Optionally substituted groups selected from,

(ii) alkyl,

(iii) cycloalkyl,

(iv) alkoxy,

(v) cycloalkoxy,

(vi) alkenyl,

(vii) alkenyloxy,

(viii) aryl,

(ix) aryloxy,

(x) heteroaryl,

(xi) heterocyclyl,

- (xii) heteroaryloxy,
 (xiii) -S(O)₂alkyl,
 (xiv) -S(O)₂aryl,
 (xv) -NH-R⁶, where R⁶ represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, alkenyl, aryl, aralkyl, heteroaryl, heteroaralkyl,

$\begin{array}{c} -\text{C}-\text{R}^7 \\ \parallel \\ \text{Q}_1 \end{array}$, wherein R⁷ is optionally substituted group selected from alkyl, alkoxy, cycloalkyl, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, and Q₁ represents oxygen or sulfur;

- (xvi) -N-[alkyl]₂,
 (xvii) -N(R'R''), wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;
 (xviii) -SR⁷, wherein R⁷ is as defined above;

(xix) $\begin{array}{c} -\text{C}-\text{R}^7 \\ \parallel \\ \text{Q}_2 \end{array}$, wherein R⁷ is as defined above; or

(xx) $\begin{array}{c} -\text{O}-\text{C}-\text{R}^7 \\ \parallel \\ \text{Q}_3 \end{array}$, wherein Q₃ represents oxygen or sulfur, R⁷ is as defined above;

(c) $\begin{array}{c} -\text{C}-\text{R}^6 \\ \parallel \\ \text{NR} \end{array}$

wherein R represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, aryl or aralkyl;

R⁶ represents optionally substituted groups selected from

- (i) alkyl,
- (ii) cycloalkyl,
- (iii) alkoxy,
- (iv) cycloalkoxy,
- (v) alkenyl,
- (vi) alkenyloxy,
- (vii) aryl,
- (viii) aryloxy,

- (ix) heteroaryl,
- (x) heteroaryloxy,
- (xi) $-\text{NH}-\text{R}^8$, where R^8 represents hydrogen or optionally substituted alkyl,
- (xii) $-\text{N}[\text{alkyl}]_2$;

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents $=\text{O}$,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) $=\text{O}$,
- (ix) $=\text{S}$,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,

- (iii) cycloalkyl,
- (iv) alkoxy,
- (v) cycloalkoxy,
- (vi) alkenyl,
- (vii) alkenyloxy,
- (viii) aryl,
- (ix) aryloxy,
- (x) heteroaryl,
- (xi) heterocyclyl,
- (xii) heteroaryloxy,
- (xiii) -S(O)₂alkyl,
- (xiv) -S(O)₂aryl,
- (xv) -NH-R⁶, where R⁶ represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, alkenyl, aryl, aralkyl, heteroaryl, heteroaralkyl,

$$\begin{array}{c} \text{---C---R}^7 \\ \parallel \\ \text{Q}_1 \end{array}$$
 , wherein R⁷ is optionally substituted group selected from alkyl, alkoxy, cycloalkyl, alkenyl, alkenyloxy, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, and Q₁ represents oxygen or sulfur;

- (xvi) -N-[alkyl]₂,
- (xvii) -N(R'R''), wherein R' and R'' together form a optionally substituted 5 or 6 member heterocycle ring containing nitrogen and optionally having one or two additional hetero atoms selected from O, S or N;
- (xviii) -SR⁷, wherein R⁷ is as defined above;

(xix)
$$\begin{array}{c} \text{---C---R}^7 \\ \parallel \\ \text{Q}_2 \end{array}$$
 , wherein R⁷ is as defined above; or

(xx)
$$\begin{array}{c} \text{---O---C---R}^7 \\ \parallel \\ \text{Q}_3 \end{array}$$
 , wherein Q₃ represents oxygen or sulfur, R⁷ is as defined above;

(c)
$$\begin{array}{c} \text{---C---R}^6 \\ \parallel \\ \text{NR} \end{array}$$

wherein R represents hydrogen, optionally substituted groups selected from alkyl, cycloalkyl, aryl or aralkyl;

R⁶ represents optionally substituted groups selected from

- (i) alkyl,
- (ii) cycloalkyl,
- (iii) alkoxy,
- (iv) cycloalkoxy,
- (v) alkenyl,
- (vi) alkenyloxy,
- (vii) aryl,
- (viii) aryloxy,
- (ix) heteroaryl,
- (x) heteroaryloxy,
- (xi) -NH-R⁸, where R⁸ represents hydrogen or optionally substituted alkyl,
- (xii) -N-[alkyl]₂;

R² and R³ at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y¹ represents =O,

Y², and Y³ may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,

- (vi) hydroxy,
- (vii) amino,
- (viii) =O,
- (ix) =S,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,
- (xiii) alkoxyacetyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

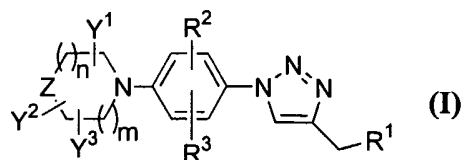
Z represents NR^b wherein R^b represents optionally substituted alkyl or aralkyl;

m represents 0-3; and

n represents 1-3.

which comprises: converting a compound of formula (I), where where Z represents NR^b wherein R^b represents hydrogen, Y^1 represents '=O' group, Y^2 and Y^3 independently represent hydrogen atom and all other symbols are as defined above.

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



where R^1 represents NHR^4 , where R^4 represents $-C(=S)-N(R'R'')$, where R' represents hydrogen, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, optionally substituted aralkyl, heteroaralkyl, hydroxy (C_1-C_6) alkyl and R'' represents hydrogen or alkyl or the two R' and R'' groups together form a 5 or 6 membered cyclic structures containing one or two hetero atoms selected from oxygen, sulfur or nitrogen;

R^2 and R^3 at each occurrence are the same or different and are

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) amino

Optionally substituted groups selected from

- (vi) alkyl,
- (vii) haloalkyl,
- (viii) OR^a where R^a represents hydrogen or optionally substituted alkyl group;

Y^1 represents $=O$,

Y^2 , and Y^3 may be present on any of the carbon atoms of the heterocyclic ring and are independently represent

- (i) hydrogen,
- (ii) halogen,
- (iii) cyano,
- (iv) nitro,
- (v) formyl,
- (vi) hydroxy,
- (vii) amino,
- (viii) $=O$,
- (ix) $=S$,

Optionally substituted groups selected from

- (x) alkyl,
- (xi) hydroxyalkyl,
- (xii) alkoxyalkyl,

- (xiii) alkoxy carbonyl,
- (xiv) carboxyalkyl,
- (xv) alkylsulfonyl,
- (xvi) aminoalkyl,
- (xvii) monoalkylamino,
- (xviii) dialkylamino,
- (xix) arylamino,
- (xx) alkoxy,
- (xxi) aryl,
- (xxii) aryloxy,
- (xxiii) aralkyl or
- (xxiv) heteroaryl,

Z represents

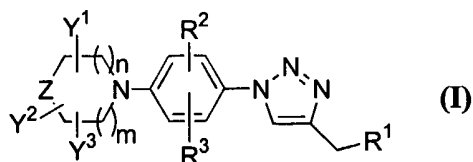
- (i) $-C(=NOR^9)$ where R^9 represents alkyl, haloalkyl, hydroxyalkyl, aryl or aralkyl group;
 - (ii) $-NR^b$ where R^b represents hydrogen, hydroxy, or optionally substituted groups selected from alkyl, alkenyl, cycloalkyl, alkoxy, hydroxyalkyl, dihydroxyalkyl, alkylcarbonyl, alkoxy carbonyl, alkoxyalkyl, carboxyalkyl, alkylsulfonyl, arylsulfonyl, alkylcarbonylaminoalkyl, arylcarbonylaminoalkyl, alkylcarbonyloxyalkyl, aminoalkyl, monoalkylaminoalkyl, dialkylaminoalkyl, monoalkylamino, dialkylamino, arylamino, aryl, heteroaryl, heterocyclyl, aralkyl, heteroaralkyl, heterocyclylalkyl, carboxylic acid or its derivatives;
- $-(CH_2)_p-NR^{10}R^{11}$, where p represents 1 to 4, R^{10} and R^{11} independently represents hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, carboxyalkyl, alkoxyalkyl, aryl, heterocyclyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroaralkyl; R^{10} and R^{11} together form an optionally substituted 3-7 membered ring optionally containing one or more heteroatoms selected from oxygen, nitrogen or sulfur;
- $-(CH_2)_q-O-CO-(CH_2)_r-R^{12}$, where q, r independently represent 0-5, R^{12} represents amino, monoalkylamino, dialkylamino, optionally substituted alkyl where the substituents are selected from hydroxyl, alkyl, alkoxy, hydroxyalkyl, CO_2R^{13} where R^{13} represents hydrogen or alkyl,

m represents 0-3 and

n represents 1-3;

which comprises: converting a compound of formula (I), where R¹ represents isothiocyanate group and all other symbols are as defined above.

15. A pharmaceutical composition comprising a compound of formula (I)



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

16. The pharmaceutical composition as claimed in claim 15, in the form of a tablet, capsule, powder, syrup, solution or suspension.

17. A method of treating or preventing a bacterial infection comprising administering a therapeutically effective amount of a compound of formula (I) as claimed in claim 1, to a patient in need thereof.

18. A method of treating or preventing a bacterial infection comprising administering a therapeutically effective amount of a pharmaceutical composition as claimed in claim 15 or 16, to a patient in need thereof.

19. A pharmaceutical composition comprising a compound as claimed in claim 6 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

20. The pharmaceutical composition as claimed in claim 19, in the form of a tablet, capsule, powder, syrup, solution or suspension.

21. A method of treating or preventing a bacterial infection comprising administering a therapeutically effective amount of a compound of formula (I) as claimed in claim 6, to a patient in need thereof.

22. A method of treating or preventing a bacterial infection comprising administering a therapeutically effective amount of a pharmaceutical composition as claimed in claim 19 or 20, to a patient in need thereof.