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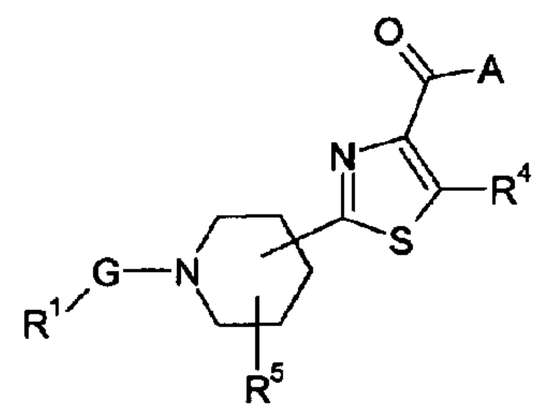
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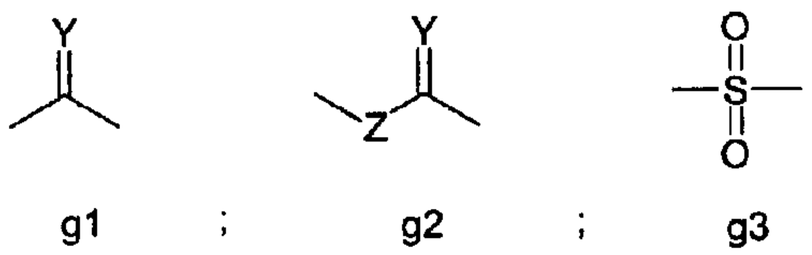
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(54) Title: THIAZOLYLPIPERIDINE DERIVATIVES AS MTP INHIBITORS



(I)



a1 ; a2 ;



g1 ; g2 ; g3 ;

(57) Abrégé/Abstract:
The present invention relates to thiazolylpiperidine derivatives of the general formula (I): in which: A represents a radical chosen from the radicals a1 and a2 below: G represents a bond or a divalent radical chosen from the groups g1, g2 and g3 below: and R^1, R^2, $R^{2'}$, R^3, R^4, R^5, Y and Z are as defined in the description. Application of the compounds of the formula (I) to the treatment of hyperÞtriglyceridaemia, hypercholesterolaemia and dyslipidaemia.

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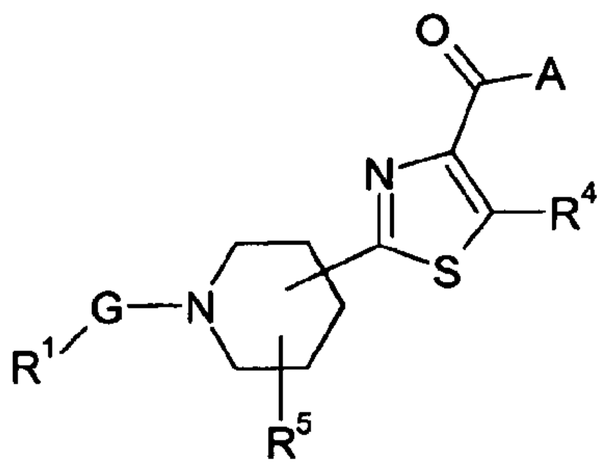
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(54) Title: THIAZOLYLPIPERIDINE DERIVATIVES AS MTP INHIBITORS

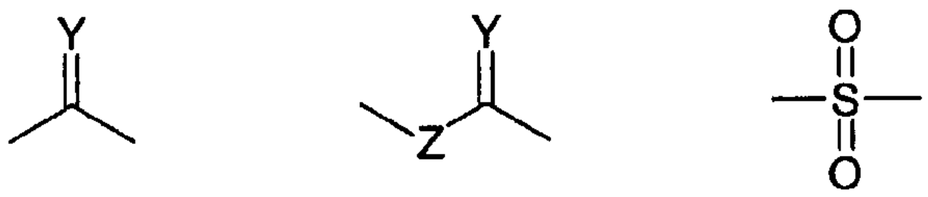
(57) Abstract: The present invention relates to thiazolylpiperidine derivatives of the general formula (I): in which: A represents a radical chosen from the radicals a1 and a2 below: G represents a bond or a divalent radical chosen from the groups g1, g2 and g3 below: and R^1, R^2, $R^{2'}$, R^3, R^4, R^5, Y and Z are as defined in the description. Application of the compounds of the formula (I) to the treatment of hypertriglyceridaemia, hypercholesterolaemia and dyslipidaemia.



(I)



a1 ; a2 ;



g1 ; g2 ; g3 ;

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DEMANDES OU BREVETS VOLUMINEUX

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CECI EST LE TOME __1___ DE __2 __

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JUMBO APPLICATIONS / PATENTS

THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE THAN ONE VOLUME.

THIS IS VOLUME __1___ OF __2___

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THIAZOLYLPIPERIDINE DERIVATIVES AS MTP INHIBITORS

[0001] The invention relates to compounds that are inhibitors of microsomal triglyceride transfer protein (MTP), to pharmaceutical compositions comprising same, and to the use thereof in medicine.

[0002] MTP (microsomal triglyceride transfer protein) is a transfer protein located in the reticulum of hepatocytes and enterocytes, which catalyses the assembly of biomolecules that transport triglycerides, the apo B lipoproteins.

[0003] The term apo B more particularly denotes apoprotein 48 of the intestine and apoprotein 100 of the liver.

[0004] Mutations in MTP or in the B apoproteins are reflected in man by very low levels or even an absence of apo B lipoproteins. The lipoproteins containing apo B (chylomicrons, very low density lipoproteins) and their metabolic residues (chylomicron remnants, low density lipoproteins) are recognized as being a major risk factor in the development of atherosclerosis, a major cause of death in industrialized countries. It is observed that, in individuals who are heterozygous for these mutations, levels reduced on average by a half are associated with a low cardiovascular risk (C.J. Glueck, P.S. Gartside, M.J. Mellies, P.M. Steiner, *Trans. Assoc. Am. Physicians*, **90**, 184 (1977)). This suggests that modulation of the secretions of triglyceride-rich lipoproteins by means of MTP antagonists and/or of secretion of apo B might be useful in the treatment of atherosclerosis and more broadly of pathologies characterized by an increase in apo B lipoproteins.

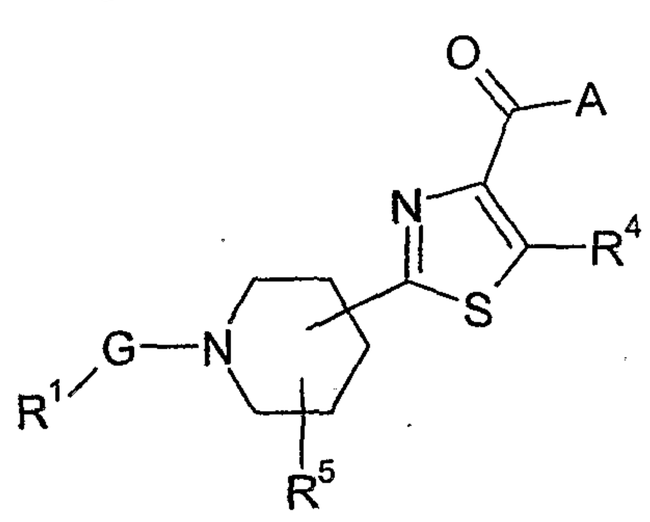
[0005] Molecules that inhibit MTP and/or the secretion of apo B might thus be useful for the treatment of hypertriglyceridaemia, hypercholesterolaemia and

dyslipidaemia associated with diabetes, and also for the prevention of and treating obesity.

[0006] It has now been discovered that certain compounds of thiazolylpiperidine structure have inhibitory properties towards *MTP and/or apoB* secretion.

[0007] As a result of this activity, these compounds have an entirely advantageous possible application in the treatment of hypertriglyceridaemia, hypercholesterolaemia and dyslipidaemia associated with diabetes, and also with the prevention and treatment of obesity.

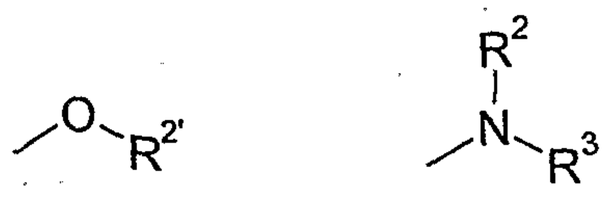
[0008] Thus, the present invention relates firstly to compounds of thiazolylpiperidine structure of the general formula (I):



(I)

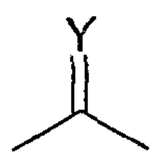
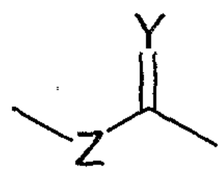
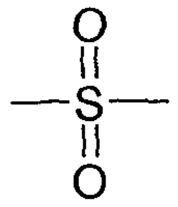
in which:

- A represents a radical chosen from radicals a1 and a2 below:



a1 ; a2 ;

- G represents a divalent bond or radical chosen from groups g1, g2 and g3 below:

g1 ; g2 ; g3 ;

- R^1 is chosen from hydrogen and an alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylcarbonyl or alkoxycarbonyl radical;
- R^2, $R^{2'}$ and R^3, which may be identical or different, are chosen, independently of each other, from a hydrogen atom, an alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl radical and a radical -NRR'; or
- R^2 and R^3 together form, with the nitrogen atom that bears them, a heterocycle;
- R^4 and R^5, which may be identical or different, are chosen, independently of each other, from a hydrogen atom, an alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl radical and a radical -NRR';
- R and R', which may be identical or different, represent, independently of each other, a hydrogen atom or a radical chosen from alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl; or together form, with the nitrogen atom that bears them, a heterocycle, or together form the double bond of an alken-1-yl radical;
- Y represents an oxygen or sulfur atom; and
- Z represents -NH- or an oxygen atom;

the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and possible oxidized forms, especially amine oxides, thereof, the solvates and the hydrates of these compounds;

and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0009] The definitions that follow specify the natures of the various groups and radicals defined above. Unless otherwise mentioned, these definitions apply for all the terms of the present invention thus explained.

[0010] The term "halogen atom" denotes a fluorine, chlorine, bromine or iodine atom.

[0011] The term "alkyl" denotes a linear or branched alkyl radical containing from 1 to 12 carbon atoms, optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (where R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0012] Examples of alkyl radicals, which may be optionally substituted as indicated above, are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *tert*-butyl, pentyl, isopentyl, neopentyl, 2-methylbutyl, 1-ethylpropyl, hexyl, isohexyl, neohexyl, 1-methylpentyl, 3-methylpentyl, 1,1-dimethylbutyl, 1,3-dimethylbutyl, 1-ethylbutyl, 1-methyl-1-ethylpropyl, heptyl, 1-methylhexyl, 1-propylbutyl, 4,4-dimethylpentyl, octyl, 1-methylheptyl, 2-methylhexyl, 5,5-dimethylhexyl, nonyl, decyl, 1-methylnonyl, 3,7-dimethyloctyl and 7,7-dimethyloctyl.

[0013] The term "alkenyl" denotes a linear or branched alkyl radical comprising at least one unsaturation in double bond form and containing from 2 to 12 carbon atoms, optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)-alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0014] Examples of alkenyl radicals, which may be optionally substituted as indicated above, are ethylenyl, propenyl, propadienyl, butenyl, butadienyl, pentenyl, pentadienyl, hexenyl, hexadienyl, heptenyl, heptadienyl, octenyl, octadienyl, nonenyl, nonadienyl, decenyl and decadienyl, and also the branched isomers thereof, the absence of indication of the position of the double bond(s) being necessarily understood as meaning that no limitation is placed on the double bond(s). For example, the "pentenyl" radical includes, without preference, the pent-1-en-1-yl, pent-2-en-1-yl and pent-3-en-1-yl radicals, but also the pent-1-en-2-yl, pent-2-en-2-yl and pent-3-en-2-yl radicals, as well as the pent-1-en-3-yl, pent-2-en-3-yl and pent-3-en-3-yl radicals.

[0015] The term "alkynyl" denotes a linear or branched alkyl radical comprising at least one unsaturation in triple bond form and containing from 2 to 12 carbon atoms, optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl

(alkyl-S(=O)$_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0016] Examples of alkynyl radicals, which may be optionally substituted as indicated above, are ethynyl, propynyl, propadiynyl, butynyl, butadiynyl, pentynyl, pentadiynyl, hexynyl, hexadiynyl, heptynyl, heptadiynyl, octynyl, octadiynyl, nonynyl, nonadiynyl, decynyl and decadiynyl, and also the branched isomers thereof, the absence of indication of the position of the double bond(s) being necessarily understood as meaning that no limitation is placed on the double bond(s). For example, the "pentynyl" radical includes, without preference, the pent-1-yn-1-yl, pent-2-yn-1-yl and pent-3-yn-1-yl radicals, but also the pent-1-yn-2-yl, pent-2-yn-2-yl and pent-3-yn-2-yl radicals, as well as the pent-1-yn-3-yl, pent-2-yn-3-yl and pent-3-yn-3-yl radicals.

[0017] The term "cycloalkyl" denotes a monocyclic, bicyclic or tricyclic, bridged or unbridged cycloalkyl radical containing from 3 to 13 carbon atoms, optionally comprising one or more double bonds, also including spirane compounds, and optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkyl, especially substituted by one or more halogen atoms, in particular perhaloalkyl, for instance trifluoromethyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-S(=O)$_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkyl-

thio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0018] Examples of cycloalkyl groups, which are optionally substituted as indicated above, are especially cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl and cyclodecyl, adamantyl, diamantyl, norbornyl and bornyl groups.

[0019] The term "heterocycloalkyl" denotes a monocyclic, bicyclic or tricyclic radical containing a total of from 3 to 13 atoms, among which 1, 2, 3 or 4 are chosen, independently of each other, from nitrogen, oxygen and sulfur, the other atoms being carbon atoms, the said heterocyclic radical also optionally comprising 1, 2, 3 or 4 double bonds, also including spirane compounds, and being optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkyl, especially substituted by one or more halogen atoms, in particular perhaloalkyl, for instance trifluoromethyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0020] In particular, saturated or partially unsaturated, monocyclic heterocycles of 5 to 8 atoms are saturated, or partially unsaturated, derivatives of the heteroaryls defined later. More particularly, among the heterocycloalkyl radicals that may be mentioned are morpholino, morpholinyl, piperidyl, thiazolidinyl, oxazolidinyl, tetrahydrothienyl, tetrahydrofuryl, tetrahydropyranyl, pyrrolidinyl, isoxazolidinyl, imidazolidinyl and pyrazolidinyl radicals.

[0021] The term "aryl" denotes a monocyclic, bicyclic or tricyclic aryl radical containing from 6 to 14 carbon atoms, optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkyl, especially substituted by one or more halogen atoms, in particular perhaloalkyl, for instance trifluoromethyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, a phosphoric acid derivative [$(alkyl\text{-}O)_2$-P-O-alkyl], alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0022] Aryl radicals that may be mentioned, in a non-limiting manner, include phenyl, naphthyl, anthryl and phenanthryl radicals.

[0023] The term "heteroaryl" denotes a monocyclic, bicyclic or tricyclic aromatic radical containing a total of from 3 to 13 atoms, among which 1, 2, 3 or 4 are chosen, independently of each other, from nitrogen, oxygen and sulfur, optionally in oxidized form (in the case of nitrogen and sulfur), the other atoms being carbon atoms, the said heteroaryl radical being optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkyl, especially substituted by one or more halogen atoms, in particular perhaloalkyl, for instance trifluoromethyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkyl-

carbonylamino, alkoxycarbonylamino, arylcarbonyl, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroarylcarbonyl, heteroaryloxy or heteroarylthio radical.

[0024] Preferably, at least one of the monocycles constituting the heterocycle contains from 1 to 4 endocyclic hetero atoms and more preferably from 1 to 4 endocyclic hetero atoms. According to the invention, the heterocyclic polycyclic nucleus consists of one or more monocycles each containing from 5 to 8 atoms included in the ring.

[0025] Examples of heteroaryl radicals, optionally substituted as has just been described, are radicals derived from heteroaromatic compounds, such as pyridine, furan, thiophene, pyrrole, imidazole, thiazole, isothiazole, isoxazole, furazane, pyridazine, pyrimidine, pyrazine, thiazines, oxazole, pyrazole, oxadiazole, triazole and thiadiazole. Among the preferred heteroaryls that may be mentioned are pyridyls, pyrimidinyls, triazolyls, thiadiazolyls, oxazolyls, thiazolyls and thienyls.

[0026] Examples of bicyclic heteroaryl radicals in which each monocycle contains from 5 to 8 endocyclic atoms are derived from aromatic compounds chosen from indolizine, indole, isoindole, benzofuran, benzothiophene, indazole, benzimidazole, benzothiazole, benzofurazane, benzothiofurazane, purine, quinoline, isoquinoline, cinnoline, phthalazine, quinazoline, quinoxaline, naphthyridines, pyrazolotriazines, pyrazolopyrimidine and pteridine.

[0027] Among the heteroaryls defined above, quinolyl, pyridyl, benzotriazolyl, triazolyl, acridyl, phenazinyl and carbazolyl radicals are preferred.

[0028] When the radicals R^2 and R^3 form, together with the nitrogen atom that bears them, a heterocycle, the said heterocycle is a monocycle, bicycle or

tricycle containing a total of from 3 to 13 atoms including the nitrogen atom, among which 1, 2, 3 or 4 are chosen, independently of each other, from nitrogen, oxygen and sulfur, the other atoms being carbon atoms, the said heterocycle also optionally comprising 1, 2, 3 or 4 double bonds, also including spirane compounds, and being optionally substituted by one or more chemical species, which may be identical or different, chosen from a halogen atom, an oxo, thioxo, hydroxyl, thiol, -NRR' (in which R and R', which may be identical or different, are as defined above), cyano, nitro or carboxyl group, and an alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkyldisulfanyl (alkyl-S-S-), alkylsulfinyl (alkyl-S(=O)-), alkylsulfonyl (alkyl-$S(=O)_2$-), alkenylthio, alkynylthio, alkylcarbonyl, alkoxycarbonyl, alkylcarbonylamino, alkoxycarbonylamino, arylcarbonylamino, (di)alkylaminocarbonyl, cycloalkyl, cycloalkoxy, cycloalkylthio, heterocycloalkyl, heterocycloalkoxy, heterocycloalkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy or heteroarylthio radical.

[0029] For the compounds of the formula (I) presented above, the term "geometrical isomer" means a *cis/trans* or *E/Z* isomerism. More particularly, the possible double bond(s) present in the various substituents of the compounds of the general formula (I) can be of *E* or *Z* configuration. These pure or impure geometrical isomers, alone or as a mixture, form an integral part of the compounds of the formula (I).

[0030] The term "optical isomer" includes all the isomeric forms, alone or as mixtures, resulting from the presence of one or more axes and/or centres of symmetry in the molecule, and resulting in the rotation of a beam of polarized light. The term "optical isomer" more particularly includes enantiomers and disastereoisomers, in pure form or as a mixture.

[0031] The acids capable of forming pharmaceutically acceptable salts with the compounds of the formula (I) above are organic or mineral acids. Non-limiting examples that may be mentioned include hydrochloric acid, hydrobromic acid,

phosphoric acid, sulfuric acid, tartaric acid, citric acid, maleic acid, acetic acid, fumaric acid, alkanesulfonic acid, naphthalenesulfonic acid, *para*-toluenesulfonic acid, bis-trifluoroacetic acid and camphoric acid.

[0032] The bases capable of forming pharmaceutically acceptable salts with the compounds of the formula (I) above are mineral or organic bases. Among these bases, non-limiting examples that may be mentioned include sodium hydroxide, potassium hydroxide, ammonia, diethylamine, triethylamine, ethanolamine, diethanolamine, piperidine, piperazine, morpholine, basic amino acids, such as arginine and lysine, osamines, for example meglumine, and amino alcohols, such as 3-aminobutanol and 2-aminobutanol.

[0033] The invention especially covers the pharmaceutically acceptable salts, as indicated above, but also salts allowing a suitable separation or crystallization of the compounds of the formula (I), such as the salts obtained with chiral amines.

[0034] The compounds of the formula (I) above also comprise the prodrugs of these compounds.

[0035] The term "prodrugs" means compounds which, once administered to the patient, are chemically and/or biologically converted by the living body into compounds of the formula (I).

[0036] Among the compounds of the formula (I) according to the invention that are preferred are those for which the radical R^5 represents hydrogen,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0037] Preference is also given to the compounds of the formula (I) according to the invention for which the radical R^4 represents hydrogen,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0038] Another preferred group of compounds according to the present invention consists of compounds of the formula (I) in which the thiazolyl radical is branched in position 3 or in position 4 of the piperidine nucleus, preferably in position 4 of the piperidine nucleus.

[0039] Another preferred group of compounds according to the present invention consists of compounds of the general formula (I) in which G represents the radical g1, preferably in which Y represents an oxygen atom,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

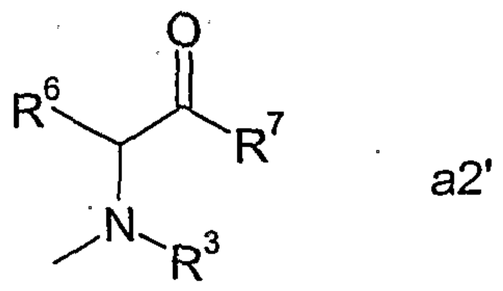
[0040] Another preferred group of compounds according to the present invention consists of compounds of the general formula (I) in which the radical R^4 represents hydrogen, the radical R^5 represents hydrogen, the thiazolyl radical is branched in position 4 of the piperidine nucleus, and G represents the radical g1 in which Y represents an oxygen atom,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;

and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0041] Another preferred group of compounds of the invention consists of compounds of the general formula (I) in which R^1 represents an aryl radical, especially phenyl, substituted by one or more aryl and/or alkyl radicals. The compounds of the general formula (I) in which R^1 represents a biphenyl radical, optionally substituted by one or more alkyl radicals, preferably methyl, ethyl or propyl, and/or with a perhaloalkyl or perhaloalkoxy radical, are most particularly preferred. The compounds of the general formula (I) in which R^1 represents a substituted biphenyl radical, for example a trifluoromethylbiphenyl or methyltrifluoromethoxybiphenyl radical, are more particular preferred.

[0042] Among the compounds of the general formula (I), another preferred group of compounds consists of those for which A represents a2, the other substituents having the same definitions as those given above,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0043] Among the above compounds, the ones most particularly preferred are those for which a2 represents a radical of the formula a2' below:



a2'

in which R^6 and R^7, which may be identical or different, and independently of each other, have the same definitions as the radicals R^2 and R^3 defined above,
the other substituents having the same definitions as those given above,

the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0044] A preferred sub-group of compounds consists of compounds of the general formula (I) in which G represents the radical g1, with Y representing an oxygen atom, R^1 represents a biphenyl radical, optionally substituted by one or more alkyl radicals, preferably methyl, ethyl or propyl, and/or a trifluoromethyl or trifluoromethoxy radical, and A represents a2,
the other substituents being as defined above,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

[0045] In this sub-group, the compounds that are more particularly preferred are those of the general formula (I) in which G represents the radical g1, with Y representing an oxygen atom, R^1 represents a biphenyl radical, optionally substituted by one or more alkyl radicals, preferably methyl, ethyl or propyl, and/or a trifluoromethyl or trifluoromethoxy radical, and A represents a2' as defined above,
the other substituents being as defined above,
the possible geometrical and/or optical isomers, epimers and various tautomeric forms, and optional oxidized forms, especially amine oxides, thereof, and the solvates and hydrates of these compounds;
and also the possible pharmaceutically acceptable salts thereof with an acid or a base, or the pharmaceutically acceptable prodrugs of these compounds.

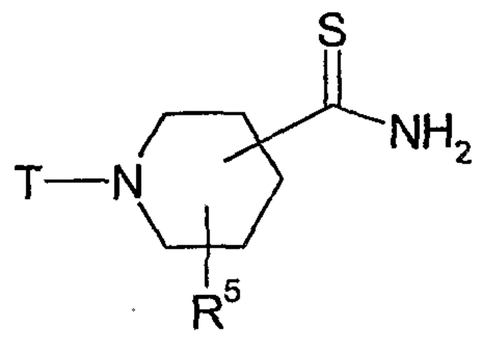
[0046] Particularly preferred examples of compounds according to the present invention are chosen from:

- N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl) 2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;
- N-ethyl-N-(1-methyl-2-oxo-2-pyrid-3-ylethyl) 2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;
- N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl) 2-[1-(6-methyl-4'-trifluoro-methoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamte;
- N-ethyl-N-(1-methyl-2-oxo-2-pyrid-2-ylethyl) 2-[1-(6-methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate.
- N-[cyano(4-fluorophenyl)methyl]-N-phenyl-2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;
- N-(*α*-cyanobenzyl)-N-ethyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;
- 2-{1-{4'-(trifluoromethyl)-1,1'-biphenyl-2-yl]carboxyl}piperid-4-yl}-1,3-thiazole-4-carboxylic acid
- 1-(4-{4-(3-hydroxypiperid-1-yl)methanoyl]thiazol-2-yl}piperid-1-yl)-1-(4'-trifluoromethylbiphenyl-2-yl)methanone
- N-methyl-N-(1-methyl-2-oxo-2-phenethyl)-2-[1-(4'-trifluoromethylbi-phenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide
- N-methyl-N-(1-methyl-2-oxo-2(*S*)-phenethyl)-2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide
- N-(7-oxo-7H-thieno[3,2-b]pyran-6-yl)-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide
- N-(2-methyl-4-oxo-4H-chromen-3-yl)-2-[1-(6-methyl-4'-trifluoro-methoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide
- N-(*α*-cyanobenzyl)-N-isopropyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide; and
- N-[1-cyano-1-(pyrid-4-yl)methyl)-N-isopropyl-2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

the optical isomers thereof, oxidized forms, solvates and hydrates of these compounds;

and also the possible pharmaceutically acceptable salts thereof with an acid, or the pharmaceutically acceptable prodrugs of these compounds.

[0047] The compounds of the present invention can be prepared from the *compounds of the formula (II):*

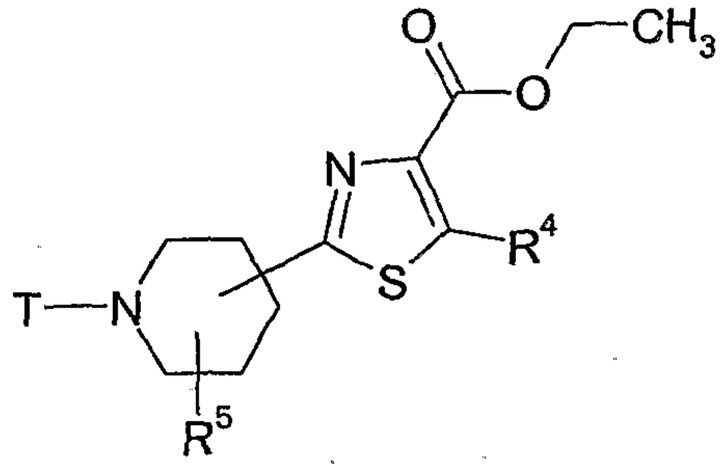


(II)

in which T represents a labile protecting group, for example *tert*-butoxycarbonyl (BOC), and R^5 is as defined above,

which is reacted with ethyl R^4-bromopyruvate, generally in equimolar proportions, in a polar solvent, for example dimethylformamide, in the presence of an excess of base, preferably an organic base, such as triethylamine, at a suitable temperature, for example at room temperature, for a time ranging from 1 to 40 hours and preferably between 4 and 18 hours,

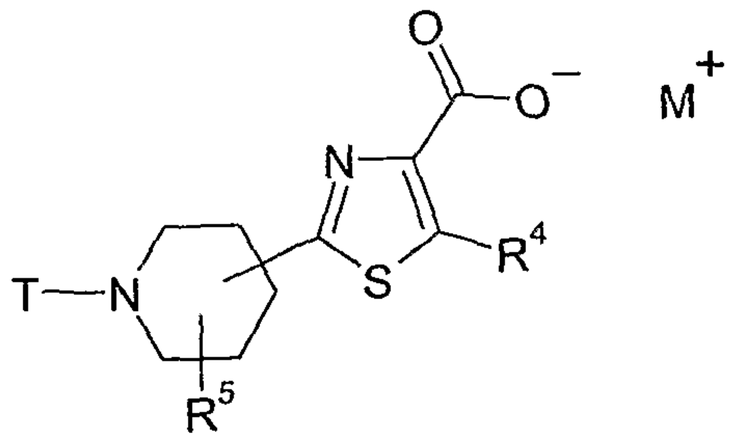
so as to form the thiazolyl ring and give the compound of the formula (III):



(III),

in which T, R^4 and R^5 are as defined above,

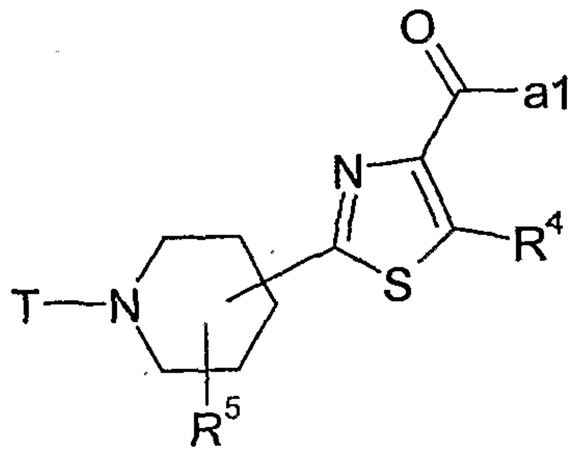
which compound of the formula (III) is then saponified with a base, of alkali metal or alkaline-earth metal hydroxide type, for example sodium hydroxide, in polar medium, for instance tetrahydrofuran and/or water, especially a 2:1 tetrahydrofuran/water mixture, at room temperature, for a time ranging from 1 to 12 hours, so as to form the salt of the formula (IV):



(IV),

in which T, R^4 and R^5 are as defined above, and M^+ represents the alkali metal or alkaline-earth metal cation derived from the base that is useful for the saponification reaction,

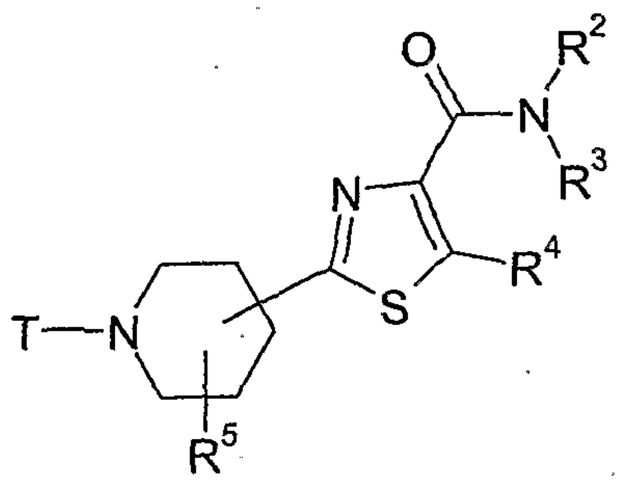
which compound of the formula (IV) is next hydrolysed and then/or esterified to a compound of the formula (V1):



(V1),

in which R^4, R^5, a1 and T are as defined above,

or converted into the corresponding amide of the formula (V2):

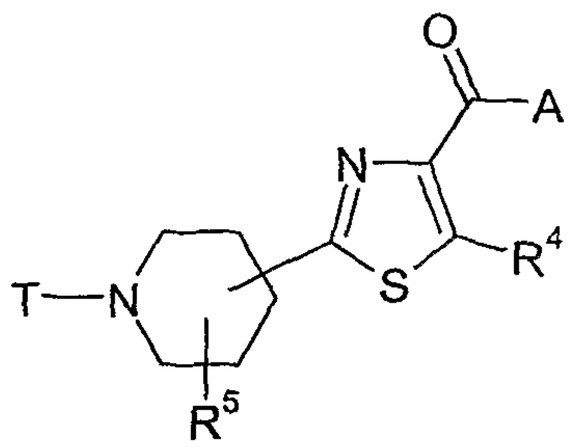


(V2),

in which R^2, R^3, R^4, R^5 and T are as defined above,

via the action of an amine of the formula HNR^2R^3, generally in equimolar proportions, in the presence of a base, preferably an organic base, such as diisopropylethylamine (DIPEA), and a catalyst, for example O-benzotriazol-1-yl-N,N,N',N'-tetraethyluronium hexafluorophosphate (HBTU), in a polar aprotic solvent, such as dimethylformamide, at room temperature, for a time that can range from 1 to 50 hours and generally from 4 to 20 hours,

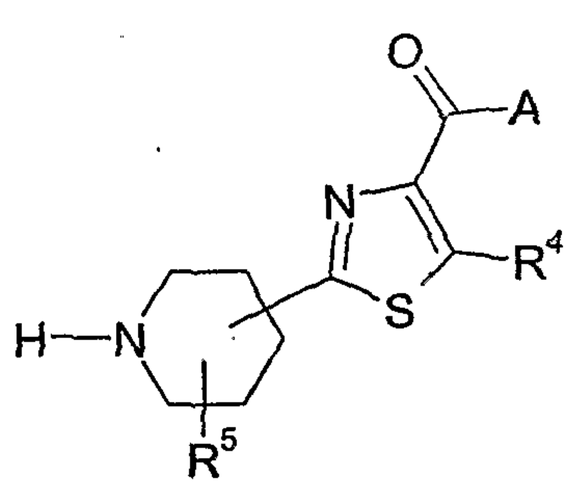
the compounds of the formulae (V1) and (V2) together forming the compound of the formula (V):



(V),

in which R^4, R^5, A and T are as defined above,

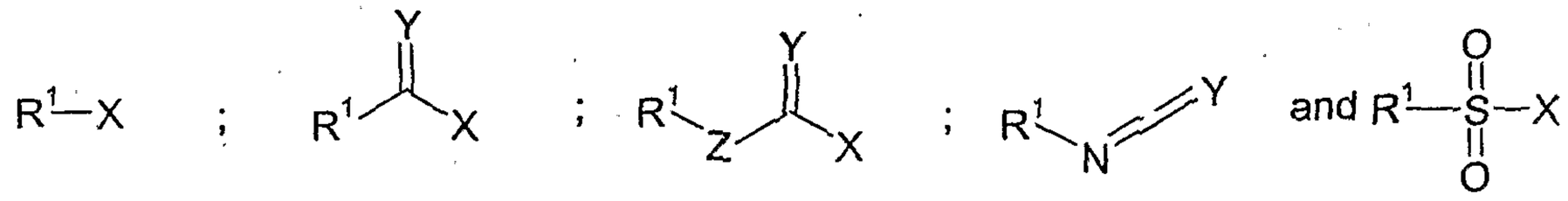
which compound of the formula (V) is then used in a reaction for deprotection of the amine function of the piperidine ring, via the action of an organic or mineral acid, for example hydrochloric acid or trifluoroacetic acid, in dichloromethane (DCM) or dioxane medium, at room temperature, for a time ranging from a few minutes to a few hours, generally ranging from five minutes to 12 hours, to give the compound of the formula (VI):



(VI),

which is a special case of the compounds of the formula (I), in which R^1 represents hydrogen, G represents a bond, A, R^4 and R^5 being as defined above,

which is then subjected to the action of a compound chosen from:



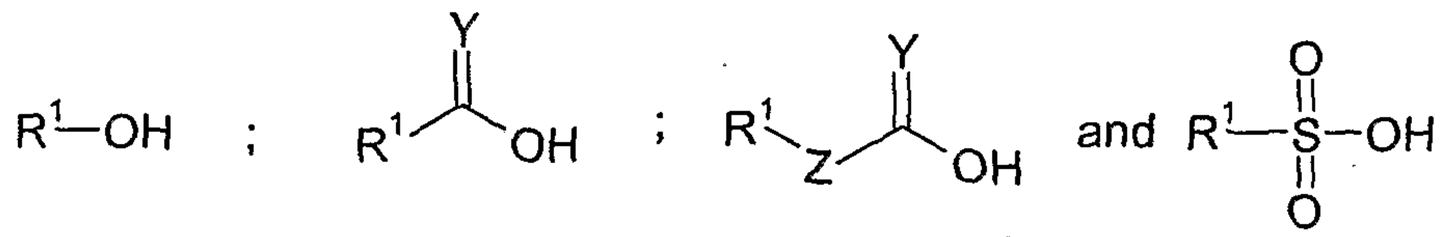
in which X represents a halogen atom, preferably chlorine, R^1, Y and Z being as defined above,

in the presence of a base, preferably an organic base, such as diisopropylethylamine (DIPEA), and a catalyst, for example O-benzotriazol-1-yl-N,N,N',N'-tetraethyluronium hexafluorophosphate (HBTU), in a polar aprotic sol-

vent, such as dimethylformamide, at room temperature, for a time that can range from 1 to 50 hours and generally from 4 to 20 hours,

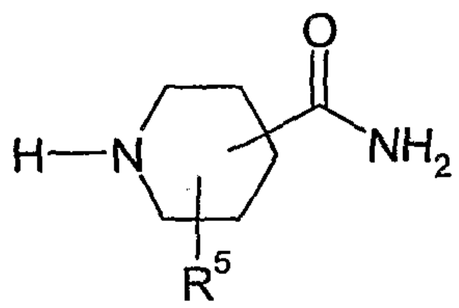
to give the compound of the formula (I) as defined above.

[0048] According to one variant, the compounds of the formula (I) can also be prepared by reacting a compound of the formula chosen from:



in which R1, Y and Z are as defined above,

with a compound of the formula (VII):

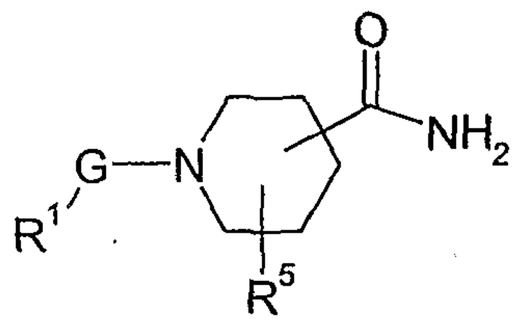


(VII),

in which R^5 is as defined above,

in the presence of an acyl chloride, such as oxalyl chloride, in basic medium, for example triethylamine, and in an apolar aprotic solvent, for example dichloromethane, at room temperature, for a time ranging from 1 to 50 hours and generally from 4 to 20 hours,

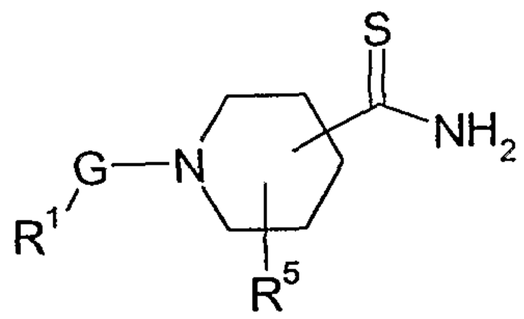
to give the compound of the formula (VIII):



(VIII),

in which G, R^1 and R^5 are as defined above,

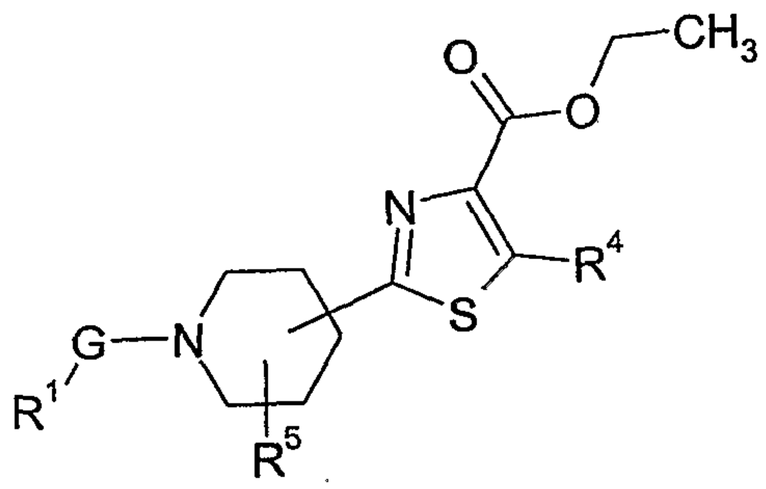
which is then converted into the corresponding thioamide of the formula (IX) via the action of Lawesson's reagent, in a polar solvent, for example dimethyl ether, at a temperature of about 50°C, for a time generally of about 2.5 hours:



(IX),

in which G, R^1 and R^5 are as defined above,

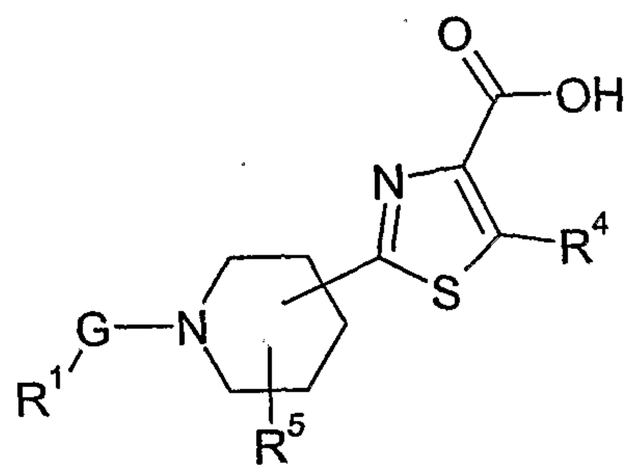
the thiazole ring then being formed in a manner similar to that presented above for the formation of the compound of the formula (III), via the action of ethyl R^4-bromopyruvate, to give the compound of the formula (X):



(X),

in which G, R^1, R^4 and R^5 are as defined above,

which compound of the formula (X) is then saponified, in a manner similar to that for the formation of the compound of the formula (IV), to give the acid of the formula (I_{OH}):



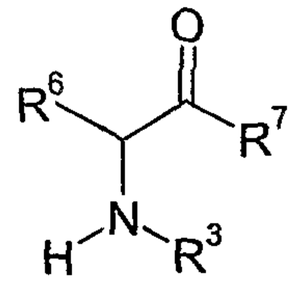
(I_{OH}),

which is a special case of the compound of the formula (I) in which A represents -O-$R^{2'}$, $R^{2'}$ representing a hydrogen atom,

which compound of the formula (I_{OH}) is then optionally used in an esterification reaction, or subjected to the action of an amine of the formula HNR^2R^3, in order to give the compounds of the formula (I) in which A represents, respectively, a1, with $R^{2'}$ other than hydrogen, and a2.

[0049] In the processes described above, it should be understood that the operating conditions can vary substantially as a function of the different substituents G, R^1, R^2, $R^{2'}$, R^3, R^4 , R^5, R^6 and R^7 present in the compounds of the formula (I) that it is desired to prepare. Such variations and adaptations are readily accessible to a person skilled in the art, for example from scientific reviews, the patent literature, Chemical Abstracts, and computer databases, including the Internet.

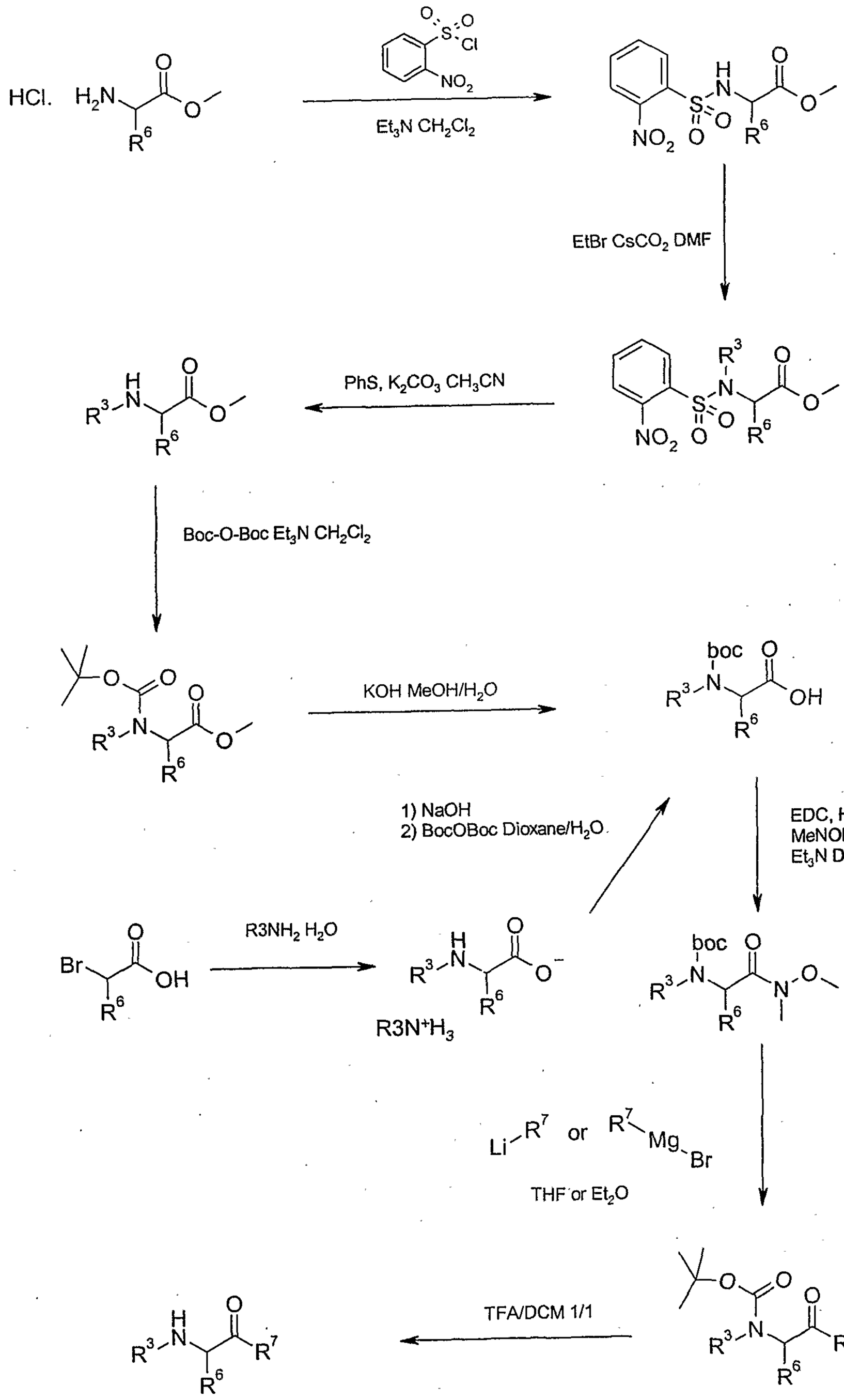
[0050] For the compounds of the general formula (I) for which A represents a2', the intermediate amine H-a2' below:



in which R^3, R^6 and R^7 are as defined above,

which will be reacted with the compounds of the formulae (V2) and (I_{OH}) defined above,

can advantageously be prepared according to one of the synthetic routes presented in the following scheme, and in which the various substituents are as defined in the present invention:


HCl. H2N
R6
O
O
Et3N CH2Cl2
O
S
O
Cl
NO2
NO2
S
O
O
H
N
R6
O
O
EtBr CsCO2 DMF
R3
N
S
O
O
NO2
R6
PhS, K2CO3 CH3CN
R3
H
N
R6
O
O
Boc-O-Boc Et3N CH2Cl2
KOH MeOH/H2O
boc
N
R3
R6
O
OH
1) NaOH
2) BocOBoc Dioxane/H2O
EDC, HOBt,
MeNOMe,
Et3N DMF
Br
R6
O
OH
R3NH2 H2O
R3
H
N
R6
O
O
R3N+H3
boc
N
R3
R6
O
N
O
Li R7 or R7 Mg Br
THF or Et2O
TFA/DCM 1/1
R3
H
N
R6
O
R7

[0051] The present invention also relates to pharmaceutical compositions comprising an effective pharmaceutical amount of a compound of the formula (I), as defined above, in combination with one or more pharmaceutically acceptable vehicles.

[0052] These compositions can be administered orally in the form of immediate-release or controlled-release tablets, gel capsules or granules, intravenously in the form of an injectable solution, transdermally in the form of an adhesive transdermal device, or locally in the form of a solution, cream or gel.

[0053] A solid composition for oral administration is prepared by adding to the active principle a filler and, where appropriate, a binder, a disintegrating agent, a lubricant, a colorant or a flavour enhancer, and by forming the mixture into a tablet, a coated tablet, a granule, a powder or a capsule.

[0054] Examples of fillers include lactose, corn starch, sucrose, glucose, sorbitol, crystalline cellulose and silicon dioxide, and examples of binders include poly(vinyl alcohol), poly(vinyl ether), ethylcellulose, methylcellulose, acacia, gum tragacanth, gelatin, Shellac, hydroxypropylcellulose, hydroxypropylmethylcellulose, calcium citrate, dextrin and pectin.

[0055] Examples of lubricants include magnesium stearate, talc, polyethylene glycol, silica and hardened plant oils. The colorant can be any colorant permitted for use in medicaments.

[0056] Examples of flavour enhancers include cocoa powder, mint in herb form, aromatic powder, mint in oil form, borneol and cinnamon powder. It should be understood that the tablet or granule may be suitably coated with sugar, gelatin or the like.

[0057] An injectable form comprising the compound of the present invention as active principle is prepared, where appropriate, by mixing the said compound with a pH regulator, a buffer agent, a suspension agent, a solubilizer, a stabilizer, a tonicity agent and/or a preserving agent, and by converting the mixture into a form for intravenous, subcutaneous or intramuscular injection, according to a conventional process. Where appropriate, the injectable form obtained can be lyophilized via a conventional process.

[0058] Examples of suspension agents include methylcellulose, polysorbate 80, hydroxyethylcellulose, acacia, powdered gum tragacanth, sodium carboxymethylcellulose and polyethoxylated sorbitan monolaurate.

[0059] Examples of solubilizers include castor oil solidified with polyoxyethylene, polysorbate 80, nicotinamide, polyethoxylated sorbitan monolaurate and the ethyl ester of castor oil fatty acid.

[0060] In addition, the stabilizer includes sodium sulfite, sodium metasulfite and ether, while the preserving agent includes methyl *para*-hydroxybenzoate, ethyl *para*-hydroxybenzoate, sorbic acid, phenol, cresol and chlorocresol.

[0061] A subject of the present invention is also a use of a compound of the formula (I) of the invention for the preparation of a medicament for the treatment of hypertriglyceridaemia, hypercholesterolaemia and dyslipidaemia associated with diabetes, and also for the prevention of and treating obesity.

[0062] The examples that follow illustrate the present invention without limiting it in any way.

Examples of thiazolylpiperidine compounds according to the invention

Example 1:

2-[1-(6-Methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazol-4-carbonyl[N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl)]amide

Step a)

tert-Butyl 4-(4-ethoxycarbonylthiazol-2-yl)piperidine-1-carboxylate

tert-Butyl 4-(aminocarbothioyl)tetrahydropyridine-1(2H)-carboxylate (Maybridge) (85 mmol; 20.8 g) is dissolved in 250 ml of dimethylformamide and placed at 5°C. Ethyl bromopyruvate (1 eq.; 85 mmol; 16.6 g) dissolved in 50 ml of dimethylformamide is added dropwise. The reaction medium is stirred overnight and excess triethylamine is then added dropwise. The reaction medium is evaporated and the residual brown oil is taken up in ethyl acetate and washed with water (twice) and then with saturated sodium chloride solution (twice). The organic phase is dried over sodium sulfate and evaporated to dryness. The crude product is chromatographed on silica, eluting with dichloromethane to dichloromethane/3% methanol, to give 20.5 g of the expected product in the form of oily crystals. TLC: 1/1 ethyl acetate/hexane: Rf = 0.55

Yield = 71 %.

Step b)

tert-Butyl 4-(4-carboxythiazol-2-yl)piperidine-1-carboxylate

tert-Butyl 4-(4-ethoxycarbonylthiazol-2-yl)piperidine-1-carboxylate (60 mmol; 20.4 g) is dissolved in 225 ml of a mixture of tetrahydrofuran and water (2/1), and 1N sodium hydroxide (2 eq.; 120 mmol; 120 ml) is added dropwise. The reaction medium is stirred at room temperature overnight. The reaction medium is washed with ether and the aqueous phase is then acidified with saturated nitric acid solution. The precipitate is filtered off, washed with water and dried to give 15.5 g of cream-coloured crystals.

TLC: 1/1/1 CH_2Cl_2/EtOAc/MeOH: Rf = 0.6.

Yield: 83%.

Step c)

tert-Butyl 4-{4-[ethyl(1-methyl-2-oxo-2-phenylethyl)carbamoyl]thiazol-2-yl}-piperidine-1-carboxylate

tert-Butyl 4-(4-carboxythiazol-2-yl)piperidine-1-carboxylate (6.5 mmol; 2.03 g) is dissolved in 40 ml of anhydrous dimethylformamide and placed under an inert atmosphere, and 2-(ethylamino)propiophenone hydrochloride (1 eq.; 6.5 mmol; 1.39 g), HBTU (1 eq.; 6.5 mmol; 2.47 g) and N-ethyldiisopropylamine (3.5 eq.; 22.75 mmol; 3.97 ml) are then added. The reaction medium is stirred at room temperature overnight. The reaction medium is evaporated to dryness and then taken up in dichloromethane and washed with saturated potassium carbonate (K_2CO_3) solution, citric acid solution and water (twice). The organic phase is dried over sodium sulfate and then evaporated to dryness. The crude product is chromatographed on silica, using a 1/1 ethyl acetate/hexane mixture as eluent (Rf = 0.55) to give 2.6 g of expected product in the form of an oil.

Yield: 85%.

Step d)

4-{4-[Ethyl(1-methyl-2-oxo-2-phenylethyl)carbamoyl]thiazol-2-yl}piperidinium chloride

tert-Butyl 4-{4-[ethyl(1-methyl-2-oxo-2-phenylethyl)carbamoyl]thiazol-2-yl}-piperidine-1-carboxylate (5.5 mmol; 2.59 g) is dissolved in 13.75 ml of a 4M solution of hydrochloric acid in dioxane. The reaction medium is stirred at room temperature overnight and is then evaporated to dryness to give 2.24 g of a white solid.

Yield = quantitative.

Step e)

2-[1-(6-Methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazol-4-carbonyl[N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl)]amide

The title compound was obtained according to a procedure similar to that used for the preparation of *tert*-butyl 4-{4-[ethyl(1-methyl-2-oxo-2-phenylethyl)carbamoyl]thiazol-2-yl}piperidine-1-carboxylate.

TLC: 1/1 CH_2Cl_2/EtOAc: Rf = 0.47

LC-MS: (ES+) 650.4 (M+H)

Yield: 88%.

Example 2:

1-(4-{4-(1-morpholin-4-yl)methanoyl]thiazol-2-yl}piperid-1-yl)-1-(4'-trifluoromethylbiphenyl-2-yl)methanone

Step a)

1-{[4'-(trifluoromethyl)-1,1'-biphenyl-2-yl]carbonyl}piperidine-4-carboxamide

4'-(Trifluoromethyl)-2-biphenylcarboxylic acid (20.5 g; 77 mmol) is dissolved in 340 ml of dimethylformamide and 200 ml of dichloromethane. The reaction medium is placed at 0°C and oxalyl chloride (1.8 eq.; 138.6 mmol; 12 ml) is added. The reaction medium is stirred at room temperature for 3 hours and then evaporated to dryness. The crude product is taken up in 270 ml of dichloro-

methane, followed by addition of a solution of isonipecotamide (0.97 eq.; 74.7 mmol; 9.57 g) and triethylamine (2.7 eq.; 207.9 mmol; 29 ml) in 270 ml of dichloromethane, placed at 0°C. The mixture is allowed to warm to room temperature over 12 hours, saturated aqueous sodium hydrogen carbonate solution is then added (in a 1/1 proportion) and the resulting mixture is stirred for 30 minutes. The organic phase is washed with 1M sodium hydroxide solution and then with water, dried over sodium sulfate and evaporated to dryness. The crude product is dispersed in diisopropyl ether and then filtered off and dried to give 23.09 g of coupling product.

Yield: 79.6 %.

Step b)

1-{[4'-(trifluoromethyl)-1,1'-biphenyl-2-yl]carbonyl}piperidine-4-carbothioamide

1-{[4'-(Trifluoromethyl)-1,1'-biphenyl-2-yl]carboxyl}piperidine-4-carboxamide (11.29 g; 30 mmol) is dissolved in a mixture of Lawesson's reagent (1 eq.; 30 mmol; 12.13 g), dimethyl ether (100 ml) and chloroform (40 ml). The reaction medium is heated at 50°C for 2 hours 30 minutes and then evaporated to dryness and taken up in ethyl acetate. The organic phase is washed with saturated potassium carbonate solution and then with water, dried over sodium carbonate and evaporated to dryness. The yellow solid is dispersed in diisopropyl ether and then filtered off and dried to give 10.5 g of product.

Yield: 89 %.

Step c)

Ethyl 2-{1-{[4'-(trifluoromethyl)-1,1'-biphenyl-2-yl]carbonyl}piperidine-4-yl}-1,3-thiazole-4-carboxylate

1-{[4'-(Trifluoromethyl)-1,1'-biphenyl-2-yl]carbonyl}piperidine-4-carbothioamide (10.5 g; 26.75 mmol) is dissolved in 100 ml of dimethylformamide and placed at 0°C. 90% [lacuna] bromopyruvate (1 eq.; 26.75 mmol; 3.73 ml) is added, the mixture is left at 0°C for 30 minutes and is then allowed to warm to room temperature over 12 hours, followed by addition of 10 ml of triethylamine. The reaction

medium is evaporated and the crude product is then extracted three times with ethyl acetate. The organic phase is washed with saturated aqueous sodium chloride solution (three times), with water (once) and again with sodium chloride, and is then dried over sodium sulfate and evaporated to dryness. The residue is chromatographed on silica (eluent: 97/3 dichloromethane/methanol) to give 10.33 g of the expected product.

Yield: 79 %.

Step d)

2-{1-{4'-(Trifluoromethyl)-1,1'-biphenyl-2-yl]carboxyl}piperid-4-yl}-1,3-thiazole-4-carboxylic acid

The title compound was obtained according to a procedure similar to that used for the preparation of *tert*-butyl 4-(4-carboxythiazol-2-yl)piperidine-1-carboxylate.

Yield: quantitative.

Step e)

1-(4-{4-(1-Morpholin-4-yl)methanoyl]thiazol-2-yl}piperid-1-yl)-1-(4'-trifluoromethyl-biphenyl-2-yl)methanone

The title compound was obtained according to a procedure similar to that used for the preparation of *tert*-butyl 4-{4-[ethyl(1-methyl-2-oxo-2-phenylethyl)carbamoyl]thiazol-2-yl}piperidine-1-carboxylate.

Yield: 87%.

As an additional example, the procedure below shows a synthetic route that can be used for the preparation of an amine of the formula H-a2':

Preparation of 2-ethylamino-1-pyrid-2-ylpropan-1-one in the form of the acid salt with bis-trifluoroacetic acid

Step a)

Methyl 2-(2-nitrobenzenesulfonylamino)propionate

DL-Alanine methyl ester hydrochloride (13.96 g; 0.1 mol) is dissolved in 800 ml of dichloromethane and placed at 0°C. Triethylamine (2.3 eq.; 230 mmol; 32 ml) is added dropwise, along with portionwise addition of 2-nitrobenzenesulfonyl chloride (1 eq.; 100 mmol; 22.16 g), and the reaction medium is allowed to return to room temperature overnight. The reaction medium is washed with water and then dried over sodium sulfate, filtered through silica and evaporated to dryness to give 25.3 g of solid corresponding to the title compound.

Yield: 88 %.

Step b)

Methyl 2-[ethyl(2-nitrobenzenesulfonyl)amino]propionate

Methyl 2-(2-nitrobenzenesulfonylamino)propionate (17 g; 59 mmol) is dissolved in 600 ml of dimethylformamide, and caesium carbonate (1.5 eq.; 88 mmol; 28.6 g) is then added. The reaction medium is stirred for 30 minutes at room temperature, followed by dropwise addition of ethyl bromide (4 eq.; 236 mmol; 17.6 ml) and the resulting mixture is stirred overnight at room temperature. The reaction medium is evaporated to dryness, taken up in dichloromethane, filtered and evaporated to dryness. The crude product is chromatographed on silica (eluting with dichloromethane) to give 17.1 g of the expected product.

Yield: 92 %.

Step c)

Methyl 2-ethylaminopropionate

Methyl 2-[ethyl(2-nitrobenzenesulfonyl)amino]propionate (8.07 g; 25.5 mmol) is dissolved in 250 ml of acetonitrile, and thiophenol (1.12 eq.; 28.7 mmol; 2.93 ml) and K_2CO_3 (3.25 eq.; 82.9 mmol; 11.45 g) are then added. The reaction medium is stirred overnight at room temperature. The crude product

is evaporated to dryness and then taken up in ether. The organic phase is acidified with 1N hydrochloric acid and then washed with water. The aqueous phases are combined and then washed with ether and basified with potassium carbonate. The basic aqueous phase is extracted with ether three times. The three ether phases are washed with water and then with saturated aqueous sodium chloride solution, dried over sodium sulfate and evaporated to dryness to give 1.04 g of the expected product.

Yield: 31 %.

Step d)

Methyl 2-(*tert*-butoxycarbonylethylamino)propionate

Methyl 2-ethylaminopropionate (0.96 g; 7.3 mmol) is dissolved in 10 ml of dichloromethane, and triethylamine (1 eq.; 7.3 mmol; 1 ml) and Boc-O-Boc (1.1 eq.; 8 mmol; 1.75 g) are added. The reaction medium is stirred for 12 hours at room temperature and then washed with water, dried over sodium sulfate and evaporated to dryness to give 1.28 g of the expected product.

Yield: 76 %.

Step e)

2-(*tert*-Butoxycarbonylethylamino)propionic acid

Methyl 2-(*tert*-butoxycarbonylethylamino)propionate (1.27 g; 5.5 mmol) is dissolved in 5 ml of methanol, and potassium hydroxide (1.2 eq.; 6.6 mmol; 0.37 g) dissolved in 1.6 ml of water is then added. The reaction medium is left at room temperature for 12 hours and then evaporated to dryness, taken up in water and washed with ether. The aqueous phase is acidified by adding 1N hydrochloric acid and extracted three times with ether. The organic phases are combined, dried over sodium sulfate and evaporated to dryness to give 0.88 g of a white solid.

Yield: 74 %.

Step e bis): Alternative route:

DL-2-Bromopropionic acid (76.5 g; 0.5 mol) is dissolved in 250 ml of water and 70% ethylamine (4.7 eq.; 2.3 mol; 150 g) is added dropwise. The reaction medium is stirred at room temperature for 12 hours and then evaporated to dryness and taken up in 400 ml of water comprising 40 g of sodium hydroxide (1.0 mol). The reaction medium is again evaporated to dryness.

The crude product is dissolved in 500 ml of water and 250 ml of dioxane. The reaction medium is placed at 0°C and di(*tert*-butyl) carbonate (1.1 eq.; 0.55 mol; 120 g) dissolved in 200 ml of dioxane is added dropwise. The pH is maintained at 10 by adding sodium hydroxide. The reaction medium is stirred at room temperature for 24 hours and then filtered. The filtrate is concentrated and then taken up in 700 ml of water and acidified to pH 2-3 with citric acid. The precipitate is filtered off and dried (108.6 g).

Yield: 62 %.

Step f)

Dimethyl ethyl ethyl[1-(methoxymethylcarbamoyl)ethyl]carbamate

2-(*tert*-Butoxycarbonylethylamino)propionic acid (40 mmol; 873 mg) is dissolved in 5 ml of dimethylformamide, and N,O-dimethylhydroxylamine hydrochloride (1.25 eq.; 5.0 mmol; 490 mg), HOBT (1.25 eq.; 5.0 mmol; 676 mg), triethylamine (1.25 eq.; 5.0 mmol; 0.7 ml) and EDC (1.25 eq.; 5.0 mmol; 960 mg) are added. The reaction medium is stirred for 12 hours and then evaporated to dryness. The crude product is extracted with ether, washed successively, twice with aqueous 4% citric acid solution, twice with aqueous 4% sodium hydrogen sulfite solution, with water and then with saturated sodium chloride solution. The organic phase is dried over sodium sulfate, evaporated to dryness and chromatographed on silica (eluent: 1/2 ethyl acetate/hexane; Rf = 0.45) to give 795 mg of a colourless product.

Yield: 76 %.

Step g)

tert-Butyl ethyl(1-methyl-2-oxo-2-pyrid-2-ylethyl)carbamate

2-Bromopyridine (7.0 mmol; 667 µL) is dissolved in 40 ml of anhydrous tetrahydrofuran and placed at -100°C, followed by dropwise addition of a 1.6M solution of n-butyllithium in hexane (1 eq.; 7.0 mmol; 4.375 ml). The reaction medium is stirred for 30 minutes and dimethyl ethyl ethyl[1-(methoxymethyl-carbamoyl)ethyl]carbamate (1 eq.; 7.0 mmol; 1.822 g) dissolved in 20 ml of anhydrous tetrahydrofuran is added dropwise. The reaction medium is stirred at -100°C for 1 hour 30 minutes. The reaction medium is then removed from the ice bath, 200 ml of saturated aqueous sodium chloride solution are added and the mixture is then extracted with ether. The organic phase is dried over sodium sulfate, evaporated to dryness and chromatographed on silica (eluent: 1/2 ethyl acetate/heptane; Rf = 0.23) to give 1.04 g of the expected product.

Yield: 53 %.

Step h)

2-Ethylamino-1-pyrid-2-ylpropan-1-one – acid salt with bis-trifluoroacetic acid

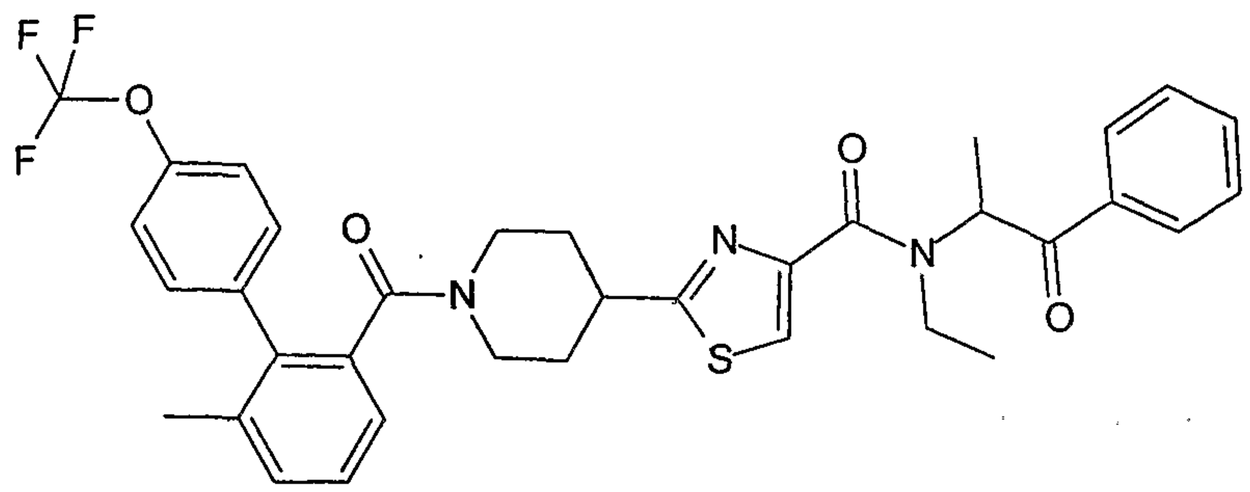
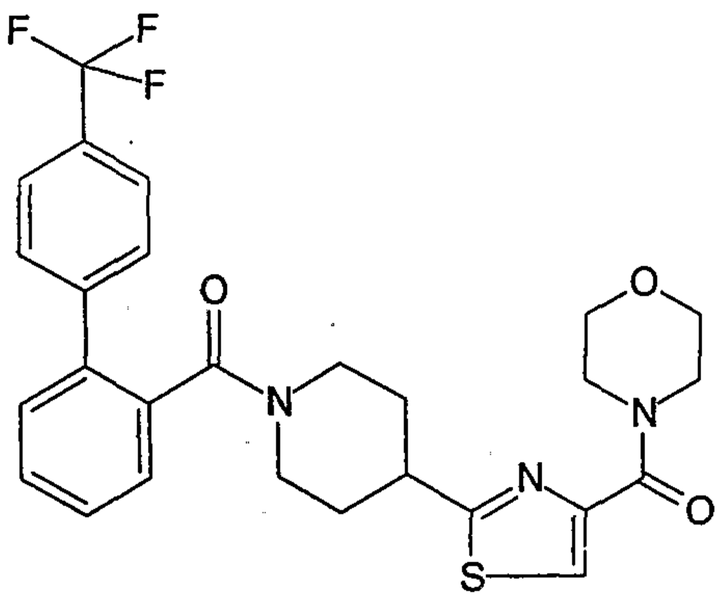
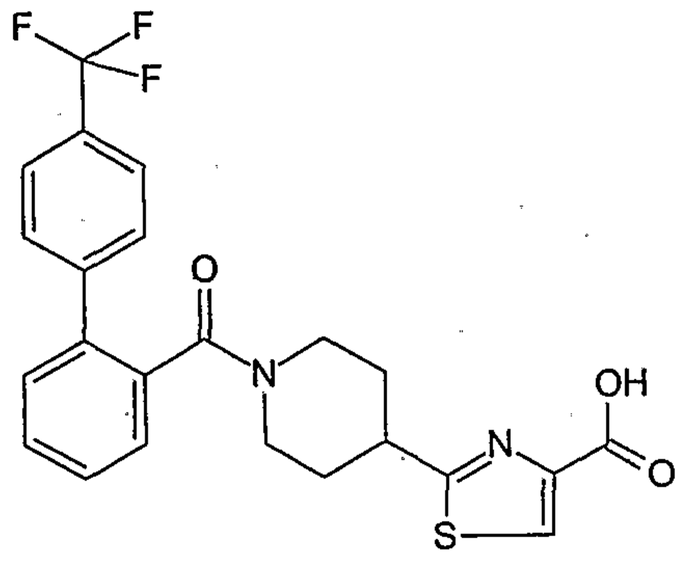
tert-Butyl ethyl(1-methyl-2-oxo-2-pyrid-2-ylethyl)carbamate (1.03 g; 3.7 mmol) is dissolved in 30 ml of a 1/1 dichloromethane/trifluoroacetic acid mixture. The reaction medium is stirred for one hour at room temperature and then evaporated to dryness to give 1.5 g of the expected salt.

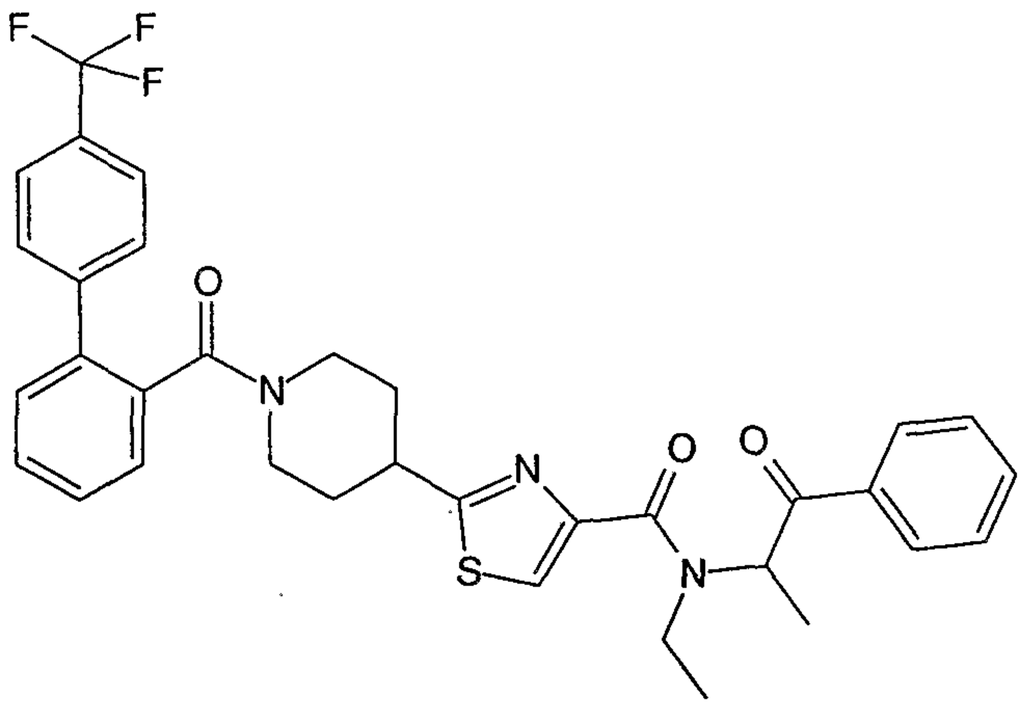
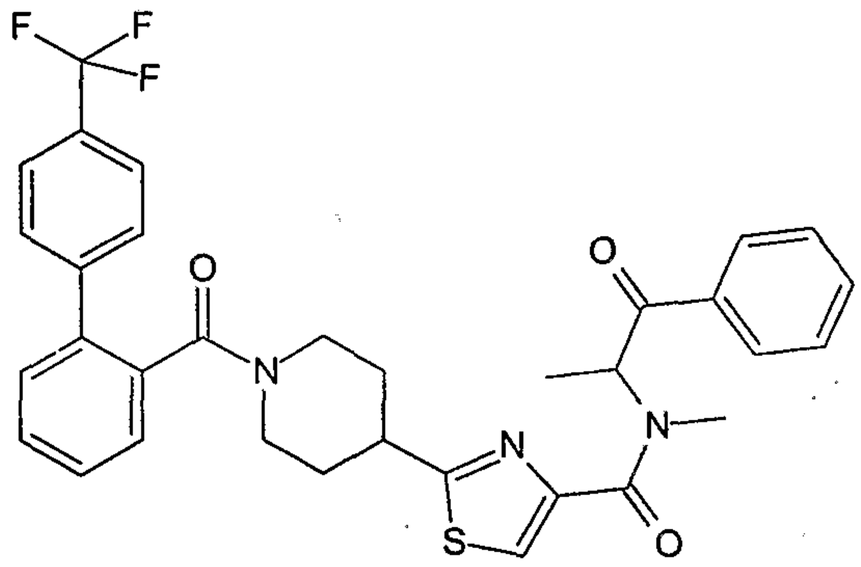
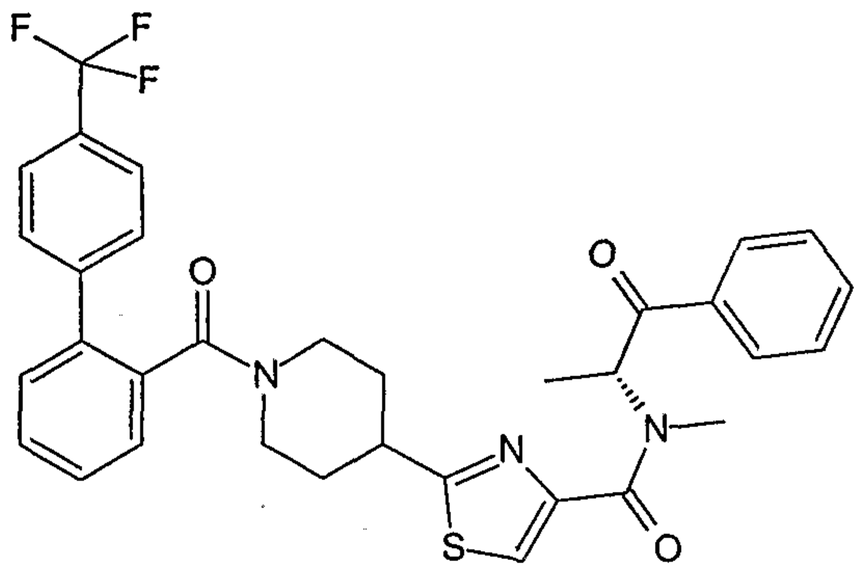
Yield: quantitative.

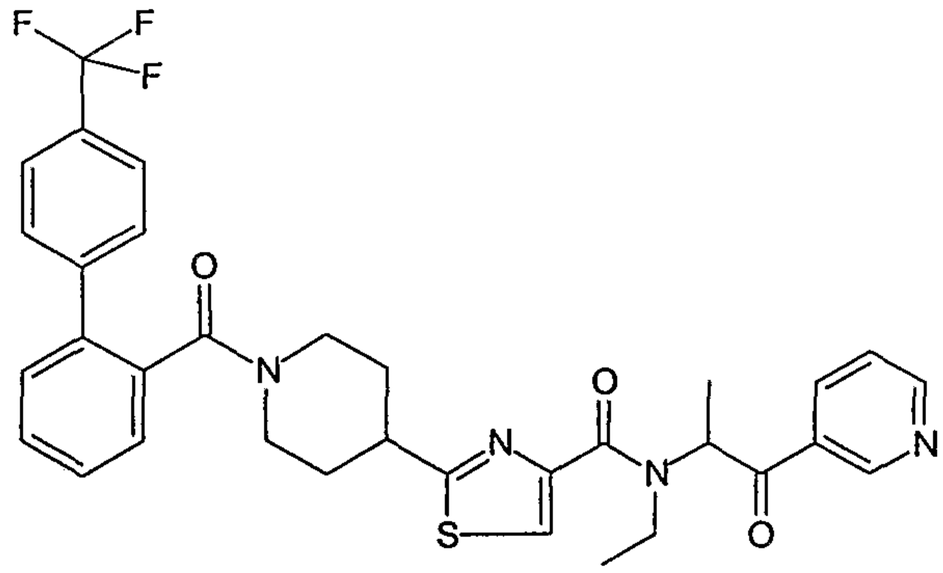
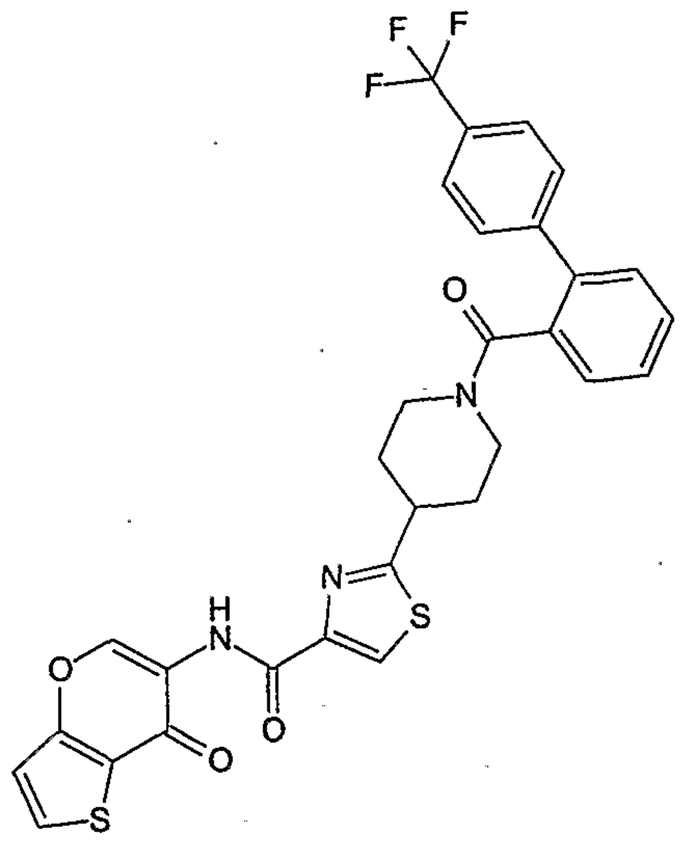
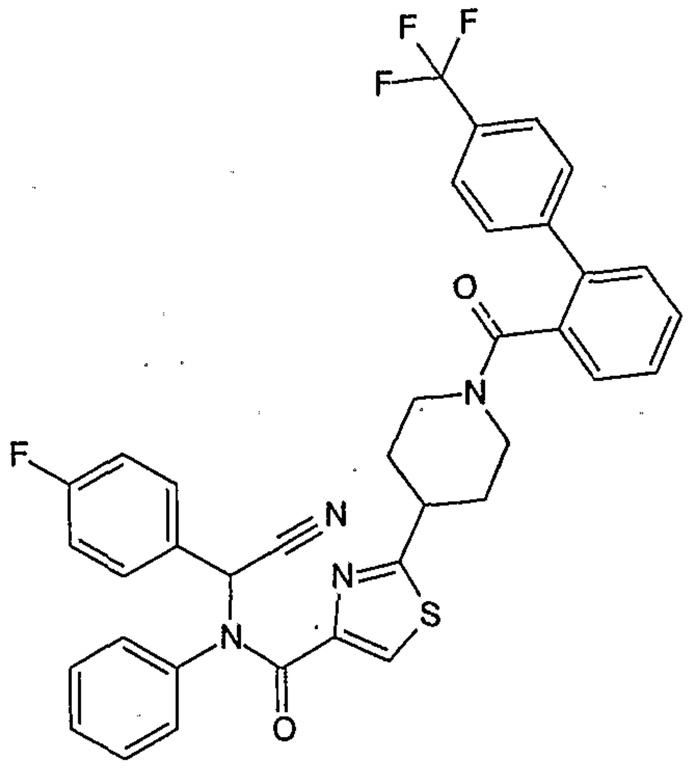
The compounds of the general formula (I) presented in the table below were prepared according to procedures similar to those described above.

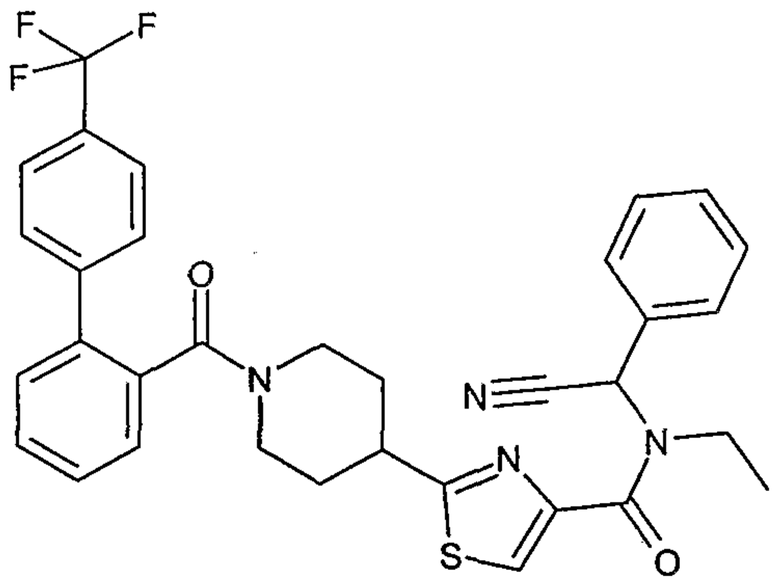
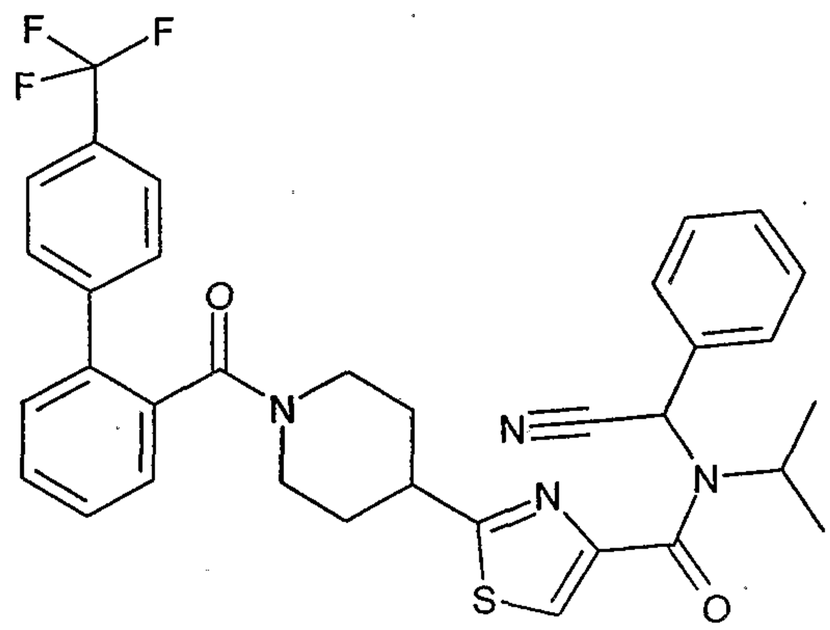
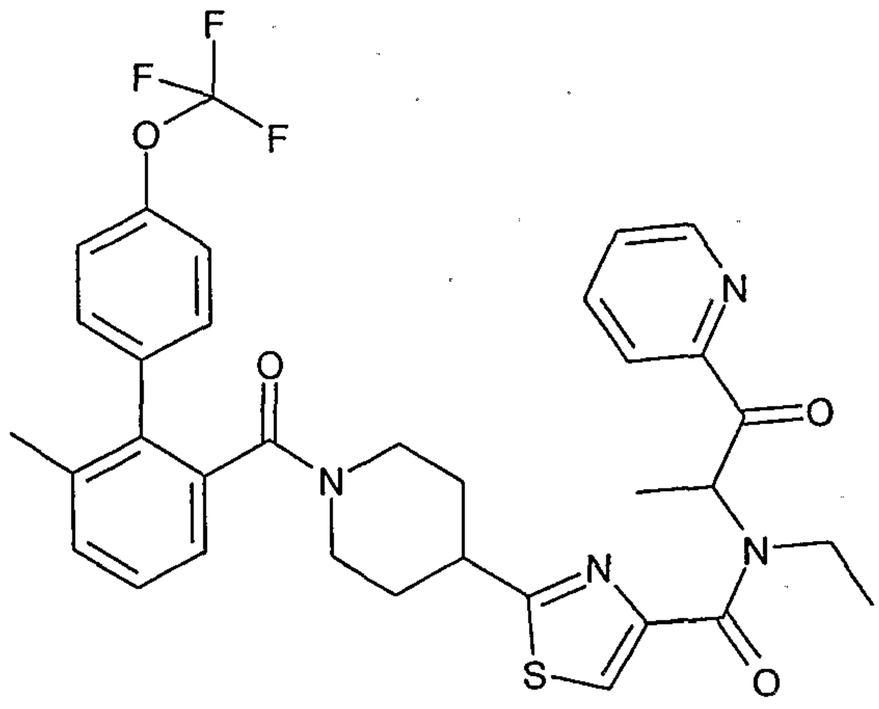
Other examples of compounds included in the scope of the present invention are presented in Table 1 below. All these compounds are obtained according to procedures similar to those presented above.

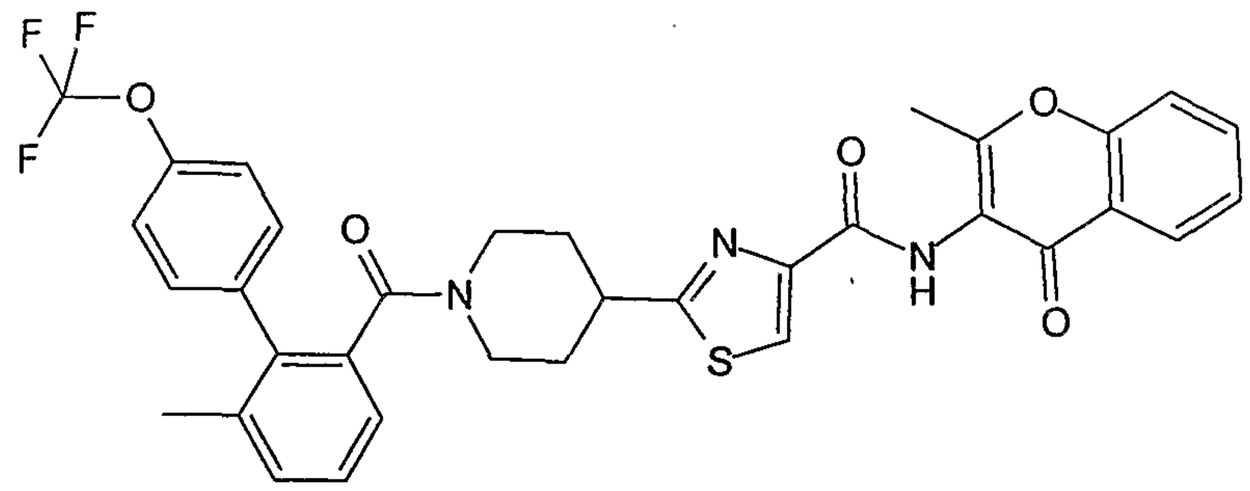
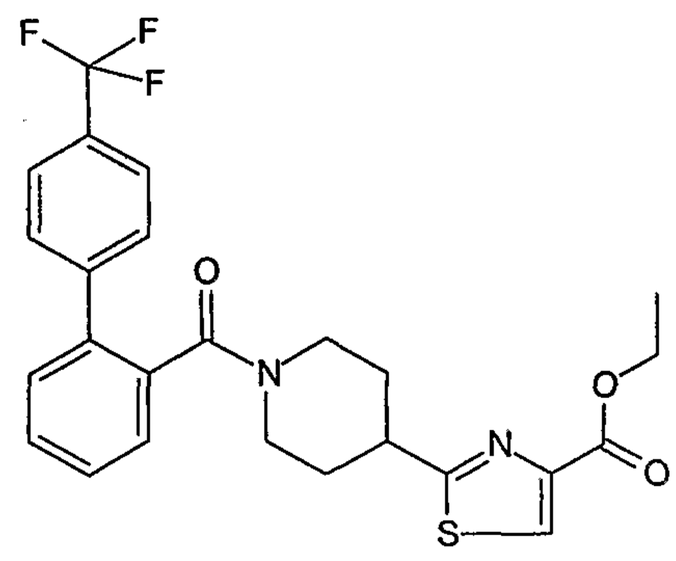
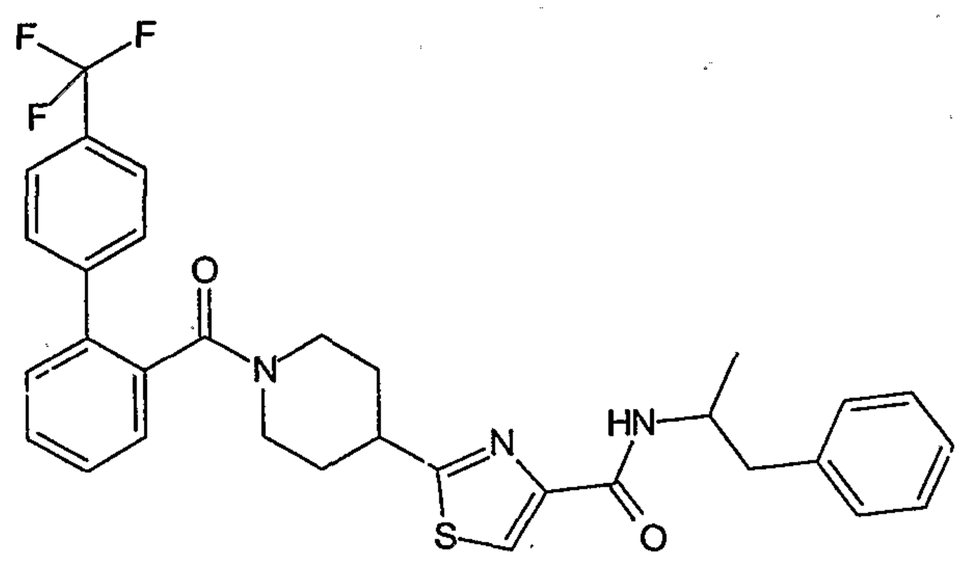
TABLE 1

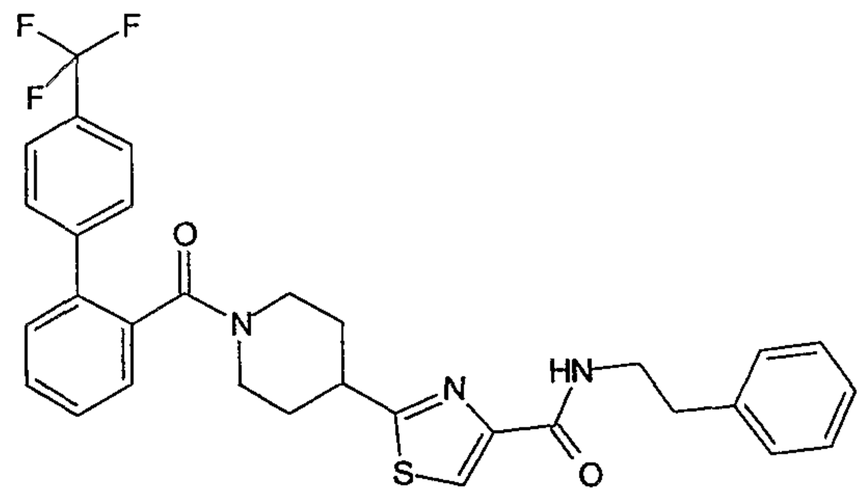
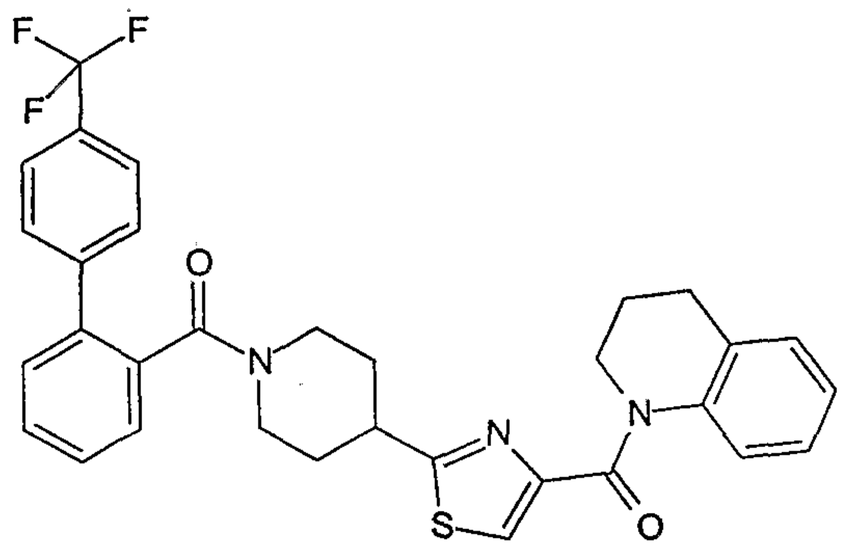
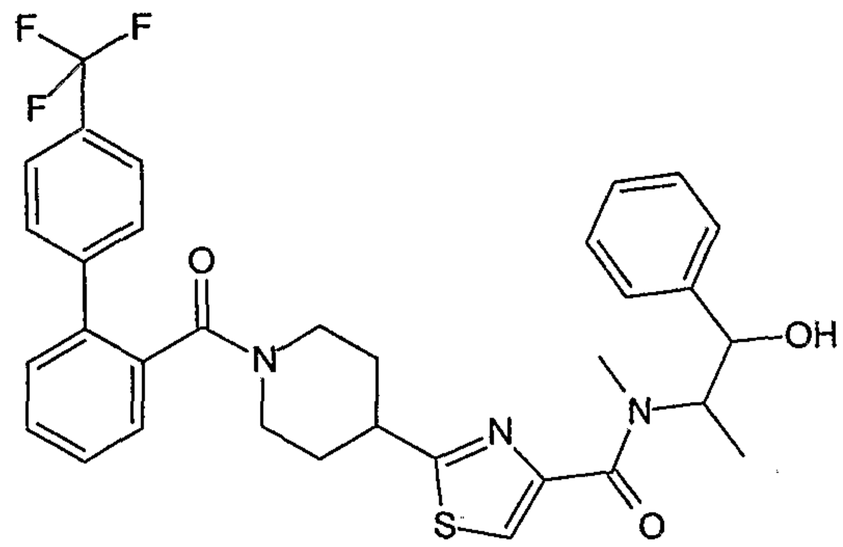
No.	FORMULA	NMR or mass
1		(CDCl3) : 1.27-1.22 (19H, m) ; 1.25-1.23 (1H, m) ; 1.27-1.24 (1H, m) ; 1.25-1.27 (13H, m).
2		(CDCl3) : 1.29-1.24 (16H, m) ; 1.29-1.24 (1H, m) ; 1.29-1.26 (9H, m).
2d		(DMSO-d6) : 1.23-1.29 (8H, m) ; 1.23-1.28 (1H, m) ; 1.21-1.20 (8H, m) ; 1.28-1.20 (1H, m) ; 11.29 (1H, s large).

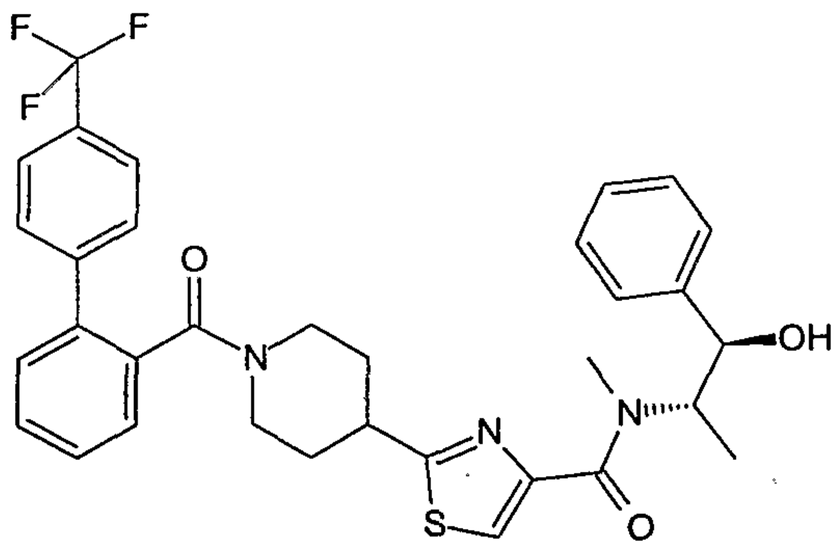
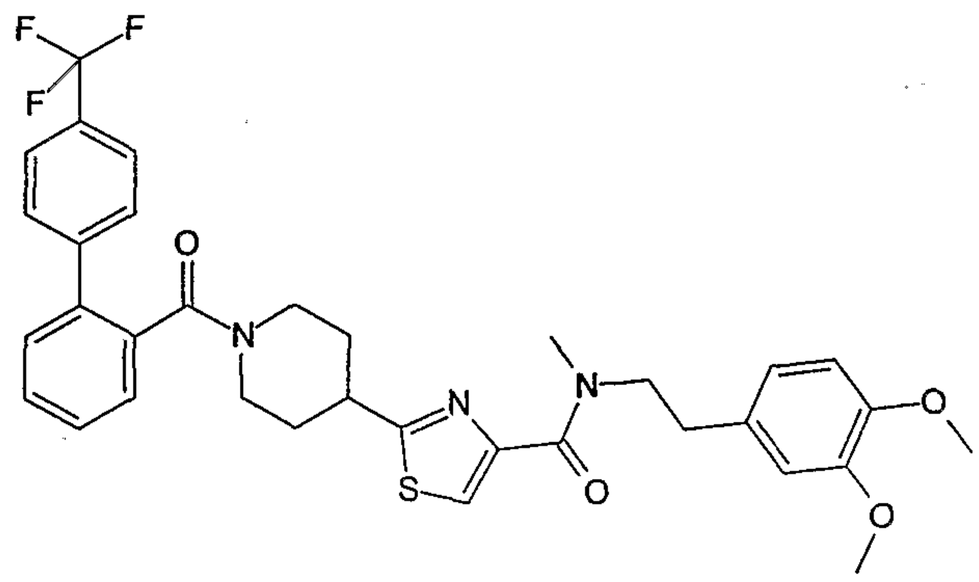
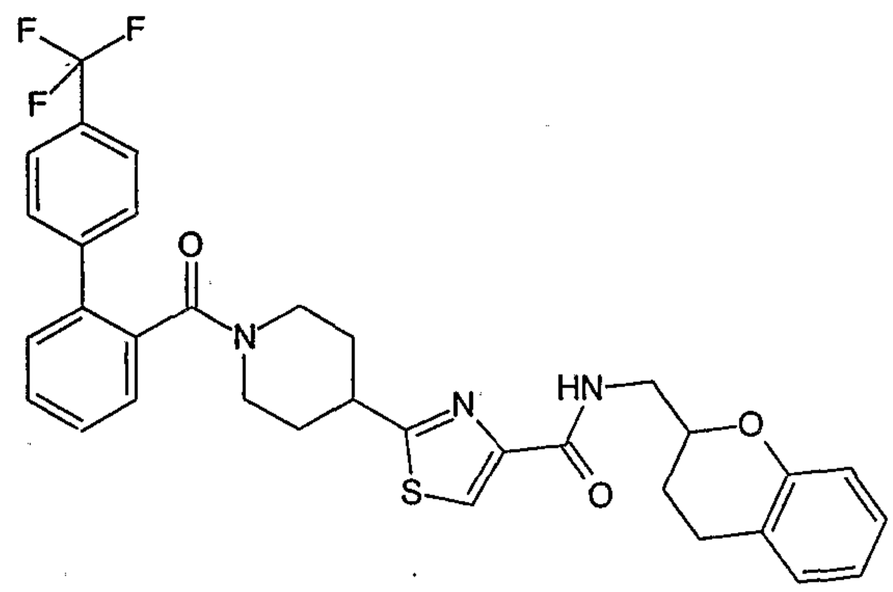
No.	FORMULA	NMR or mass
3		(CDCl3) : 1.29-,129 (3H, m) ; 1.29-1.25 (3H, m) ; 1.29-1.27 (7H, m) ; 1.21-1.22 (4H, m) ; 1.28-1.27 (1H, m) ; 1.20-1.25 (14H, m).
4		(CDCl3) : 1.20-1.25 (3H, d, J = 1.2 Hz) ; 1.27-1.28 (12H, m) ; 1.27-1.29 (1H, m) ; 1.28-1.20 (14H, m).
5		(CDCl3) 1.23-1.24 (3H, d, J = 1.2 Hz) ; 1.26-1.20 (11H, m) ; 1.21-1.20 (1H, m) ; 1.21-1.23 (1H, m) ; 1.29-1.20 (14H, m).

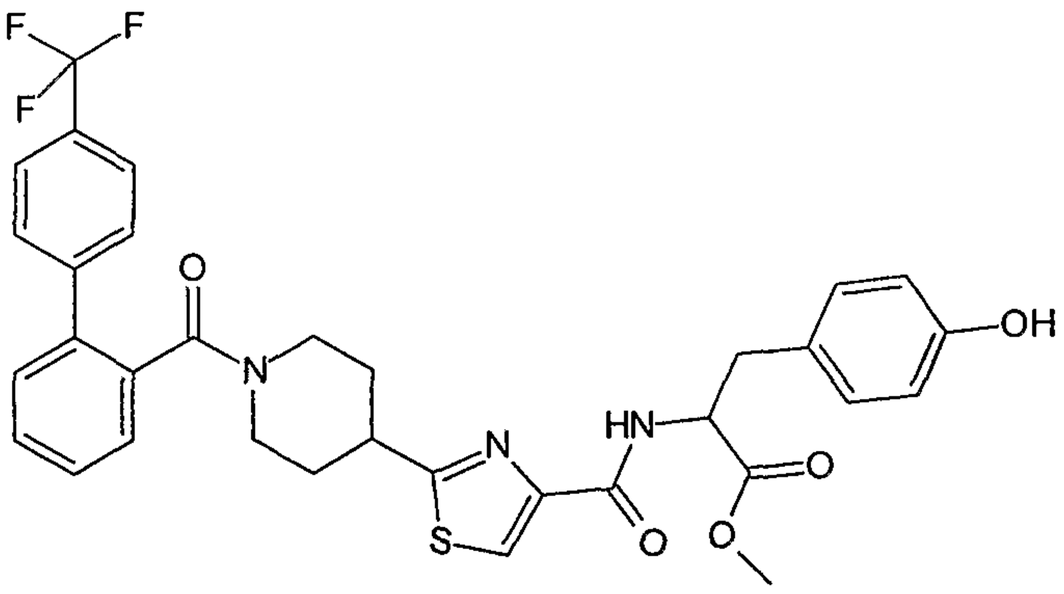
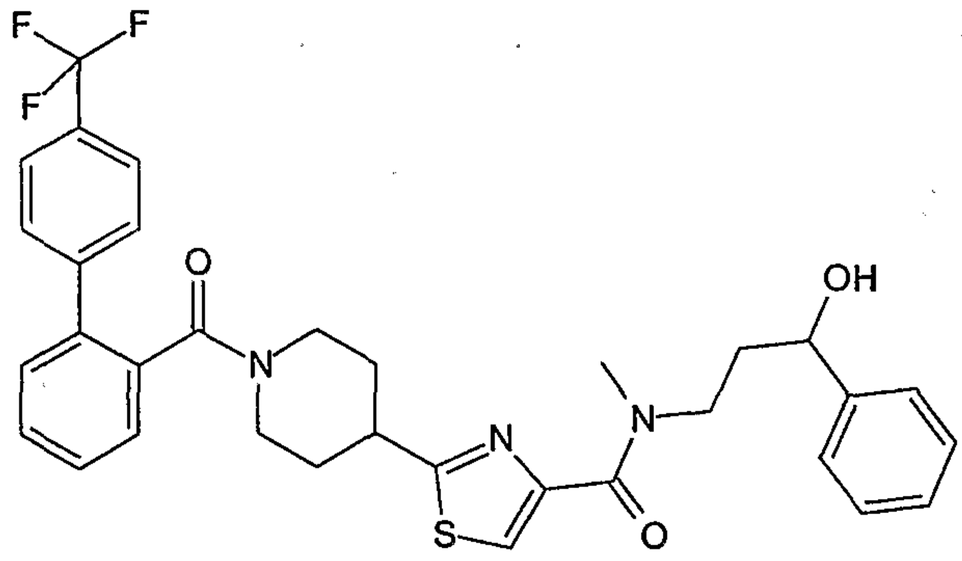
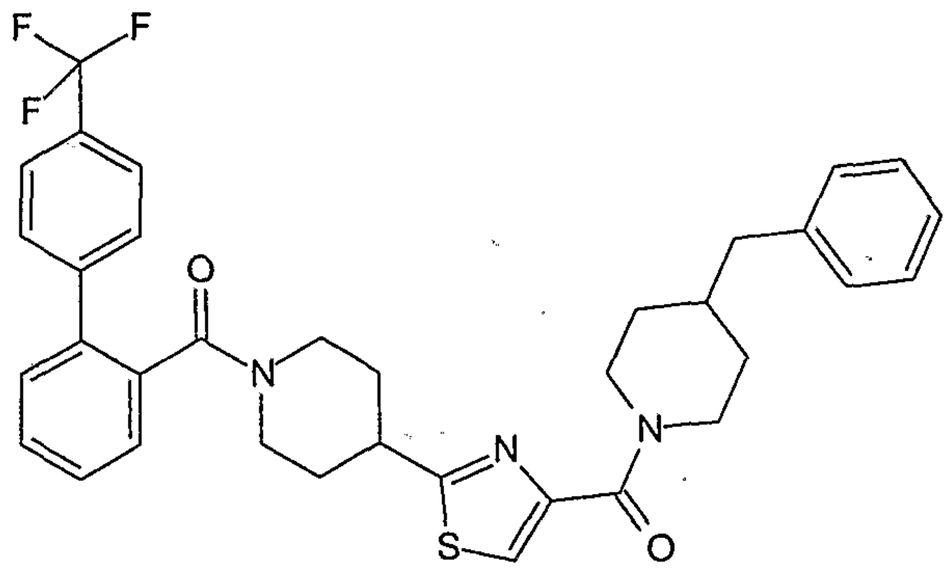
No.	FORMULA	NMR or mass
6		(CDCl3) : 1.23-1.24 (16H, m) ; 1.28-1.22 (1H, m) ; 1.21-1.24 (1H, m) ; 1.21-1.28 (13H, m).
7	 N-(7-oxo-7H-thieno[3,2-b]pyran-6-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	LC-MS : (ES+) 701.2 (M+H)
8		LC-MS : (ES+) 661.2 (M+H)

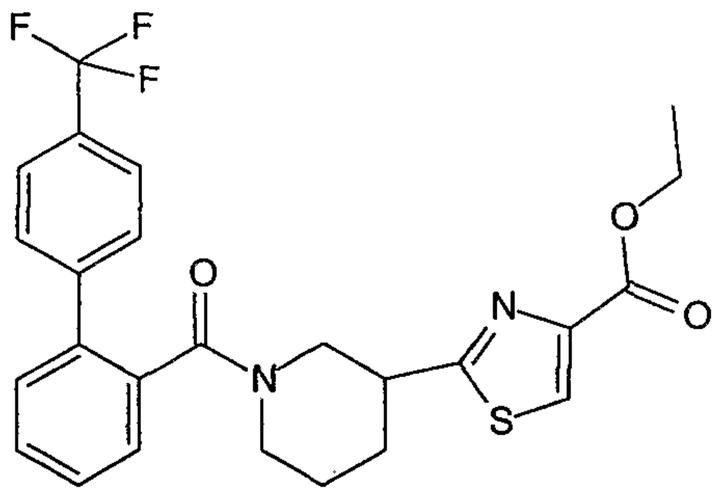
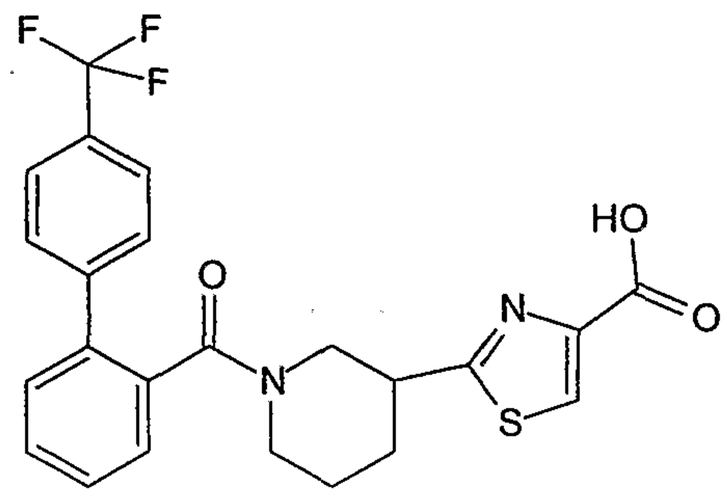
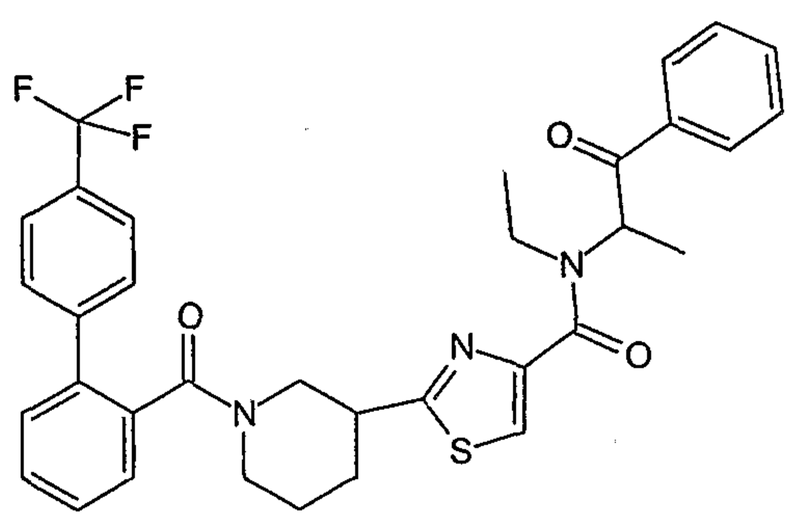
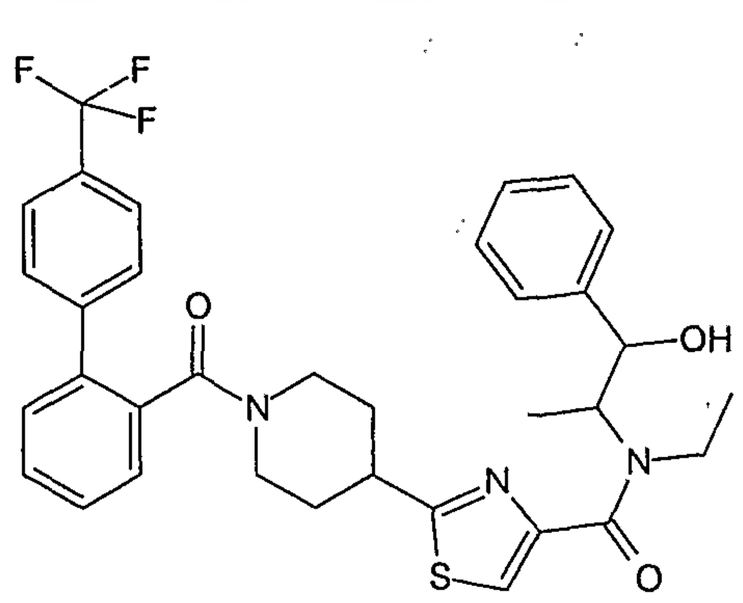
No.	FORMULA	NMR or mass
9		
10		
11		
12		LC-MS : (ES+) 651.2 (M+H)

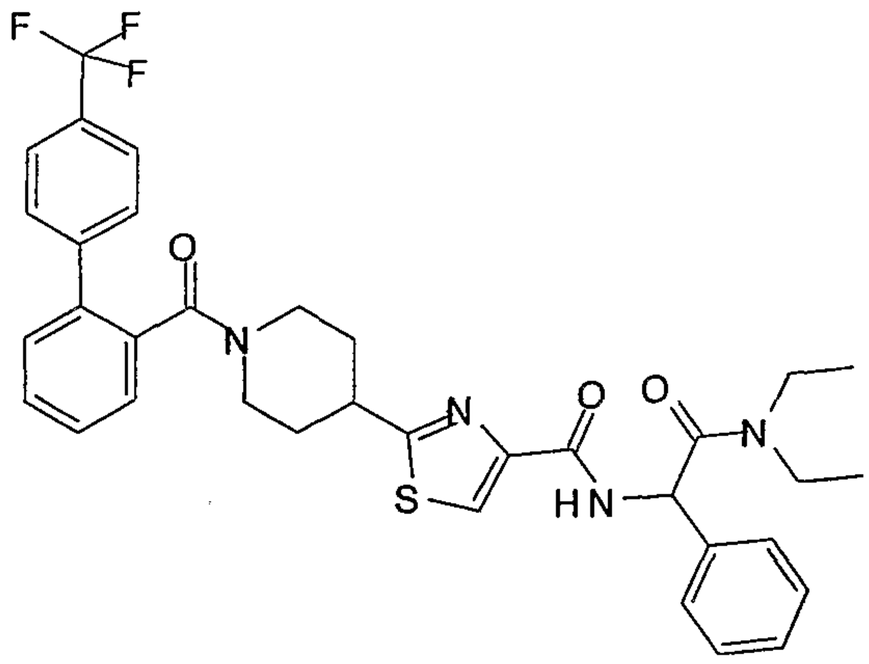
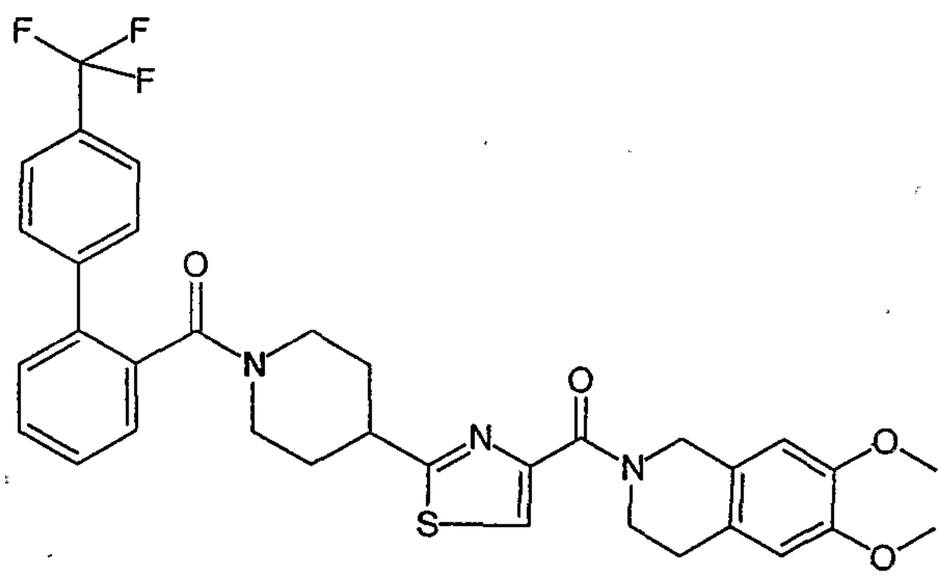
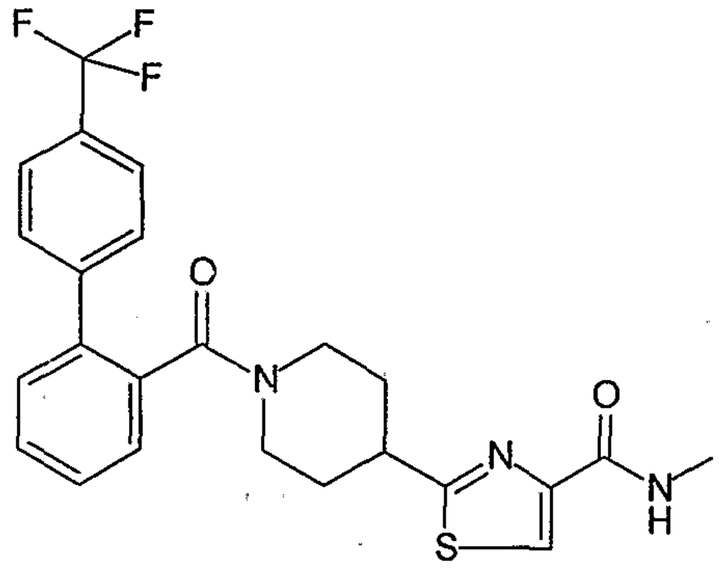
No.	FORMULA	NMR or mass
13		(CDCl3) : 1.25-1.21 (14H, m) ; 1.27-1.25 (1H, m) ; 1.29-1.24 (1H, m) ; 1.20-1.21 (9H, m) ; 1.23-1.25 (2H, m) ; 1.20-1.29 (1H, m).
14		(DMSO-d6) : 1.27 (3H, t, J = 1.2 Hz) ; 1.21-1.22 (4H, m) ; 1.22-1.27 (4H, m) ; 1.26 (4H, q, J = 1.2 Hz) ; 1.26-1.26 (1H, m) ; 1.20-1.28 (8H, m) ; 1.29 (1H, s).
15		(CDCl3) : 1.28 (3H, d, J = 1.2 Hz) ; 1.26-1.24 (4H, m) ; 1.21-1.23 (5H, m) ; 1.25-1.28 (1H, m) ; 1.28-1.29 (1H, m) ; 1.23-1.27 (1H, m) ; 1.29-1.26 (14H, m) ; 1.22 (1H, s).

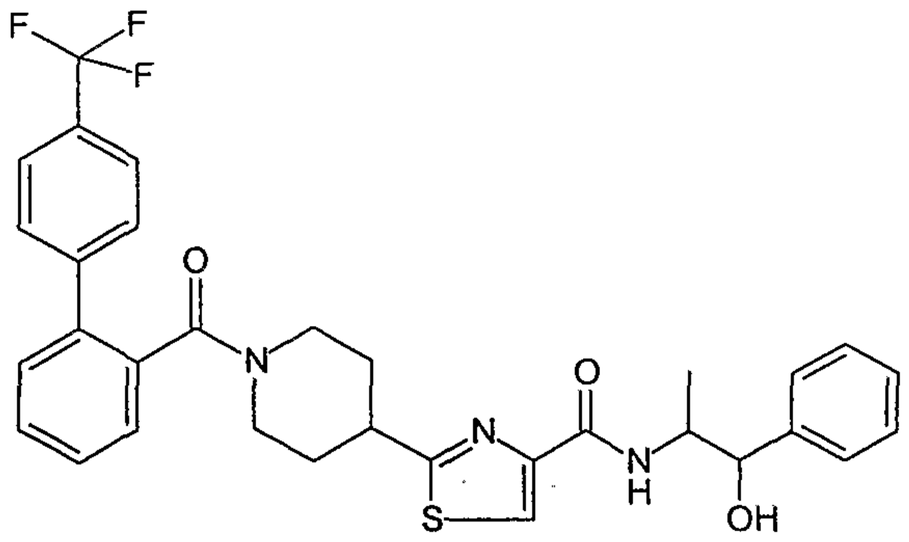
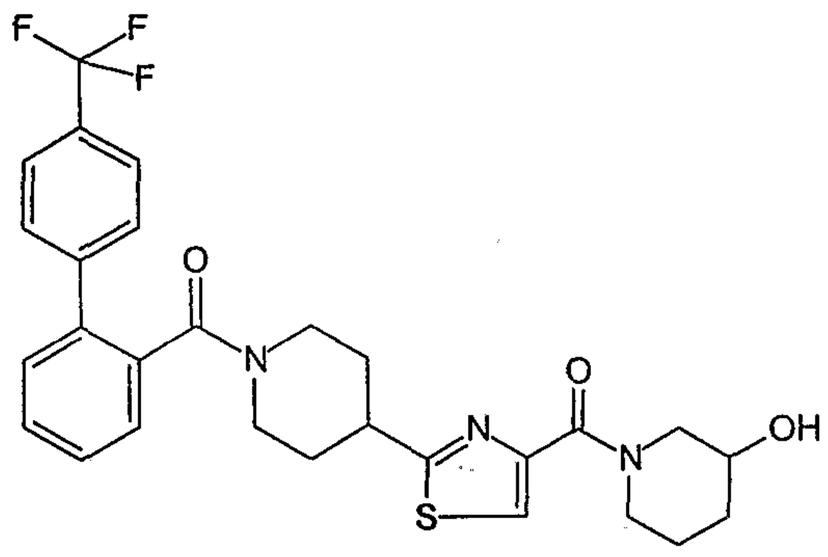
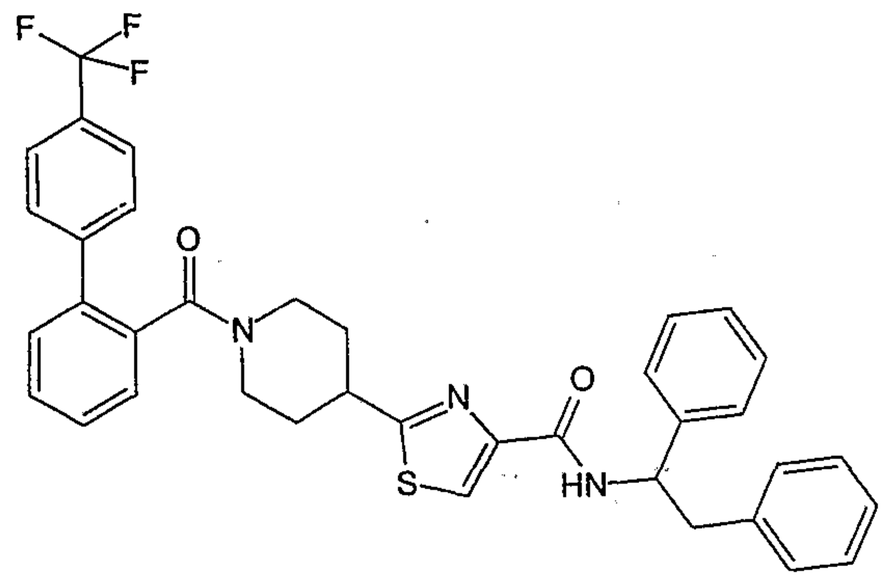
No.	FORMULA	NMR or mass
16		(CDCl3) : 1.27-1.24 (2H, m) ; 1.20-1.27 (6H, m) ; 1.21-1.20 (4H, m) ; 1.20-1.23 (1H, m) ; 1.27-1.26 (7H, m) ; 1.26-1.26 (7H, m) ; 1.27-1.28 (1H, m) .
17		(CDCl3) : 1.26-1.26 (2H, m) ; 1.22-1.28 (4H, m) ; 1.22-1.28 (8H, m) ; 1.29-1.24 (1H, m) ; 1.20-1.23 (5H, m) ; 1.21-1.23 (8H, m) .
18		(CDCl3) : 1.21 (3H, s) ; 1.23 (3H, s) ; 1.24-1.24 (7H, m) ; 1.25-1.26 (1H, m) 1.26-1.28 (3H, m) ; 1.23-1.21 (14H, m)

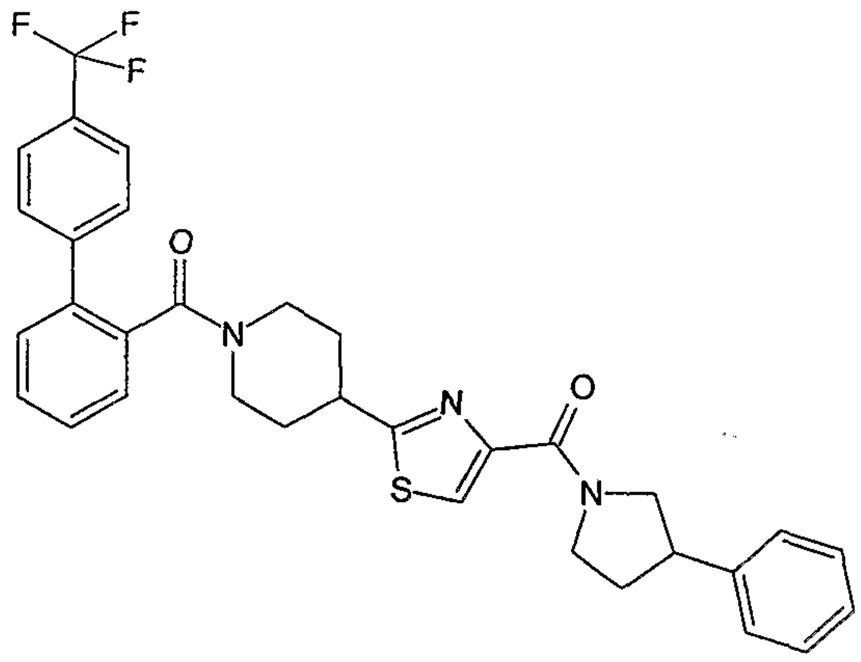
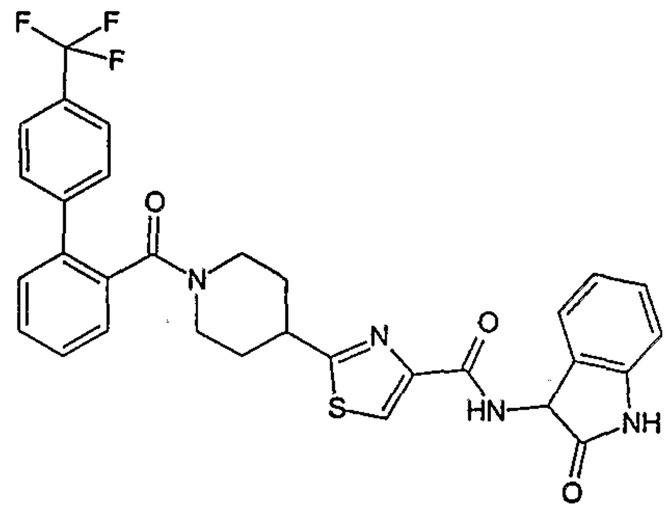
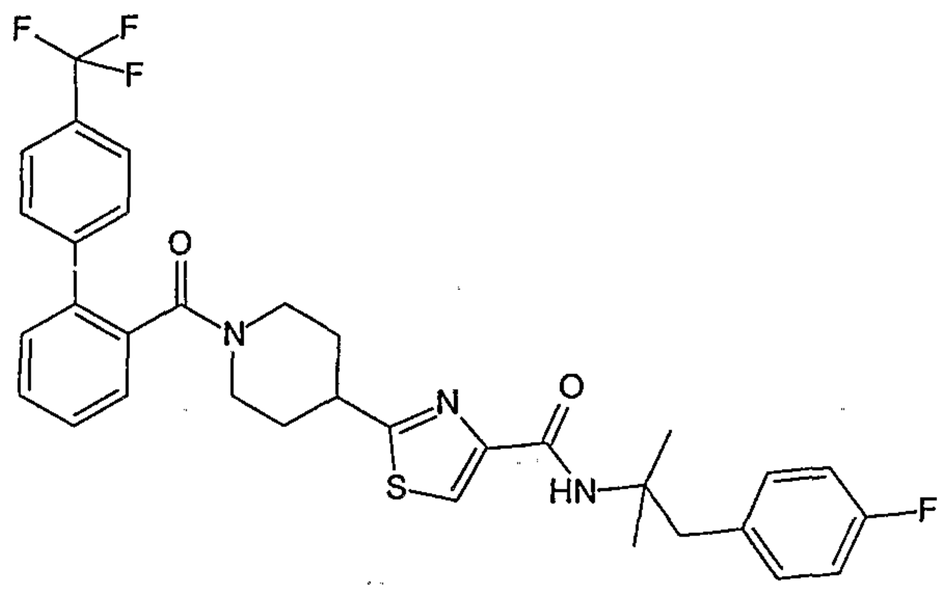
No.	FORMULA	NMR or mass
19		(CDCl3) ; 1.25-1.20 (3H, m) ; 1.23-1.22 (10H, m) ; 1.23-1.21 (1H, m) ; 1.26-1.23 (1H, m) ; 1.23-1.26 (2H, m) ; 1.28-1.26 (14H, m).
20		(CDCl3) : 1.20-1.28 (12H, m) ; 1.28-1.25 (1H, m) ; 1.20-1.27 (8H, m) ; 1.27-1.24 (1H, m) ; 1.29-1.26 (3H, m) ; 1.21-1.25 (9H, m).
21		(CDCl3) ; 1.27-1.27 (4H, m) ; 1.21-1.21 (6H, m) ; 1.23-1.22 (3H, m) ; 1.21-1.27 (2H, m) ; 1.24-1.26 (1H, m) ; 1.29-1.28 (5H, m) ; 1.22-1.26 (8H, m) ; 1.29-1.22 (1H, m).

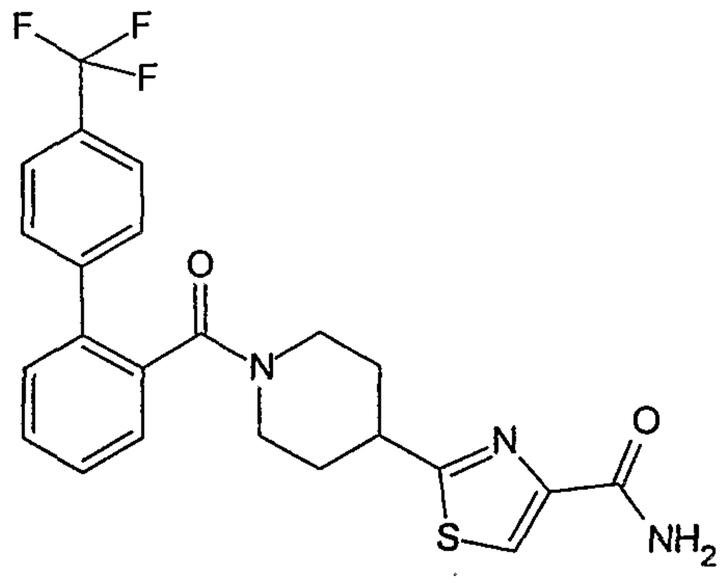
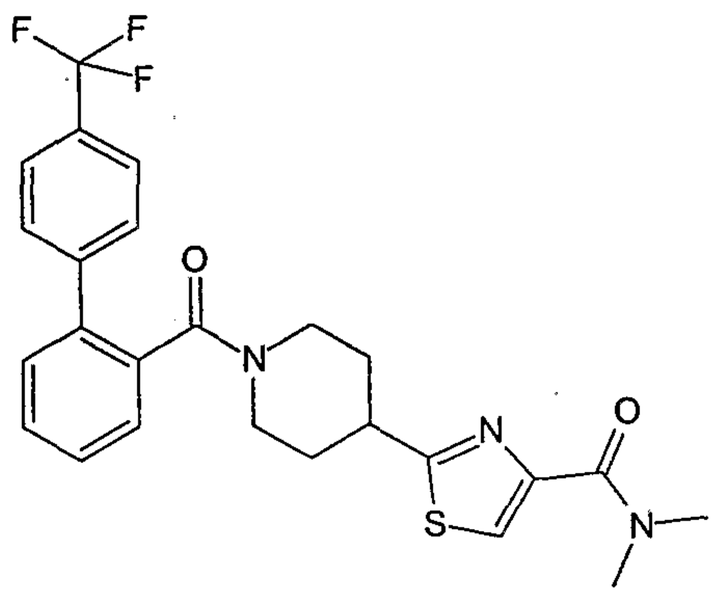
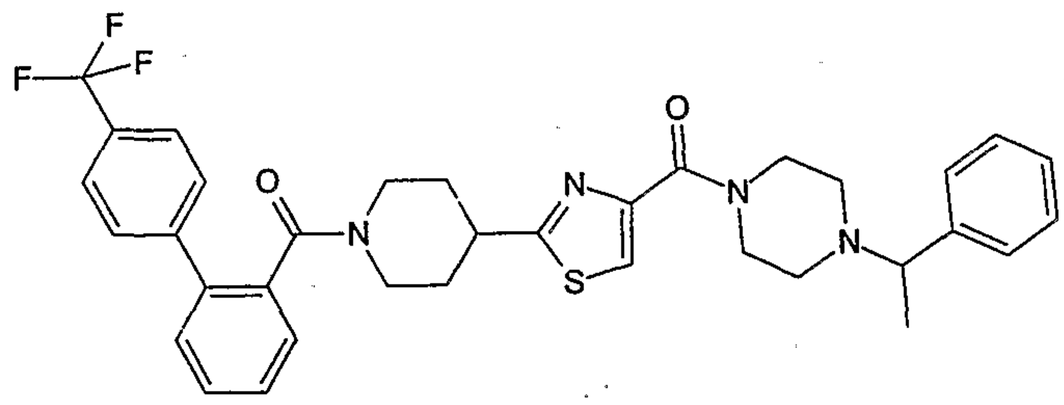
No.	FORMULA	NMR or mass
22		(CDCl3) : 1.24-1.21 (4H, m) ; 1.20-1.24 (6H, m) ; 1.29-1.26 (3H, m) ; 1.29-1.24 (1H, m) ; 1.24-1.20 (1H, m) ; 1.24-1.28 (2H, m) ; 1.20-1.26 (2H, m) ; 1.22-1.25 (9H, m) ; 1.21-1.20 (1H, m).
23		(CDCl3) : 1.27-1.28 (4H, m) ; 1.29-1.26 (2H, m) ; 1.26 (3H, s) ; 1.24-1.26 (4H, m) ; 1.28-1.22 (2H, m) ; 1.21-1.28 (3H, m) ; 1.26-1.29 (14H, m).
24		(CDCl3) : 1.26-1.28 (7H, m) ; 1.22-1.25 (10H, m) ;: 1.21-1.25 (3H, m) ; 1.21-1.24 (7H, m) ; 1.25-1.25 (7H, m).

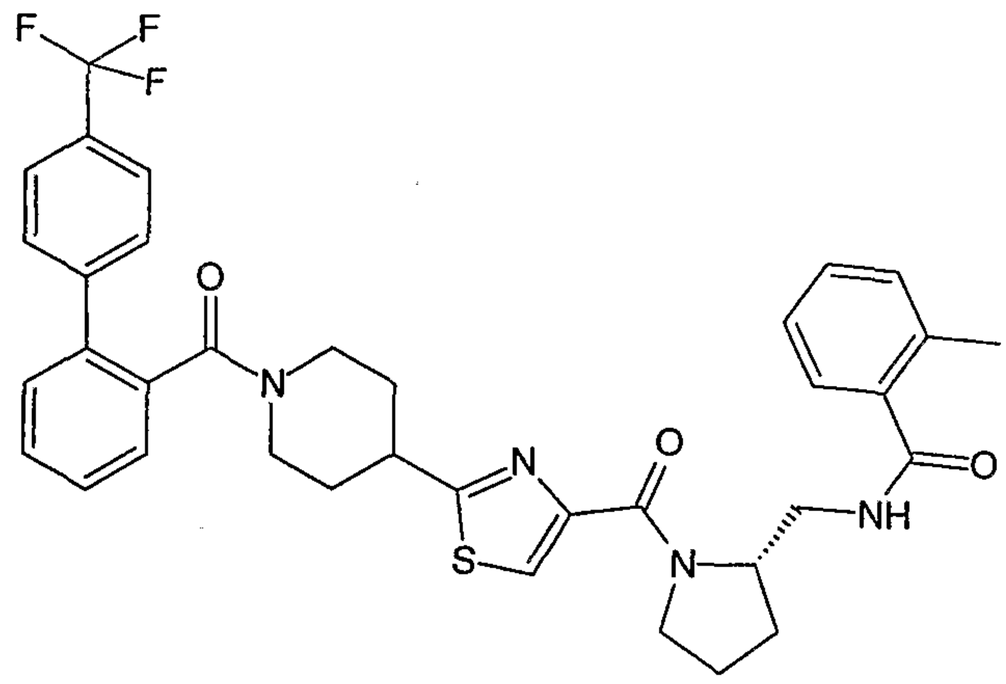
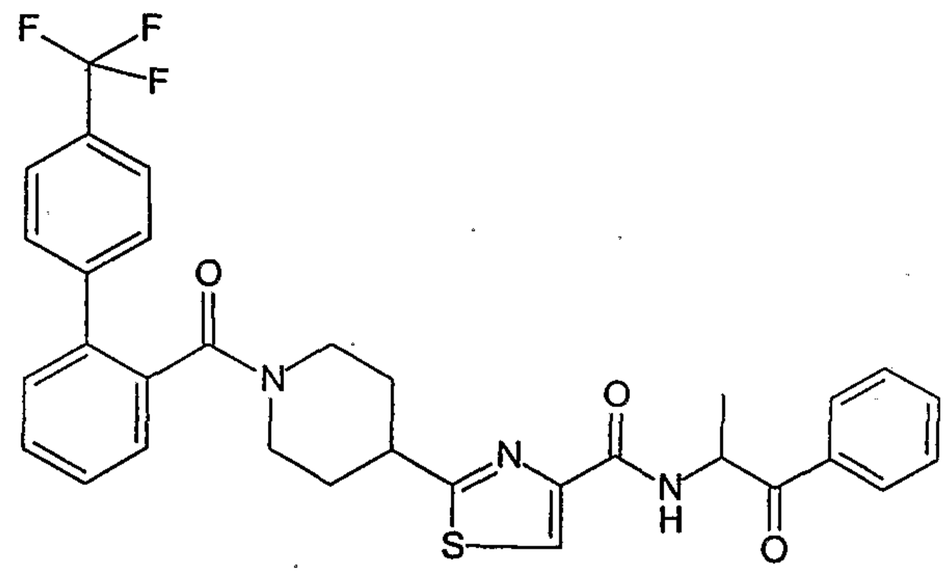
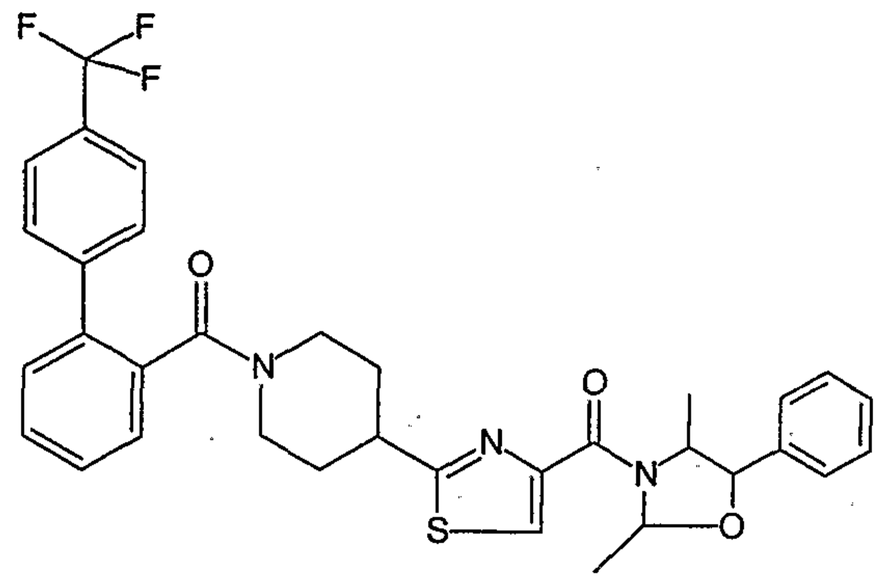
No.	FORMULA	NMR or mass
25		(DMSO-d6) : 1.28-1.27 (3H, m) ; 1.20-1.24 (8H, m) ; 1.21-1.28 (3H, m) ; 1.27-1.26 (8H, m) ; 1.24-1.22 (1H, m).
26		(DMSO-d6) : 1.24-1.22 (4H, m) ; 1.24-1.22 (4H, m) ; 1.29-1.20 (1H, m) ; 1.29-1.24 (8H, m) ; 1.23-1.22 (1H, m) ; 11.27 (1H, s large).
27		(DMSO-d6) : 1.24-1.25 (6H, m) ; 1.23-1.25 (10H, m) ; 1.20-1.24 (1H, m) ; 1.28-1.26 (1H, m) ; 1.23-1.27 (14H, m).
28		(CDCl3) : 1.29-1.23 (6H, m) ; 1.27-1.21 (10H, m) ; 1.23-1.28 (3H, m) ; 1.24-1.24 (1H, m large) ; 1.22-1.22 (14H, m).

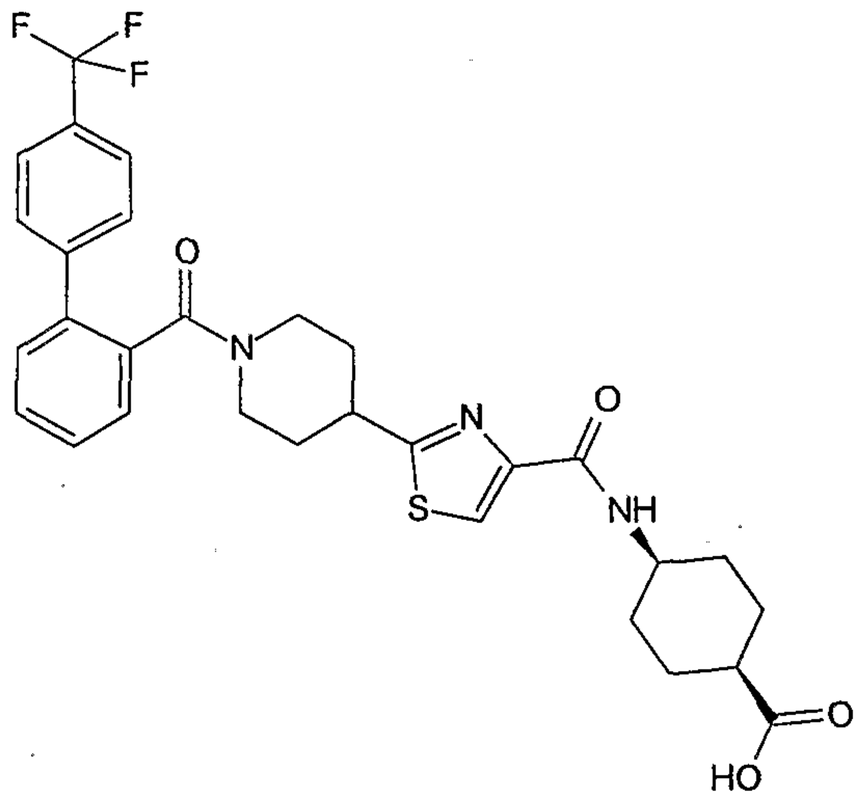
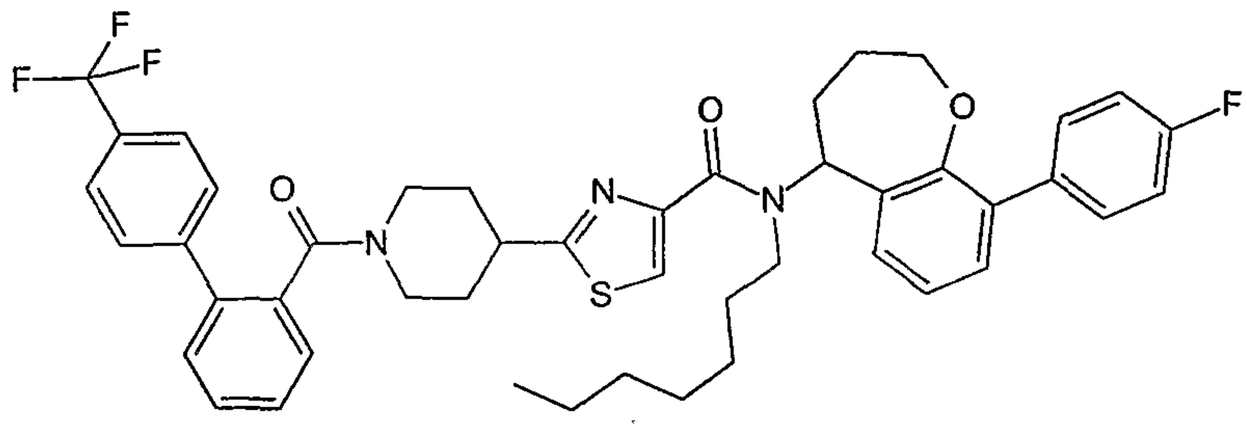
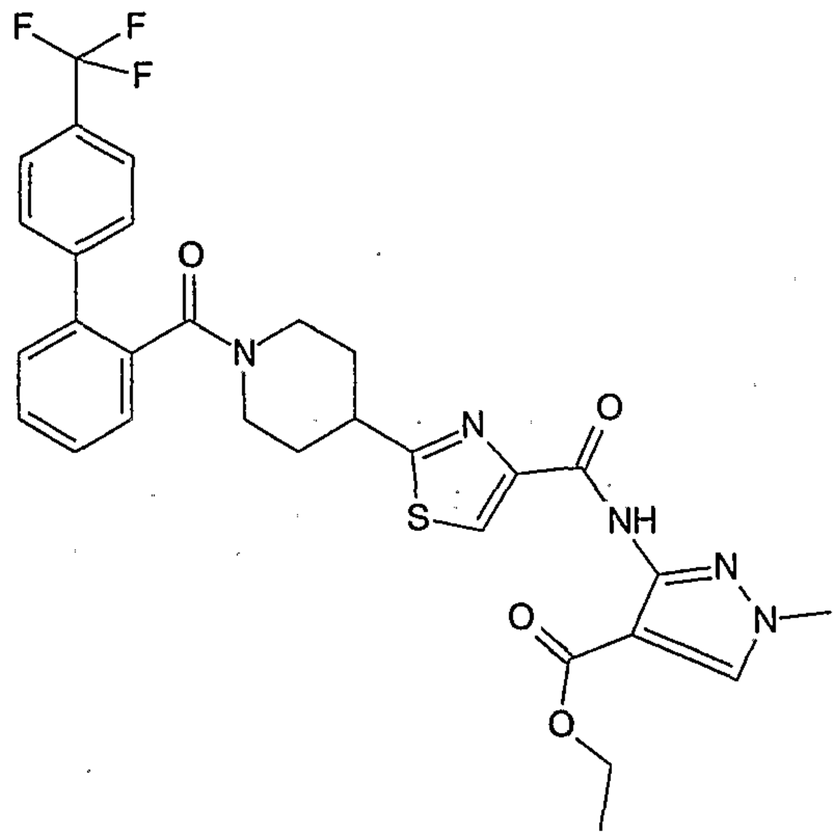
No.	FORMULA	NMR or mass
29	 N-[2-(diethylamino)-2-oxo-1-phenylethyl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	(DMSO-d6) : 1.22-1.26 (18H, m) ; 1.23-1.28 (1H, m) ; 1.20-1.22 (1H, m) ; (15H, m).
30		(CDCl3) : 1.20-1.21 (8H, m) ; 1.26-1.27 (1H, m) ; 1.28-1.27 (1H, m) ; 1.27-1.27 (8H, m) ; 1.20-1.25 (3H, m) ; 1.22 (1H, s) ; 1.24-1.29 (10H, m).
31		(CDCl3) : 1.22-1.25 (3H, m) ; 1.27-1.27 (7H, m) ; 1.24-1.20 (1H, m) ; 1.28-1.21 (1H, m) ; 1.25-1.22 (9H, m) ; 1.22-1.28 (1H, m).

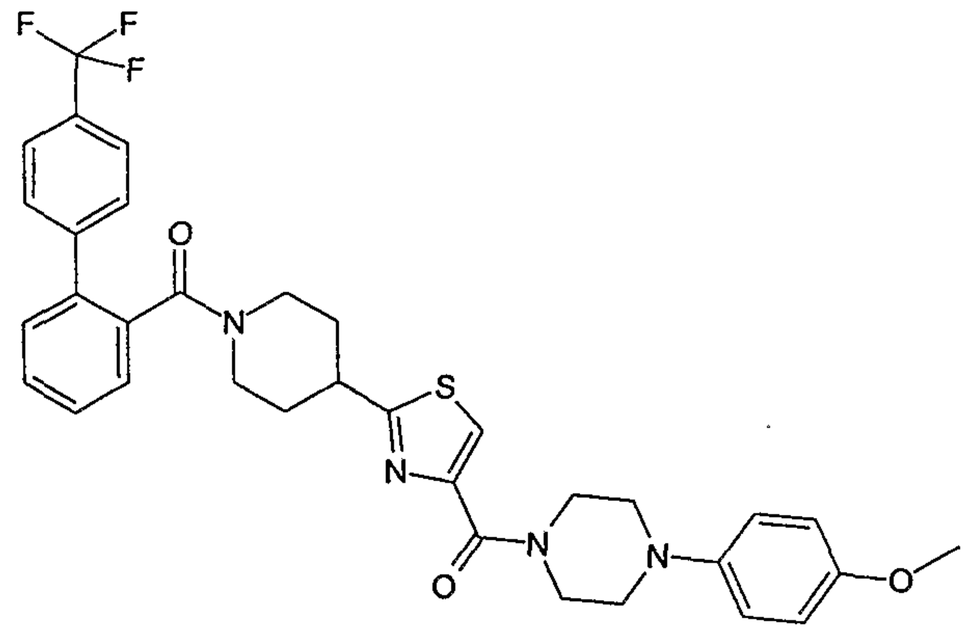
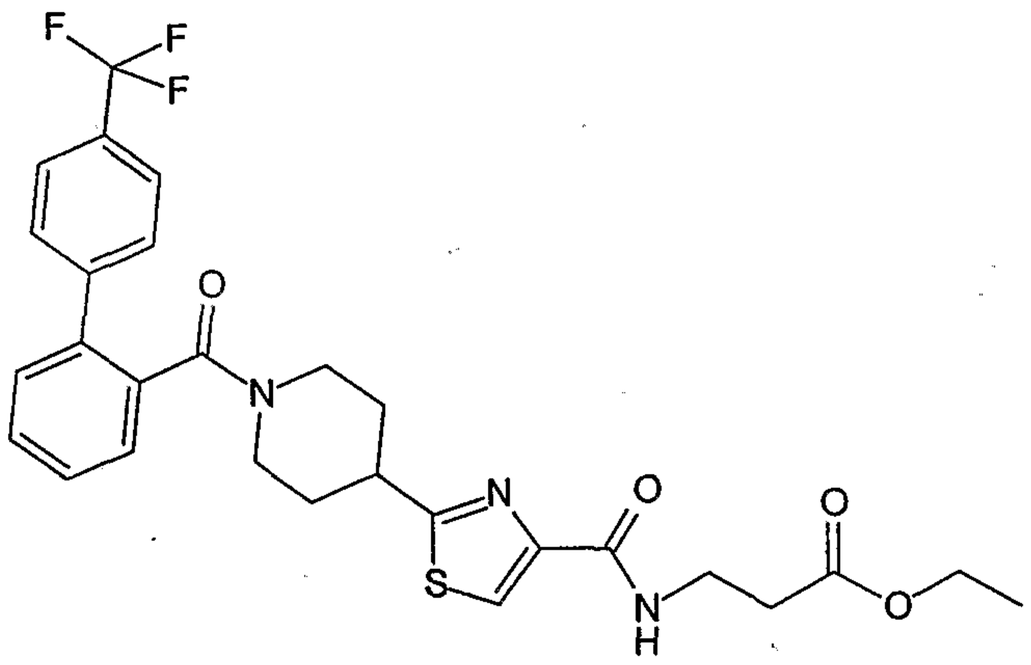
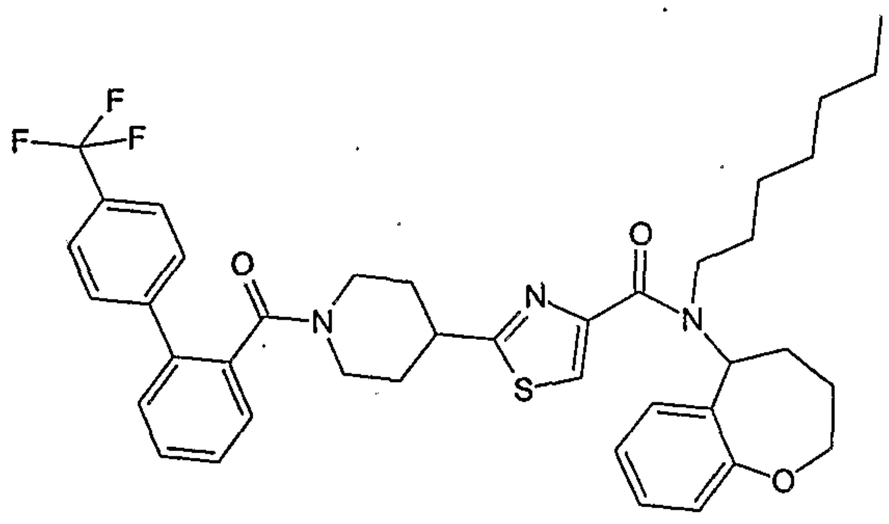
No.	FORMULA	NMR or mass
32		(CDCl3) : 1.21-1.26 (3H, m) ; 1.23-1.27 (6H, m) ; 1.26-1.24 (2H, m) ; 1.29-1.21 (1H, m) ; 1.22-1.24 (1H, m) ; 1.26-1.22 (1H, m) ; 1.24-1.20 (15H, m) ; 1.28-1.21 (1H, m).
33		(CDCl3) : 1.23-1.25 (9H, m) ; 1.29-1.29 (4H, m) ; 1.29-1.24 (2H, m) ; 1.28-1.29 (3H, m) ; 1.23-1.27 (10H, m).
34		(CDCl3) : 1.24-1.28 (7H, m) ; 1.20-1.29 (3H, m) ; 1.26-1.22 (1H, m) ; 1.26-1.25 (1H, m) ; 1.29-1.26 (20H, m).

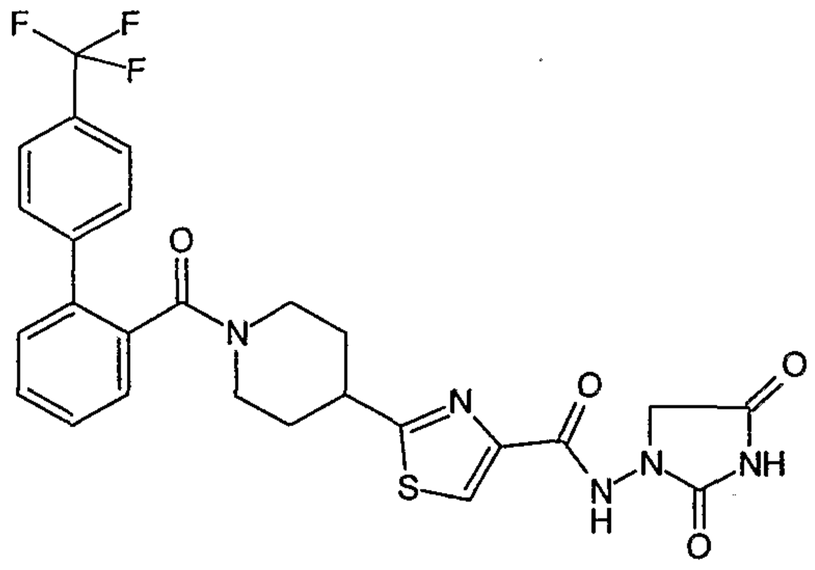
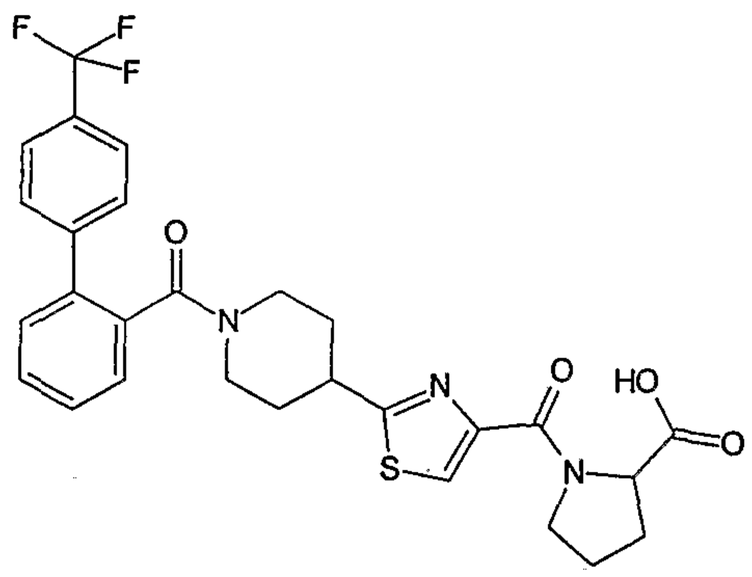
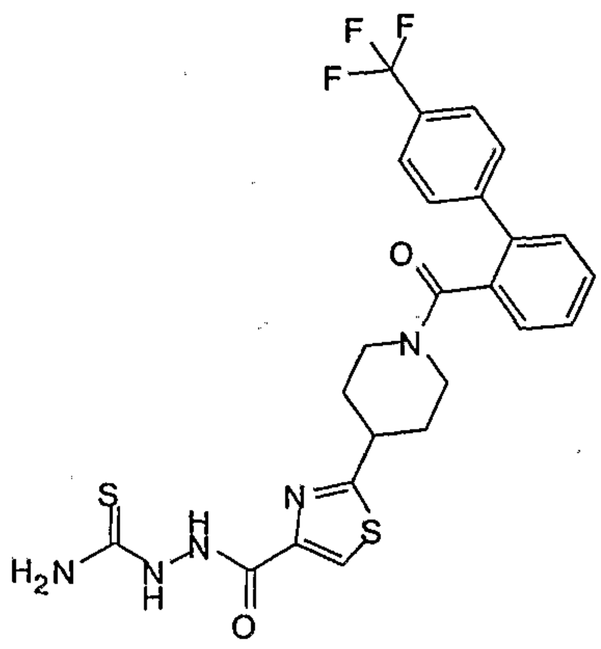
No.	FORMULA	NMR or mass
35		(CDCl3) : 1.29-1.24 (8H, m) ; 1.25-1.23 (7H, m) ; 1.26-1.25 (1H, m) ; 1.27-1.27 (13H, m) ; 1.28-1.22 (1H, m).
36	 N-(2-oxo-2,3-dihydro-1H-indol-3-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	(CDCl3) : 1.22-1.29 (7H, m) ; 1.291.26 (2H, m) ; 1.26-1.21 (1H, m) ; 1.28-1.25 (15H, m).
37	 N-[2-(4-fluorophenyl)-1,1-dimethylethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	(CDCl3) : 1.21 (6H, s) ; 1.20-1.23 (7H, m) ; 1.26-1.20 (2H, m) ; 1.22-1.23 (1H, m) ; 1.22-1.20 (1H, m) ; 1.26-1.24 (13H, m) ; 1.23 (1H, s).

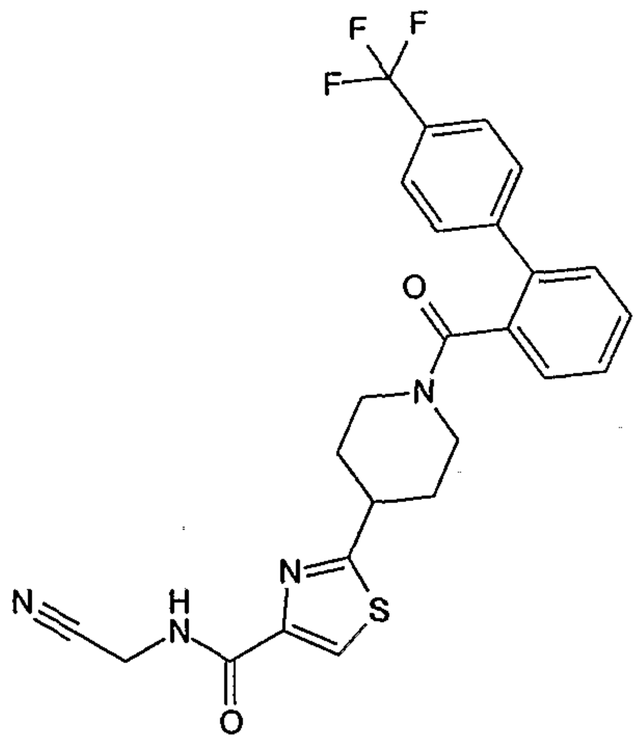
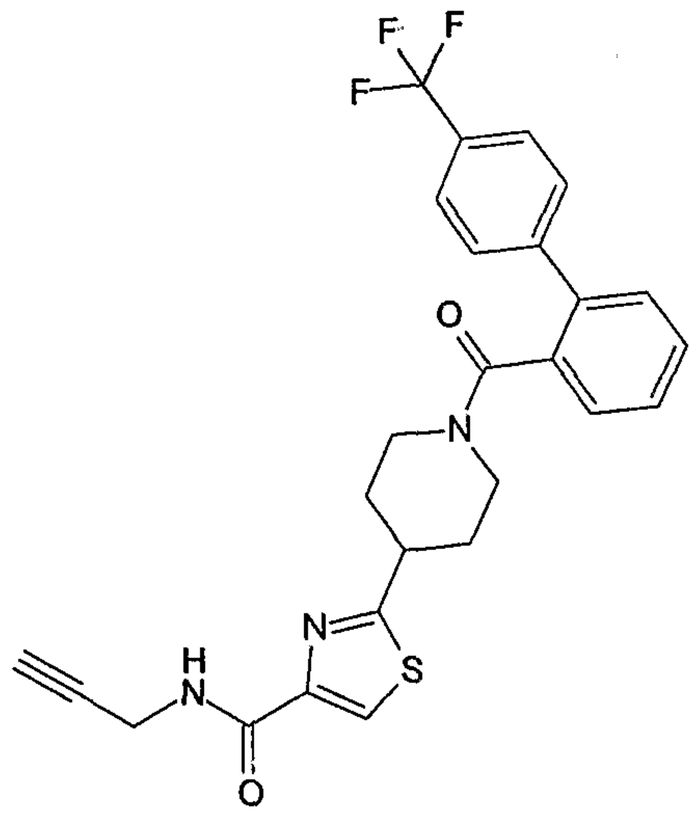
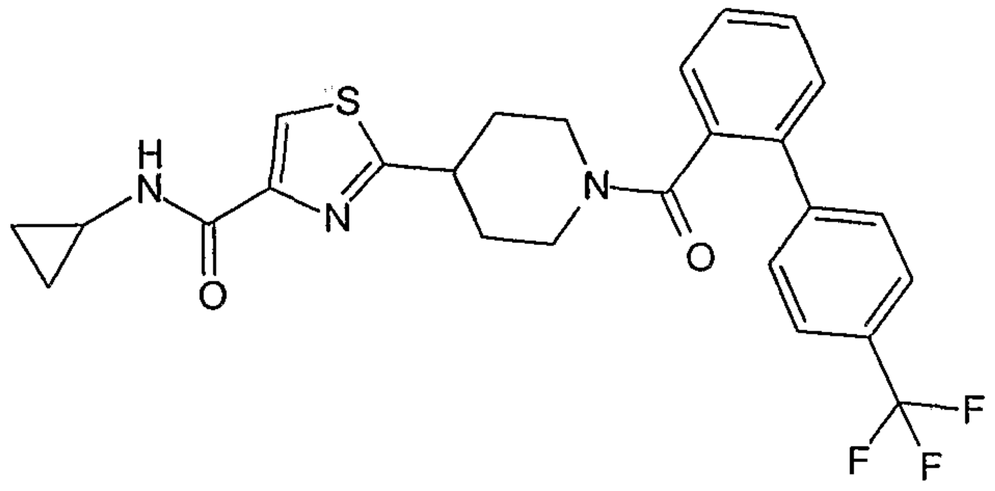
No.	FORMULA	NMR or mass
38		(CDCl3) : 1.21-1.23 (7H, m) ; 1.26-1.28 (1H, m) ; 1.20-1.28 (1H, m) ; 1.22 (1H, s large) ; 1.29 (1H, s large) ; 1.23-1.27 (8H, m) ; 1.26-1.22 (1H, m).
39		(CDCl3) : 1.24-1.24 (6H, m) ; 1.24-1.24 (7H, m) ; 1.24-1.23 (1H, m) ; 1.28-1.25 (1H, m) ; 1.21-1.25 (9H, m).
40	 1-(1-phenylethyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	(CDCl3) : 1.27-1.24 (3H, m) ; 1.26-1.21 (11H, m) ; 1.28-1.23 (2H, m) ; 1.23-1.27 (4H, m) ; 1.20-1.24 (1H, m) ; 1.24-1.26 (14H, m).

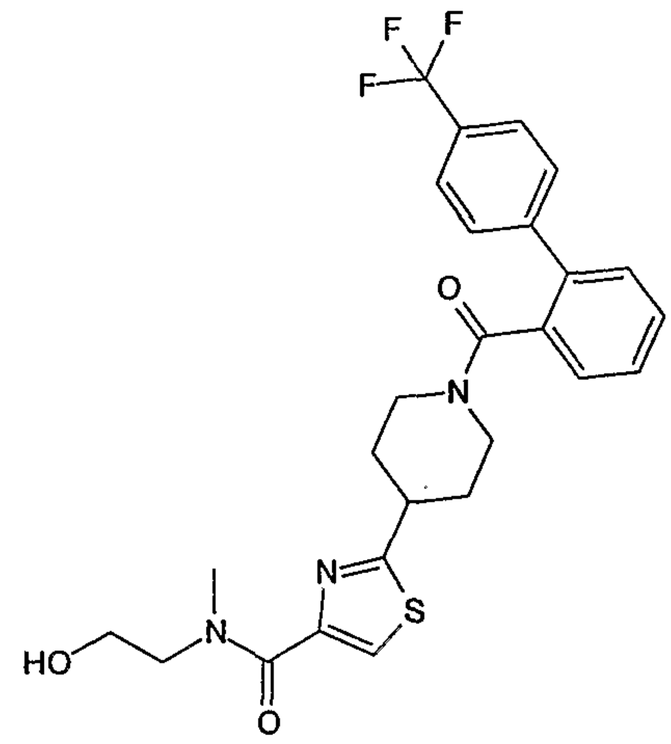
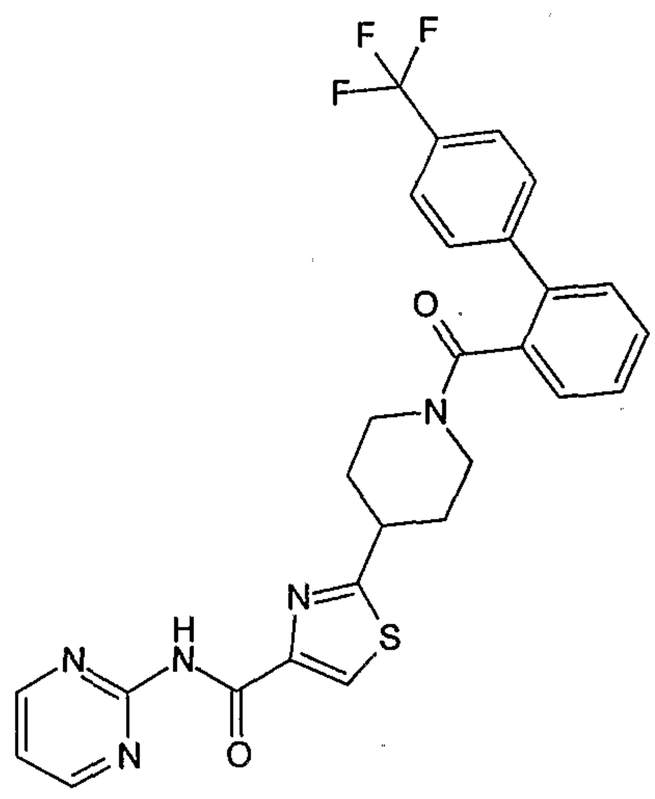
No.	FORMULA	NMR or mass
41		(CDCl3) : 1.23-1.22 (17H, m) ; 1.29-1.27 (2H, m) ; 1.22-1.29 (2H, m) ; 1.22-1.21 (2H, m) ; 1.23-1.20 (12H, m).
42		(CDCl3) : 1.25-1.26 (7H, m) ; 1.26-1.22 (5H, m) ; 1.25-1.26 (1H, m) ; 1.23-1.20 (13H, m) ; 1.28-1.22 (2H, m).
43		(CDCl3) : 1.28-1.27 (14H, m) ; 1.291.21 (4H, m) ; 1.22-1.24 (14H, m).

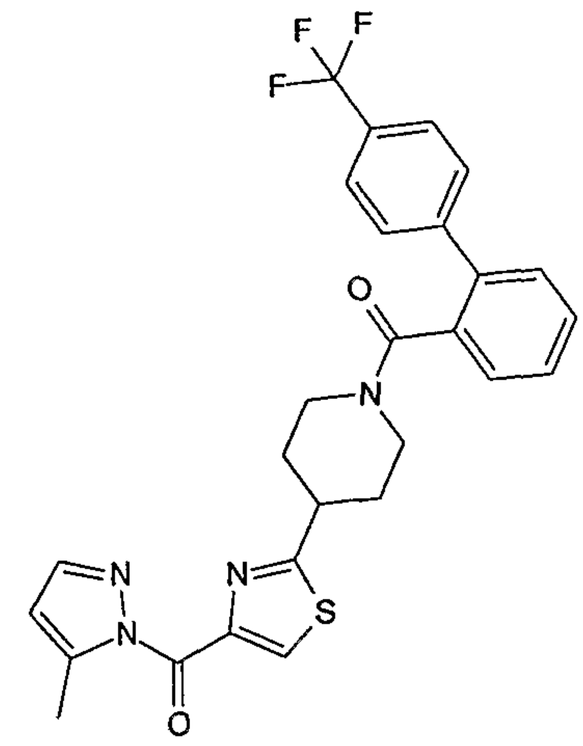
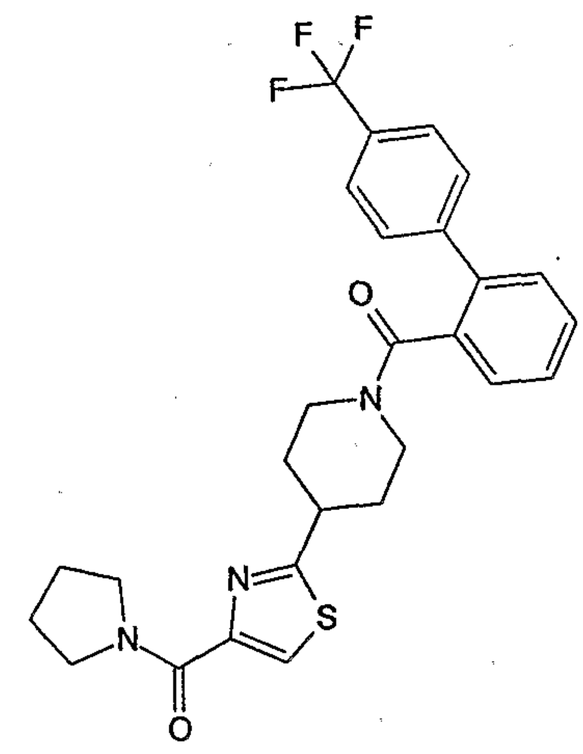
No.	FORMULA	NMR or mass
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45		
46		

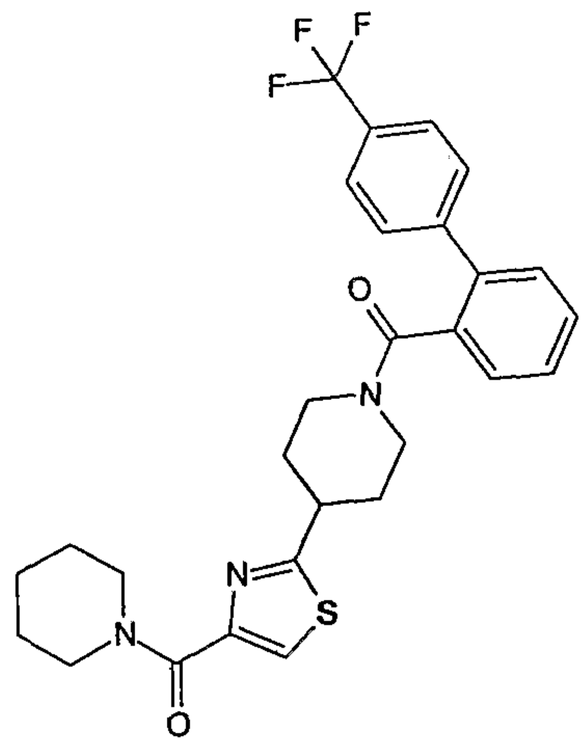
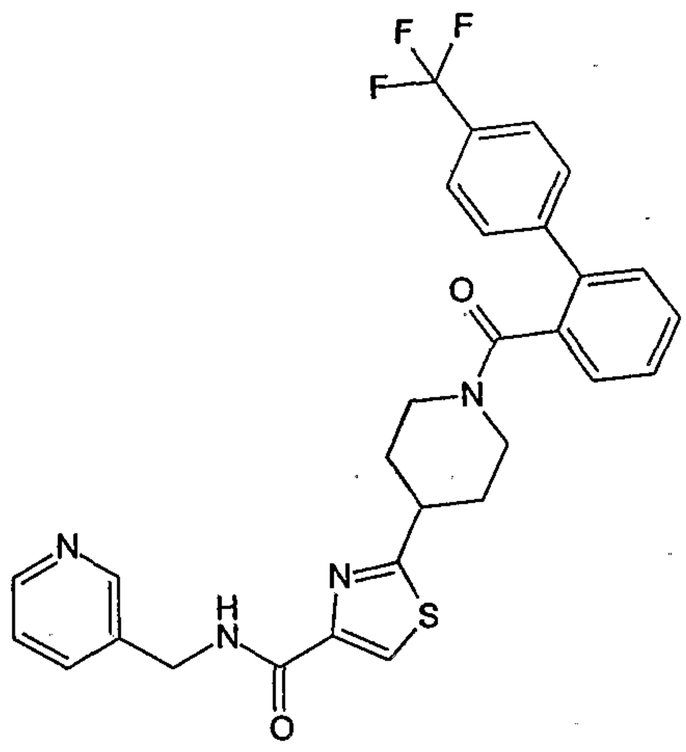
No.	FORMULA	NMR or mass
47	 1-(4-methoxyphenyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	
48		
49	 N-heptyl-N-(2,3,4,5-tetrahydro-1-benzoxepin-5-yl)-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

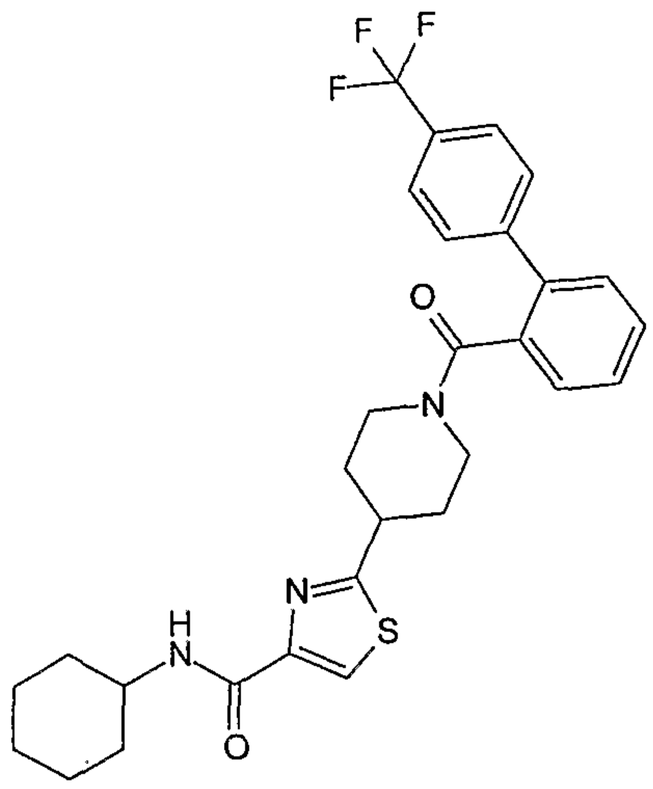
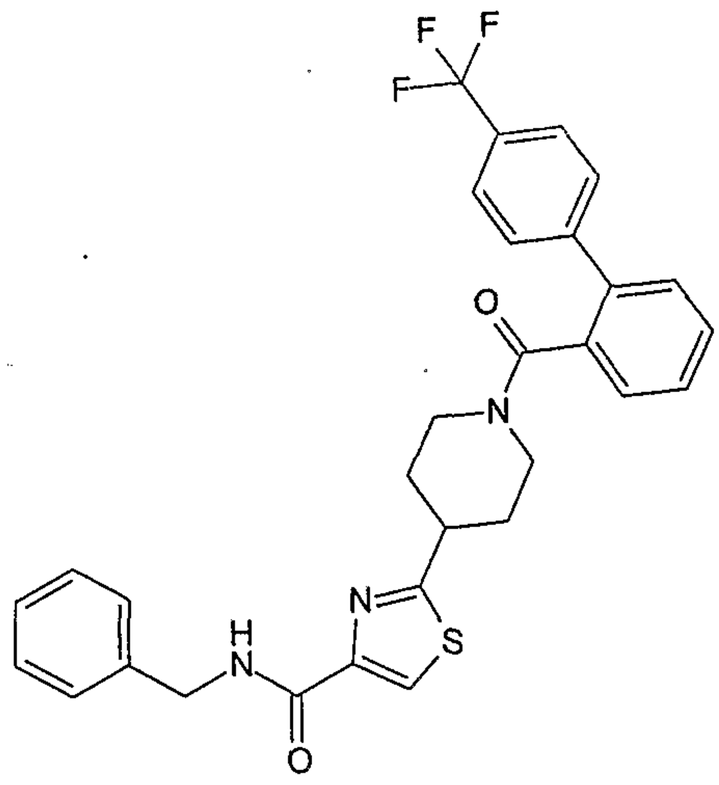
No.	FORMULA	NMR or mass
50	 N-(2,4-dioxoimidazolidin-1-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
51	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}proline	
52	 2-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}hydrazinecarbothioamide	

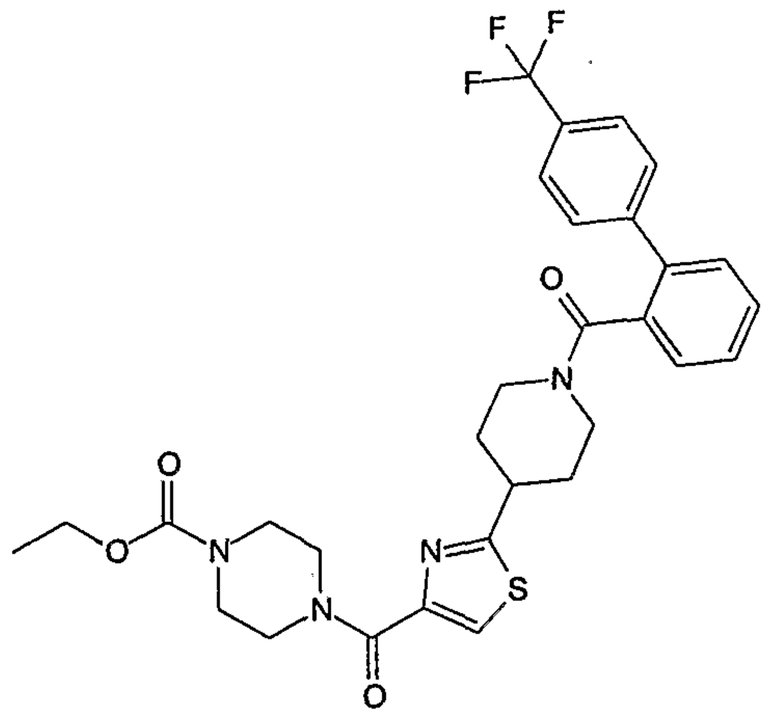
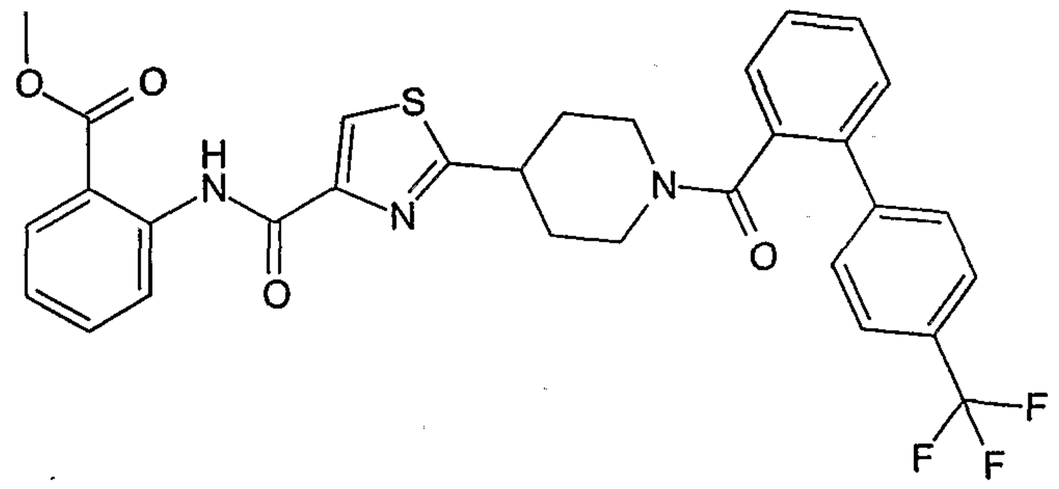
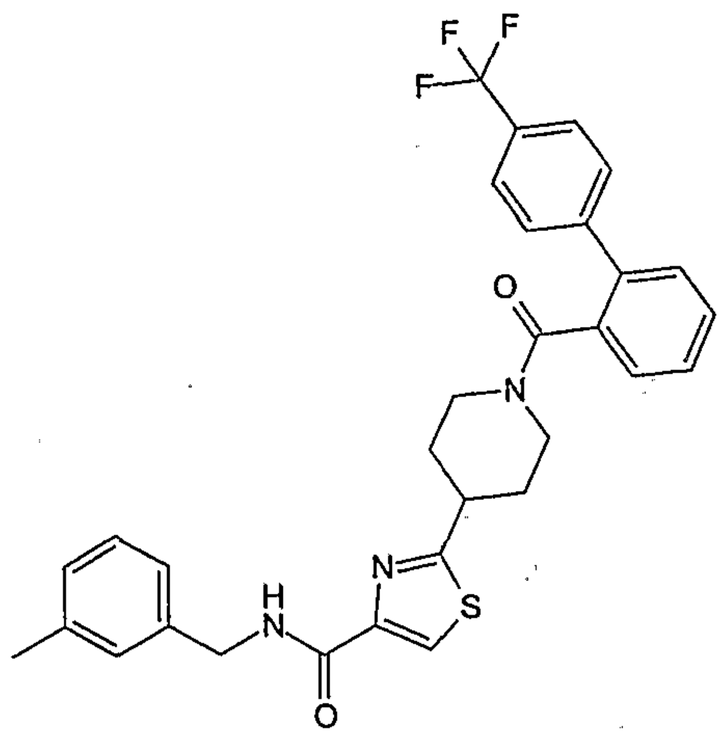
No.	FORMULA	NMR or mass
53	 N-(cyanomethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
54	 N-prop-2-yn-1-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
55		

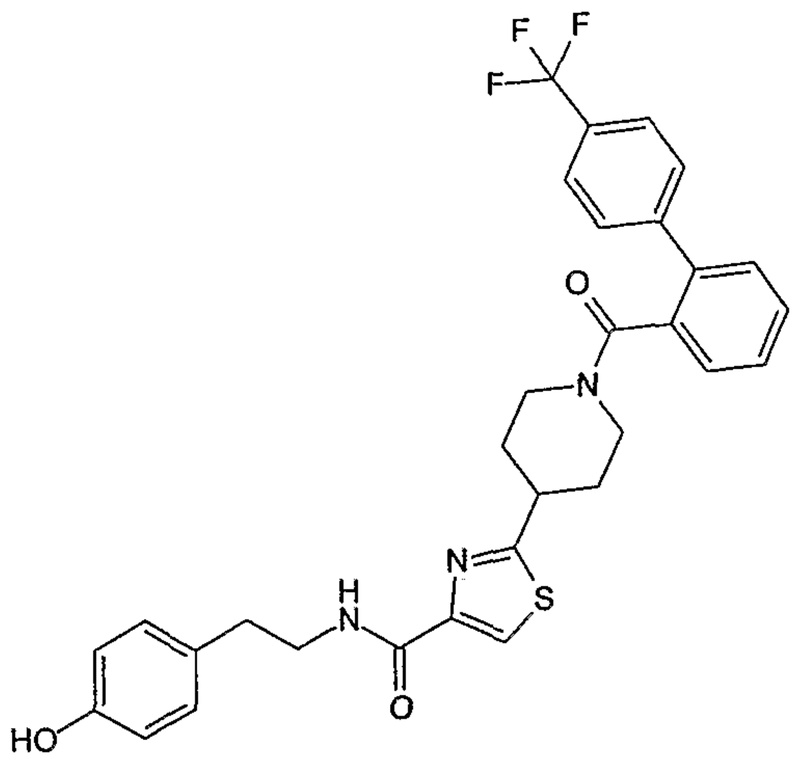
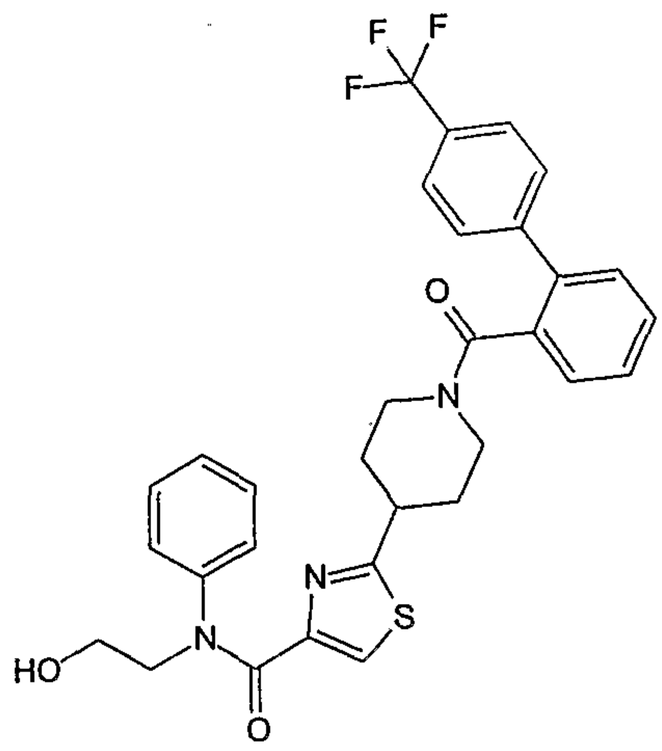
No.	FORMULA	NMR or mass
56	 N-(2-hydroxyethyl)-N-methyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
57	 N-pyrimidin-2-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

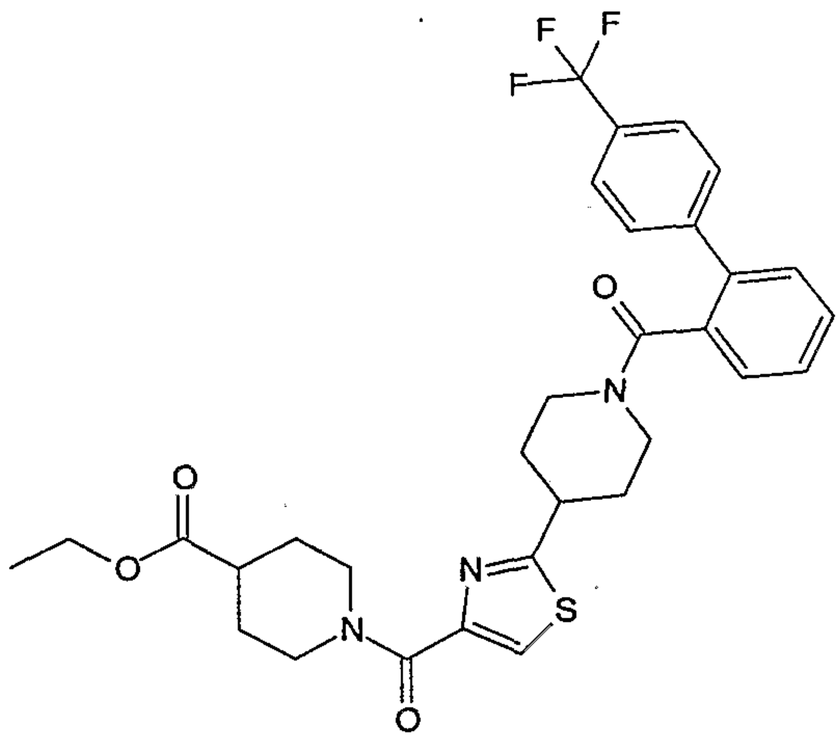
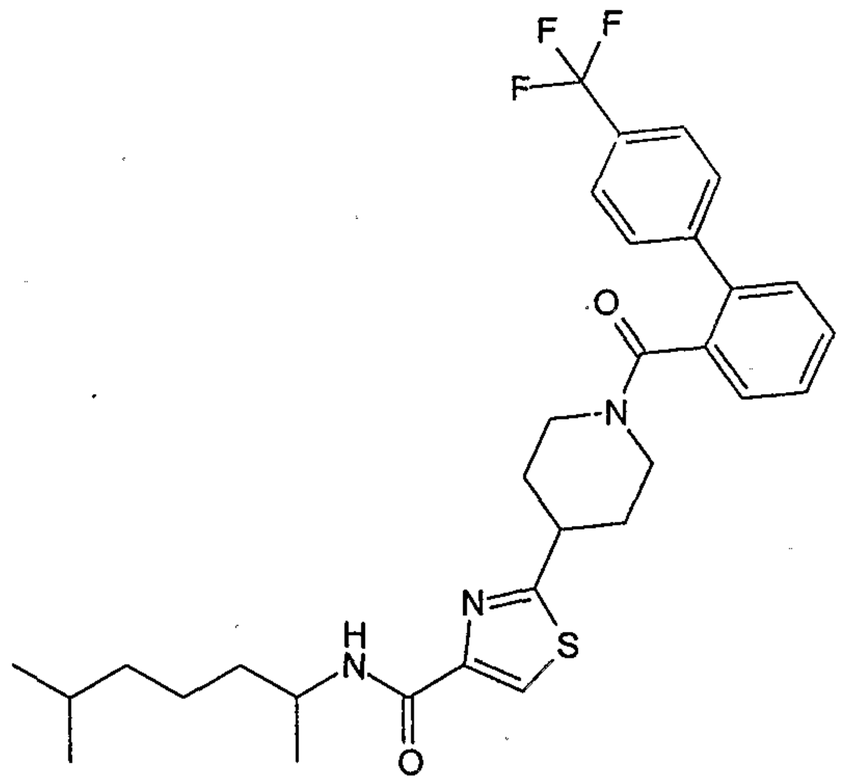
No.	FORMULA	NMR or mass
58	 4-{4-[(5-methyl-1H-pyrazol-1-yl)carbonyl]-1,3-thiazol-2-yl}-1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidine	
59	 4-[4-(pyrrolidin-1-ylcarbonyl)-1,3-thiazol-2-yl]-1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidine	

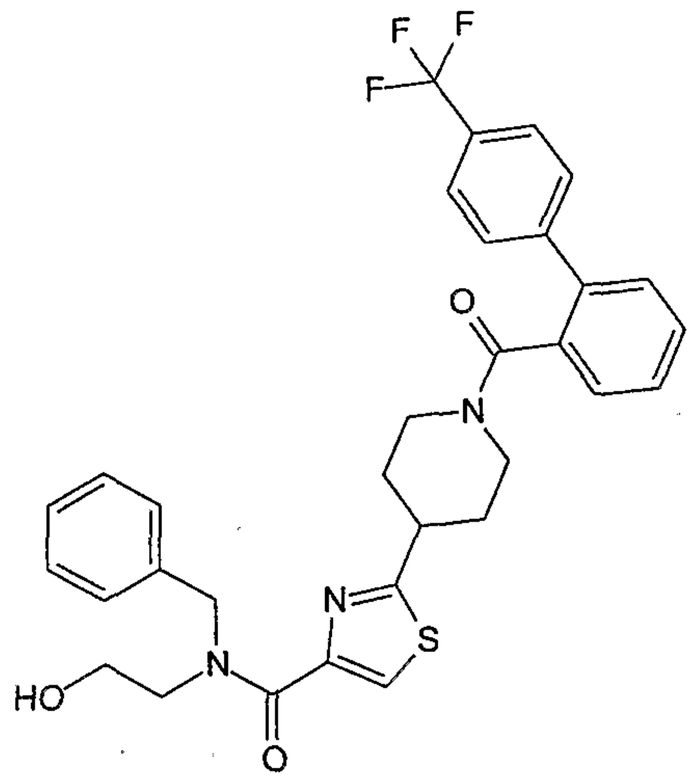
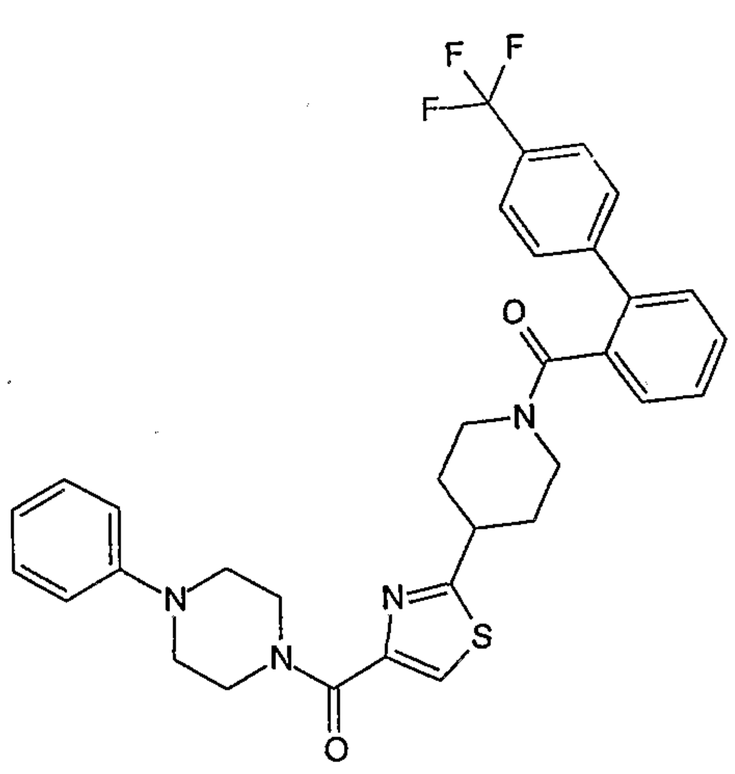
No.	FORMULA	NMR or mass
60	 4-[4-(piperidin-1-ylcarbonyl)-1,3-thiazol-2-yl]-1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidine	
61	 N-(pyridin-3-ylmethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

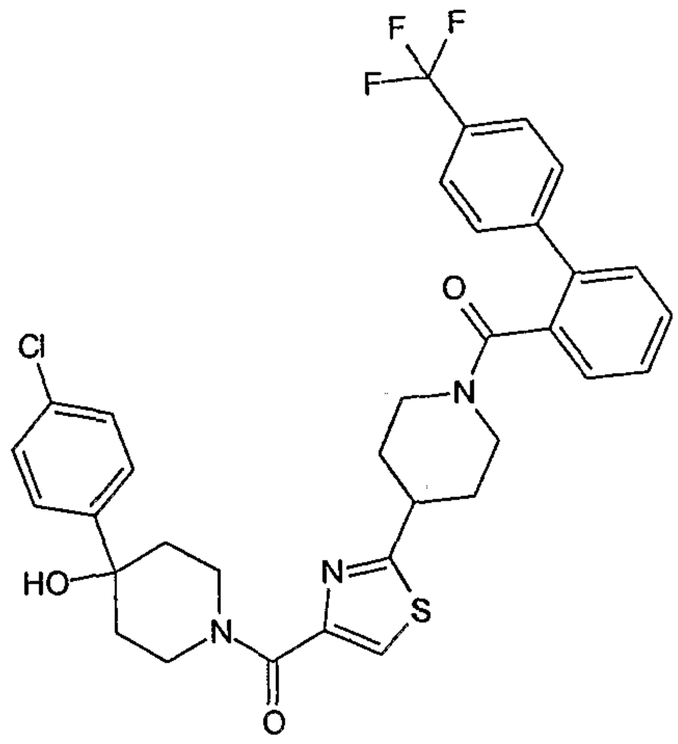
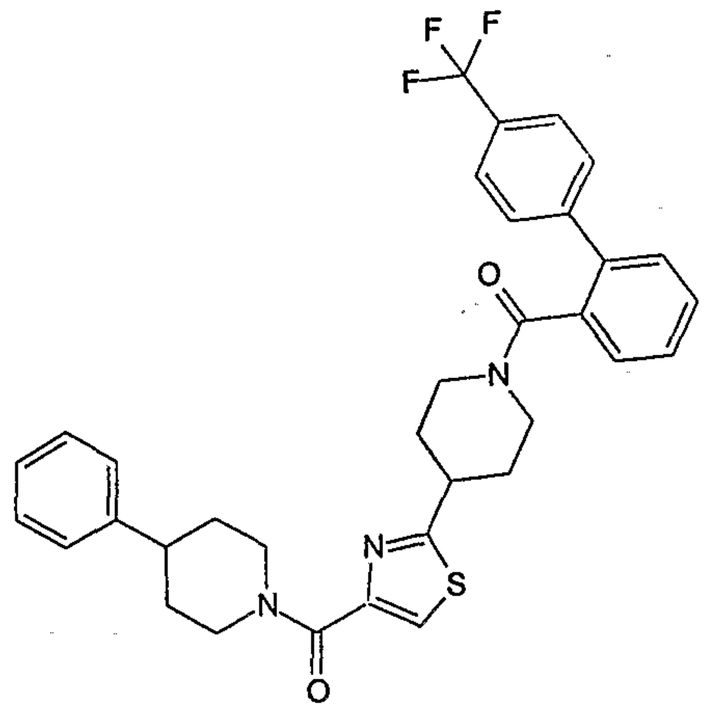
No.	FORMULA	NMR or mass
62	 N-cyclohexyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
63	 N-benzyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

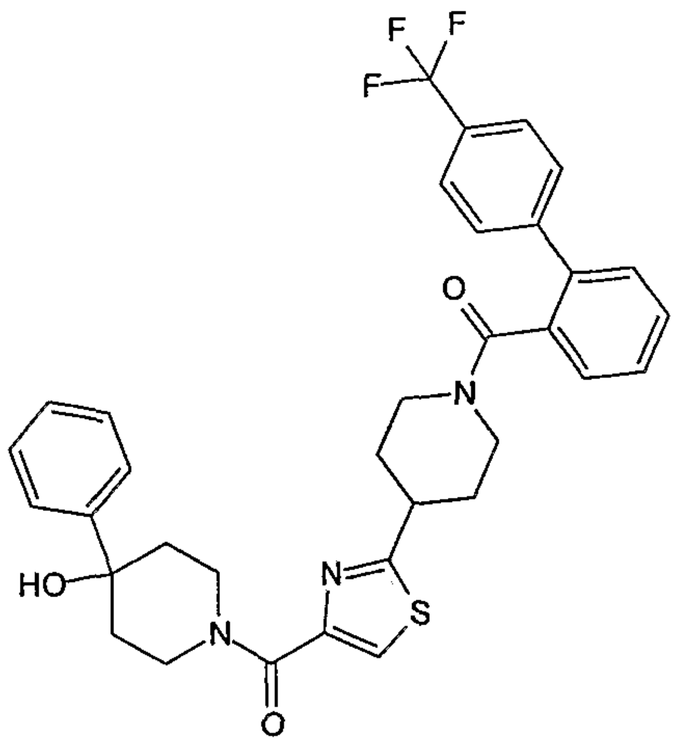
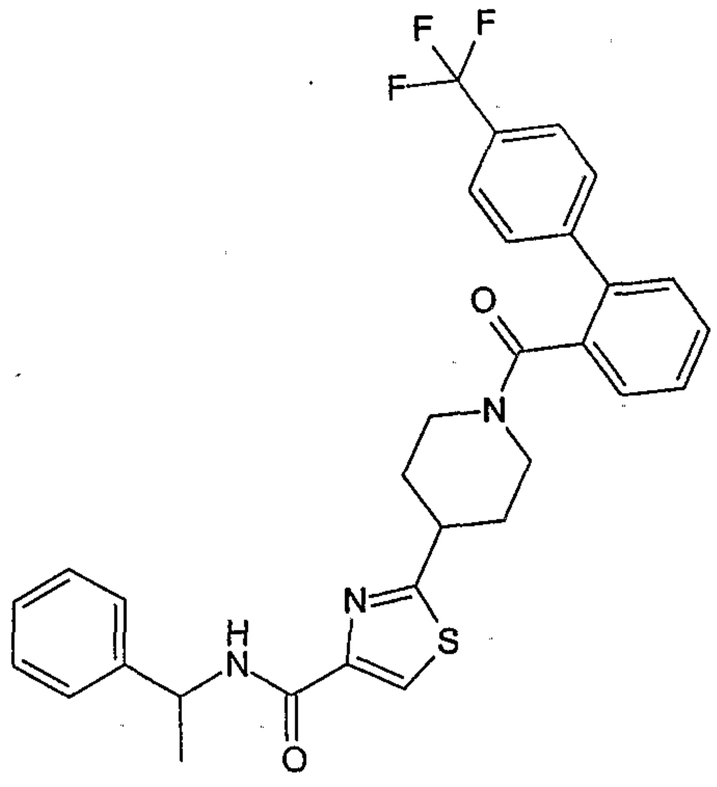
No.	FORMULA	NMR or mass
64	 ethyl 4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine-1-carboxylate	
65		
66	 N-(3-methylbenzyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

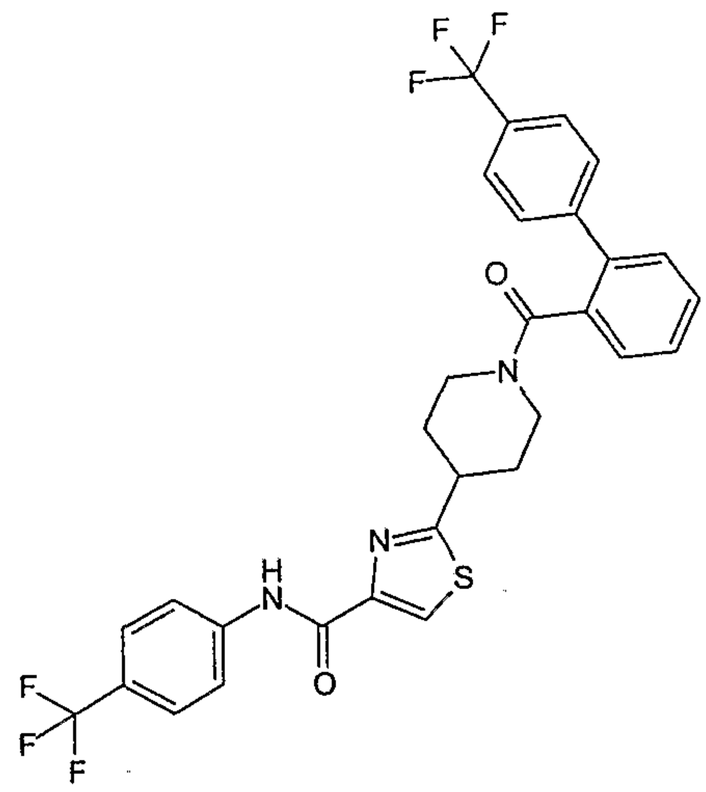
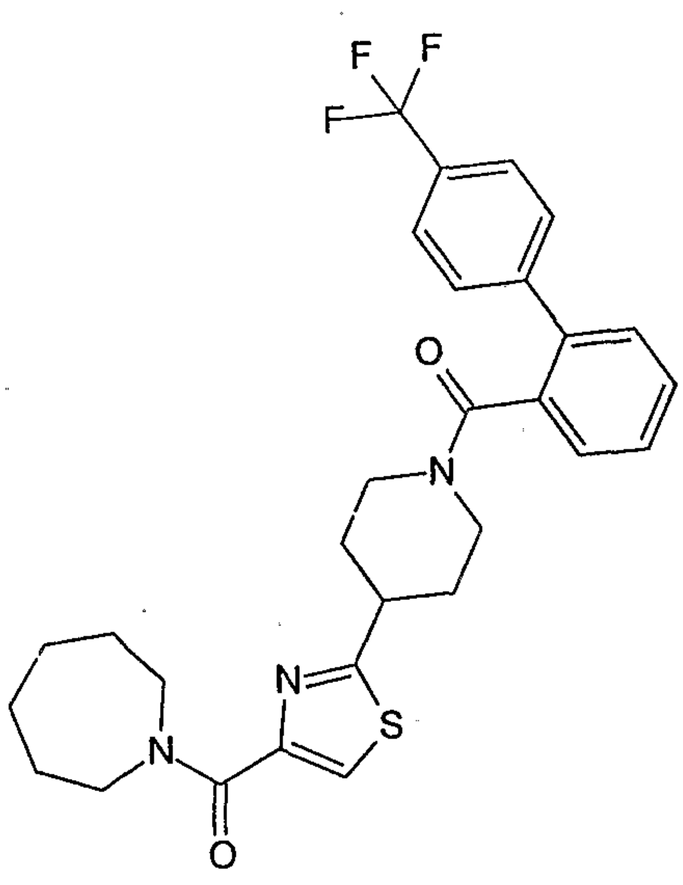
No.	FORMULA	NMR or mass
67	 N-[2-(4-hydroxyphenyl)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
68	 N-(2-hydroxyethyl)-N-phenyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

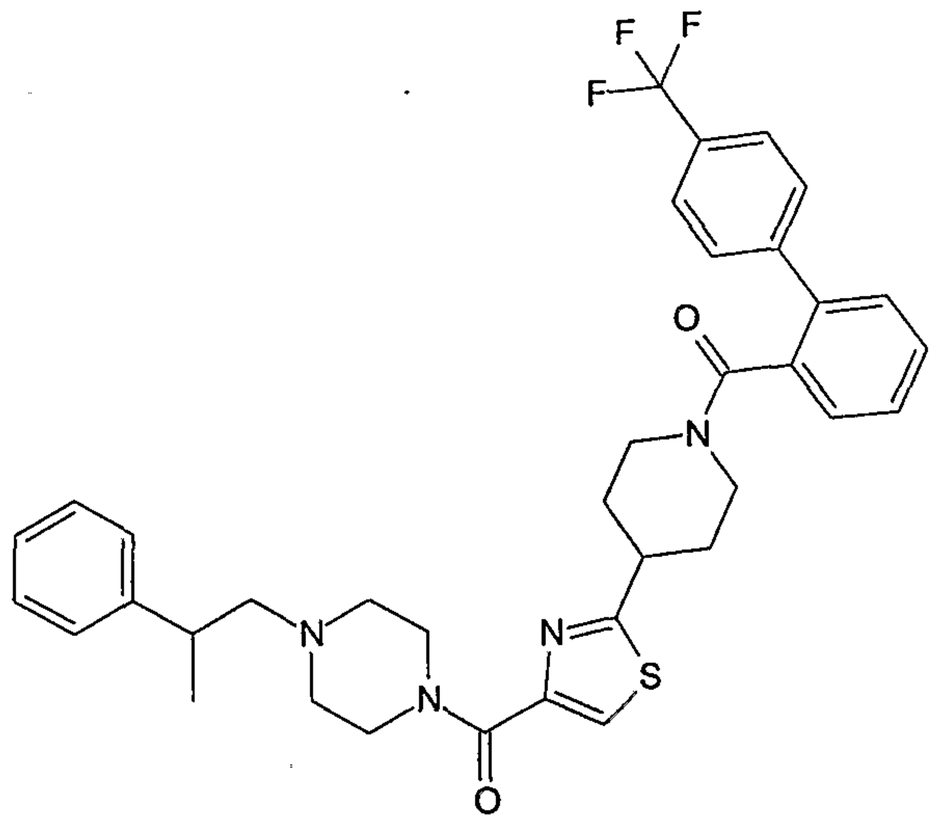
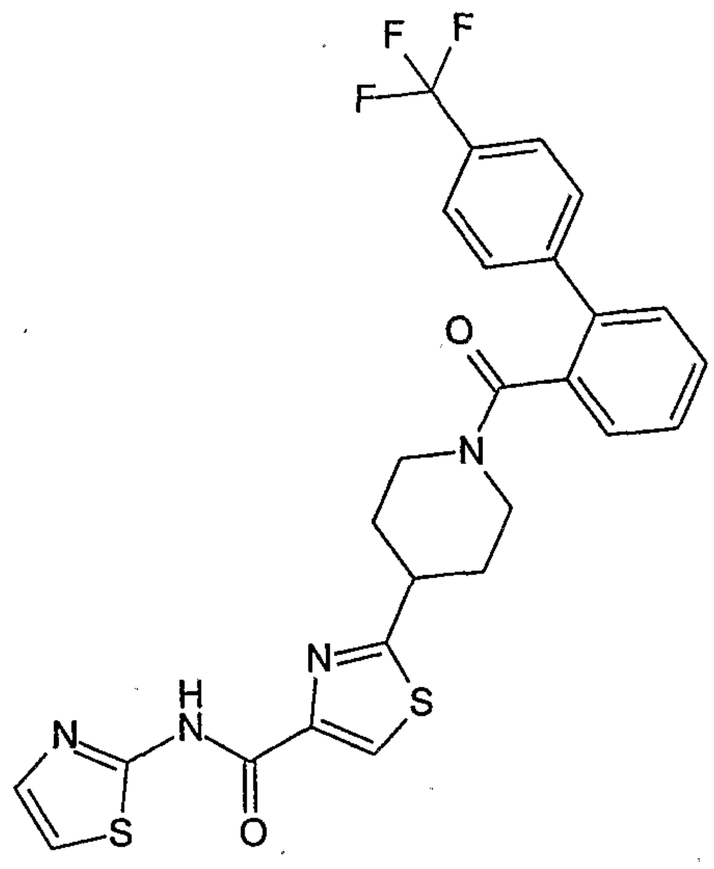
No.	FORMULA	NMR or mass
69	 ethyl 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine-4-carboxylate	
70	 N-(1,5-dimethylhexyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

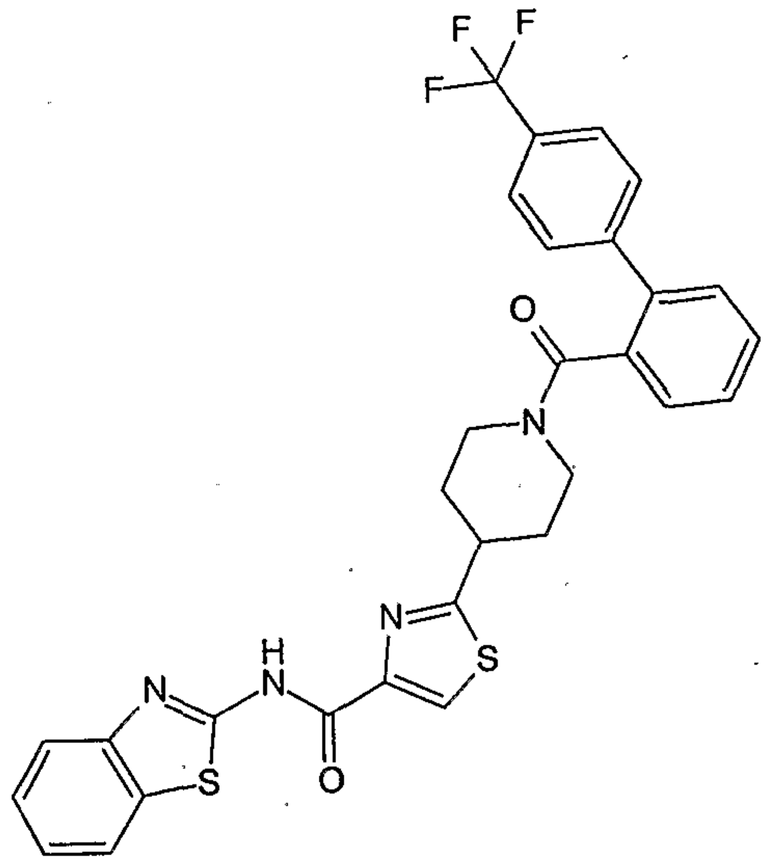
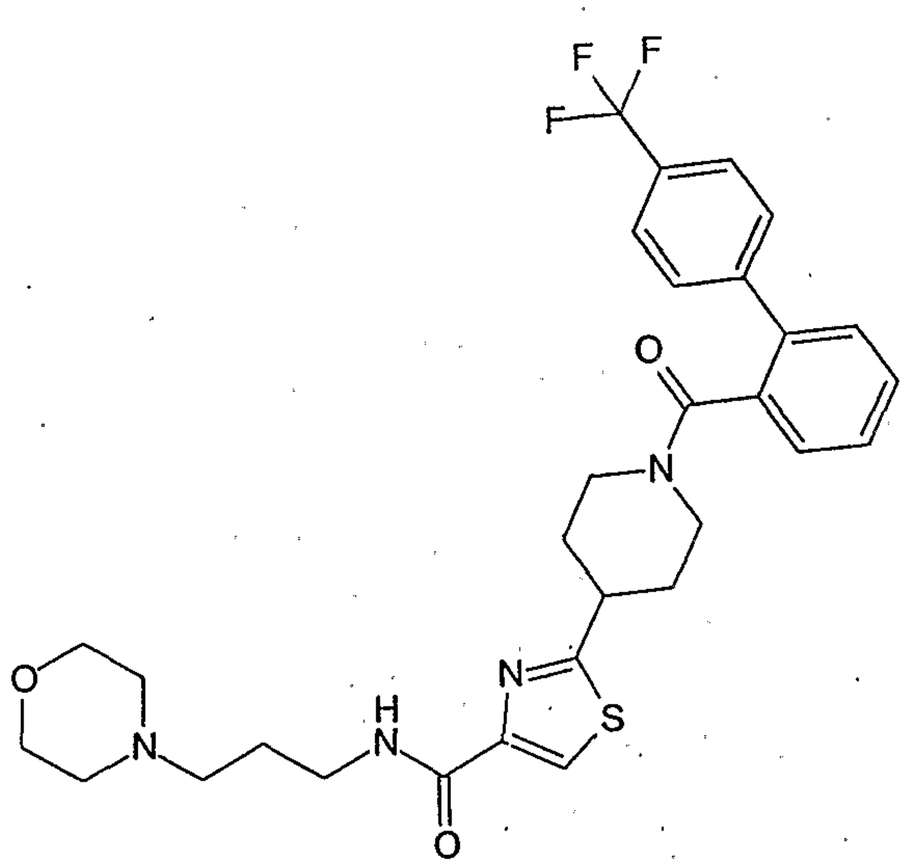
No.	FORMULA	NMR or mass
71	 N-benzyl-N-(2-hydroxyethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
72	 1-phenyl-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	

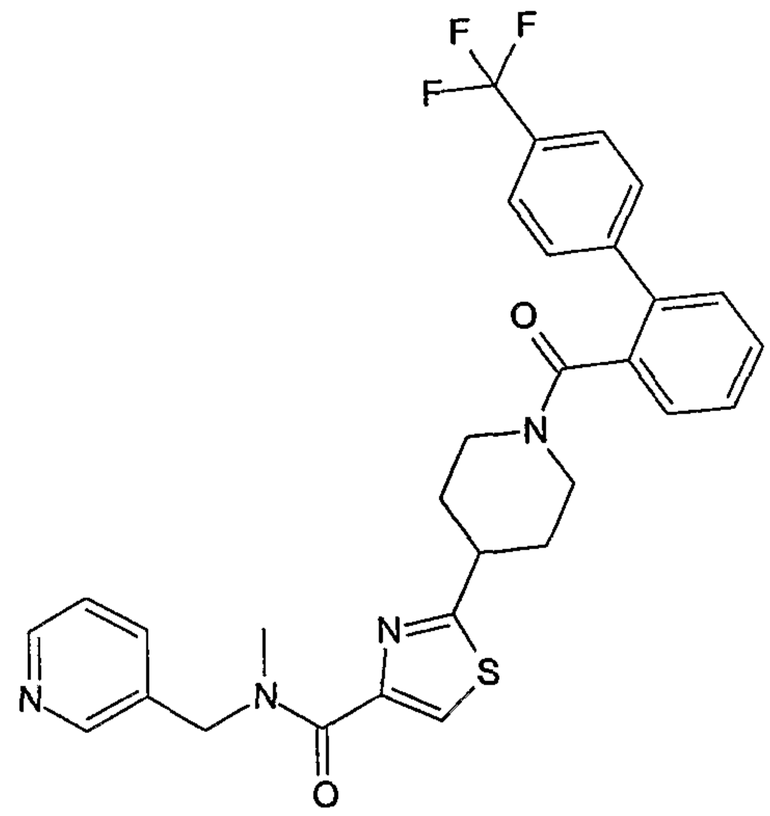
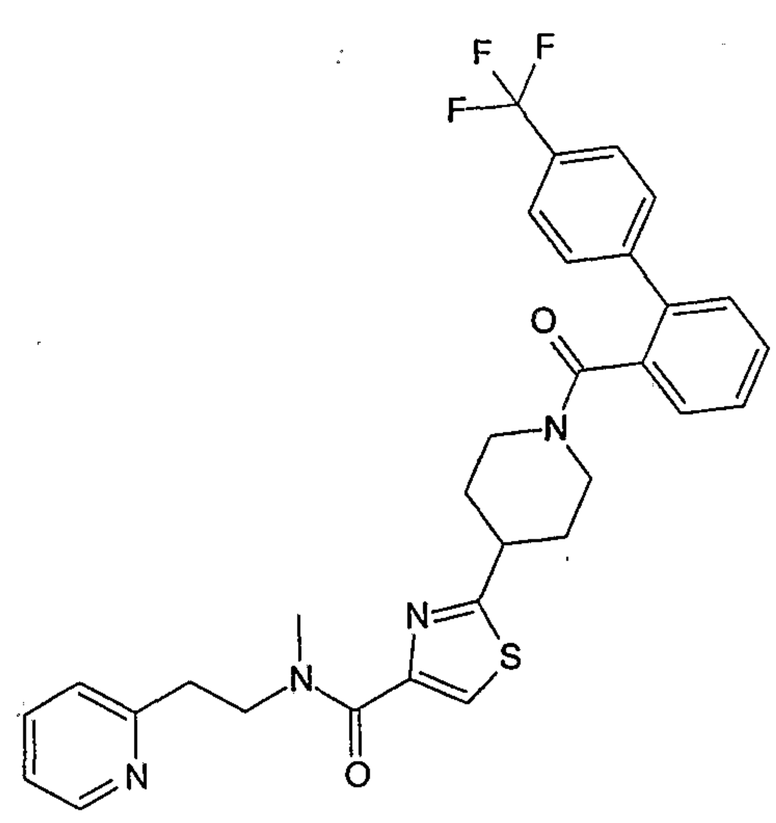
No.	FORMULA	NMR or mass
73	 4-(4-chlorophenyl)-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-ol	
74	 4-phenyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine	

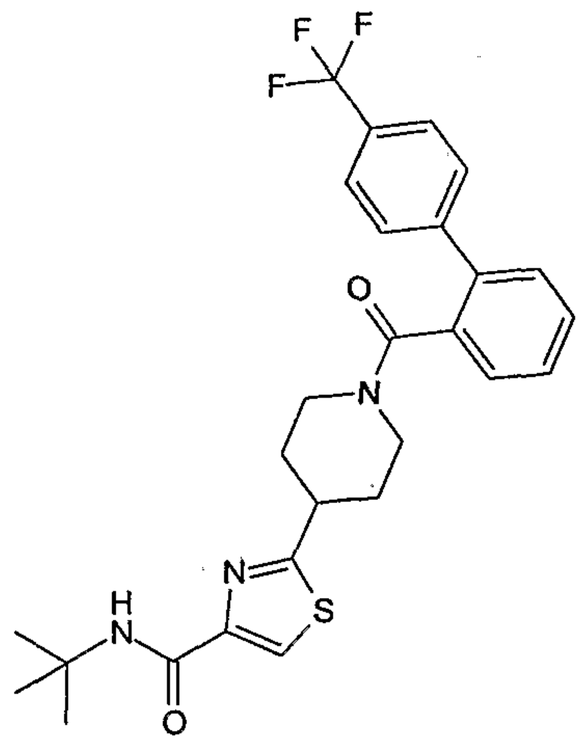
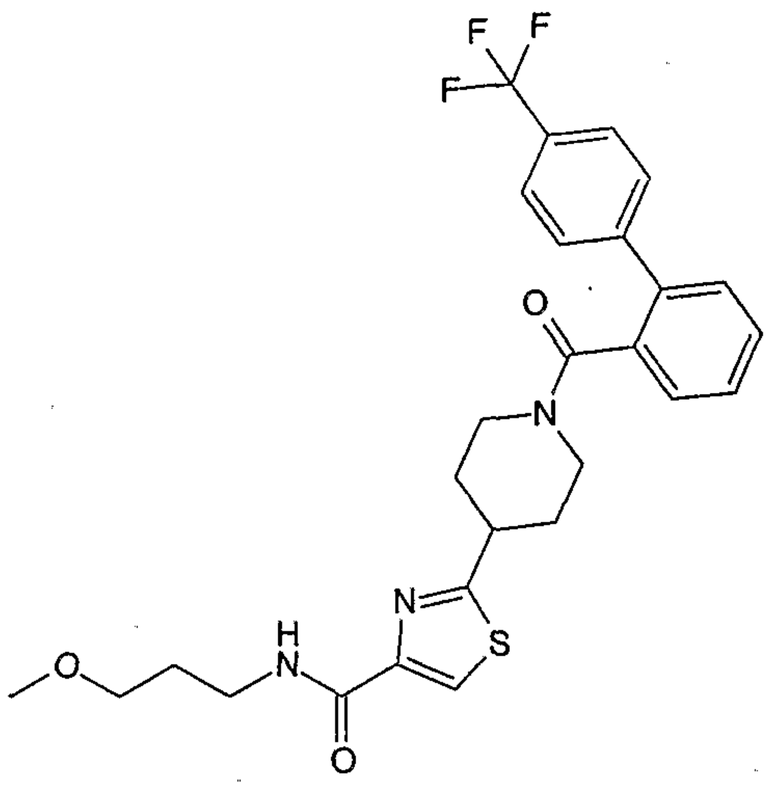
No.	FORMULA	NMR or mass
75	 4-phenyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-ol	
76	 N-(1-phenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

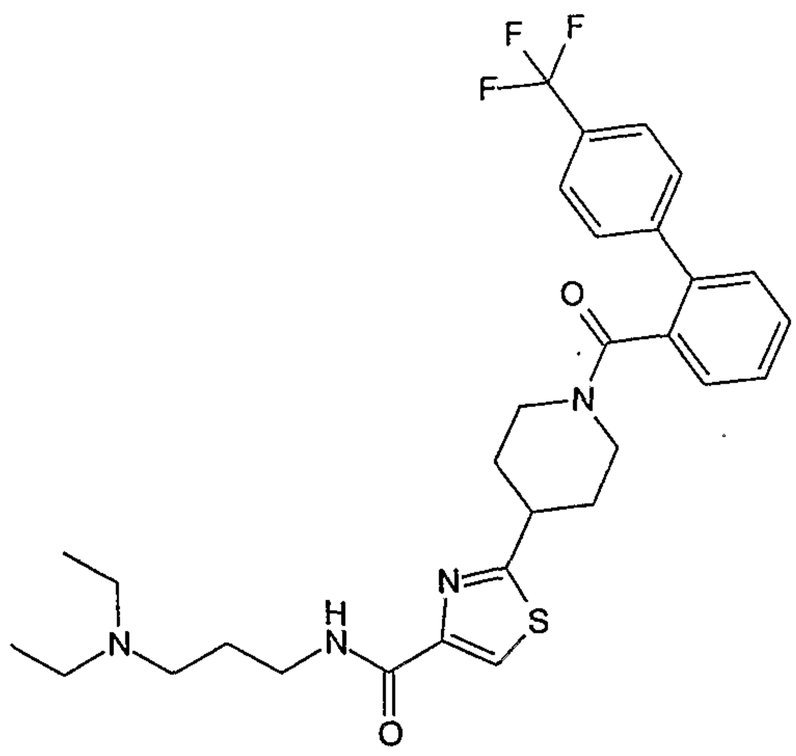
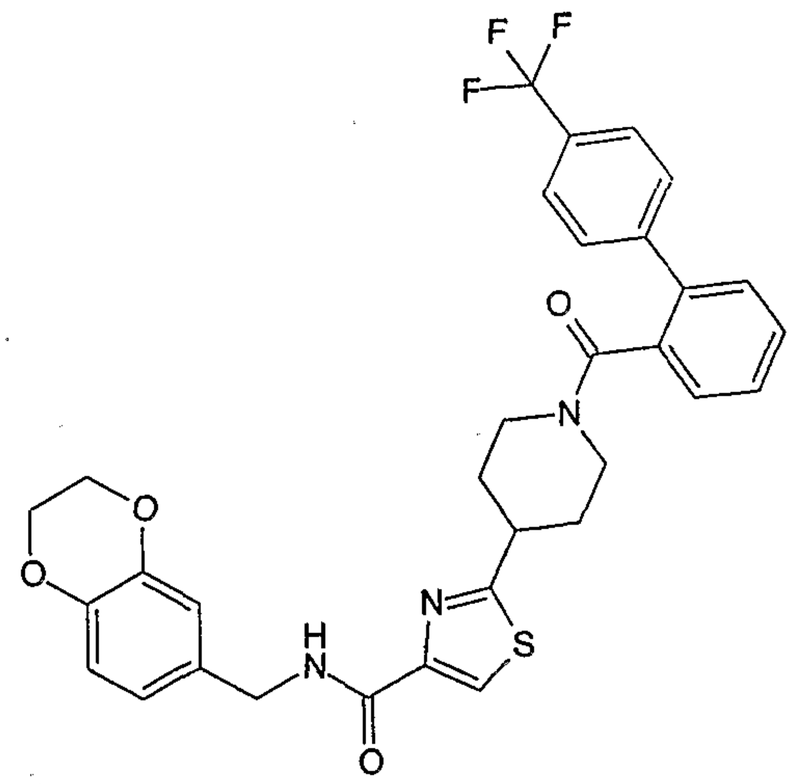
No.	FORMULA	NMR or mass
77	 2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-N-[4-(trifluoro-methyl)phenyl]-1,3-thiazole-4-carboxamide	
78	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}azepane	

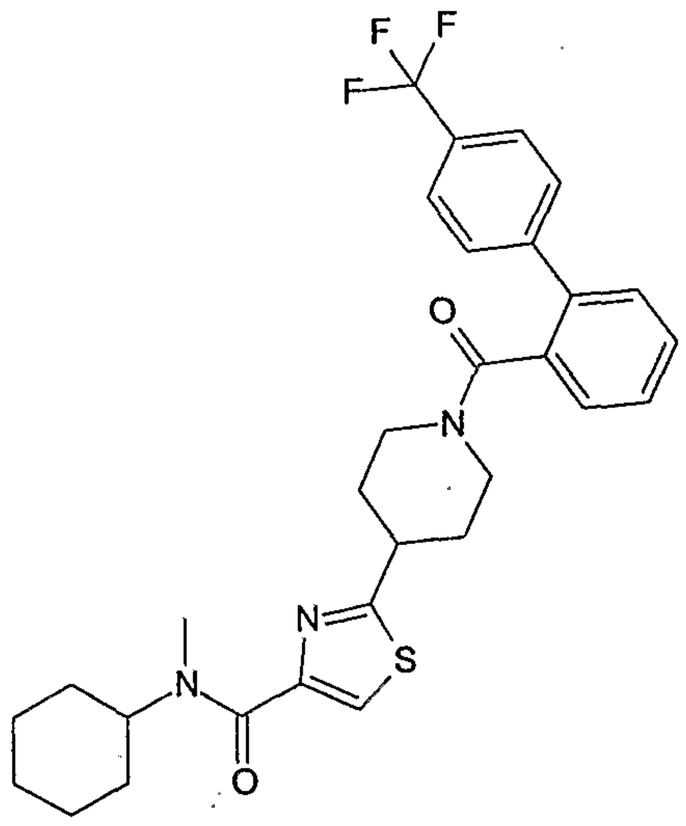
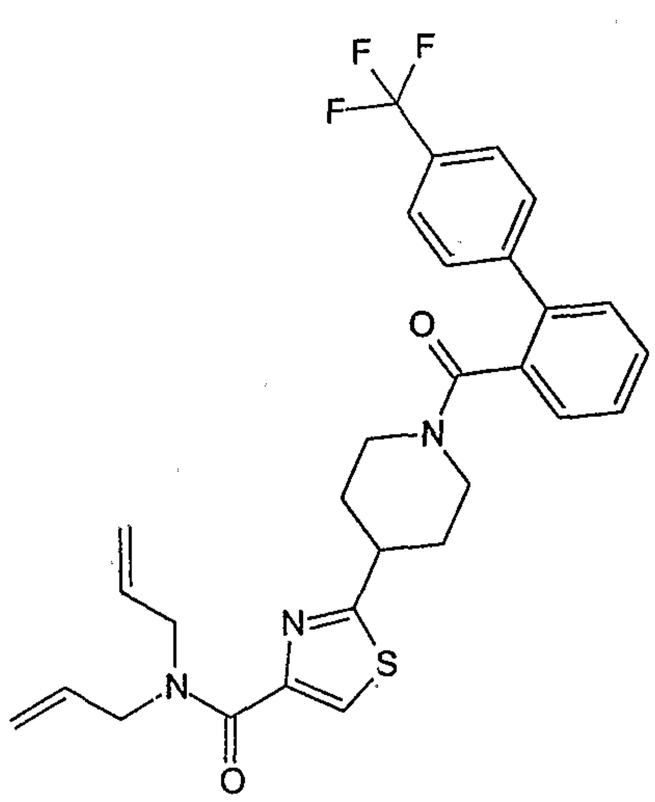
No.	FORMULA	NMR or mass
79	 1-(2-phenylpropyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	
80	 N-1,3-thiazol-2-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

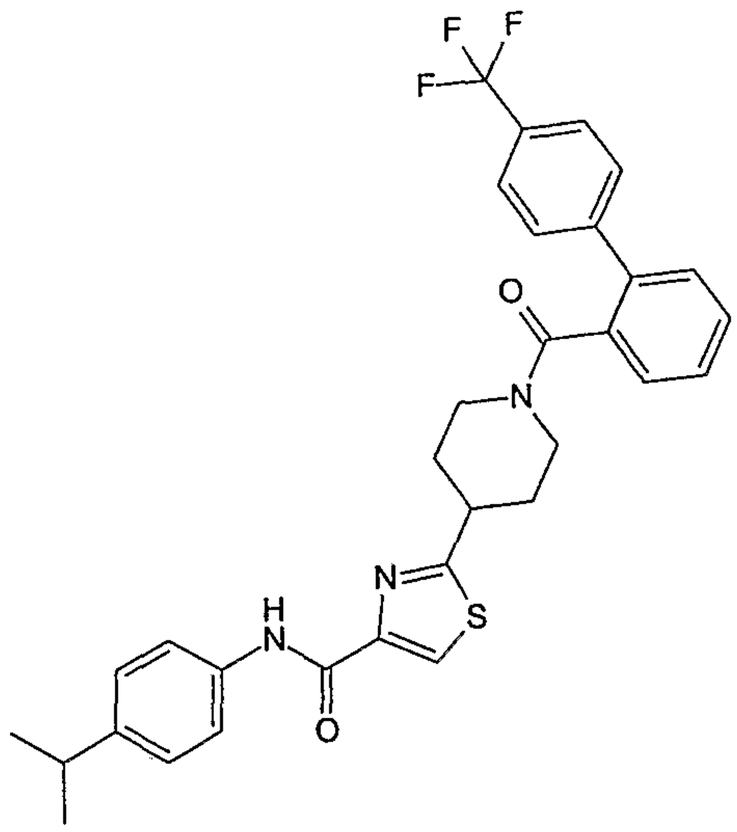
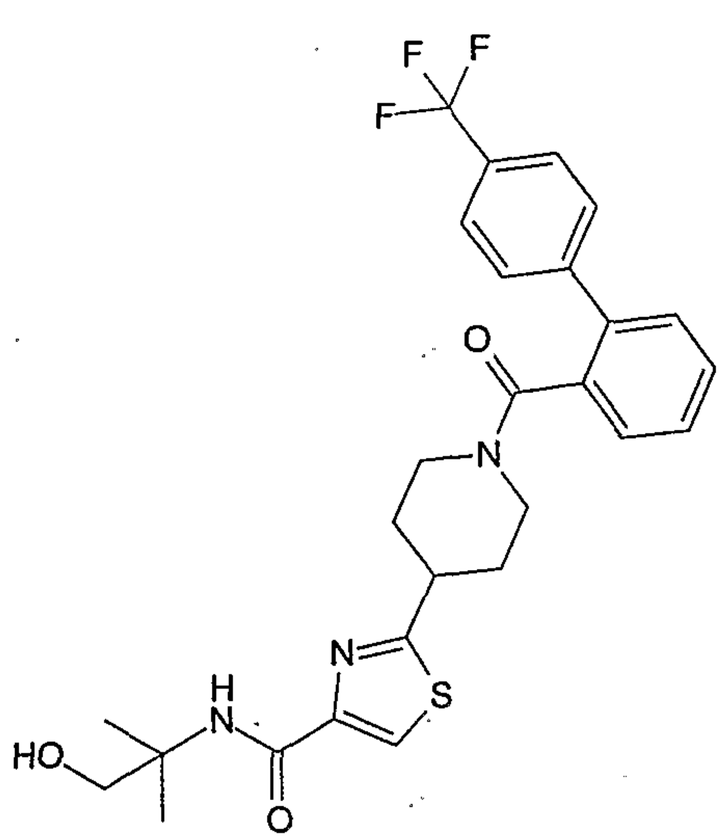
No.	FORMULA	NMR or mass
81	 N-1,3-benzothiazol-2-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
82	 N-(3-morpholin-4-ylpropyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

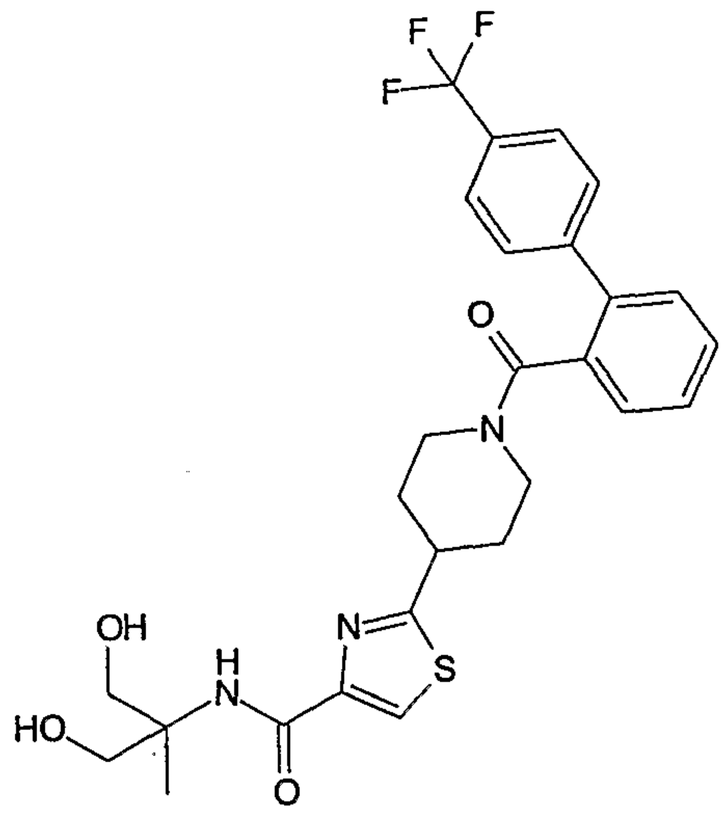
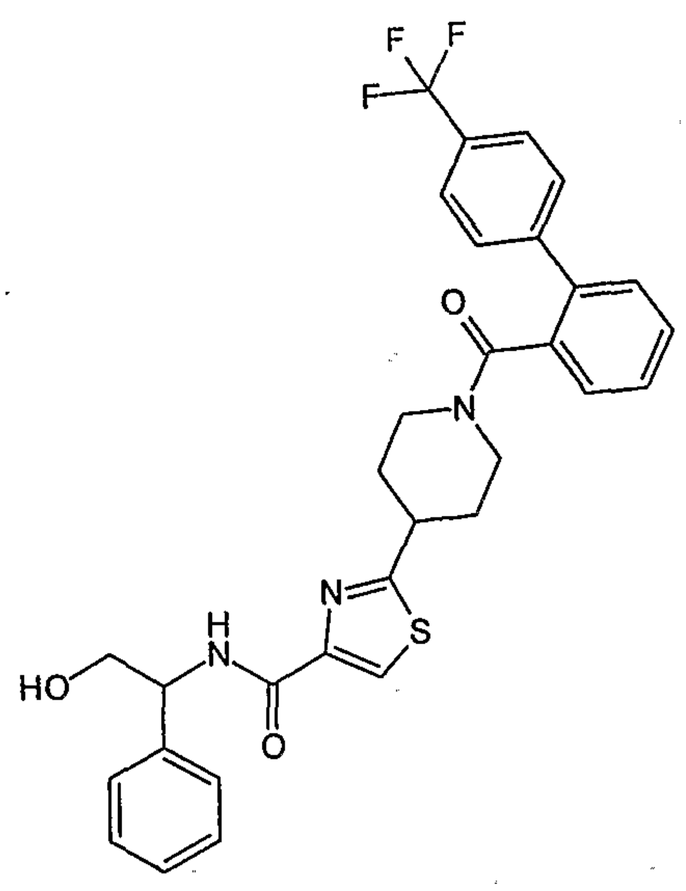
No.	FORMULA	NMR or mass
83	 N-methyl-N-(pyridin-3-ylmethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
84	 N-methyl-N-(2-pyridin-2-ylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

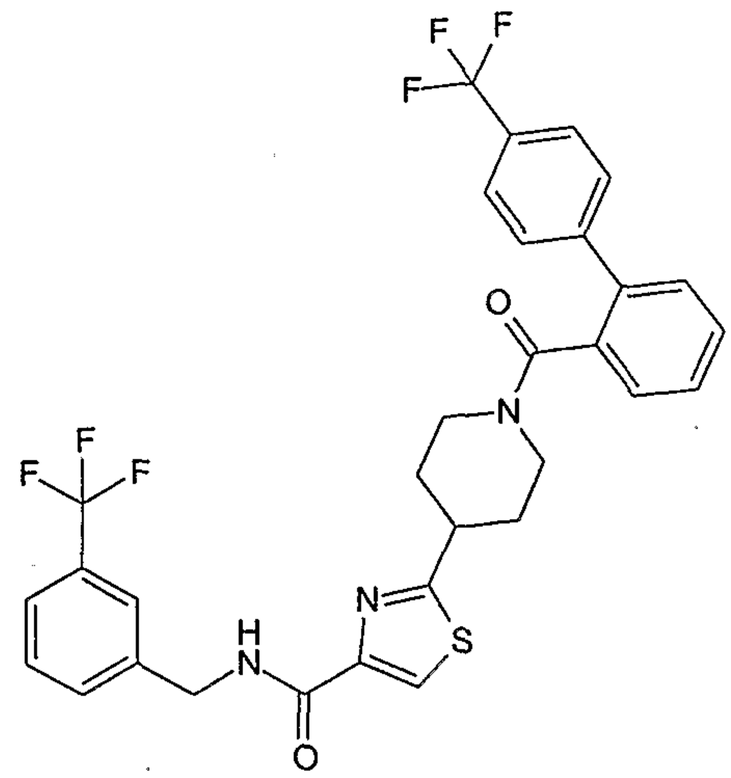
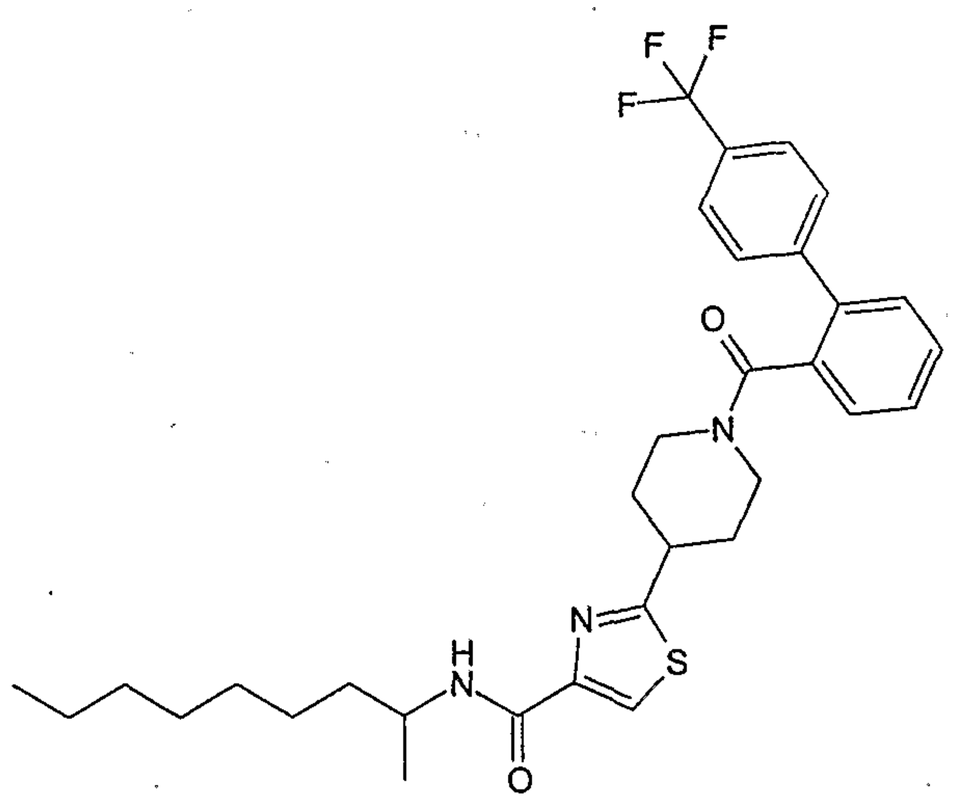
No.	FORMULA	NMR or mass
85	 N-(tert-butyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
86	 N-(3-methoxypropyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

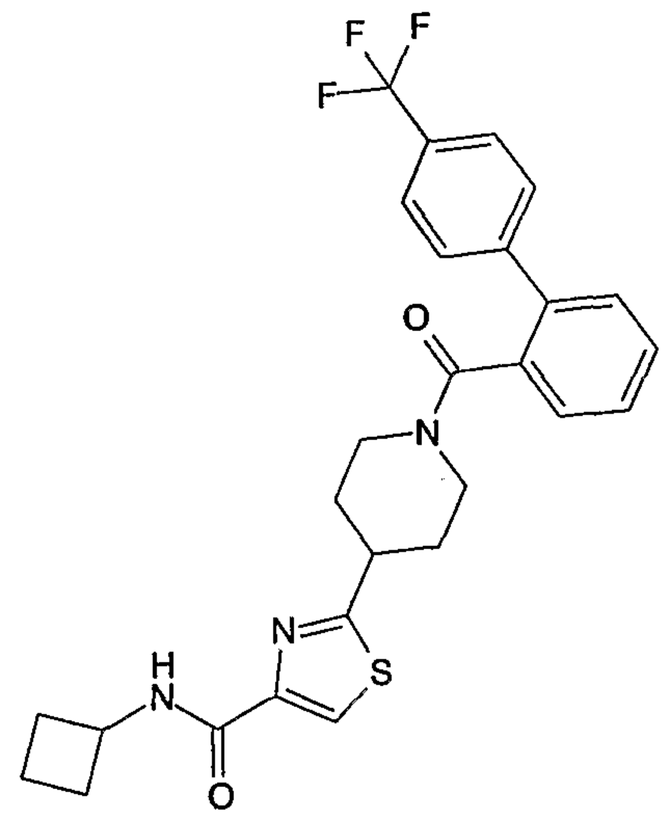
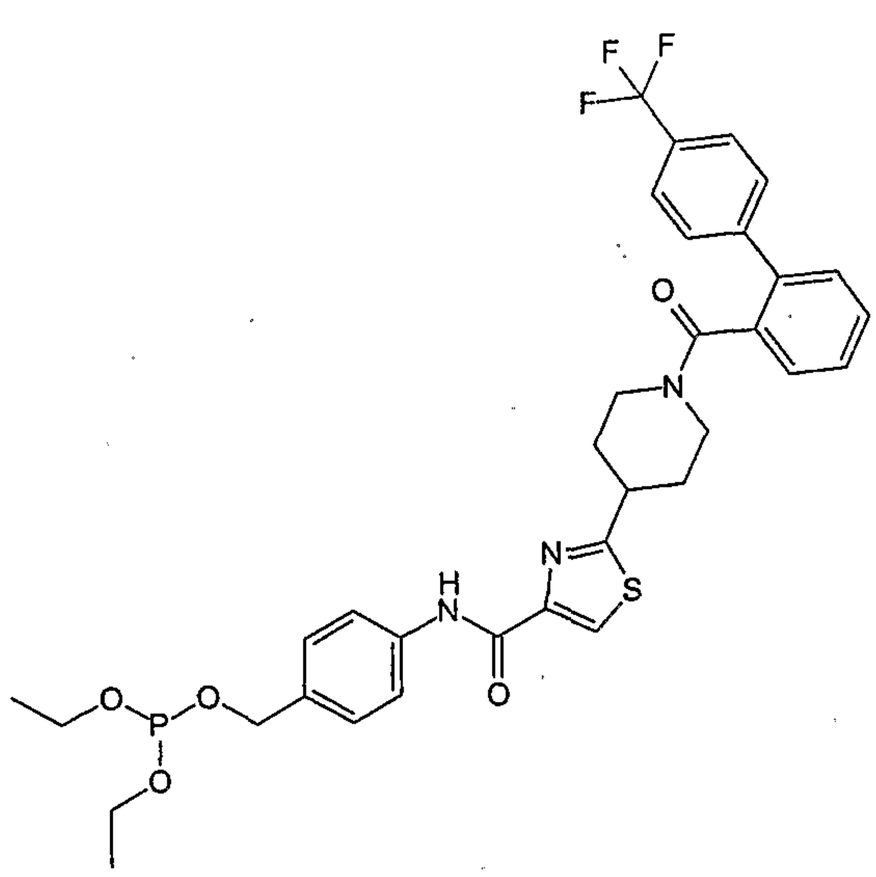
No.	FORMULA	NMR or mass
87	 N-[3-(diethylamino)propyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
88	 N-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

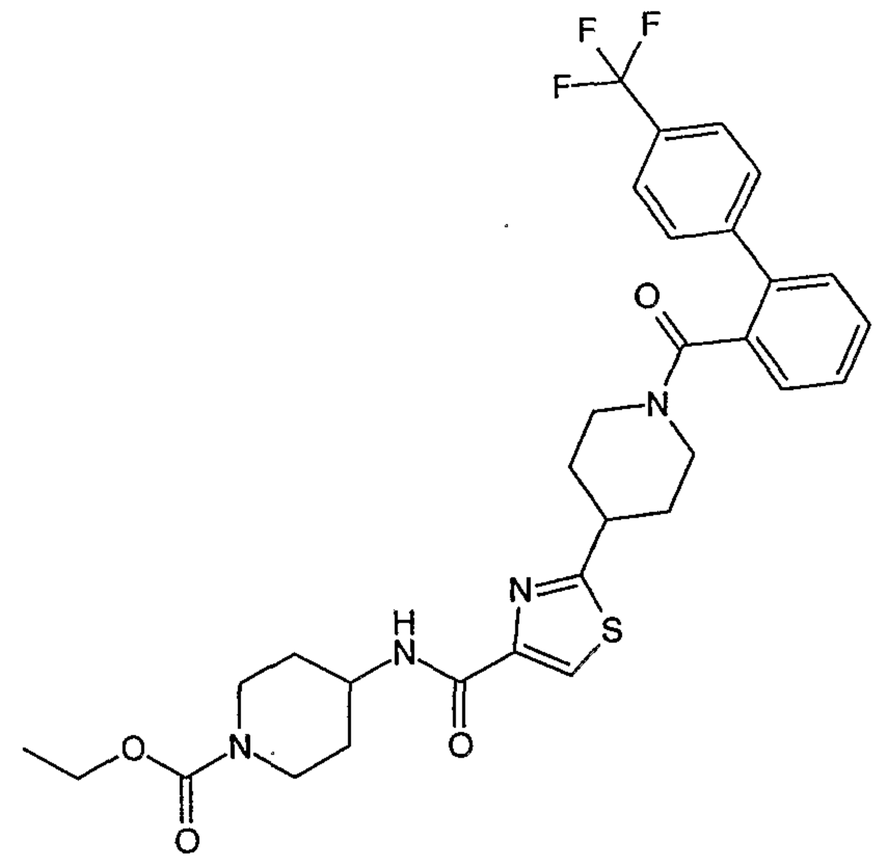
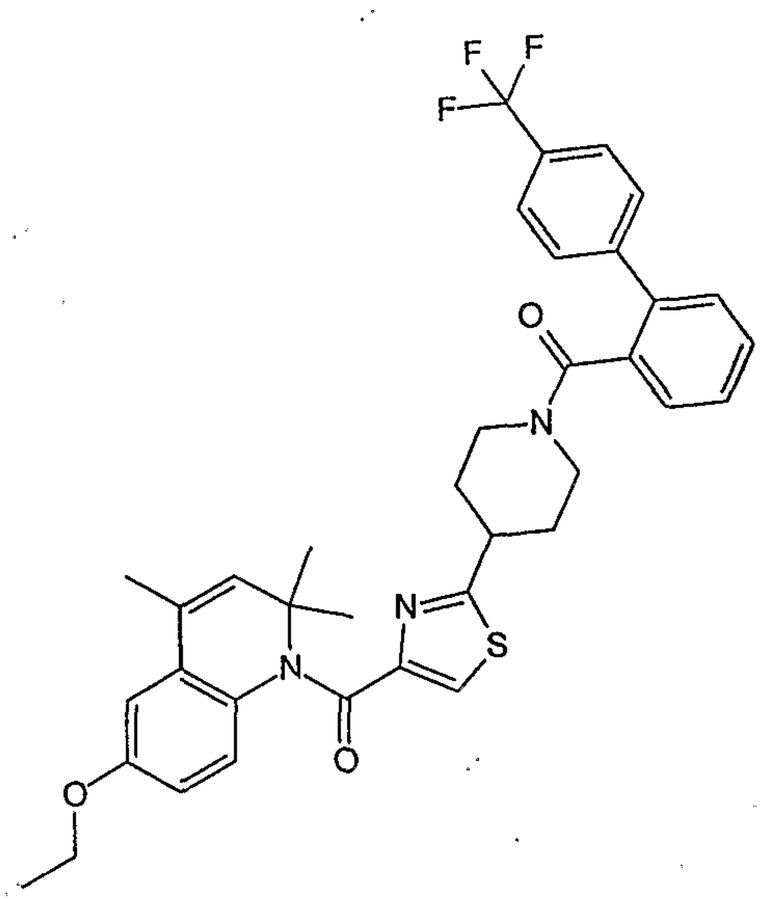
No.	FORMULA	NMR or mass
89	 N-cyclohexyl-N-methyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
90	 N,N-diallyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

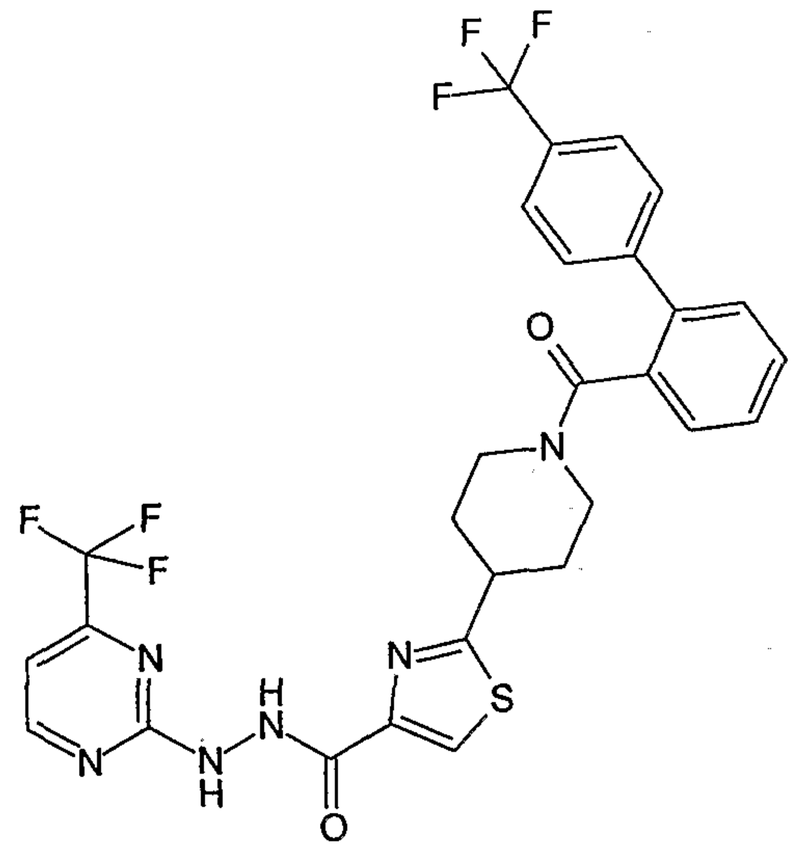
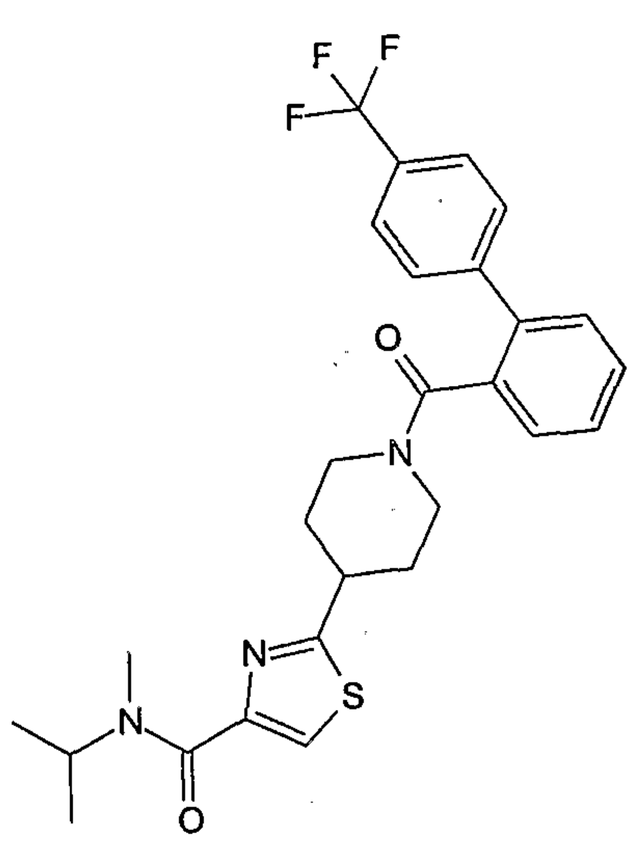
No.	FORMULA	NMR or mass
91	 N-(4-isopropylphenyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
92	 N-(2-hydroxy-1,1-dimethylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

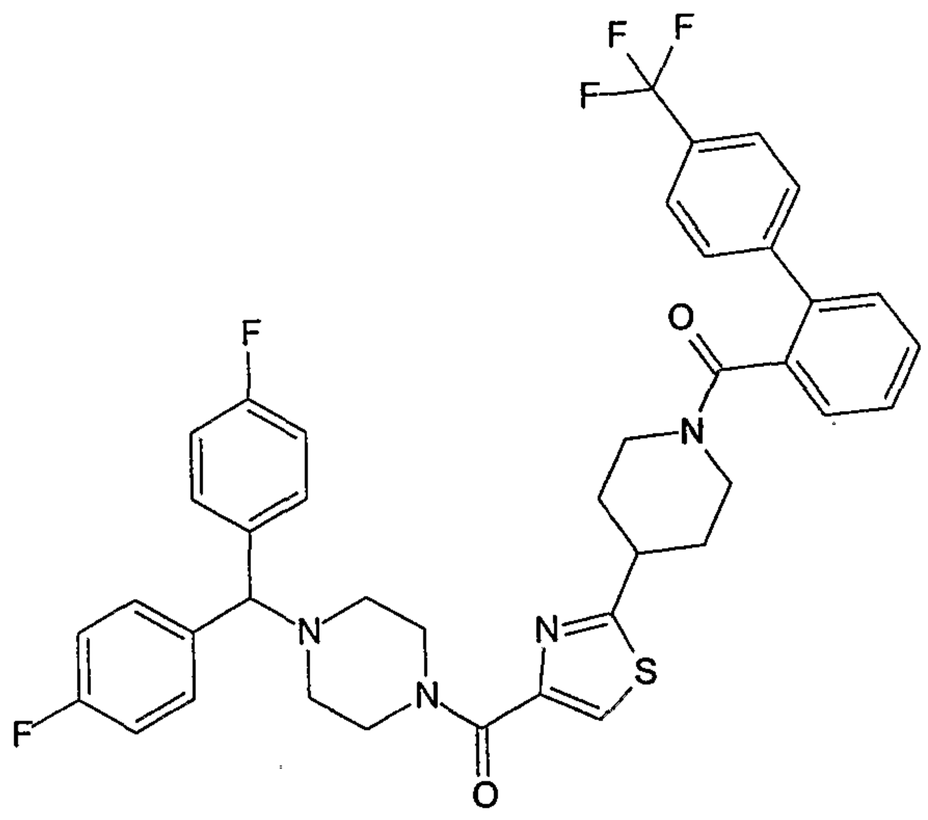
No.	FORMULA	NMR or mass
93	 N-[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
94	 N-(2-hydroxy-1-phenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

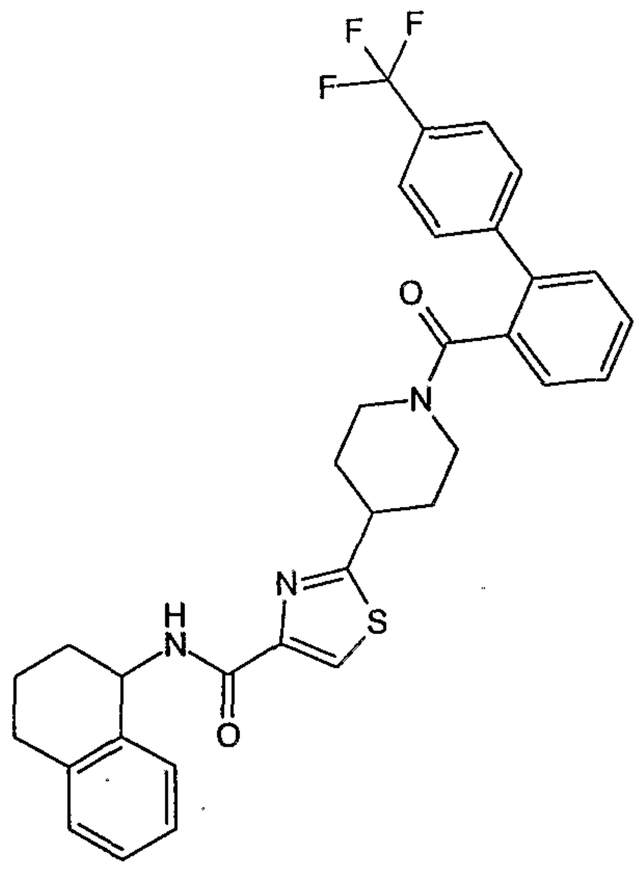
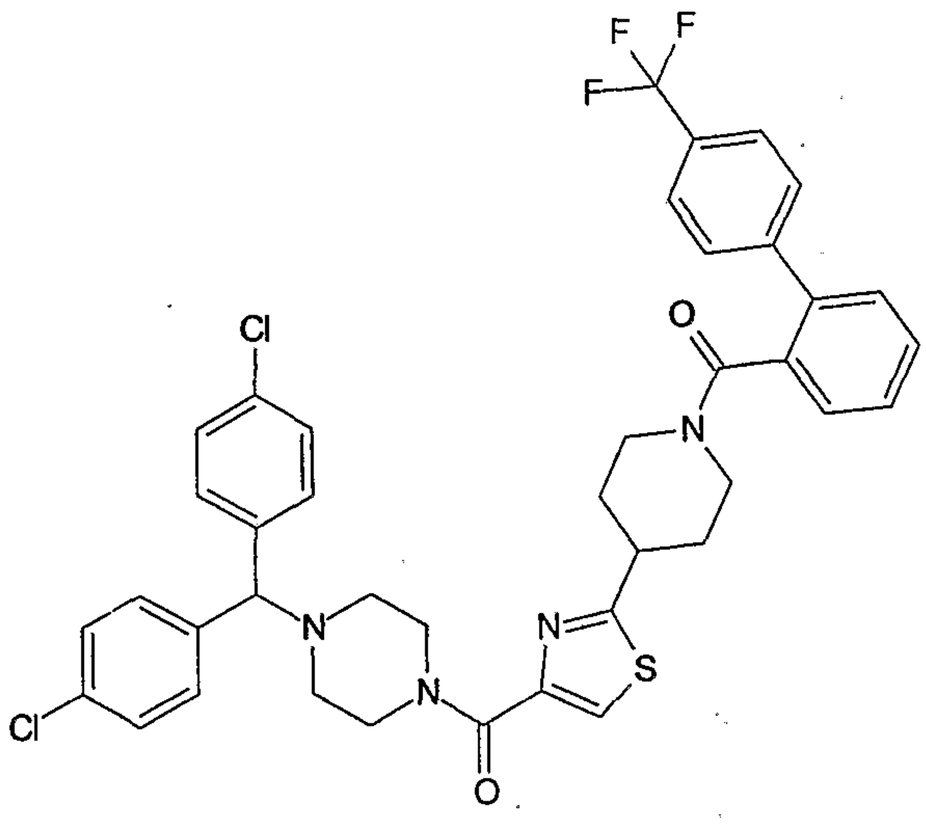
No.	FORMULA	NMR or mass
95	 N-[3-(trifluoromethyl)benzyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
96	 N-(1-methyloctyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

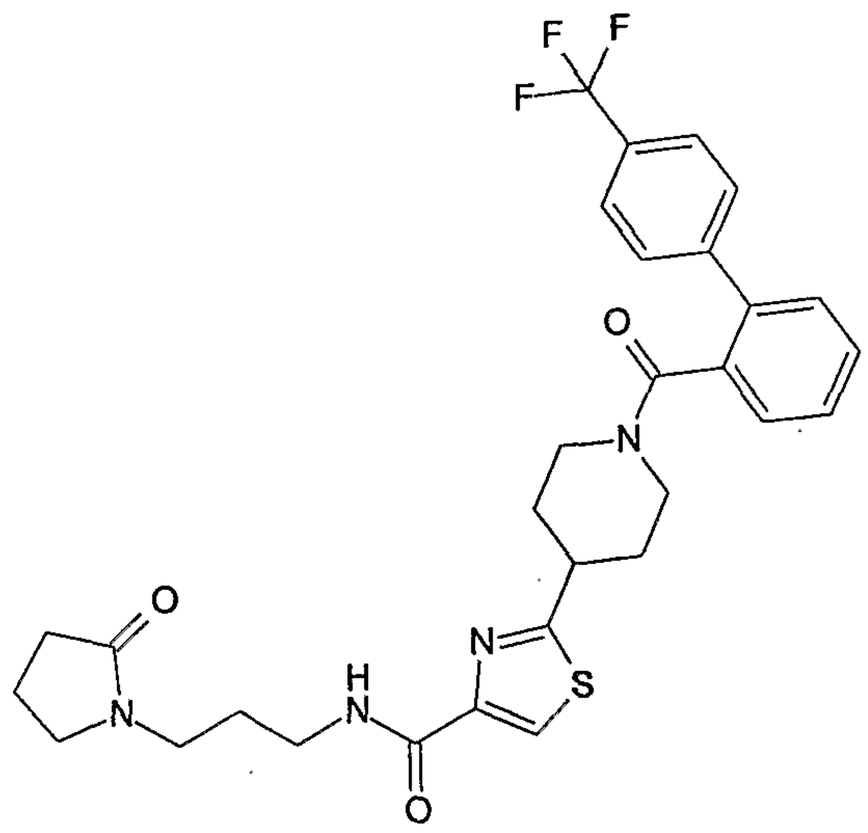
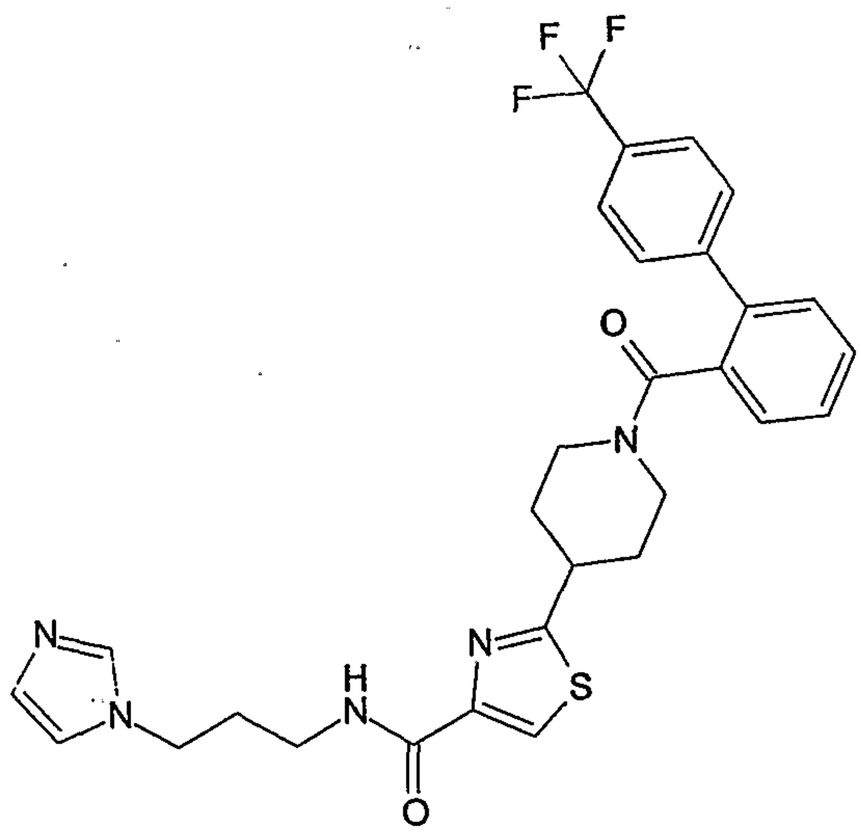
No.	FORMULA	NMR or mass
97	 N-cyclobutyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
98	 diethyl 4-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)benzyl phosphite	

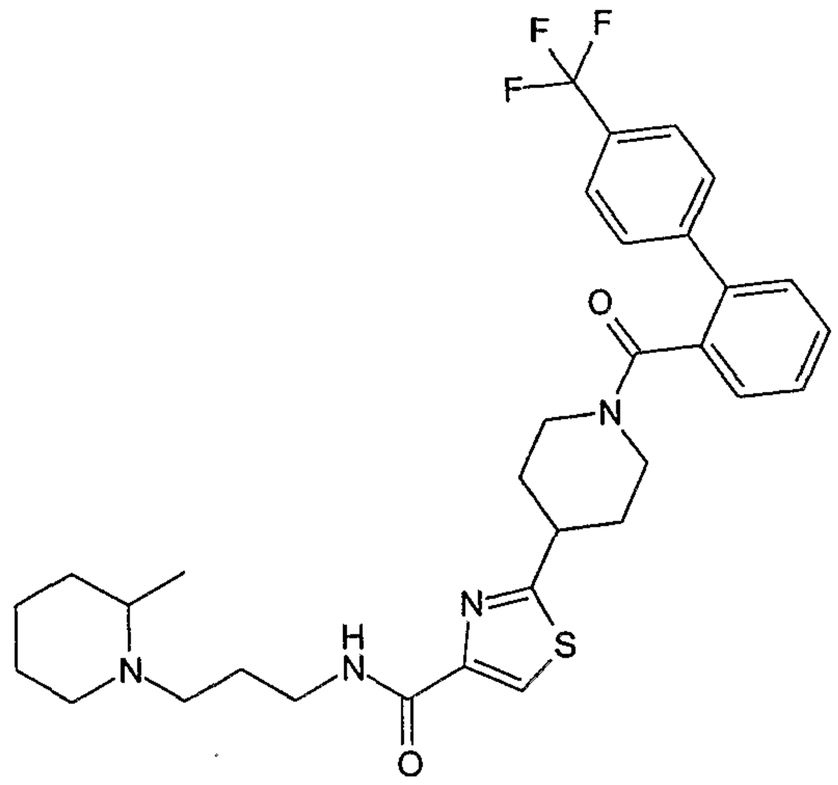
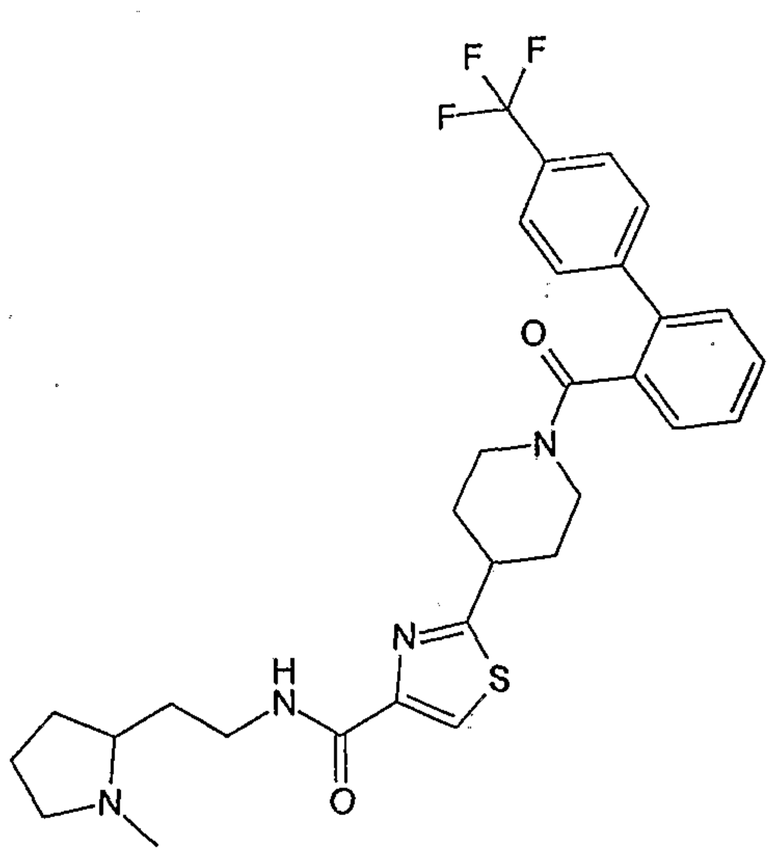
No.	FORMULA	NMR or mass
99	 ethyl 4-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)piperidine-1-carboxylate	
100	 6-ethoxy-2,2,4-trimethyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,2-dihydroquinoline	

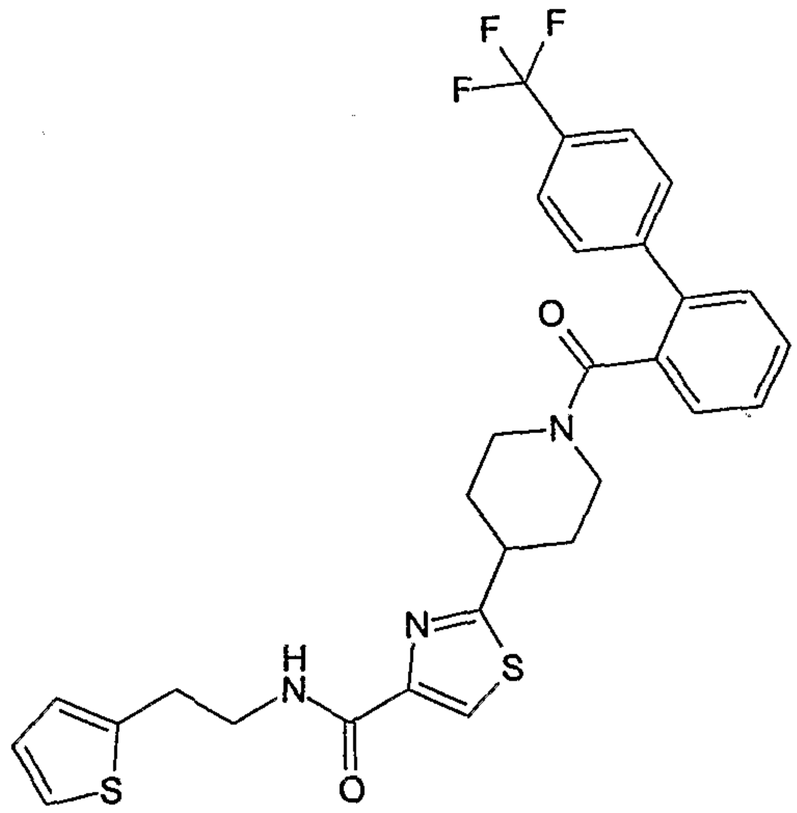
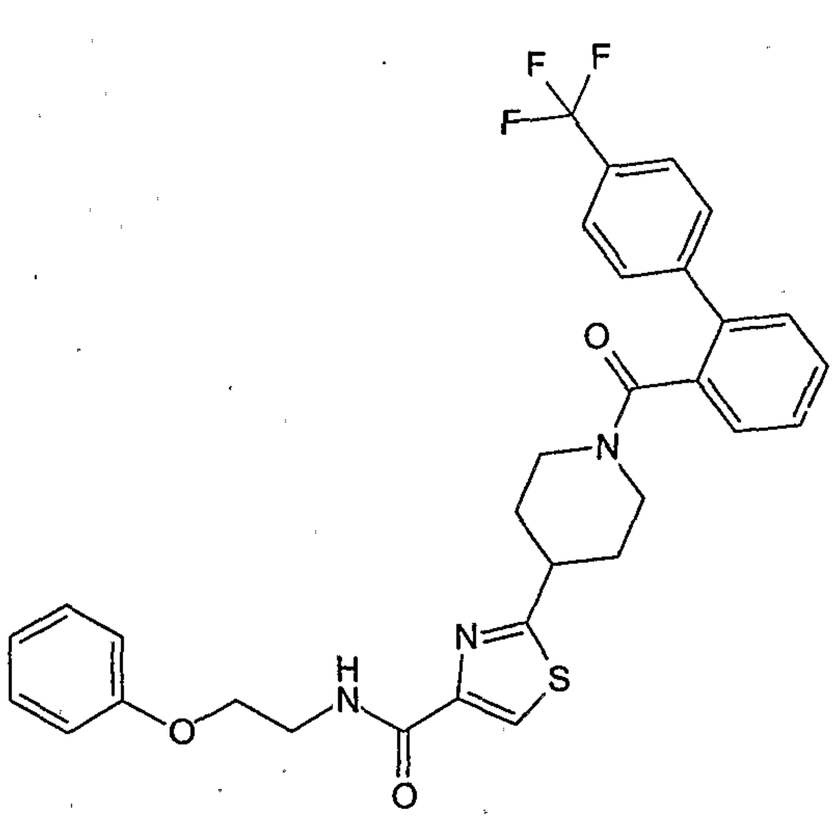
No.	FORMULA	NMR or mass
101	 2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-N'-[4-(trifluoromethyl)pyrimidin-2-yl]-1,3-thiazole-4-carbohydrazide	
102	 N-isopropyl-N-methyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

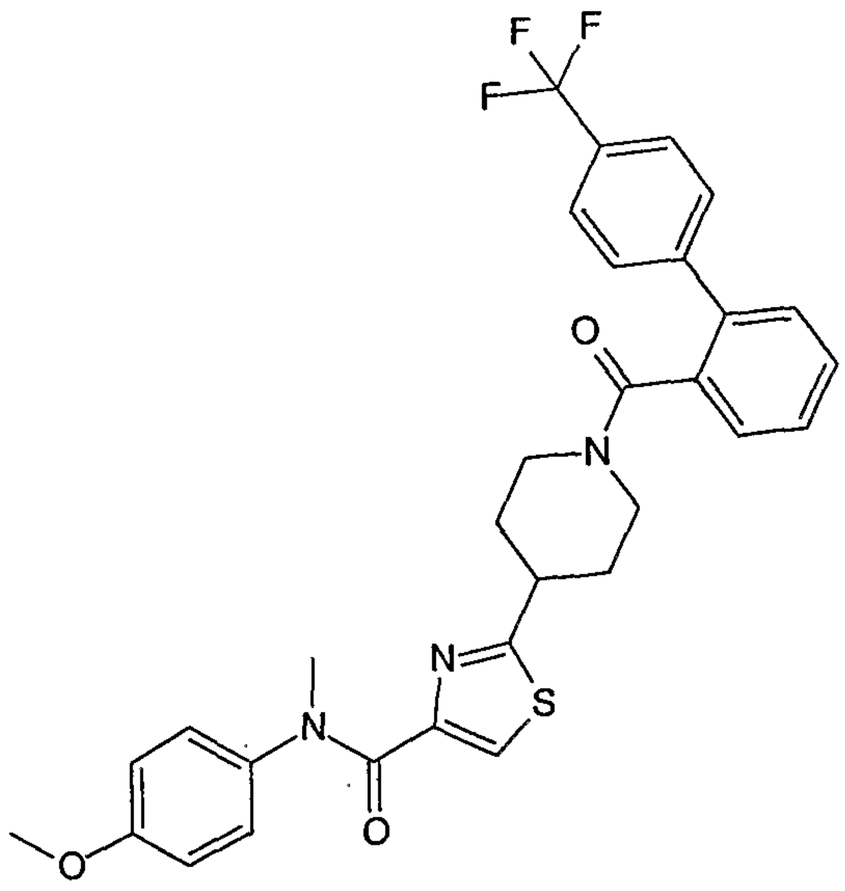
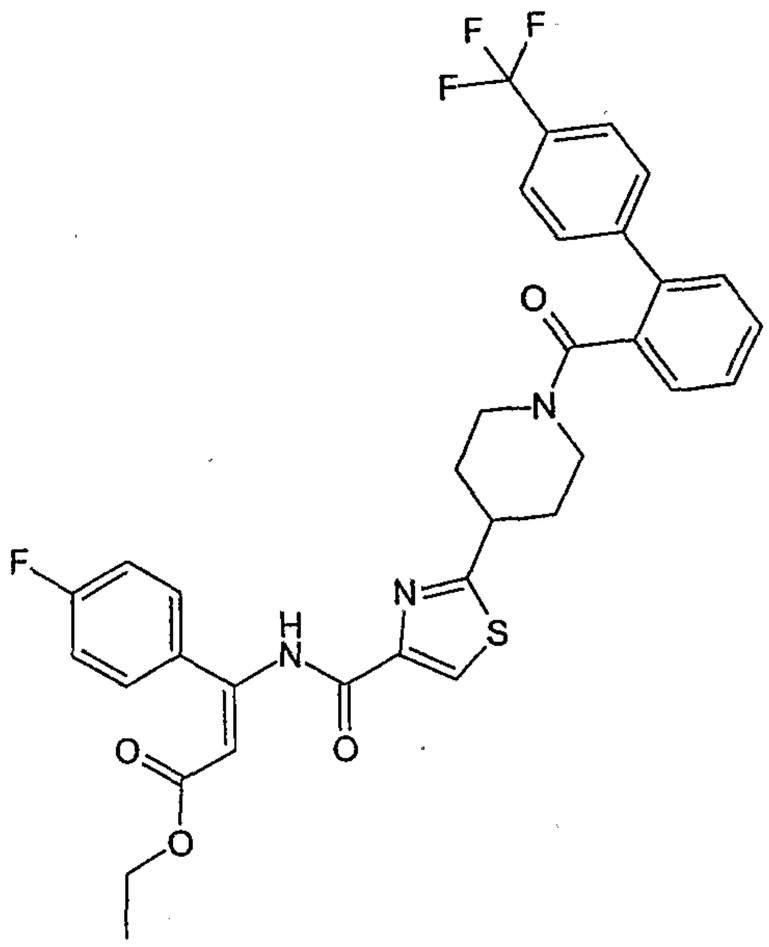
No.	FORMULA	NMR or mass
103	 1-[bis(4-fluorophenyl)methyl]-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	
104	 N-(2-piperidin-1-ylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

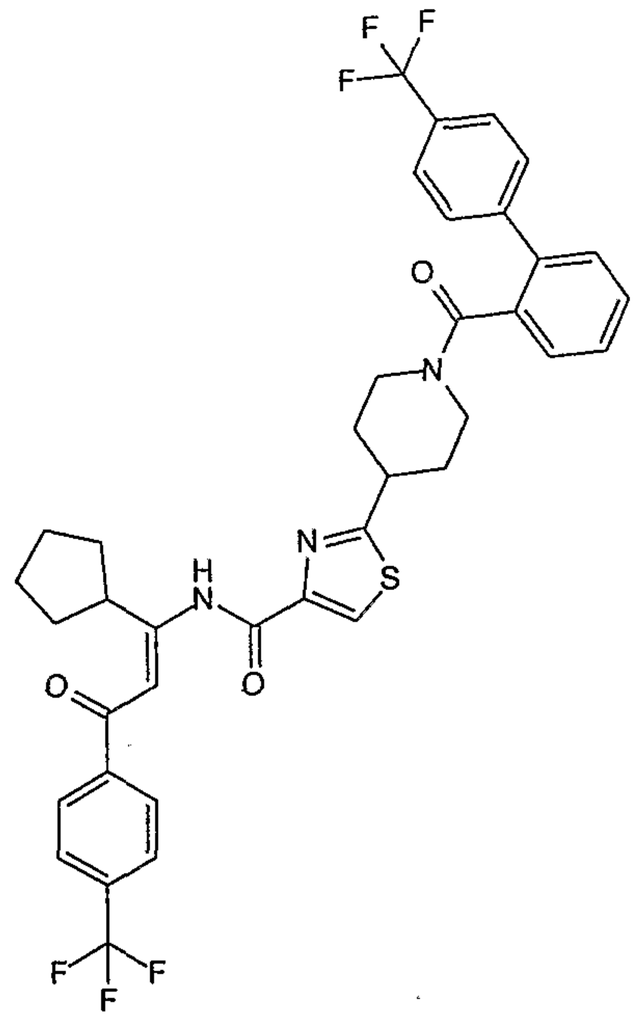
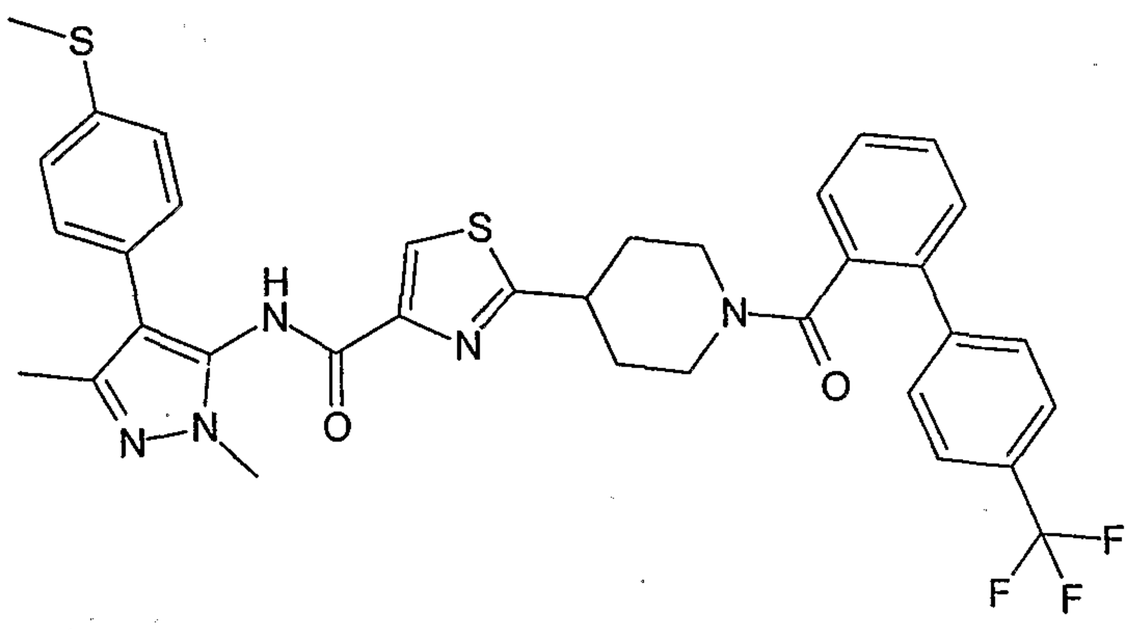
No.	FORMULA	NMR or mass
105	 N-(1,2,3,4-tetrahydronaphthalen-1-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
106	 1-[bis(4-chlorophenyl)methyl]-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	

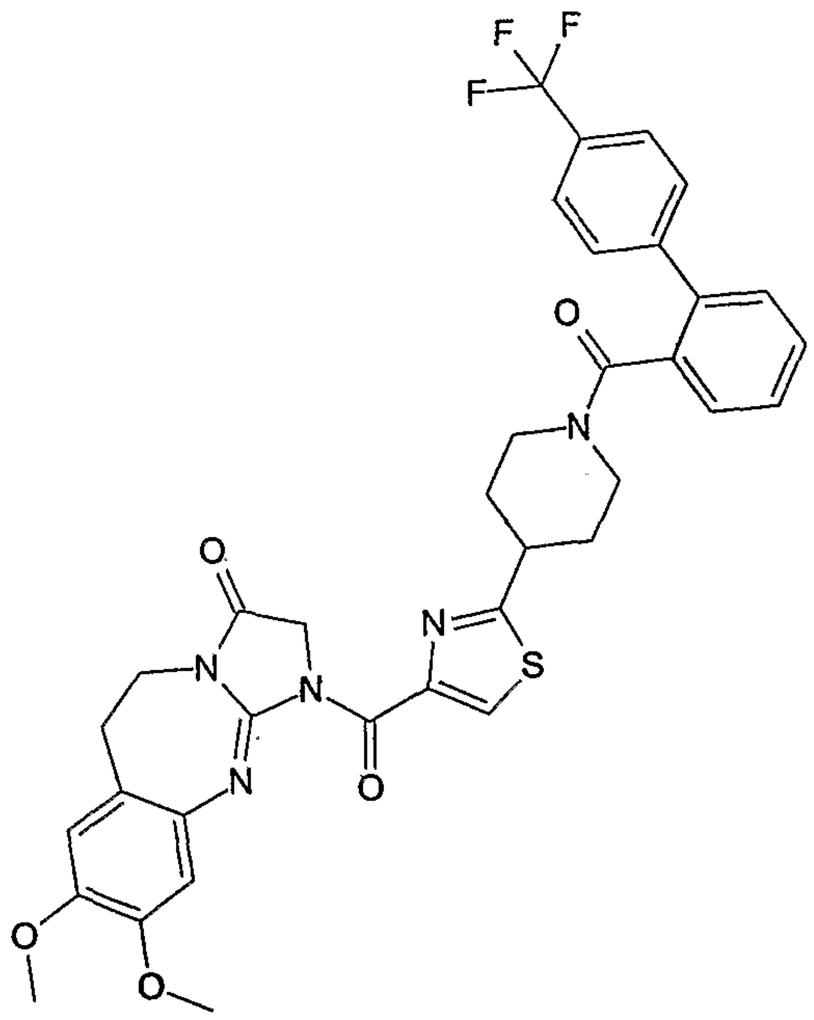
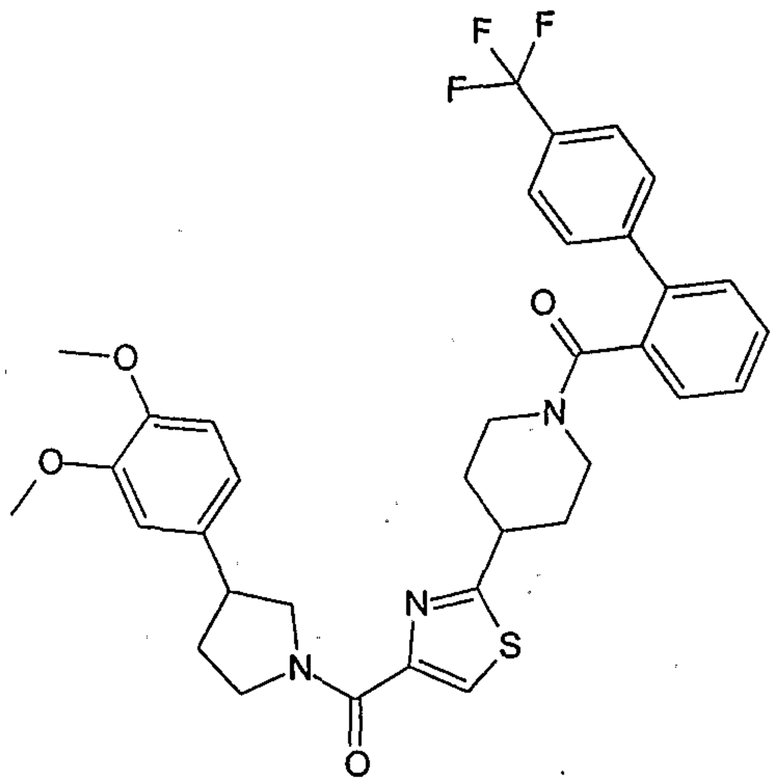
No.	FORMULA	NMR or mass
107	 N-[3-(2-oxopyrrolidin-1-yl)propyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
108	 N-[3-(1H-imidazol-1-yl)propyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

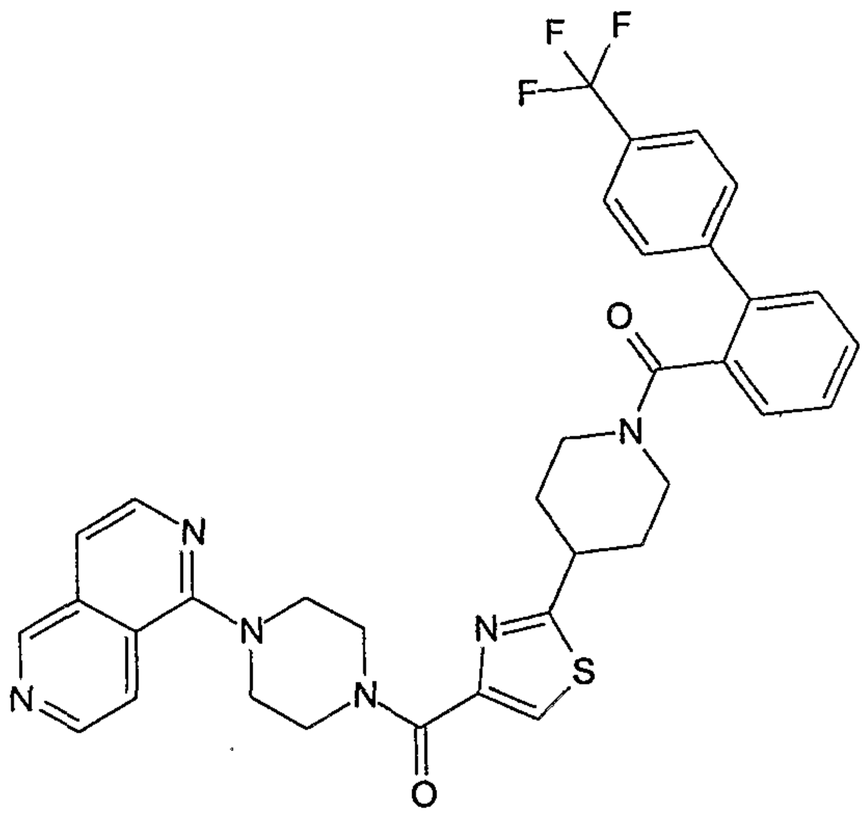
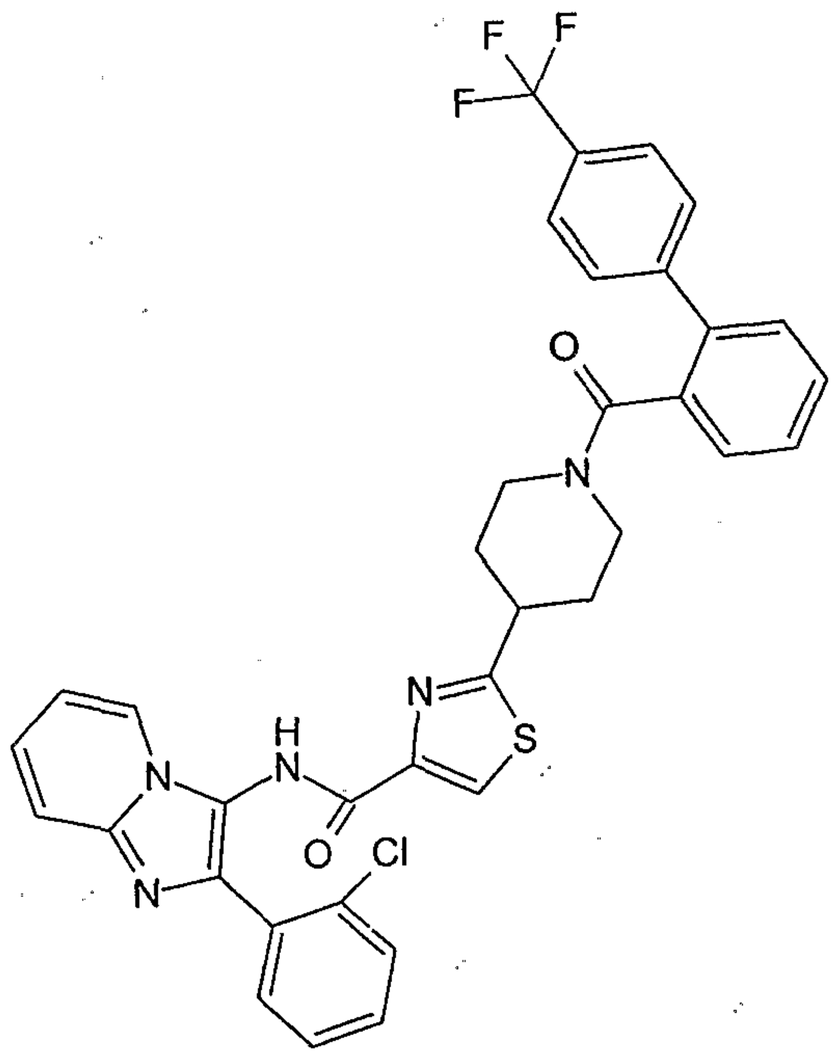
No.	FORMULA	NMR or mass
109	 N-[3-(2-methylpiperidin-1-yl)propyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
110	 N-[2-(1-methylpyrrolidin-2-yl)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

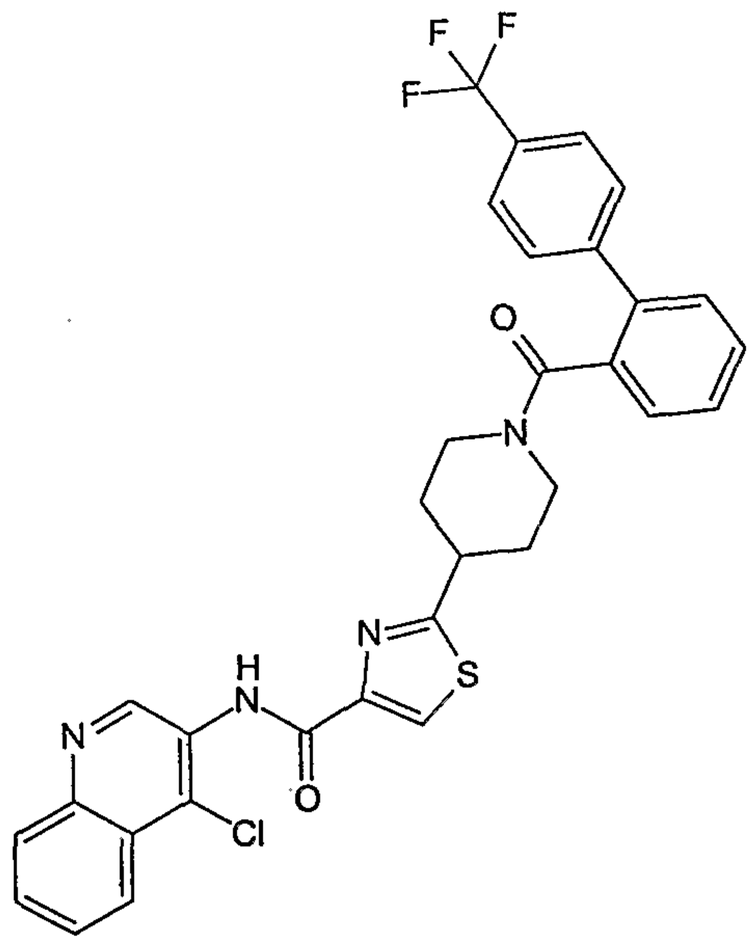
No.	FORMULA	NMR or mass
111	 N-[2-(2-thienyl)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
112	 N-(2-phenoxyethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

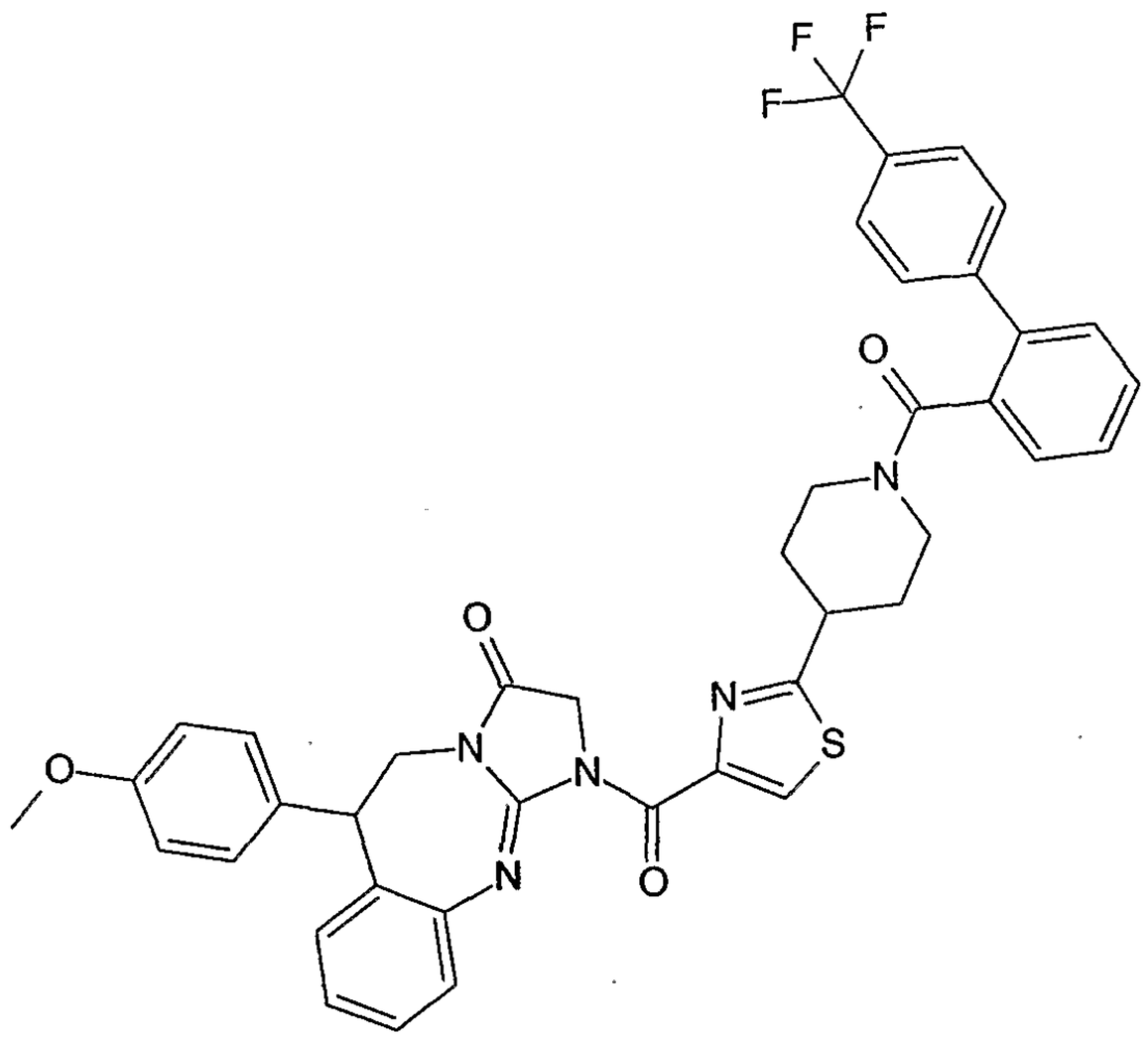
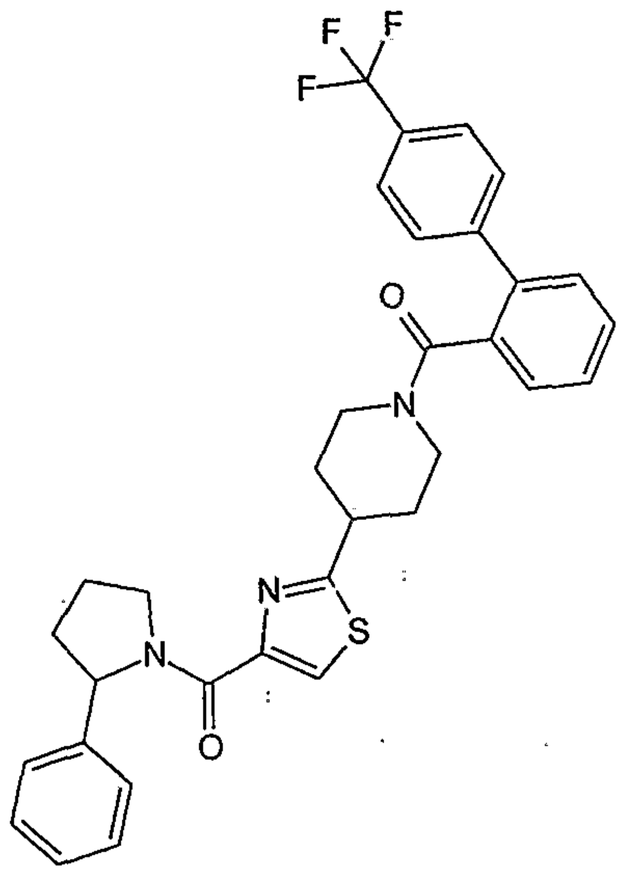
No.	FORMULA	NMR or mass
113	 N-(4-methoxyphenyl)-N-methyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
114	 ethyl (2E)-3-(4-fluorophenyl)-3-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)acrylate	

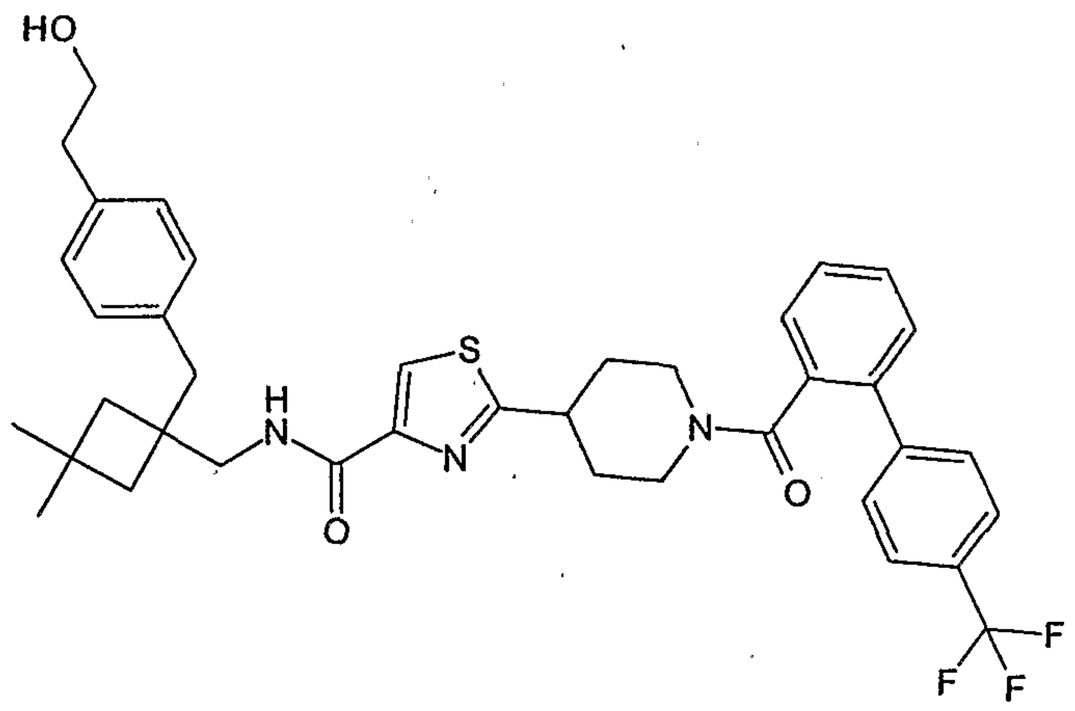
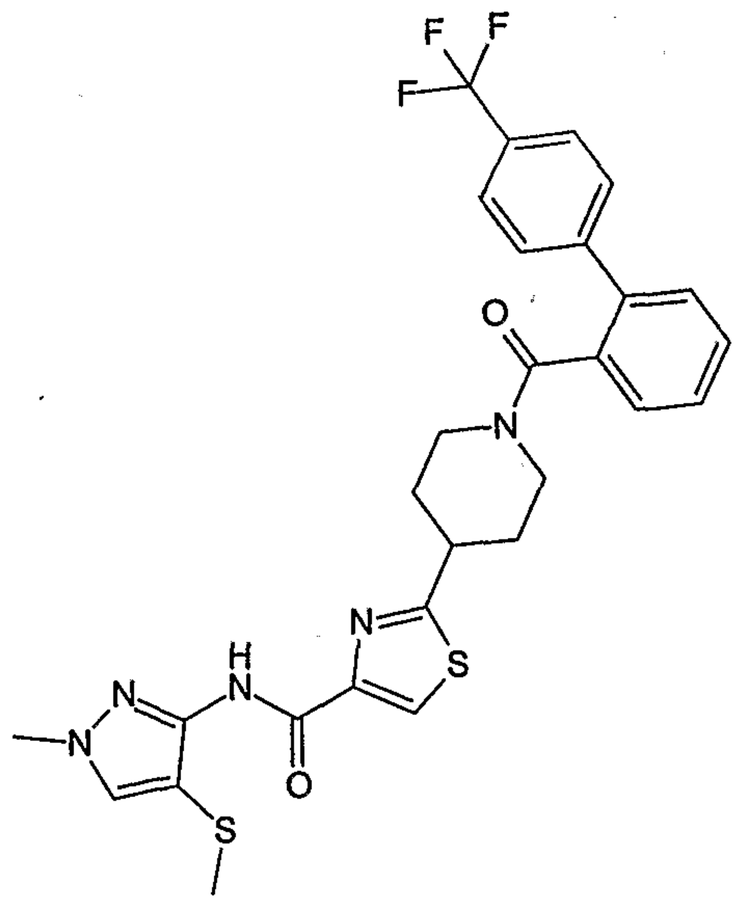
No.	FORMULA	NMR or mass
115	 N-{(1E)-1-cyclopentyl-3-oxo-3-[4-(trifluoromethyl)phenyl]prop-1-en-1-yl}-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
116	 N-{1,3-dimethyl-4-[4-(methylthio)phenyl]-1H-pyrazol-5-yl}-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

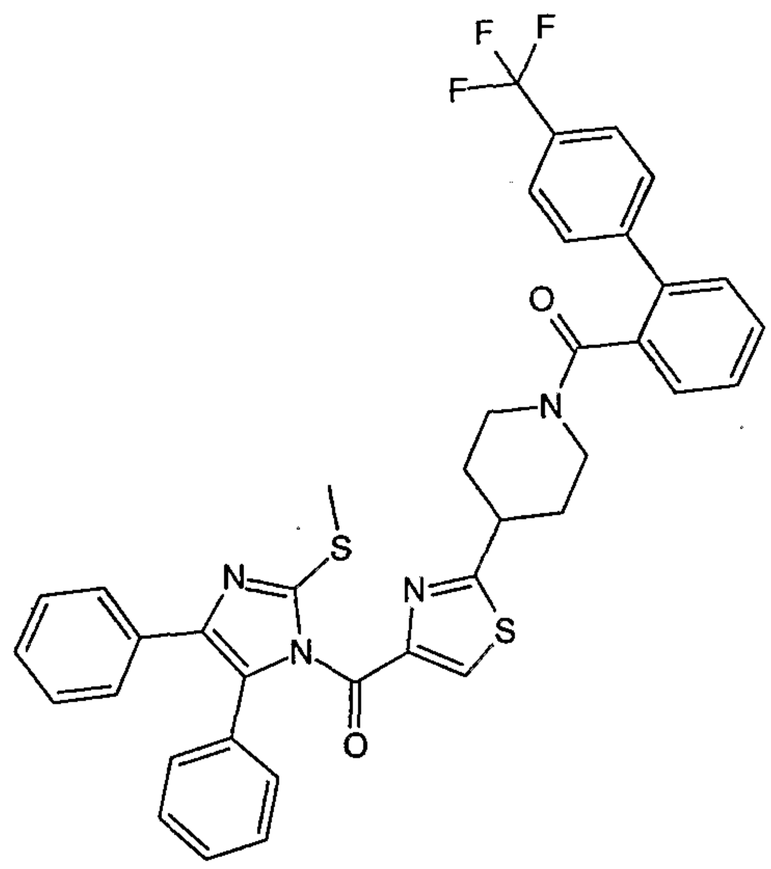
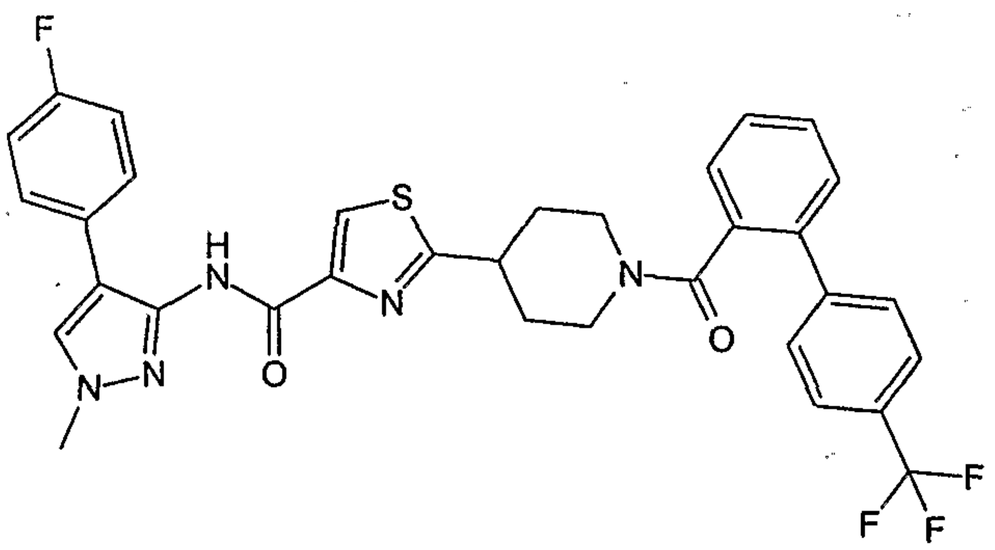
No.	FORMULA	NMR or mass
117	 8,9-dimethoxy-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,2,5,6-tetrahydro-3H-imidazo[2,1-b][1,3]-benzodiazepin-3-one	
118	 4-(4-{[3-(3,4-dimethoxyphenyl)pyrrolidin-1-yl]carbonyl}-1,3-thiazol-2-yl)-1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidine	

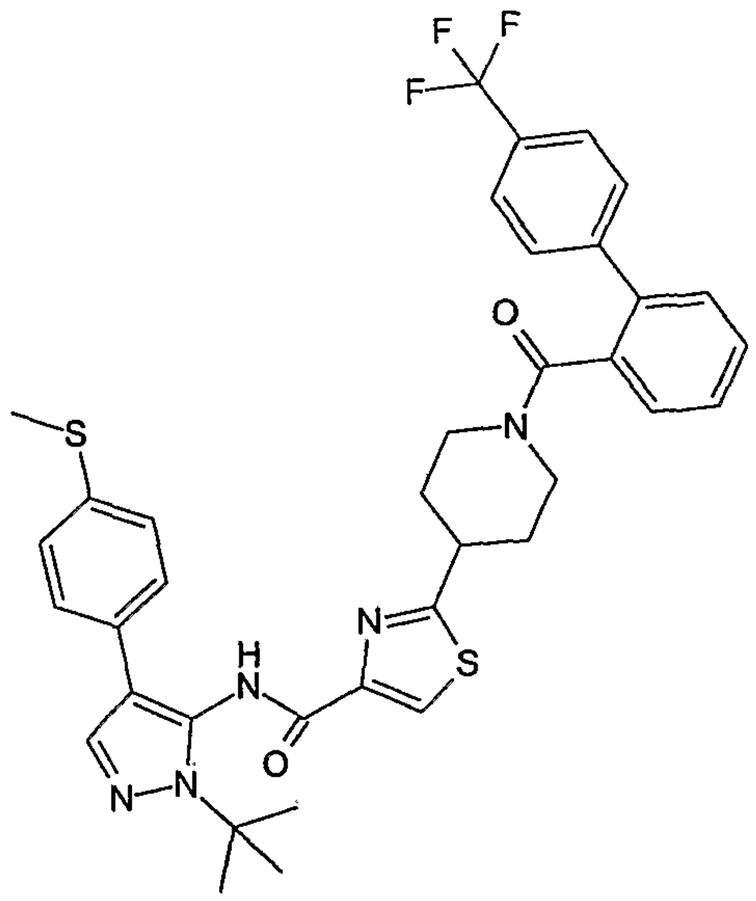
No.	FORMULA	NMR or mass
119	 1-(4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazin-1-yl)-2,6-naphthyridine	
120	 N-[2-(2-chlorophenyl)imidazo[1,2-a]pyridin-3-yl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

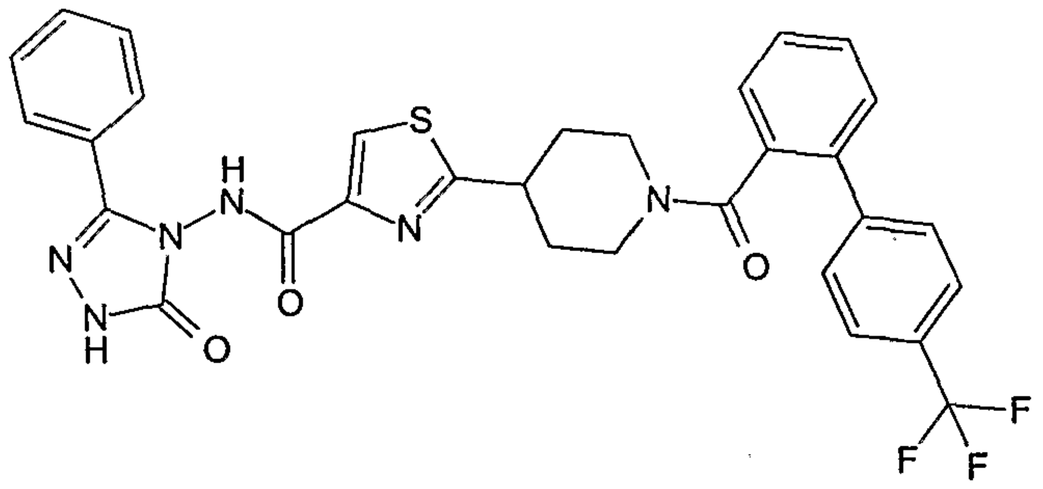
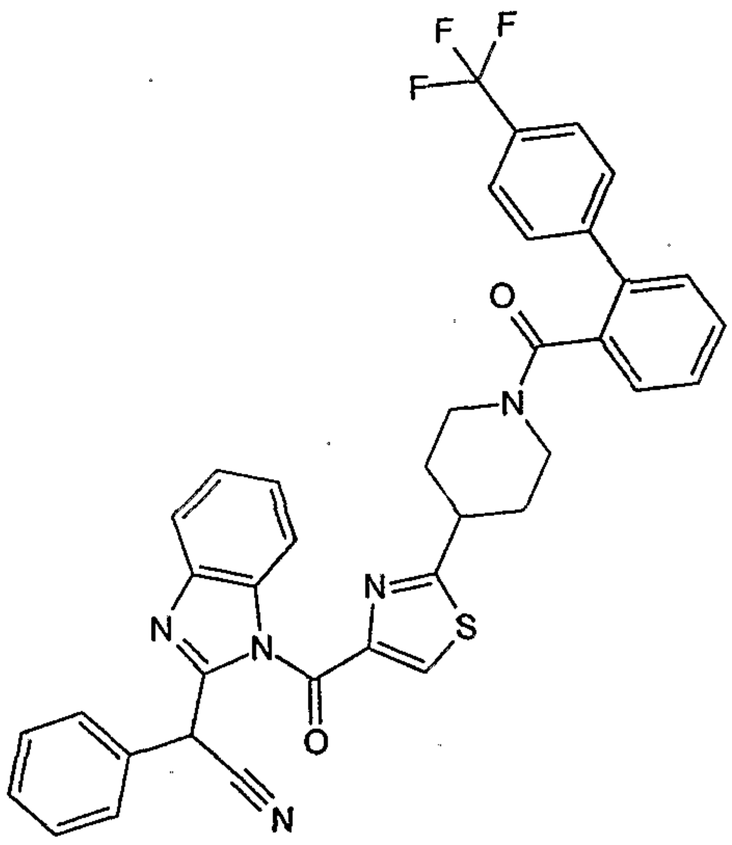
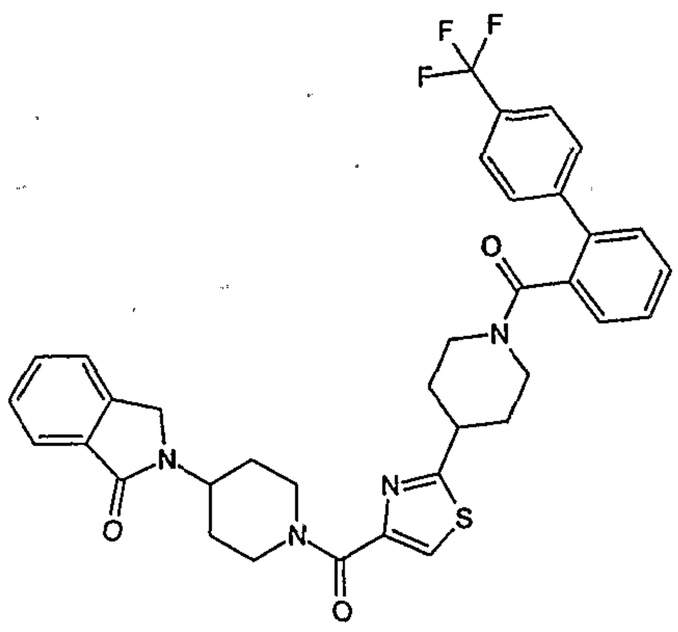
No.	FORMULA	NMR or mass
121	 N-(4-chloroquinolin-3-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
122	 N'-[(1E)-3-cyanopropylidene]-N-pyridin-2-yl-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carbohydrazide	

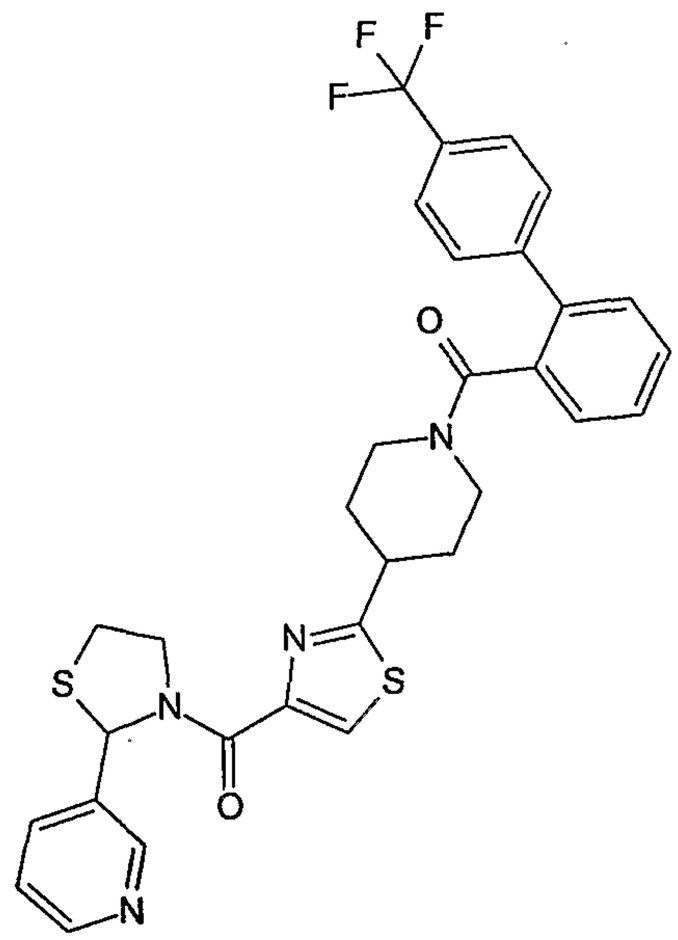
No.	FORMULA	NMR or mass
123	 6-(4-methoxyphenyl)-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,2,5,6-tetrahydro-3H-imidazo[2,1-b][1,3]benzodiazepin-3-one	
124	 4-{4-[(2-phenylpyrrolidin-1-yl)carbonyl]-1,3-thiazol-2-yl}-1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidine	

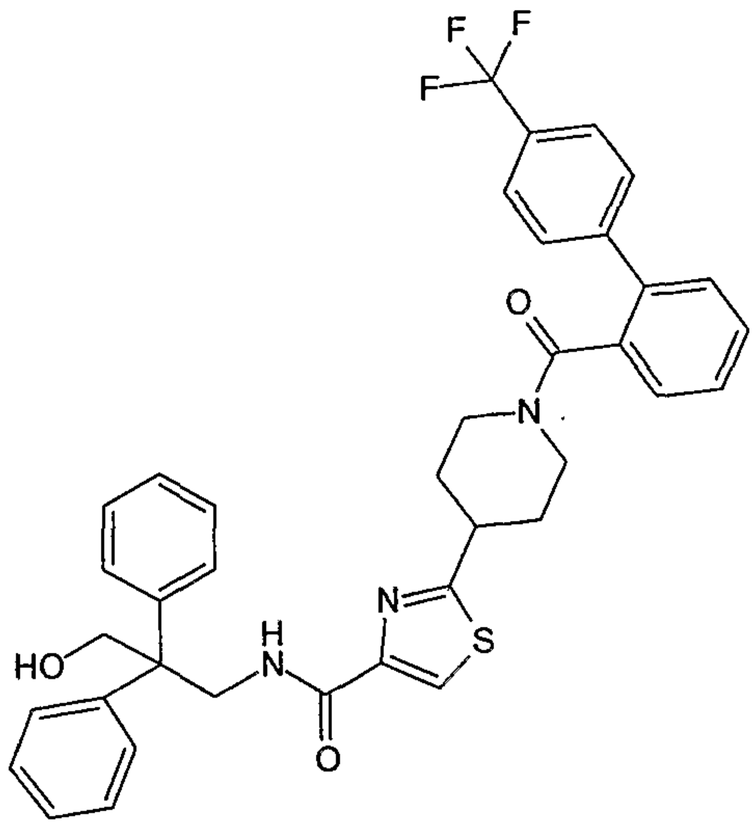
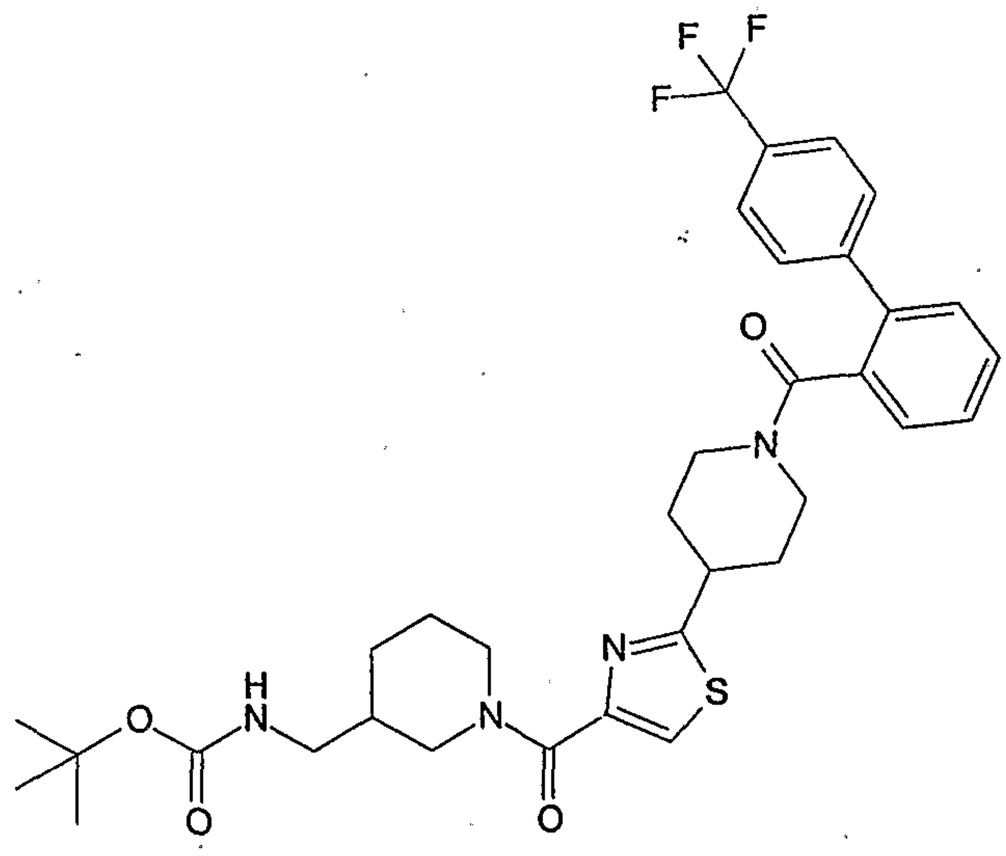
No.	FORMULA	NMR or mass
125	 N-({1-[4-(2-hydroxyethyl)benzyl]-3,3-dimethylcyclobutyl}methyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
126	 N-[1-methyl-4-(methylthio)-1H-pyrazol-3-yl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

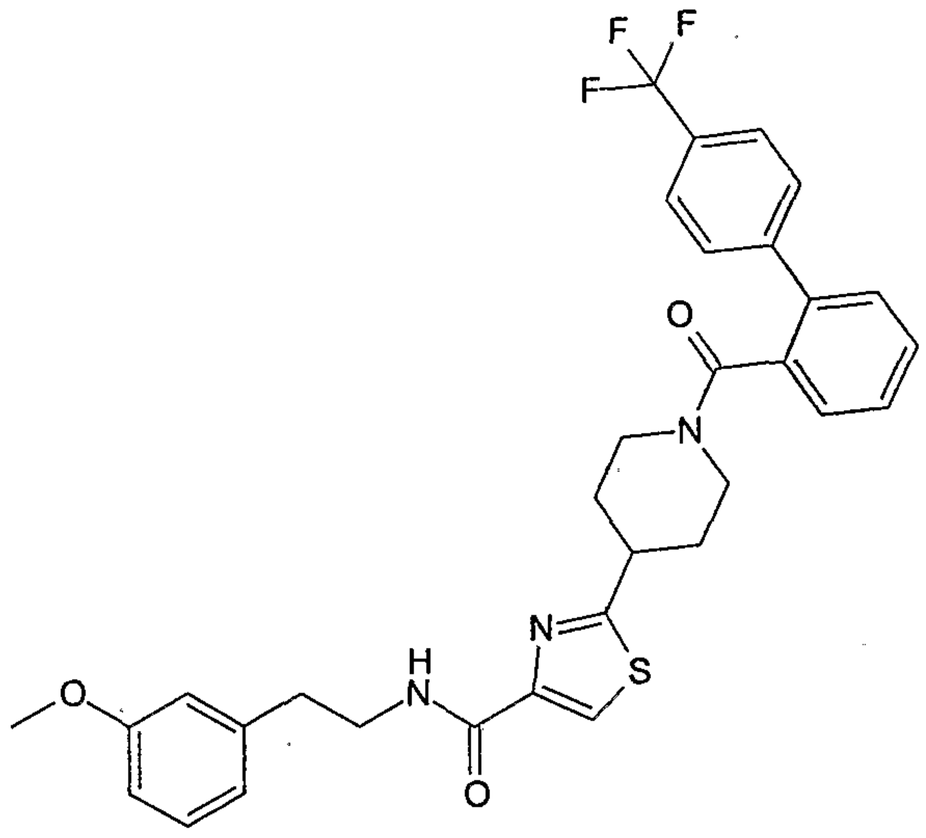
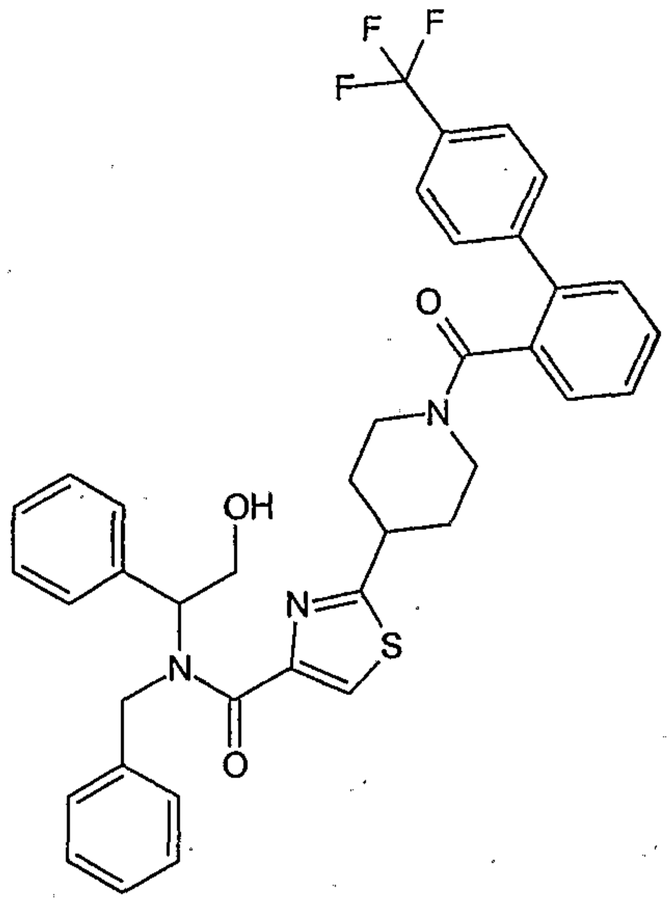
No.	FORMULA	NMR or mass
127	 4-(4-{[2-(methylthio)-4,5-diphenyl-1H-imidazol-1-yl]carbonyl}-1,3-thiazol-2-yl)-1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidine	
128		

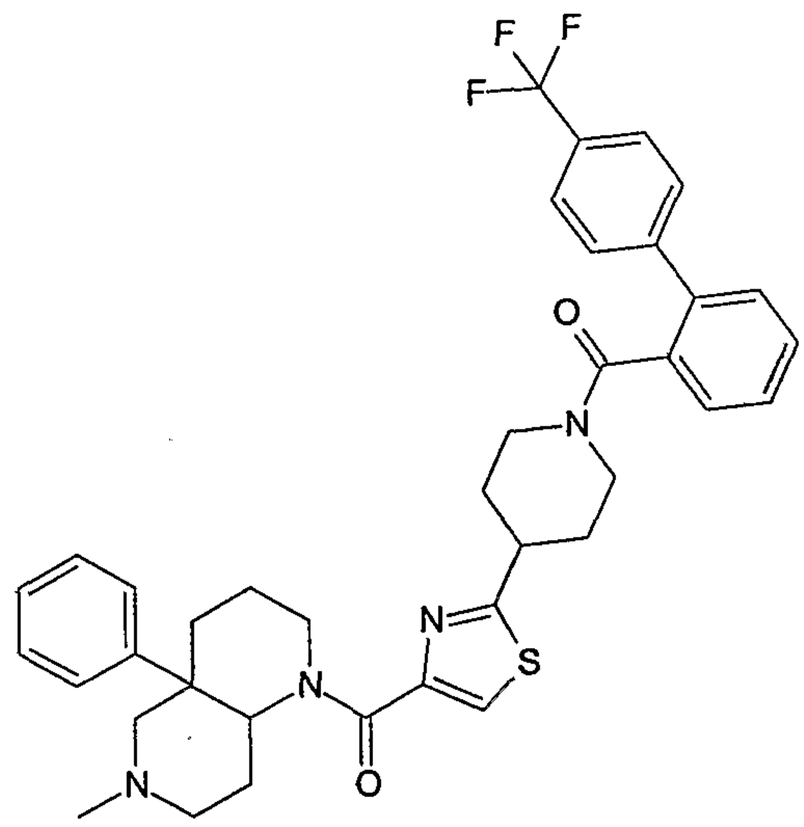
No.	FORMULA	NMR or mass
129	 N-{1-tert-butyl-4-[4-(methylthio)phenyl]-1H-pyrazol-5-yl}-2-(1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
130	 N-(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-yl)benzamide	

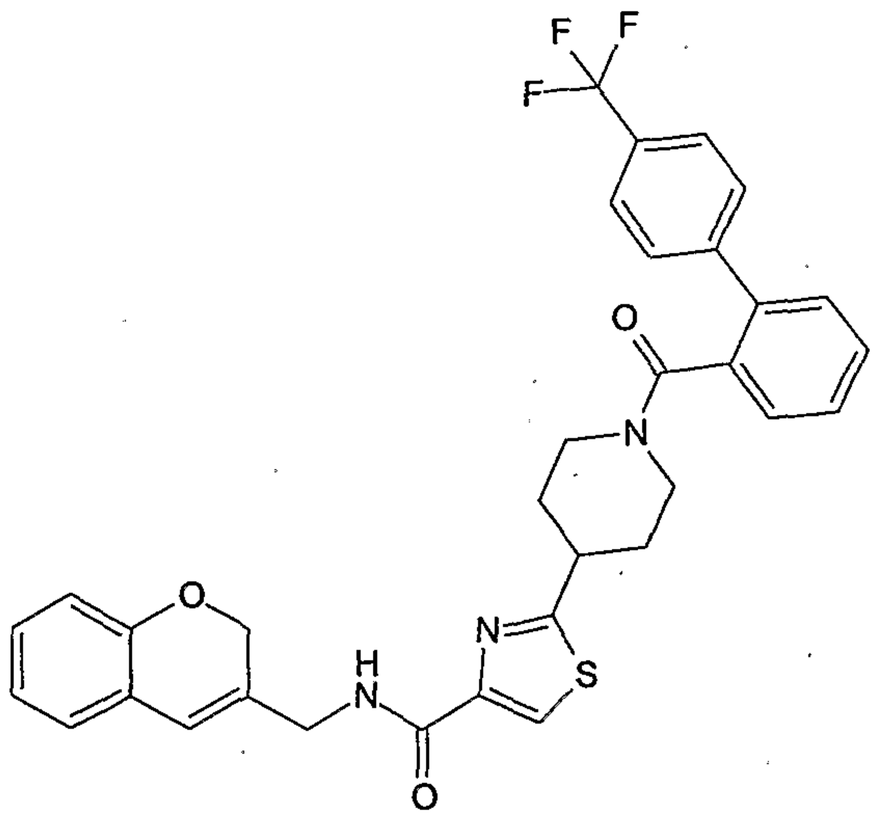
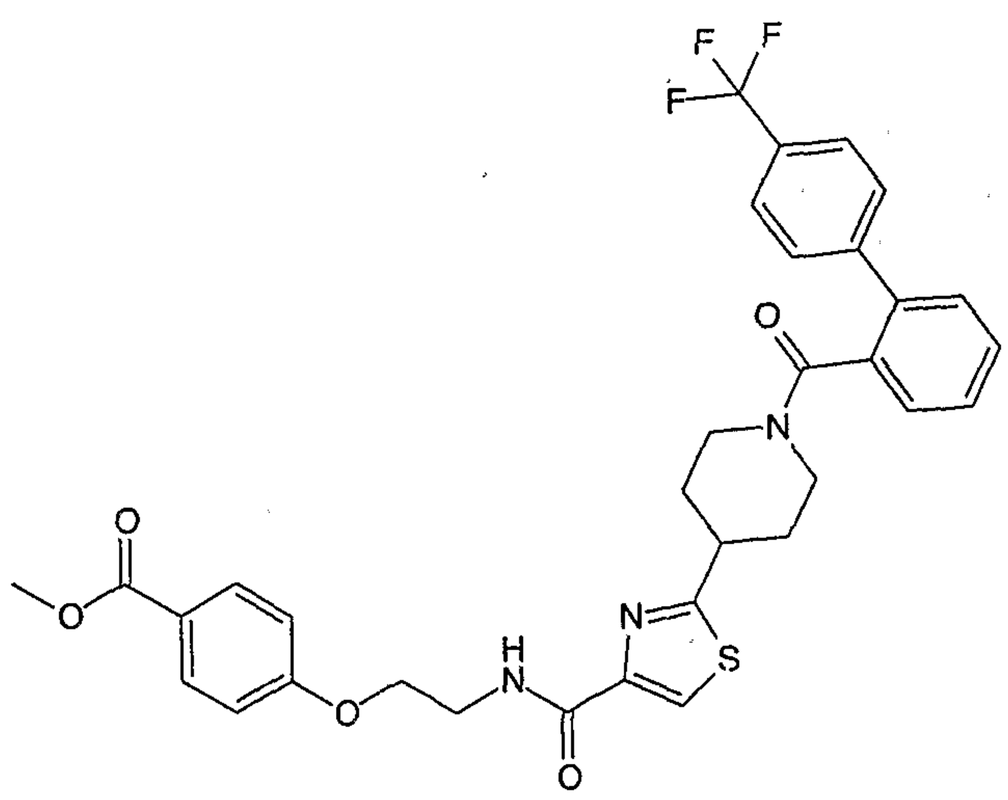
No.	FORMULA	NMR or mass
131		
132	 phenyl(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-benzimidazol-2-yl)acetonitrile	
133	 2-(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-yl)isoindolin-1-one	

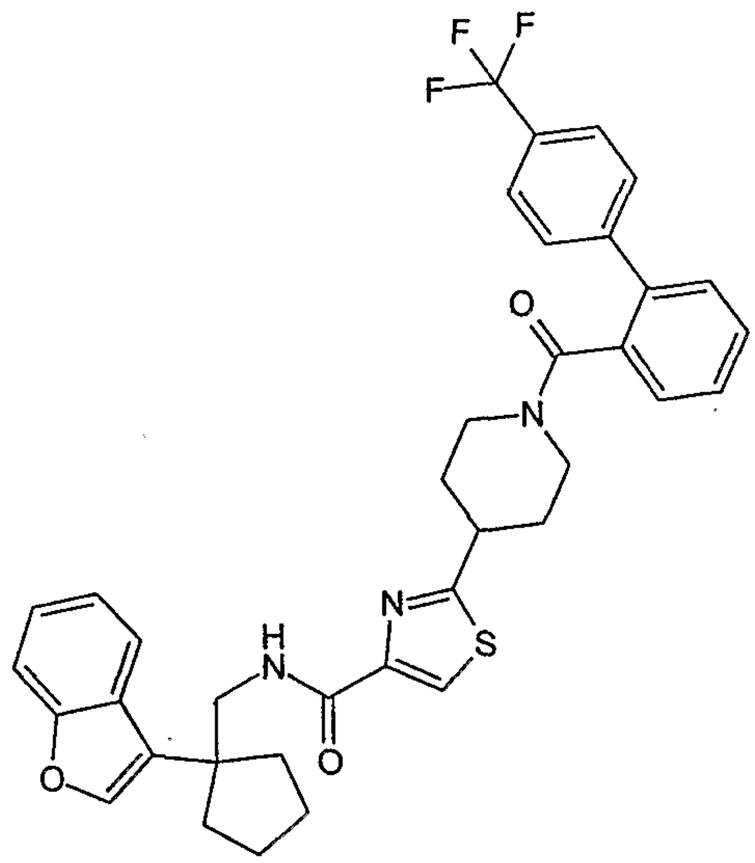
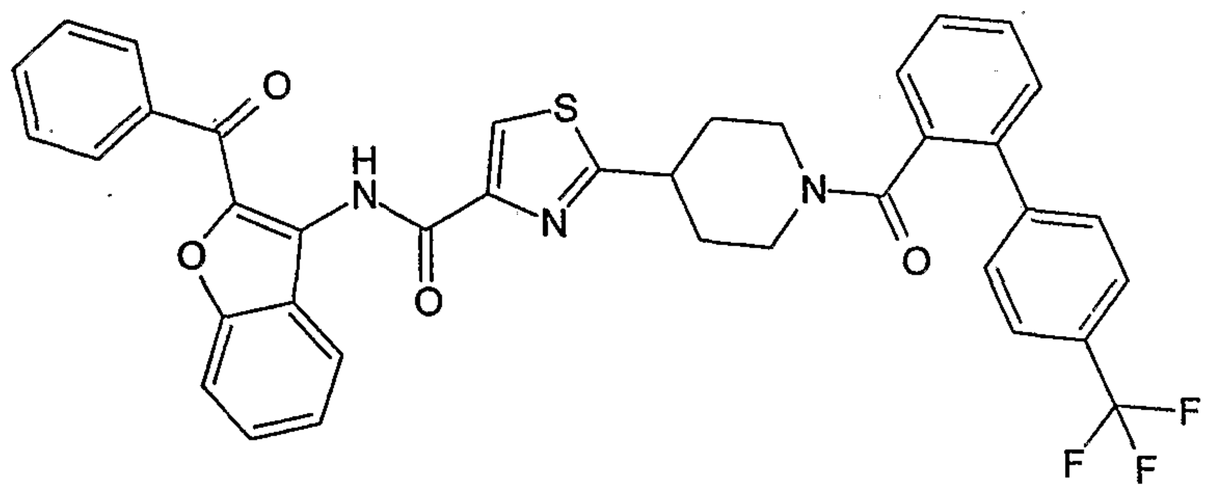
No.	FORMULA	NMR or mass
134	 3-(3-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,3-thiazolidin-2-yl)pyridine	
135	 3-[(4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazin-1-yl)methyl]-2H-chromen-2-one	

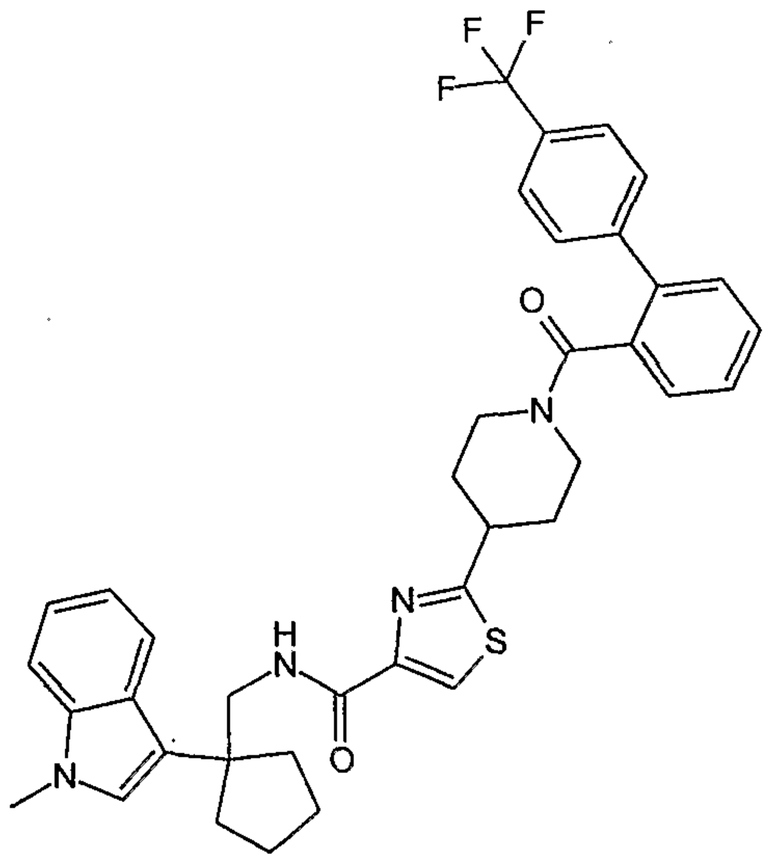
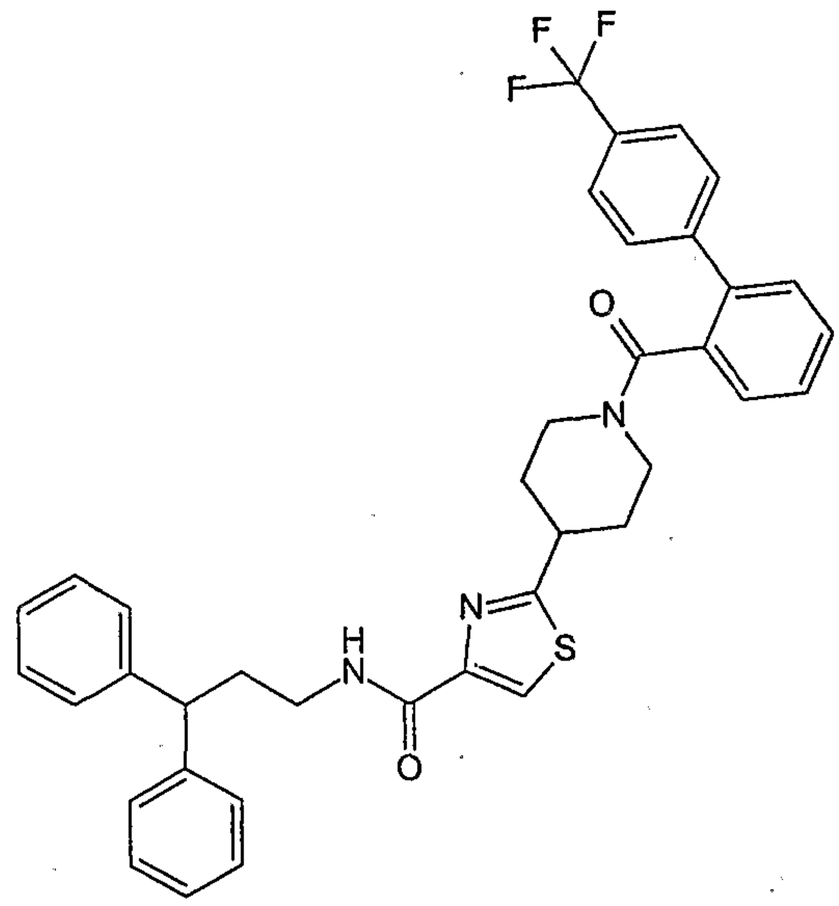
No.	FORMULA	NMR or mass
136	 N-(3-hydroxy-2,2-diphenylpropyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
137	 tert-butyl [(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-3-yl)methyl]carbamate	

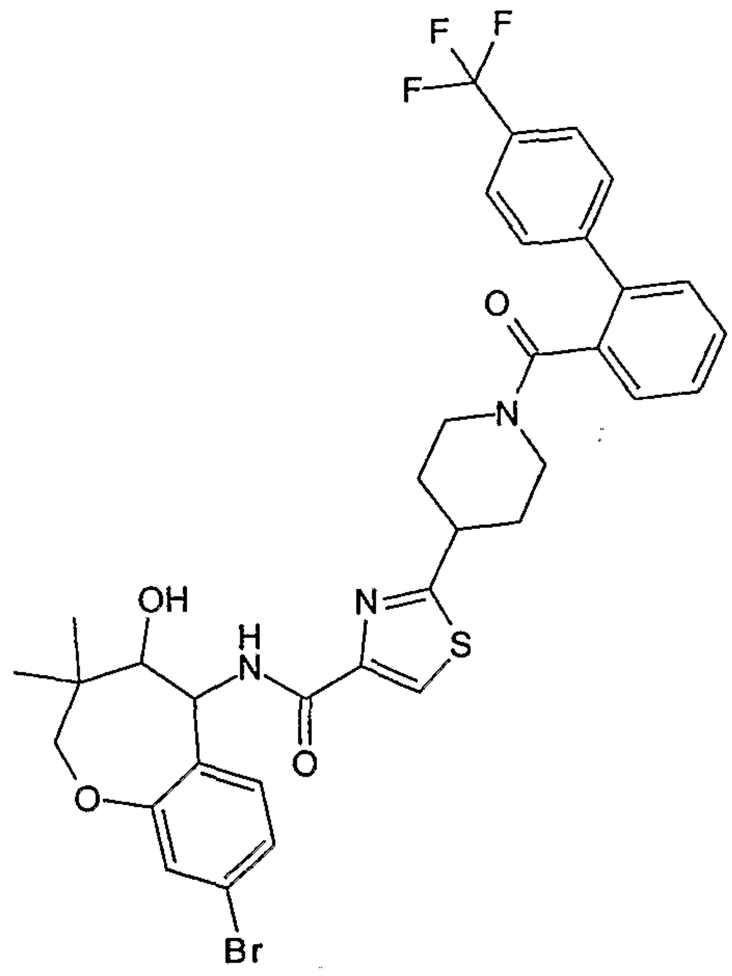
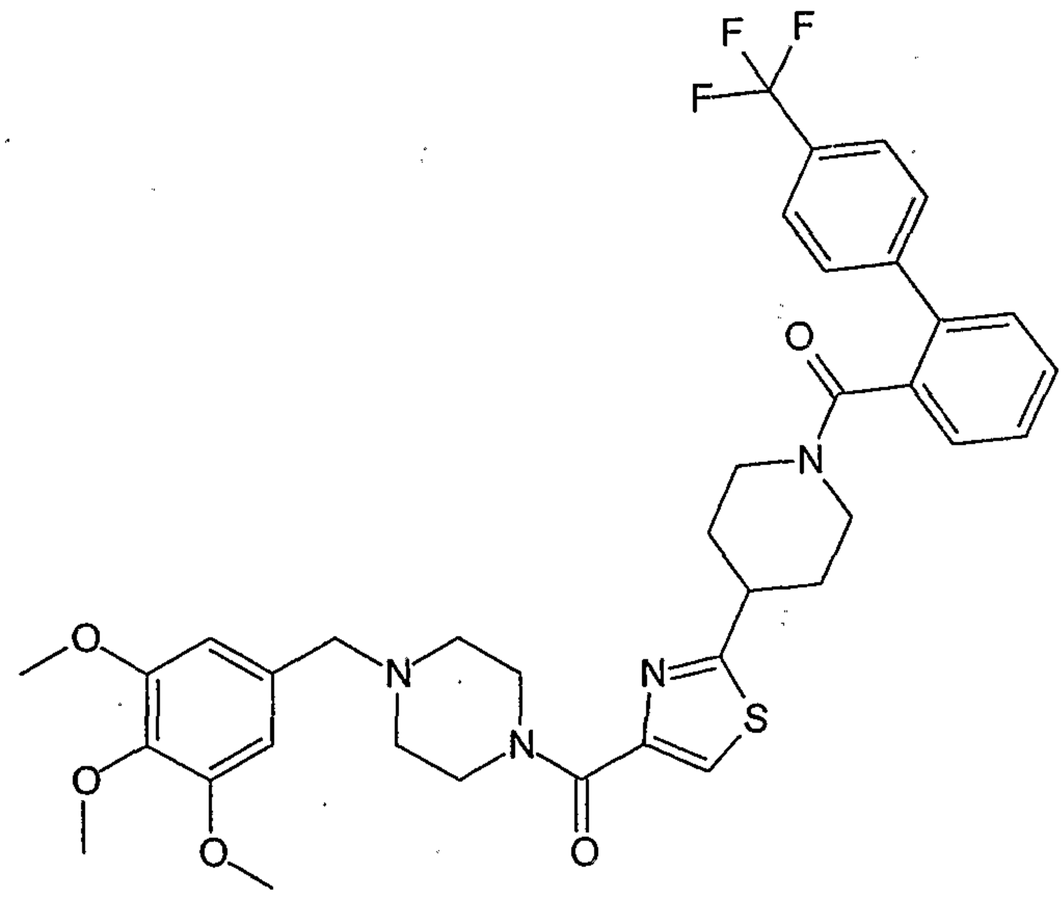
No.	FORMULA	NMR or mass
138	 N-[2-(3-methoxyphenyl)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
139	 N-benzyl-N-(2-hydroxy-1-phenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

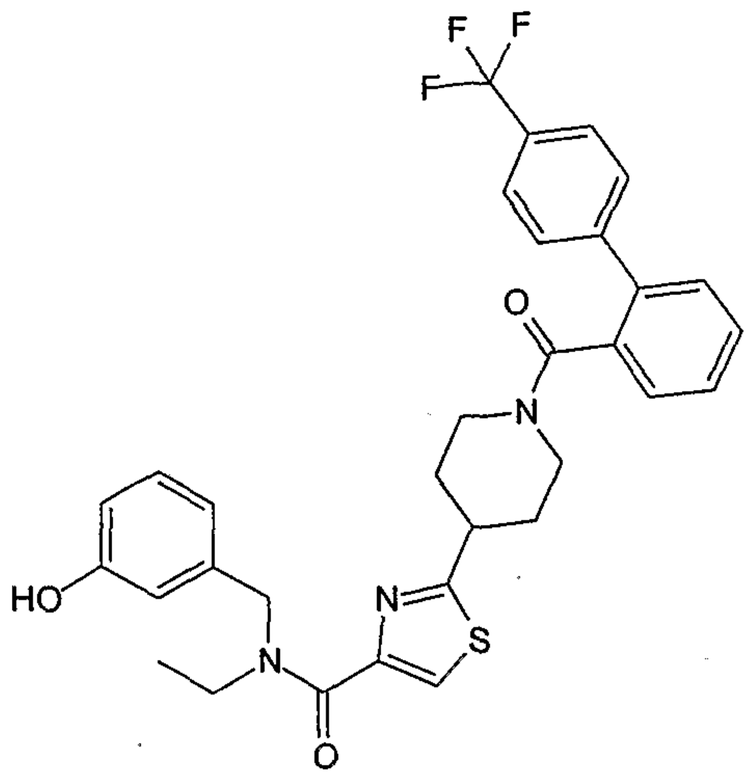
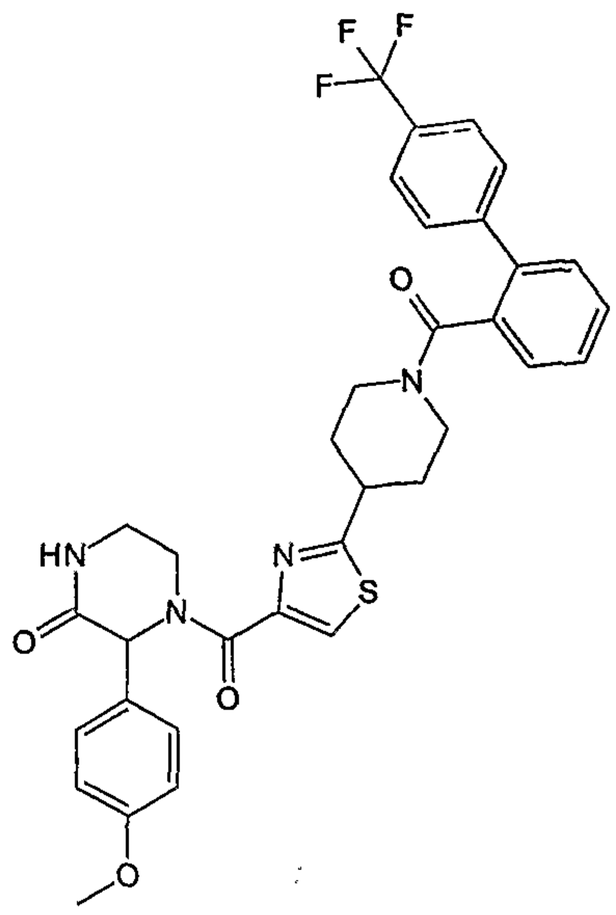
No.	FORMULA	NMR or mass
140	 6-methyl-4a-phenyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}decahydro-1,6-naphthyridine	
141	 N-(2,6-dimethylphenyl)-2-(4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazin-1-yl)acetamide	

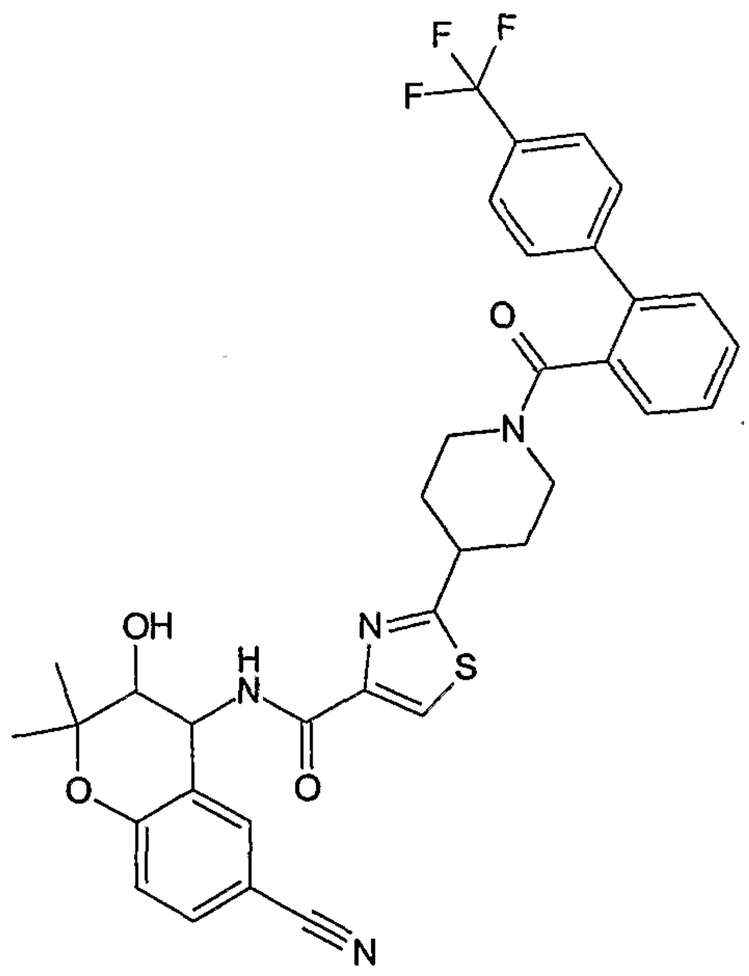
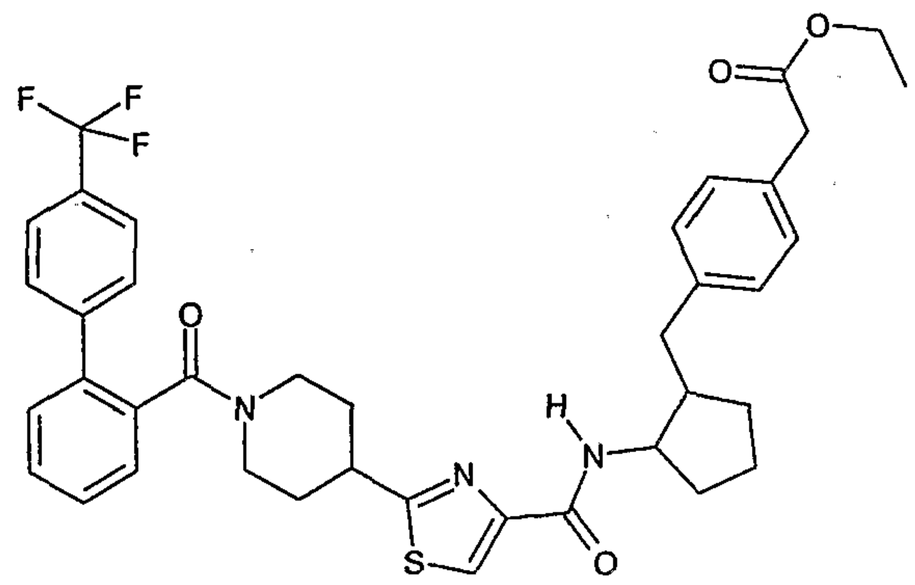
No.	FORMULA	NMR or mass
142	 N-(2H-chromen-3-ylmethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
143	 methyl 4-[2-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)ethoxy]benzoate	

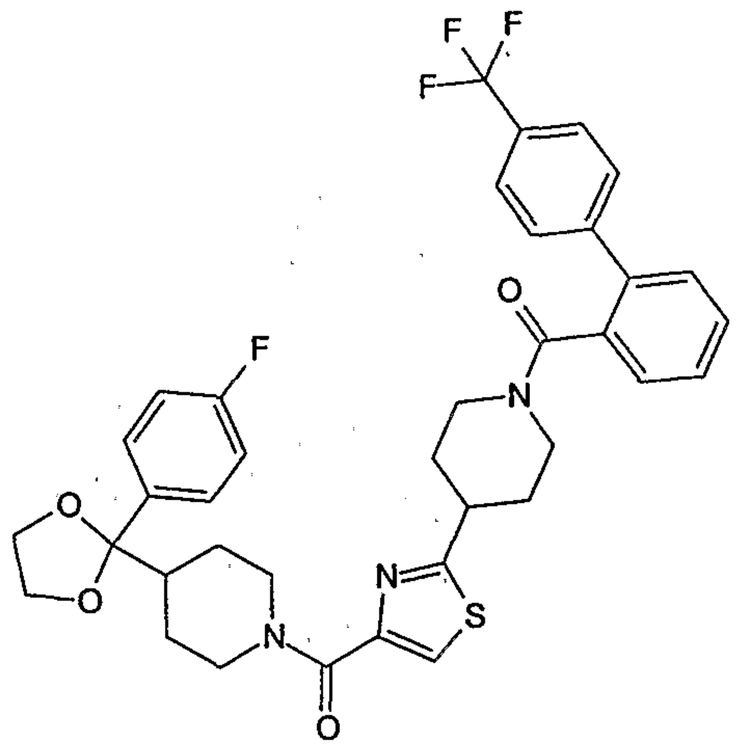
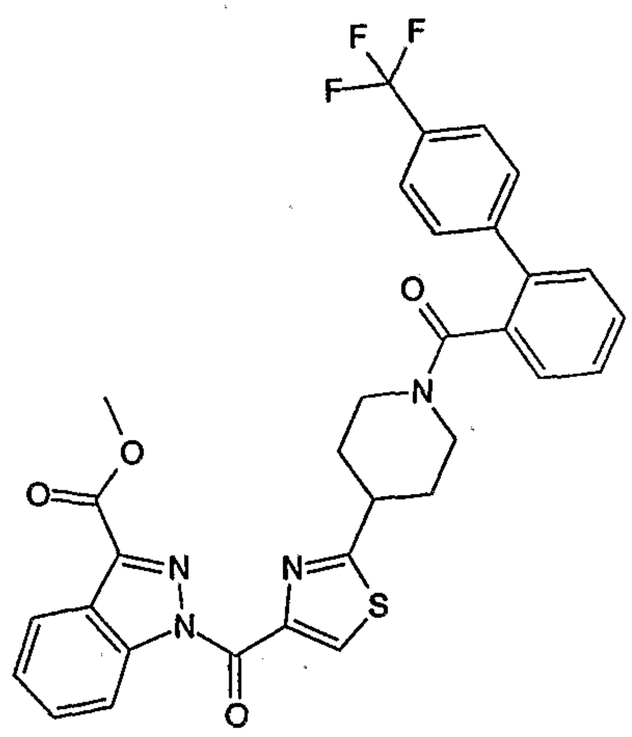
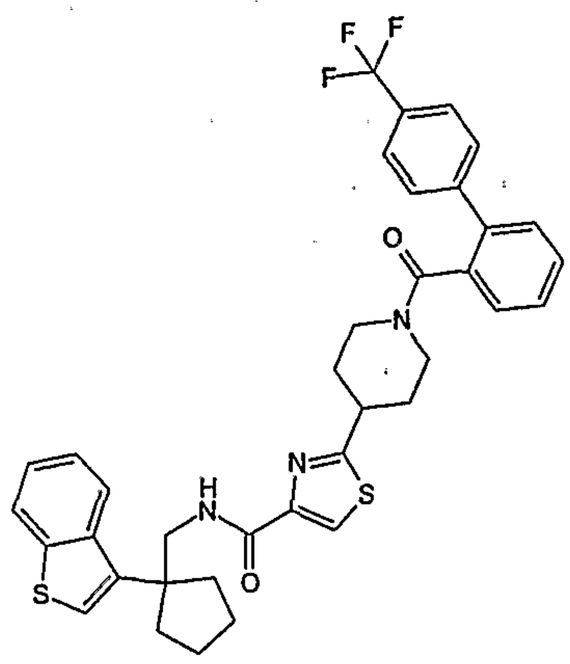
No.	FORMULA	NMR or mass
144	 N-{[1-(1-benzofuran-3-yl)cyclopentyl]methyl}-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
145		

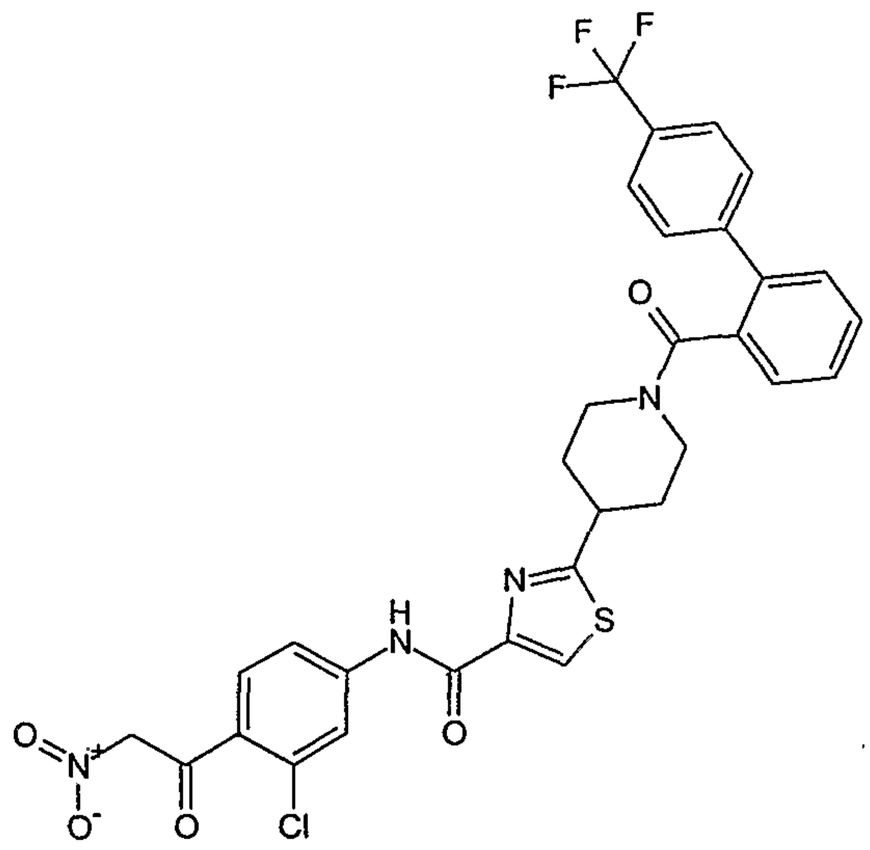
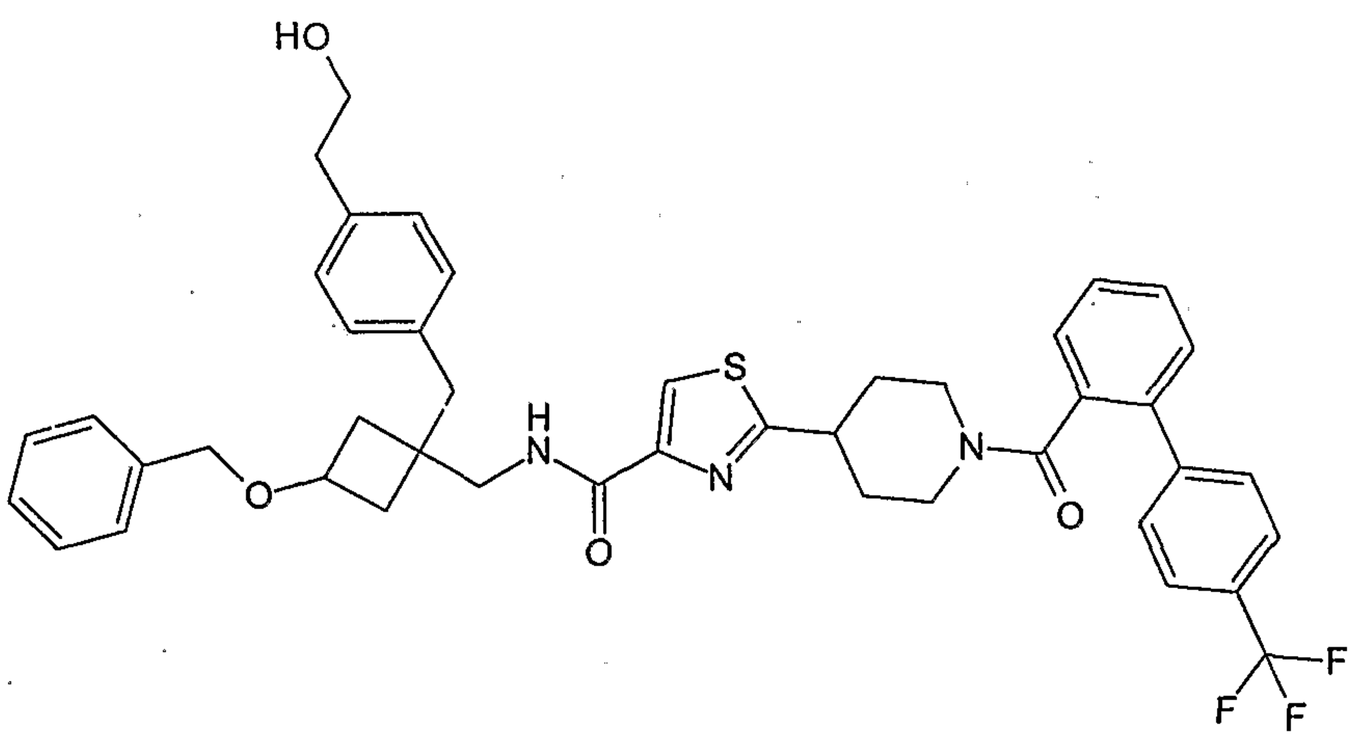
No.	FORMULA	NMR or mass
146	 N-{[1-(1-methyl-1H-indol-3-yl)cyclopentyl]methyl}-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
147	 N-(3,3-diphenylpropyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

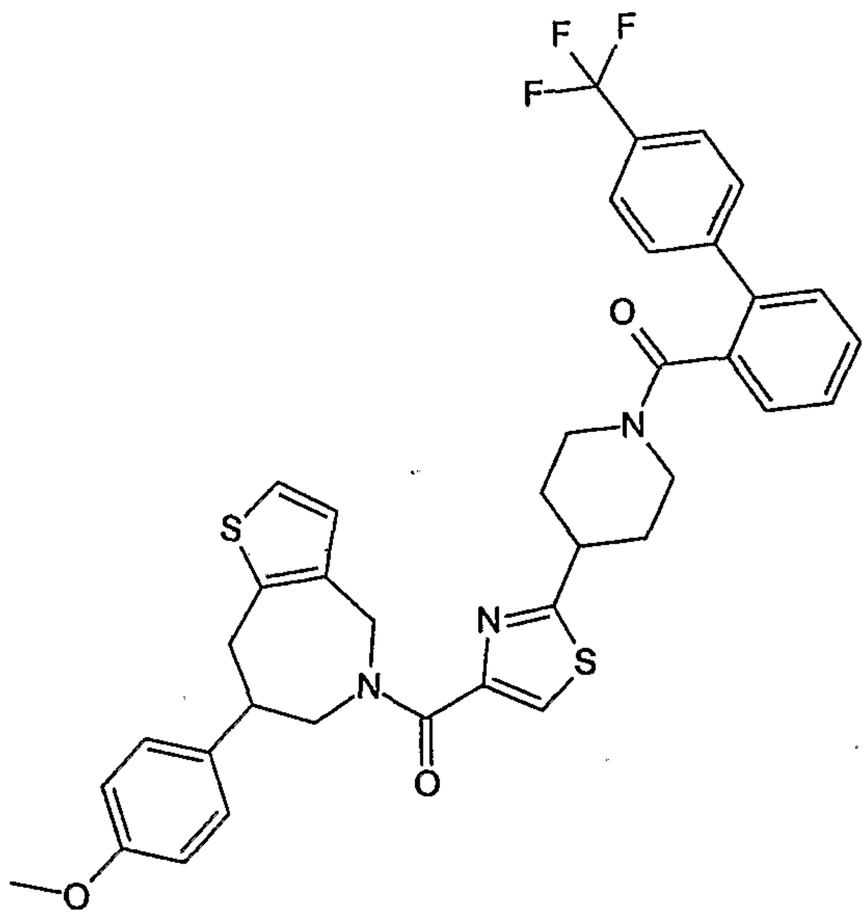
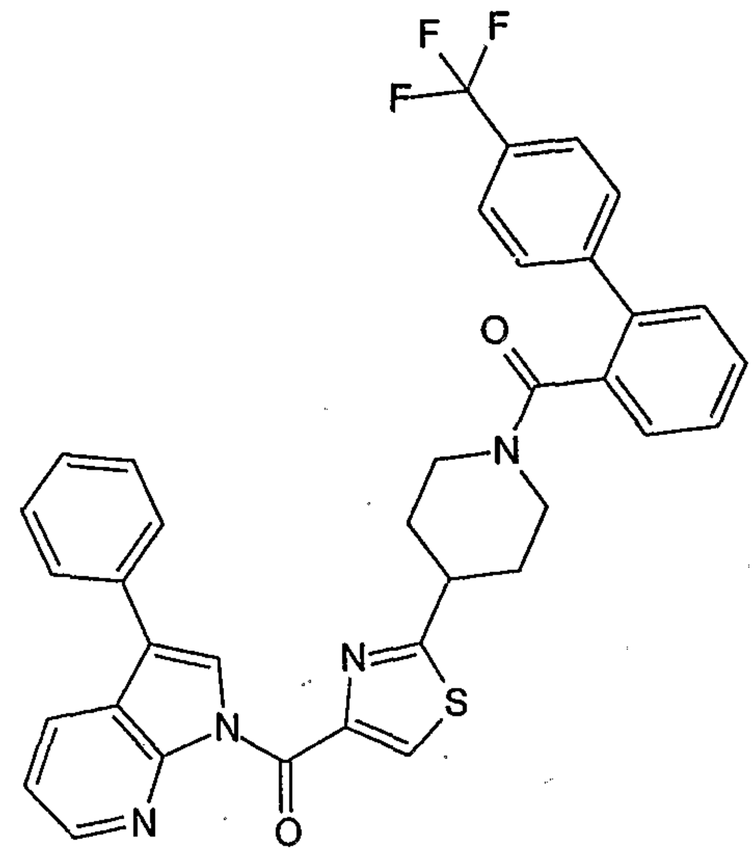
No.	FORMULA	NMR or mass
148	 N-(8-bromo-4-hydroxy-3,3-dimethyl-2,3,4,5-tetrahydro-1-benzoxepin-5-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
149	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-4-(3,4,5-trimethoxybenzyl)piperazine	

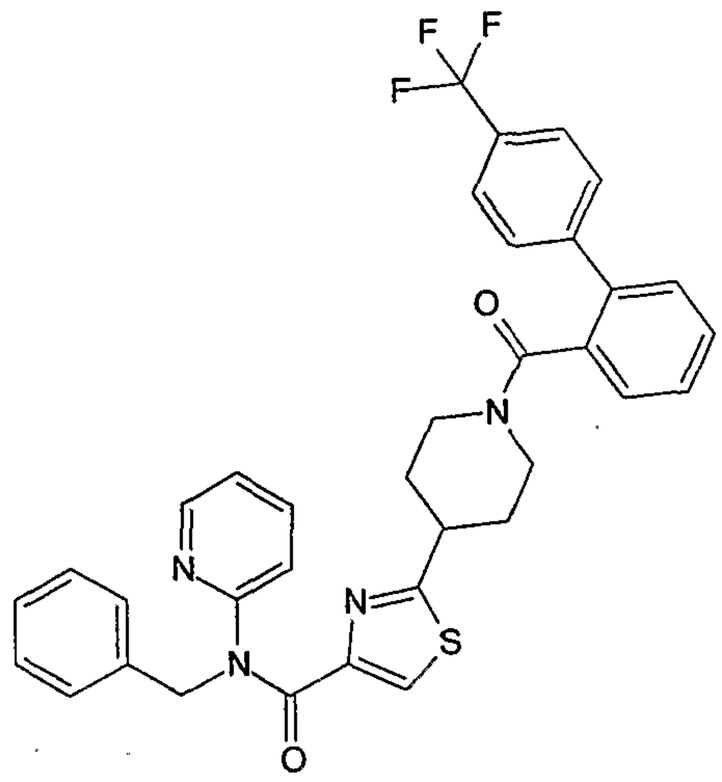
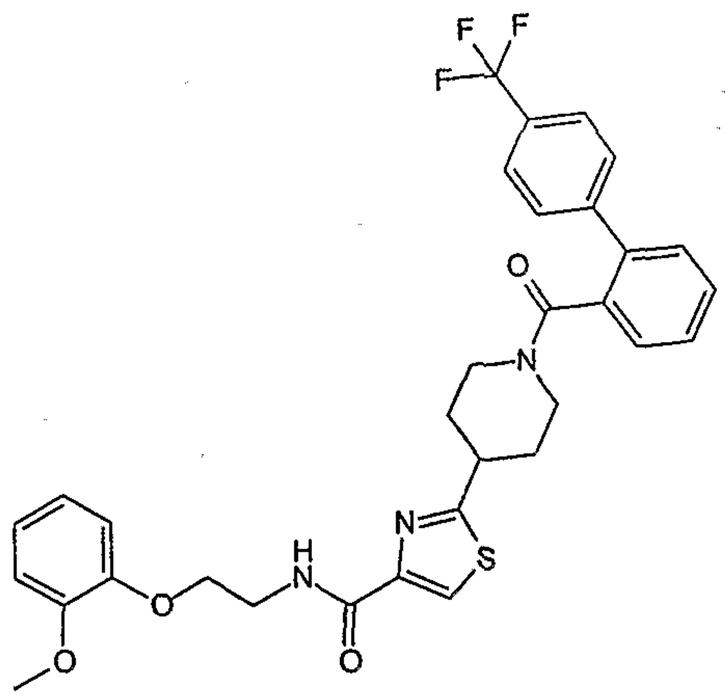
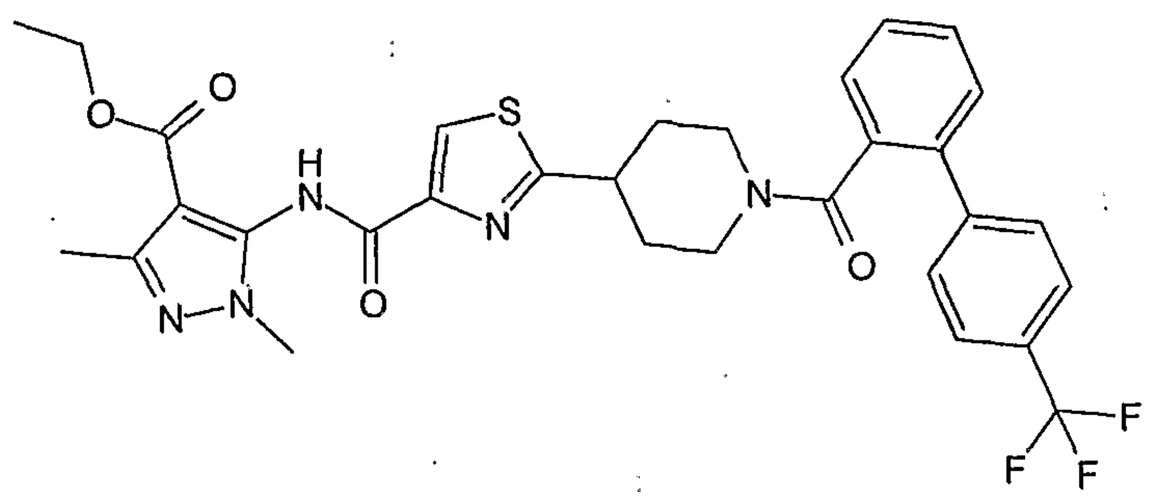
No.	FORMULA	NMR or mass
150	 N-ethyl-N-(3-hydroxybenzyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
151	 3-(4-methoxyphenyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazin-2-one	

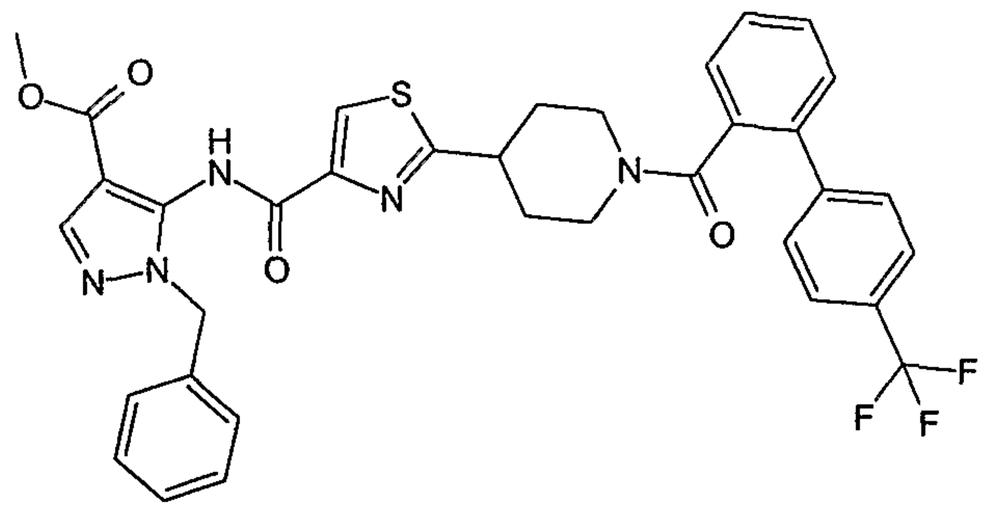
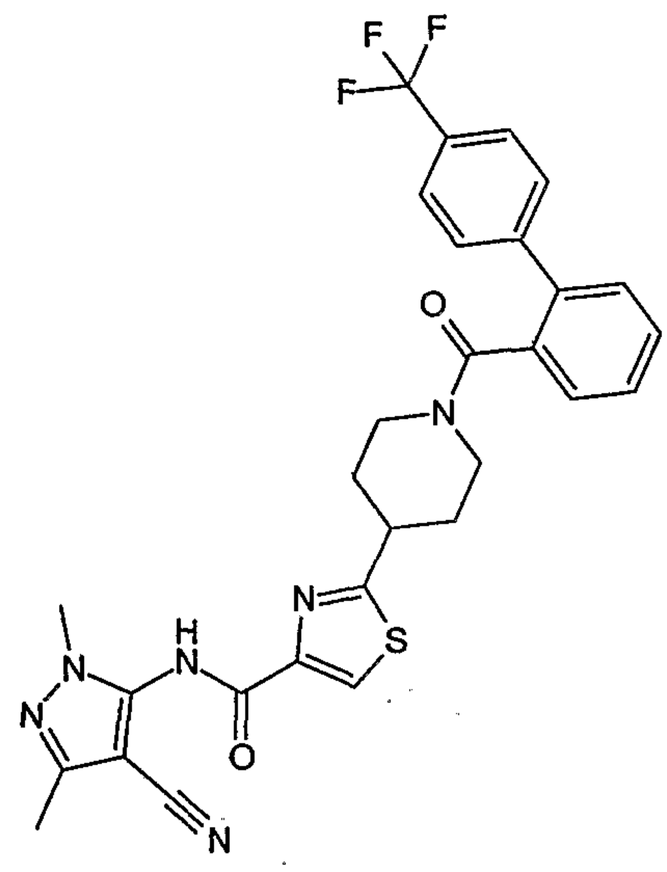
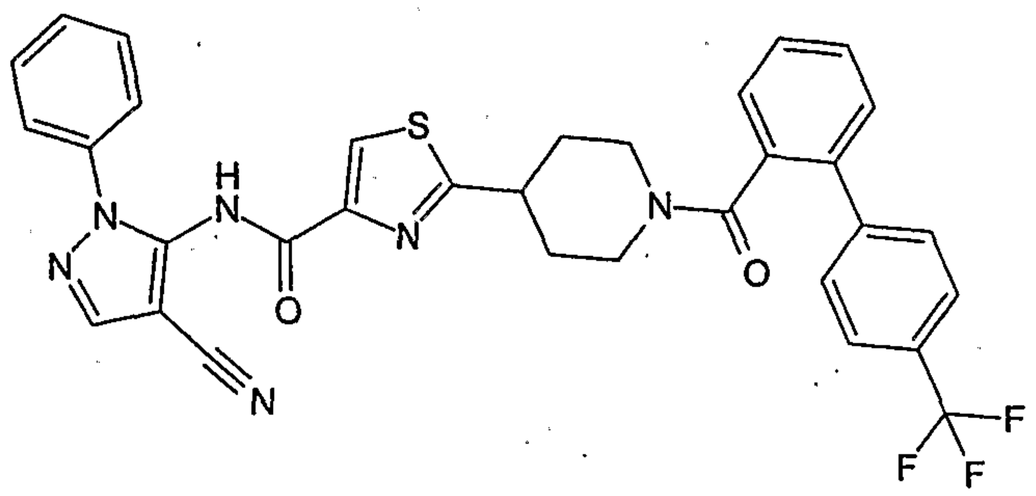
No.	FORMULA	NMR or mass
152	 N-(6-cyano-3-hydroxy-2,2-dimethyl-3,4-dihydro-2H-chromen-4-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
153		

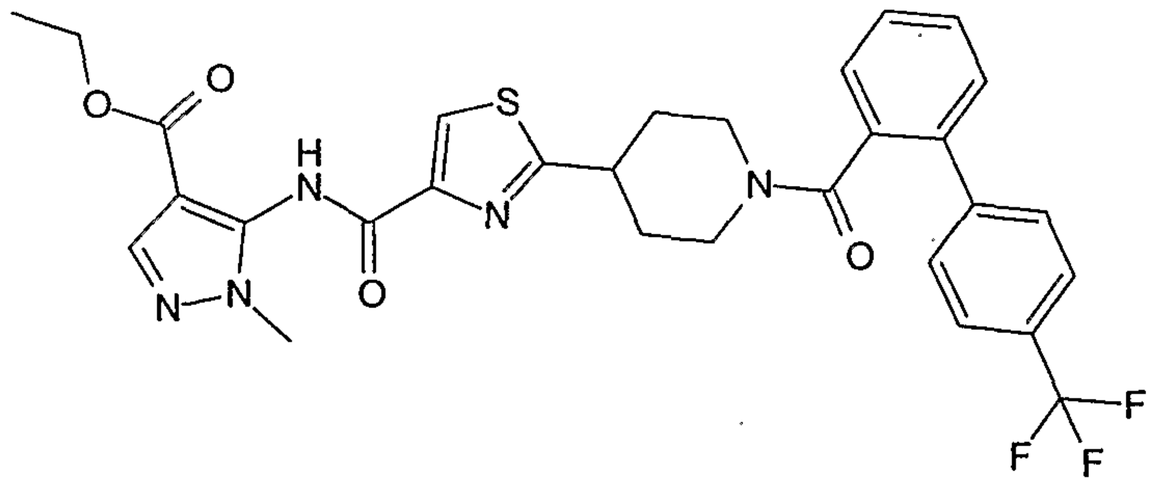
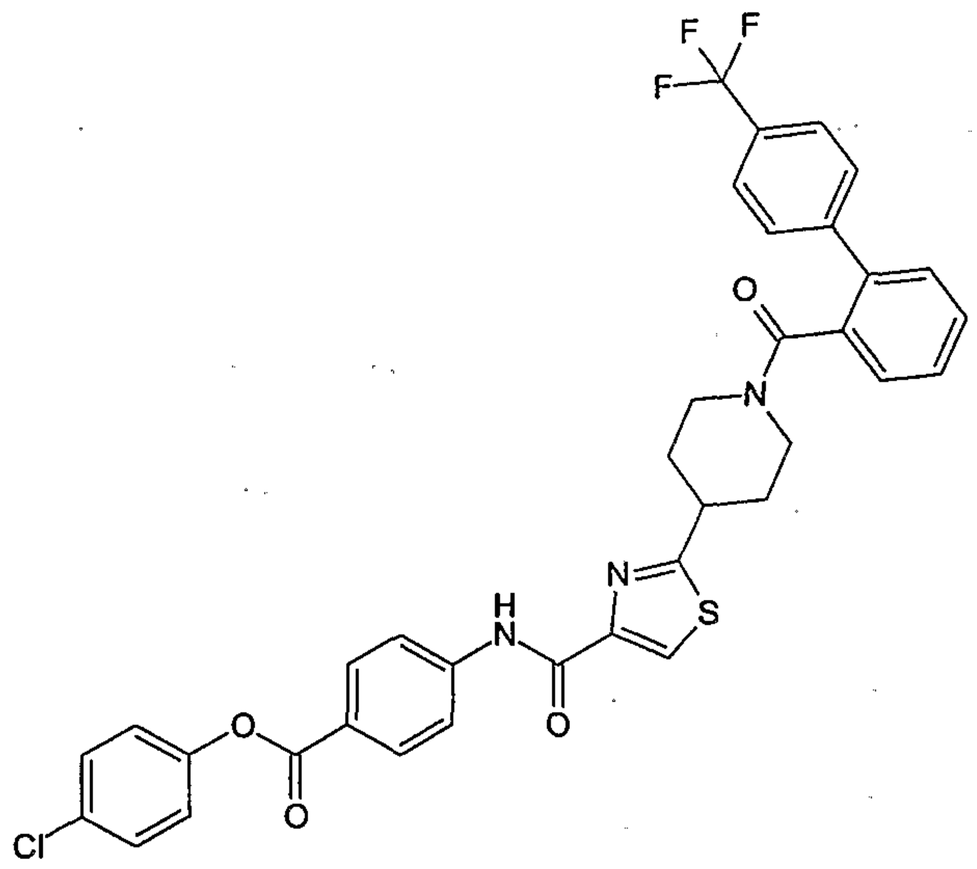
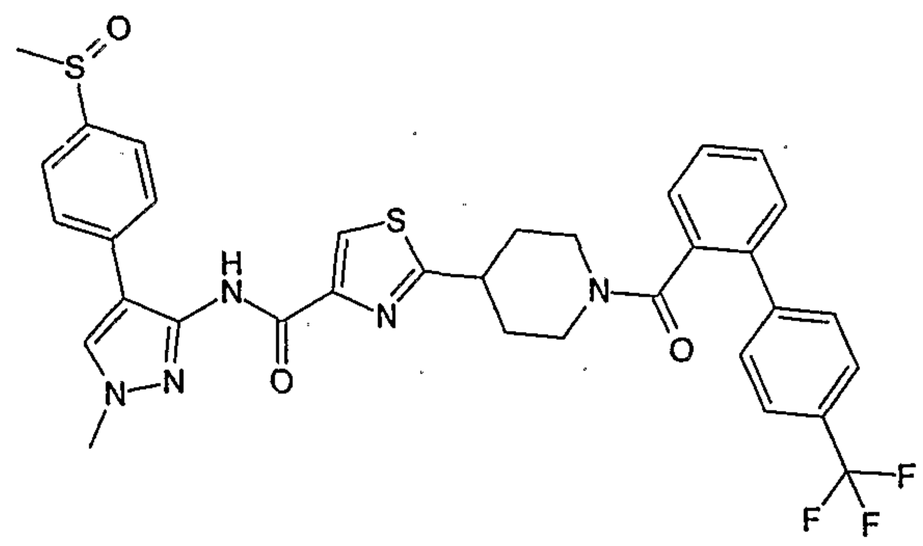
No.	FORMULA	NMR or mass
154		
155	 methyl 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-indazole-3-carboxylate	
156	 N-{[1-(1-benzothien-3-yl)cyclopentyl]methyl}-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

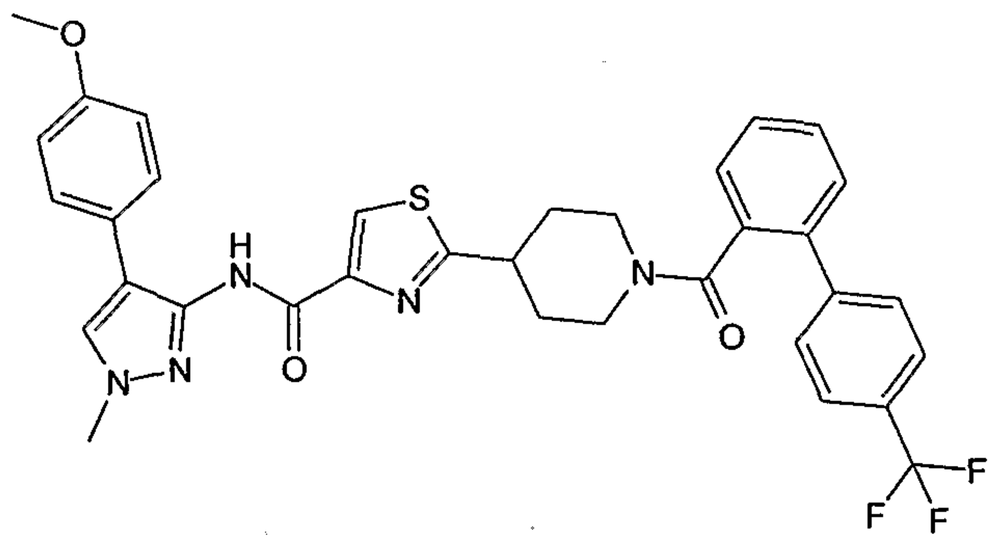
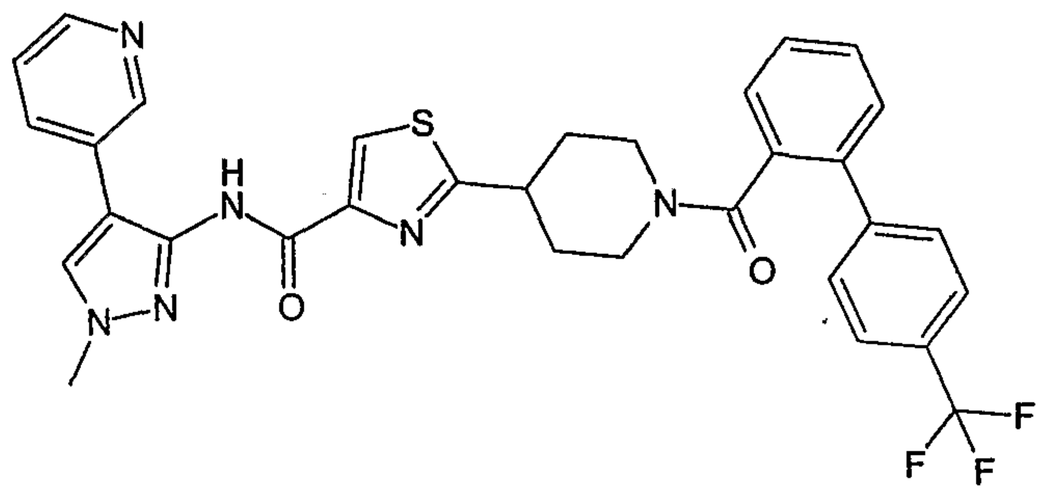
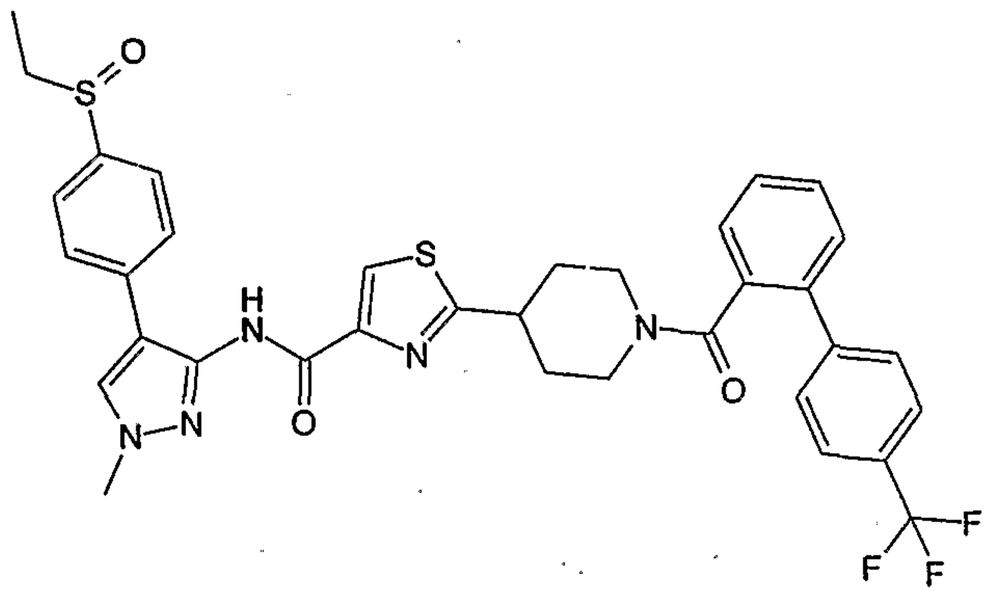
No.	FORMULA	NMR or mass
157	 N-[3-chloro-4-(nitroacetyl)phenyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
158	 N-({3-(benzyloxy)-1-[4-(2-hydroxyethyl)benzyl]cyclobutyl}methyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

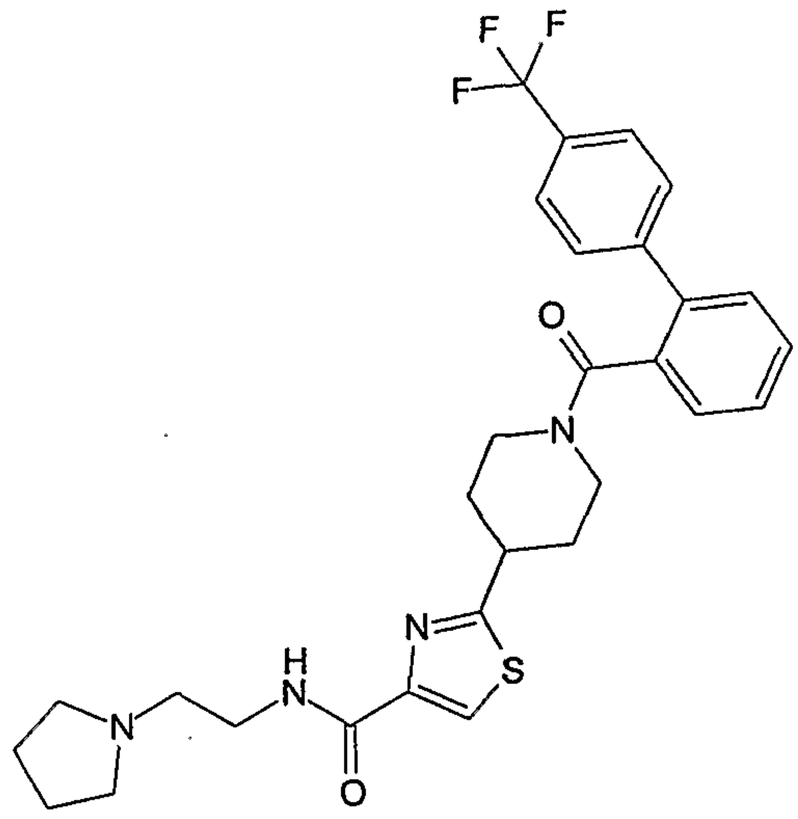
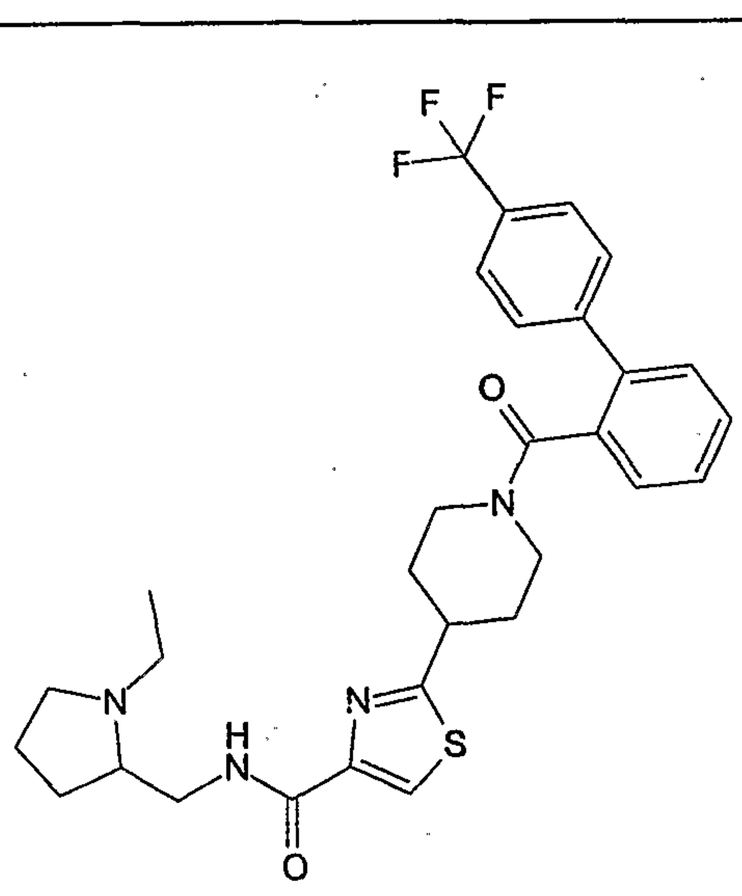
No.	FORMULA	NMR or mass
159	 7-(4-methoxyphenyl)-5-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-5,6,7,8-tetrahydro-4H-thieno[3,2-c]azepine	
160	 3-phenyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-pyrrolo[2,3-b]pyridine	

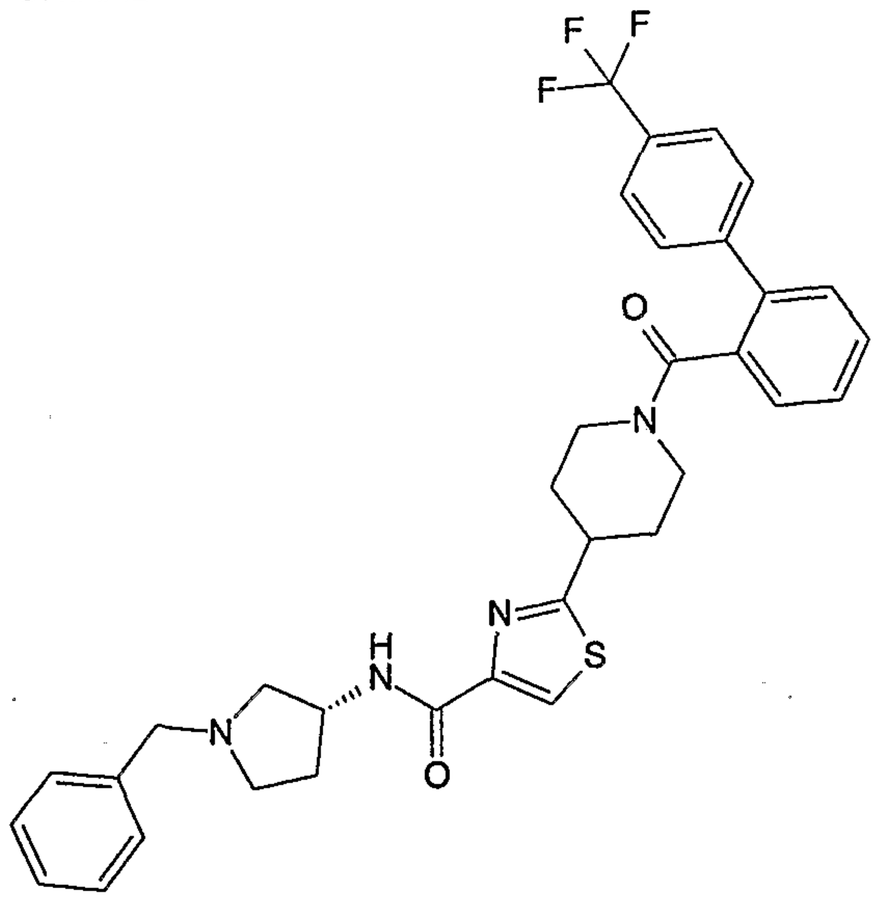
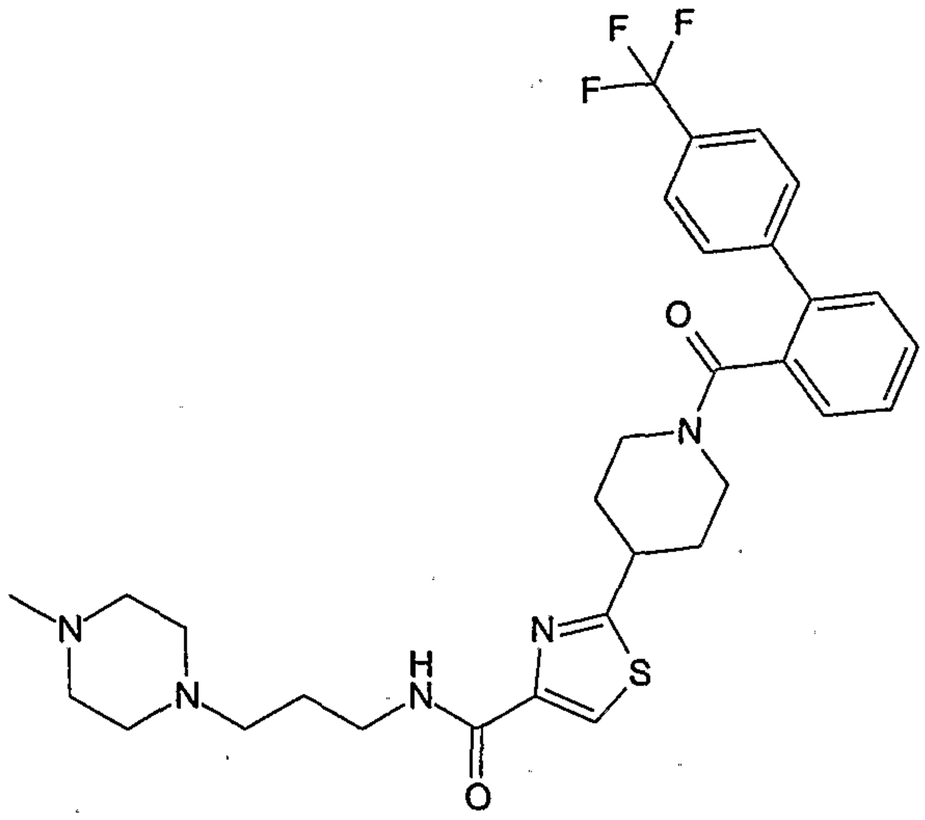
No.	FORMULA	NMR or mass
161	 N-benzyl-N-pyridin-2-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
162	 N-[2-(2-methoxyphenoxy)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
163		

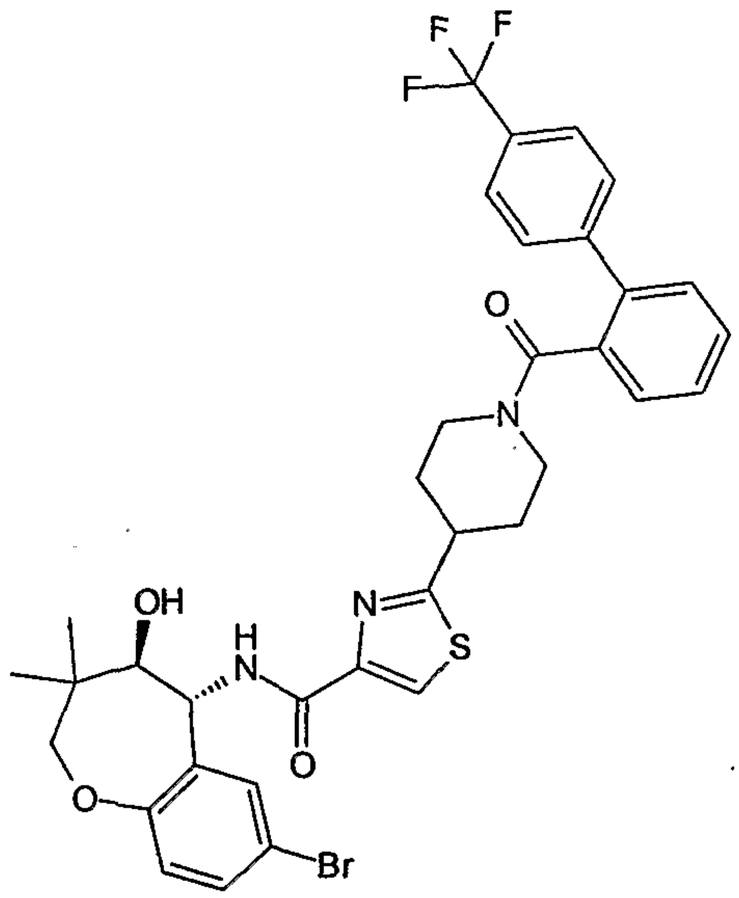
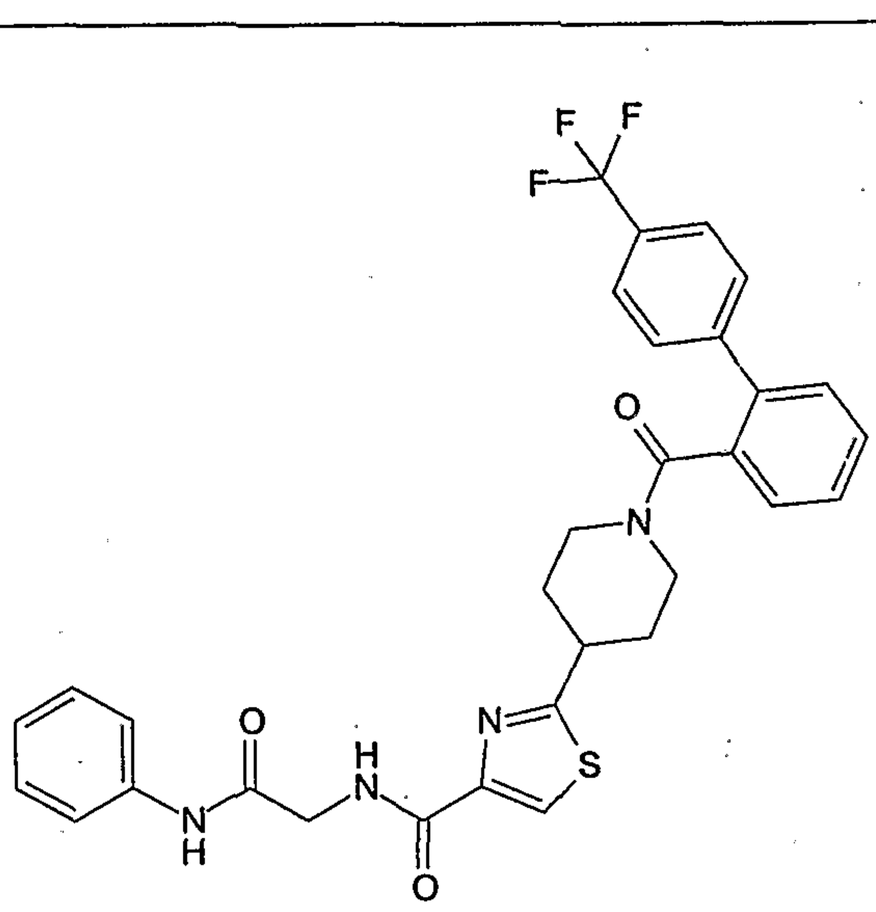
No.	FORMULA	NMR or mass
164		
165	 N-(4-cyano-1,3-dimethyl-1H-pyrazol-5-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
166		

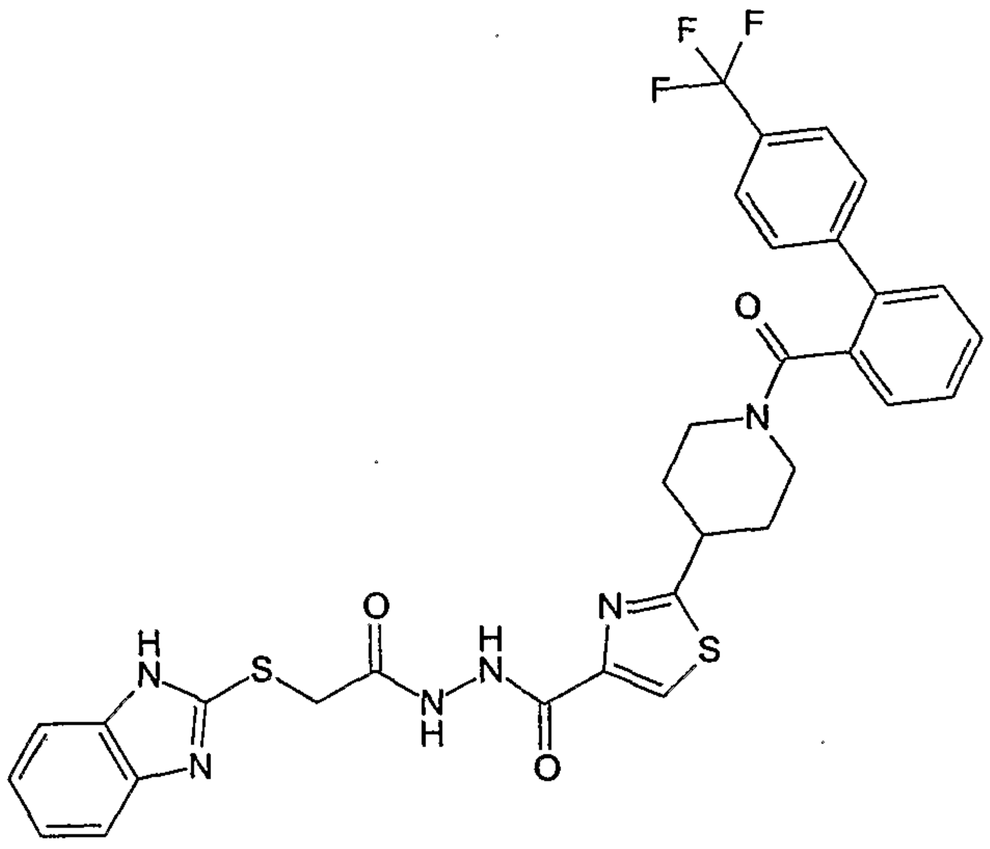
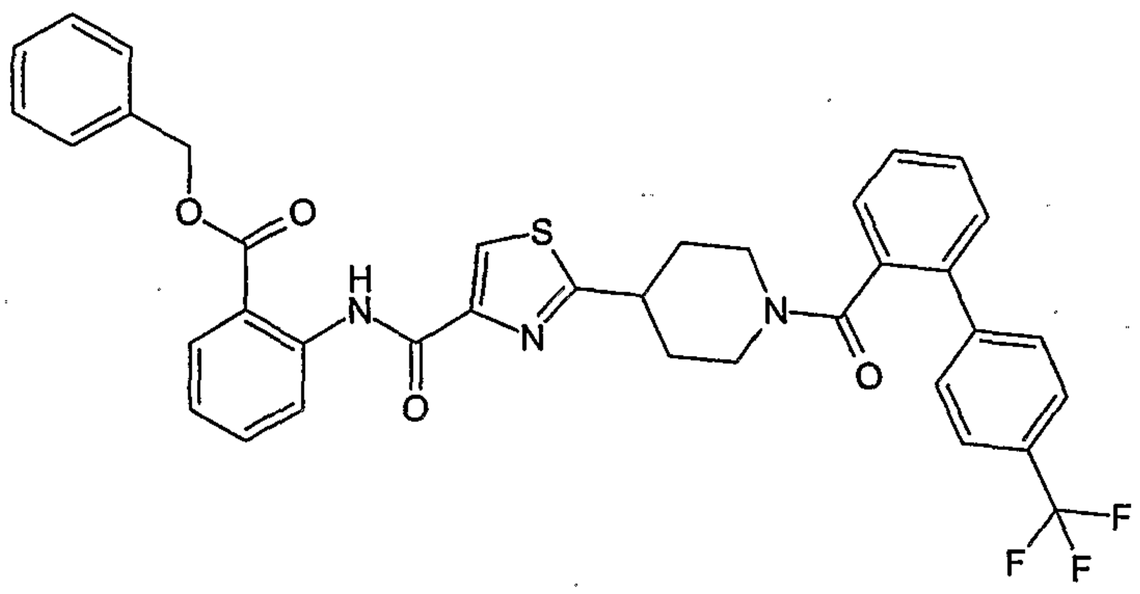
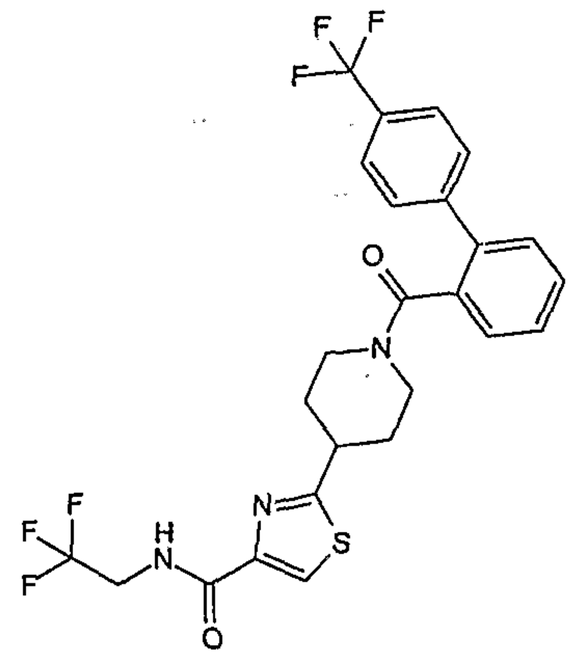
No.	FORMULA	NMR or mass
167		
168	 4-chlorophenyl 4-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)benzoate	
169	 N-{1-methyl-4-[4-(methylsulfinyl)phenyl]-1H-pyrazol-3-yl}-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

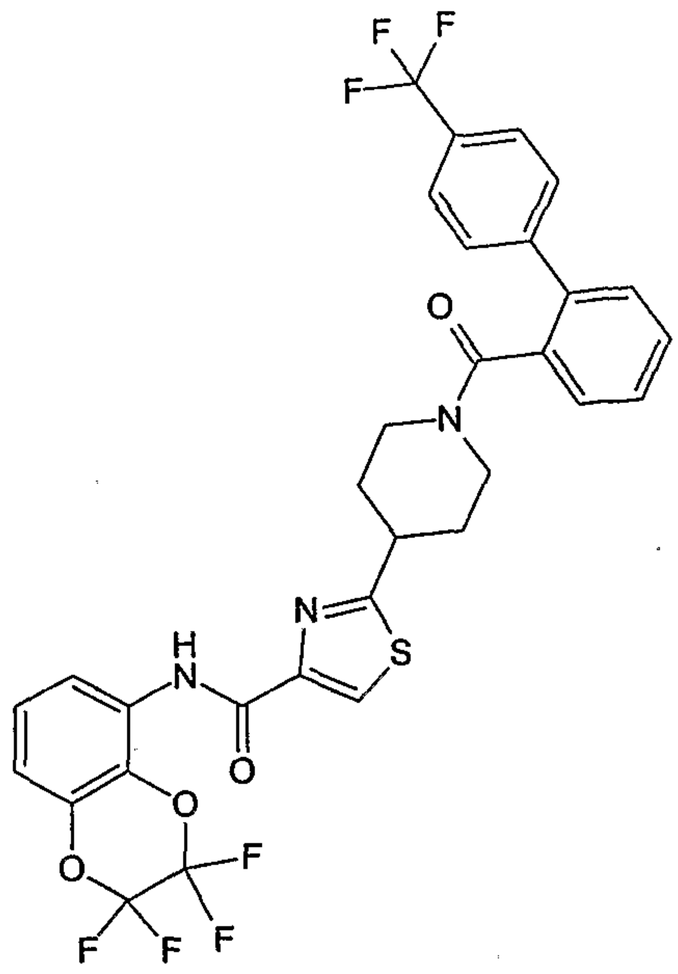
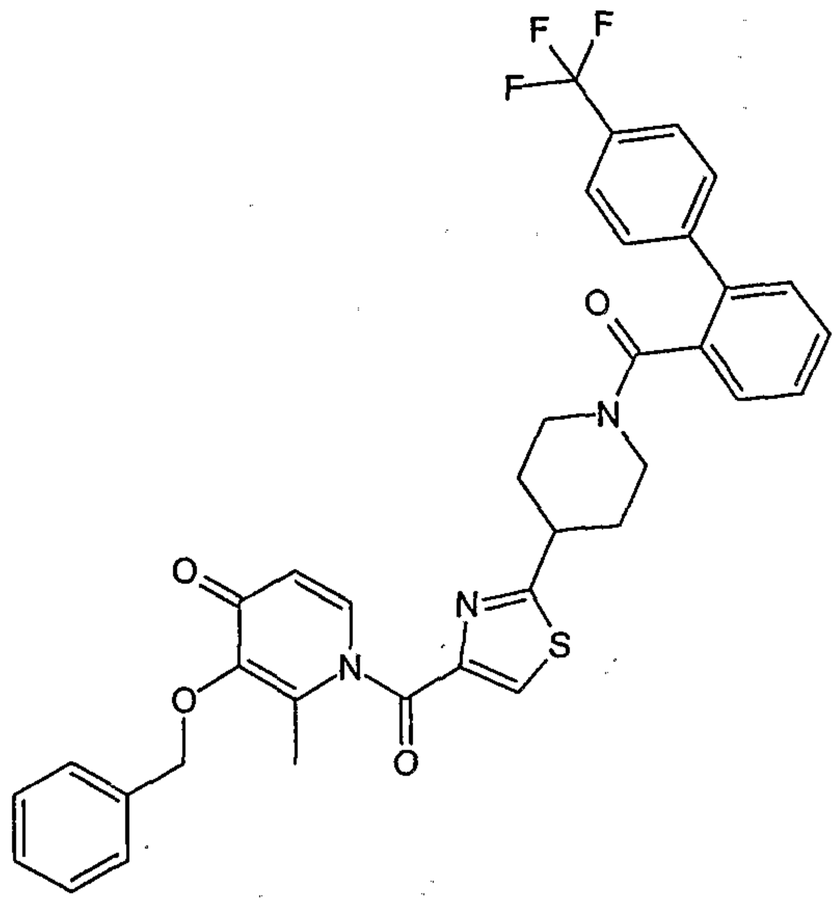
No.	FORMULA	NMR or mass
170	 N-[4-(4-methoxyphenyl)-1-methyl-1H-pyrazol-3-yl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
171		
172		

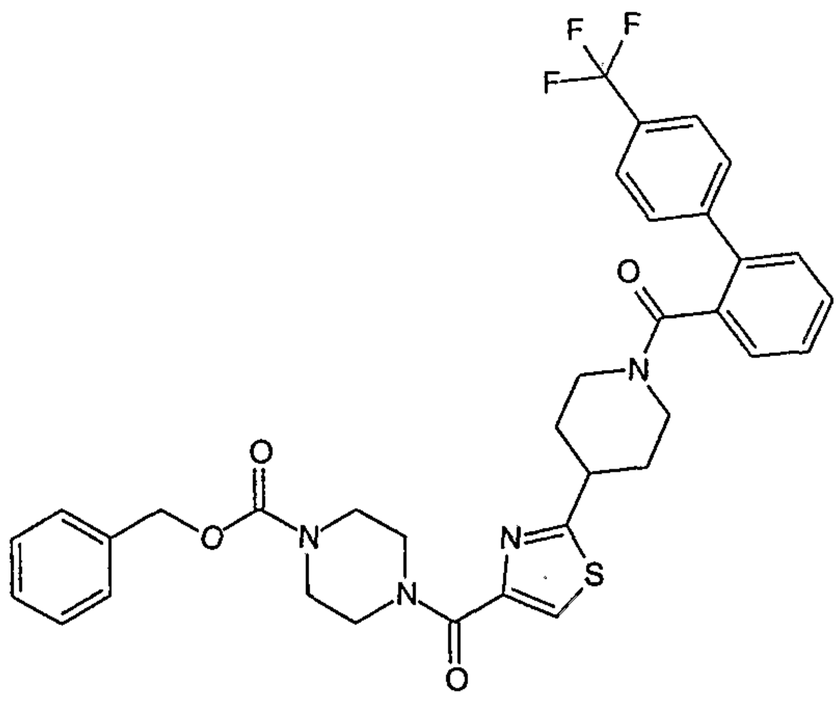
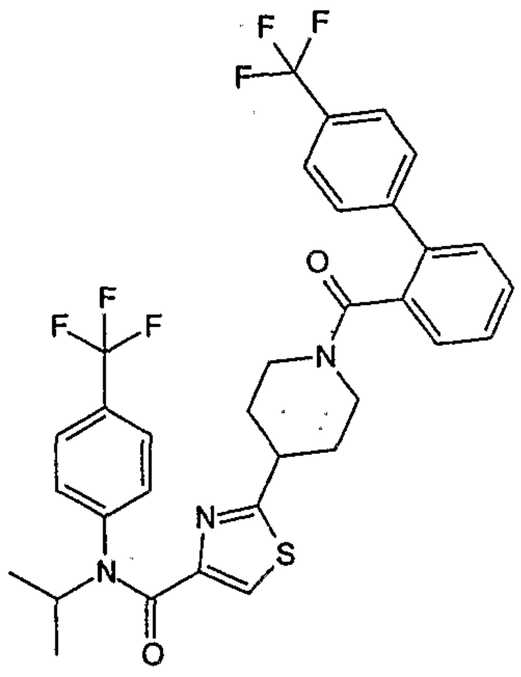
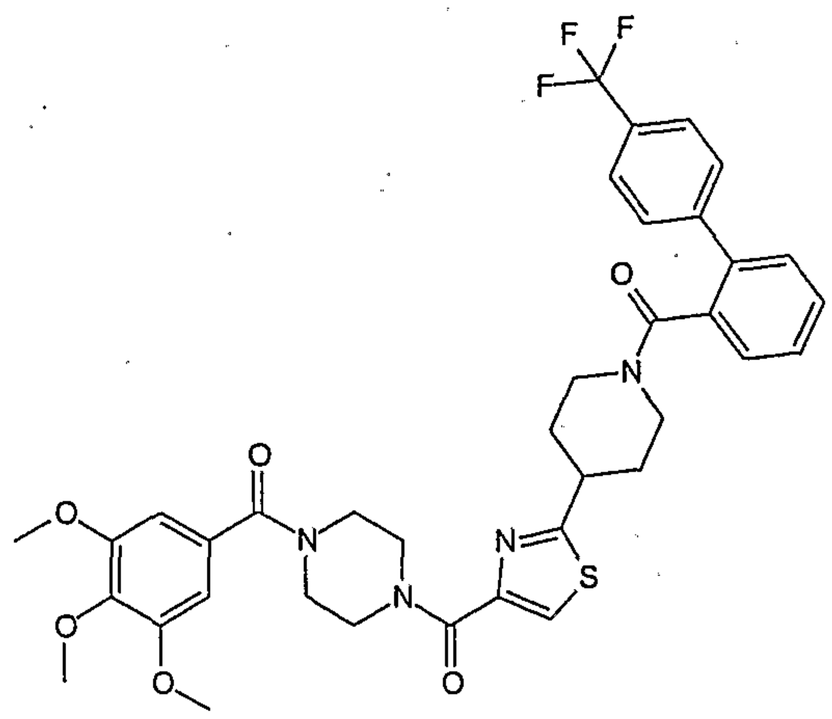
No.	FORMULA	NMR or mass
173	 N-(2-pyrrolidin-1-ylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
174	 N-[(1-ethylpyrrolidin-2-yl)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

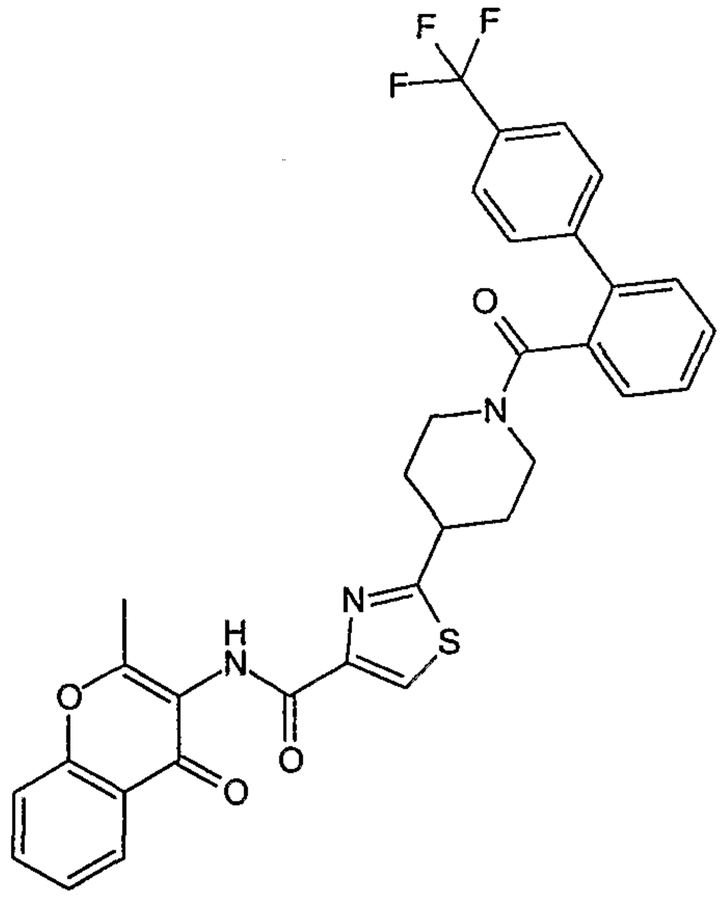
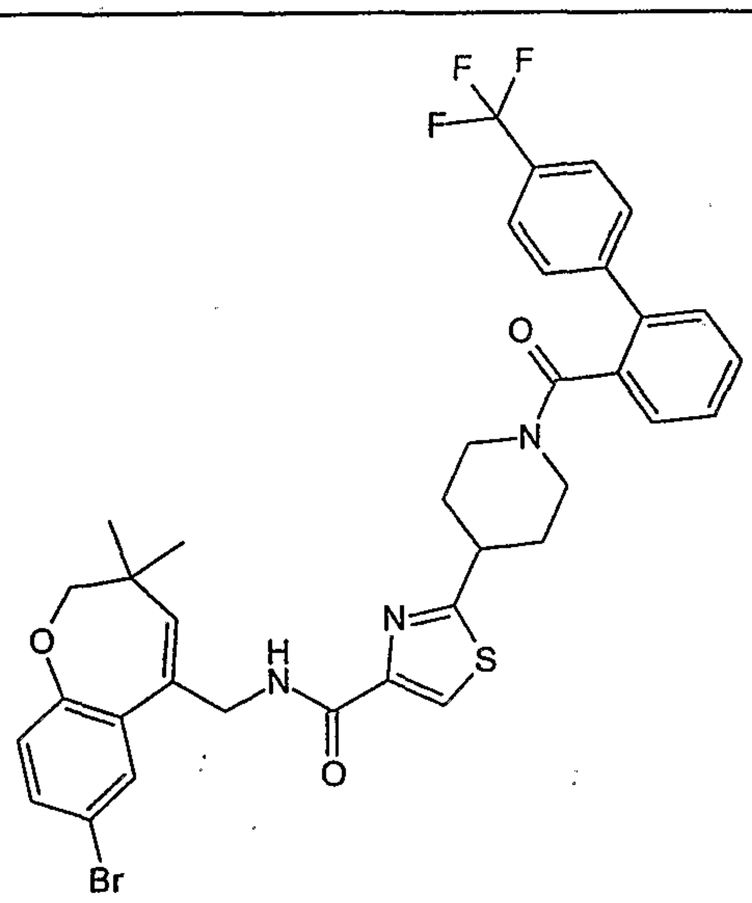
No.	FORMULA	NMR or mass
175	CHIRAL  N-[(3R)-1-benzylpyrrolidin-3-yl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
176	 N-[3-(4-methylpiperazin-1-yl)propyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

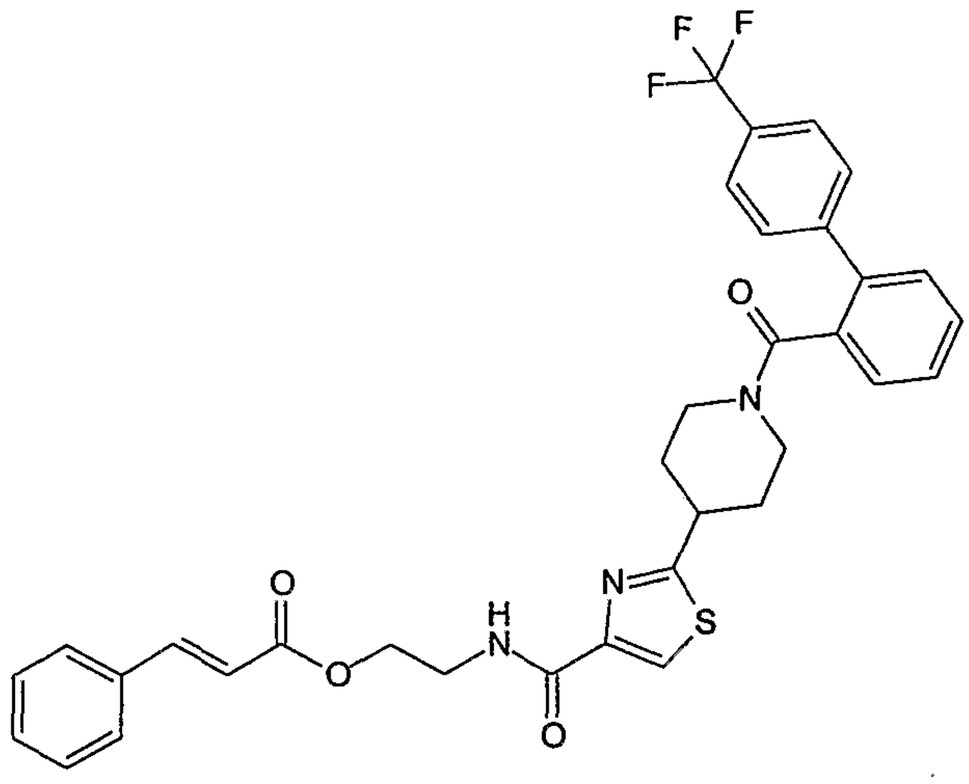
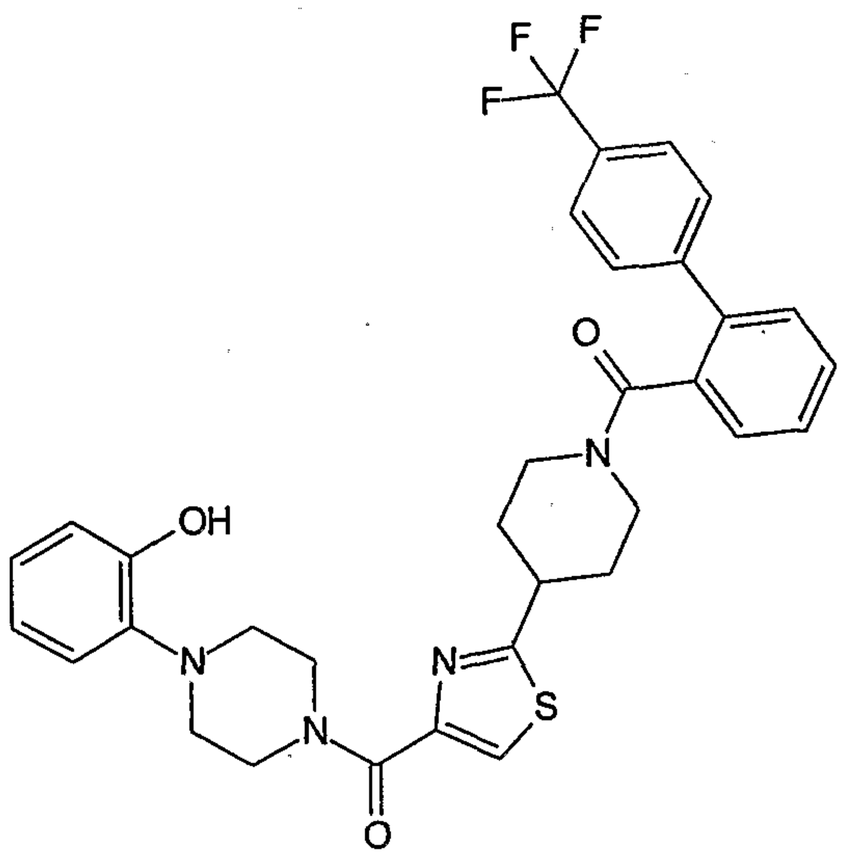
No.	FORMULA	NMR or mass
177	 N-[(4R,5R)-7-bromo-4-hydroxy-3,3-dimethyl-2,3,4,5-tetrahydro-1-benz-oxepin-5-yl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
178		

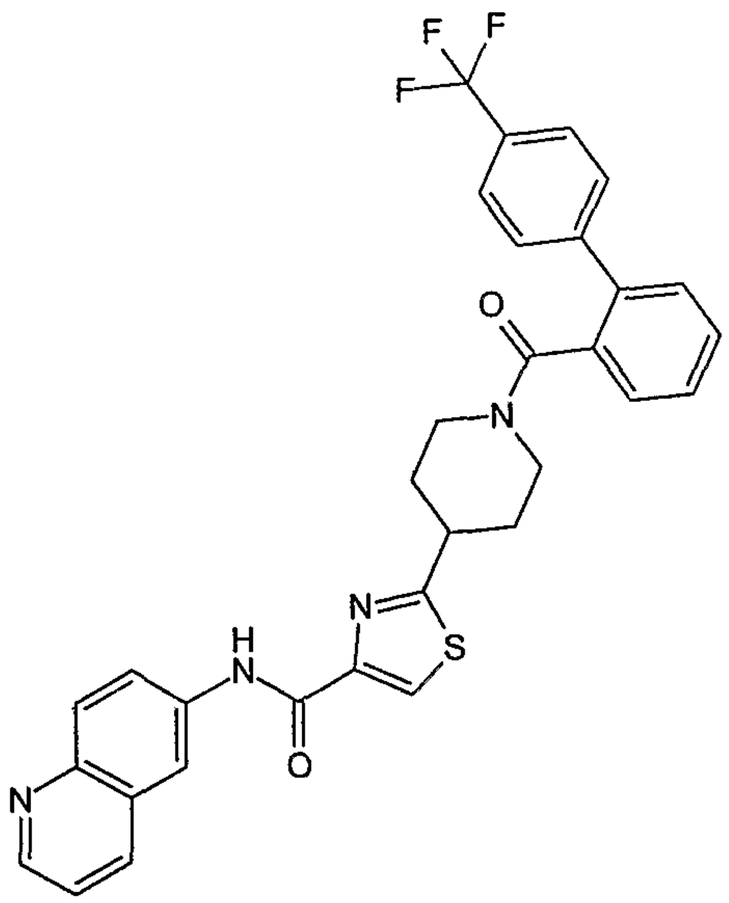
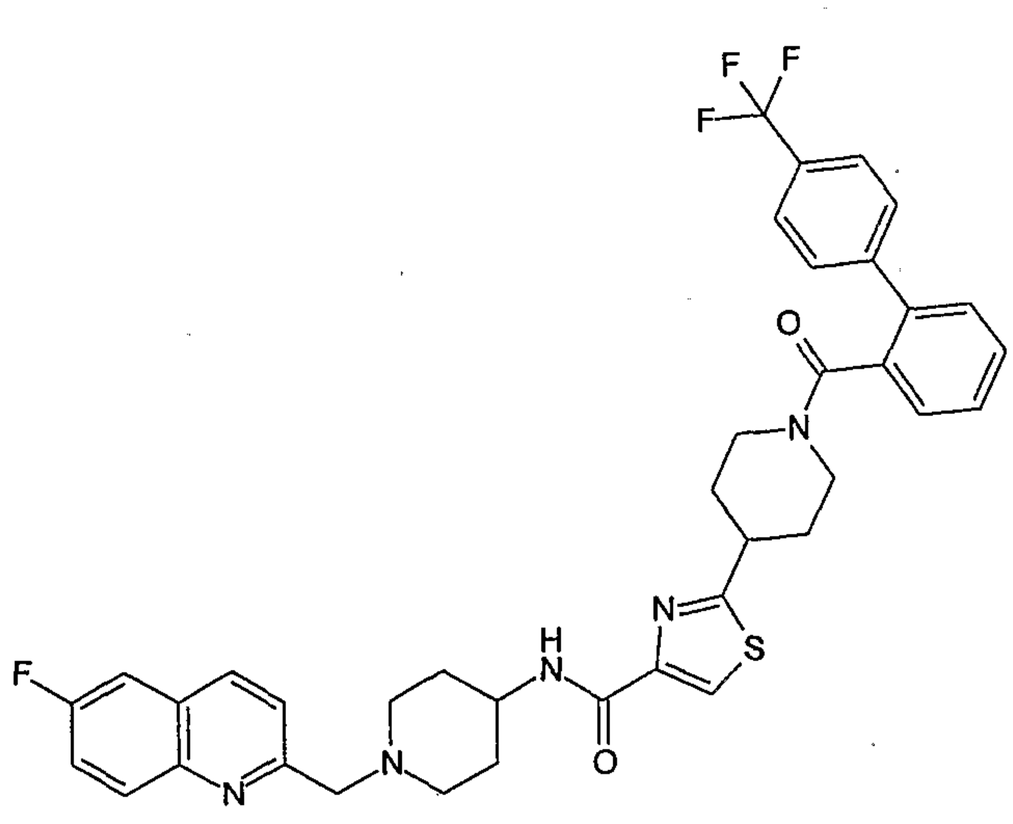
No.	FORMULA	NMR or mass
179	 N'-[(1H-benzimidazol-2-ylthio)acetyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carbohydrazide	
180		
181	 N-(2,2,2-trifluoroethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

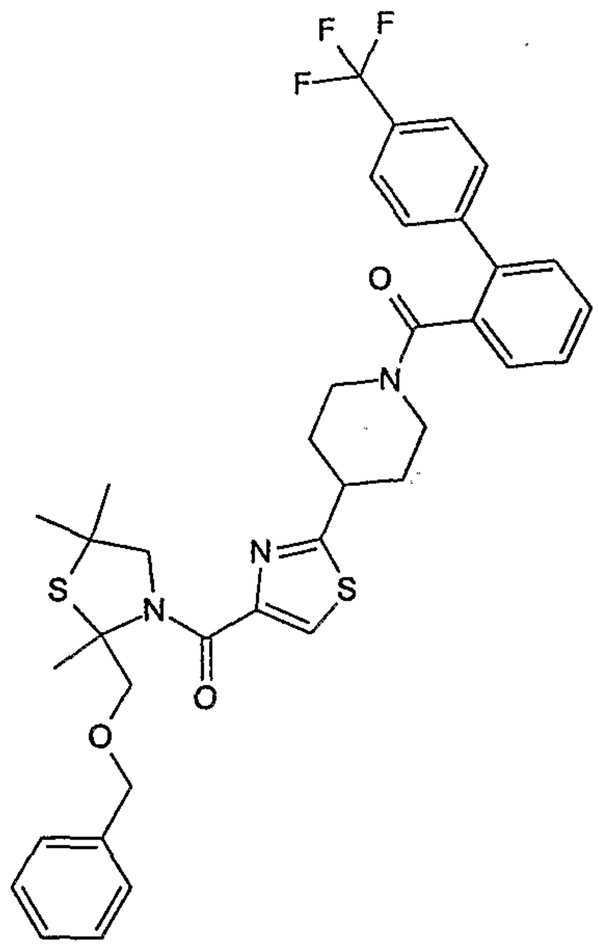
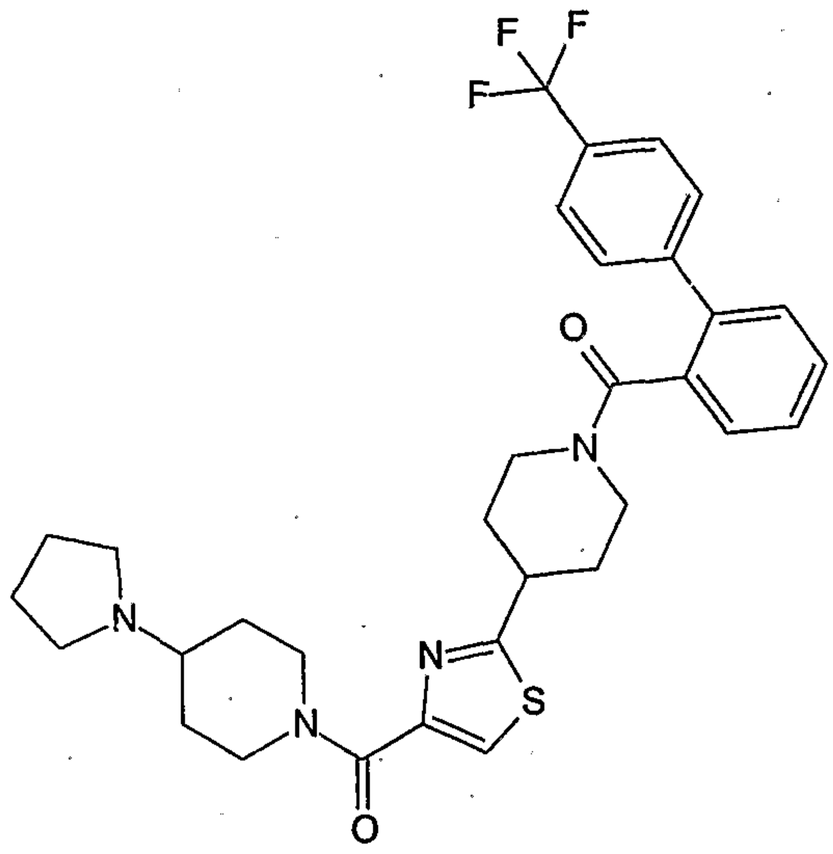
No.	FORMULA	NMR or mass
182	 N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-2-(1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
183	 3-(benzyloxy)-2-methyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}pyridin-4(1H)-one	

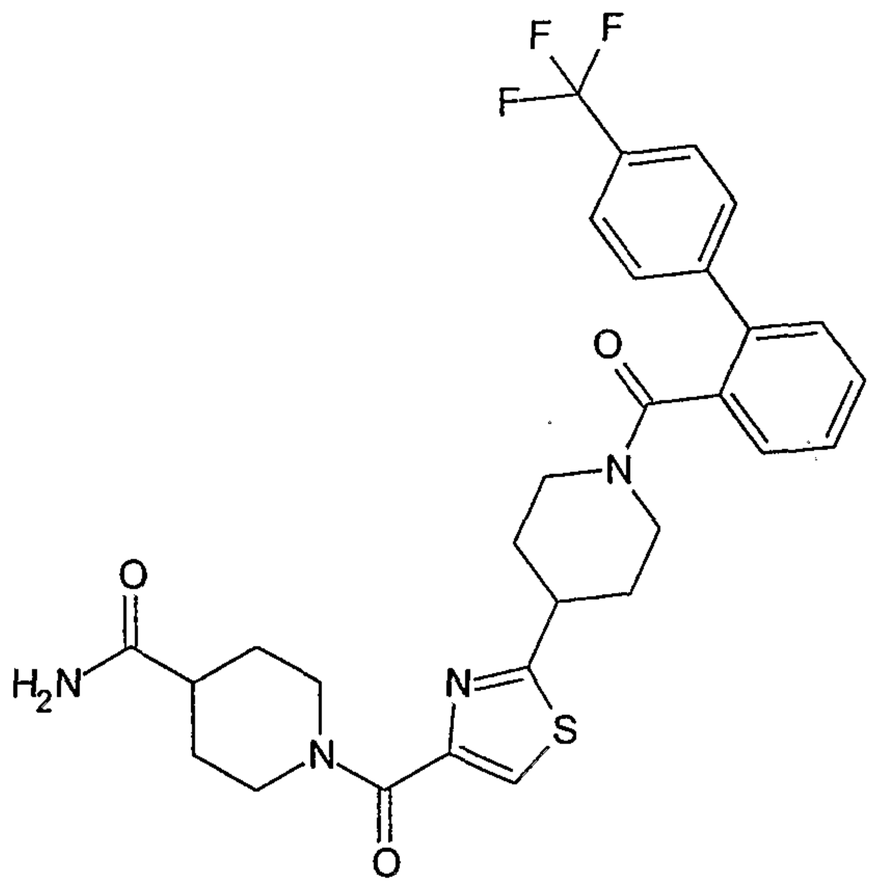
No.	FORMULA	NMR or mass
184	 benzyl 4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine-1-carboxylate	
185	 N-isopropyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-N-[4-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
186		

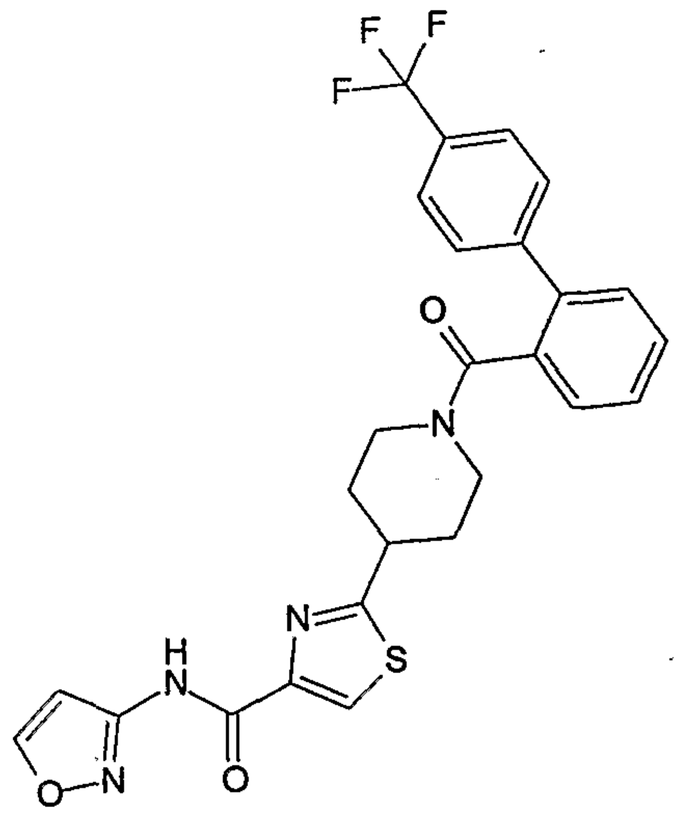
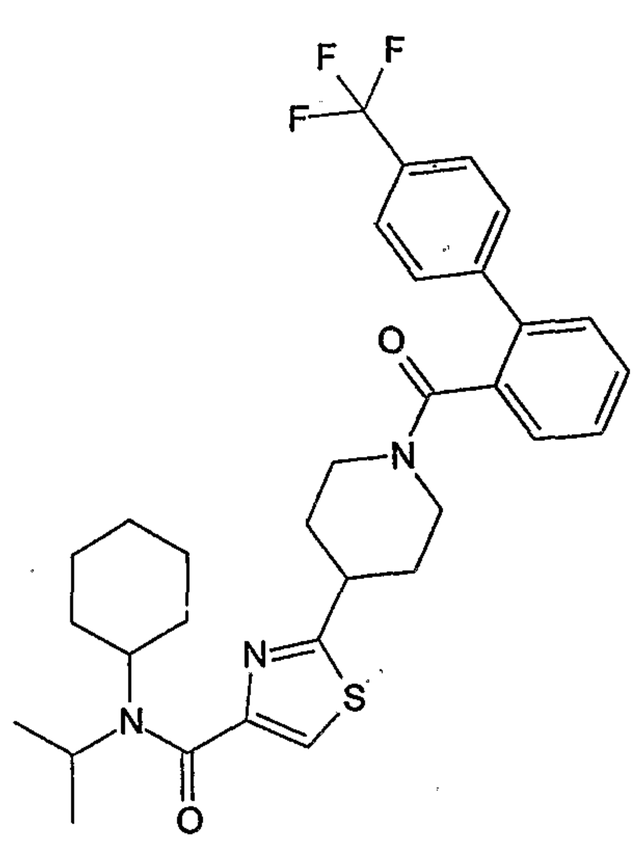
No.	FORMULA	NMR or mass
187	 N-(2-methyl-4-oxo-4H-chromen-3-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
188	 N-[(7-bromo-3,3-dimethyl-2,3-dihydro-1-benzoxepin-5-yl)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

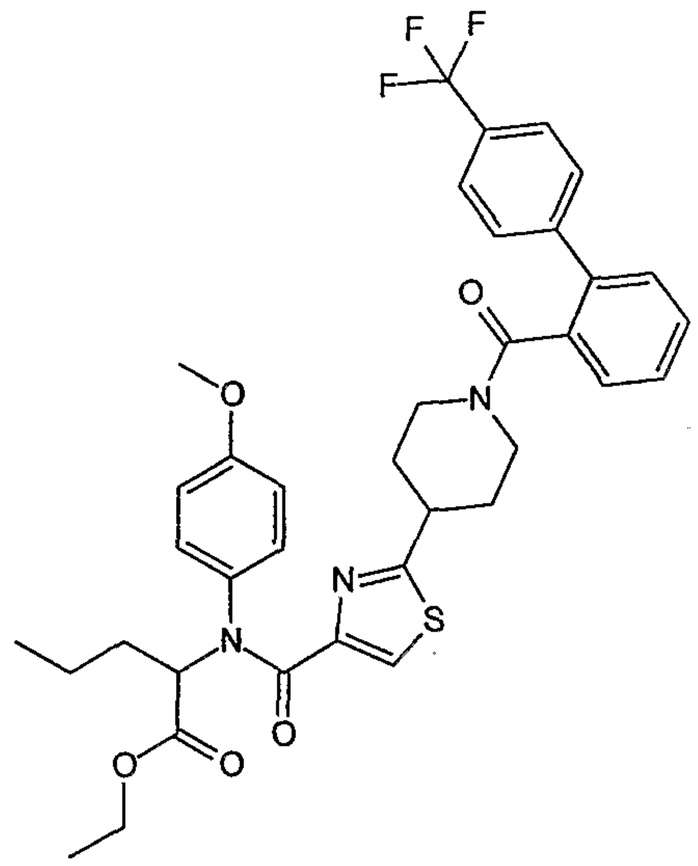
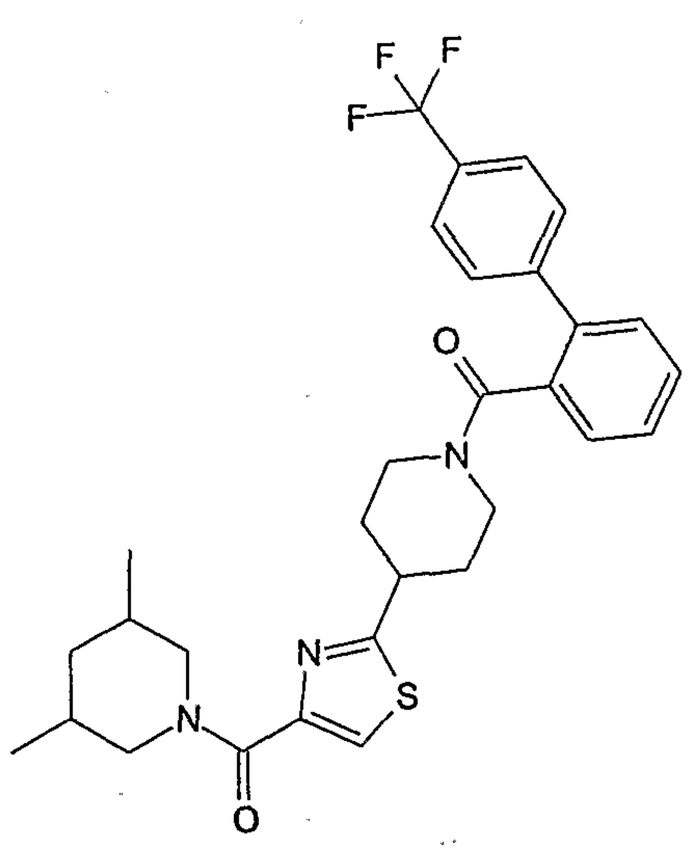
No.	FORMULA	NMR or mass
189	 2-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)ethyl (2E)-3-phenylacrylate	
190	 2-(4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazin-1-yl)phenol	

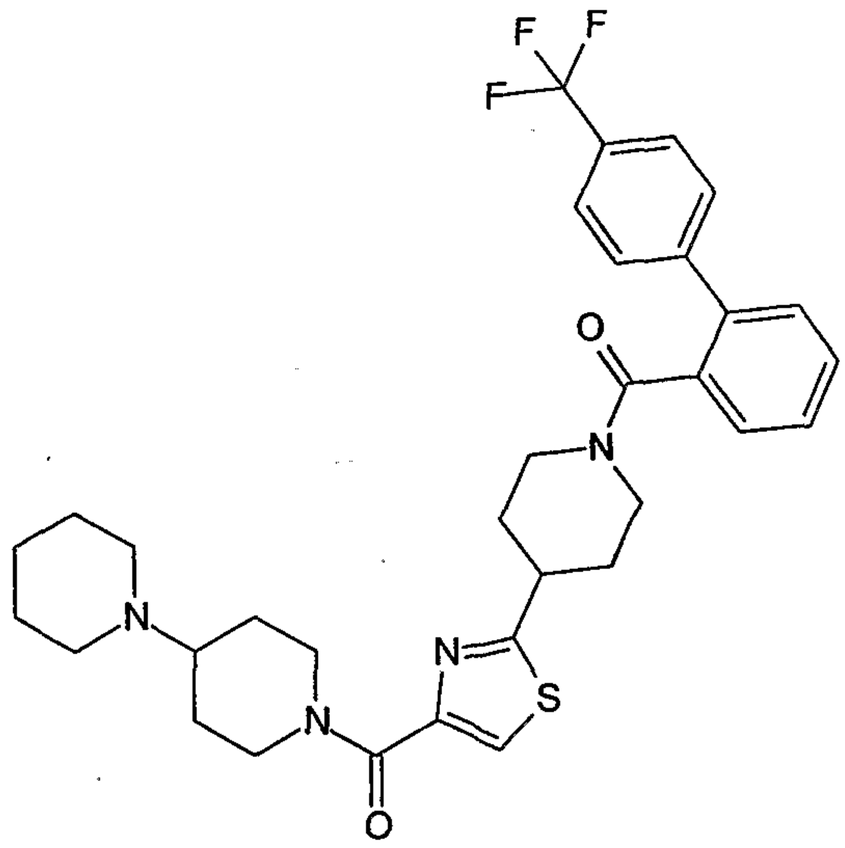
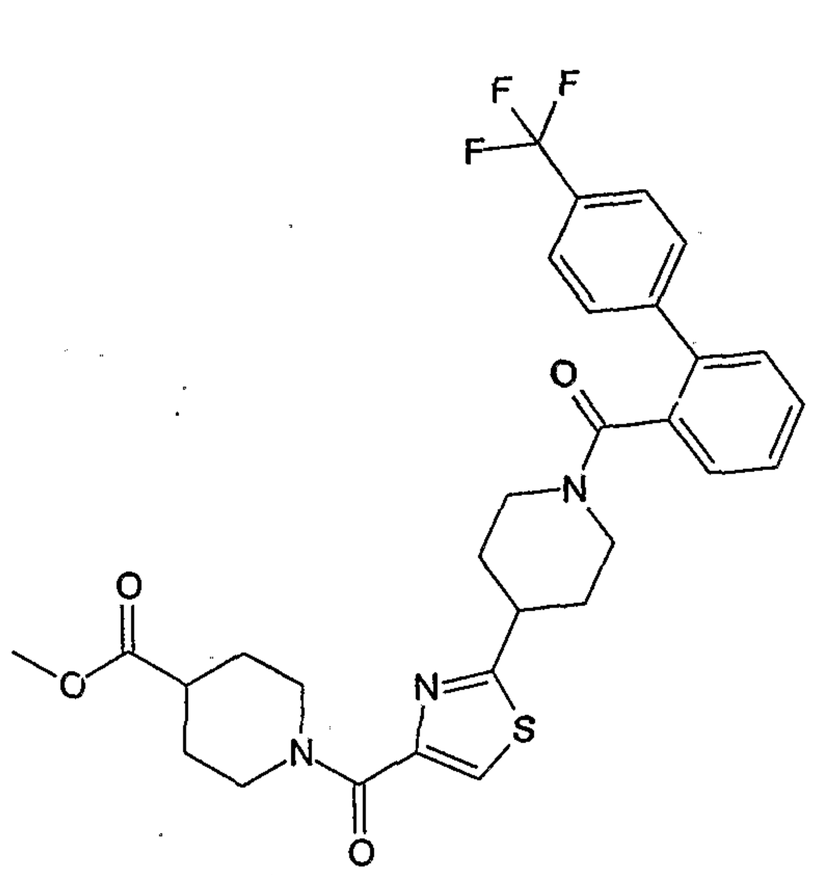
No.	FORMULA	NMR or mass
191	 N-quinolin-6-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
192	 N-{1-[(6-fluoroquinolin-2-yl)methyl]piperidin-4-yl}-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

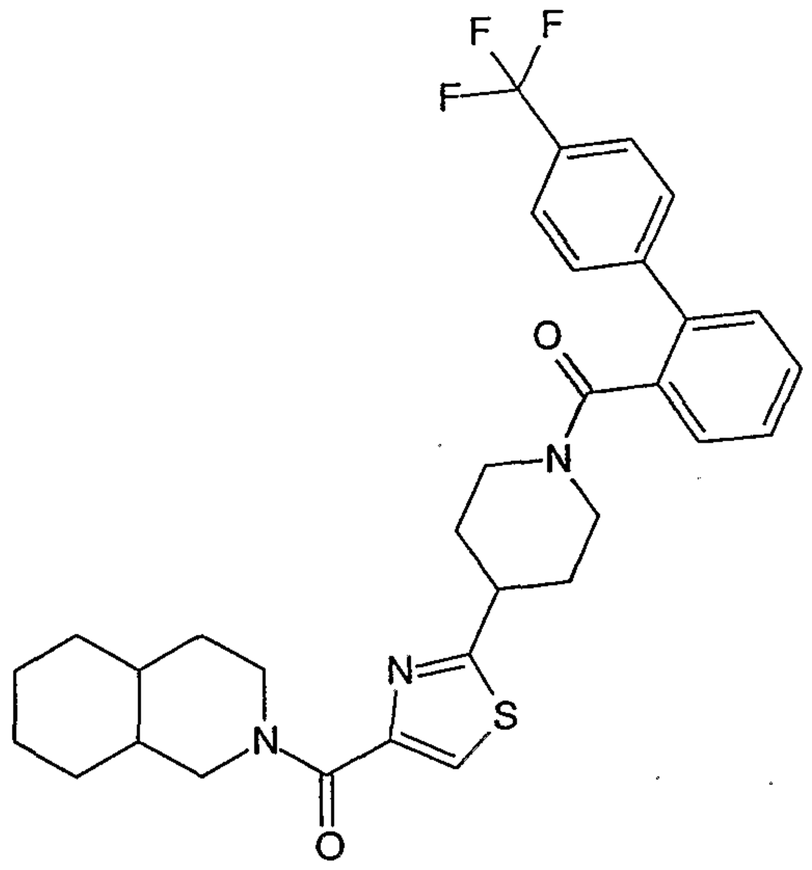
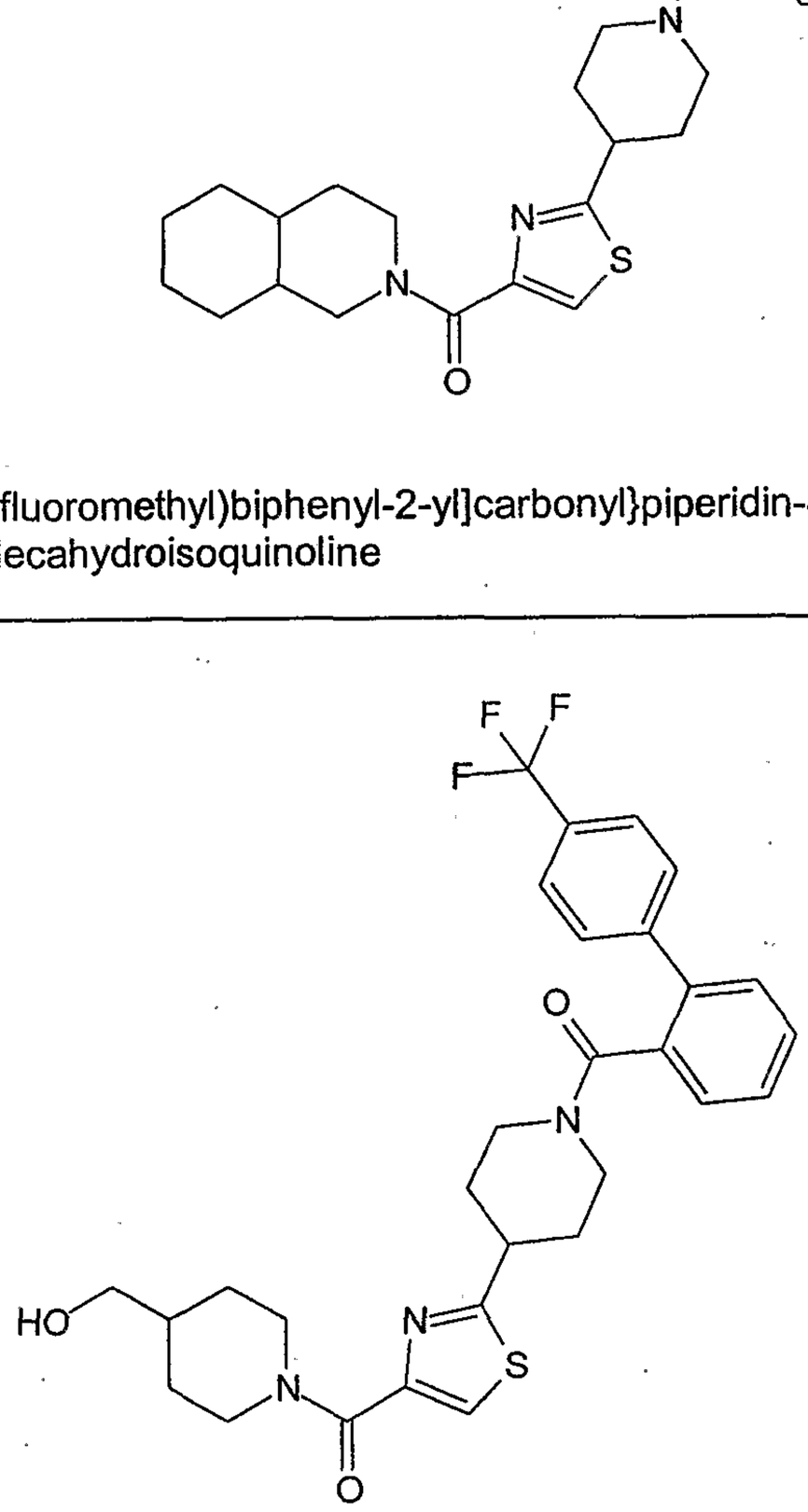
No.	FORMULA	NMR or mass
193	 4-[4-({2-[(benzyloxy)methyl]-2,5,5-trimethyl-1,3-thiazolidin-3-yl}carbonyl)-1,3-thiazol-2-yl]-1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidine	
194	 4-pyrrolidin-1-yl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine	

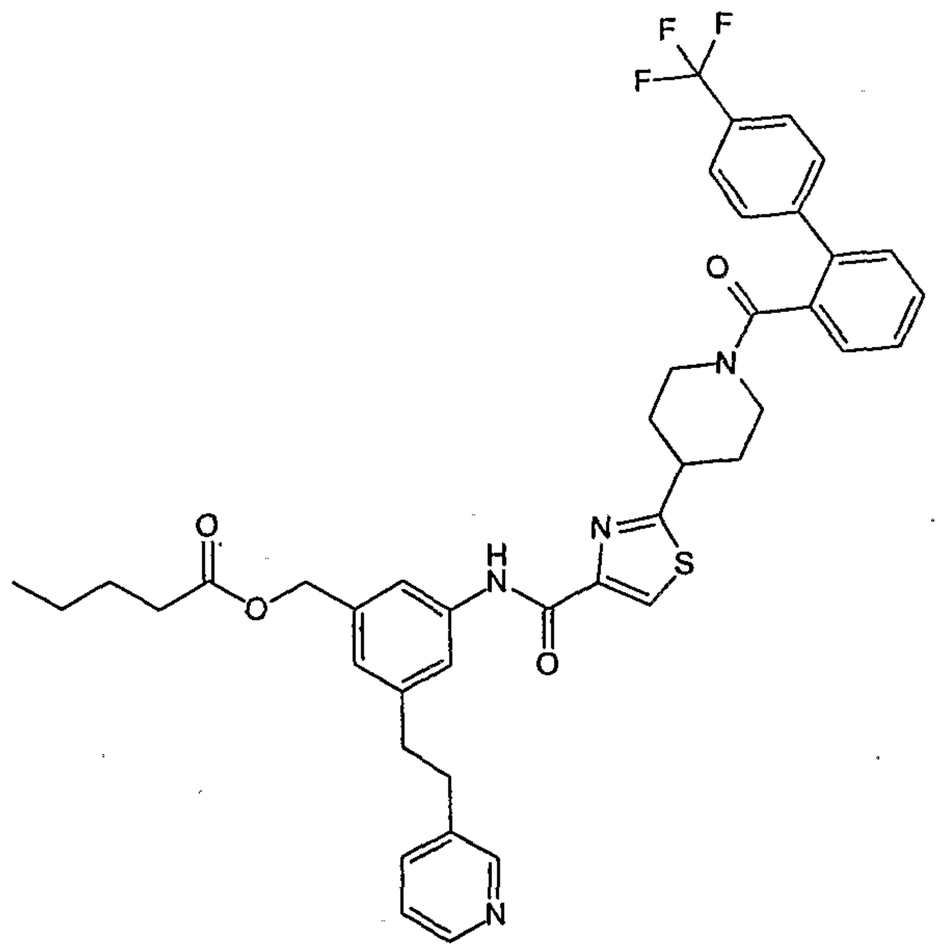
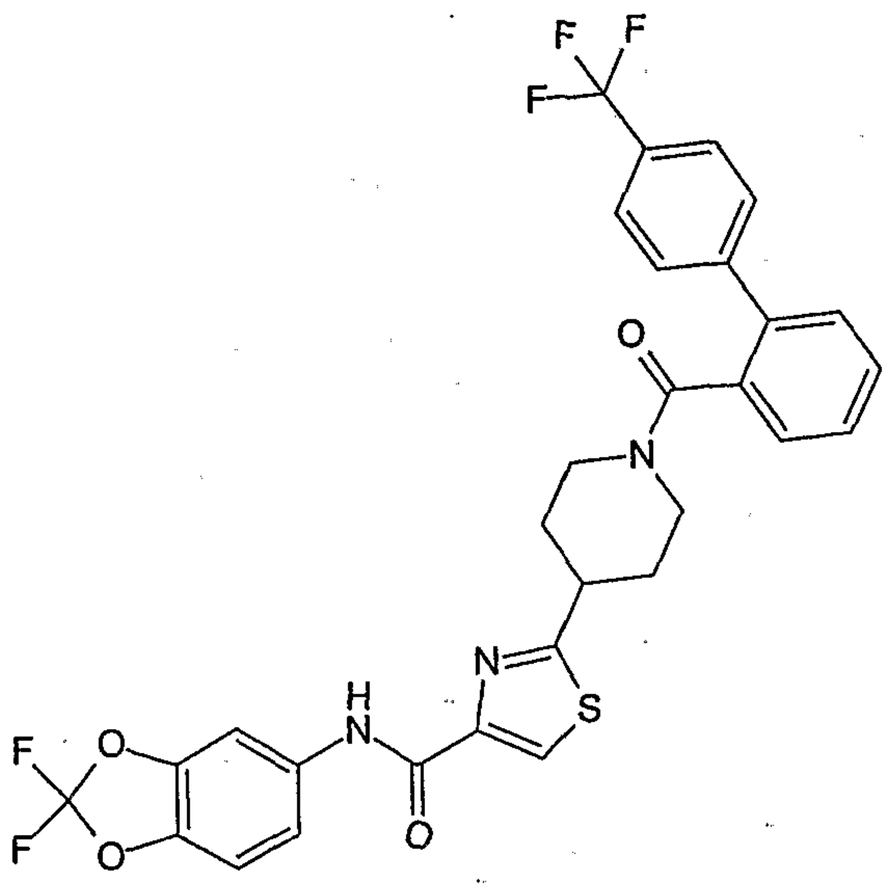
No.	FORMULA	NMR or mass
195	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine-4-carboxamide	
196	 N-[4-(trifluoromethoxy)benzyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

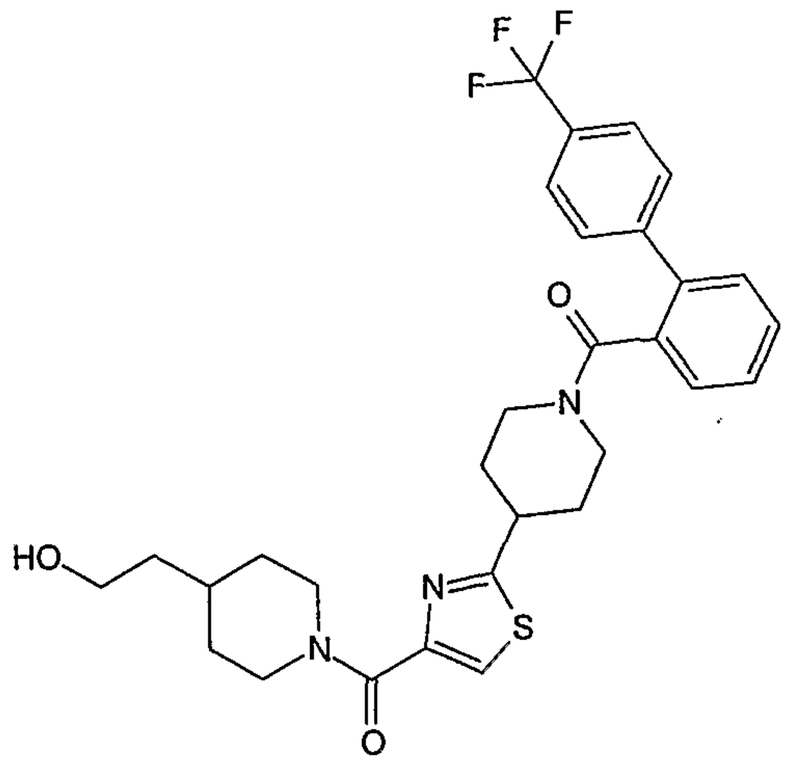
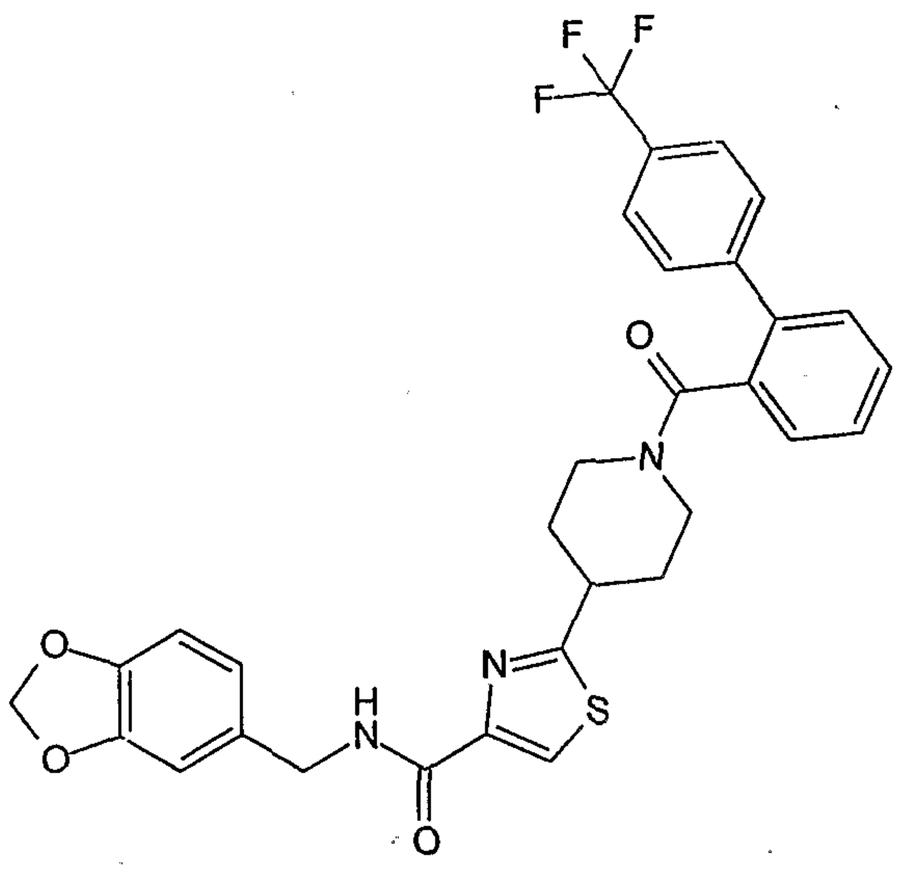
No.	FORMULA	NMR or mass
197	 N-isoxazol-3-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
198	 N-cyclohexyl-N-isopropyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

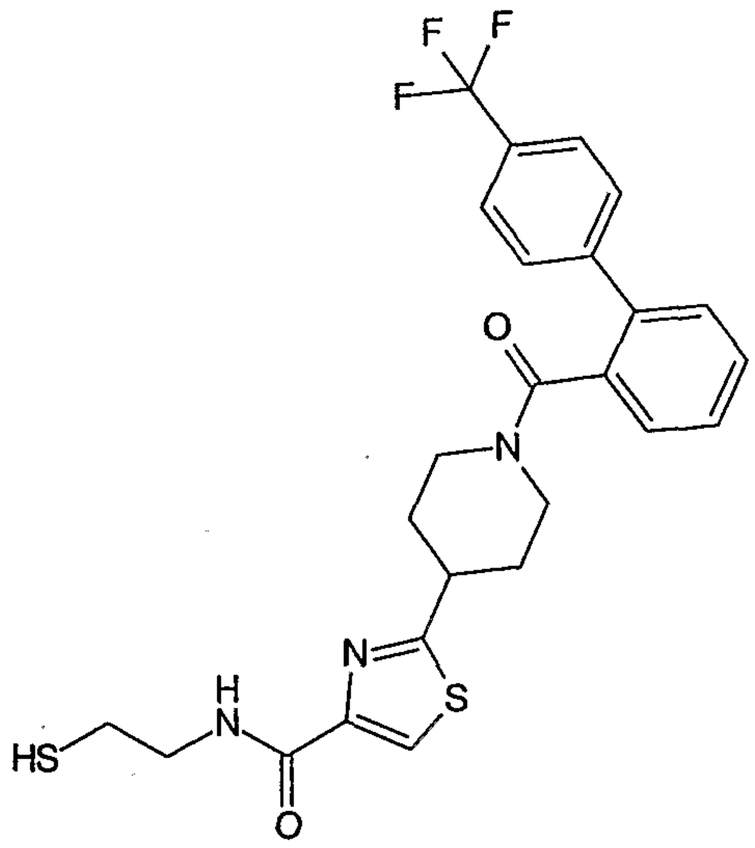
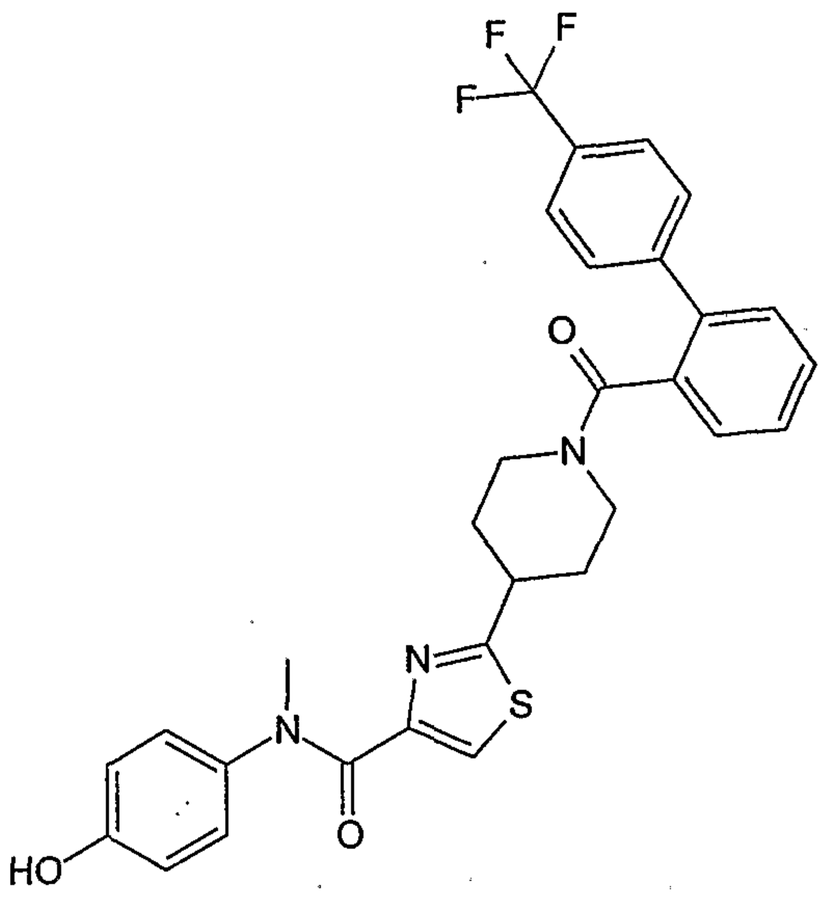
No.	FORMULA	NMR or mass
199	 ethyl N-(4-methoxyphenyl)-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}norvalinate	
200	 3,5-dimethyl-1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine	

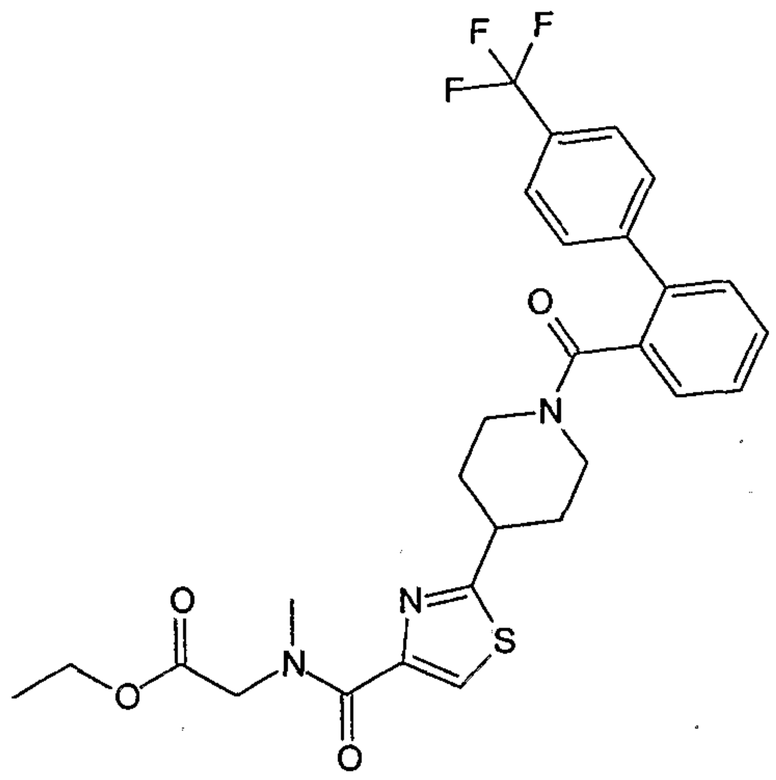
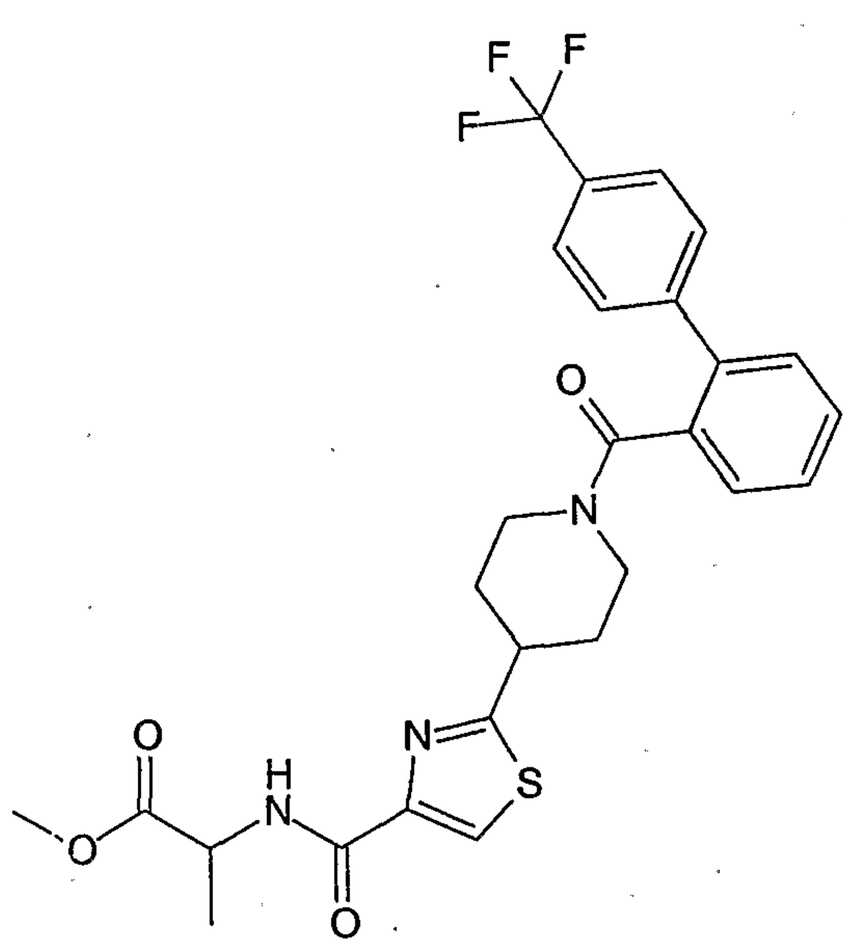
No.	FORMULA	NMR or mass
201	 1'-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,4'-bipiperidine	
202	 methyl 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine-4-carboxylate	

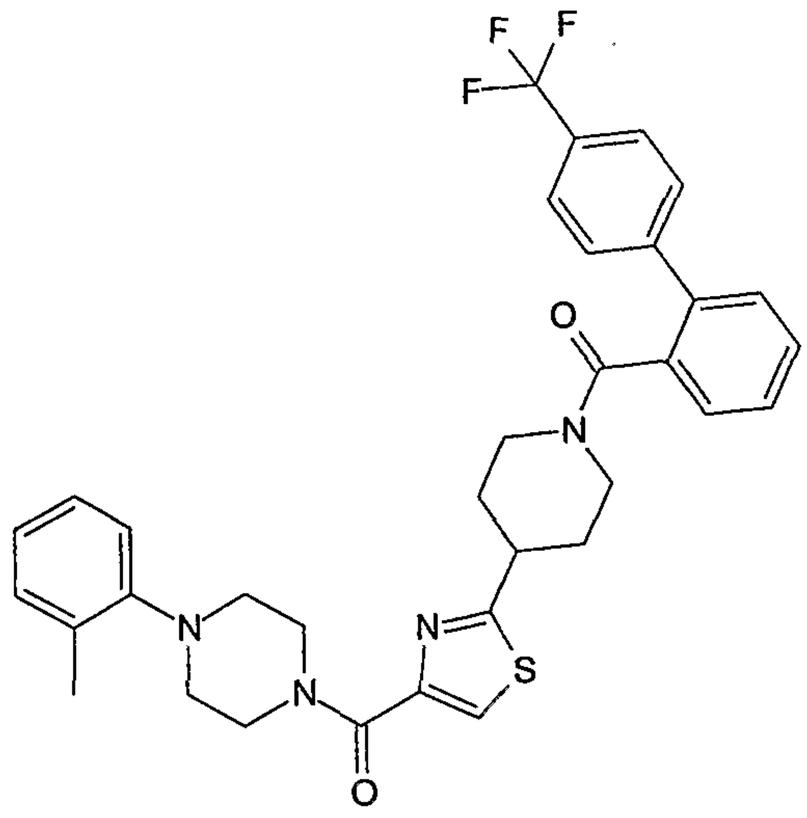
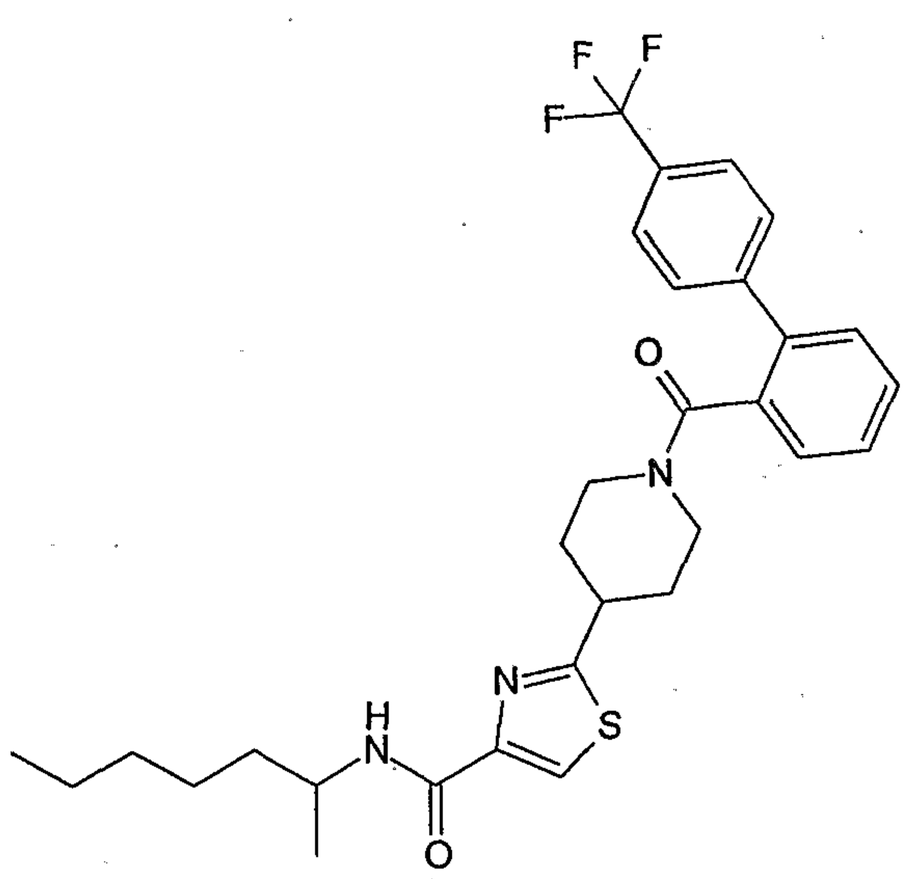
No.	FORMULA	NMR or mass
203	 2-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}decahydroisoquinoline	
204	 (1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-yl)methanol	

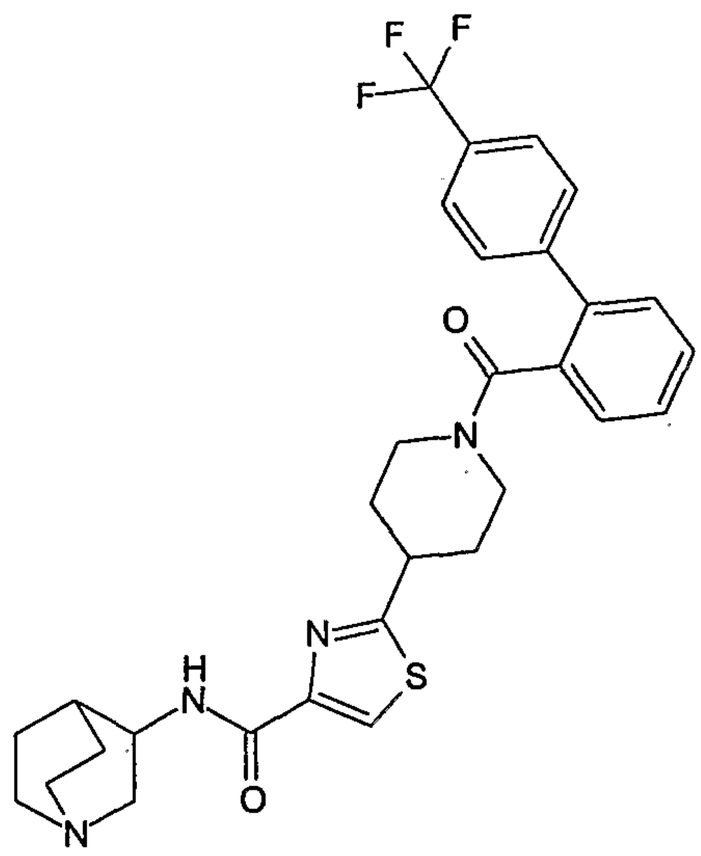
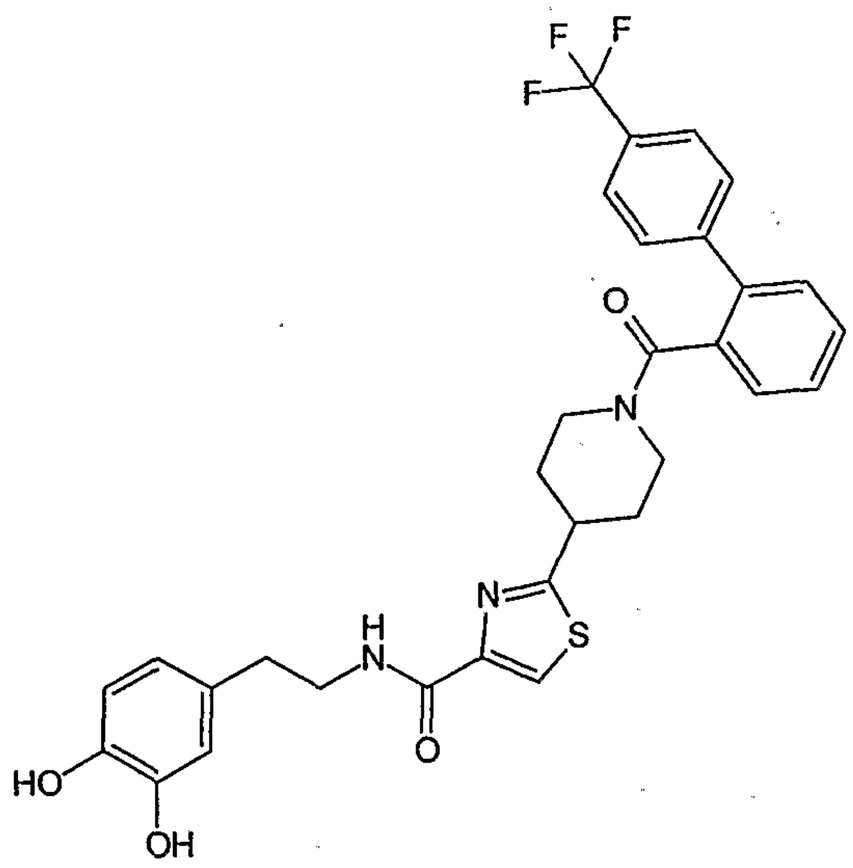
No.	FORMULA	NMR or mass
205	 3-(2-pyridin-3-ylethyl)-5-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)benzyl pentanoate	
206	 N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

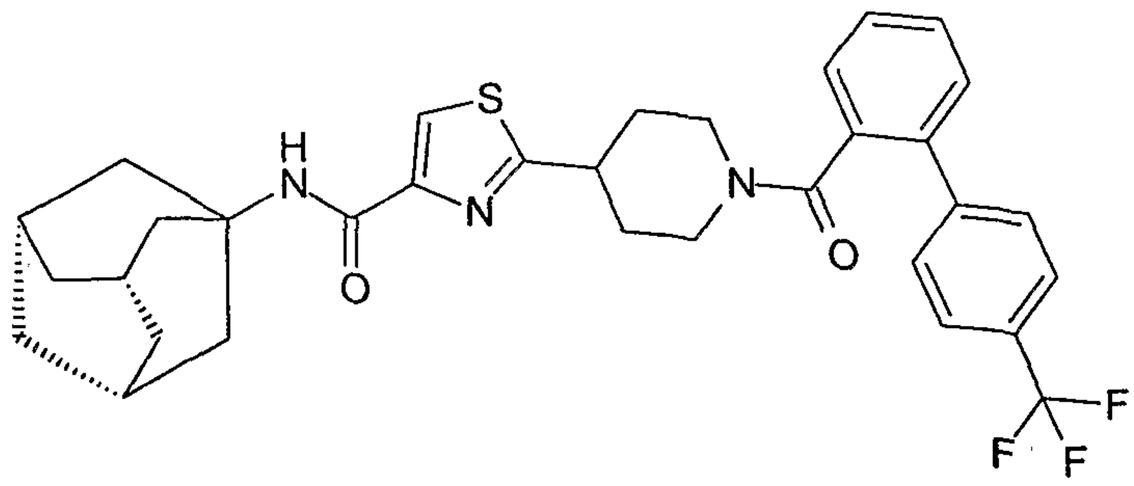
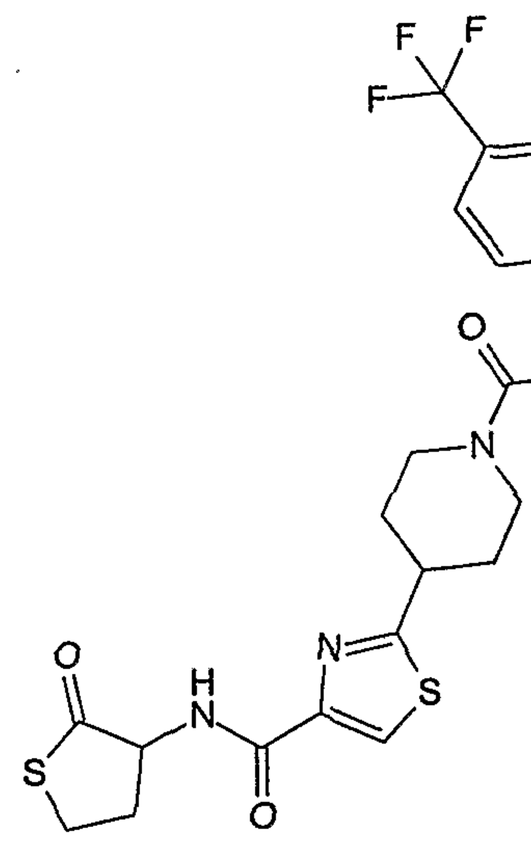
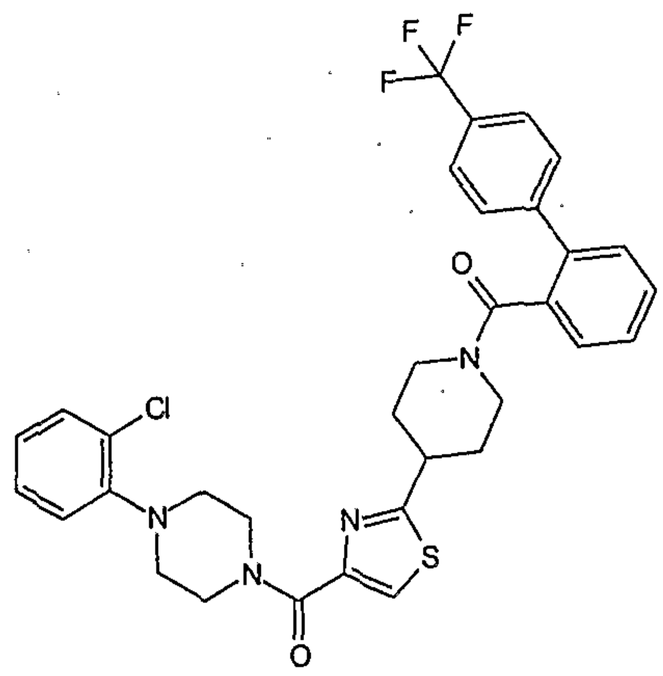
No.	FORMULA	NMR or mass
207	 2-(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidin-4-yl)ethanol	
208	 N-(1,3-benzodioxol-5-ylmethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

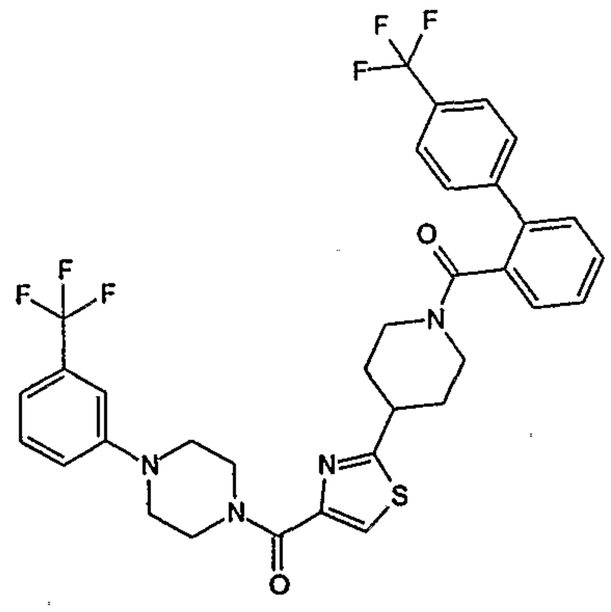
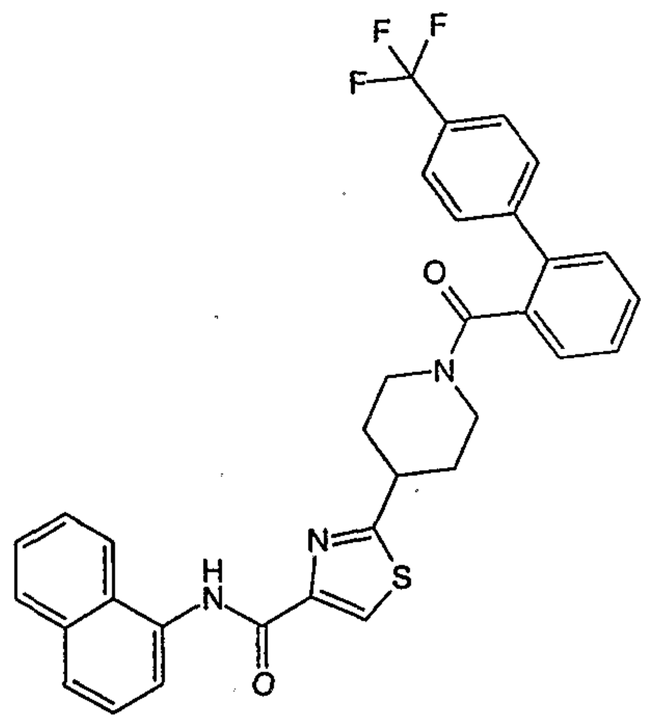
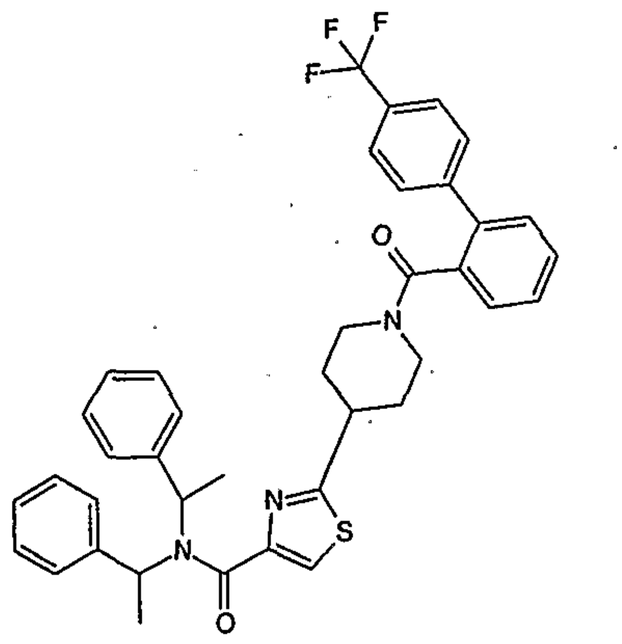
No.	FORMULA	NMR or mass
209	 N-(2-mercaptoethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	
210	 N-(4-hydroxyphenyl)-N-methyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

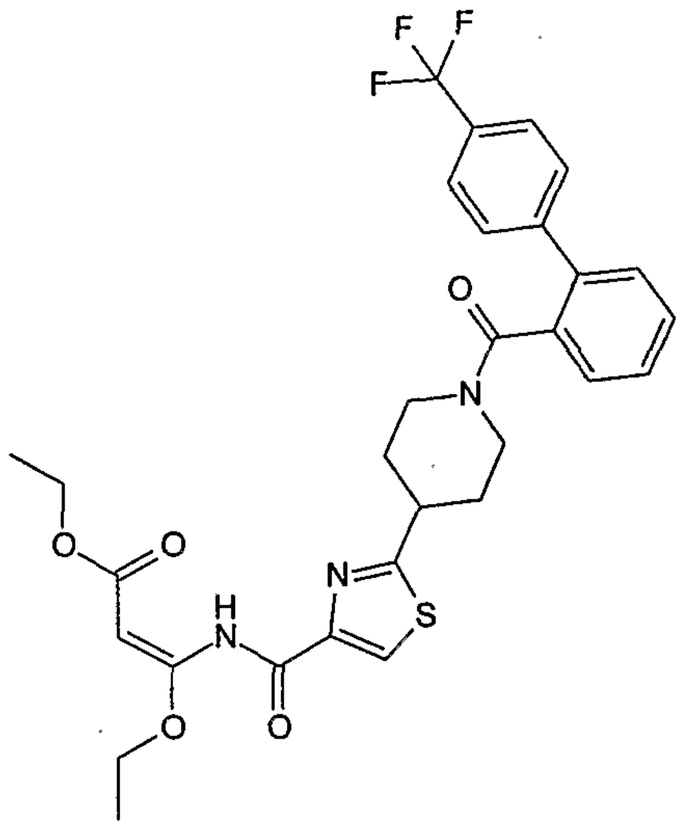
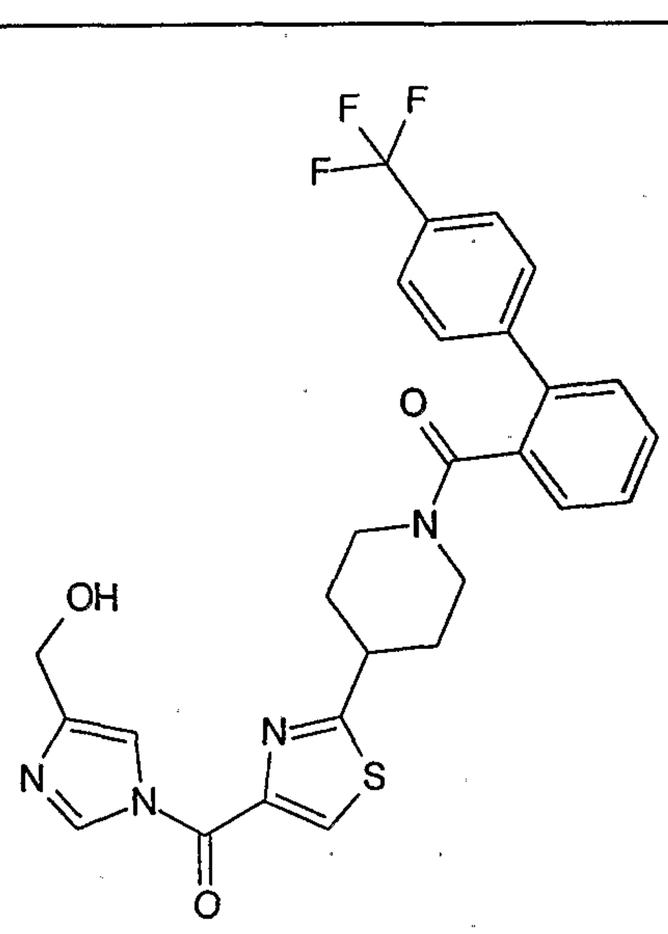
No.	FORMULA	NMR or mass
211	 ethyl N-methyl-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}glycinate	
212	 methyl N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}alaninate	

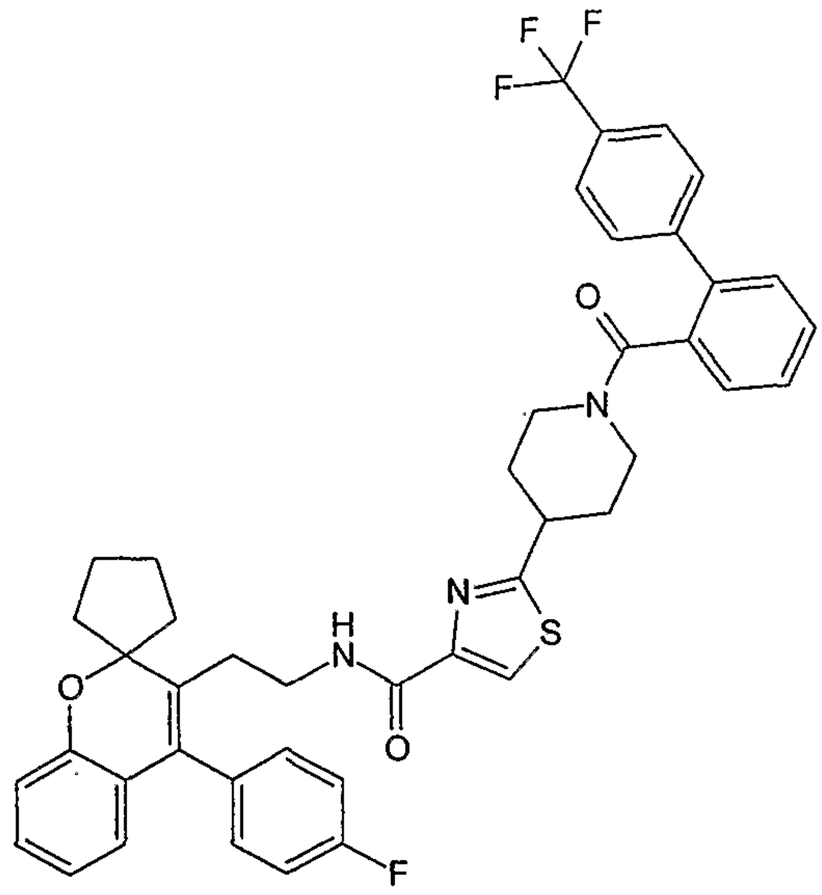
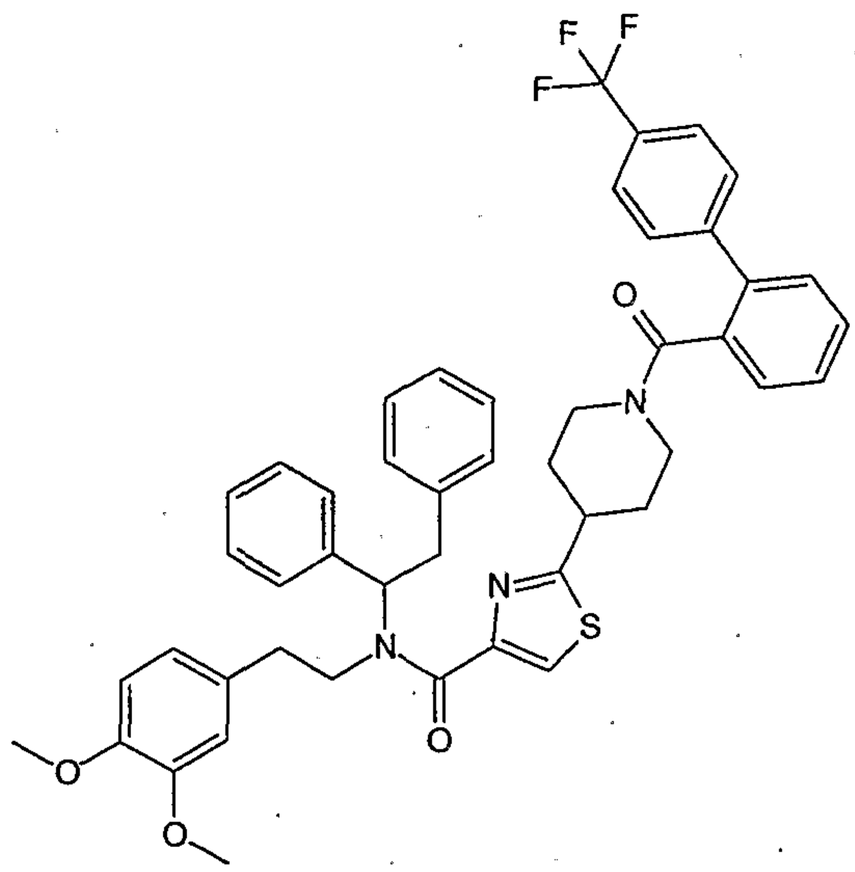
No.	FORMULA	NMR or mass
213	 1-(2-methylphenyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	
214	 N-(1-methylhexyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

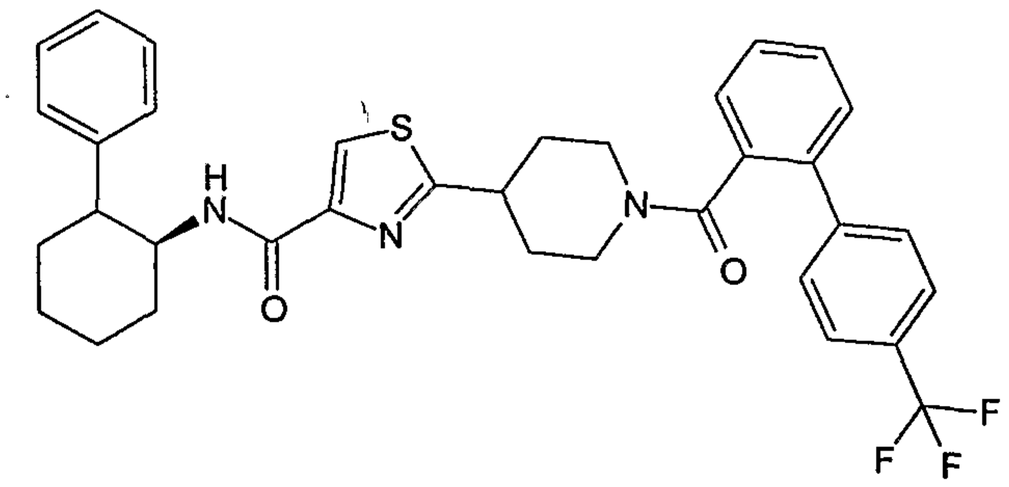
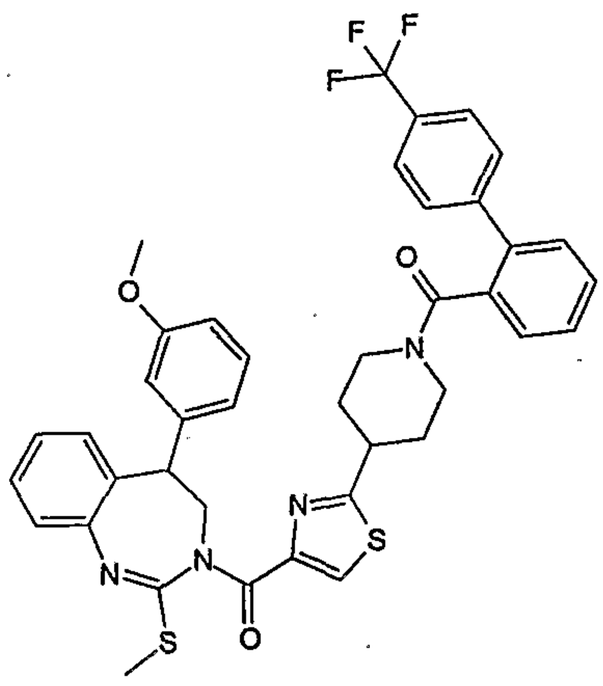
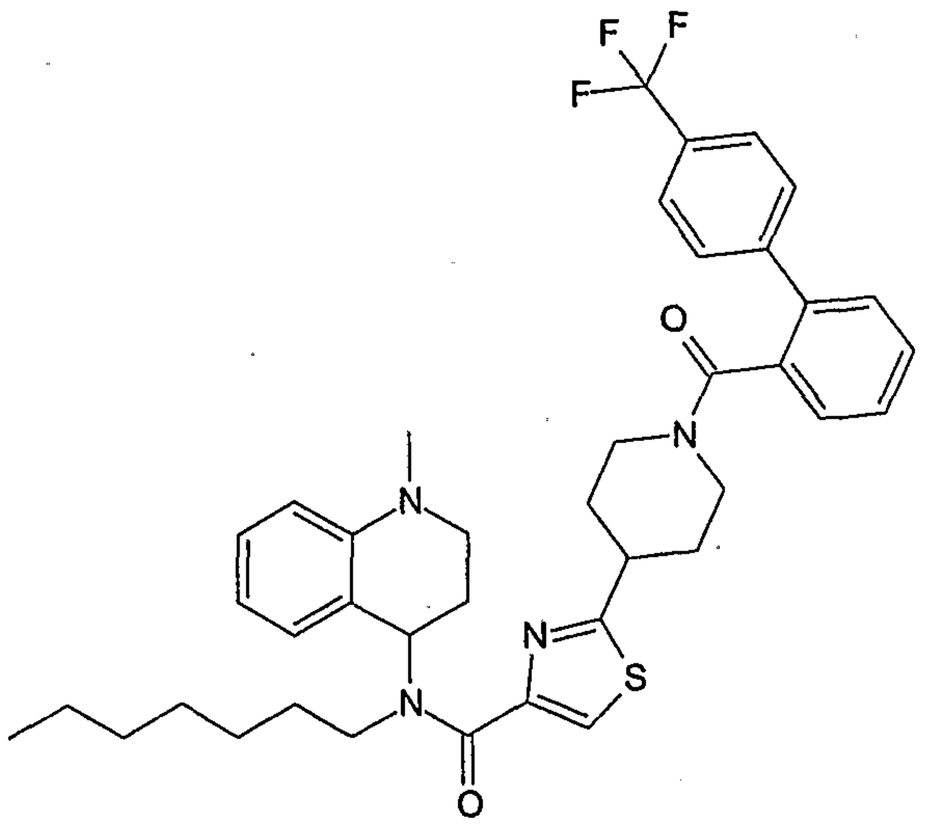
No.	FORMULA	NMR or mass
215	 N-1-azabicyclo[2.2.2]oct-3-yl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
216	 N-[2-(3,4-dihydroxyphenyl)ethyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

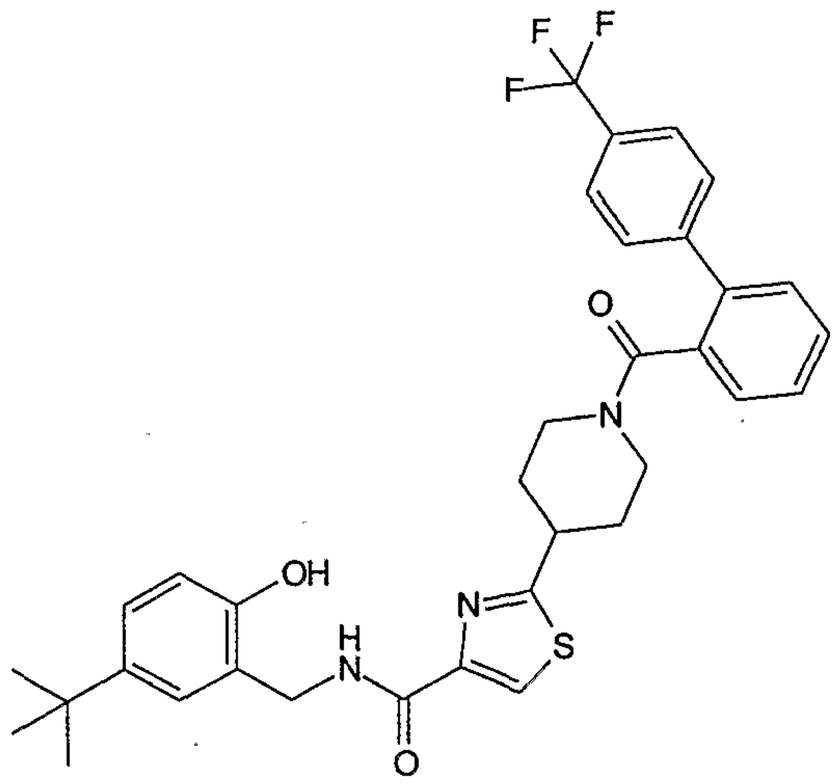
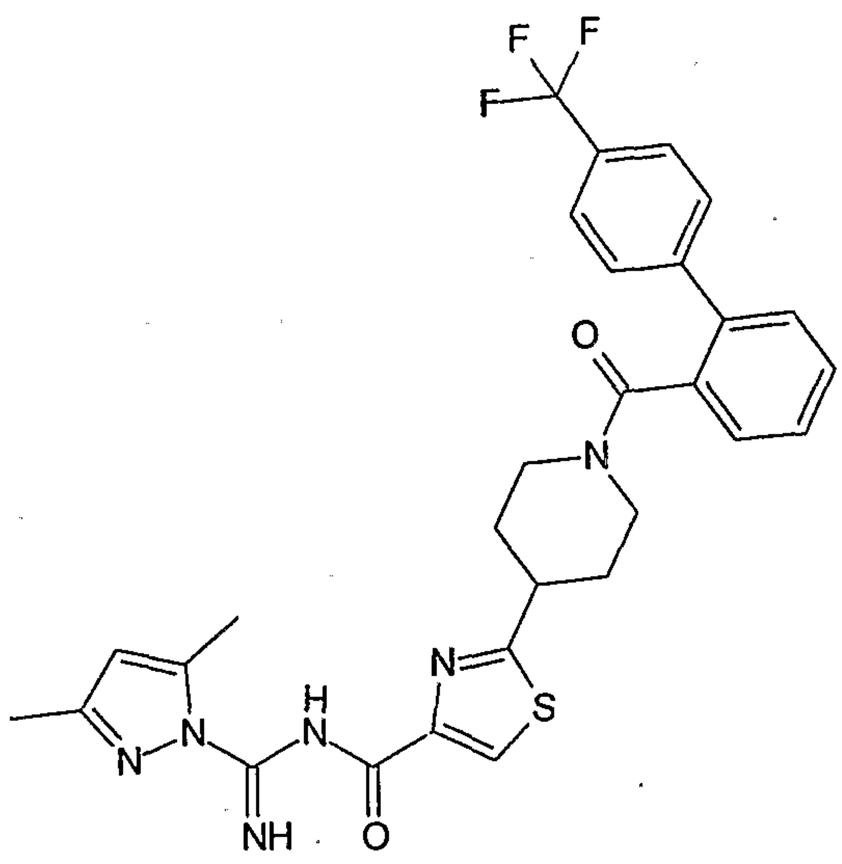
No.	FORMULA	NMR or mass
217	 N-[(3s,5s,7s)-1-adamantyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
218	 N-(2-oxotetrahydro-3-thienyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
219	 1-(2-chlorophenyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	

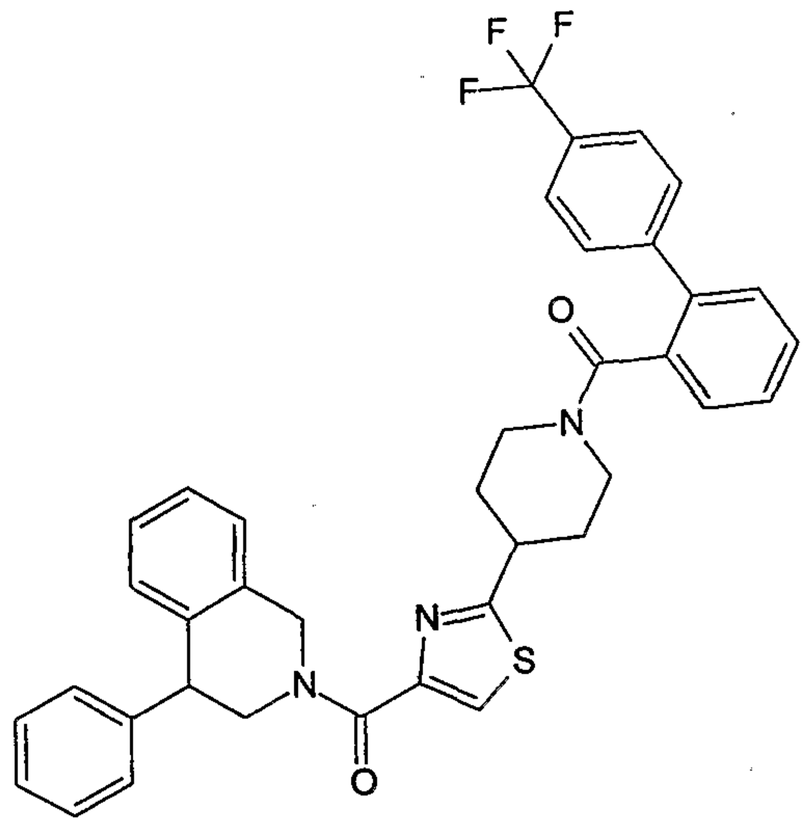
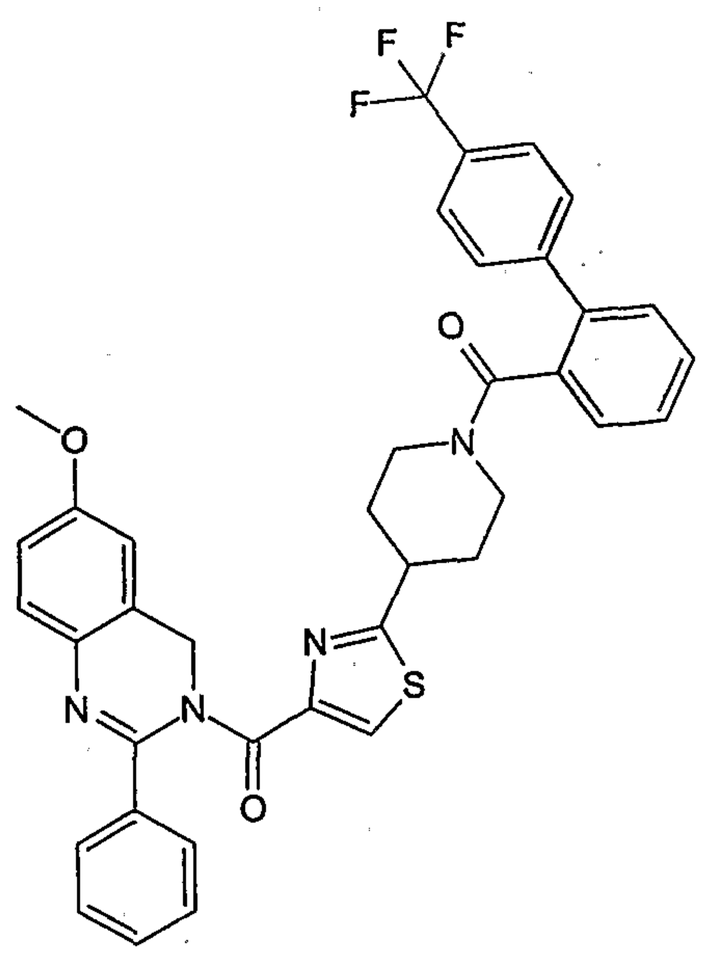
No.	FORMULA	NMR or mass
220	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-4-[3-(trifluoromethyl)phenyl]piperazine	
221	 N-1-naphthyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
222	 N,N-bis(1-phenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

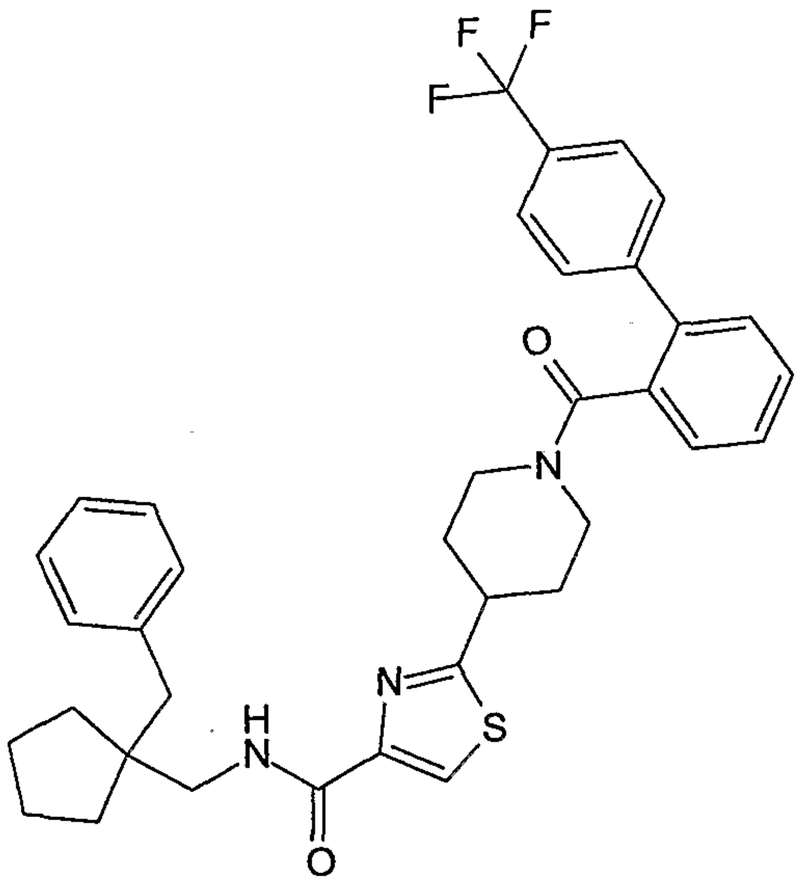
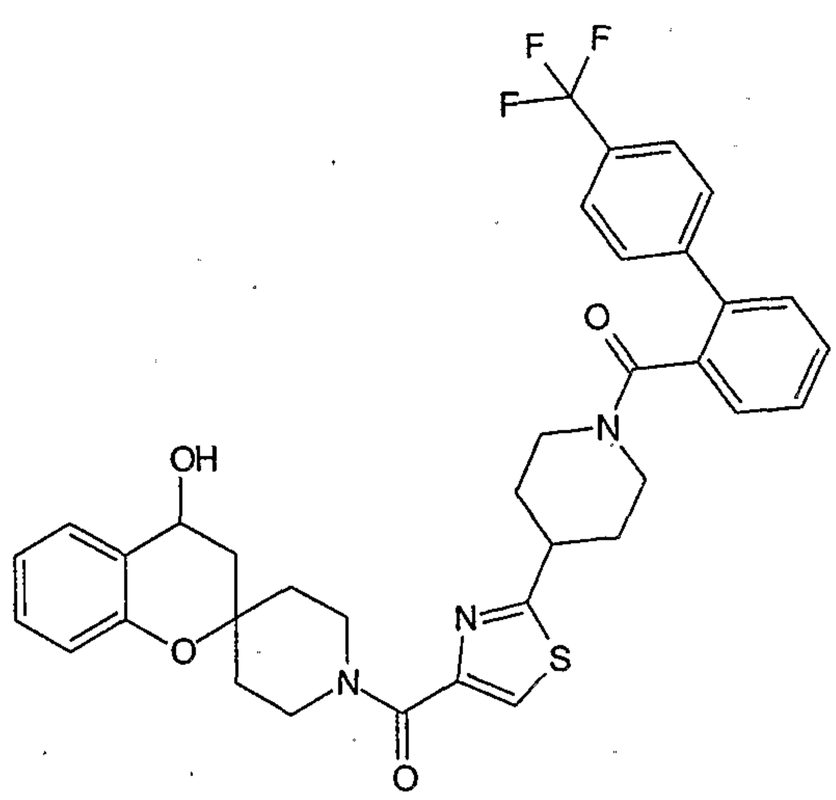
No.	FORMULA	NMR or mass
223	 ethyl (2E)-3-ethoxy-3-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)acrylate	
224	 (1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-imidazol-4-yl)methanol	

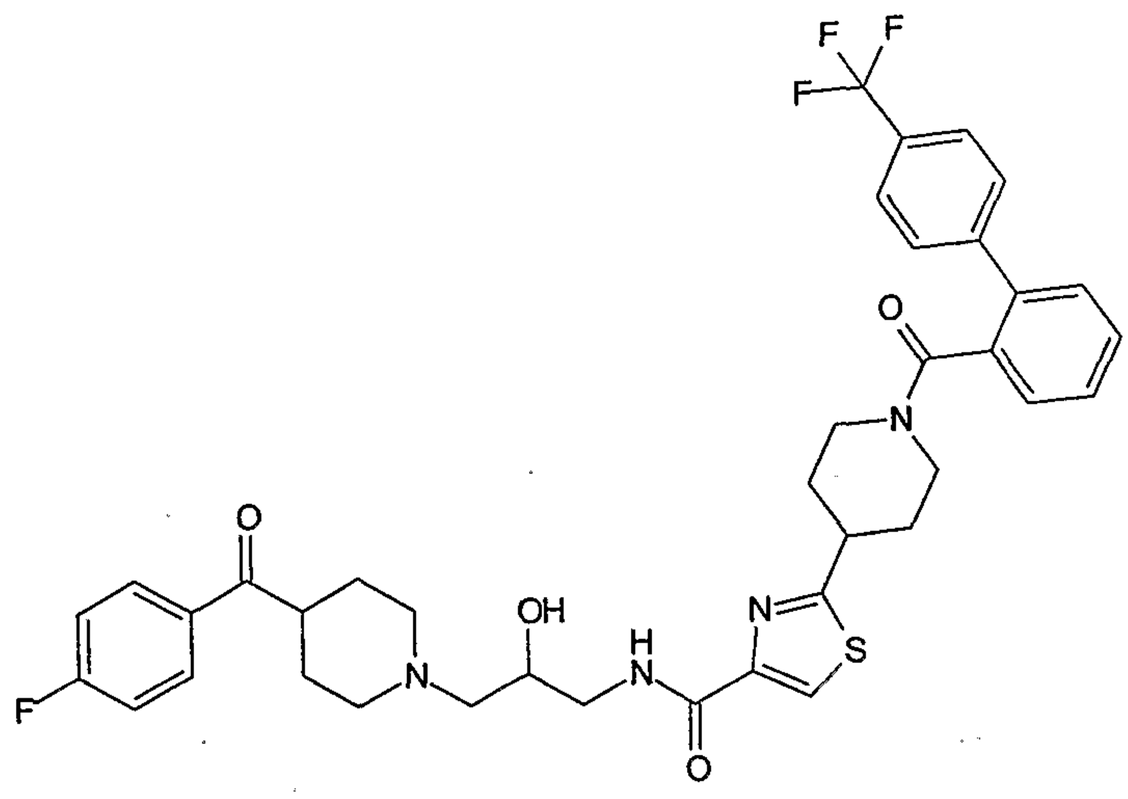
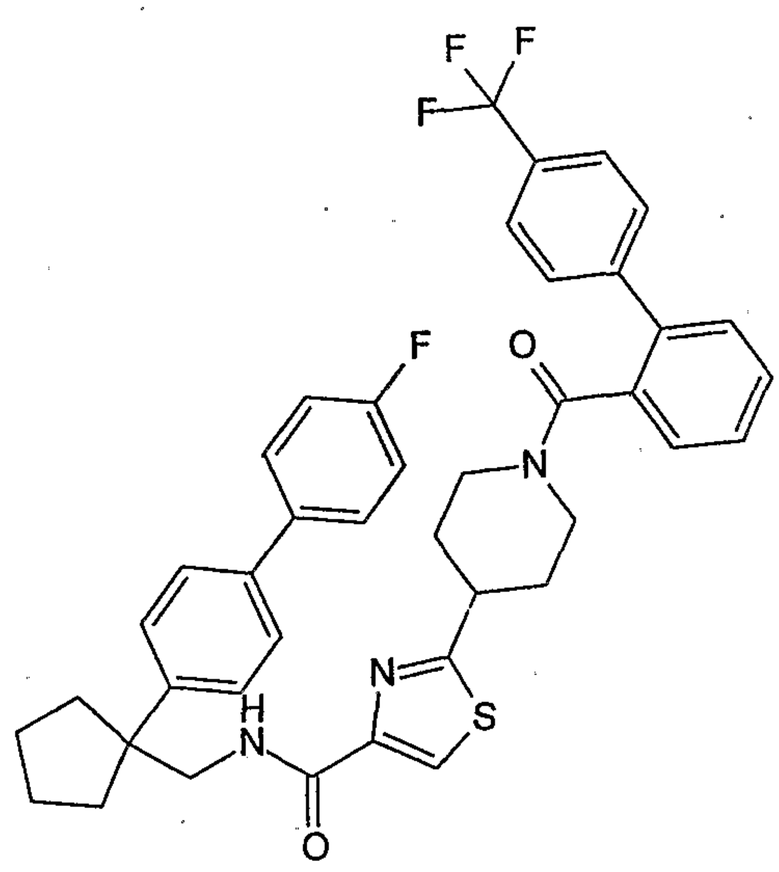
No.	FORMULA	NMR or mass
225	 N-{2-[4-(4-fluorophenyl)spiro[chromene-2,1'-cyclopentan]-3-yl]ethyl}-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
226	 N-[2-(3,4-dimethoxyphenyl)ethyl]-N-(1,2-diphenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

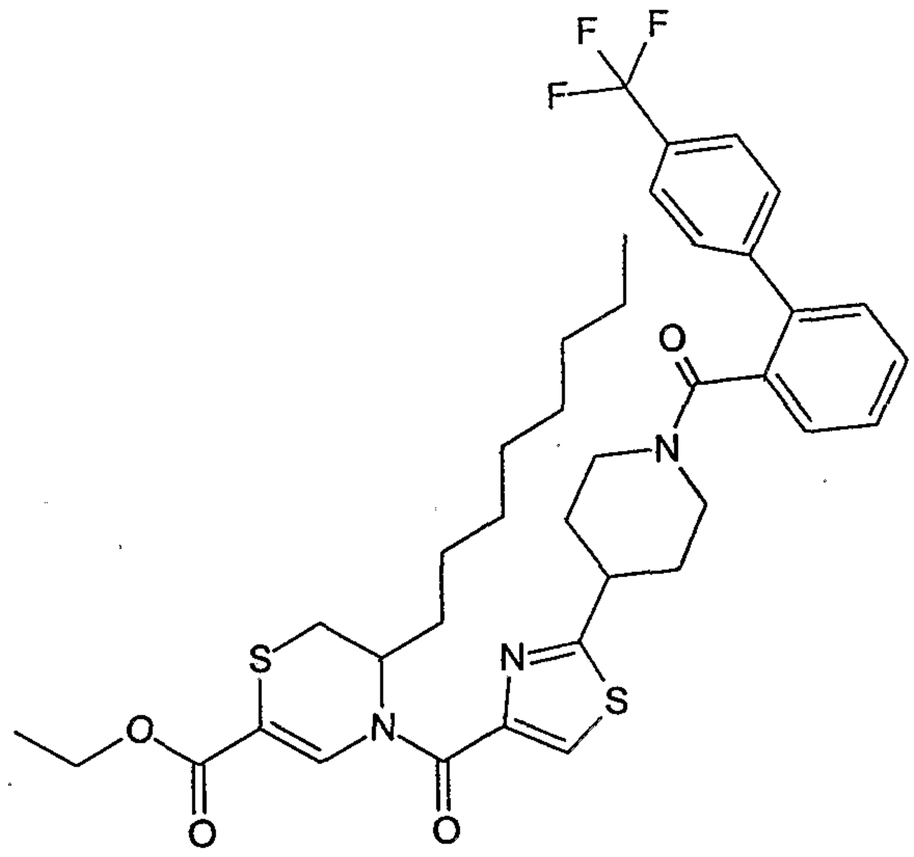
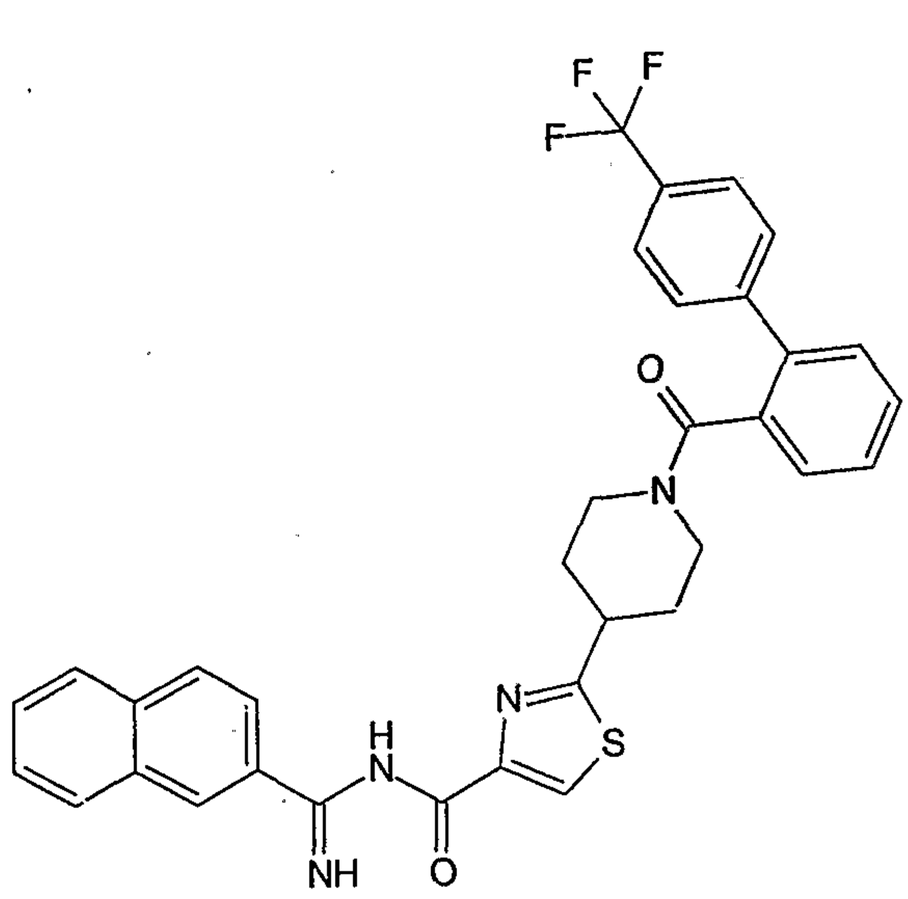
No.	FORMULA	NMR or mass
227		
228	 5-(3-methoxyphenyl)-2-(methylthio)-3-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-4,5-dihydro-3H-1,3-benzodiazepine	
229	 N-heptyl-N-(1-methyl-1,2,3,4-tetrahydroquinolin-4-yl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

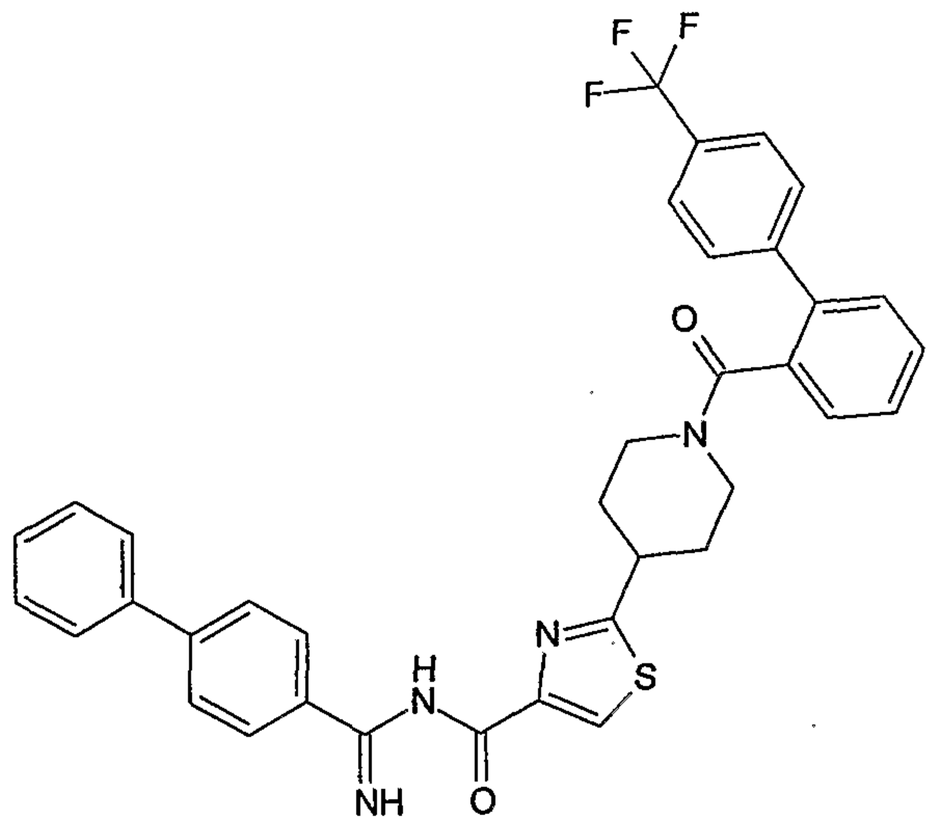
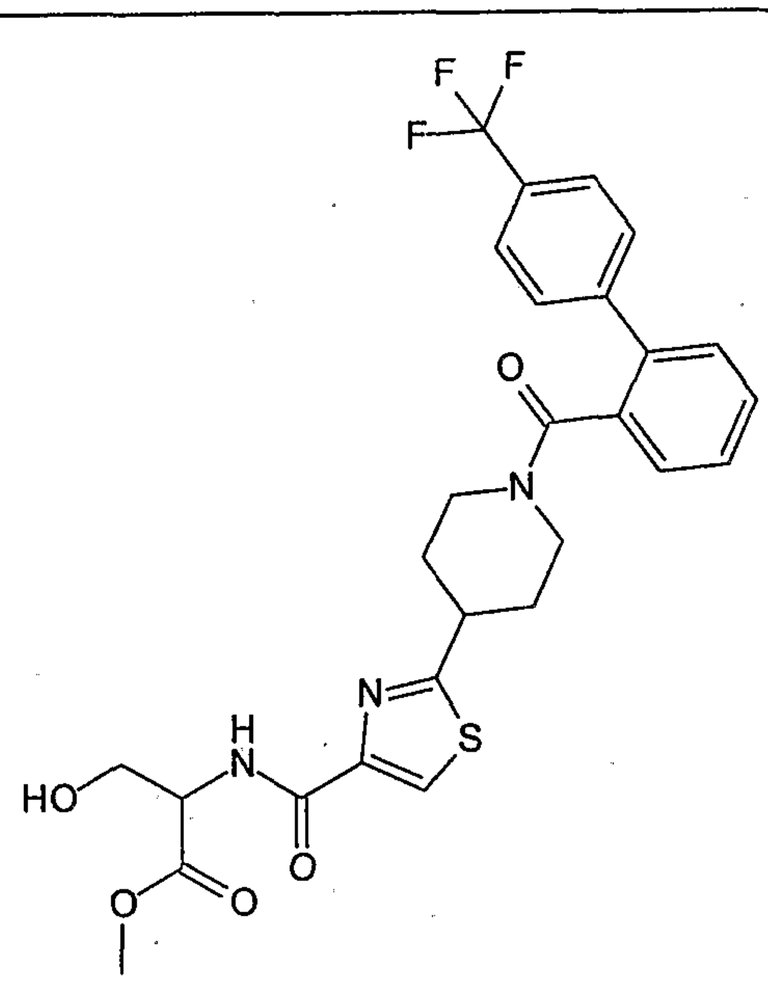
No.	FORMULA	NMR or mass
230	 N-(5-tert-butyl-2-hydroxybenzyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
231	 N-[(3,5-dimethyl-1H-pyrazol-1-yl)(imino)methyl]-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

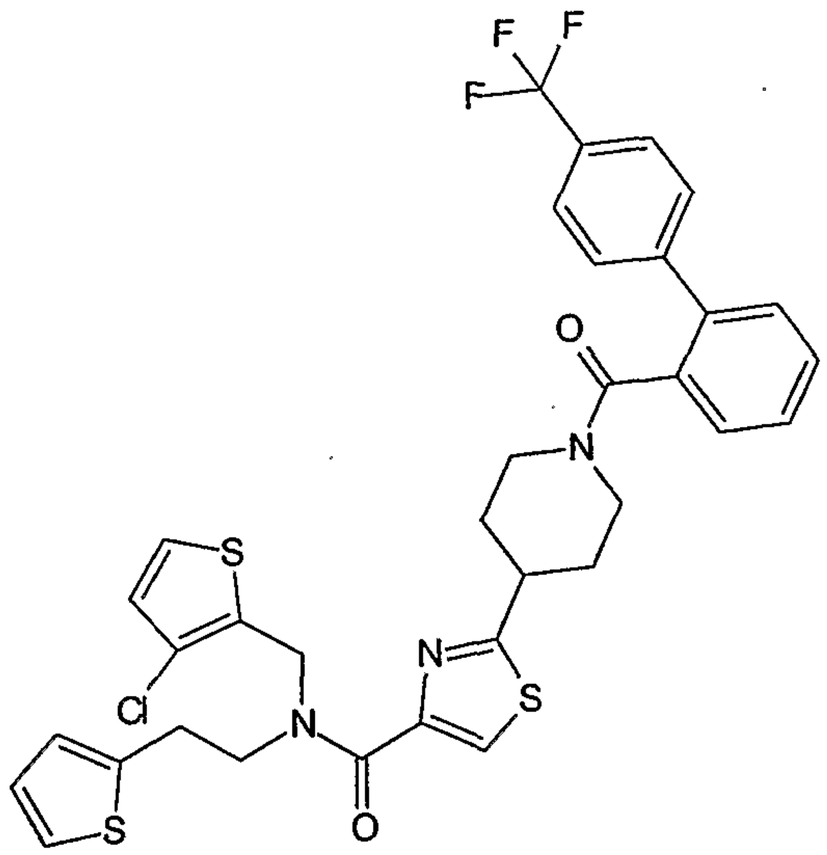
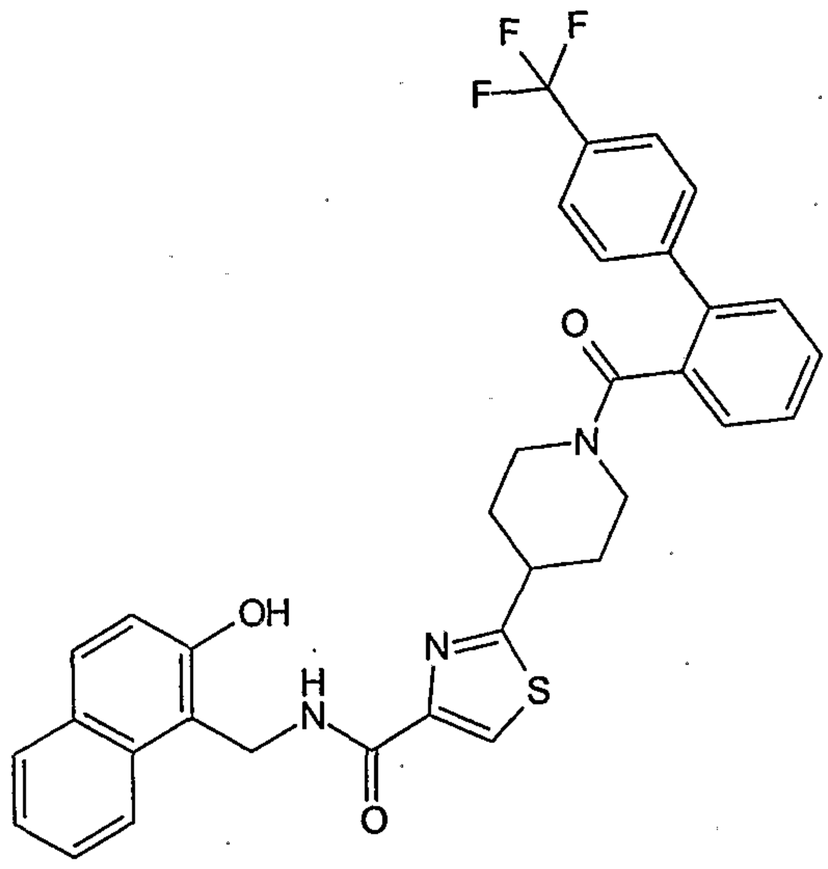
No.	FORMULA	NMR or mass
232	 4-phenyl-2-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,2,3,4-tetrahydroisoquinoline	
233	 6-methoxy-2-phenyl-3-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-3,4-dihydroquinazoline	

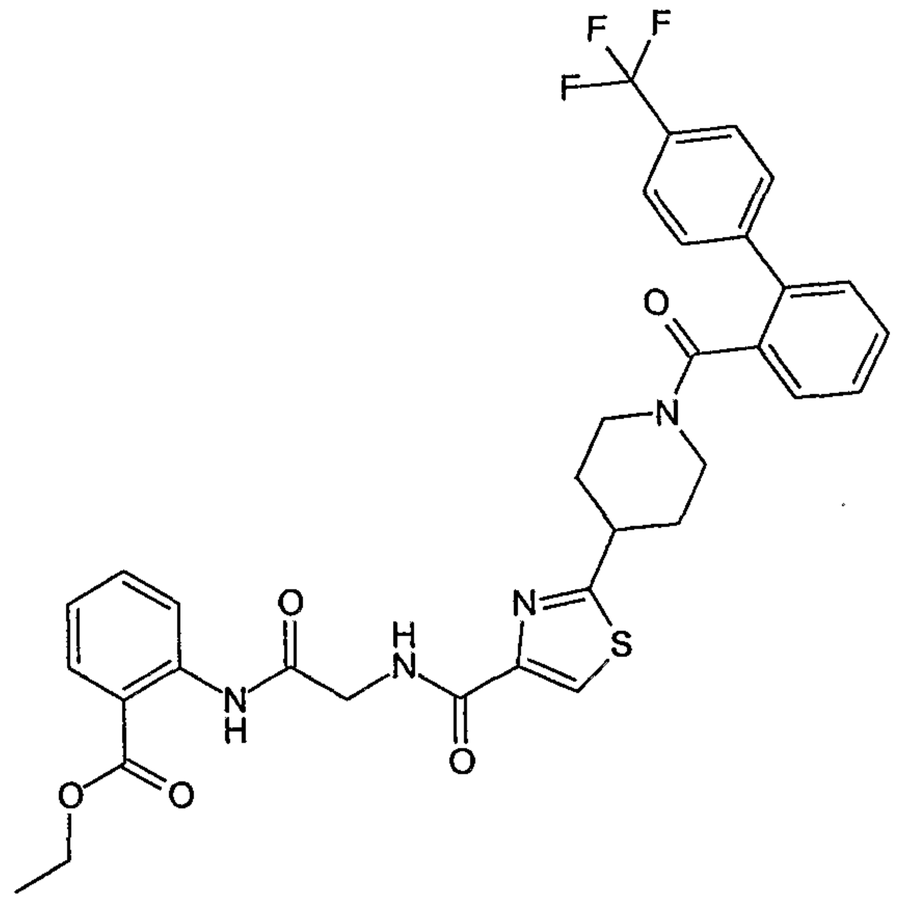
No.	FORMULA	NMR or mass
234	 N-[(1-benzylcyclopentyl)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
235	 1'-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-3,4-dihydrospiro[chromene-2,4'-piperidin]-4-ol	

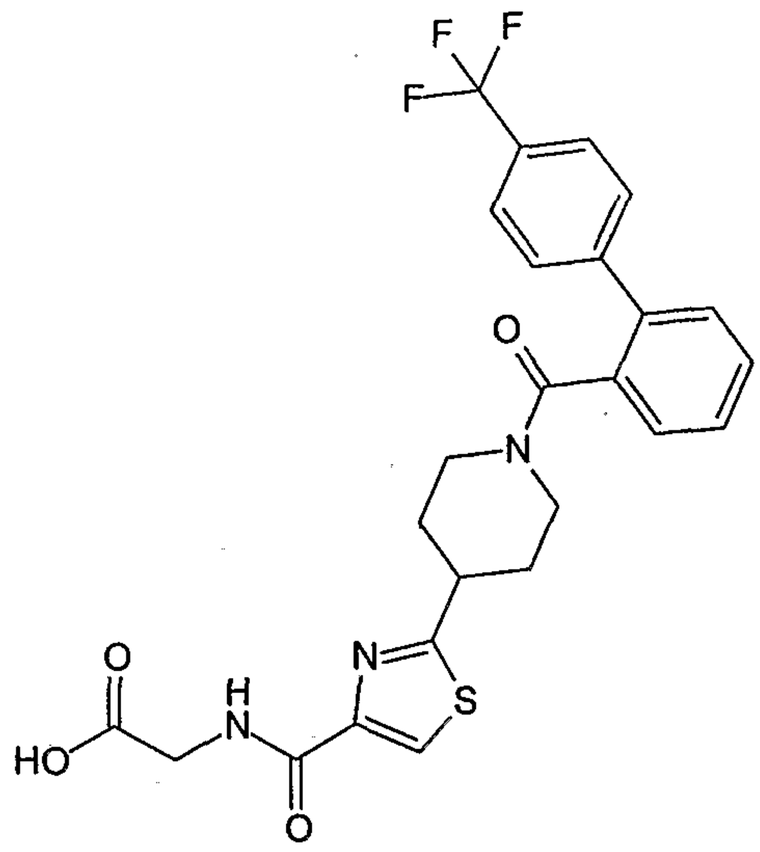
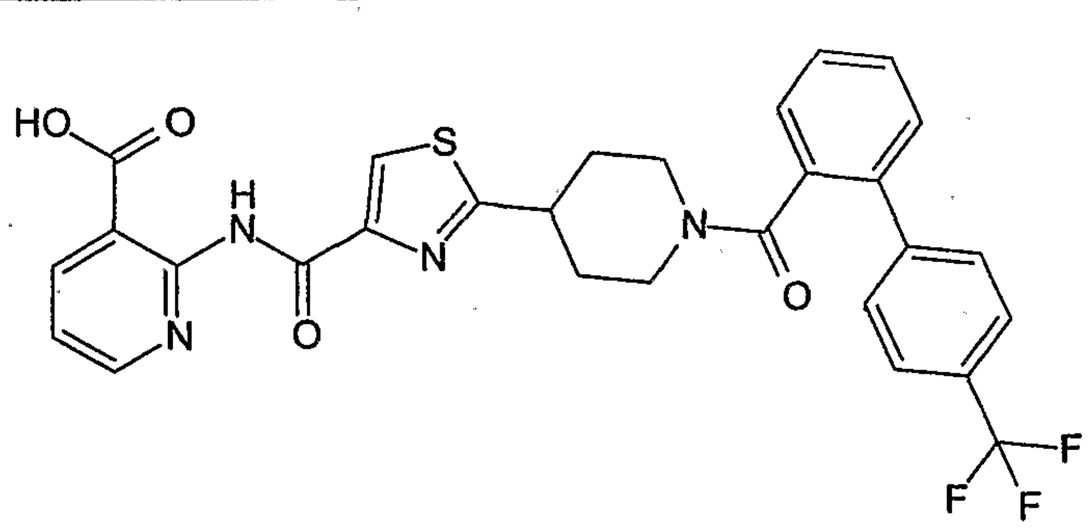
No.	FORMULA	NMR or mass
236	 N-{3-[4-(4-fluorobenzoyl)piperidin-1-yl]-2-hydroxypropyl}-2-(1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
237	 N-{[1-(4'-fluorobiphenyl-4-yl)cyclopentyl]methyl}-2-(1-{[4'-(trifluoromethyl)-biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

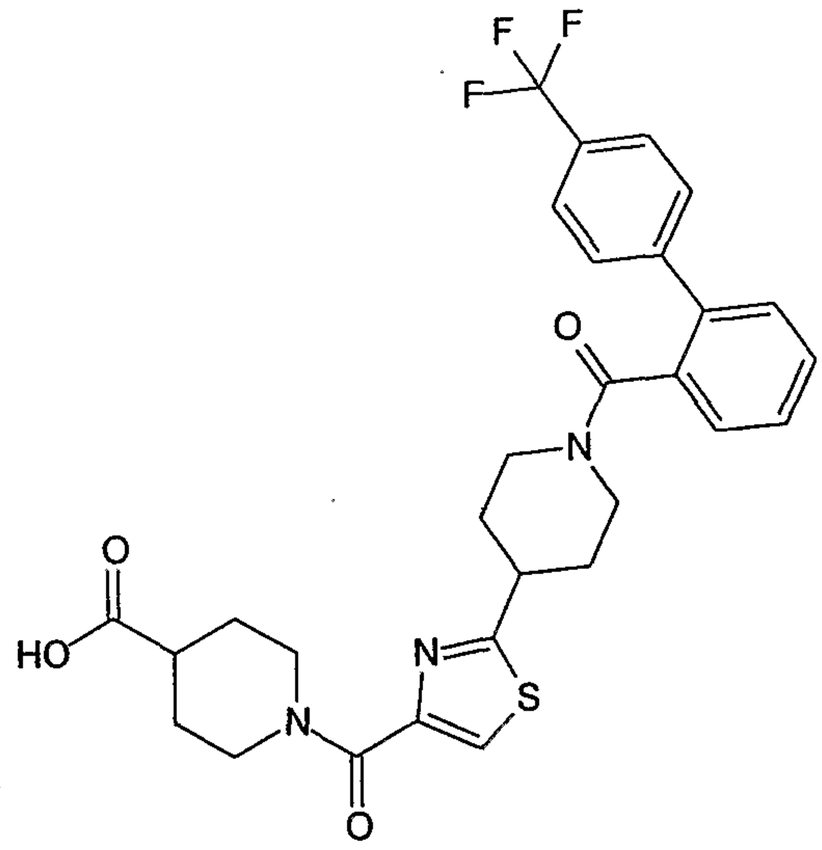
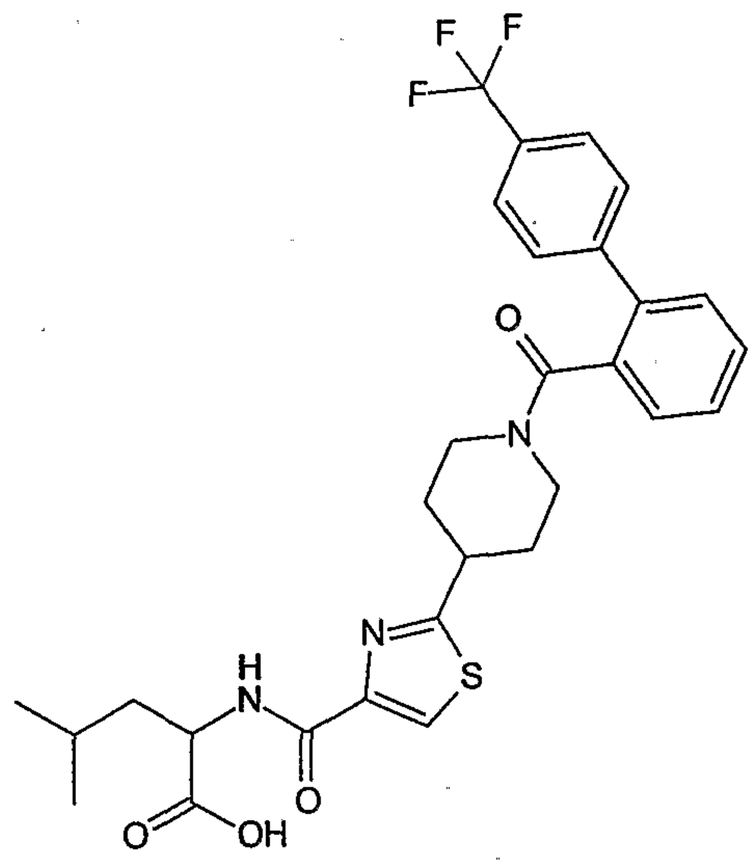
No.	FORMULA	NMR or mass
238	 ethyl 3-octyl-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-3,4-dihydro-2H-1,4-thiazine-6-carboxylate	
239	 N-[imino(2-naphthyl)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

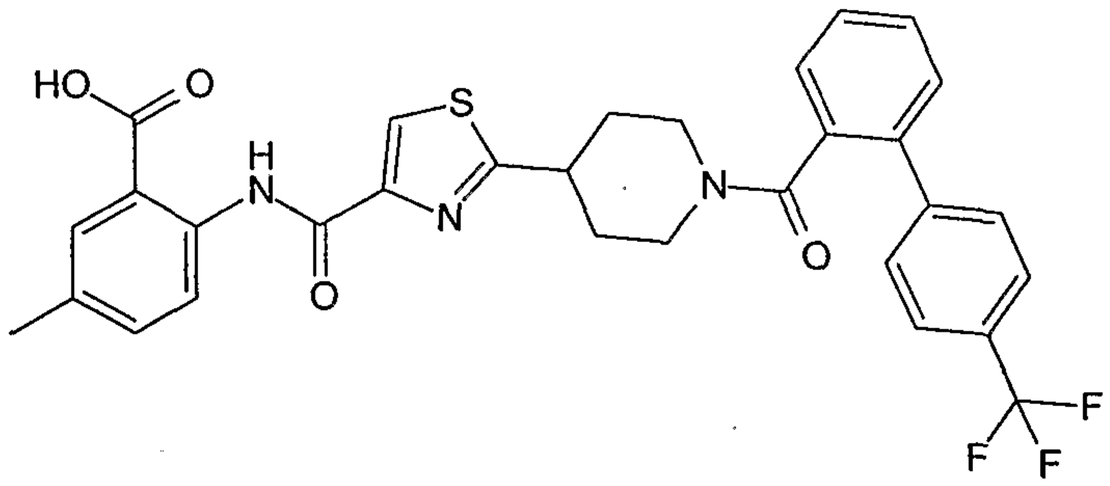
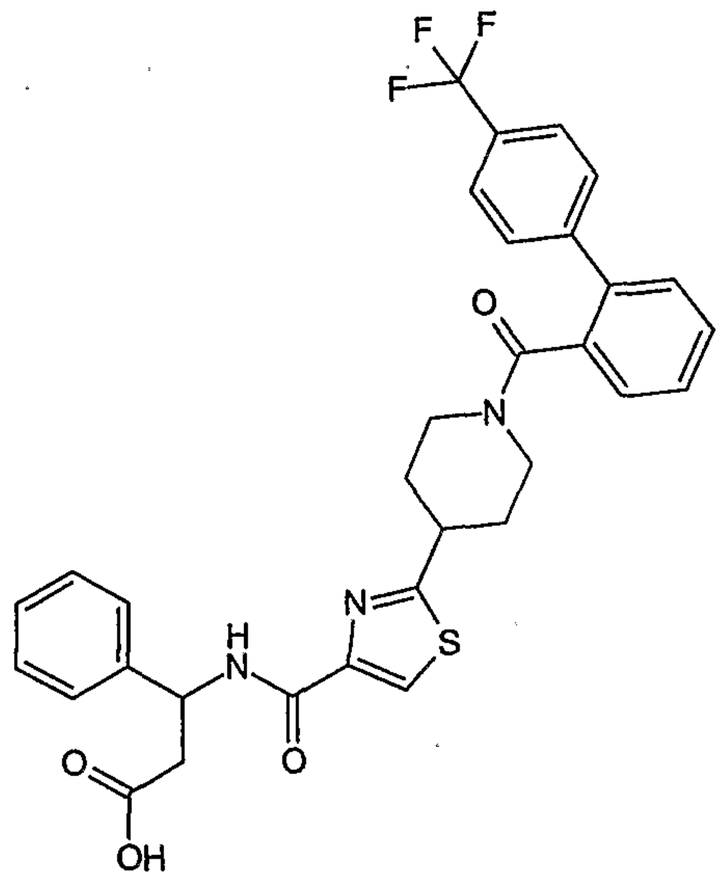
No.	FORMULA	NMR or mass
240	 N-[biphenyl-4-yl(imino)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
241	 methyl N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}serinate	

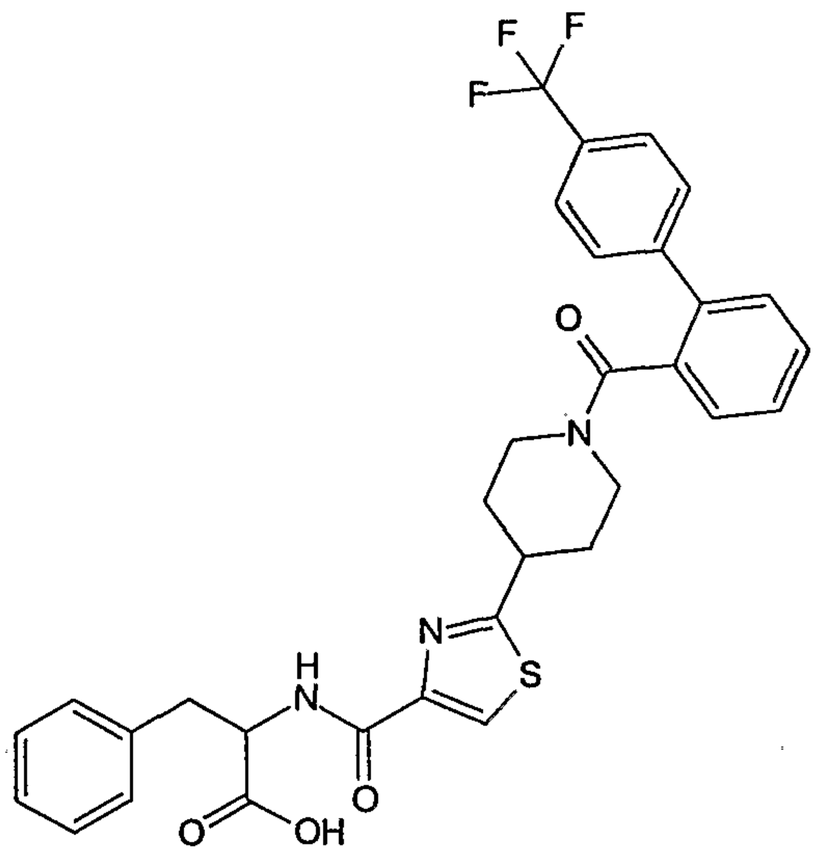
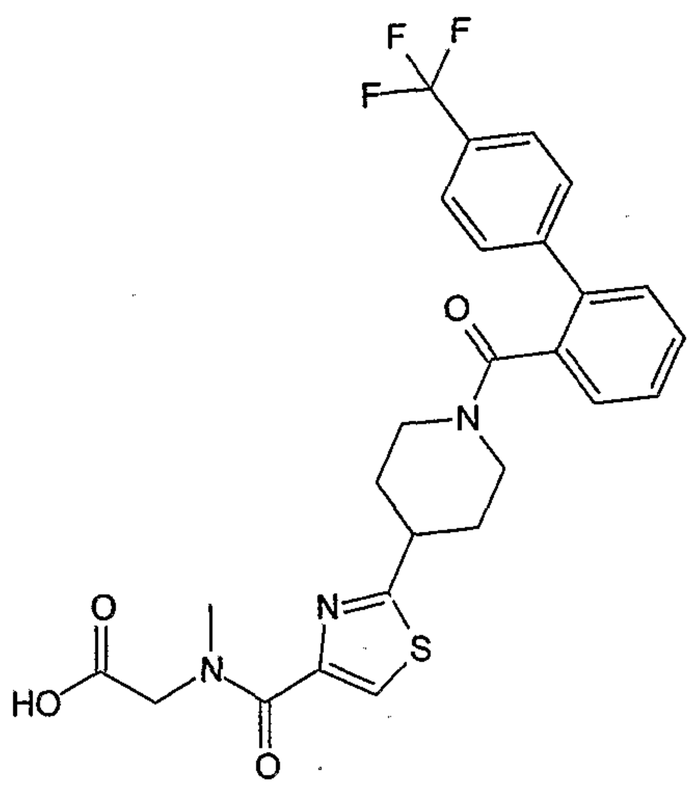
No.	FORMULA	NMR or mass
242	 N-[(3-chloro-2-thienyl)methyl]-N-[2-(2-thienyl)ethyl]-2-(1-{[4'-(trifluoro-methyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
243	 N-[(2-hydroxy-1-naphthyl)methyl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

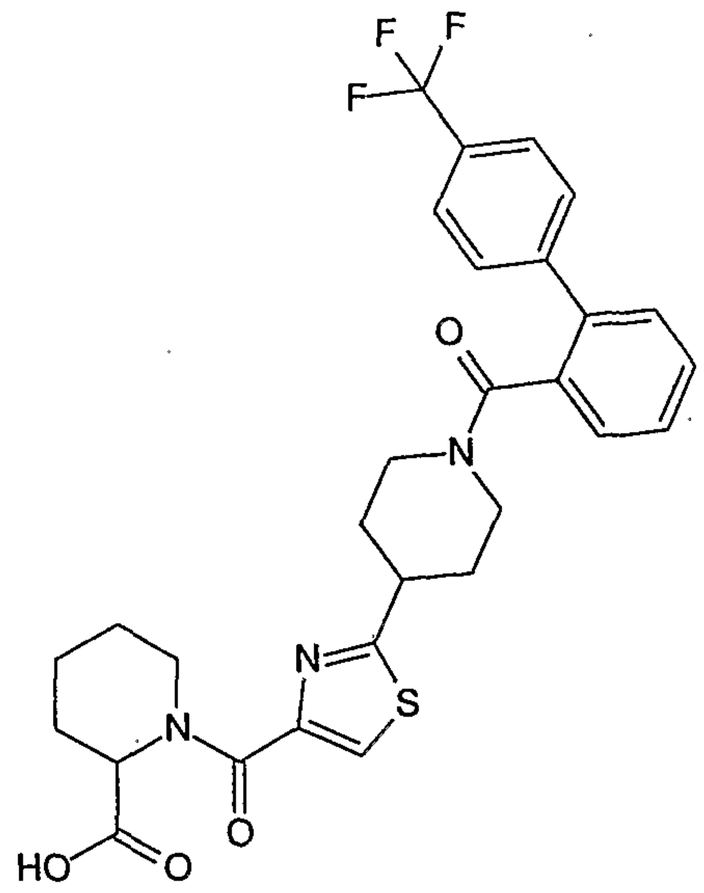
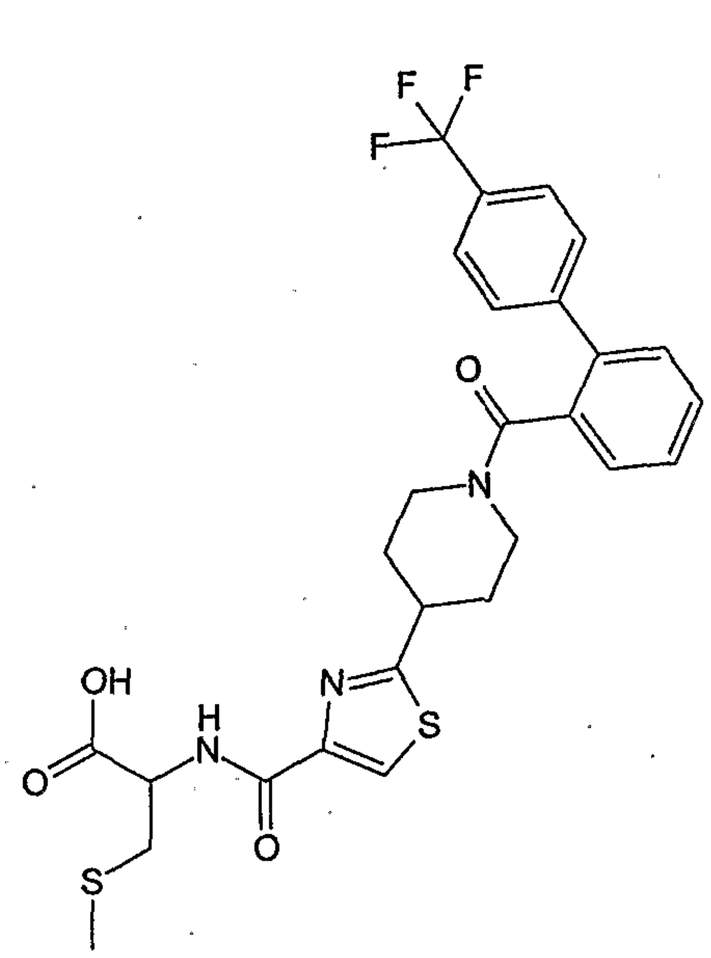
No.	FORMULA	NMR or mass
244	 ethyl 2-[(N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}glycyl)amino]benzoate	
245	 1-(1-naphthyl)-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperazine	

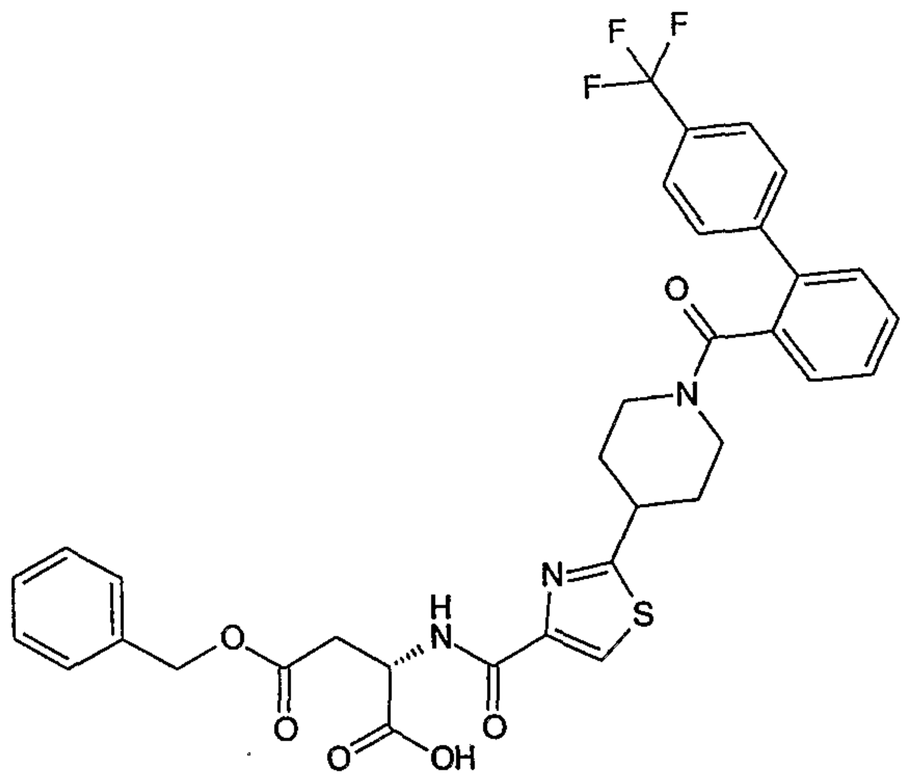
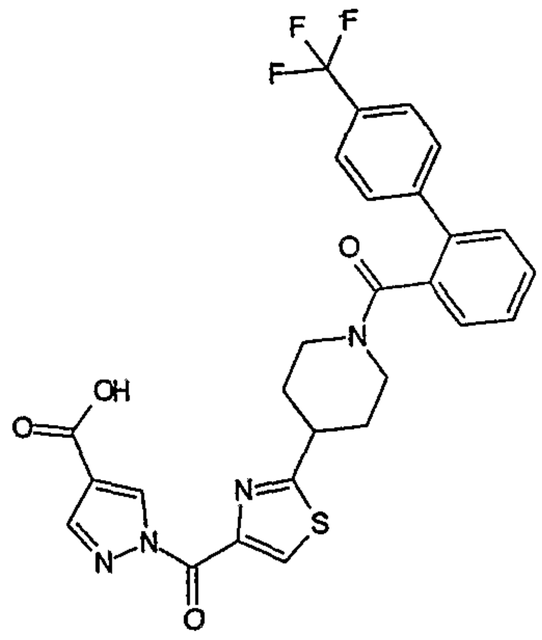
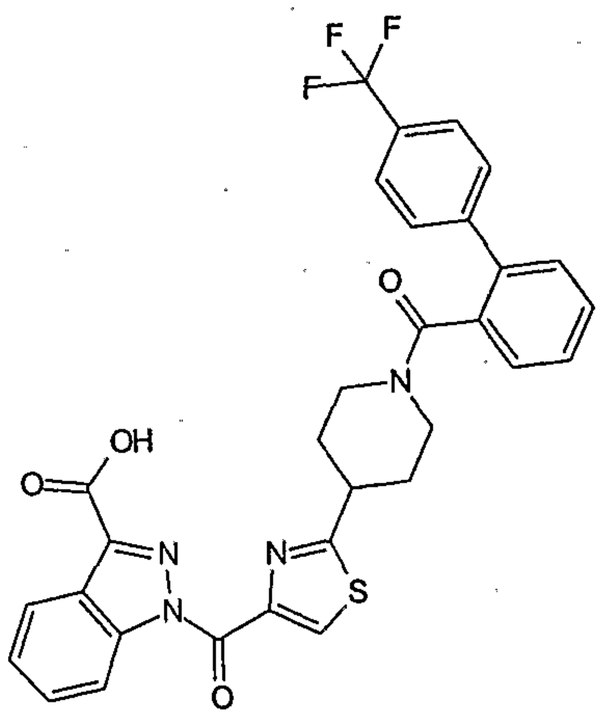
No.	FORMULA	NMR or mass
246	 N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}glycine	
247		

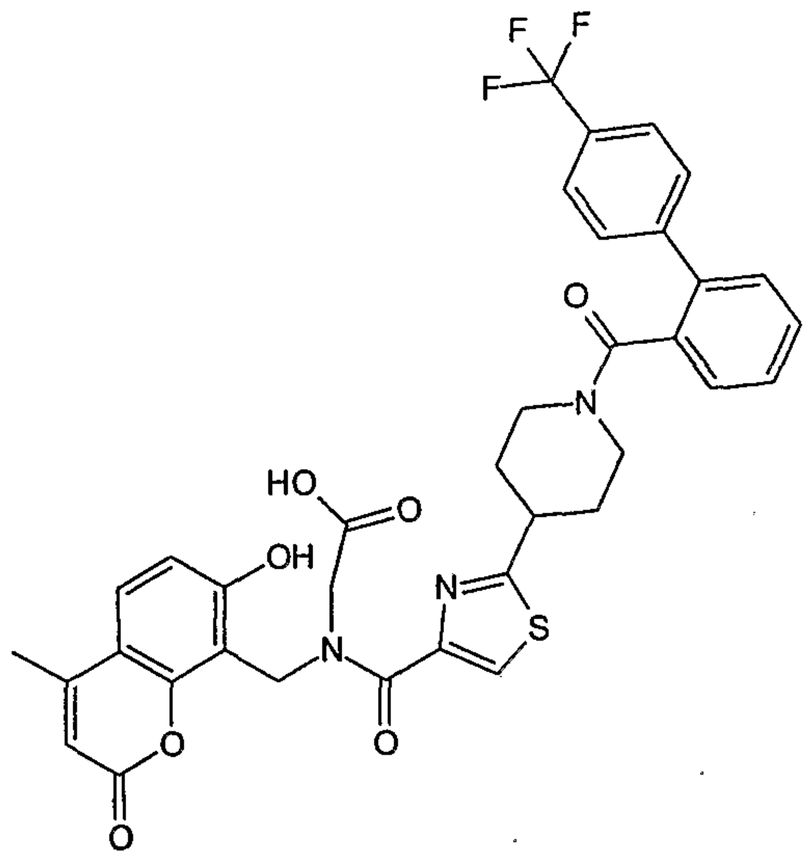
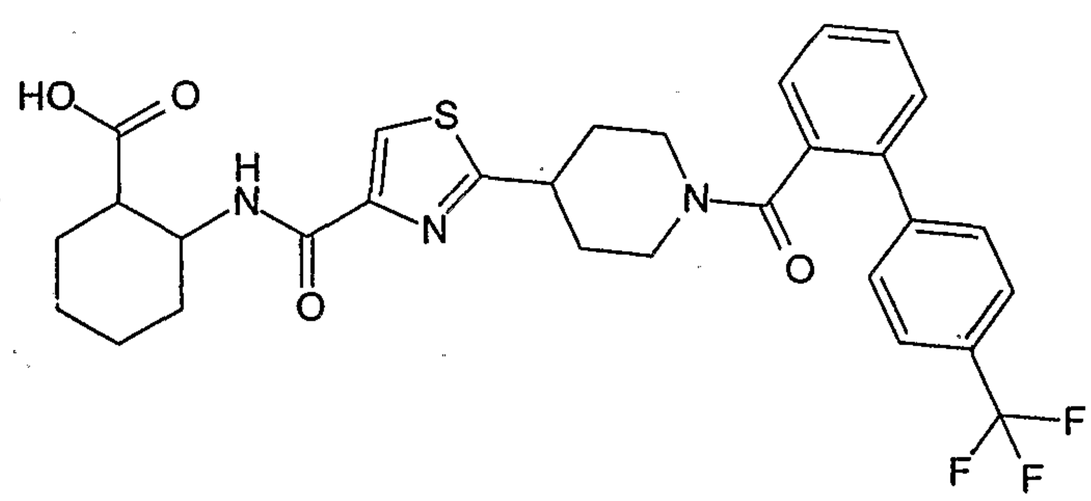
No.	FORMULA	NMR or mass
248	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine-4-carboxylic acid	
249	 N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}leucine	

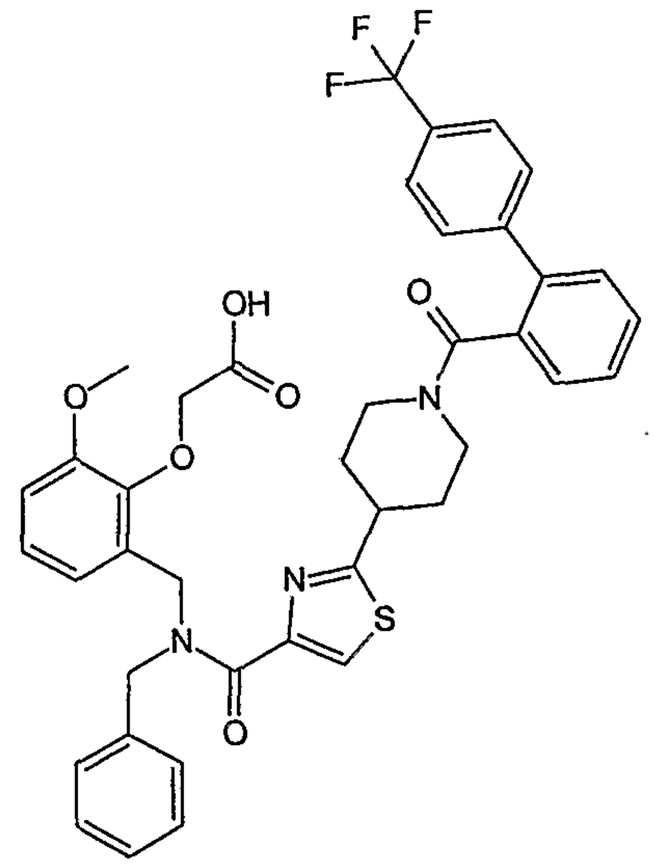
No.	FORMULA	NMR or mass
250		
251	 3-phenyl-3-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)propanoic acid	

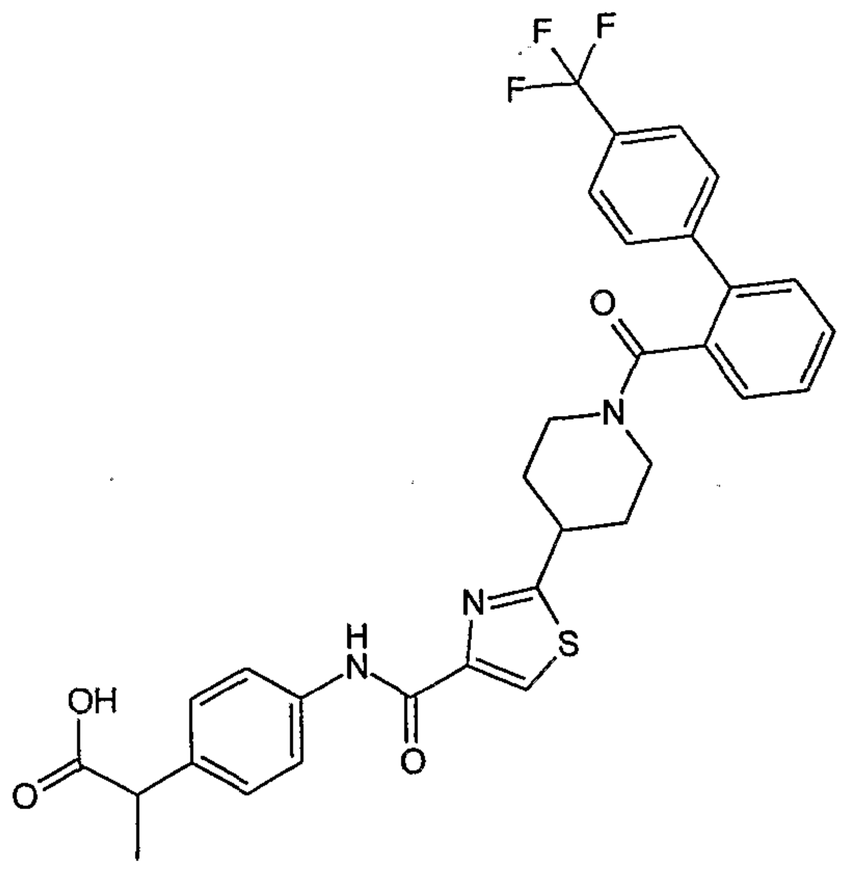
No.	FORMULA	NMR or mass
252	 N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}phenylalanine	
253	 N-methyl-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}glycine	

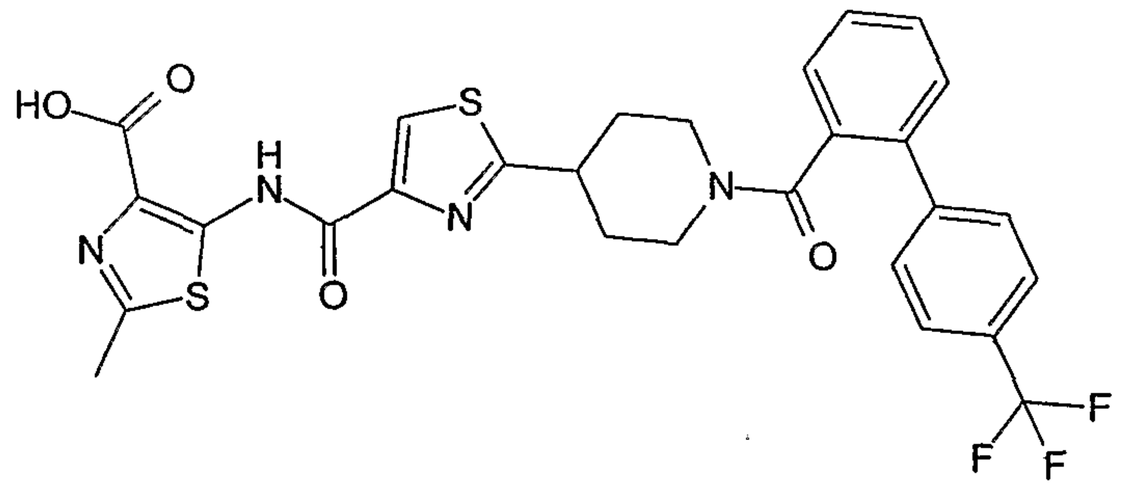
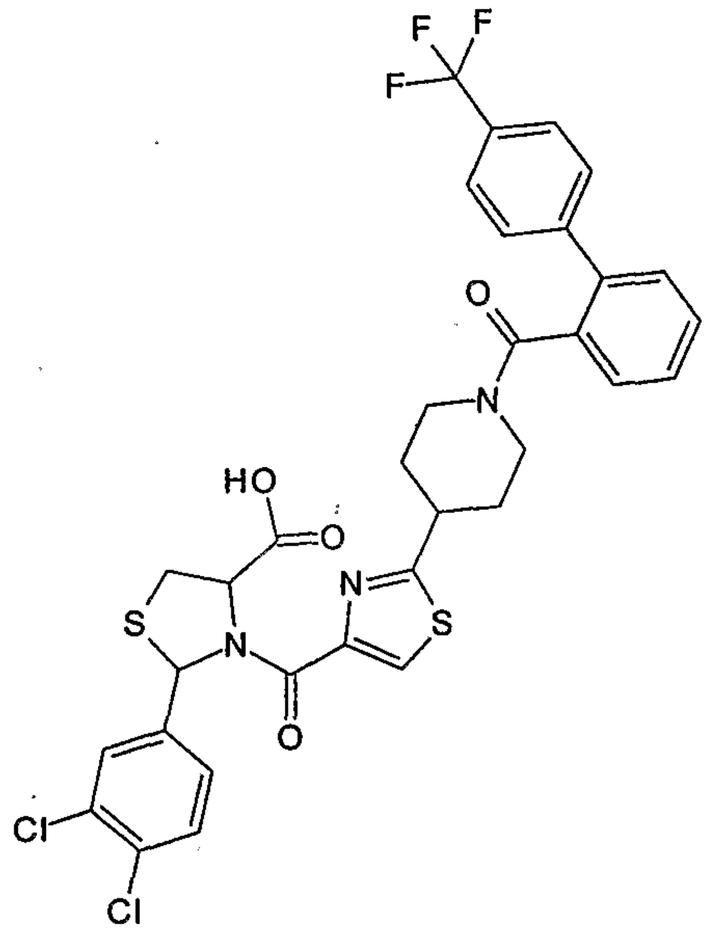
No.	FORMULA	NMR or mass
254	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}piperidine-2-carboxylic acid	
255	 S-methyl-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}cysteine	

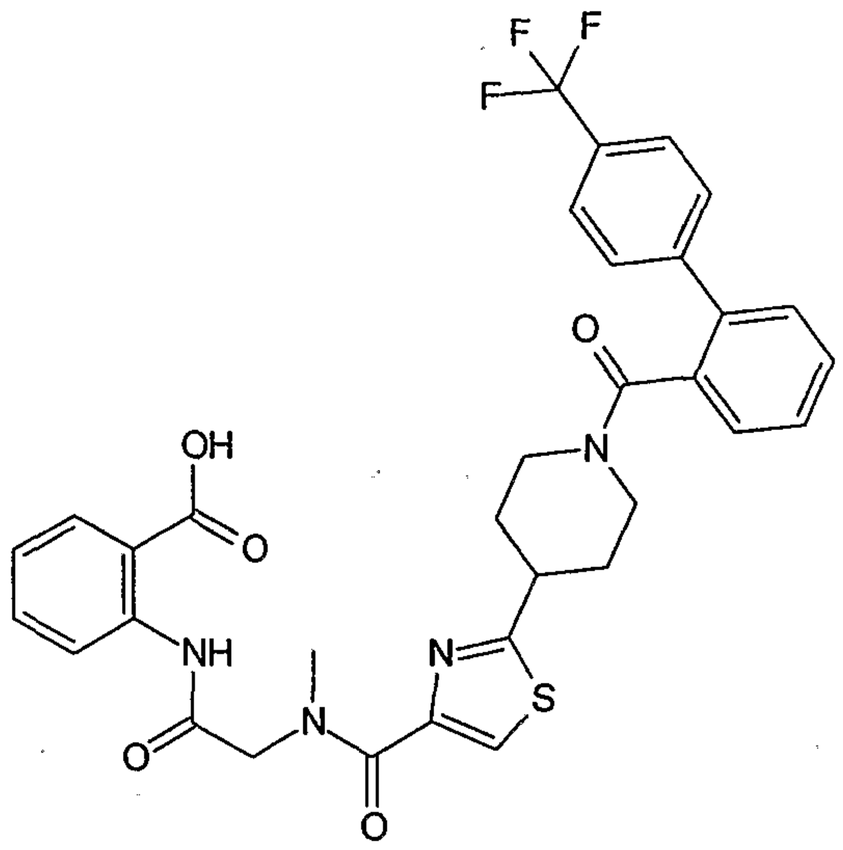
No.	FORMULA	NMR or mass
256		
257	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-pyrazole-4-carboxylic acid	
258	 1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-indazole-3-carboxylic acid	

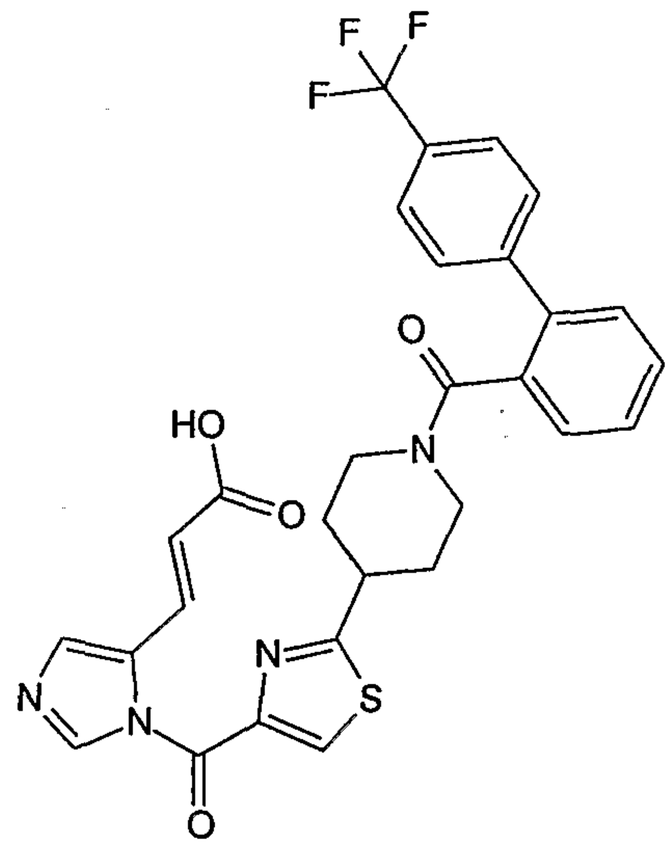
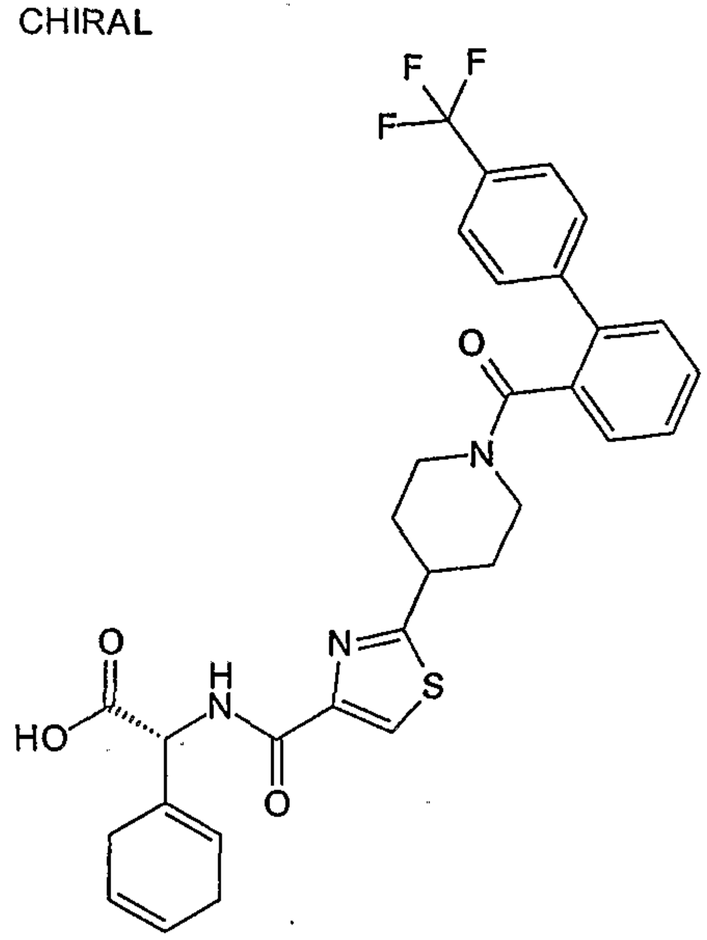
No.	FORMULA	NMR or mass
259	 N-[(7-hydroxy-4-methyl-2-oxo-2H-chromen-8-yl)methyl]-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]-carbonyl}glycine	
260		

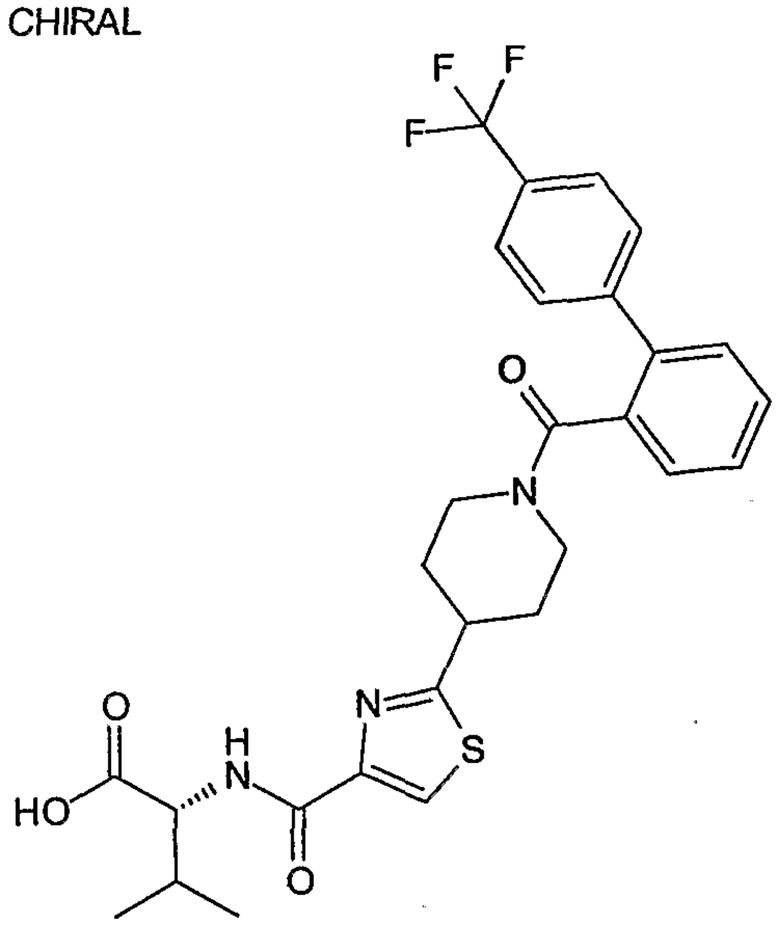
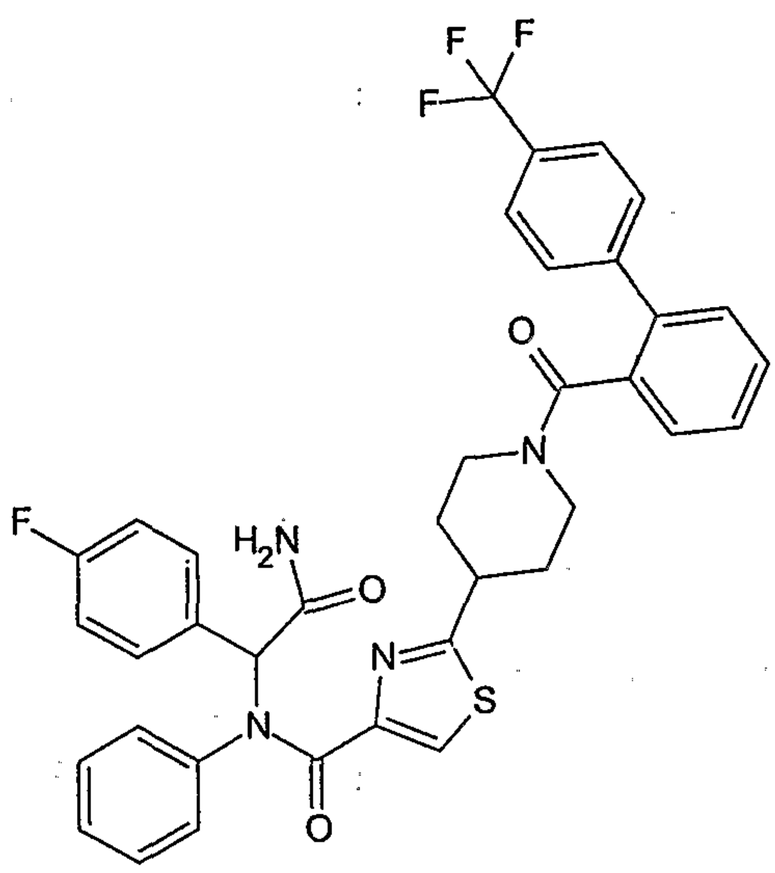
No.	FORMULA	NMR or mass
261	 {2-[(benzyl{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)methyl]-6-methoxyphenoxy}acetic acid	
262	 {4-[2-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)ethyl]phenoxy}acetic acid	

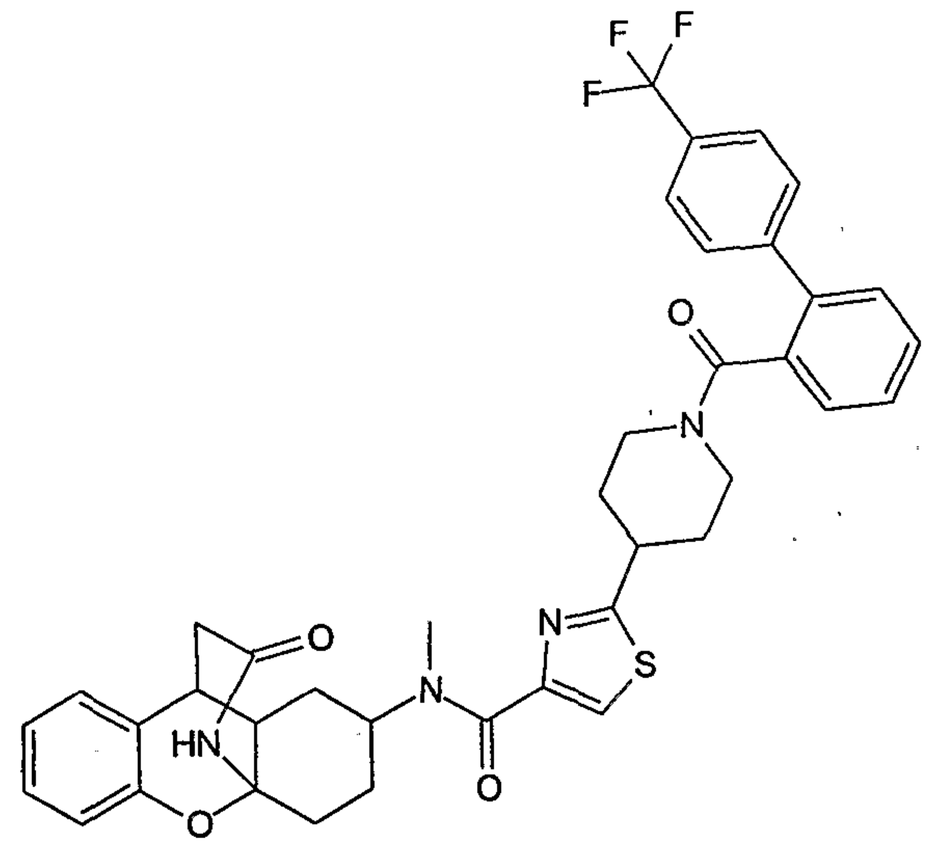
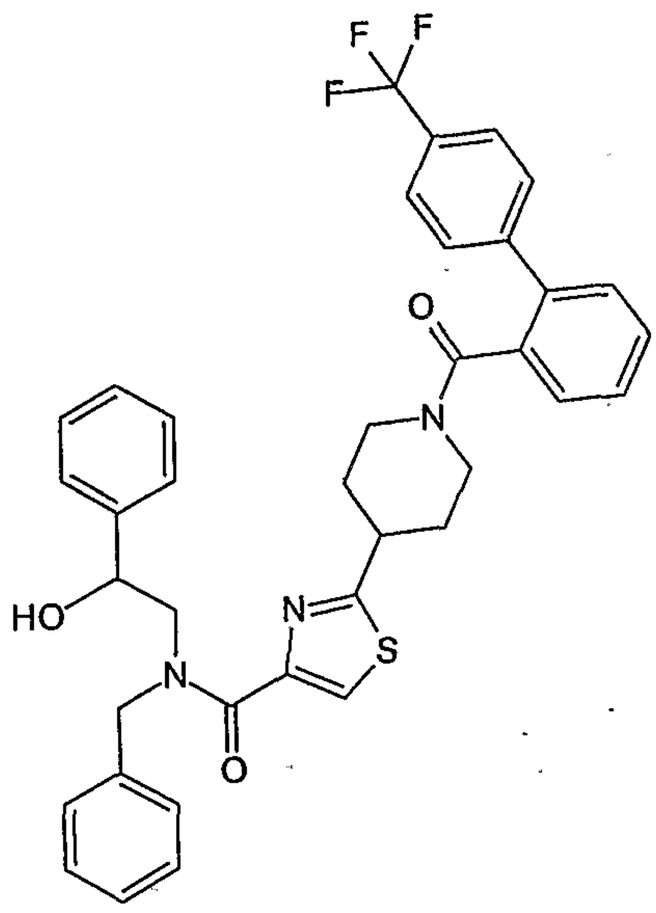
No.	FORMULA	NMR or mass
263	 2-[4-({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)phenyl]propanoic acid	
264	 (3R)-8-methyl-4-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1-thia-4,8-diazaspiro[4.5]decane-3-carboxylic acid	

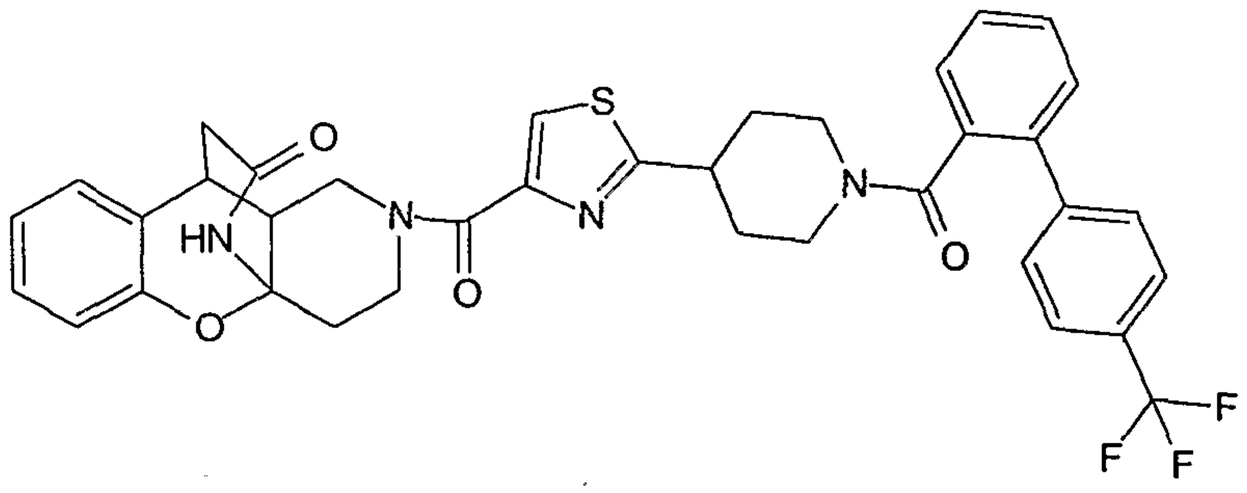
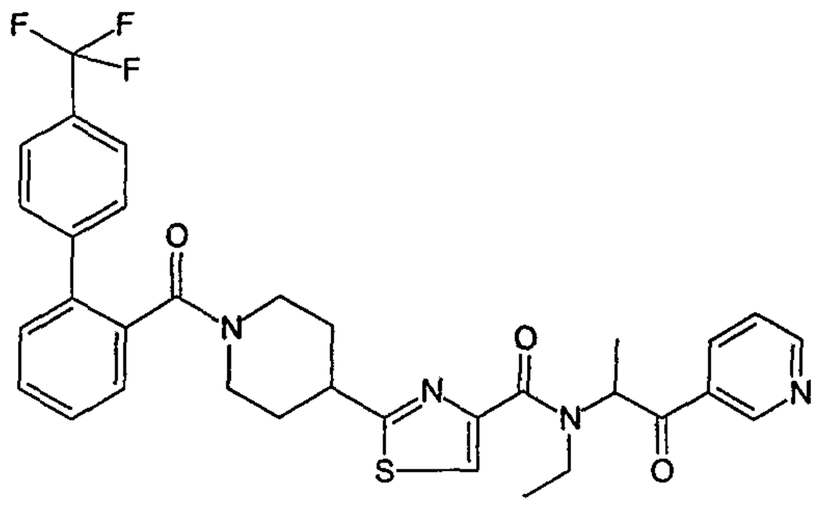
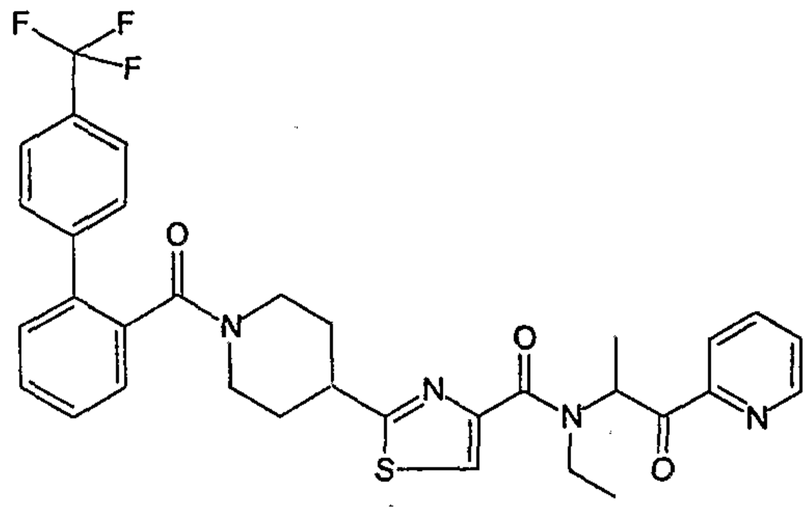
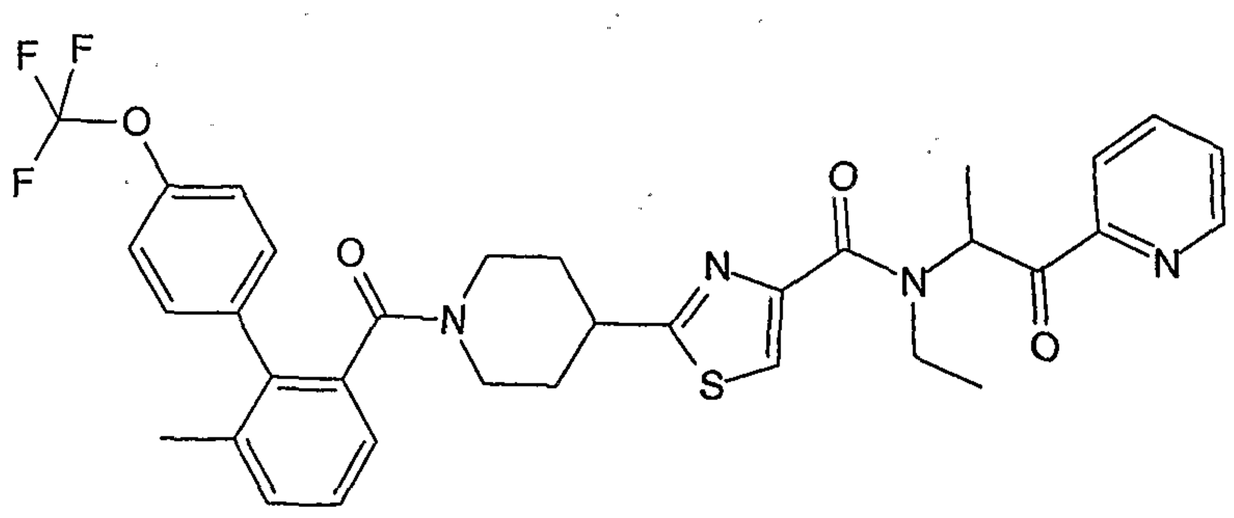
No.	FORMULA	NMR or mass
265		
266	 2-(3,4-dichlorophenyl)-3-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}-piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,3-thiazolidine-4-carboxylic acid	

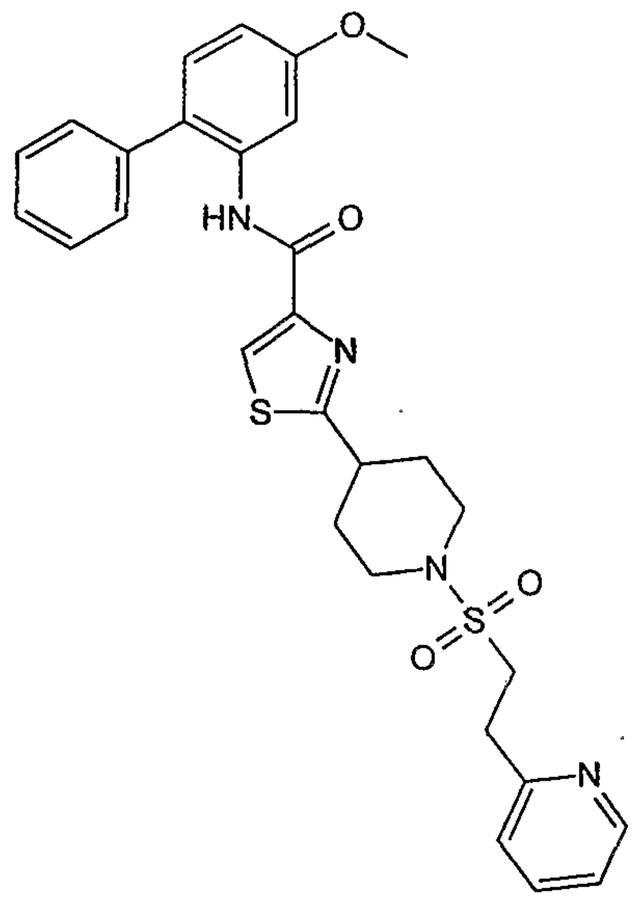
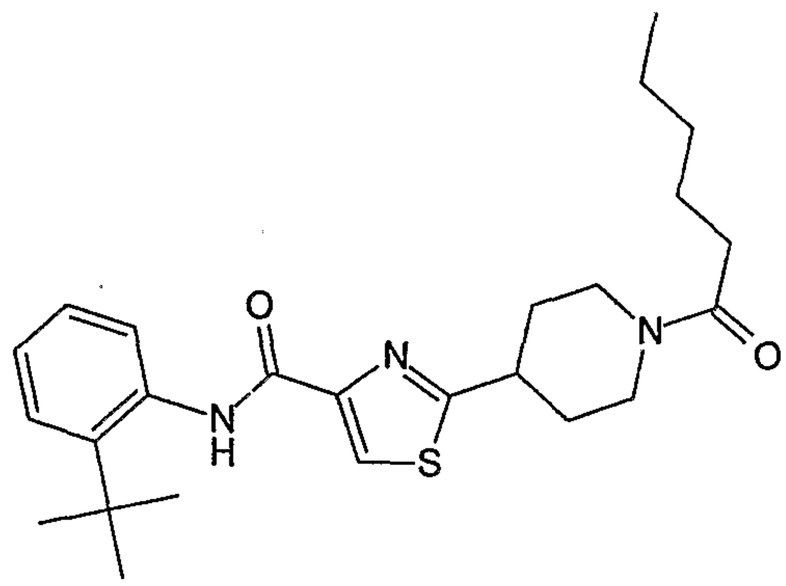
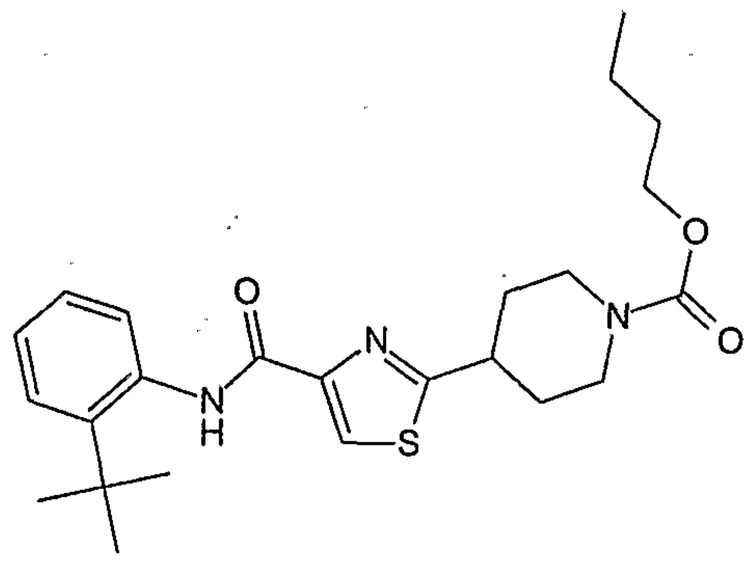
No.	FORMULA	NMR or mass
267	 2-[(N-methyl-N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}glycyl)amino]benzoic acid	
268	 (4R)-5,5-dimethyl-2-pyridin-3-yl-3-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1,3-thiazolidine-4-carboxylic acid	

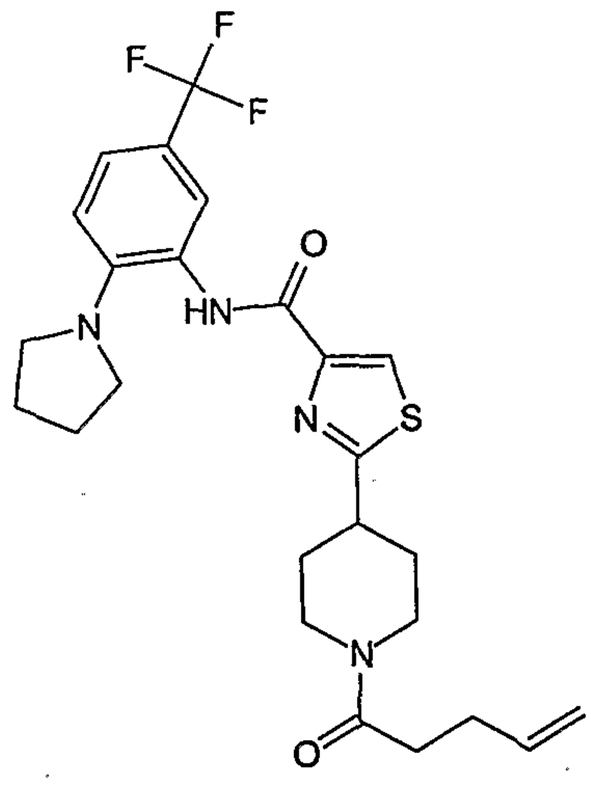
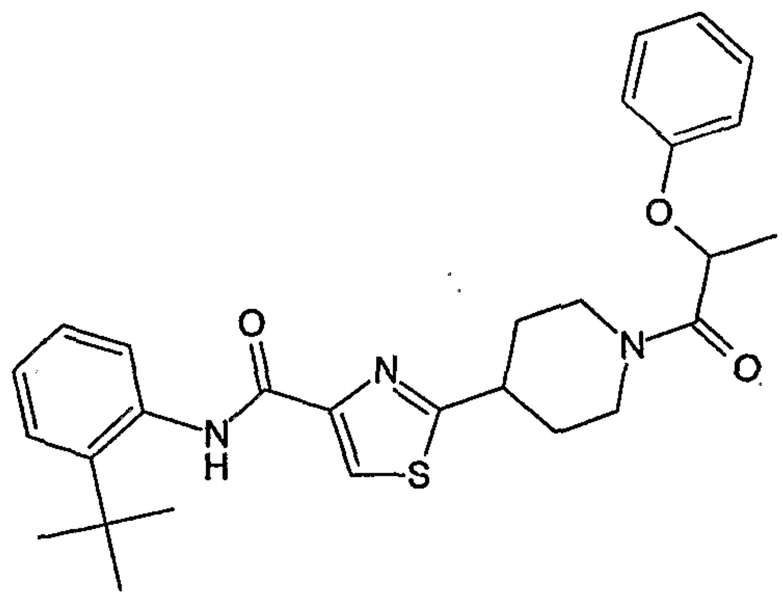
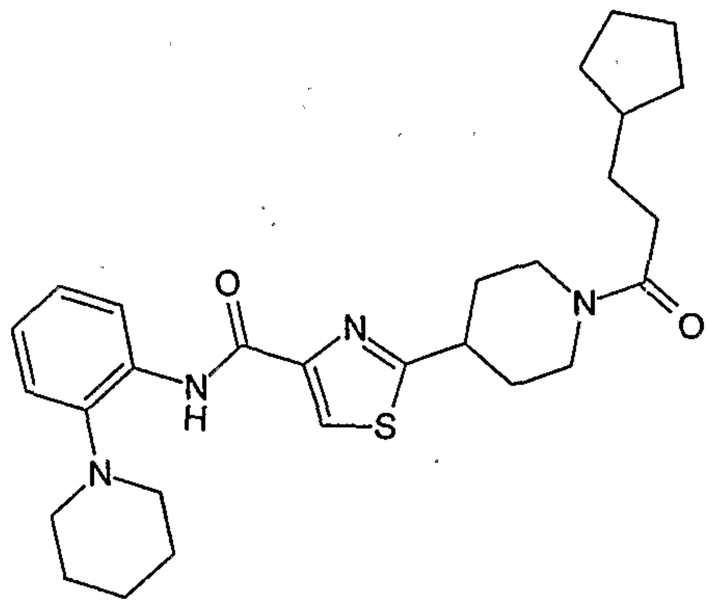
No.	FORMULA	NMR or mass
269	 (2E)-3-(1-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-1H-imidazol-5-yl)acrylic acid	
270	CHIRAL  (2R)-cyclohexa-1,4-dien-1-yl({[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]-carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}amino)acetic acid	

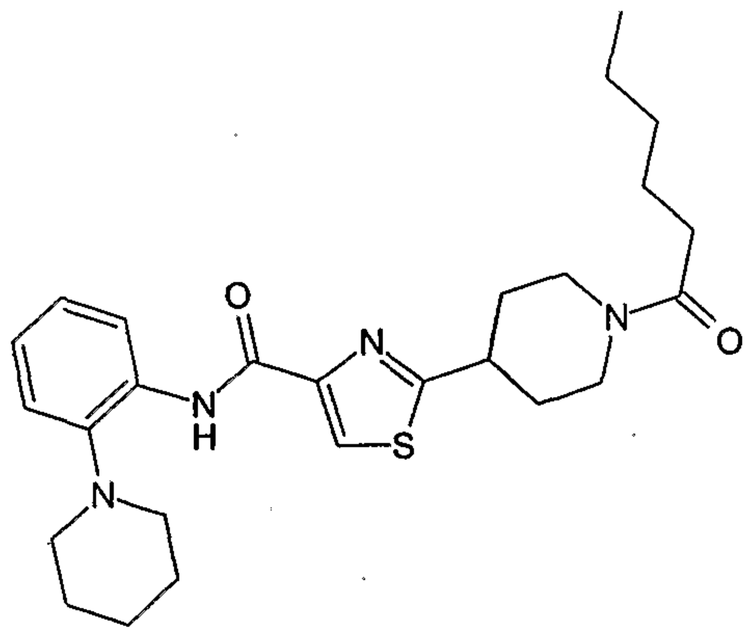
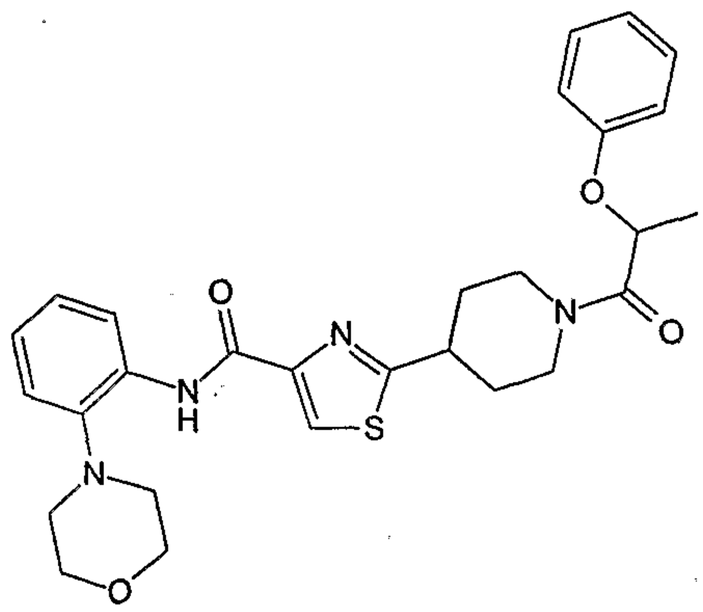
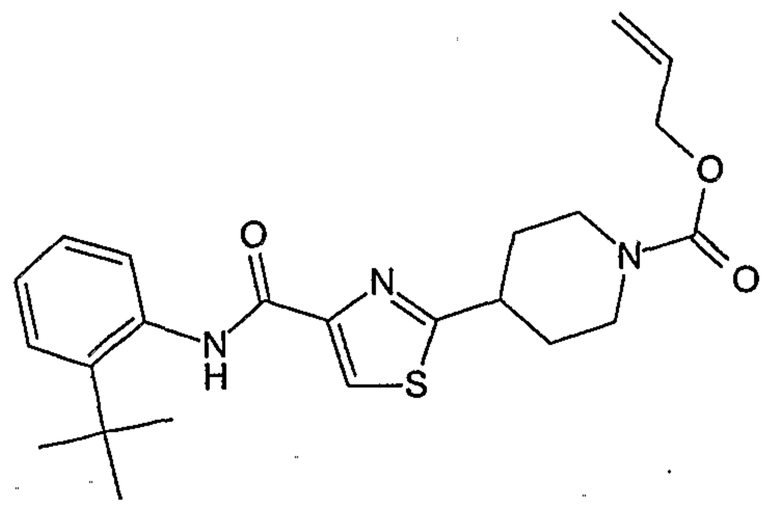
No.	FORMULA	NMR or mass
271	CHIRAL  N-{[2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazol-4-yl]carbonyl}-D-valine	
272	 N-[2-amino-1-(4-fluorophenyl)-2-oxoethyl]-N-phenyl-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

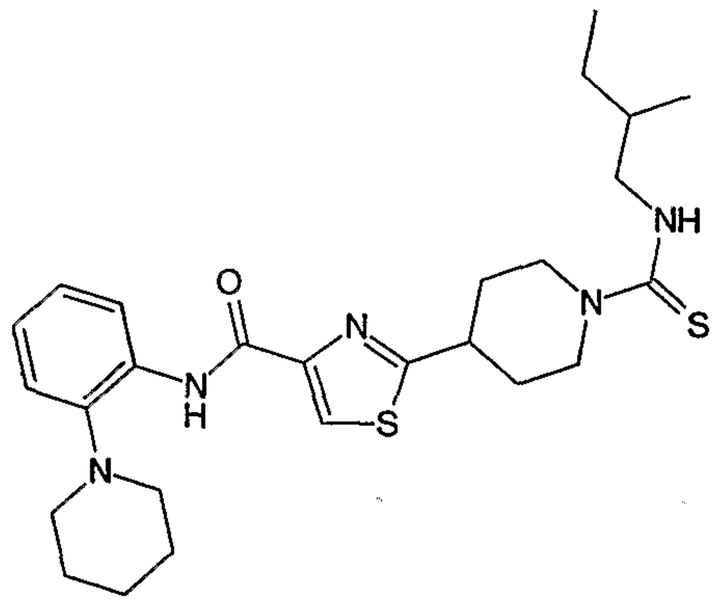
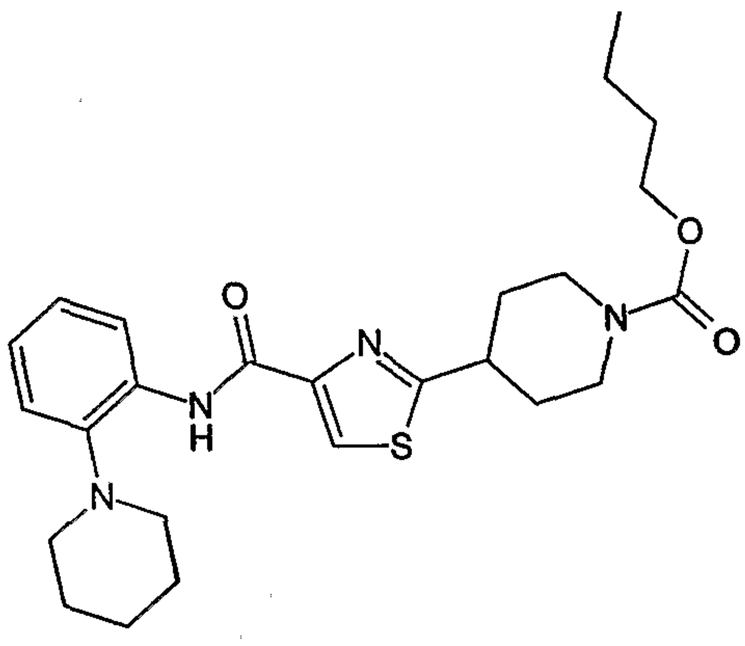
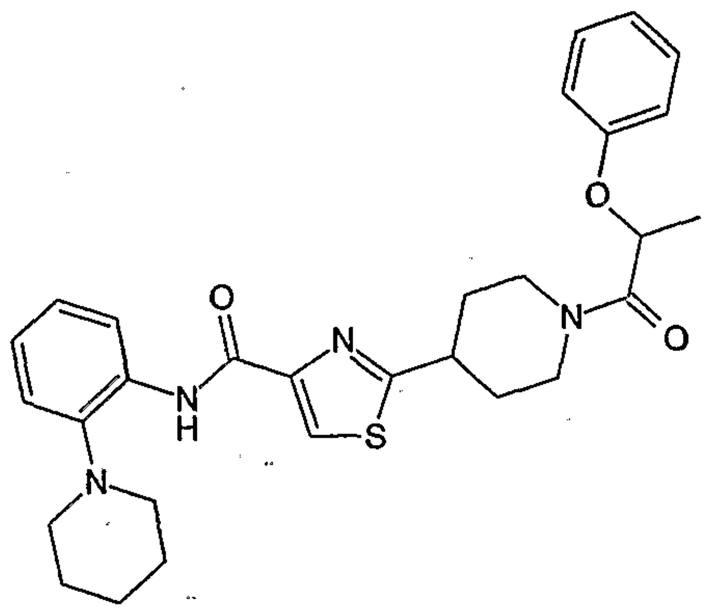
No.	FORMULA	NMR or mass
273	 N-methyl-N-[12-oxo-1,2,3,4,9,9a-hexahydro-4a,9-(epiminoethano)xanthen-2-yl]-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
274	 N-benzyl-N-(2-hydroxy-2-phenylethyl)-2-(1-{[4'-(trifluoromethyl)biphenyl-2-yl]carbonyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

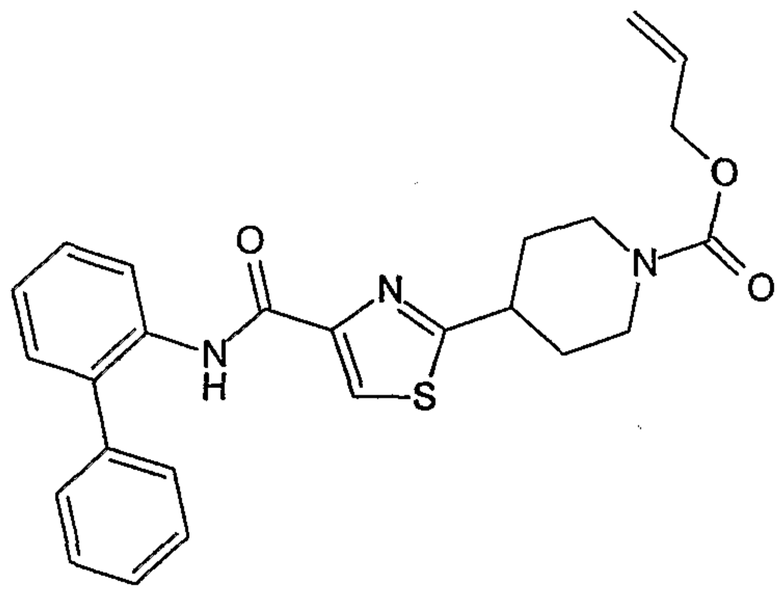
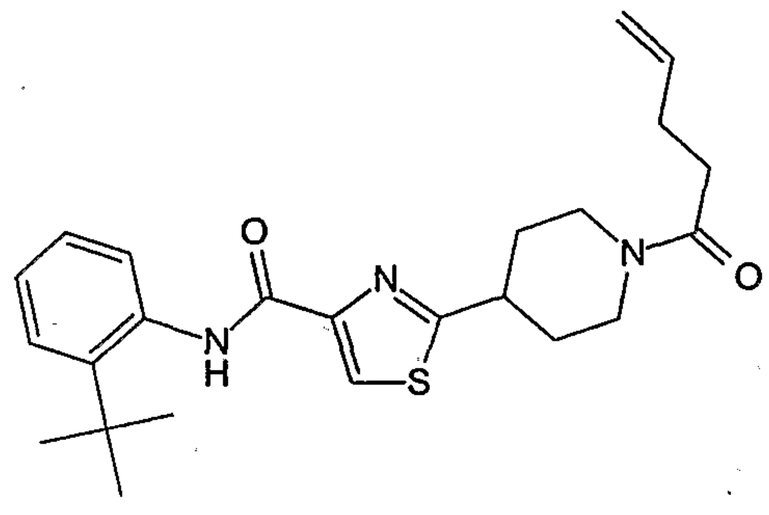
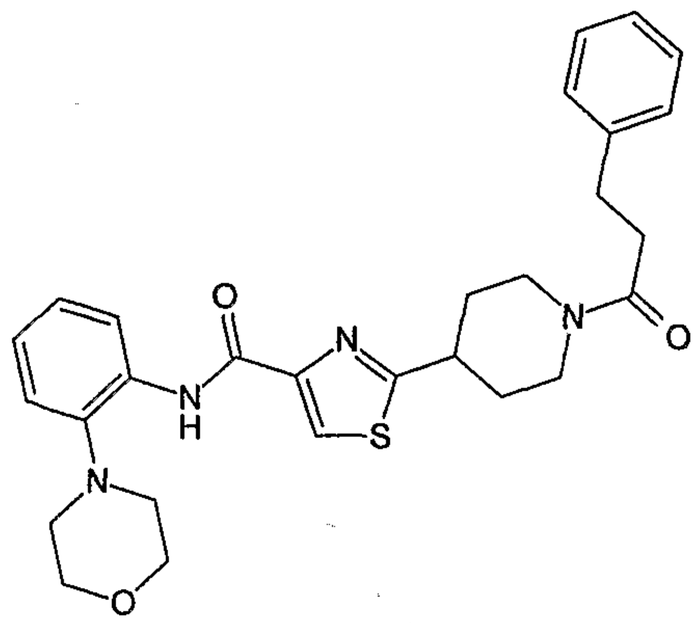
No.	FORMULA	NMR or mass
275		
276		
277		(CDCl3) : 1.27-1.21 (16H, m) ; 1.27-1.26 (1H, m) ; 1.24-1.26 (1H, m) ; 1.21-1.27 (13H, m).
278		(CDCl3) : 1.23-1.29 (19H, m) ; 1.28-1.27 (1H, m) ; 1.27-1.27 (1H, m) ; 1.20-1.25 (12H, m).

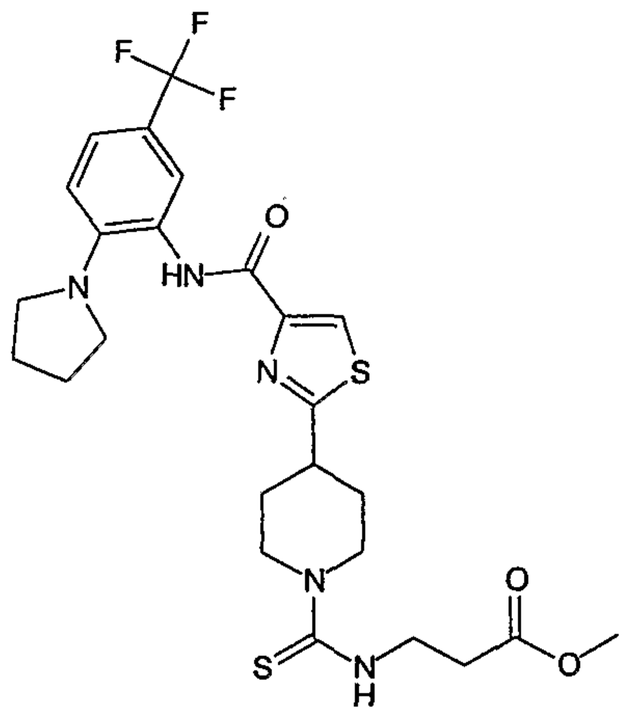
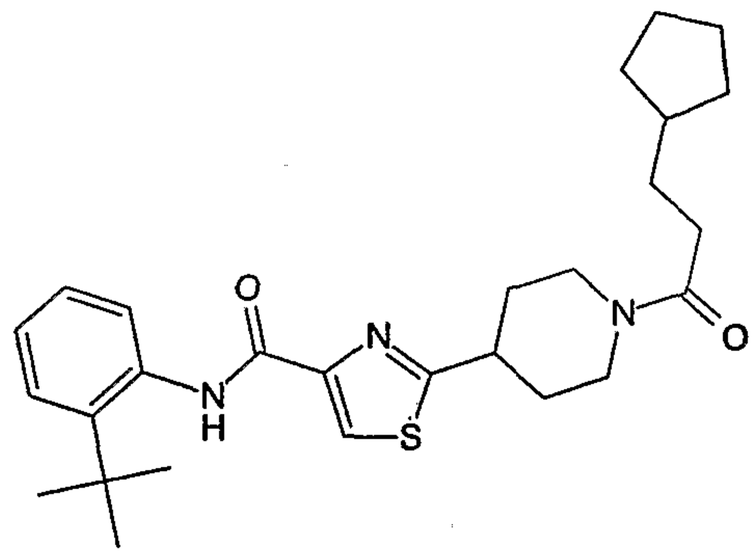
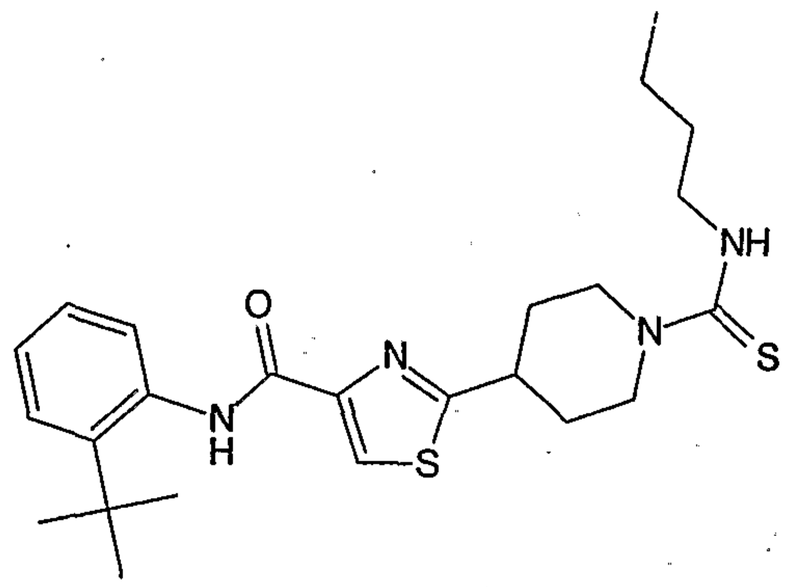
No.	FORMULA	NMR or mass
279	 N-(4-methoxybiphenyl-2-yl)-2-{1-[(2-pyridin-2-ylethyl)sulfonyl]piperidin-4-yl}-1,3-thiazole-4-carboxamide	
280		
281		

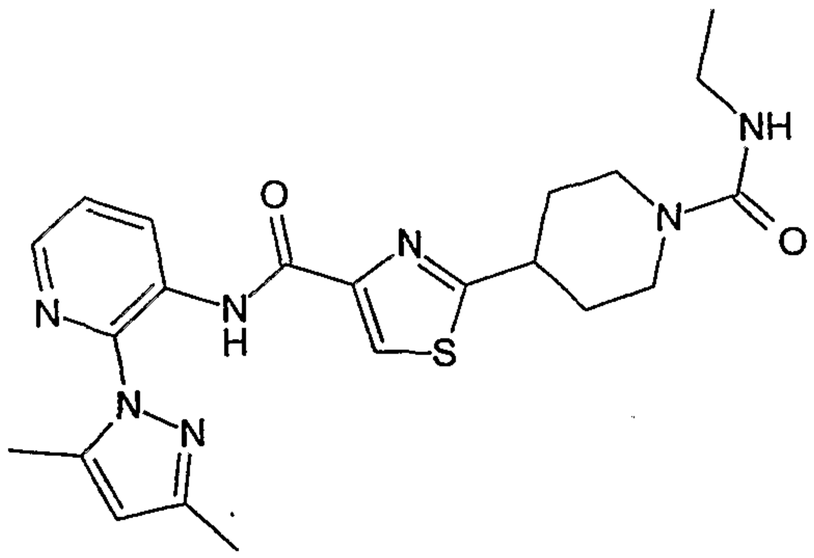
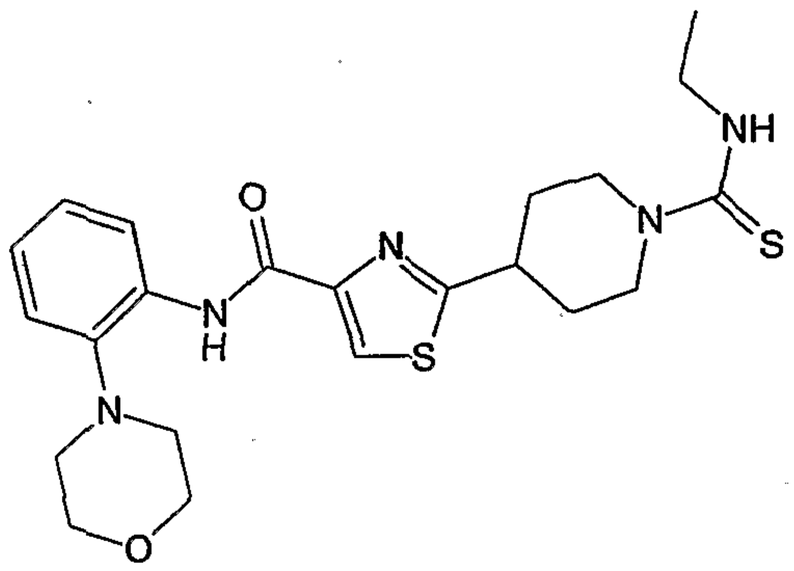
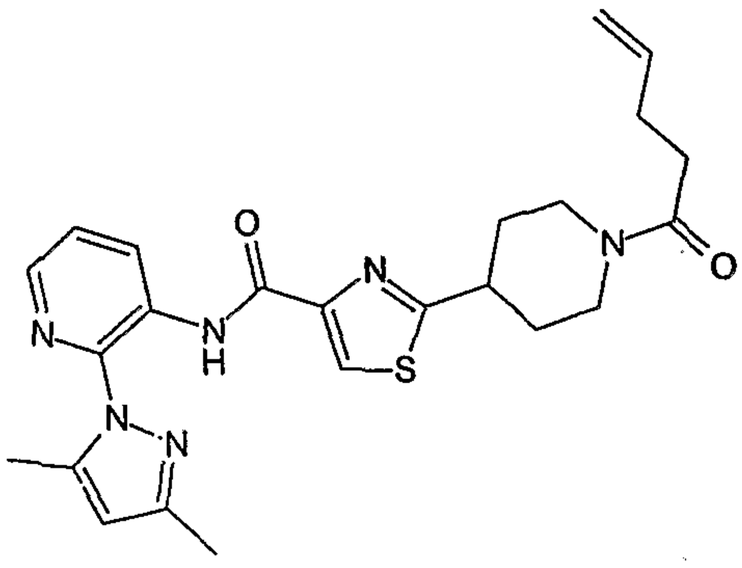
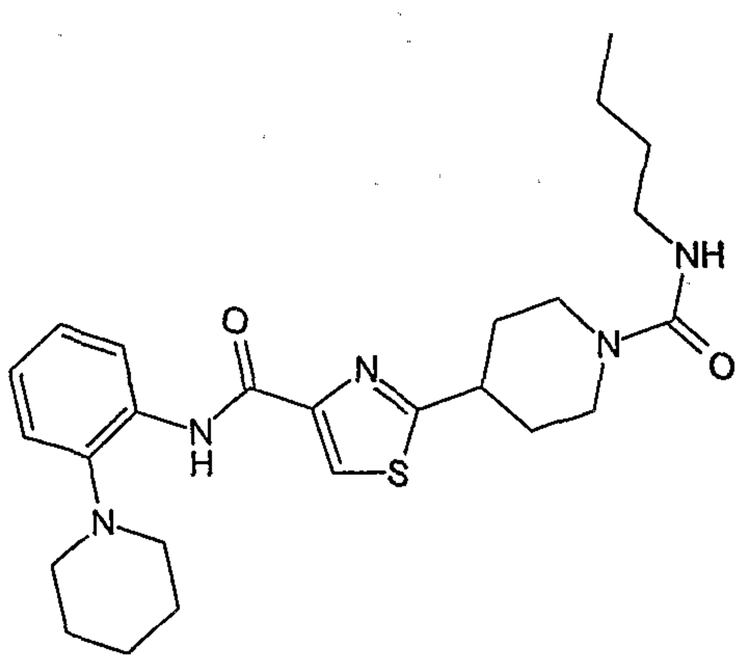
No.	FORMULA	NMR or mass
282	 2-(1-pent-4-enoylpiperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)-phenyl]-1,3-thiazole-4-carboxamide	
283		
284		

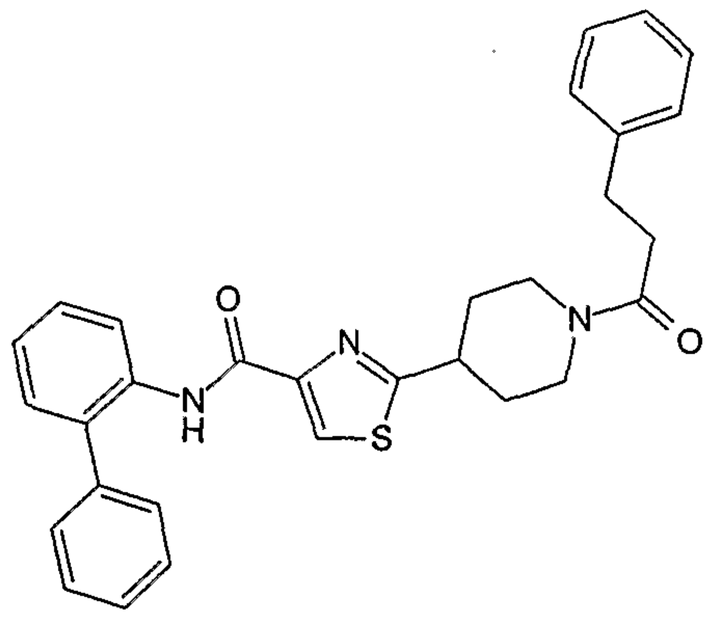
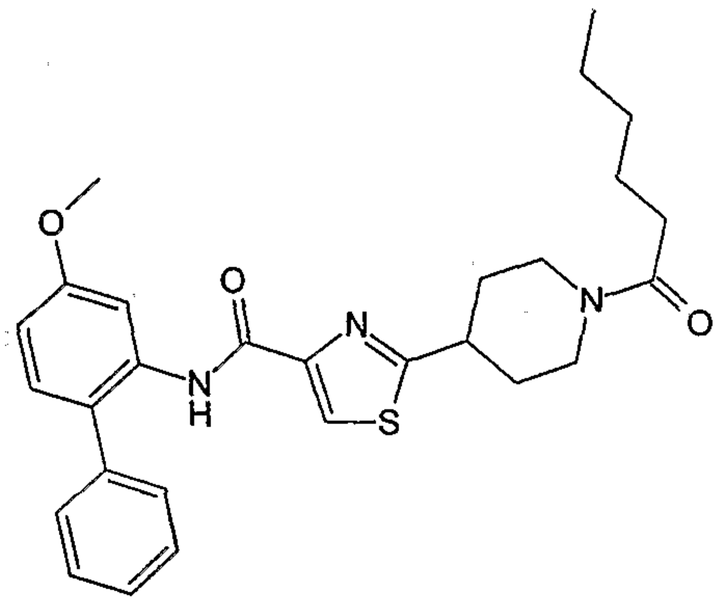
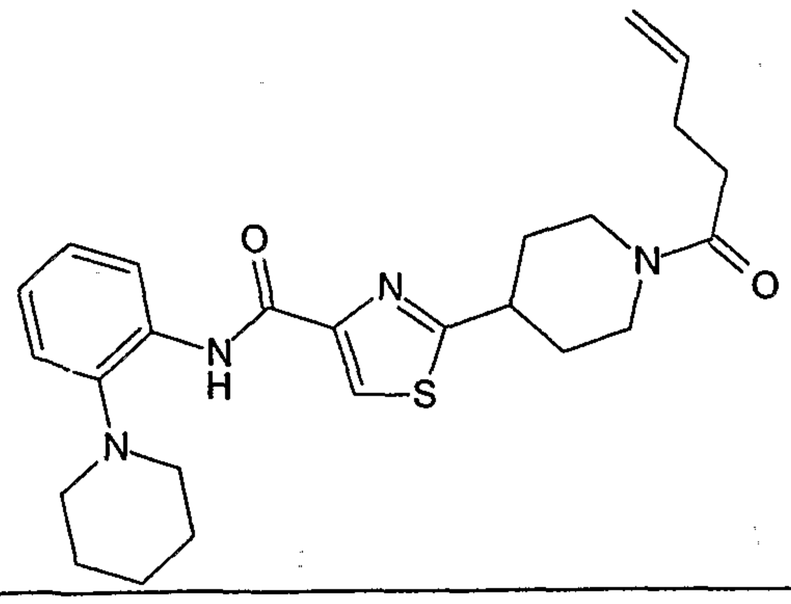
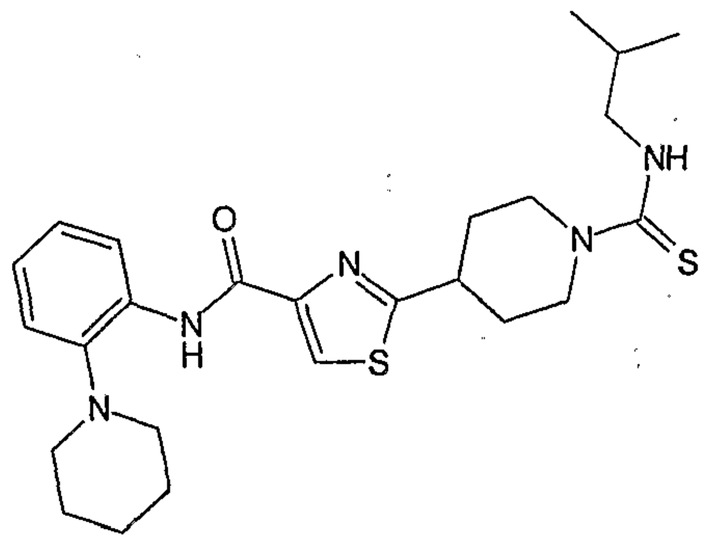
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286		
287		

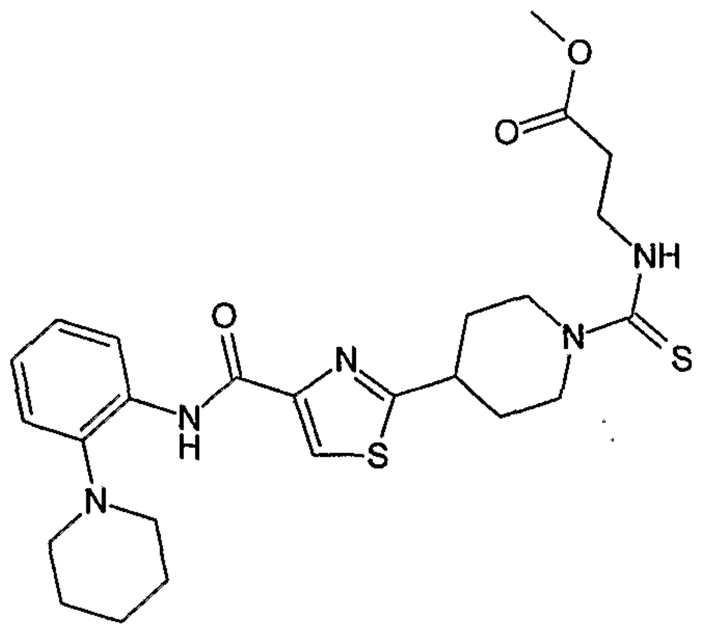
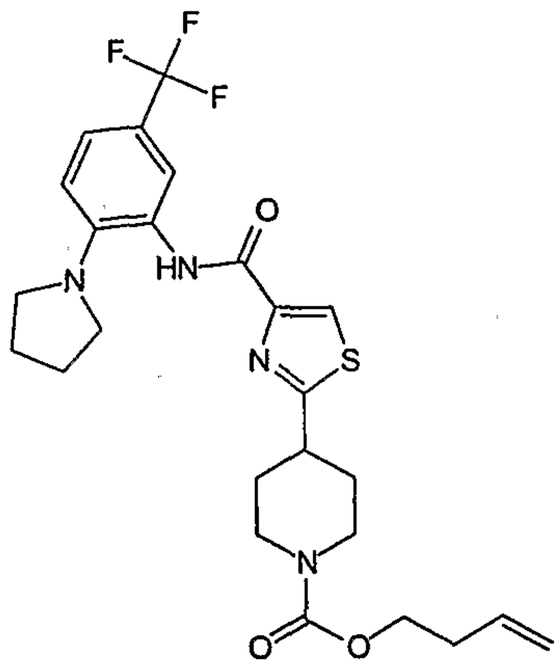
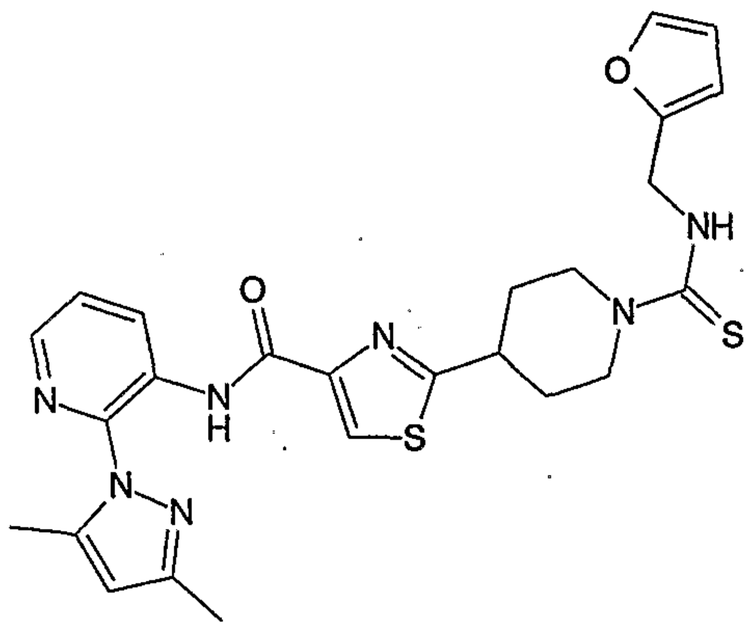
No.	FORMULA	NMR or mass
288		
289		
290		

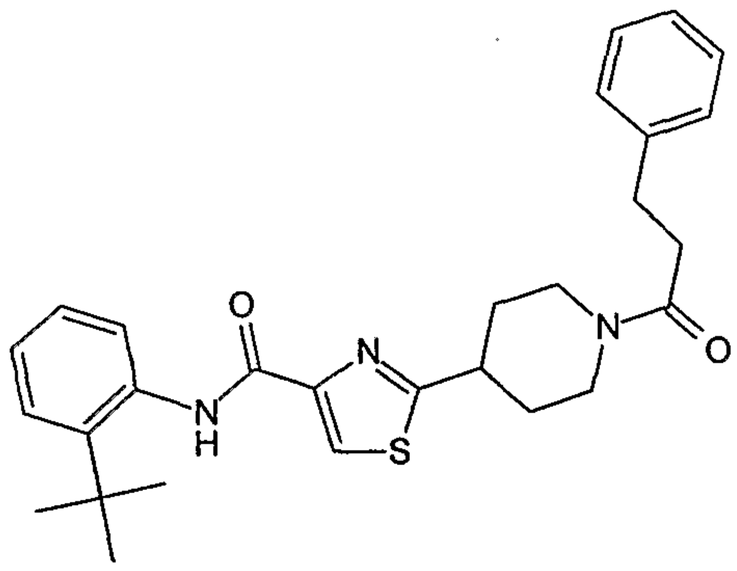
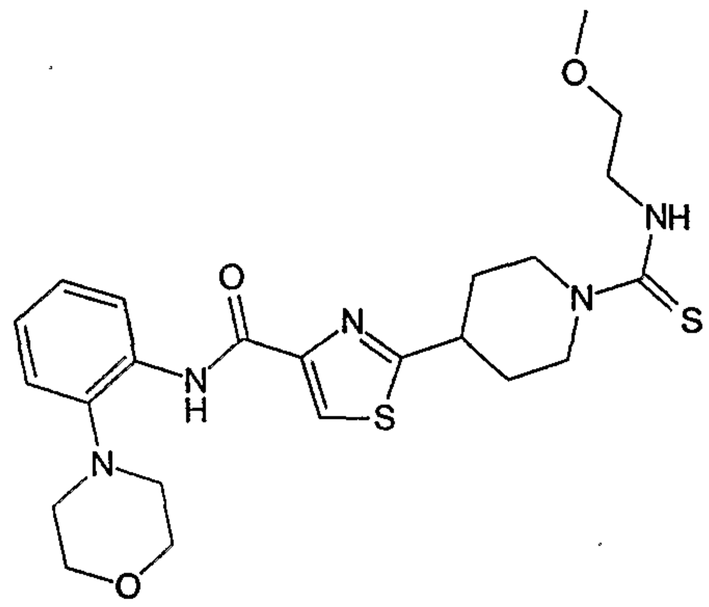
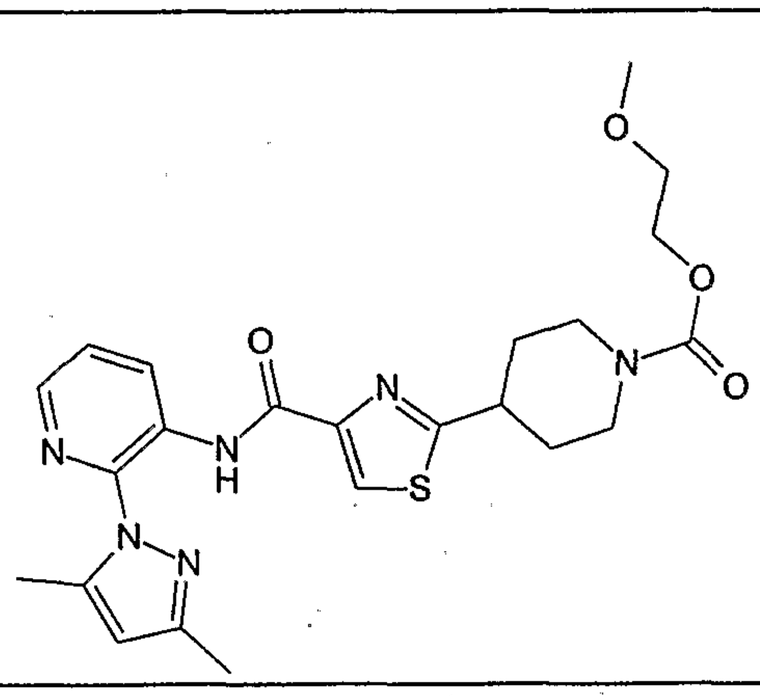
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292		
293		

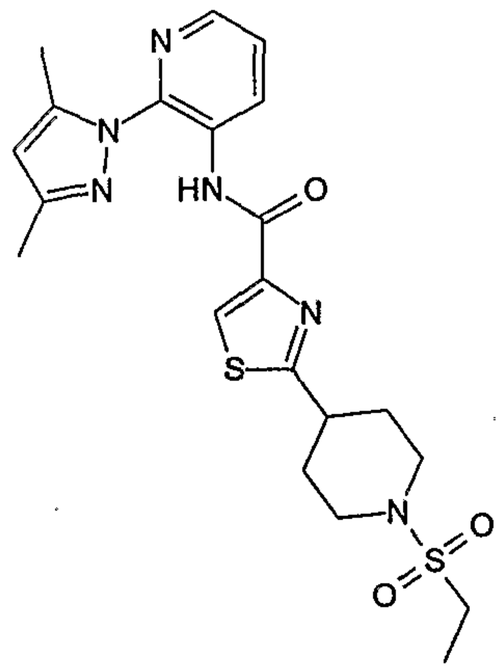
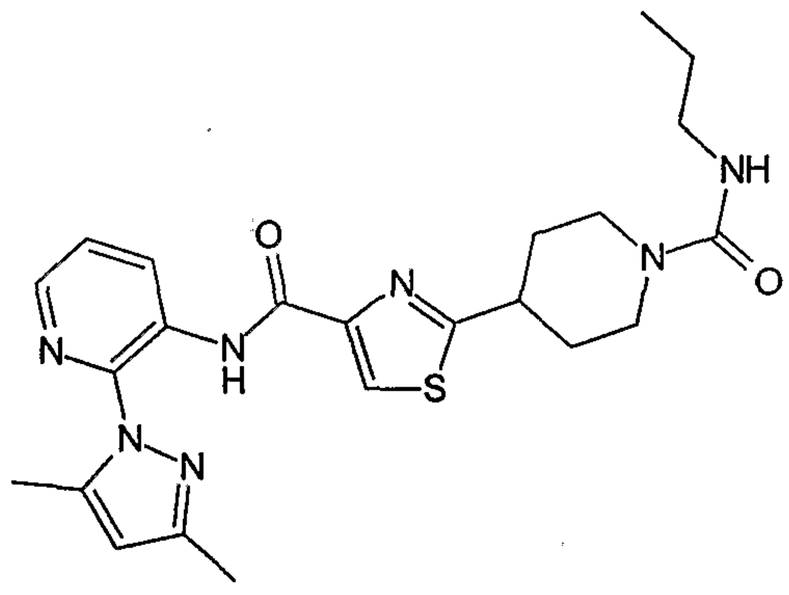
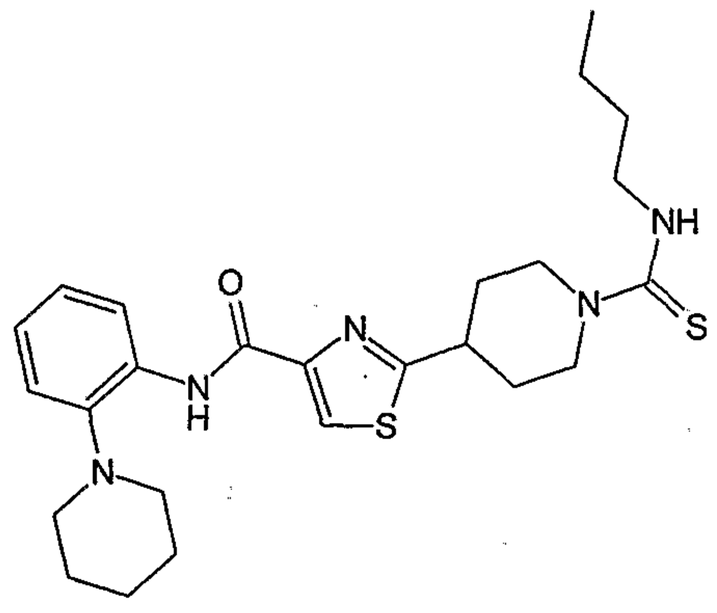
No.	FORMULA	NMR or mass
294	 methyl N-({4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}-carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonothioyl)-beta-alaninate	
295		
296		

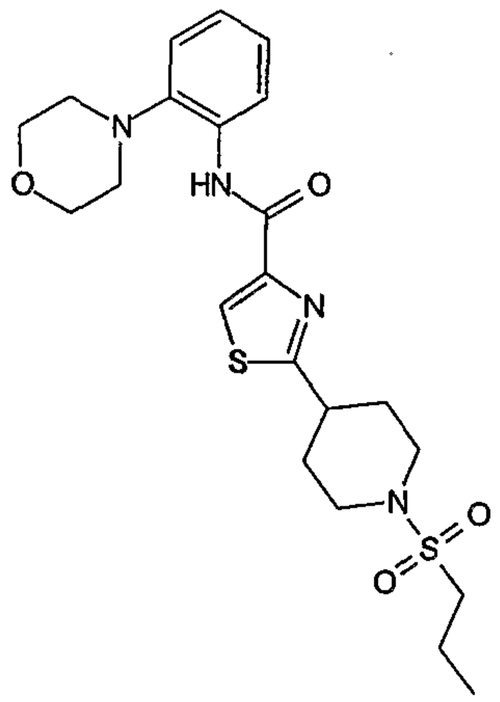
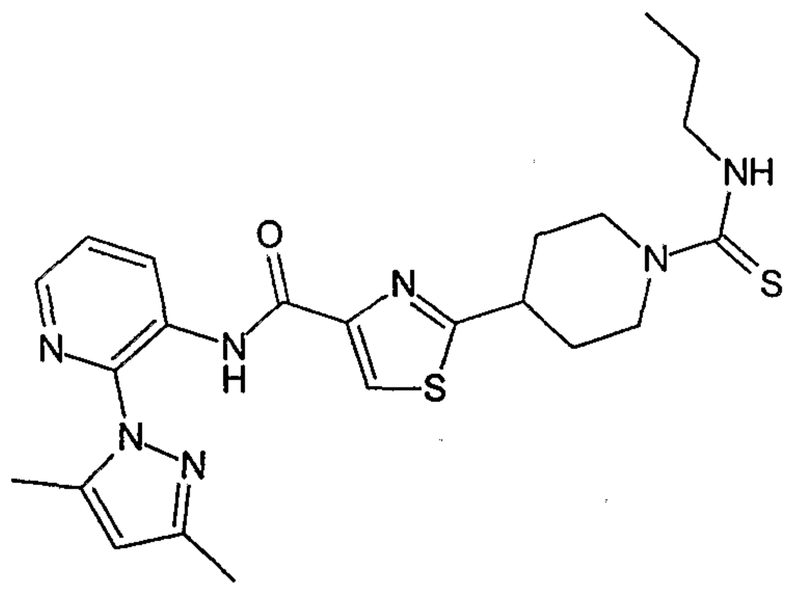
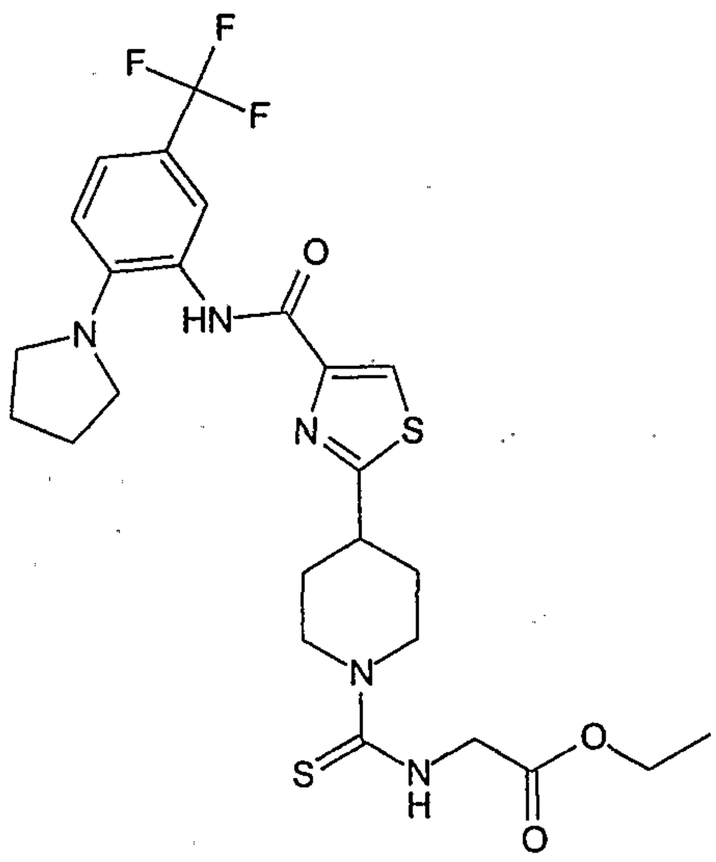
No.	FORMULA	NMR or mass
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298		
299		
300		

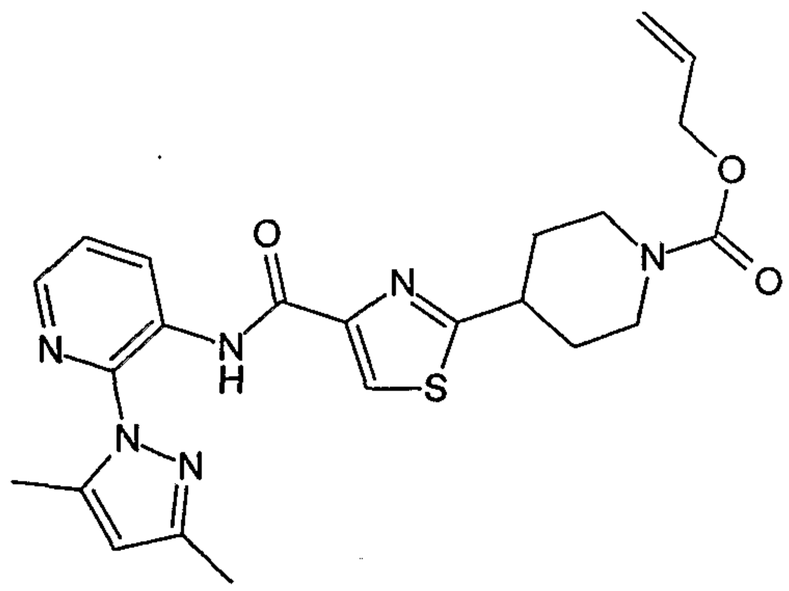
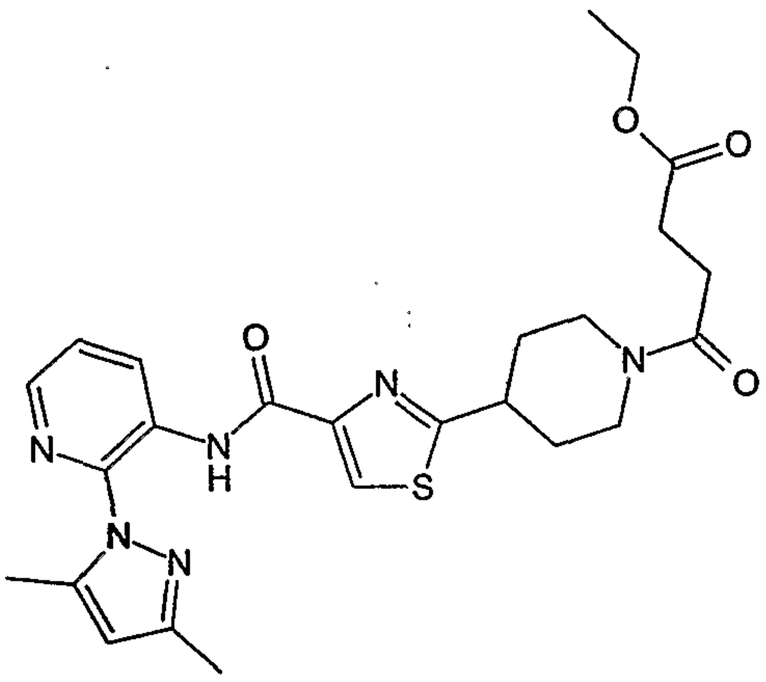
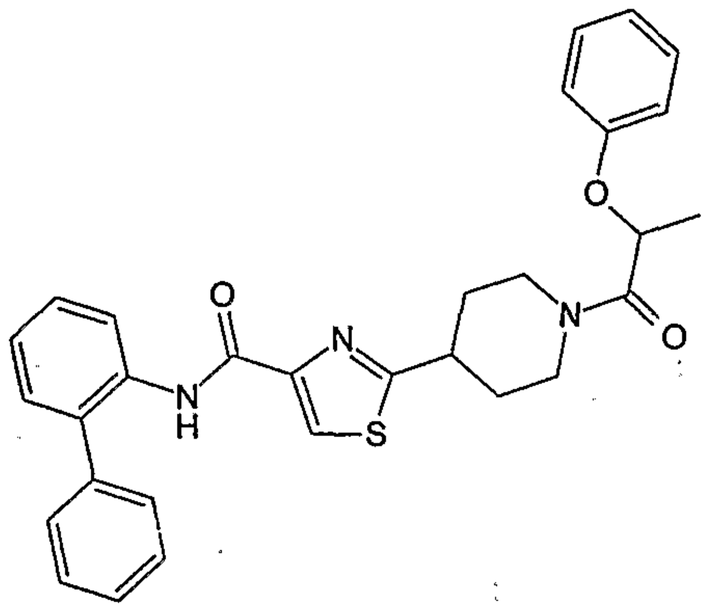
No.	FORMULA	NMR or mass
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302		
303		
304		

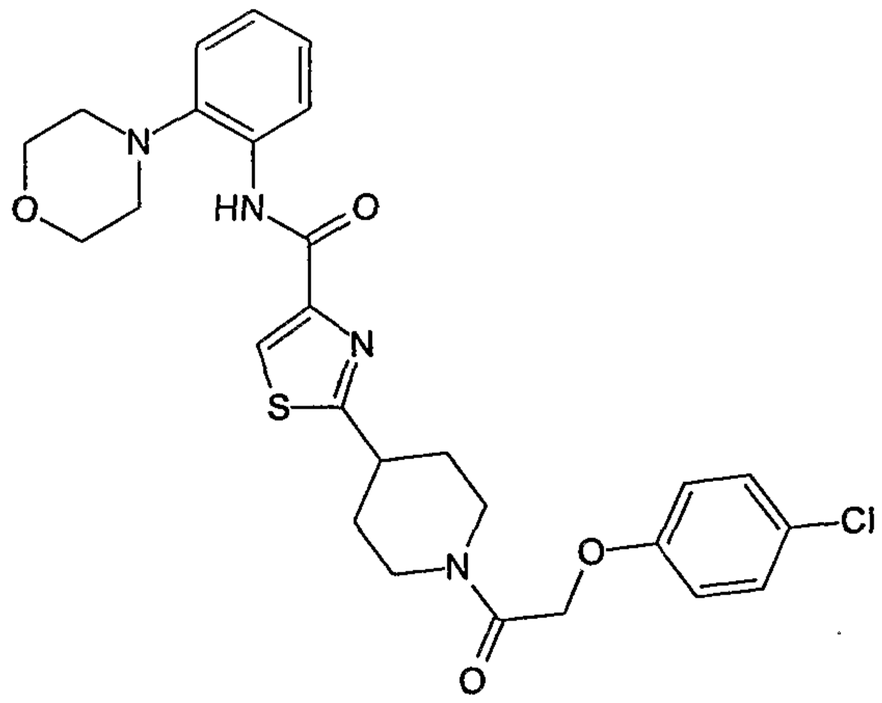
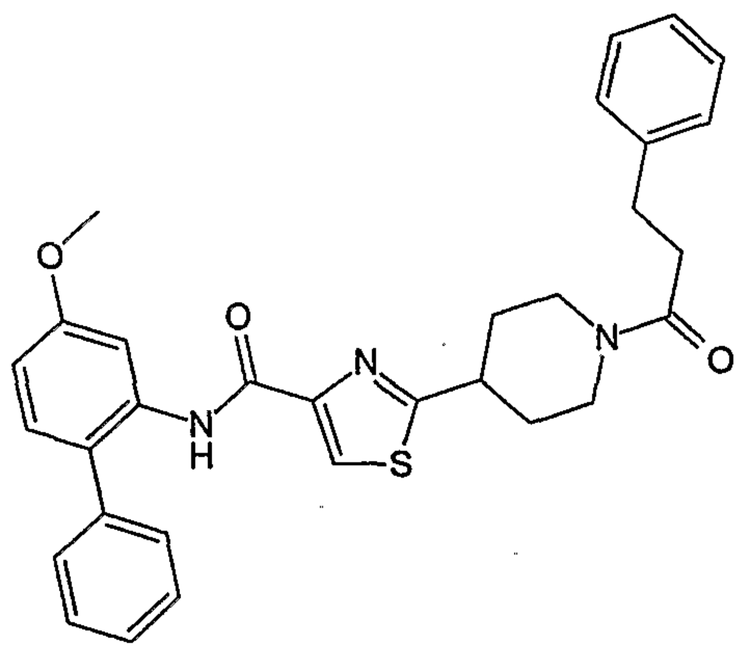
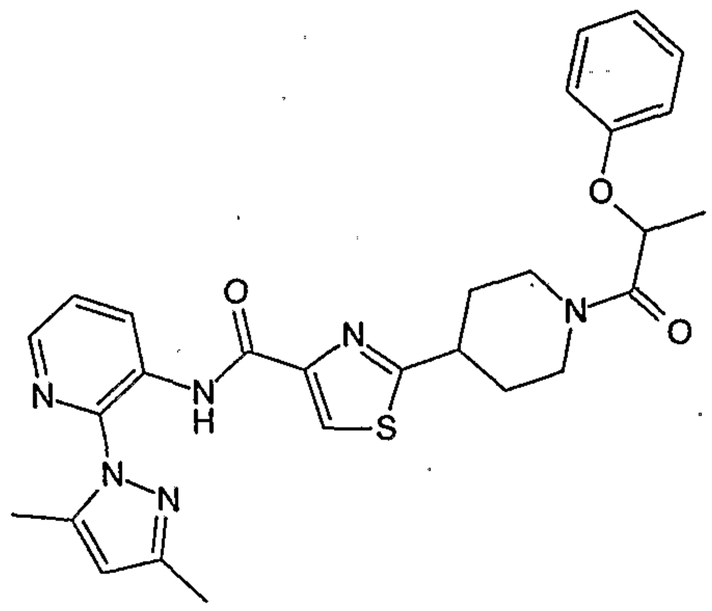
No.	FORMULA	NMR or mass
305		
306	 but-3-en-1-yl 4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)-phenyl]amino}-carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxylate	
307	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-(1-{[(2-furylmethyl)amino]-carbonothioyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	

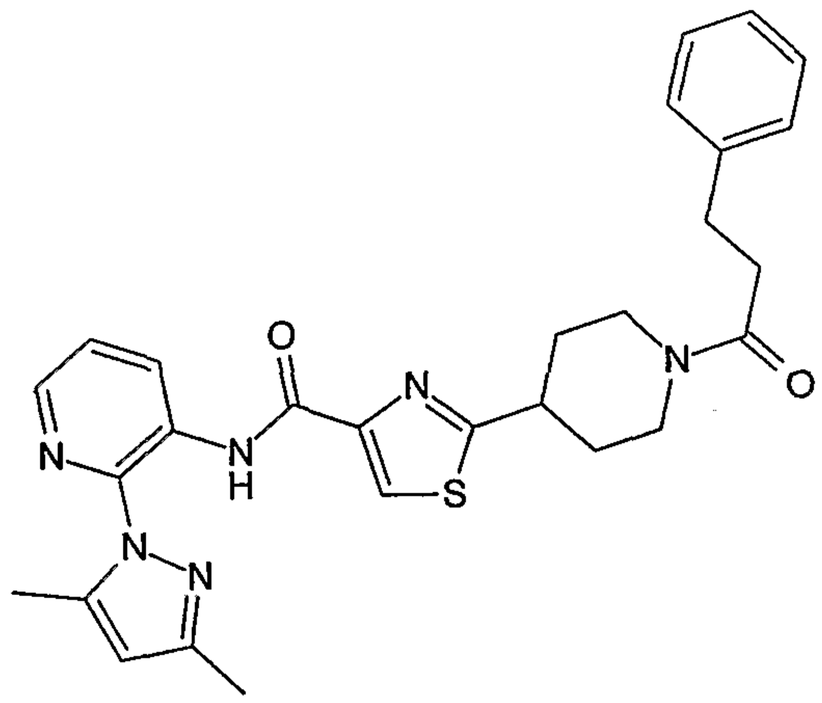
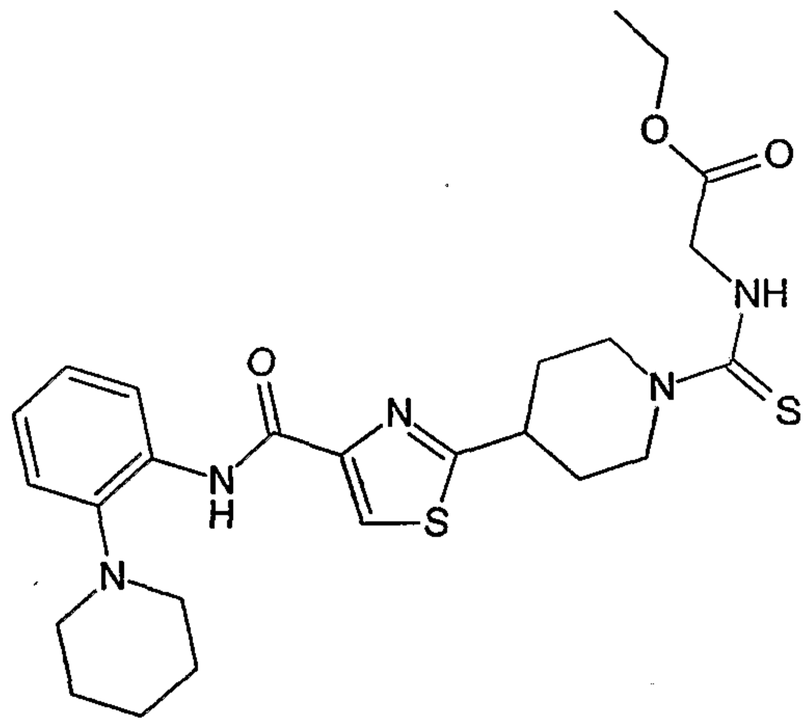
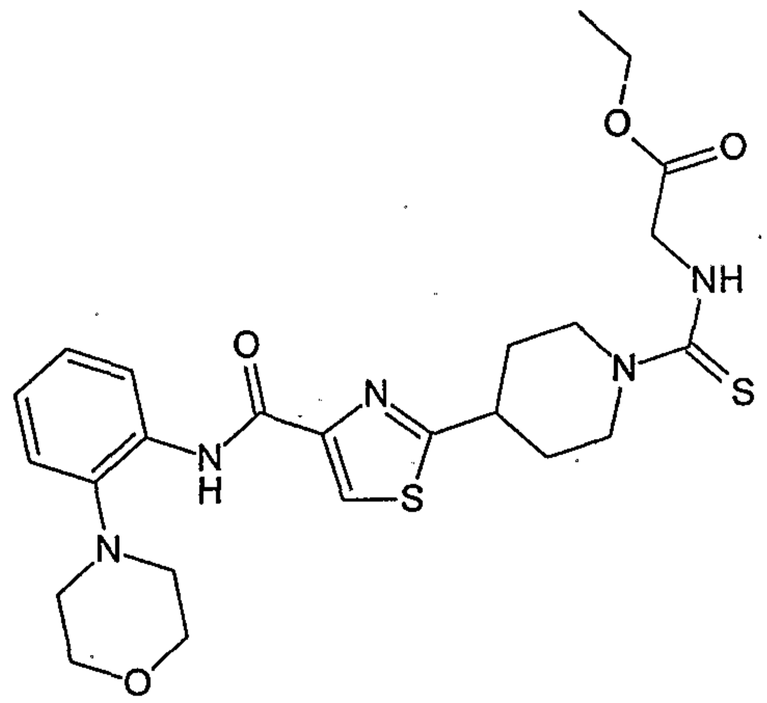
No.	FORMULA	NMR or mass
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311		

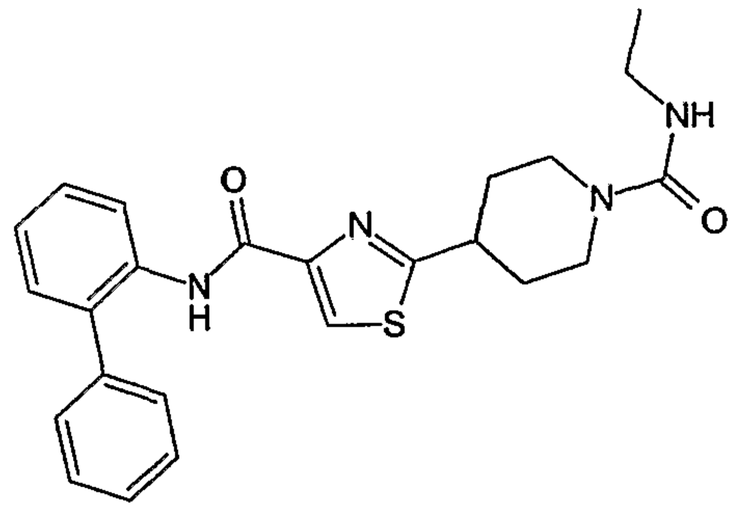
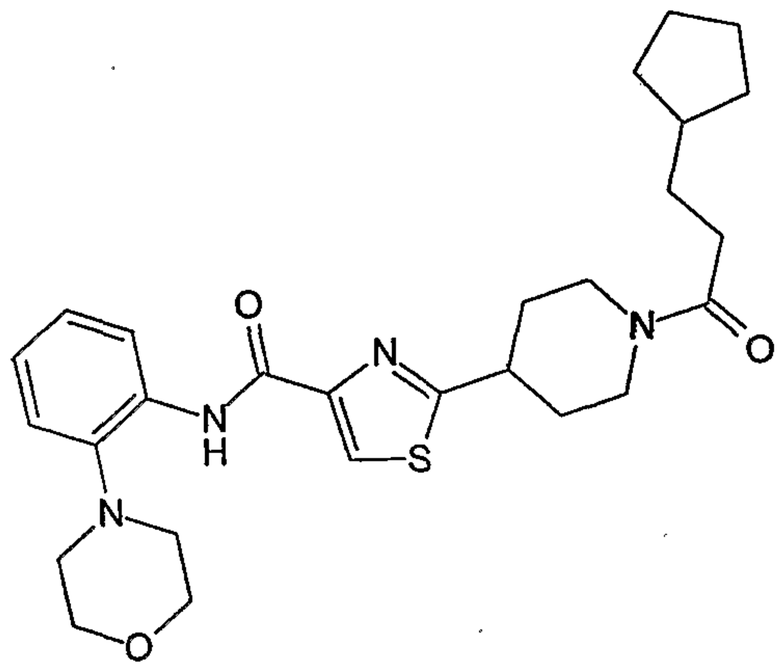
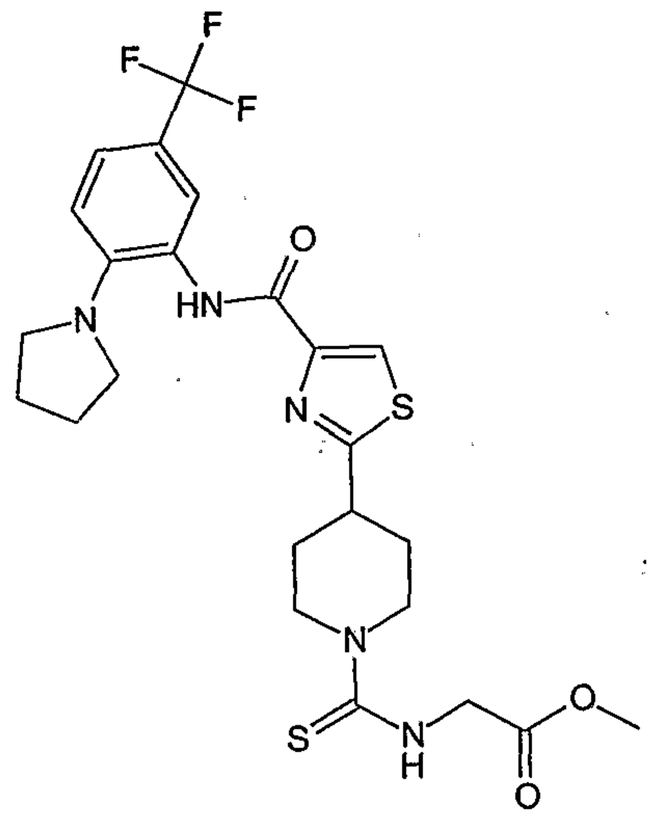
No.	FORMULA	NMR or mass
312	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-[1-(ethylsulfonyl)piperidin-4-yl]-1,3-thiazole-4-carboxamide	
313		
314		

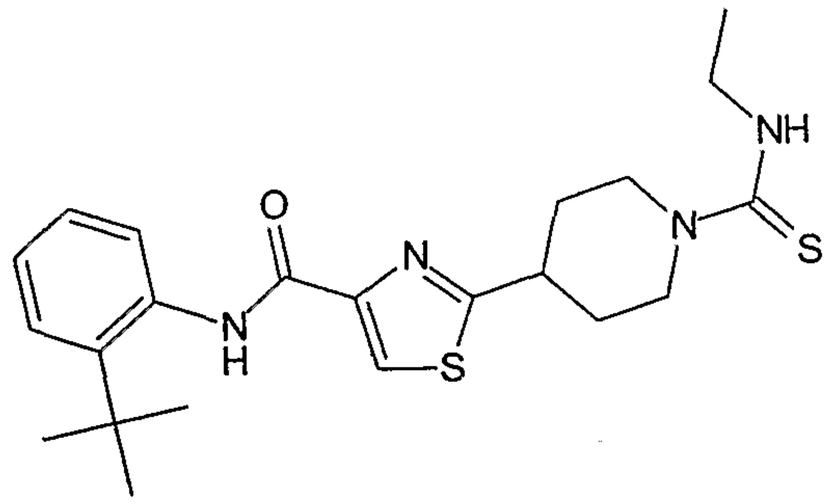
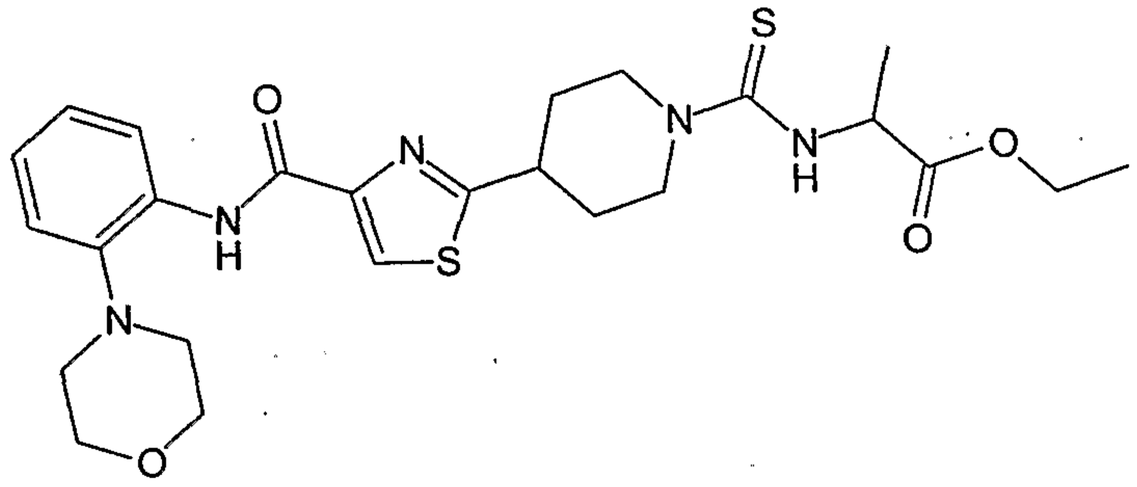
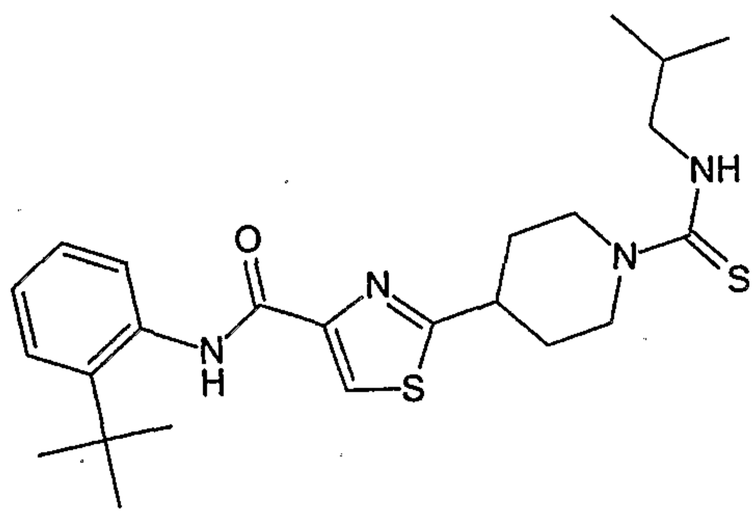
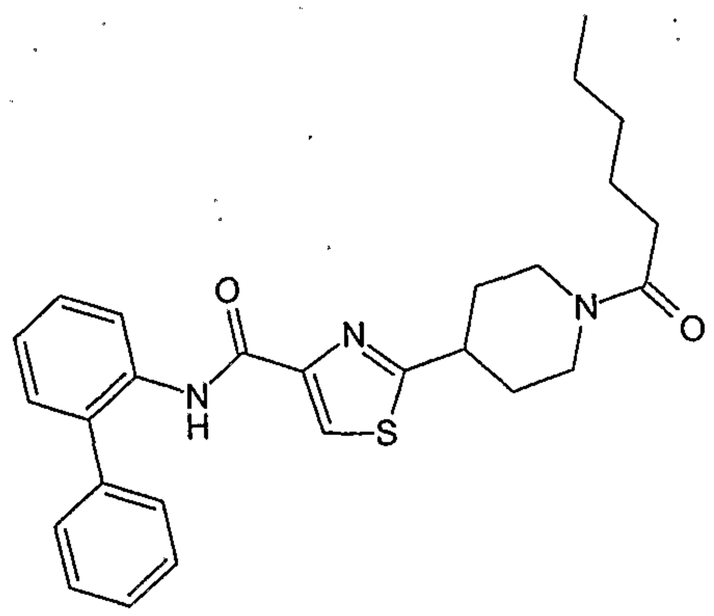
No.	FORMULA	NMR or mass
315	 N-(2-morpholin-4-ylphenyl)-2-[1-(propylsulfonyl)piperidin-4-yl]-1,3-thiazole-4-carboxamide	
316		
317	 ethyl N-({4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonothioyl)glycinate	

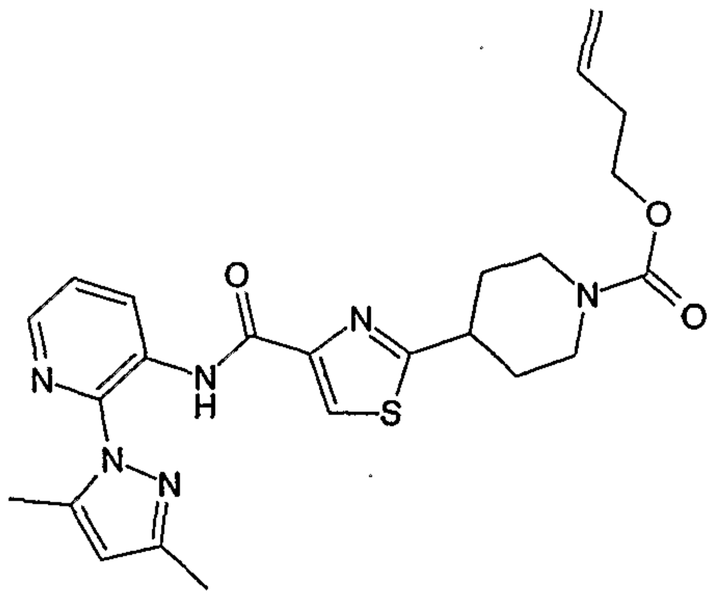
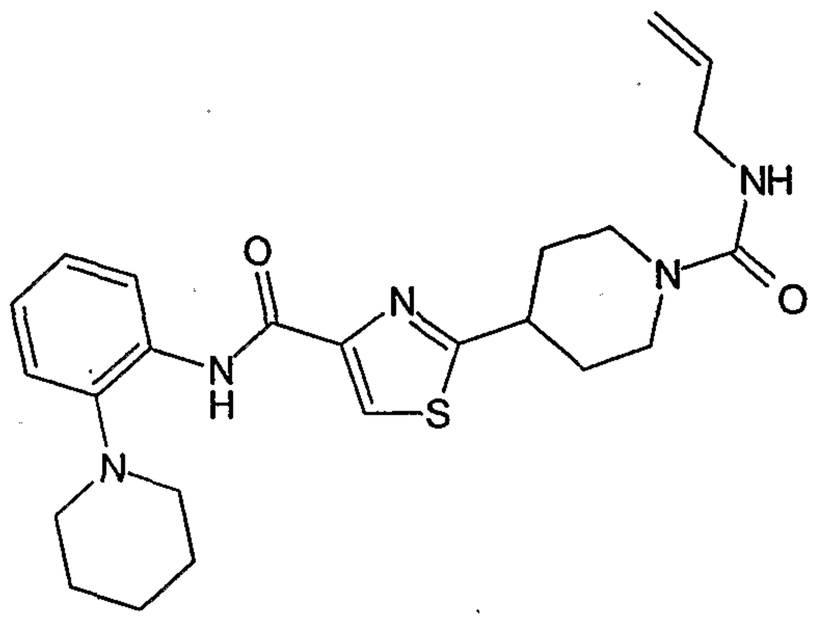
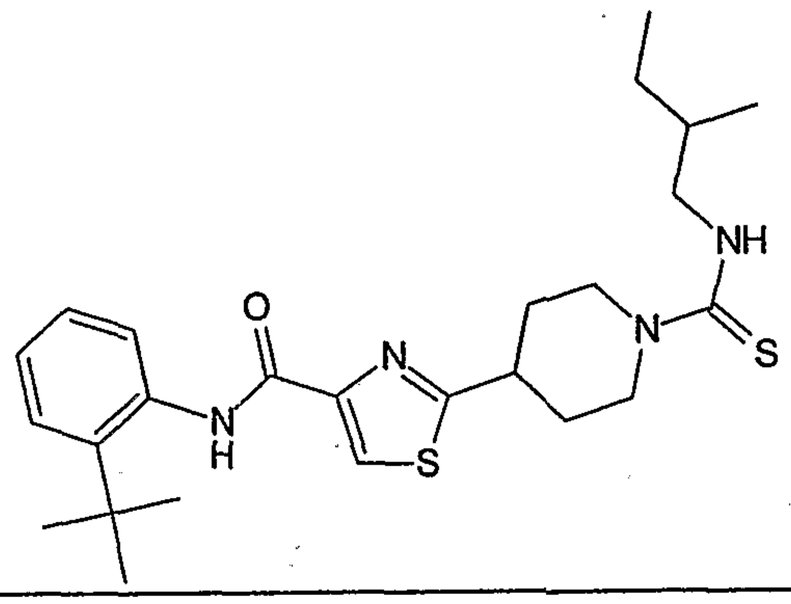
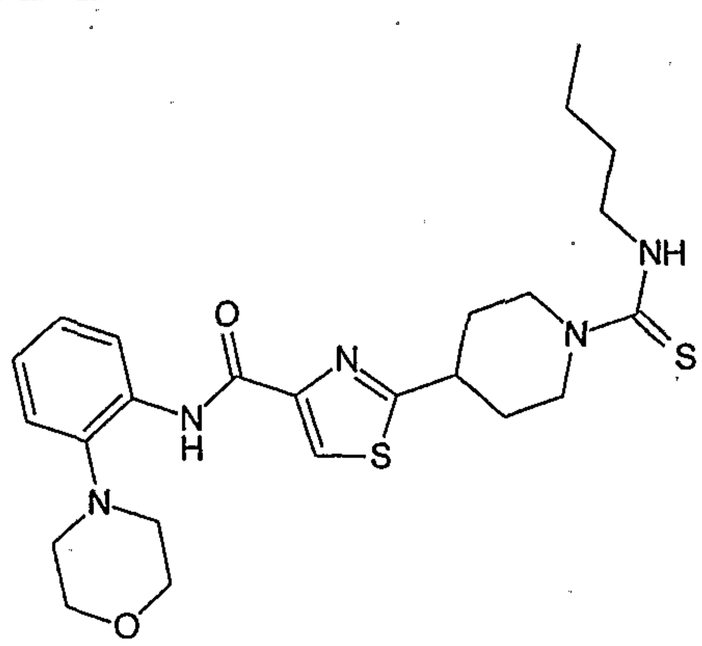
No.	FORMULA	NMR or mass
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319		
320		

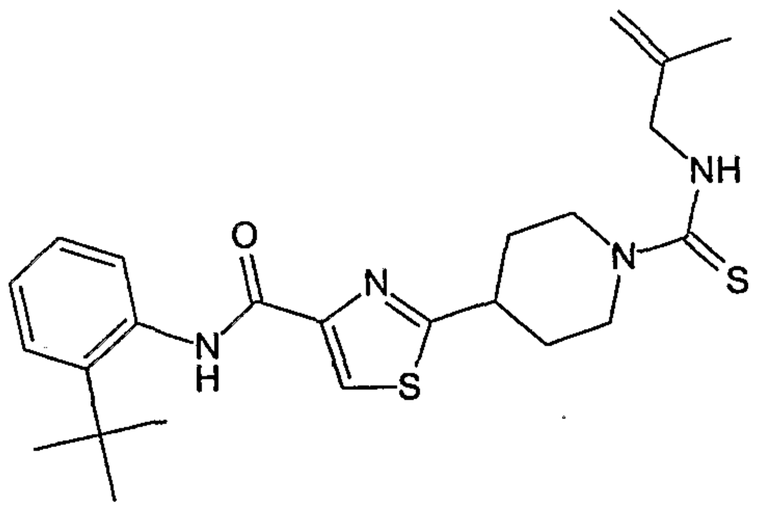
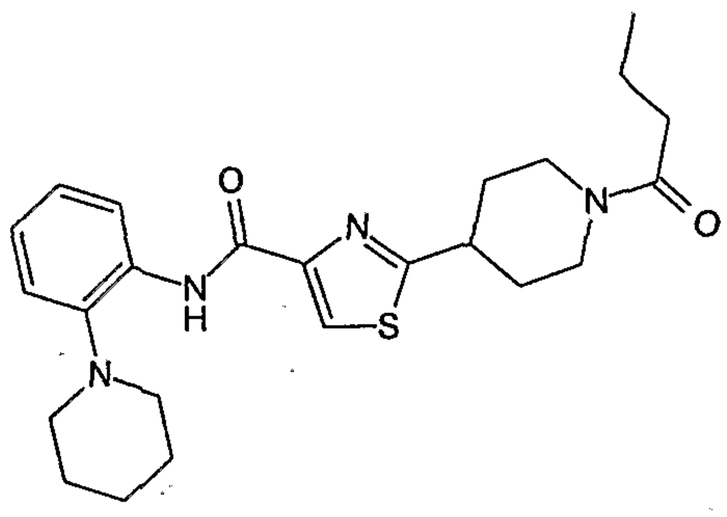
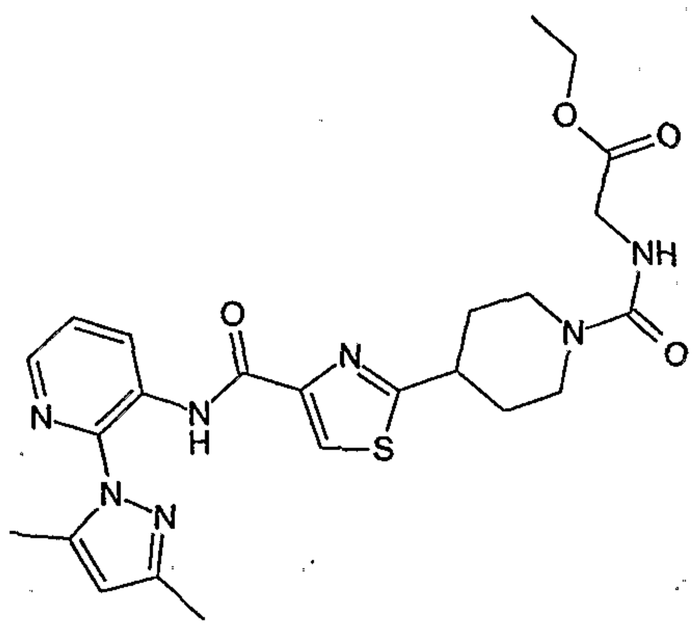
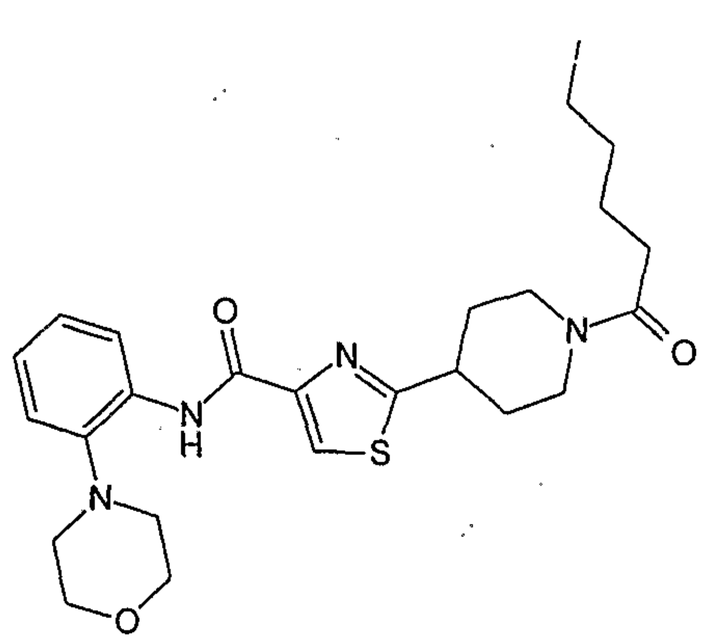
No.	FORMULA	NMR or mass
321	 2-{1-[(4-chlorophenoxy)acetyl]piperidin-4-yl}-N-(2-morpholin-4-ylphenyl)-1,3-thiazole-4-carboxamide	
322		
323	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-[1-(2-phenoxypropanoyl)-piperidin-4-yl]-1,3-thiazole-4-carboxamide	

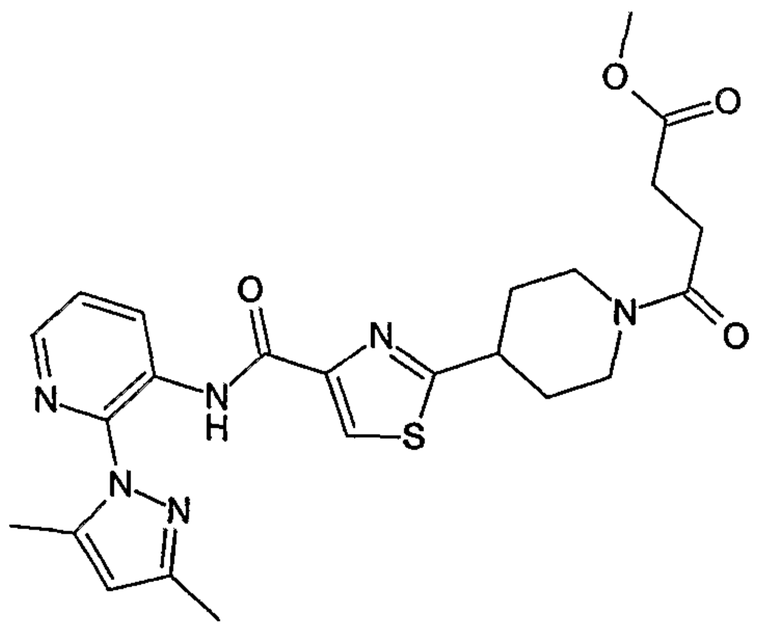
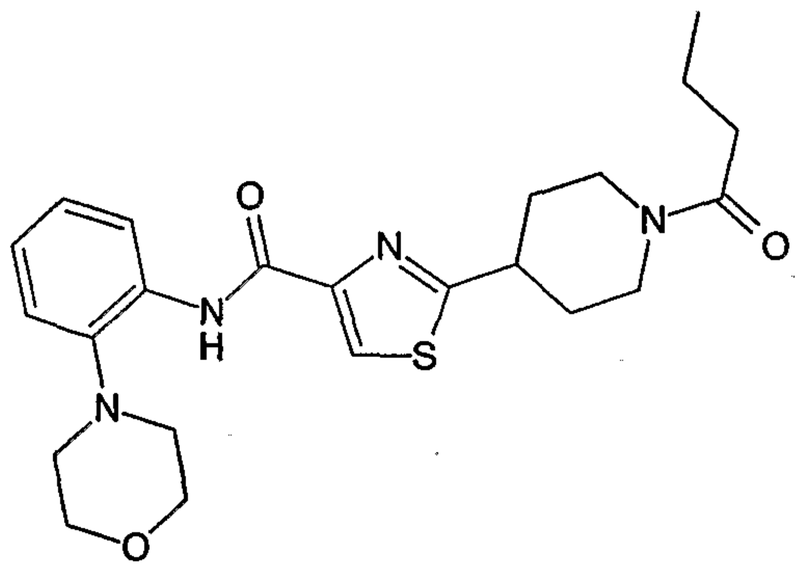
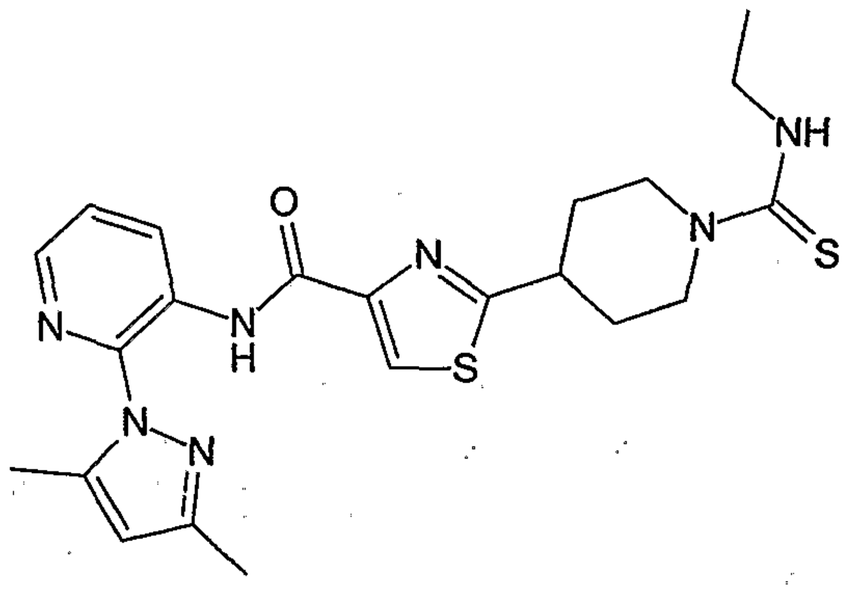
No.	FORMULA	NMR or mass
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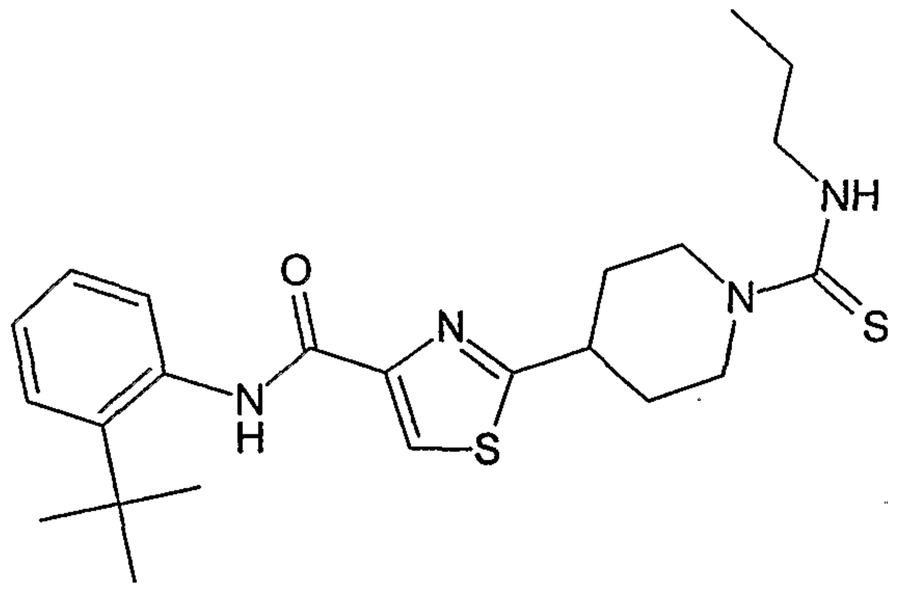
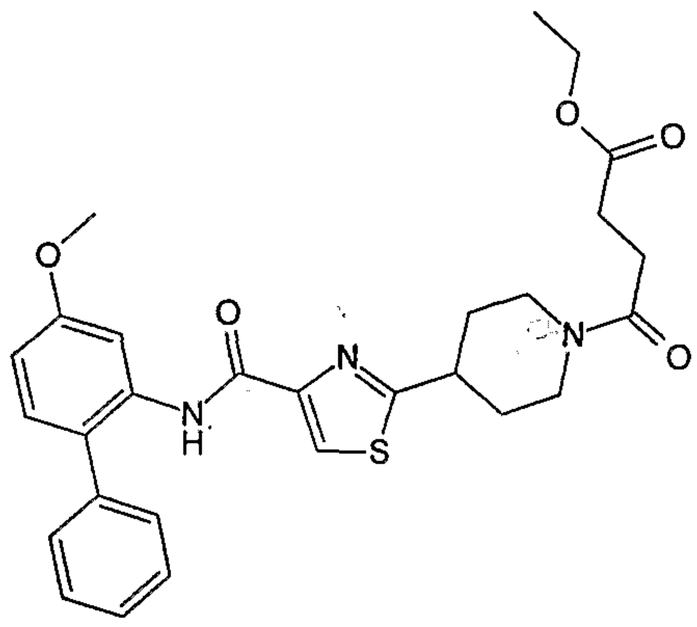
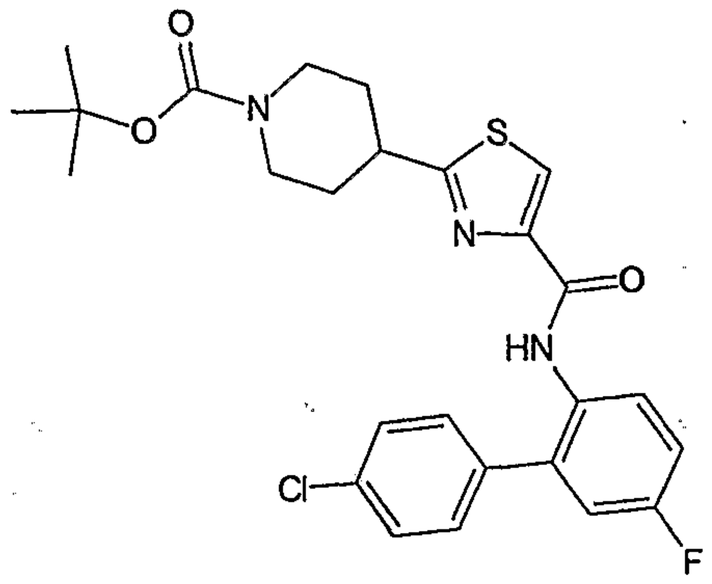
No.	FORMULA	NMR or mass
327		
328		
329	 methyl N-({4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}-carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonothioyl)glycinate	

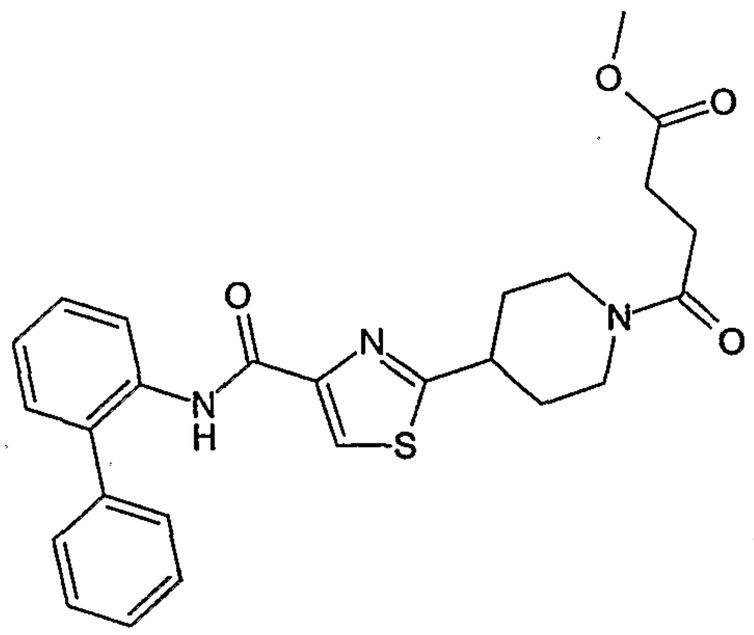
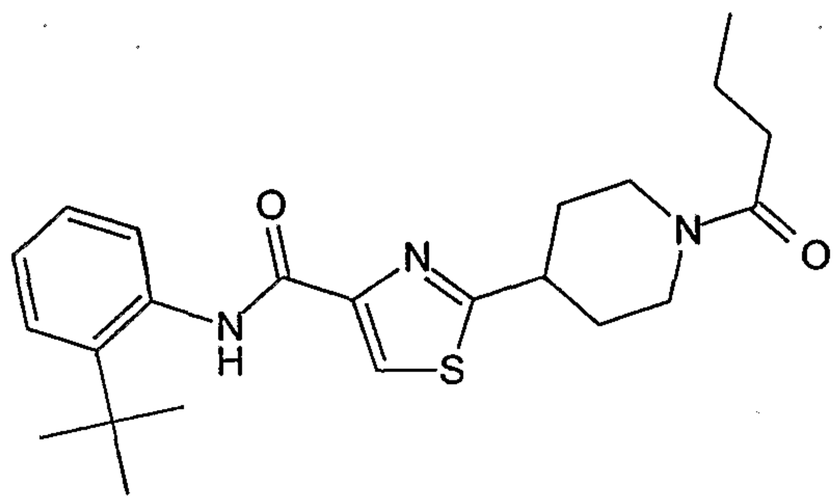
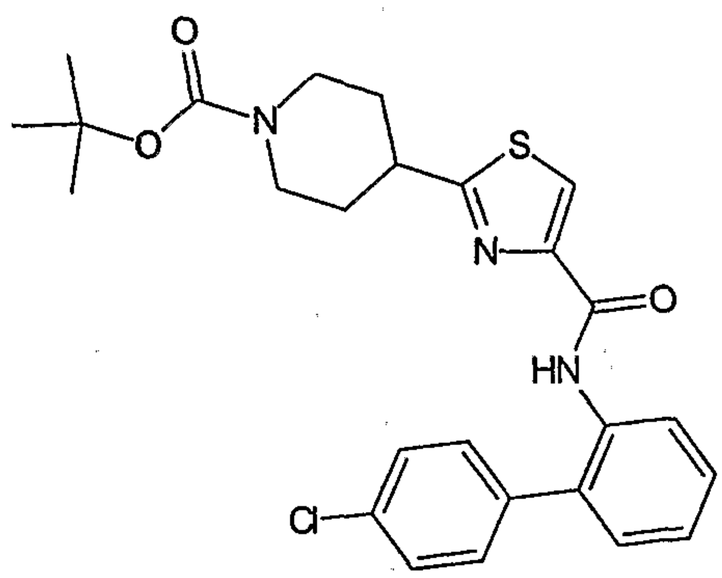
No.	FORMULA	NMR or mass
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333		

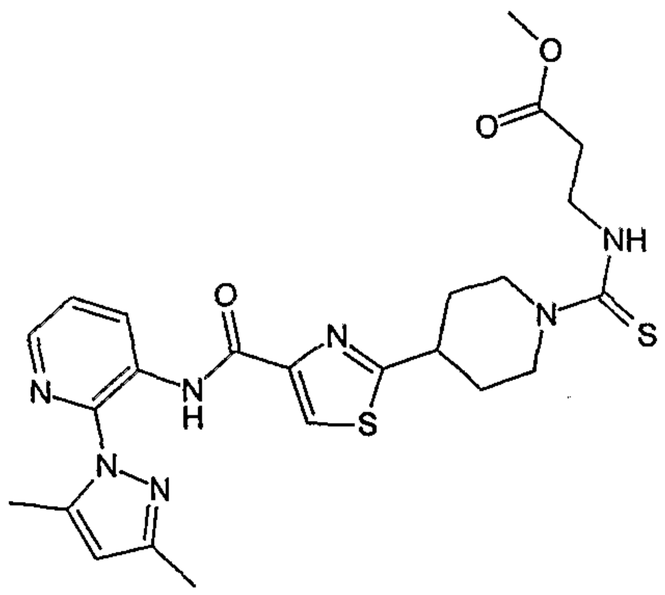
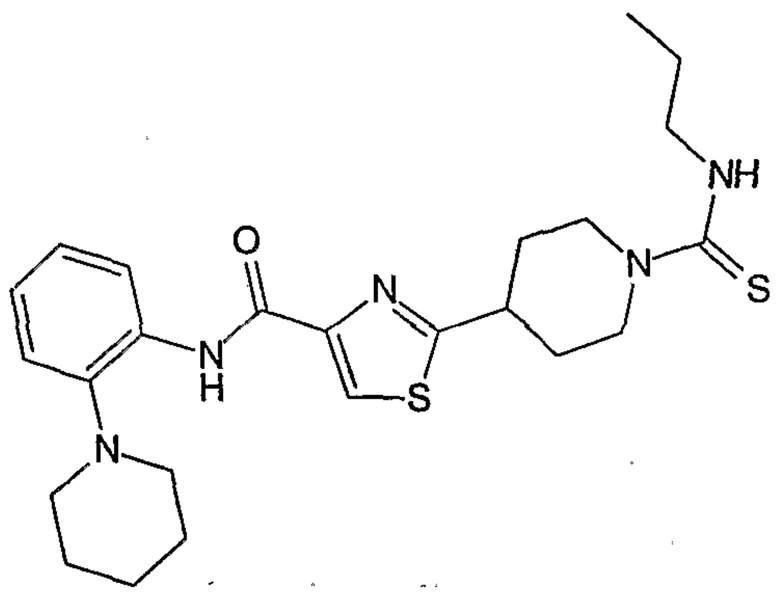
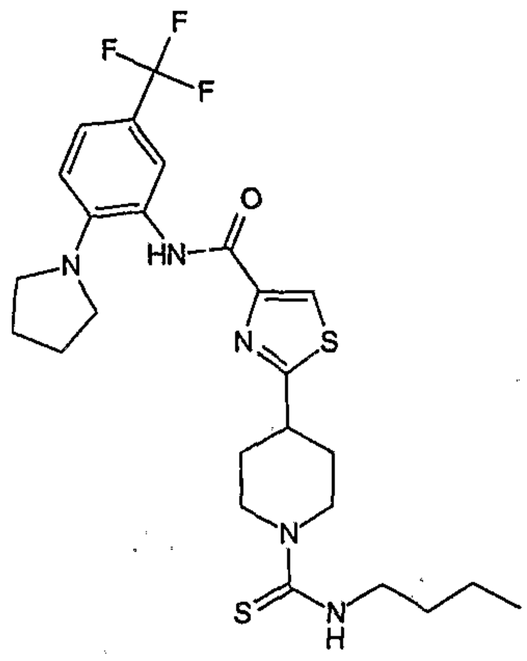
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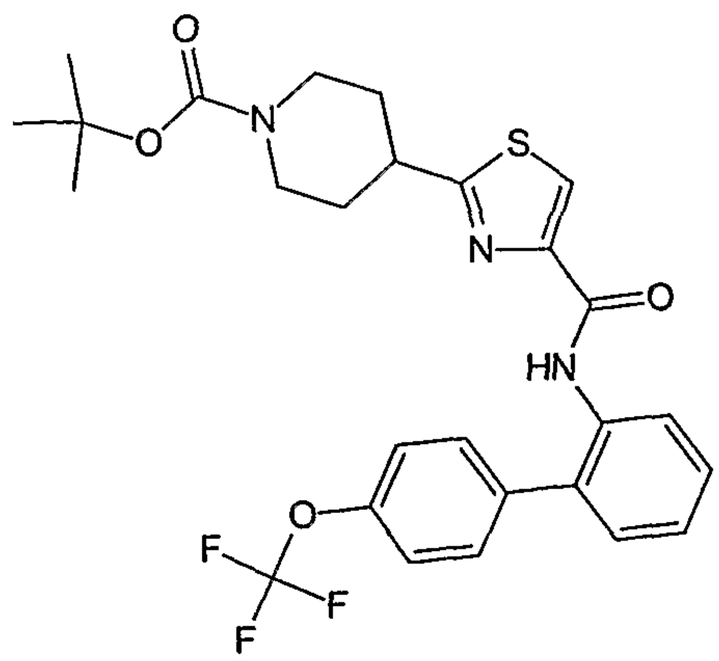
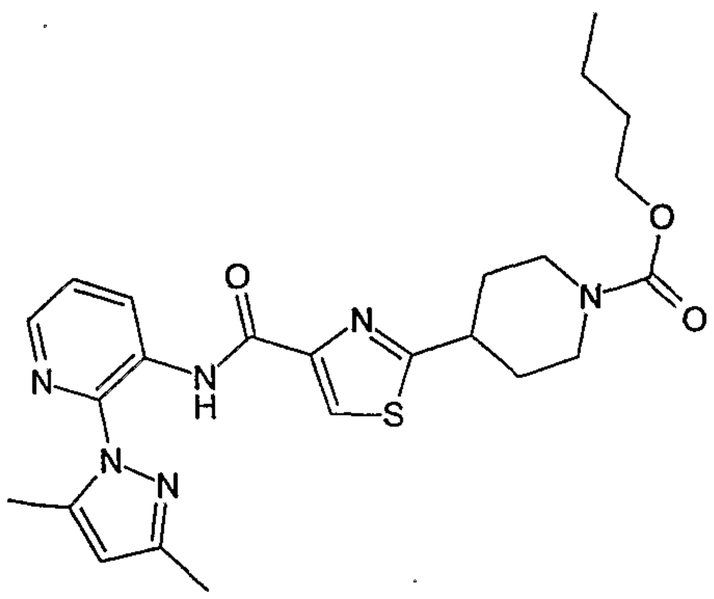
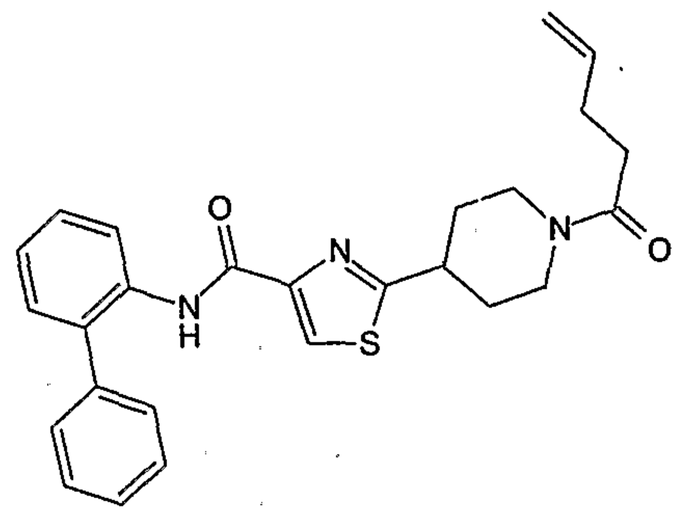
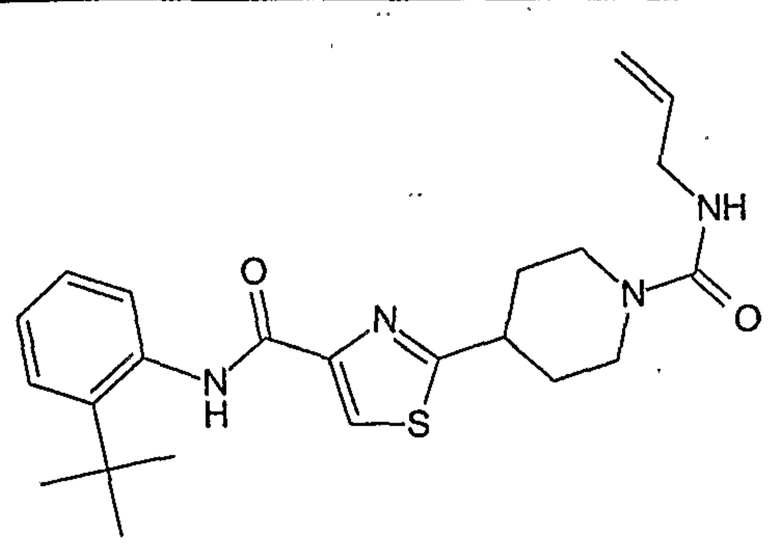
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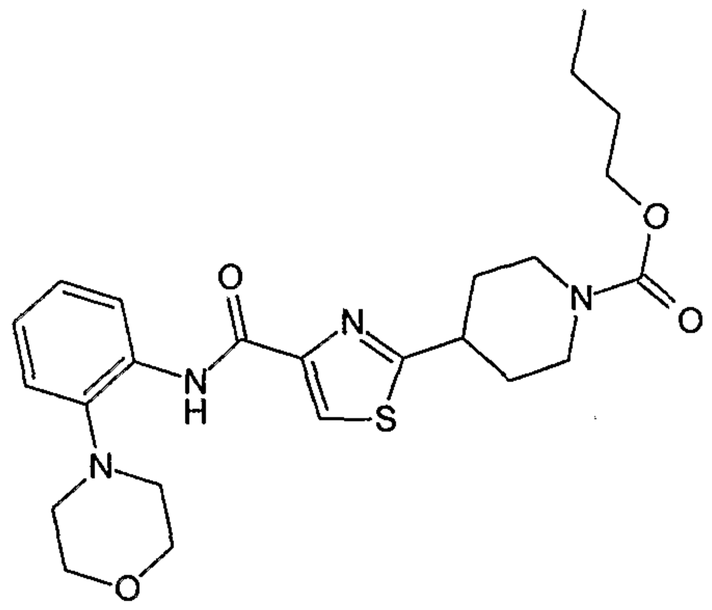
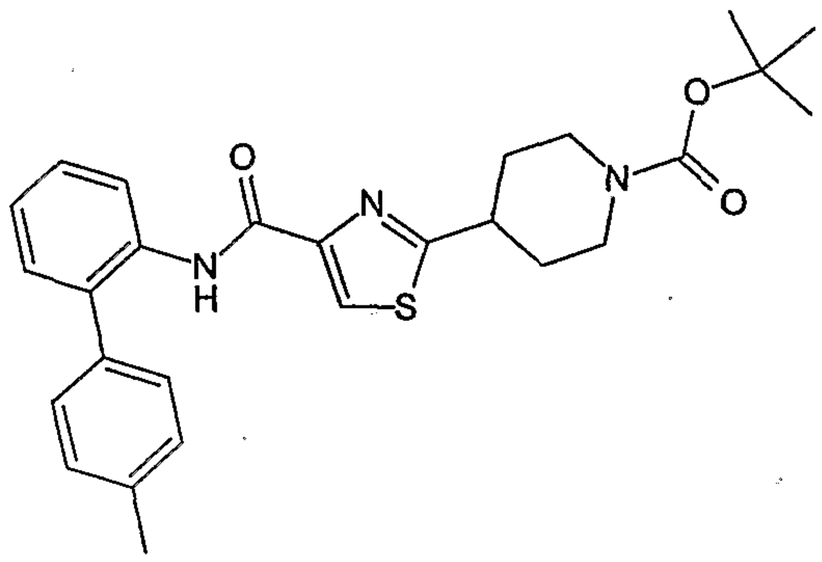
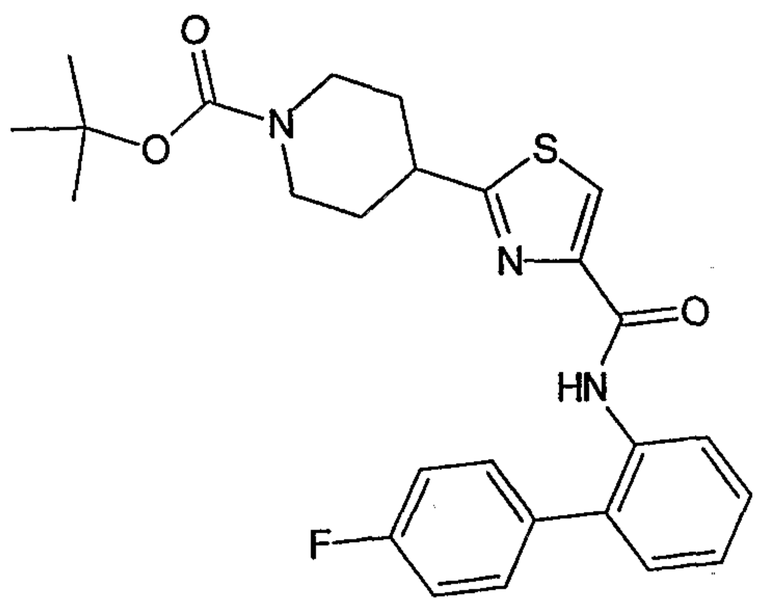
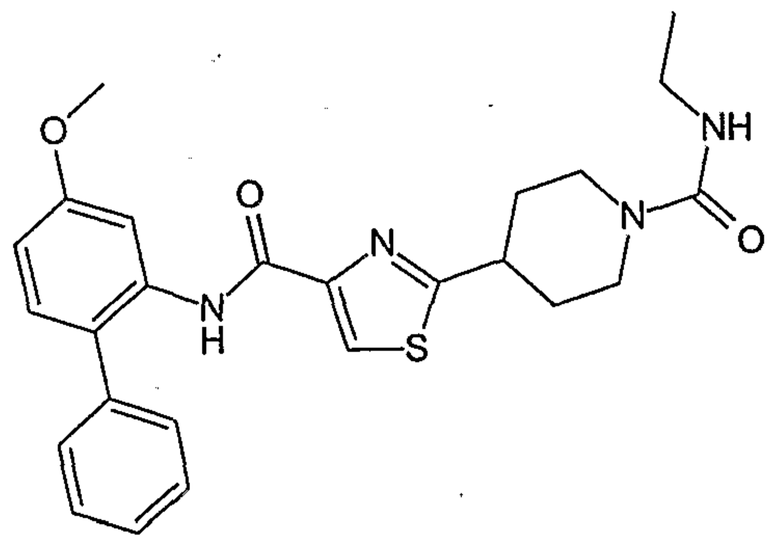
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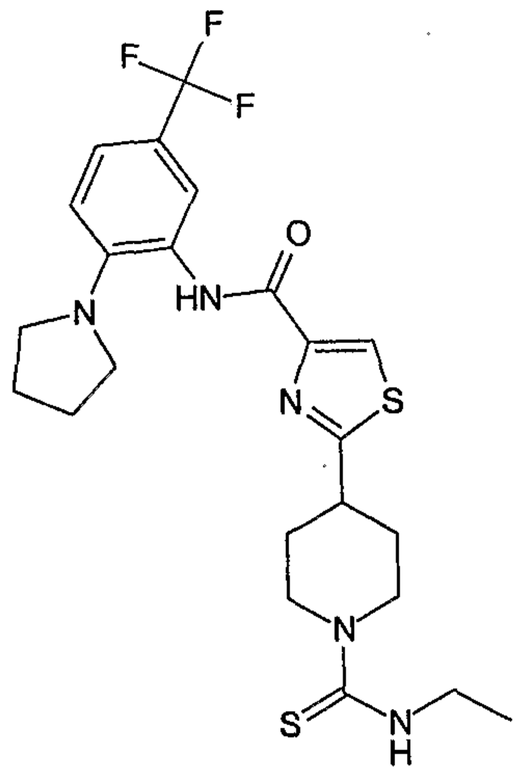
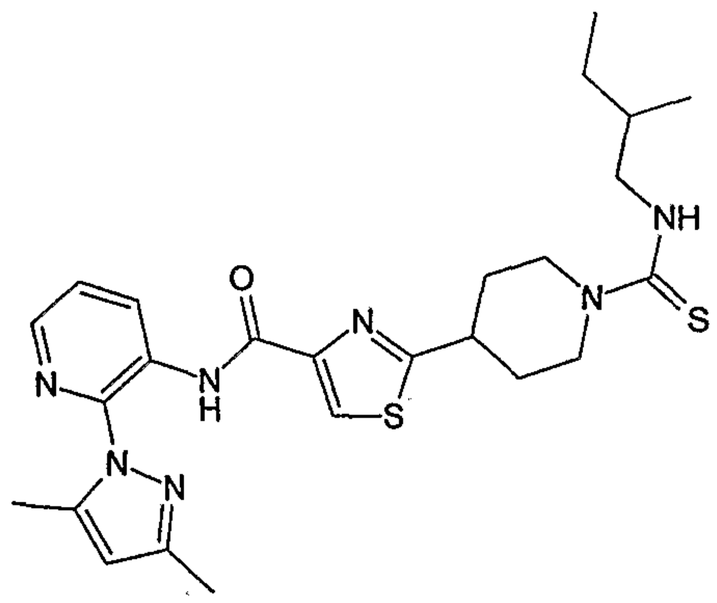
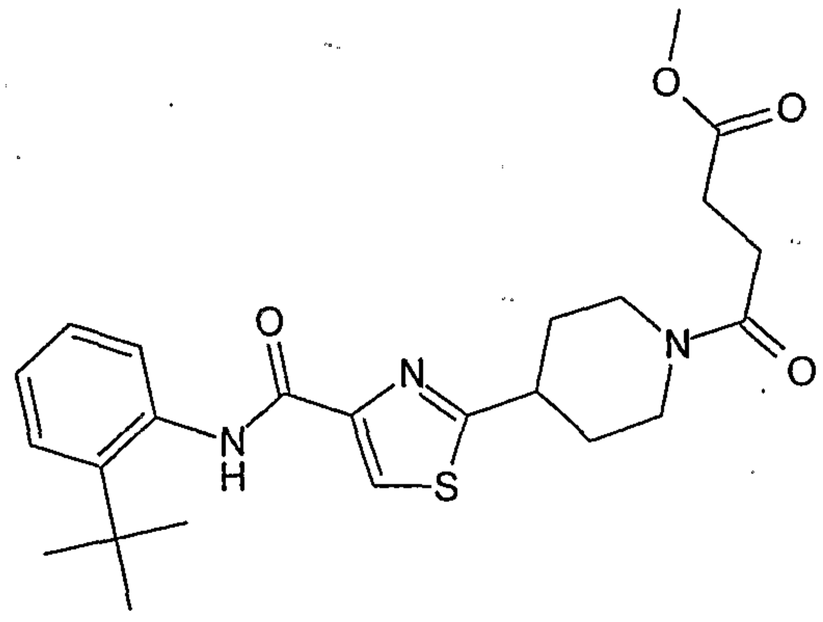
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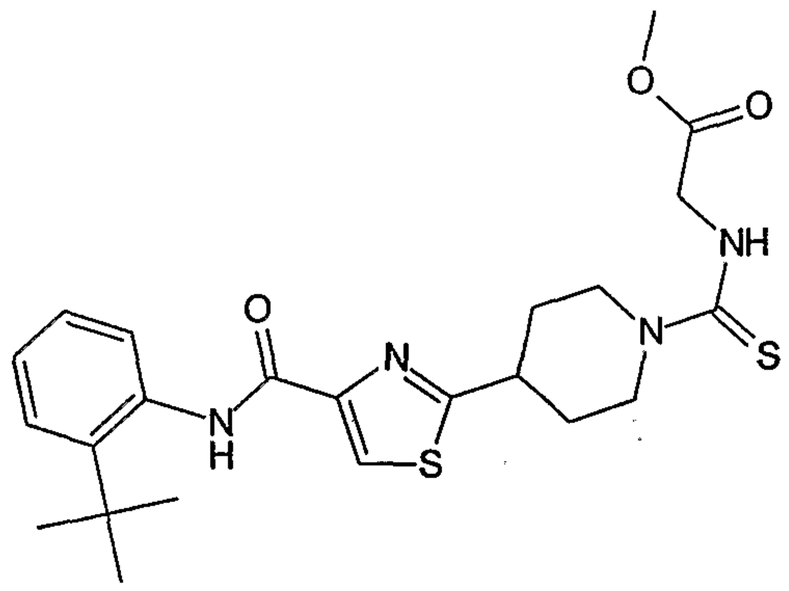
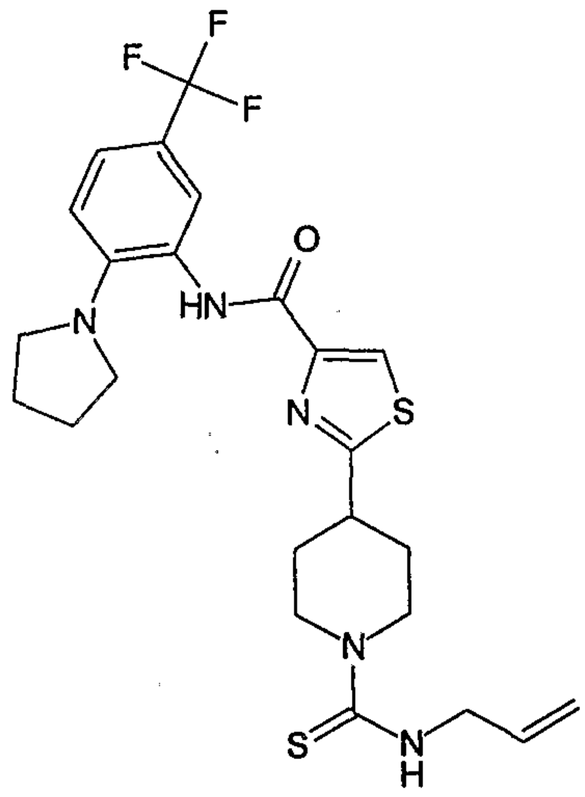
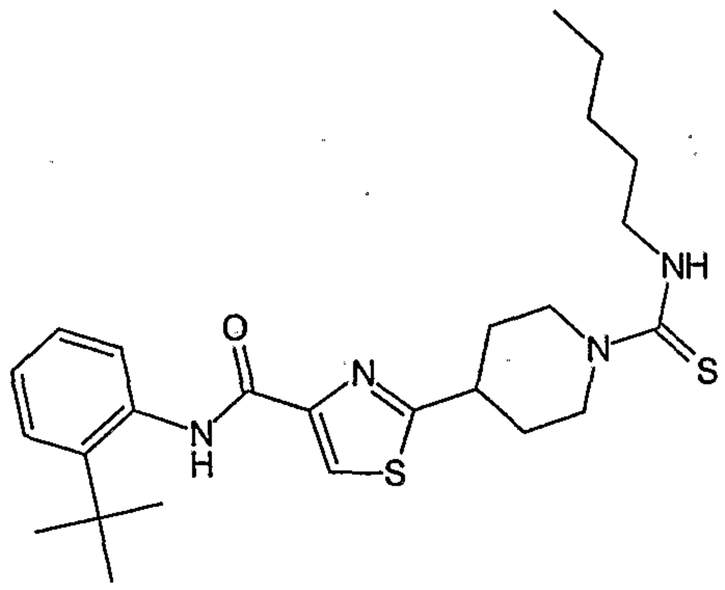
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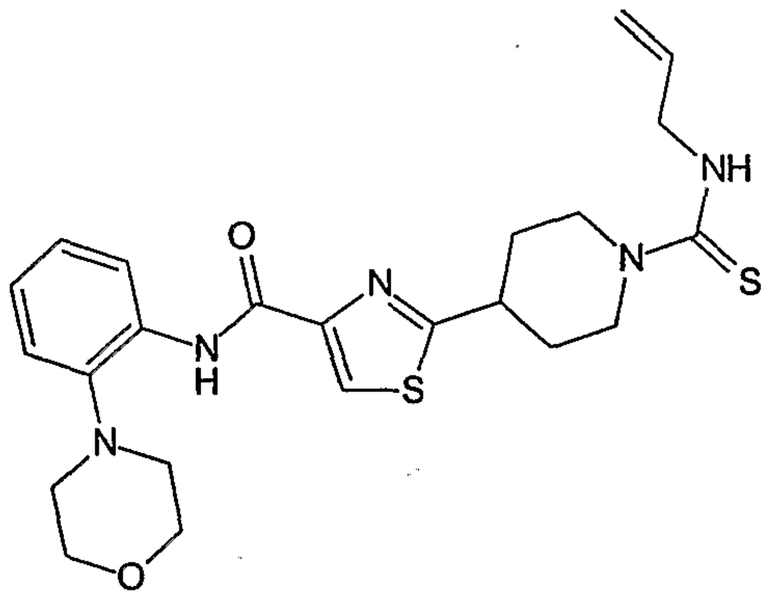
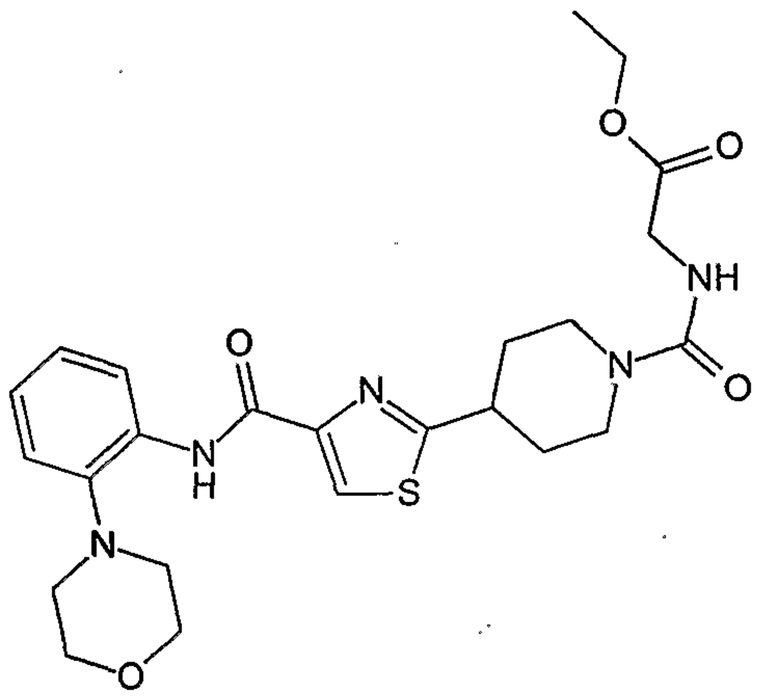
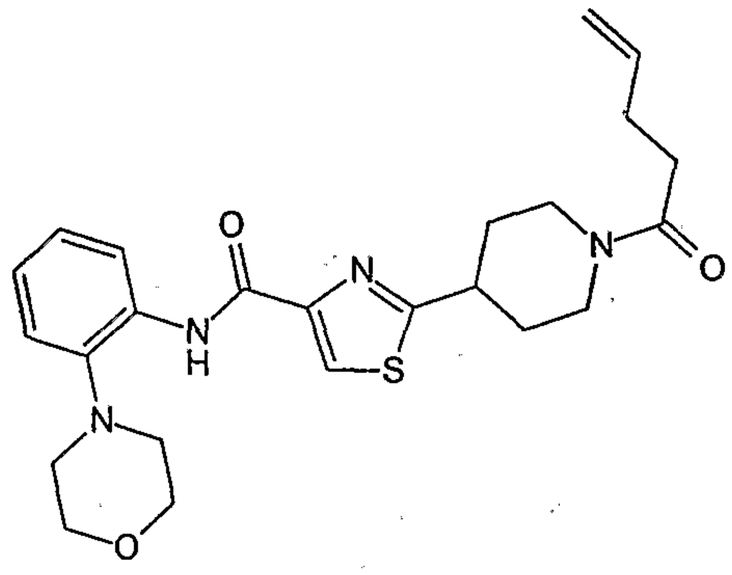
No.	FORMULA	NMR or mass
351	 methyl N-({4-[4-({[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]amino}-carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonothioyl)-beta-alaninate	
352		
353	 2-{1-[(butylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	

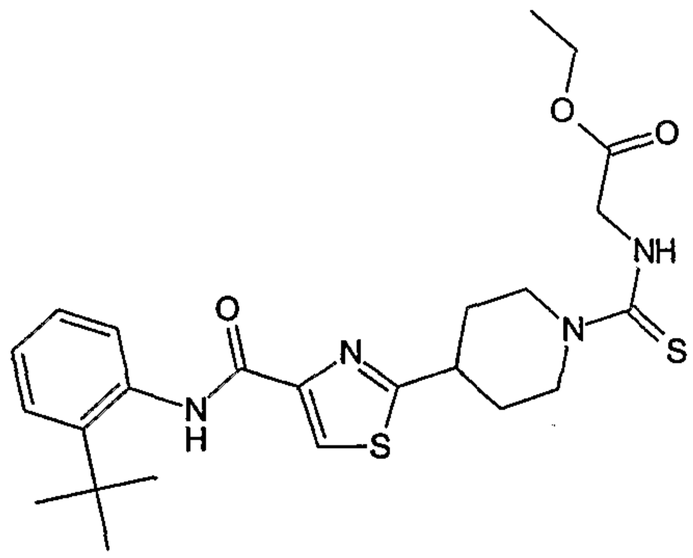
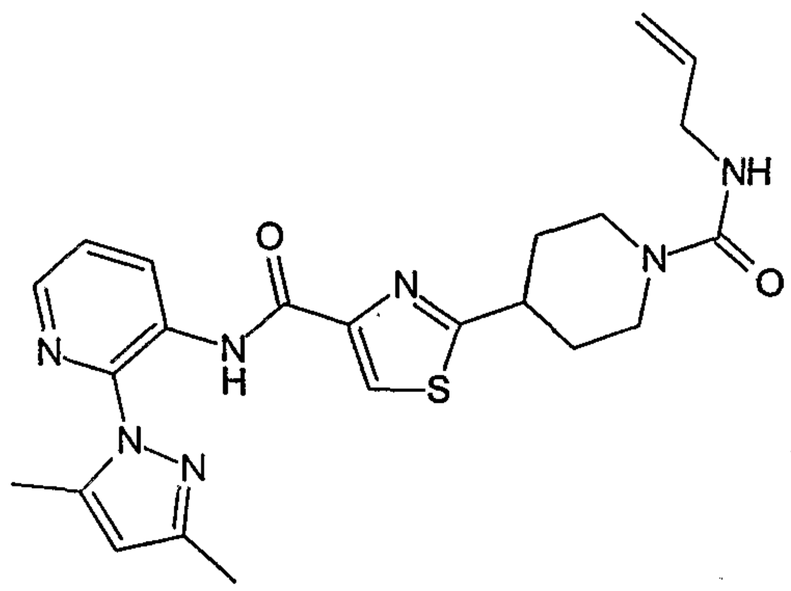
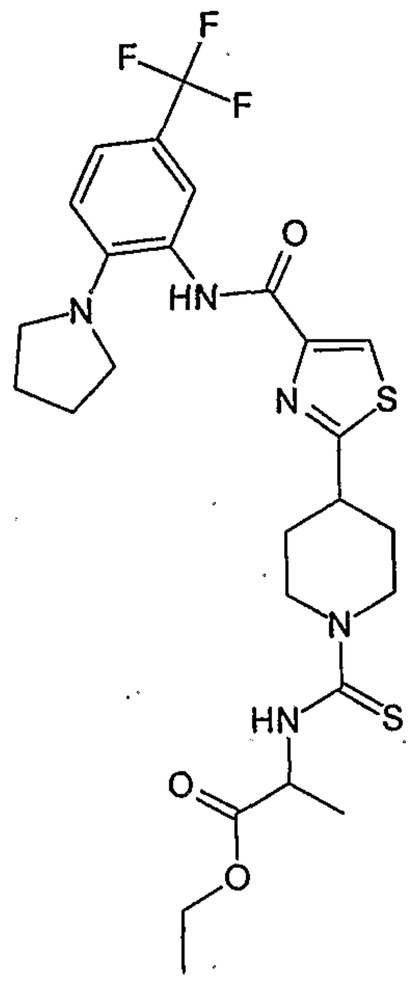
No.	FORMULA	NMR or mass
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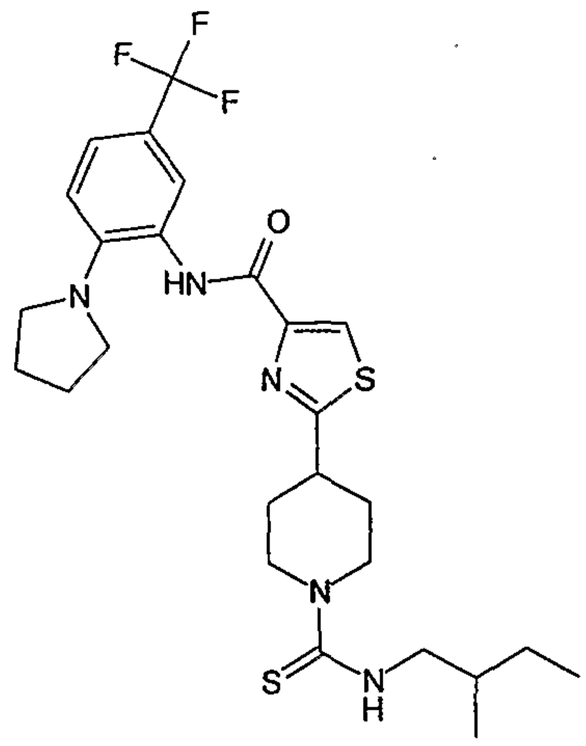
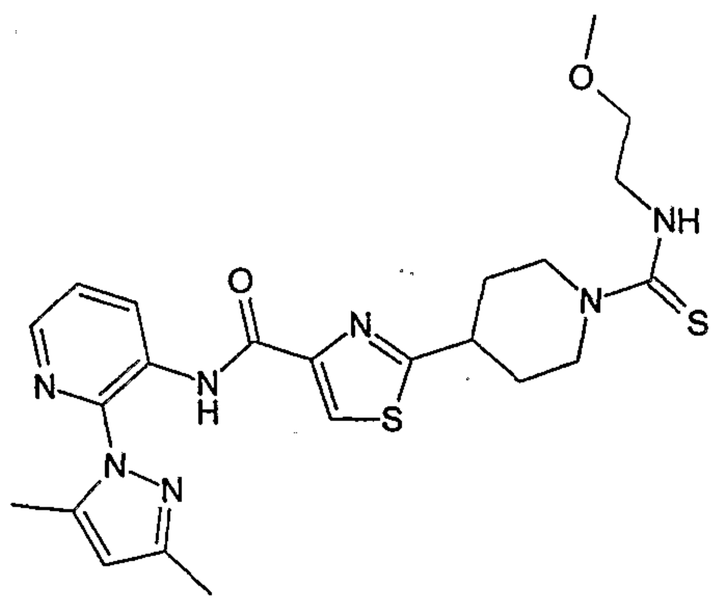
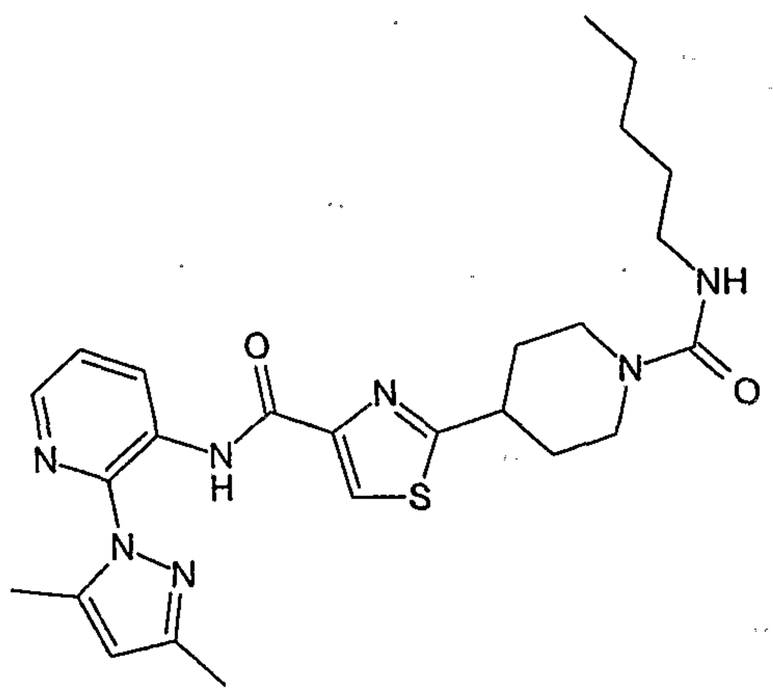
No.	FORMULA	NMR or mass
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360		
361		

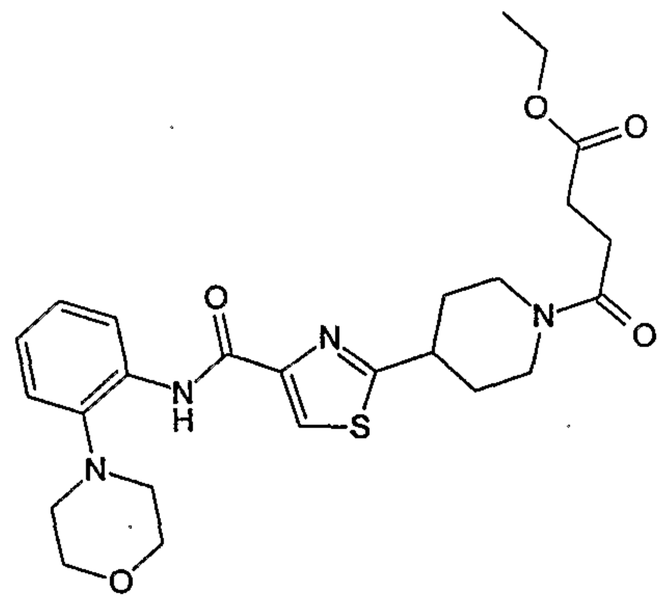
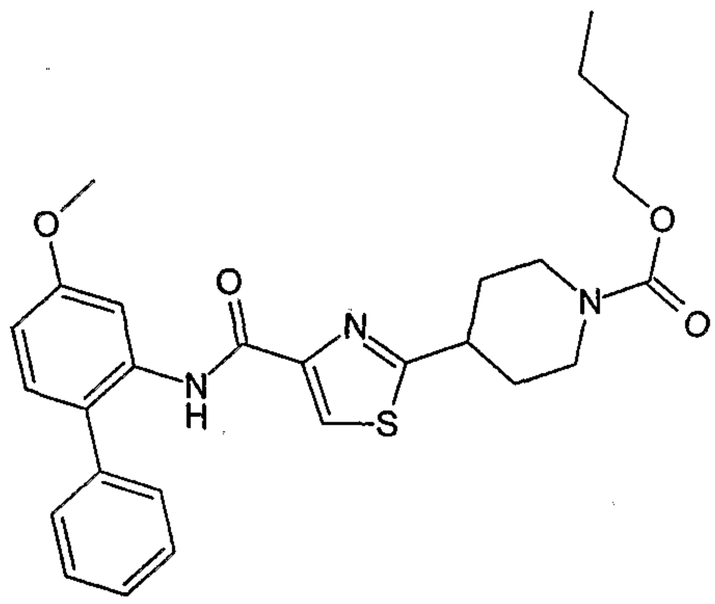
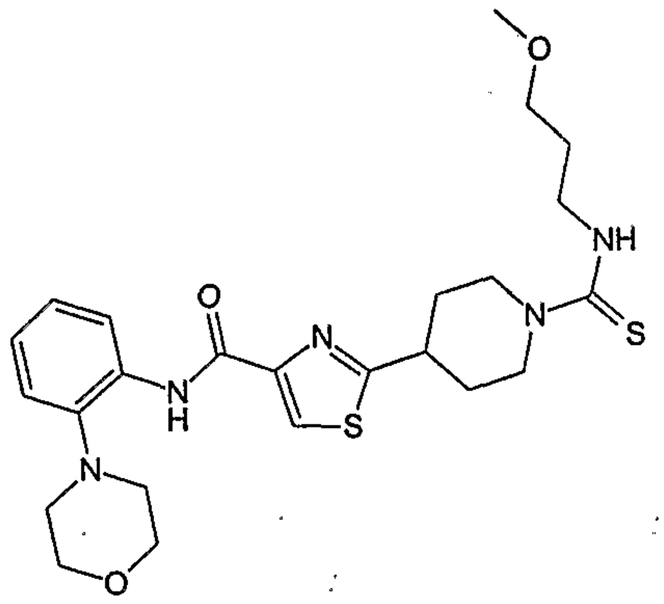
No.	FORMULA	NMR or mass
362	 2-{1-[(ethylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
363	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-(1-{[(2-methylbutyl)amino]-carbonothioyl}piperidin-4-yl)-1,3-thiazole-4-carboxamide	
364		

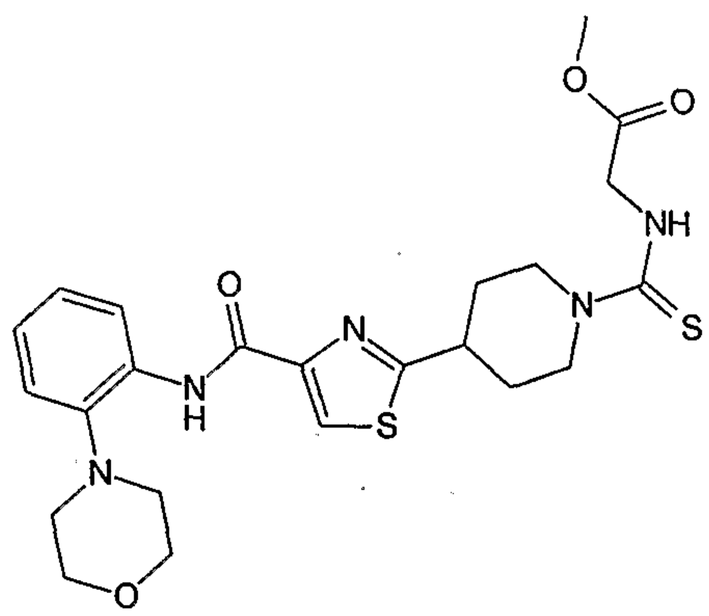
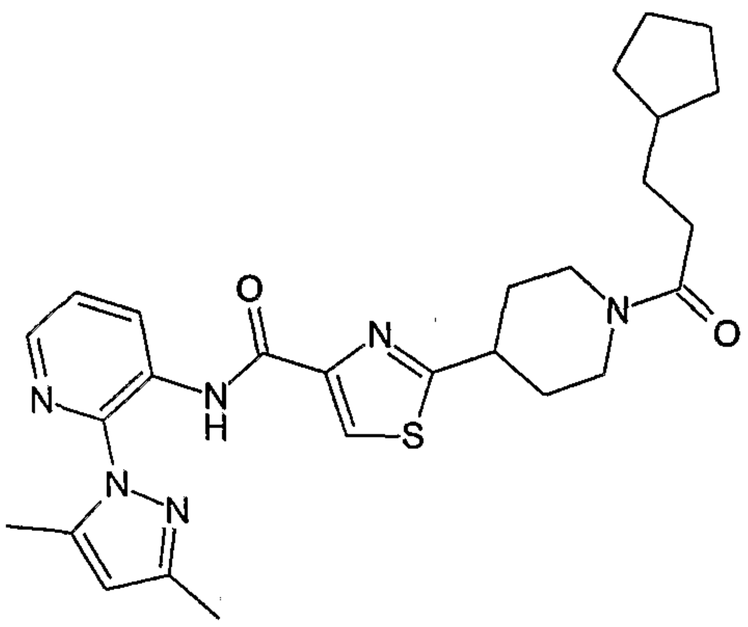
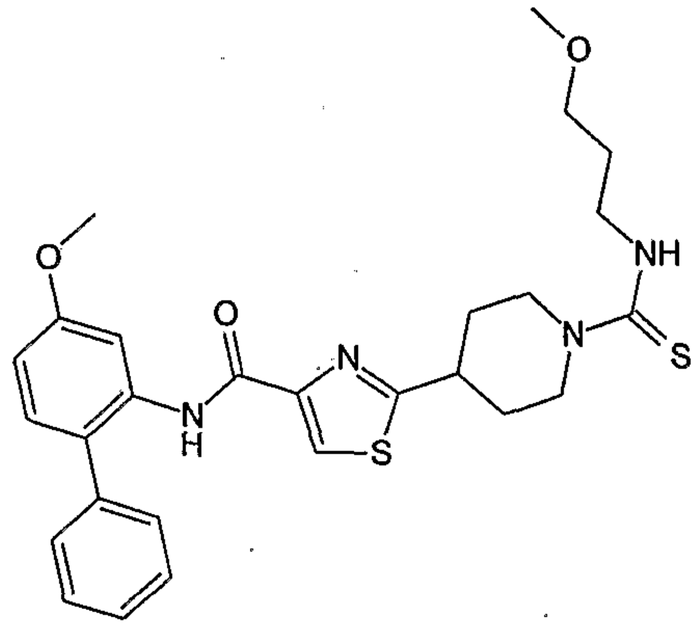
No.	FORMULA	NMR or mass
365		
366	 2-{1-[(allylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
367		

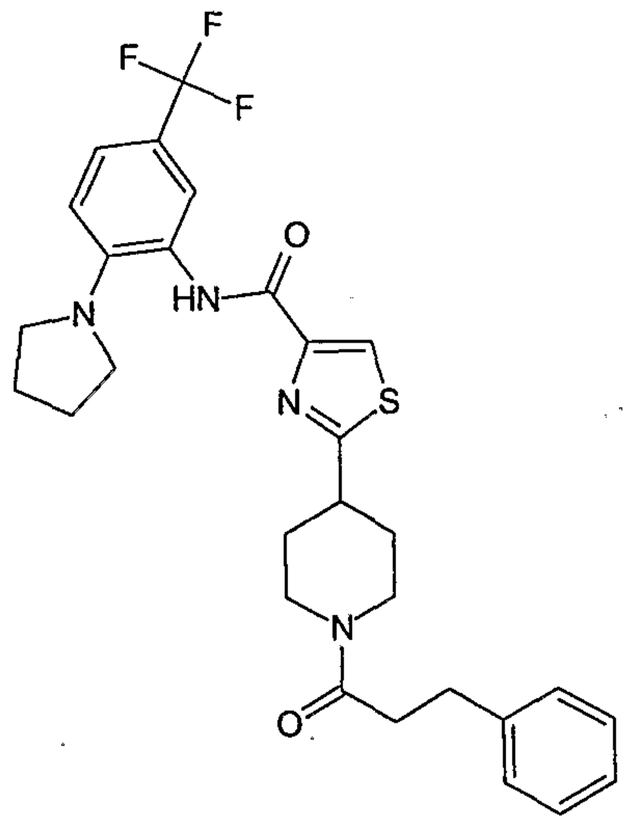
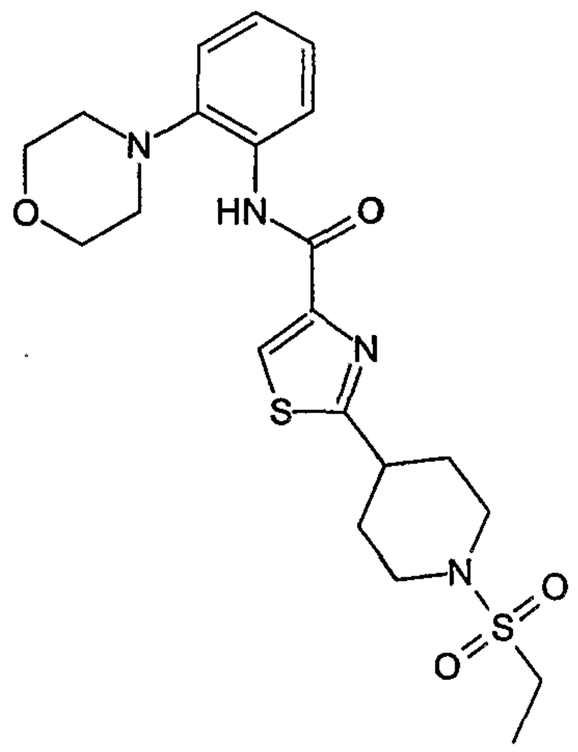
No.	FORMULA	NMR or mass
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369		
370		

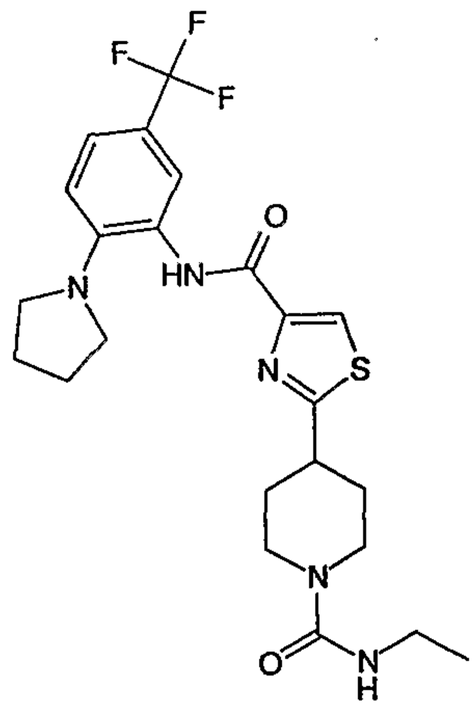
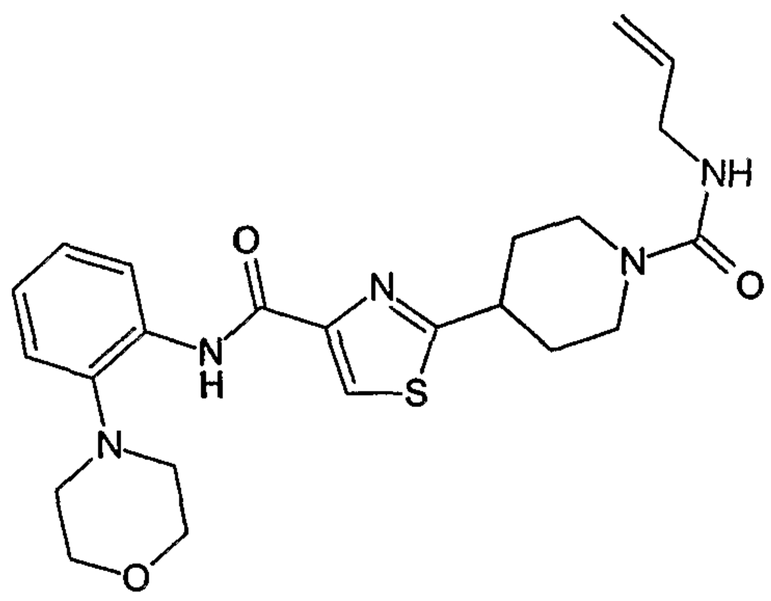
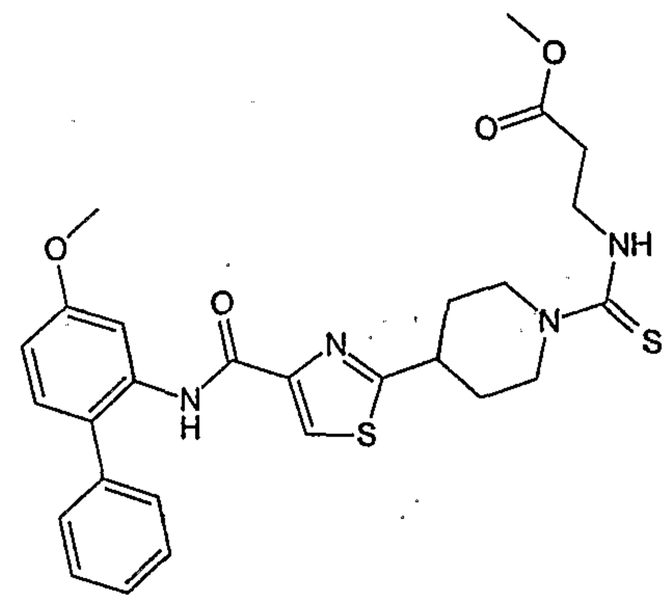
No.	FORMULA	NMR or mass
371		
372		
373	 ethyl N-({4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonothioyl)alaninate	

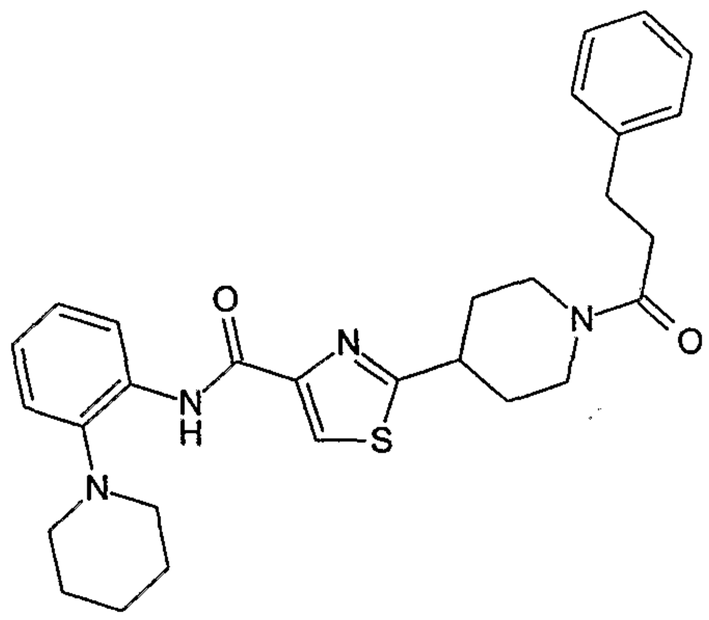
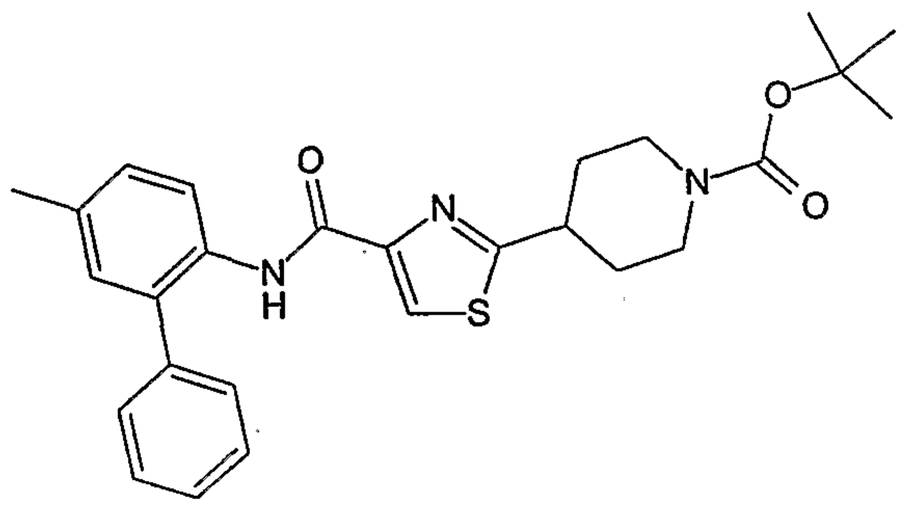
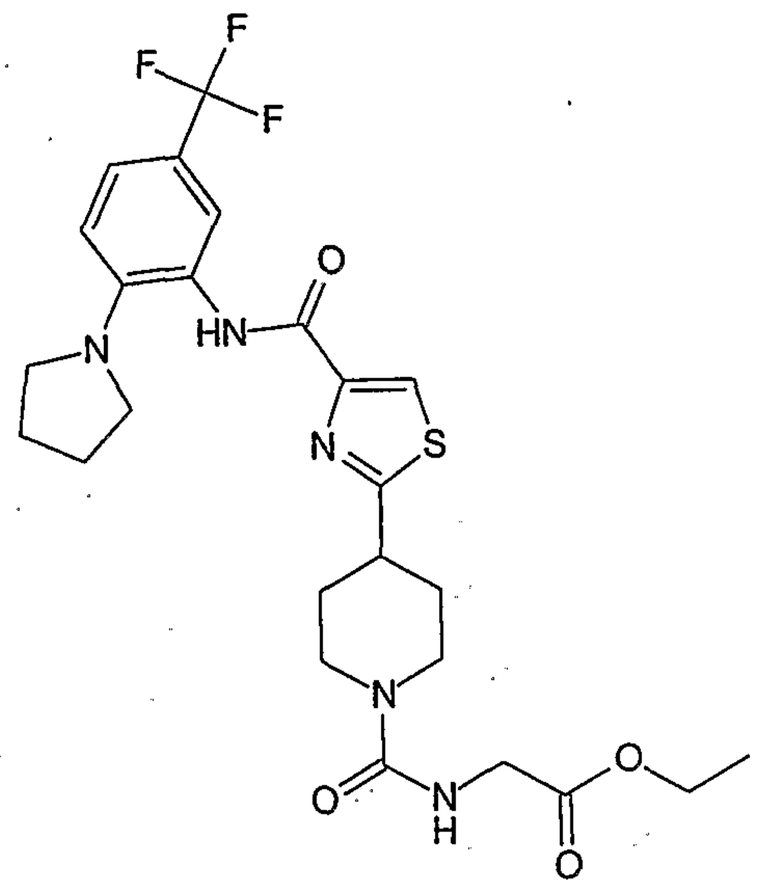
No.	FORMULA	NMR or mass
374	 2-(1-{[(2-methylbutyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
375		
376		

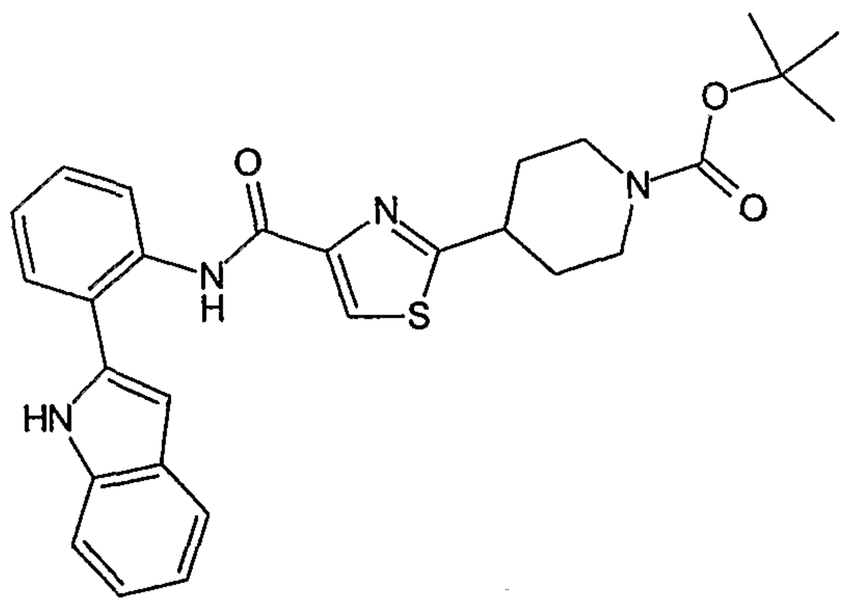
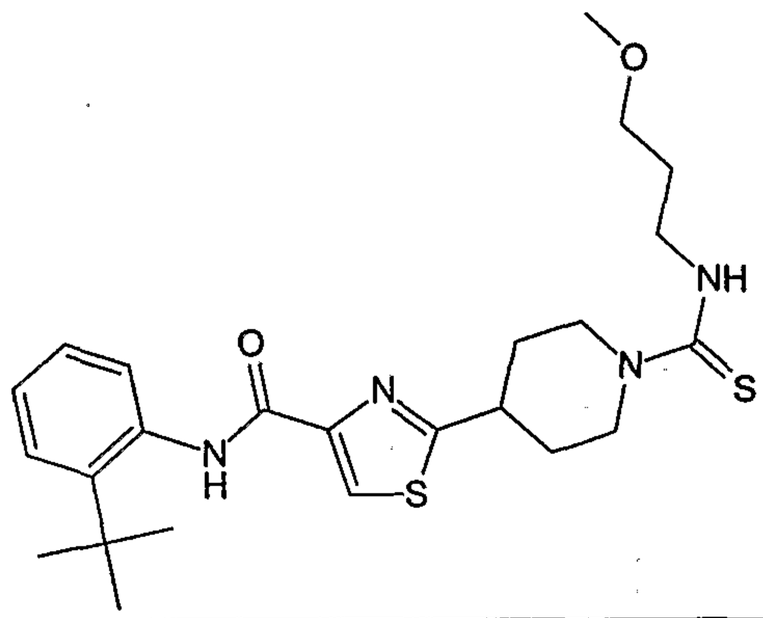
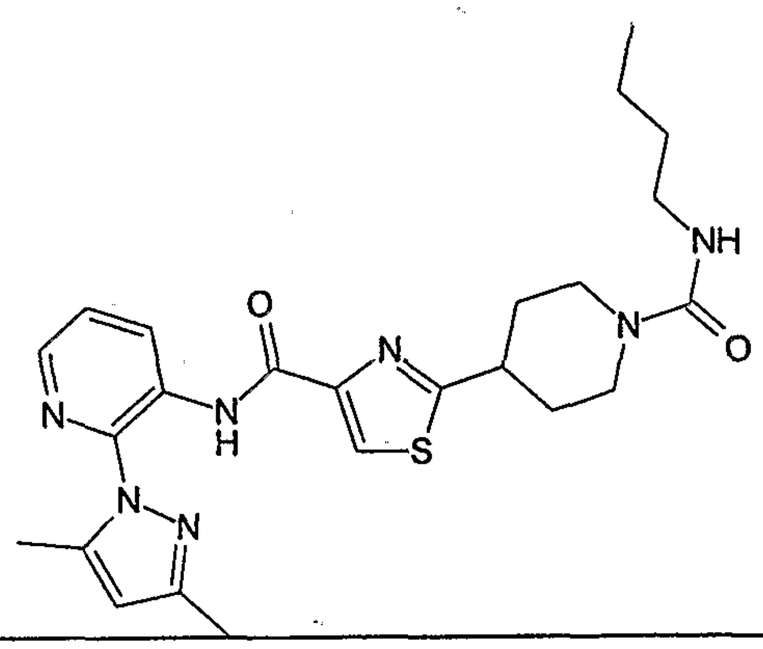
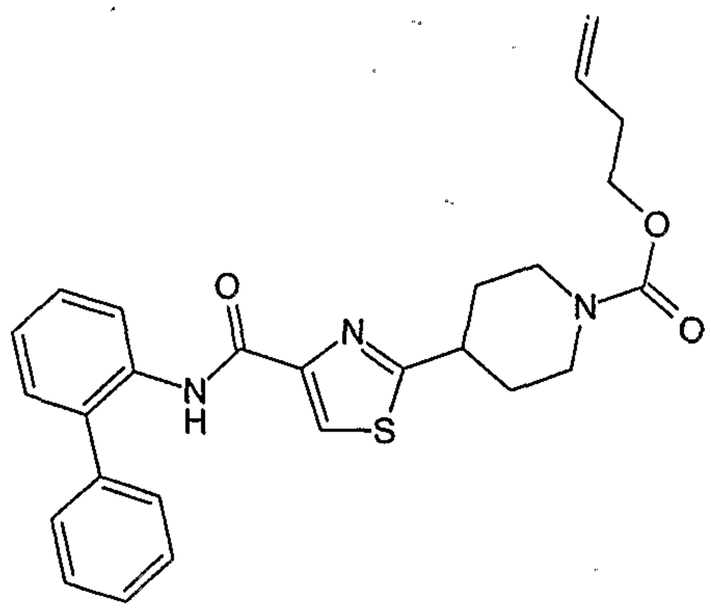
No.	FORMULA	NMR or mass
377		
378		
379		

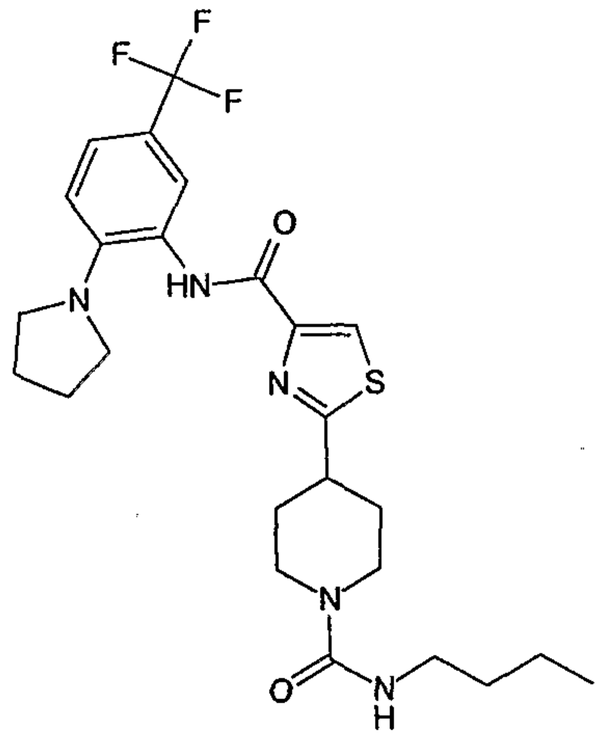
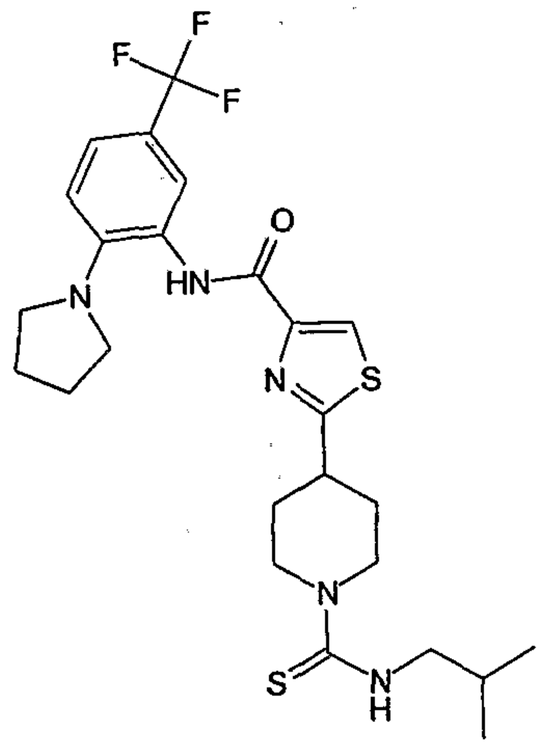
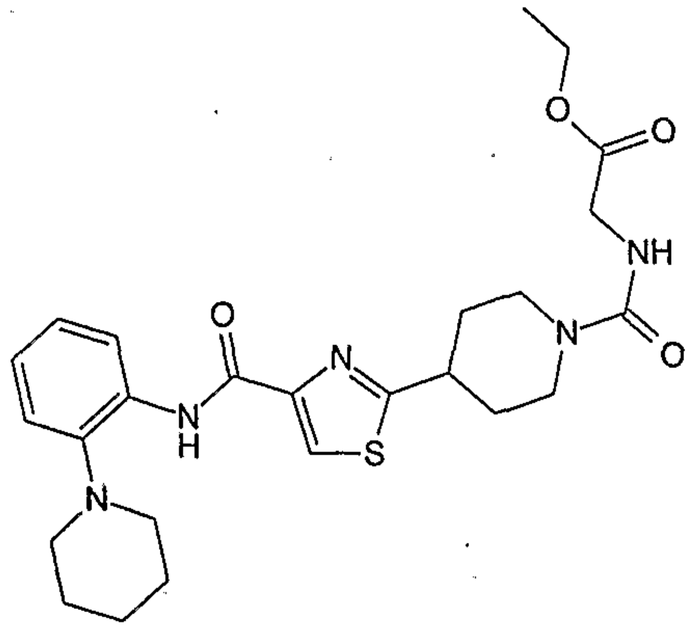
No.	FORMULA	NMR or mass
380		
381		
382		

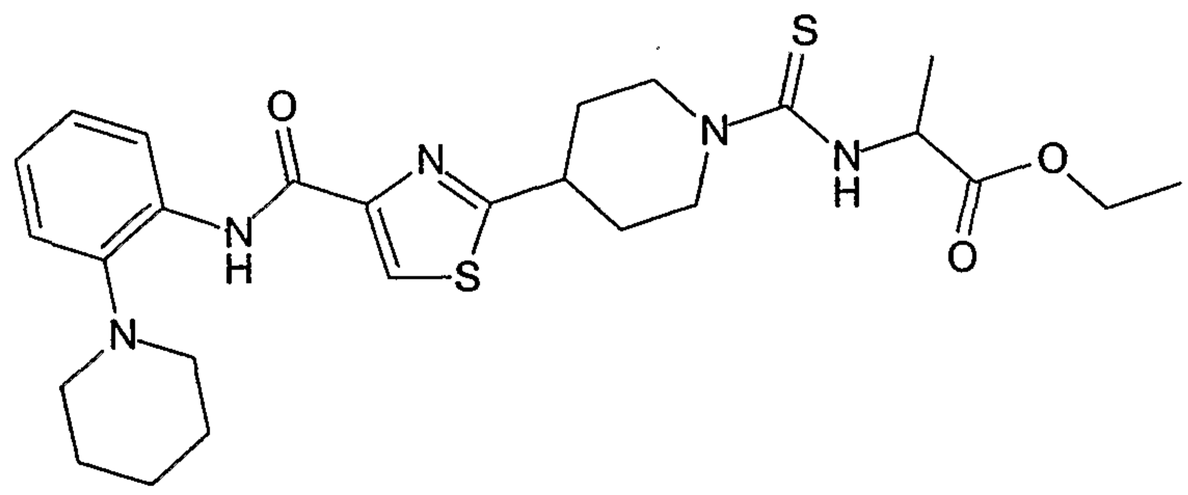
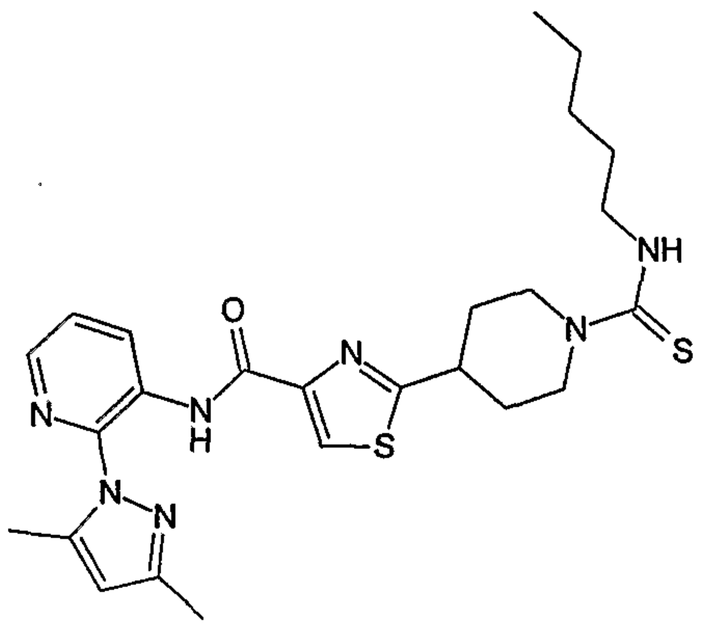
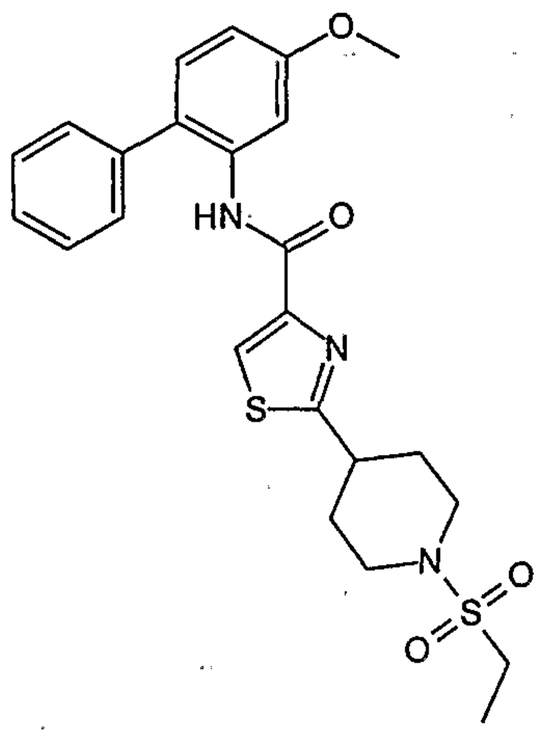
No.	FORMULA	NMR or mass
383	 2-[1-(3-phenylpropanoyl)piperidin-4-yl]-N-[2-pyrrolidin-1-yl-5-(trifluoro-methyl)phenyl]-1,3-thiazole-4-carboxamide	
384	 2-[1-(ethylsulfonyl)piperidin-4-yl]-N-(2-morpholin-4-ylphenyl)-1,3-thiazole-4-carboxamide	

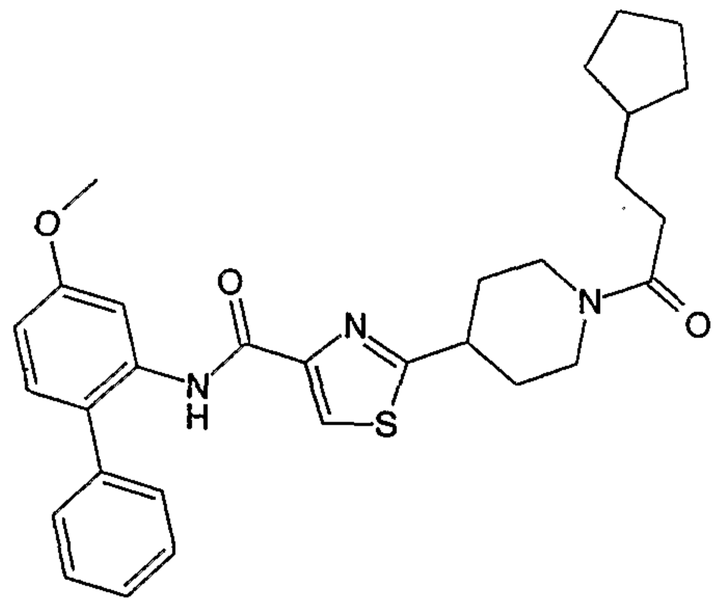
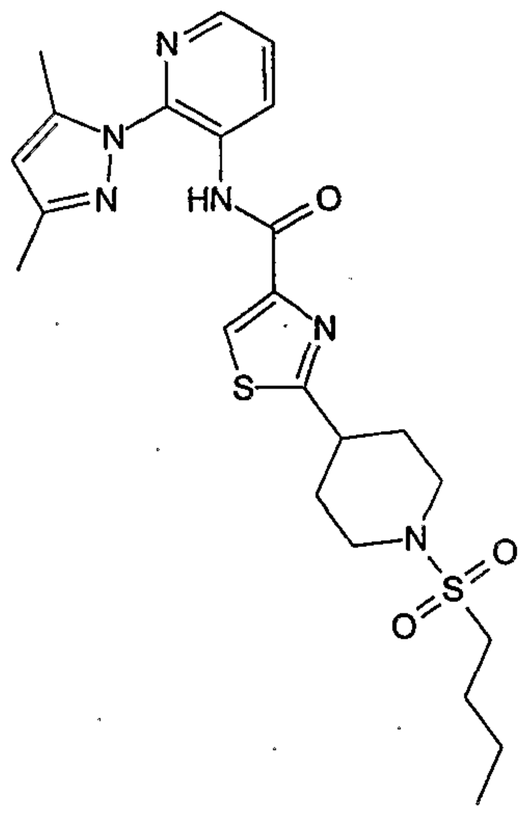
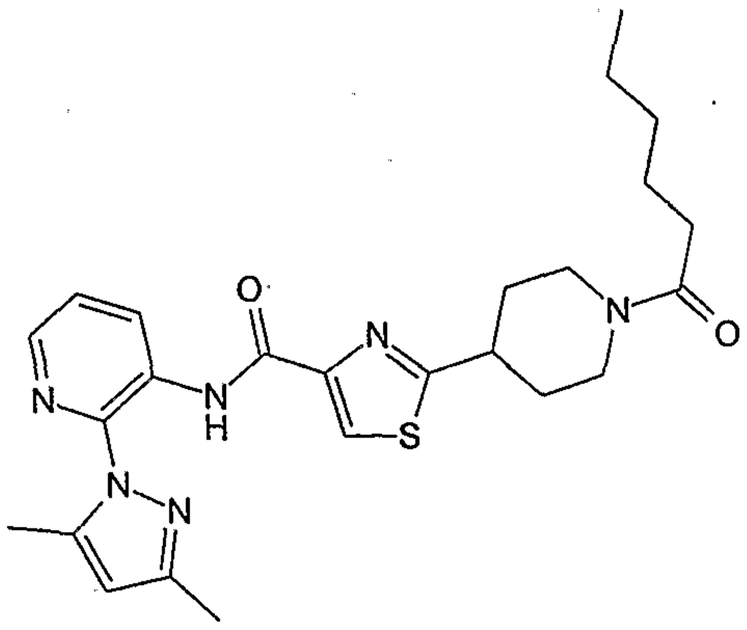
No.	FORMULA	NMR or mass
385	 N-ethyl-4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxamide	
386		
387		

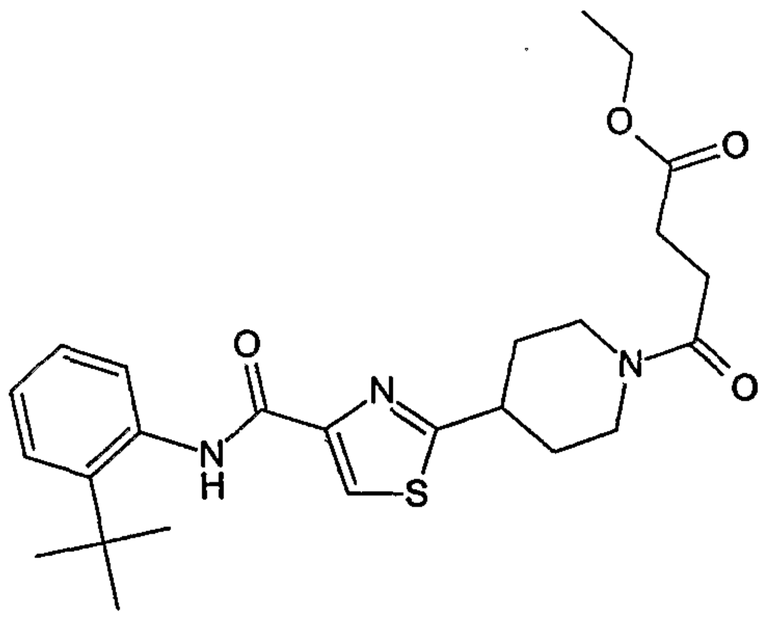
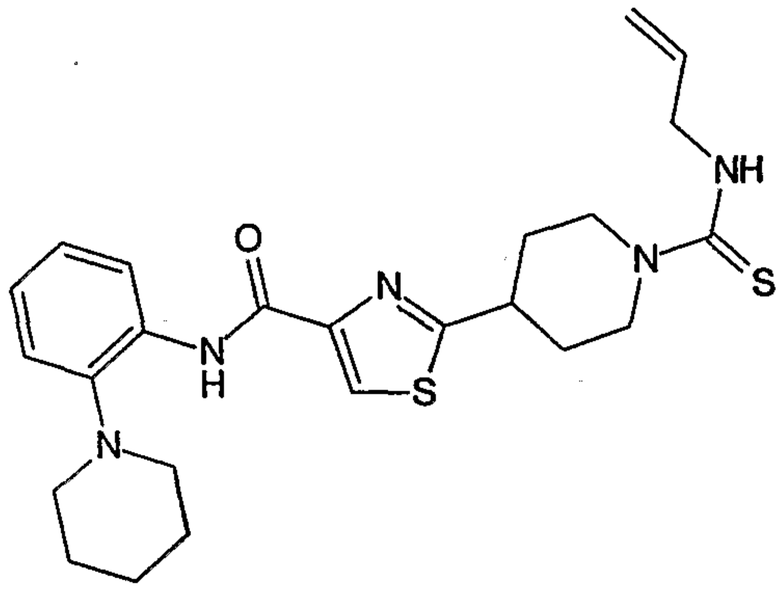
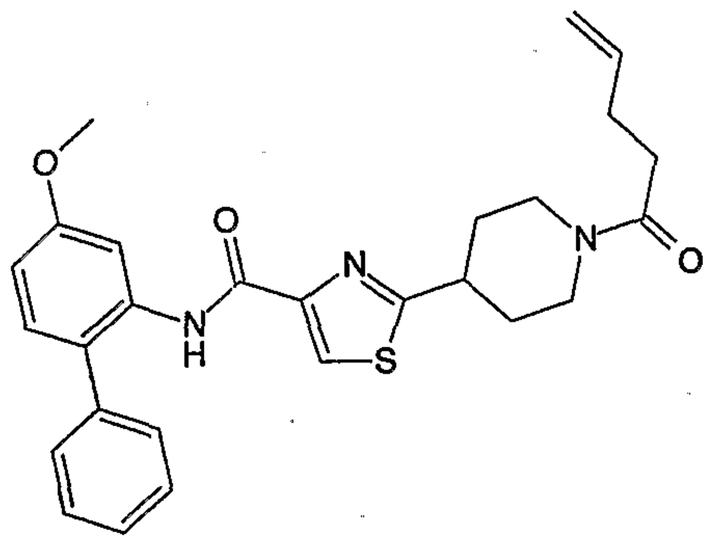
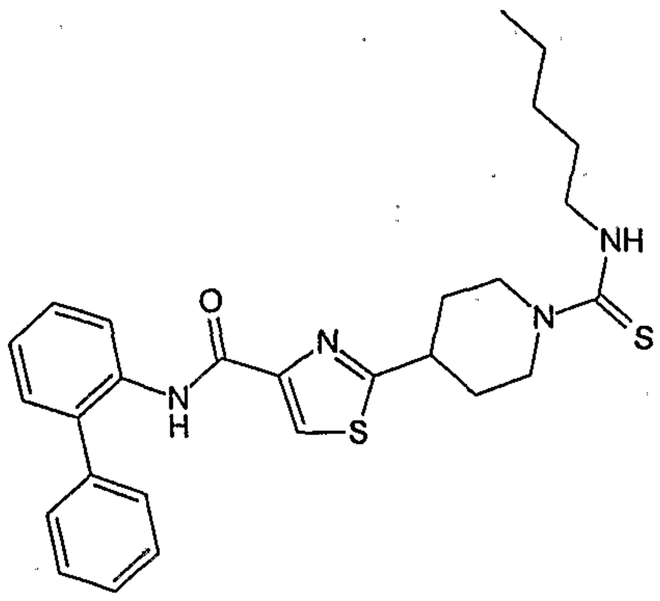
No.	FORMULA	NMR or mass
388		
389		
390	 ethyl N-({4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidin-1-yl}carbonyl)glycinate	

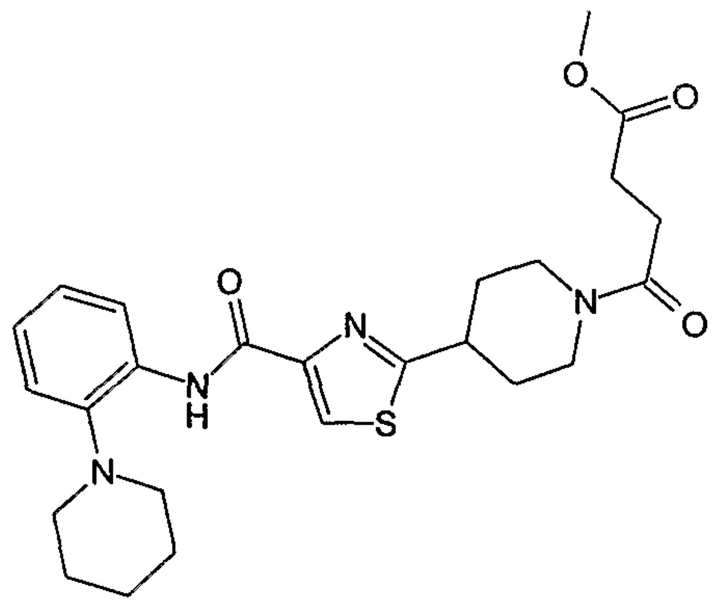
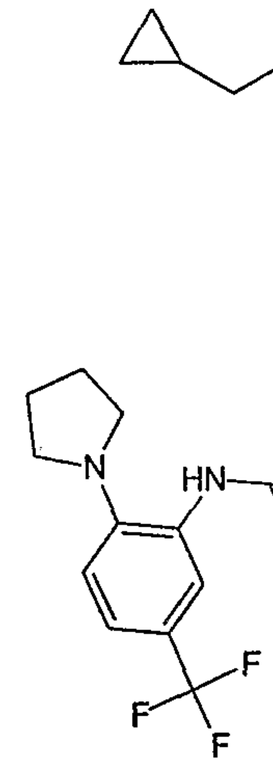
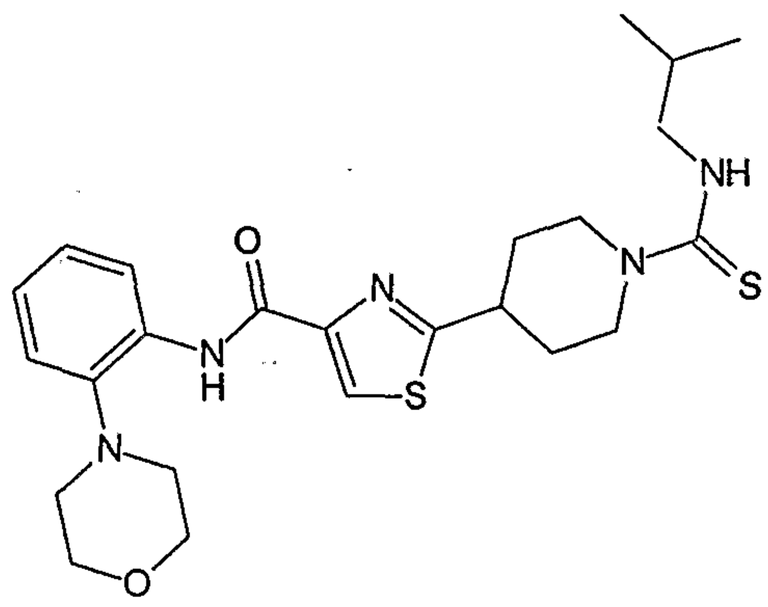
No.	FORMULA	NMR or mass
391		
392		
393		
394		

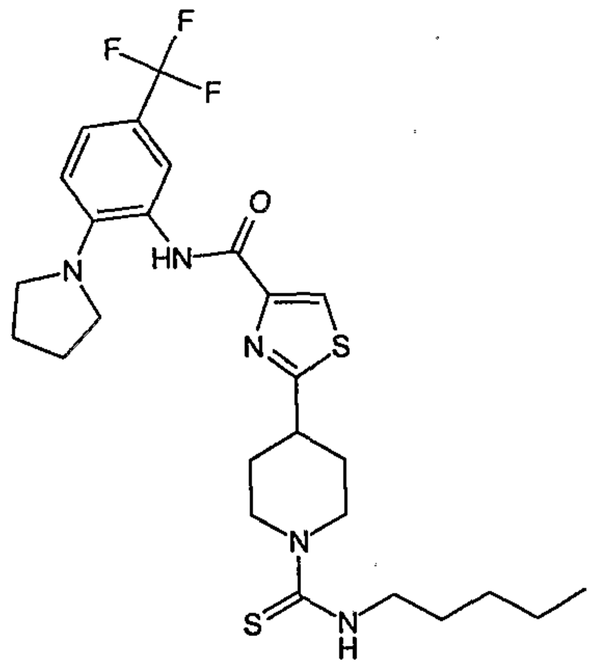
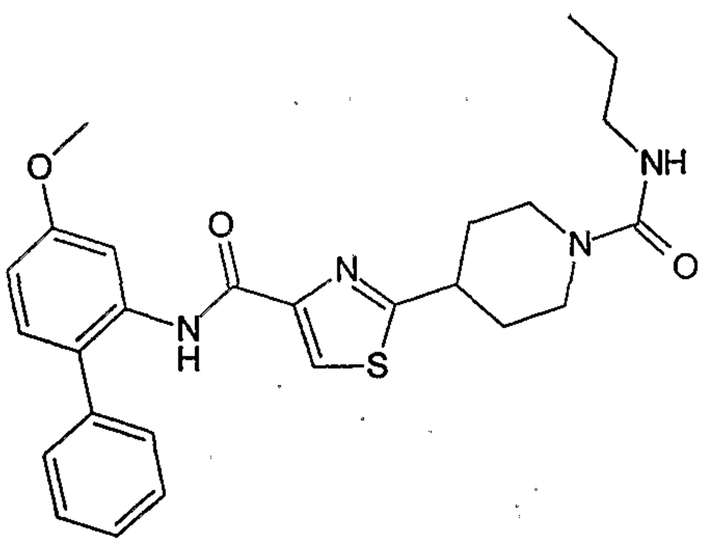
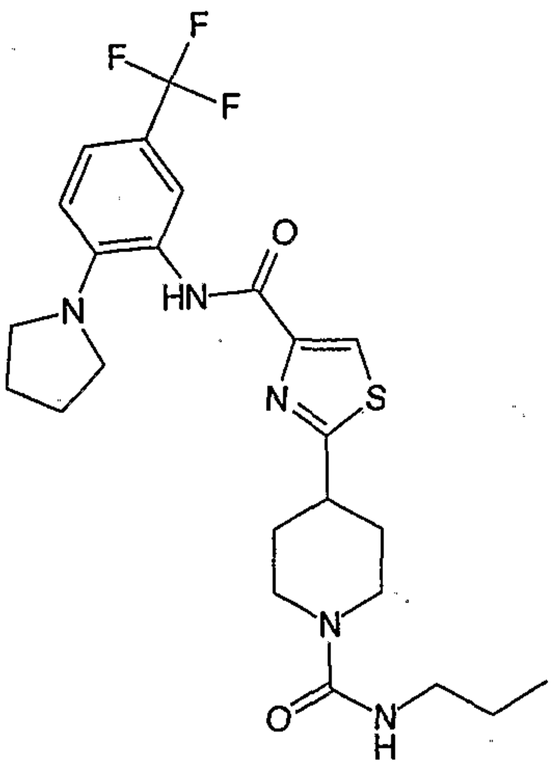
No.	FORMULA	NMR or mass
395	 N-butyl-4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxamide	
396	 2-{1-[(isobutylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
397		

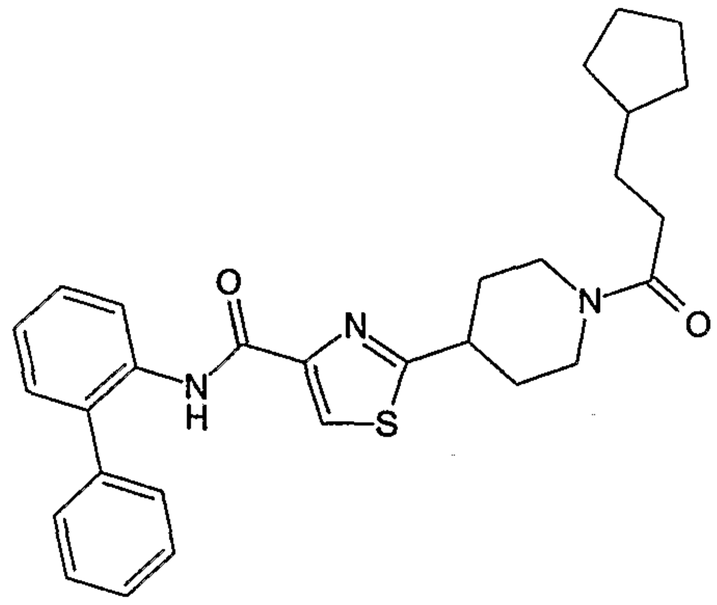
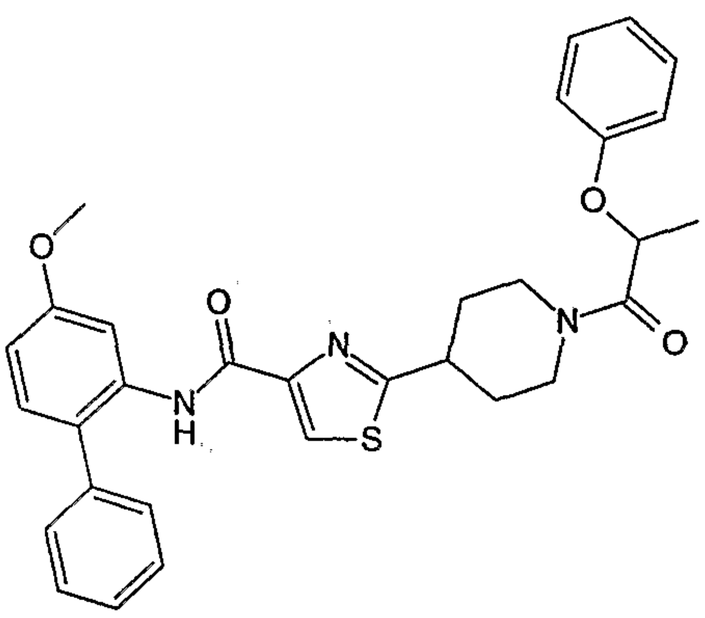
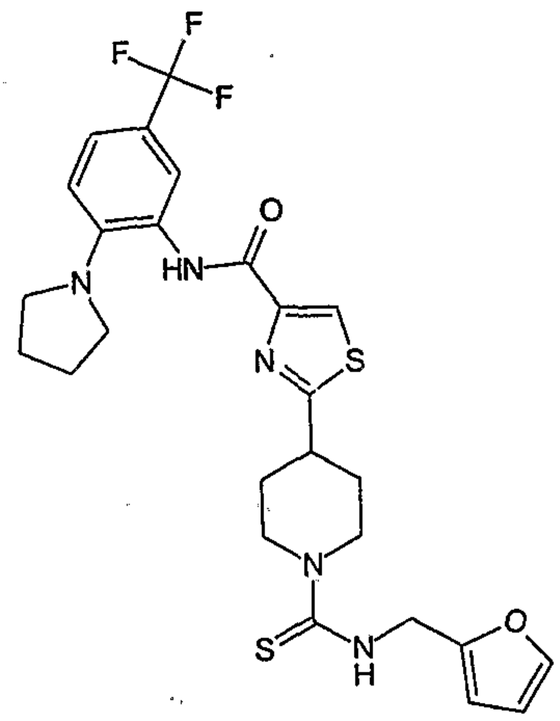
No.	FORMULA	NMR or mass
398		
399		
400		

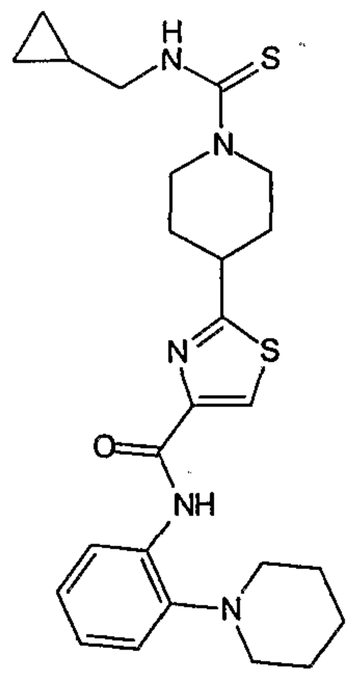
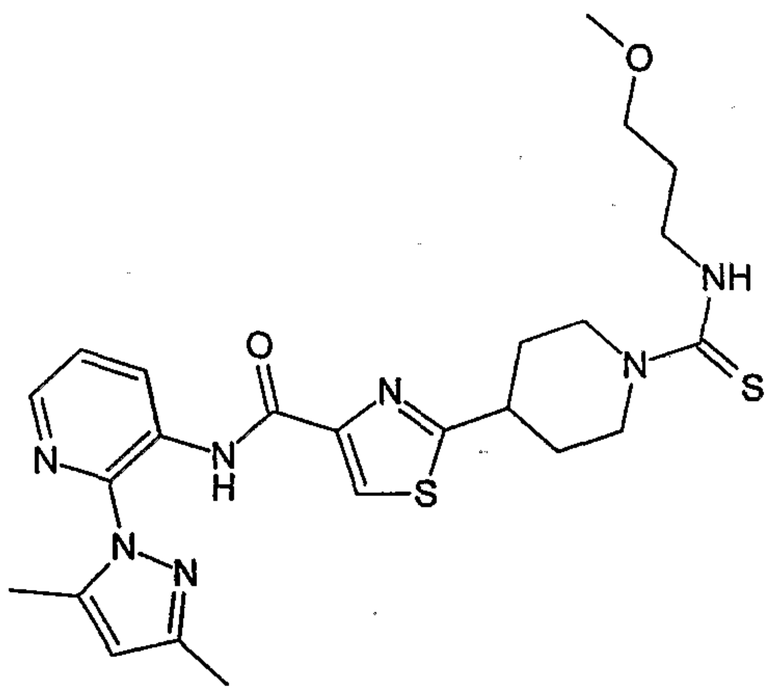
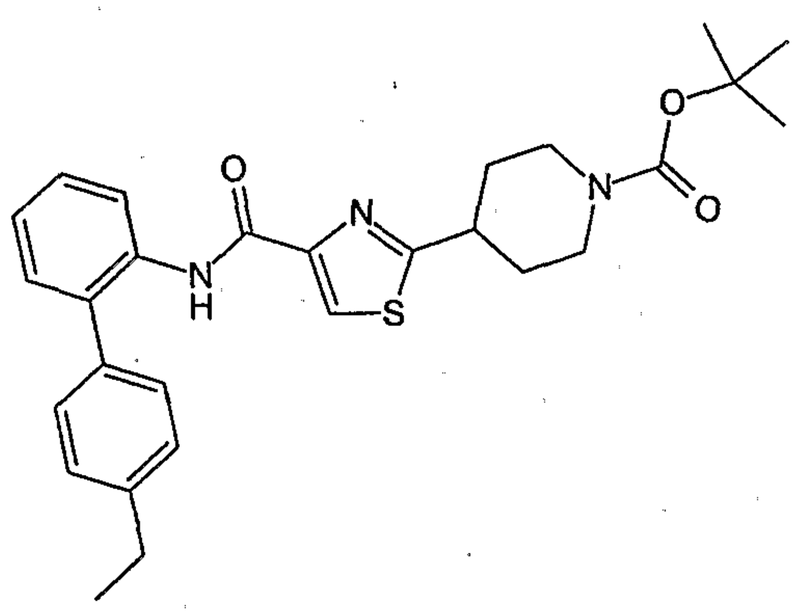
No.	FORMULA	NMR or mass
401		
402	 2-[1-(butylsulfonyl)piperidin-4-yl]-N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-1,3-thiazole-4-carboxamide	
403		

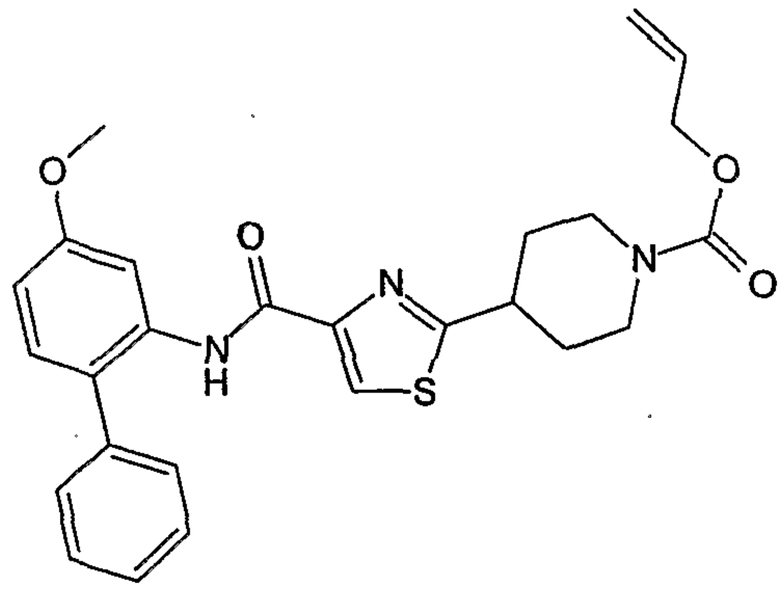
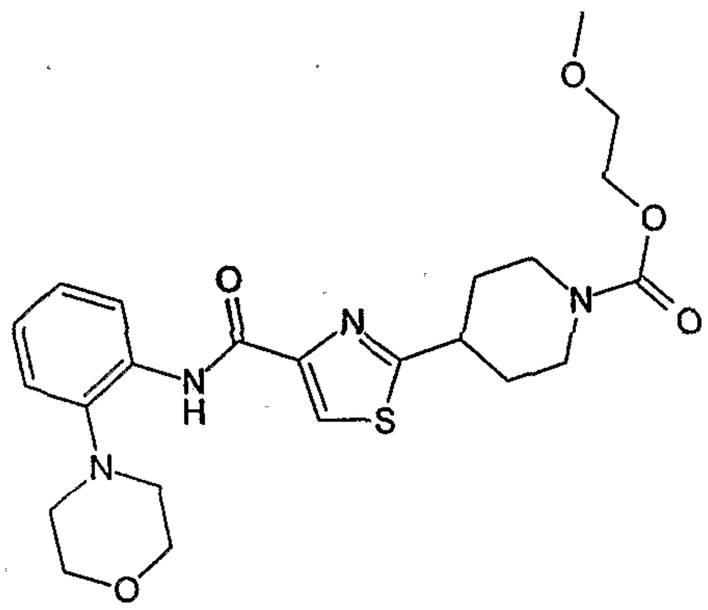
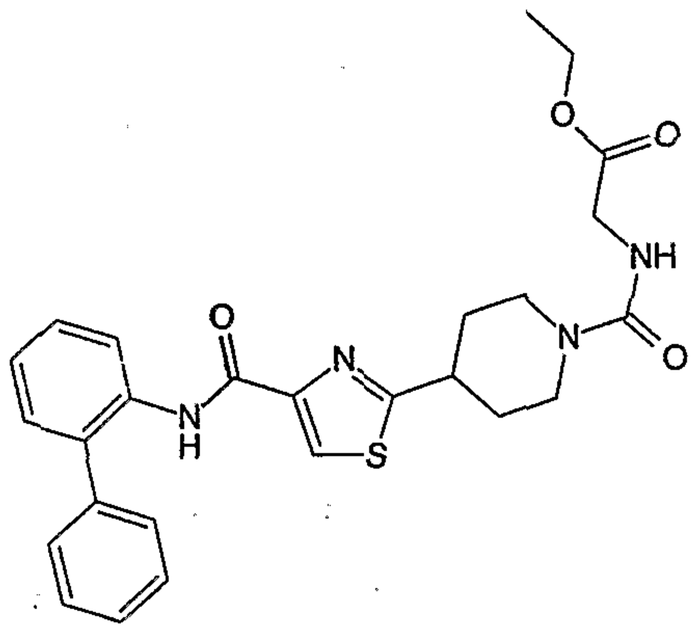
No.	FORMULA	NMR or mass
404		
405		
406		
407		

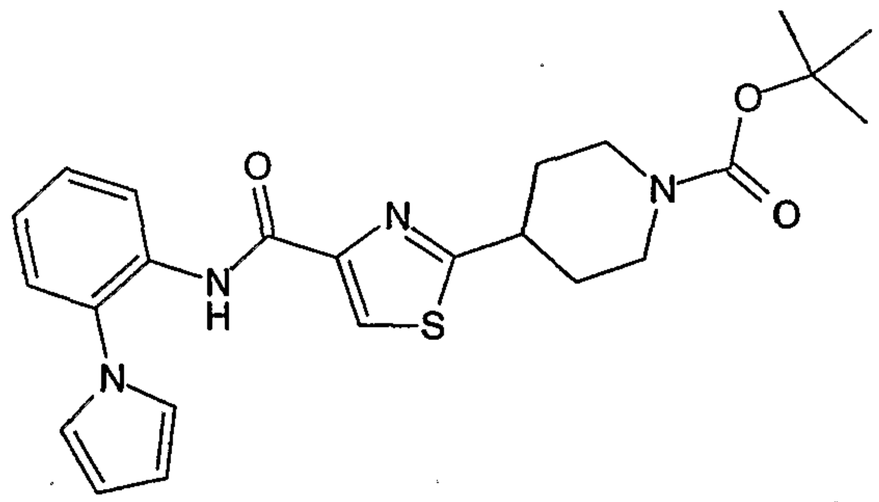
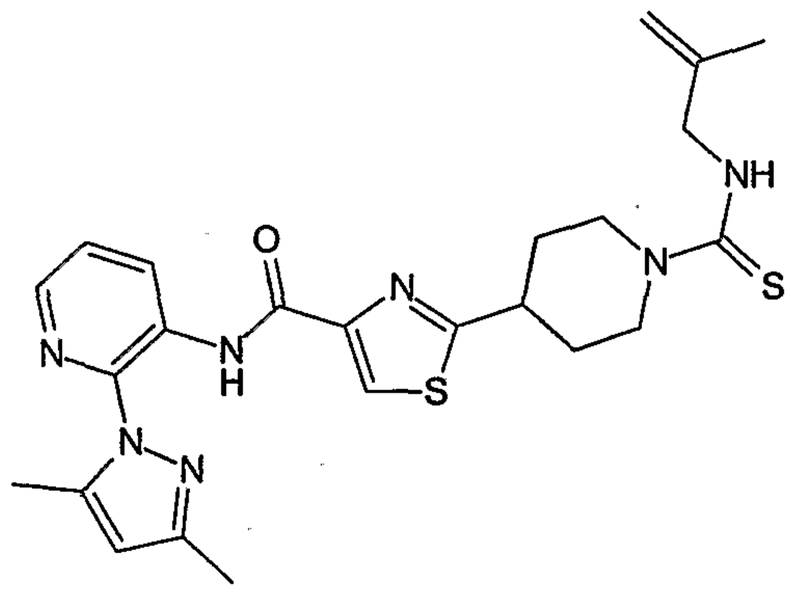
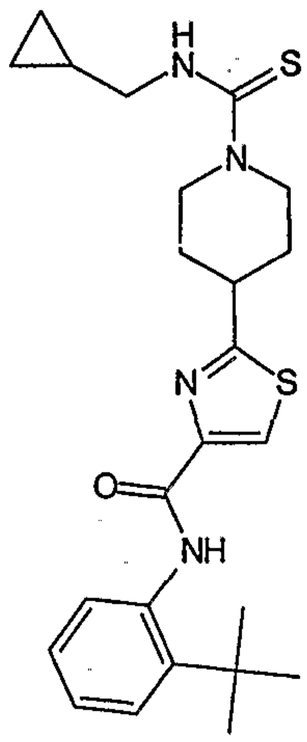
No.	FORMULA	NMR or mass
408		
409	 2-(1-{[(cyclopropylmethyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
410		

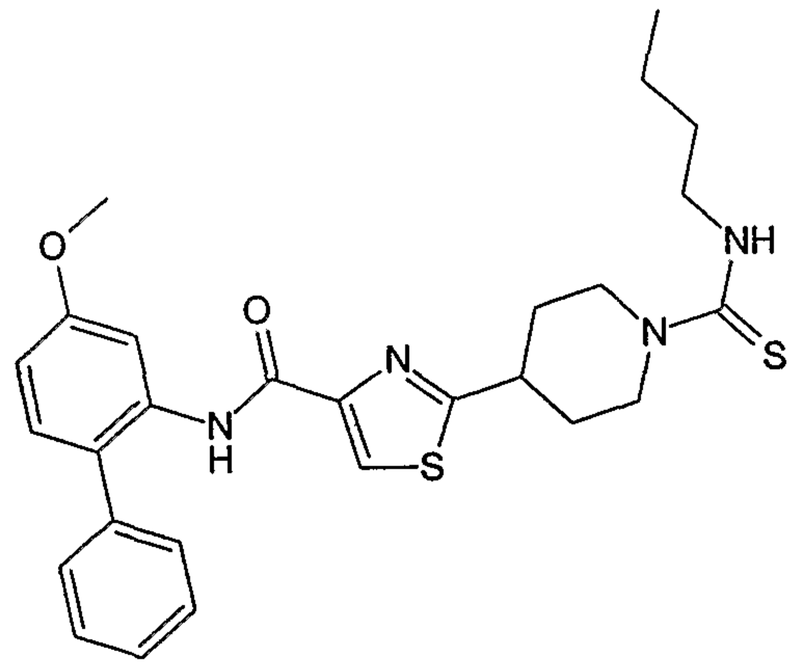
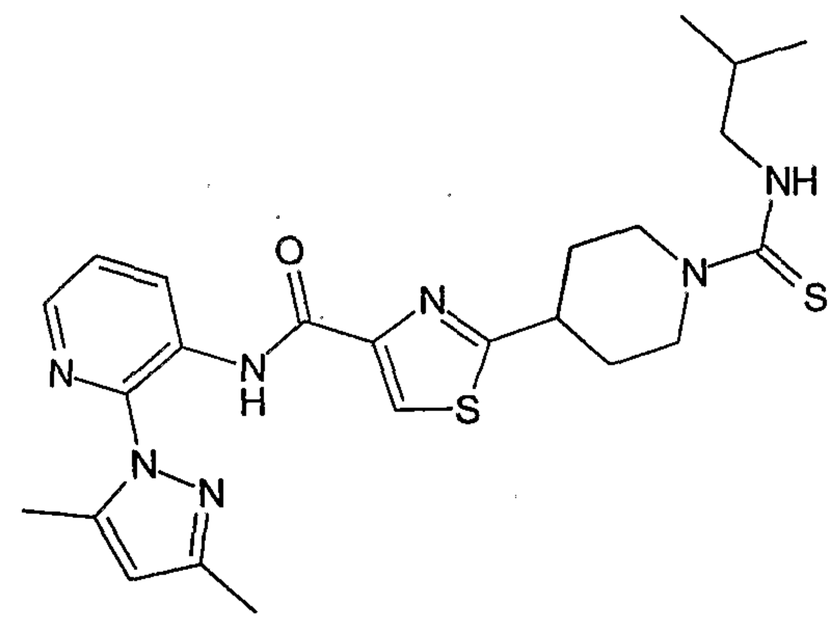
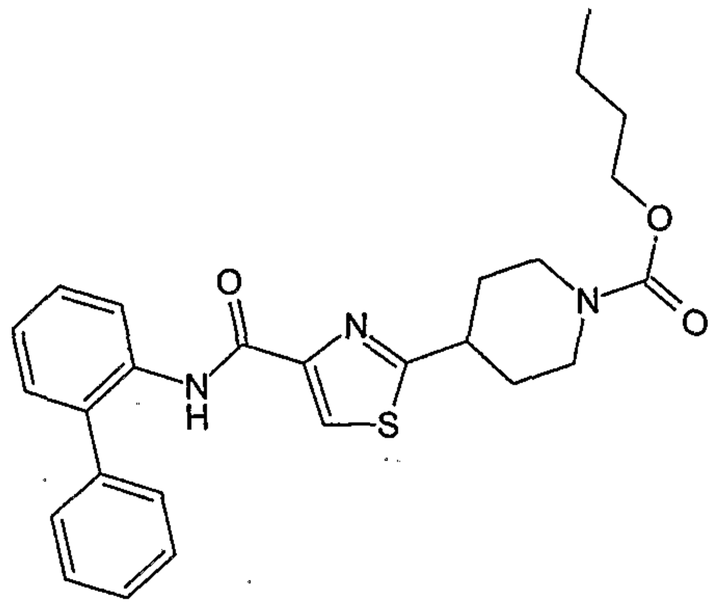
No.	FORMULA	NMR or mass
411	 2-{1-[(pentylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
412		
413	 N-propyl-4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxamide	

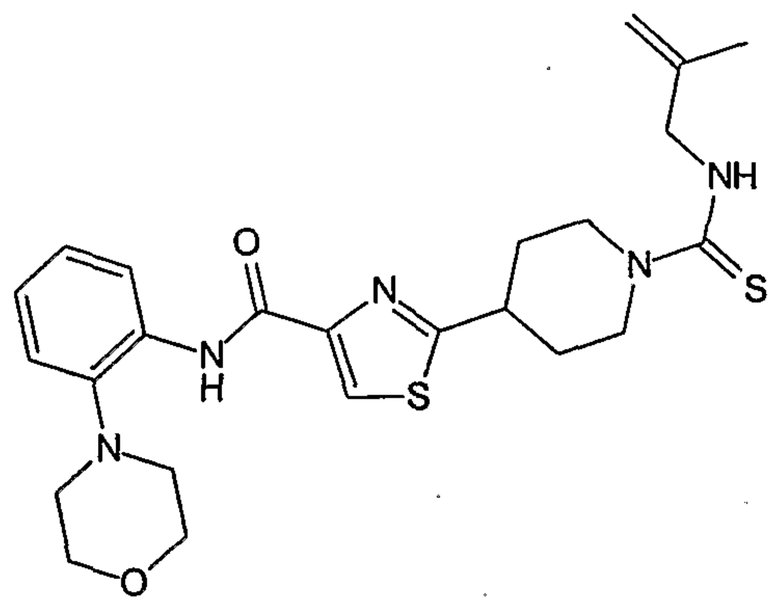
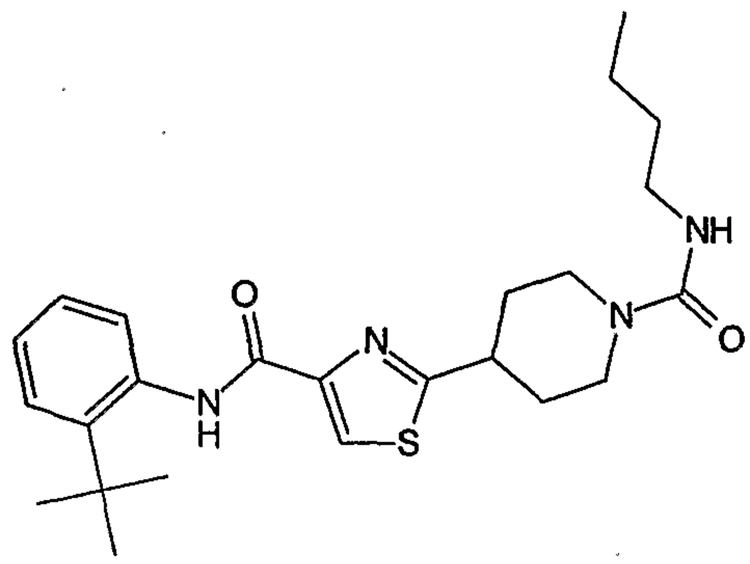
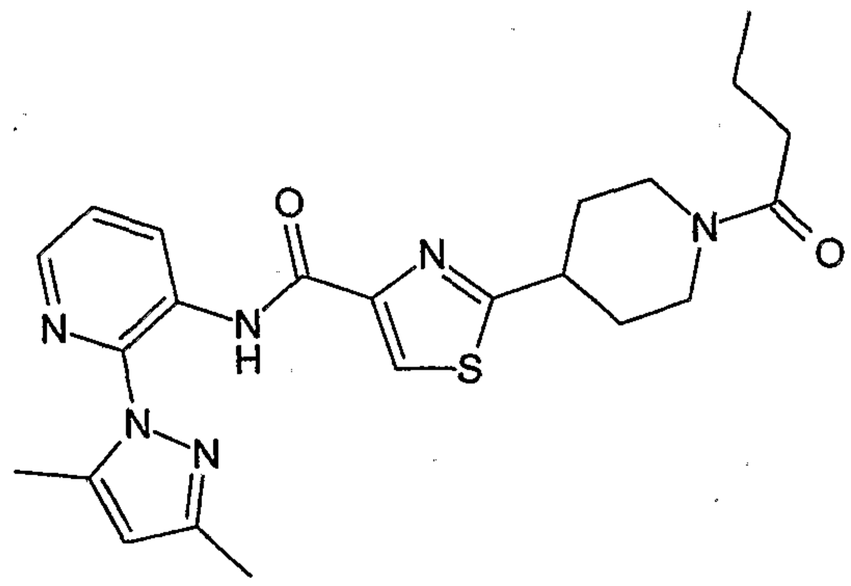
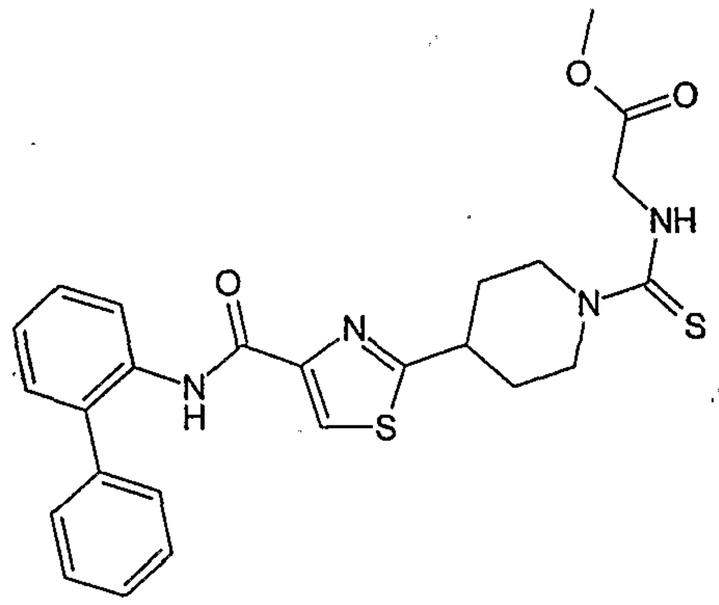
No.	FORMULA	NMR or mass
414		
415		
416	 2-(1-{[(2-furylmethyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	

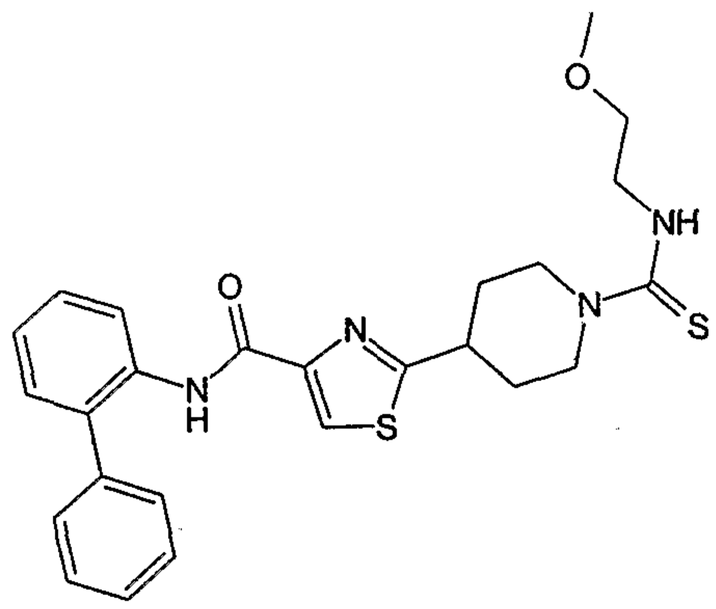
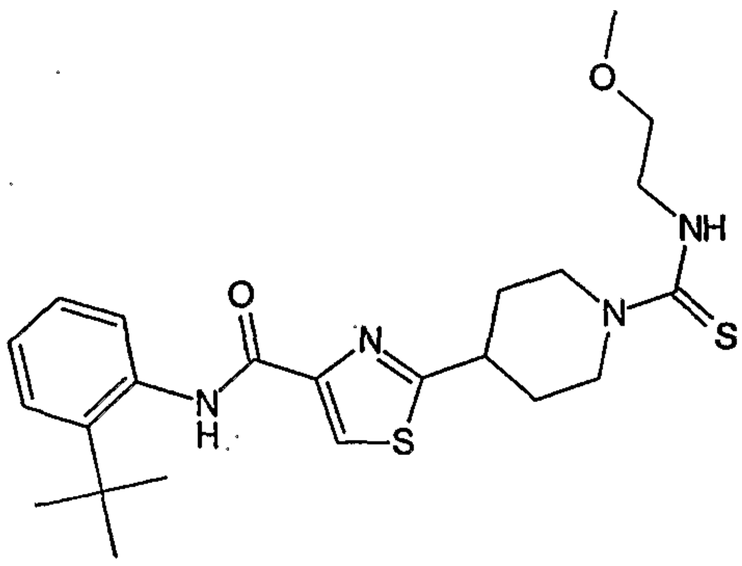
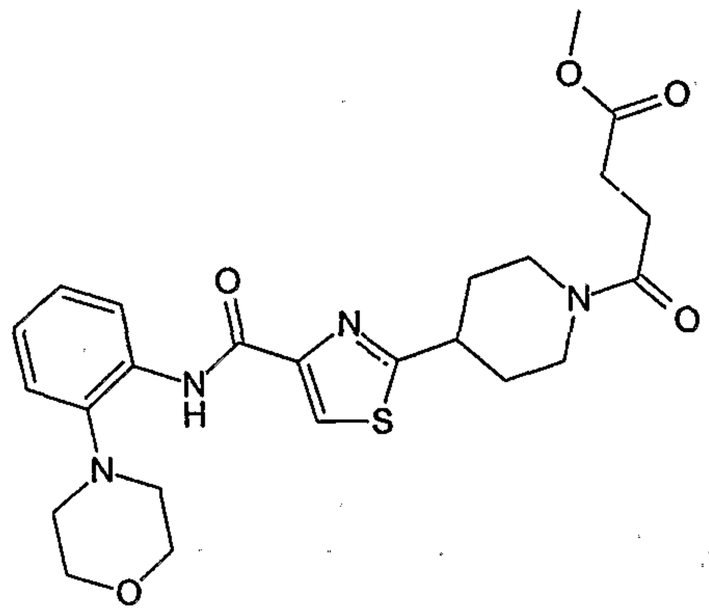
No.	FORMULA	NMR or mass
417	 2-(1-{[(cyclopropylmethyl)amino]carbonothioyl}piperidin-4-yl)-N-(2-piperidin-1-ylphenyl)-1,3-thiazole-4-carboxamide	
418		
419		

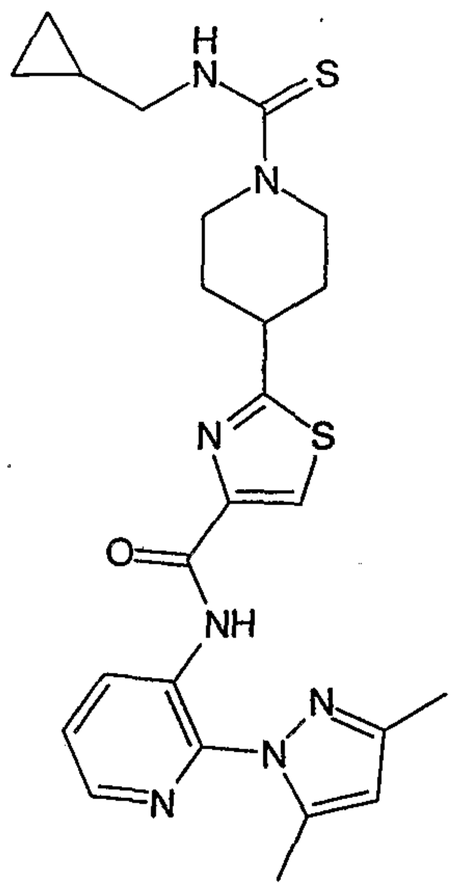
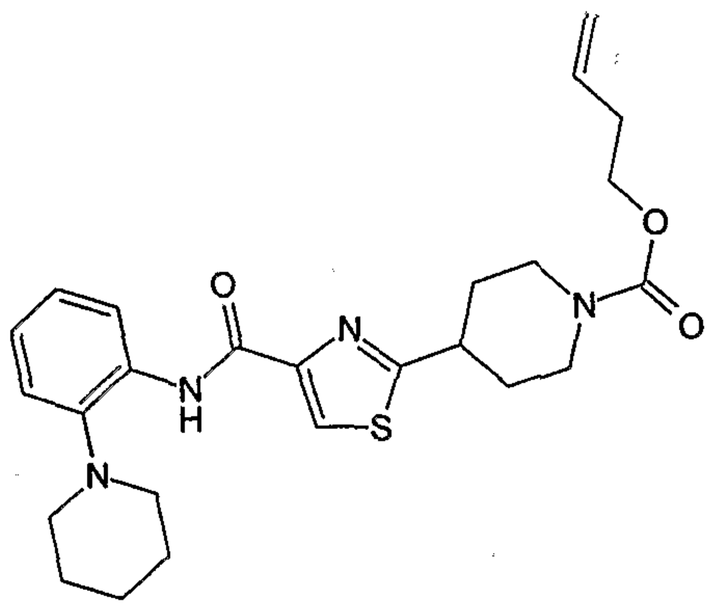
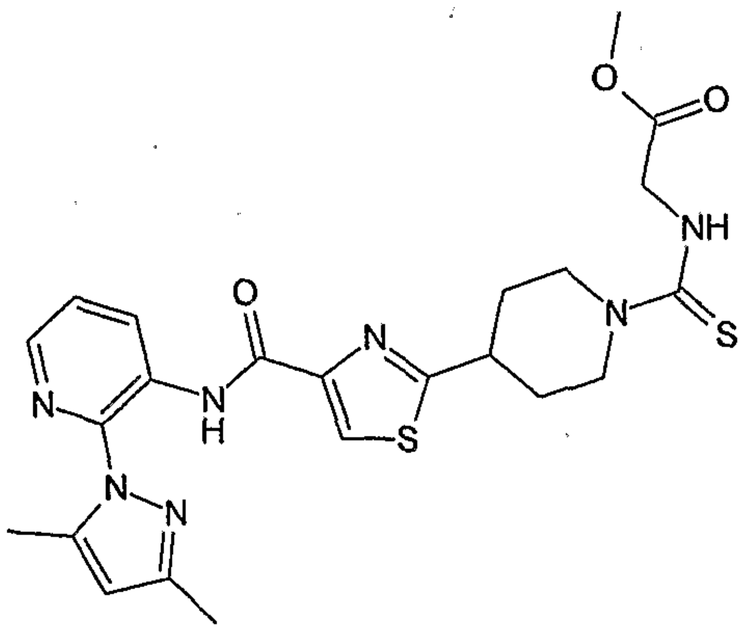
No.	FORMULA	NMR or mass
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421		
422		
423		

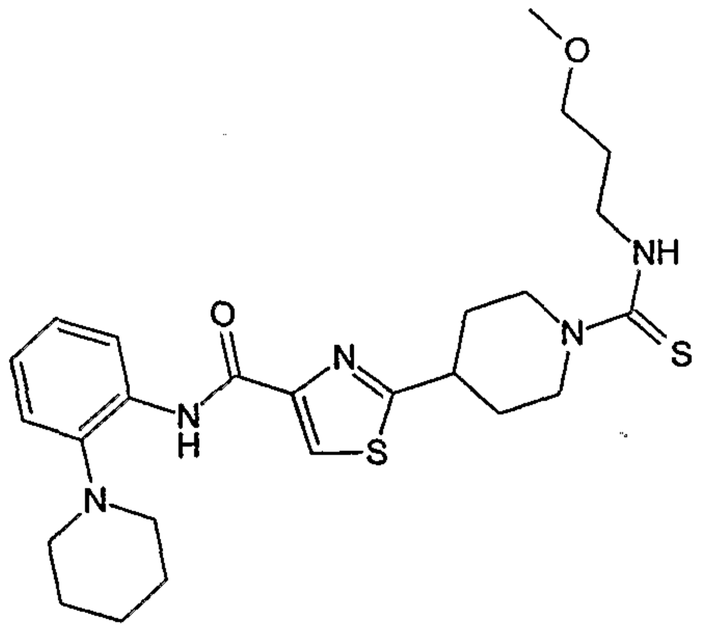
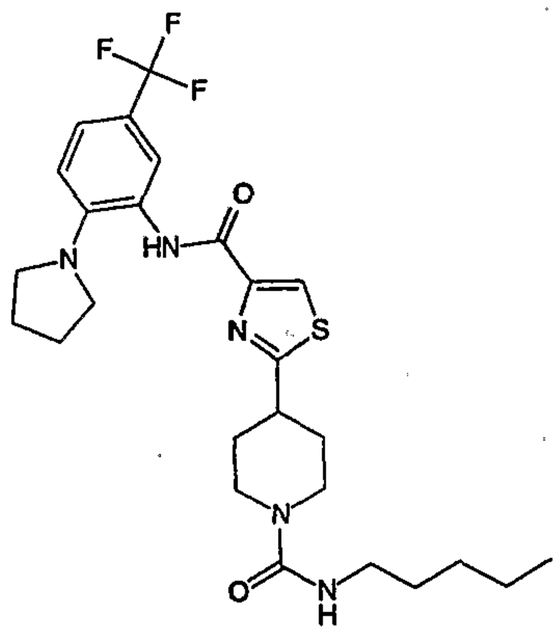
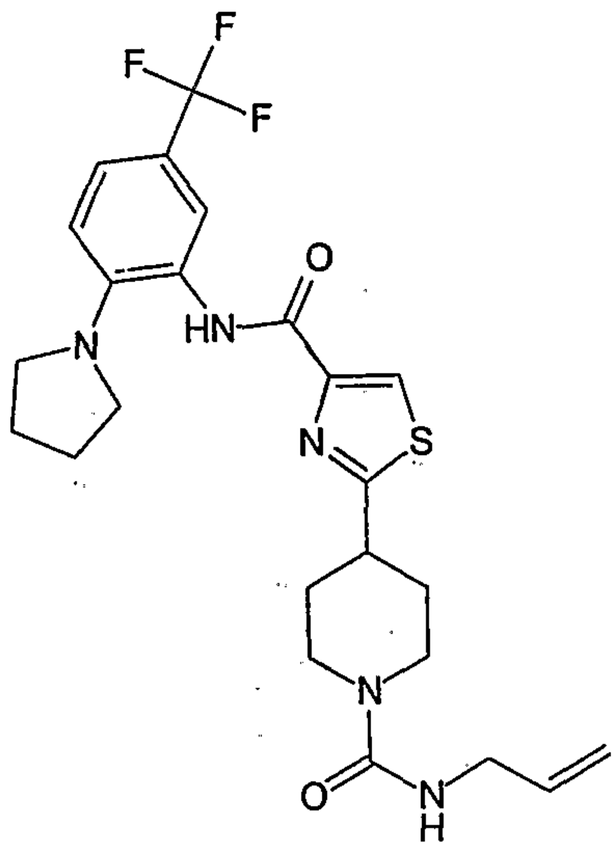
No.	FORMULA	NMR or mass
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425		
426	 N-(2-tert-butylphenyl)-2-(1-{[(cyclopropylmethyl)amino]carbonothioyl}-piperidin-4-yl)-1,3-thiazole-4-carboxamide	

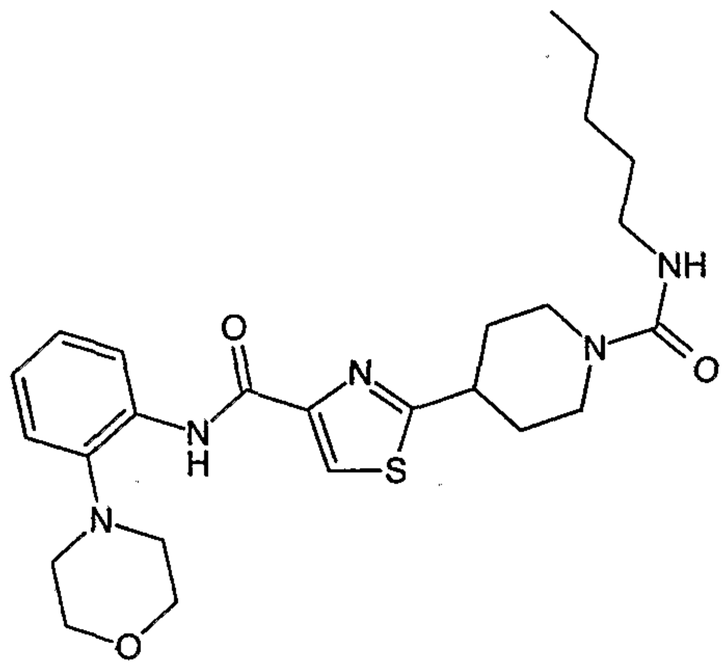
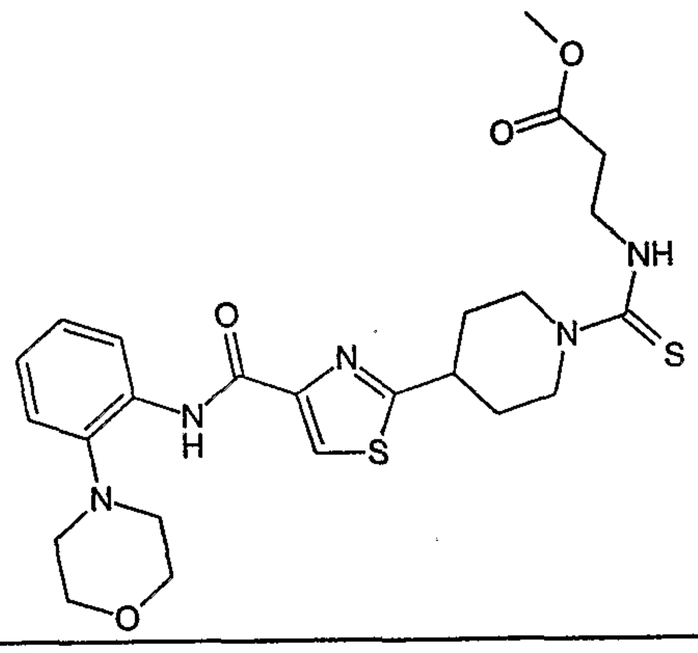
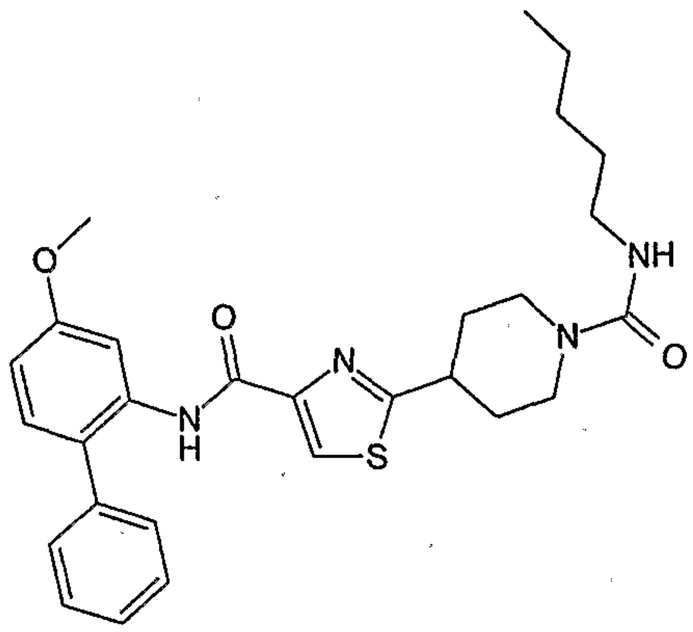
No.	FORMULA	NMR or mass
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428		
429		

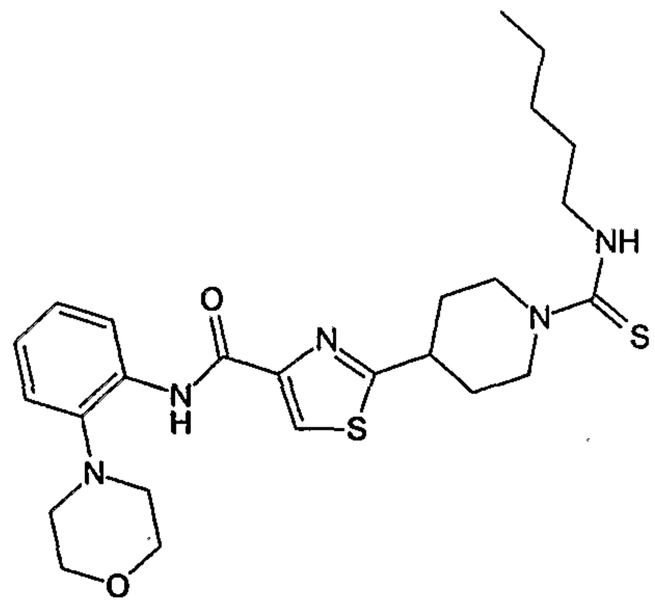
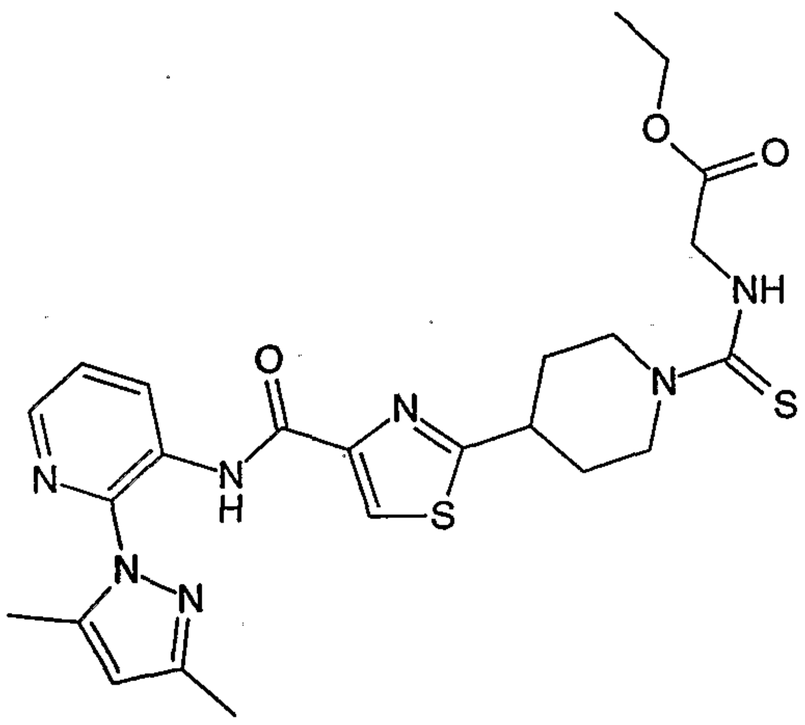
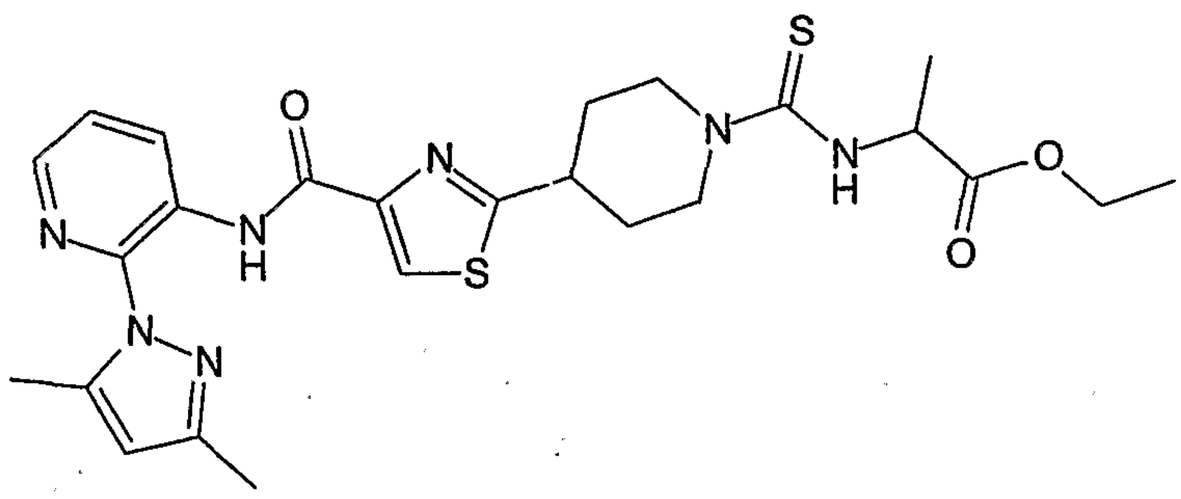
No.	FORMULA	NMR or mass
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431		
432		
433		

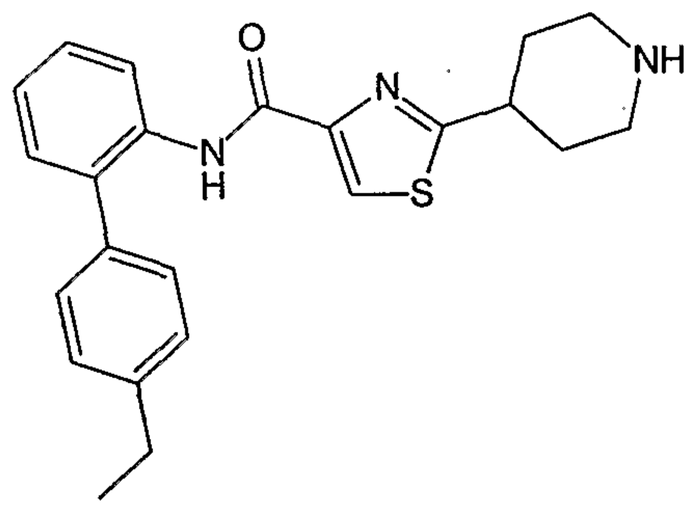
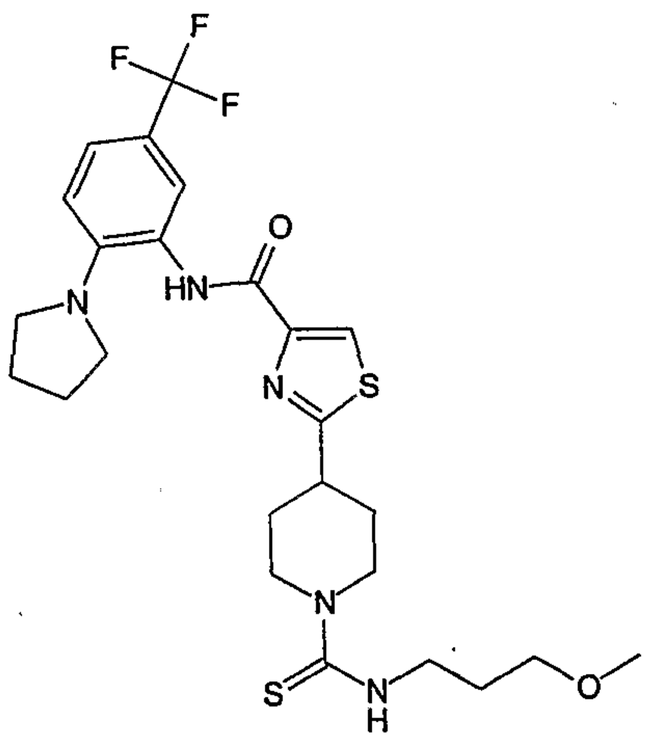
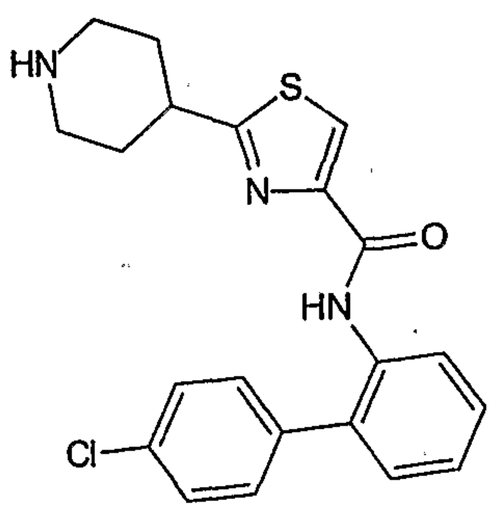
No.	FORMULA	NMR or mass
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435		
436		

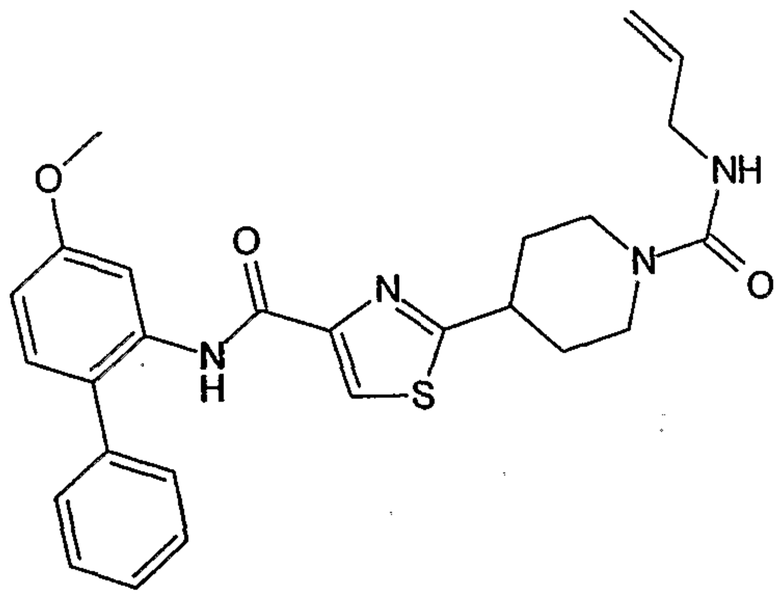
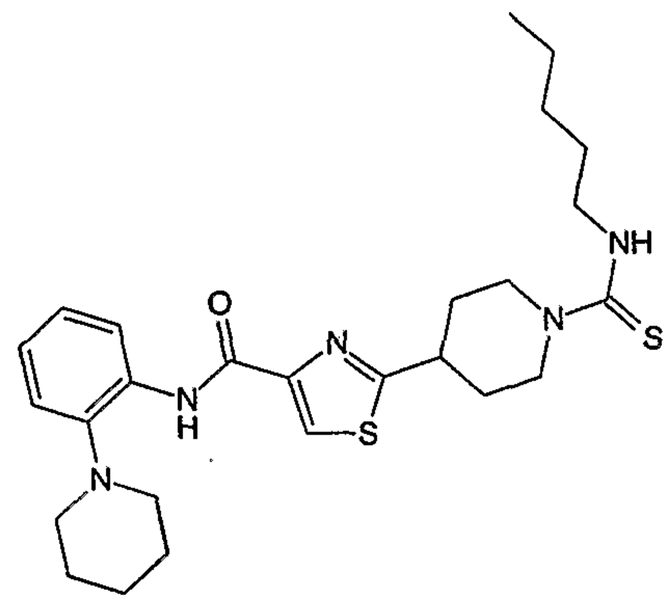
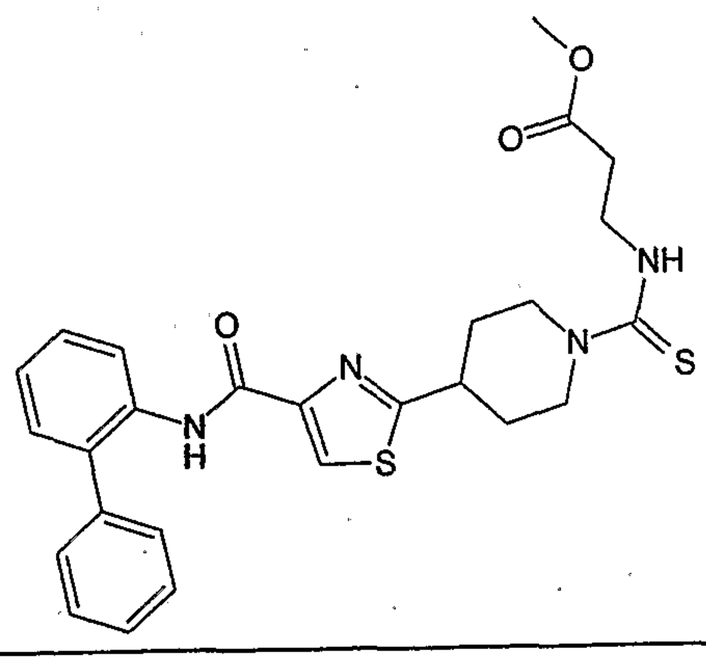
No.	FORMULA	NMR or mass
437	 2-(1-{[(cyclopropylmethyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-1,3-thiazole-4-carboxamide	
438		
439		

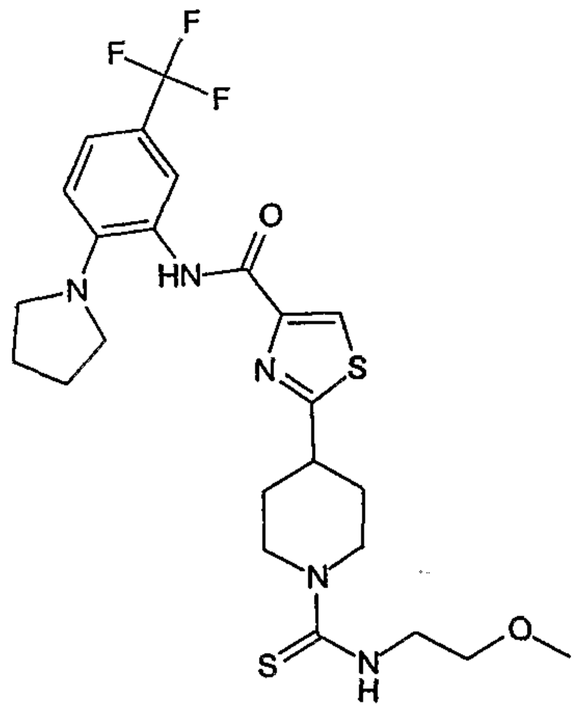
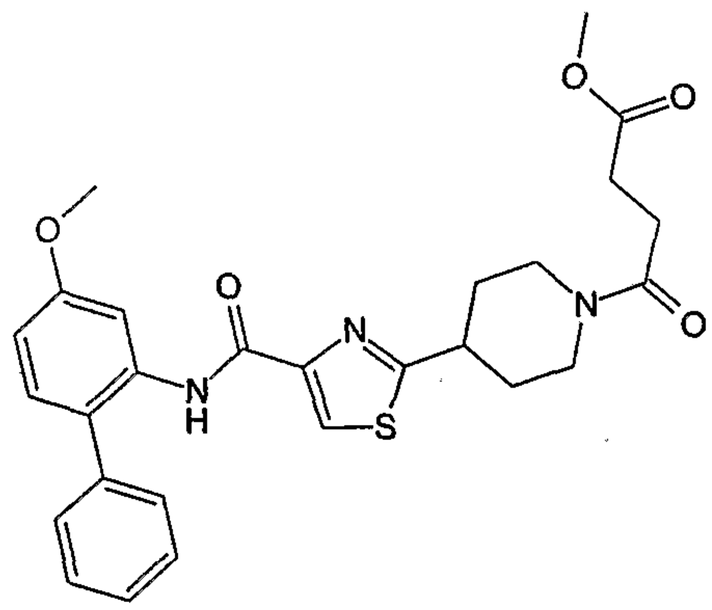
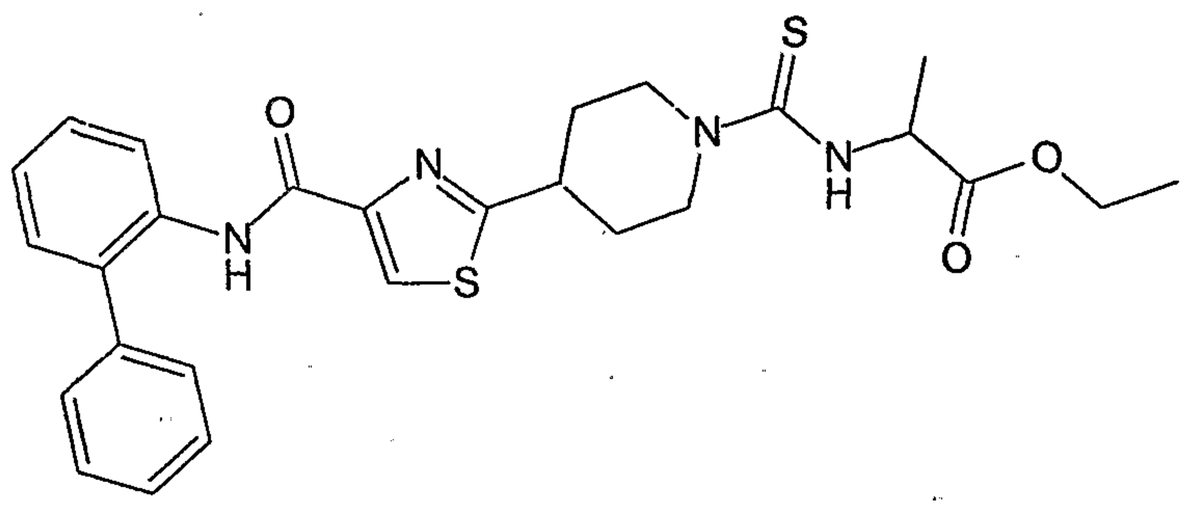
No.	FORMULA	NMR or mass
440		
441	 N-pentyl-4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxamide	
442	 N-allyl-4-[4-({[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]amino}carbonyl)-1,3-thiazol-2-yl]piperidine-1-carboxamide	

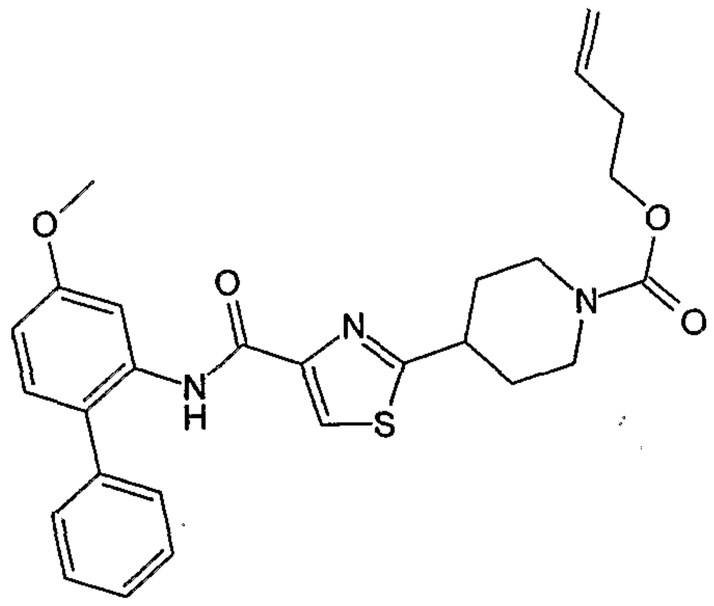
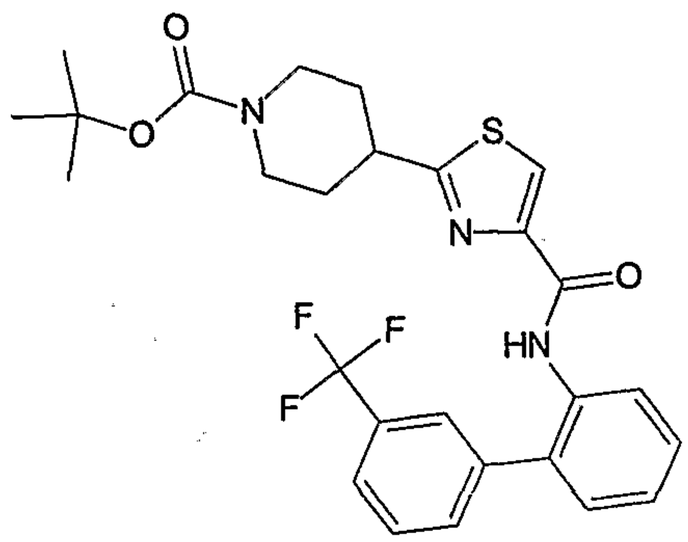
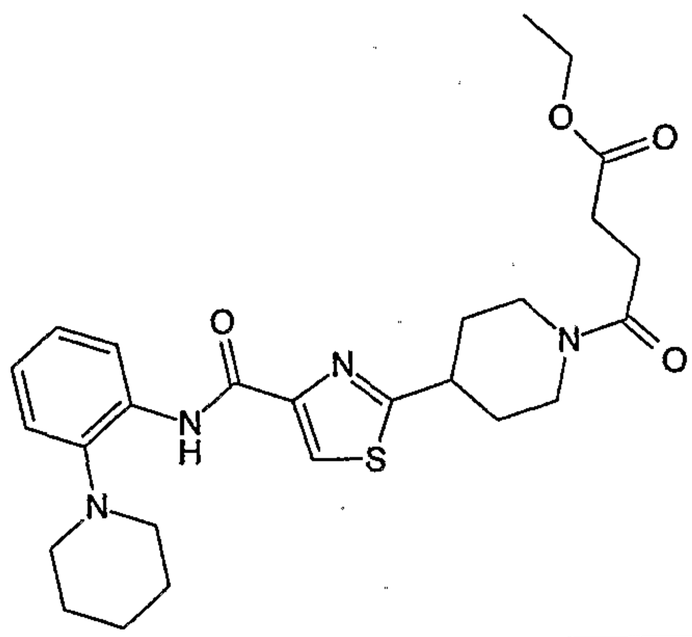
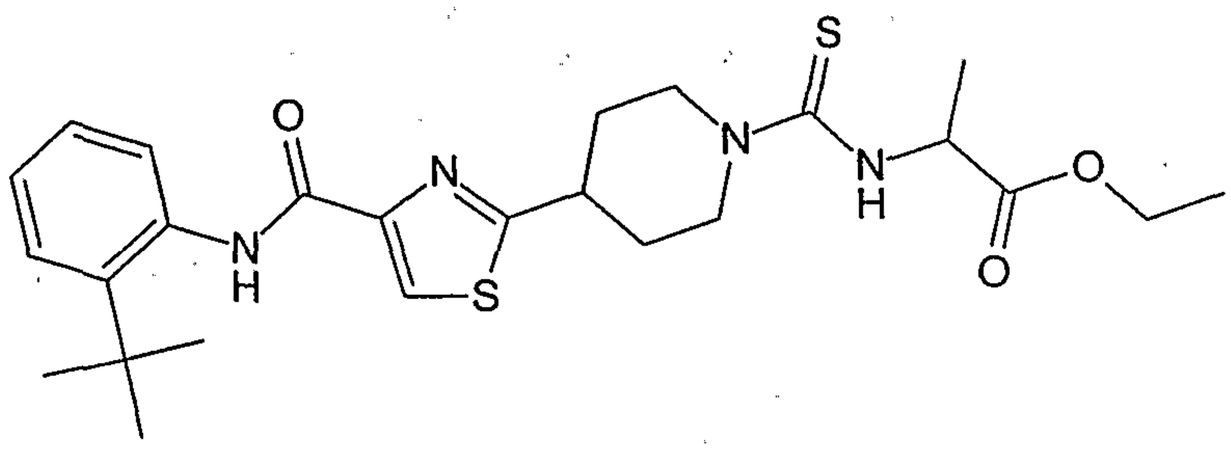
No.	FORMULA	NMR or mass
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444		
445		

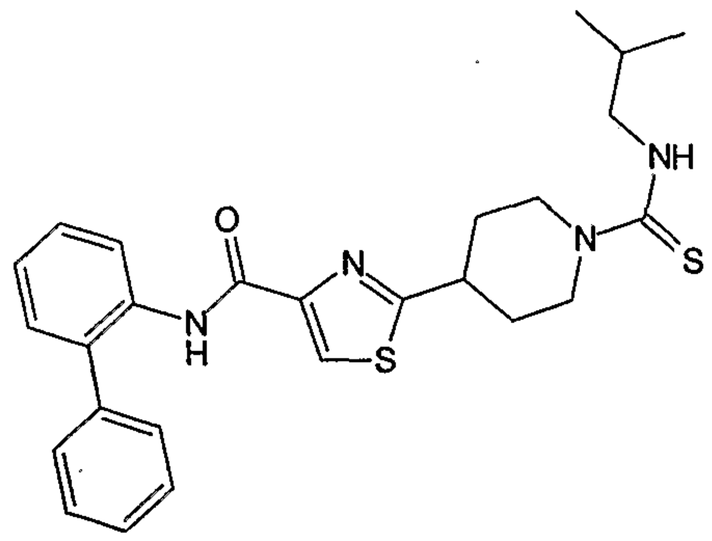
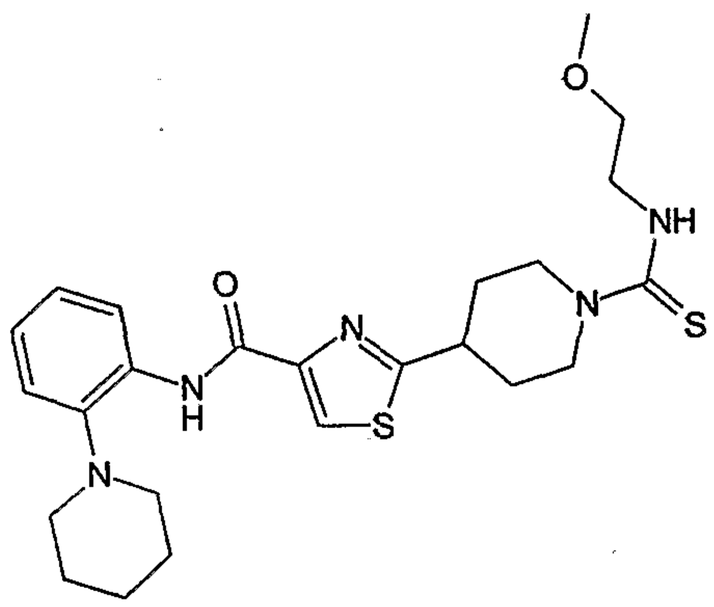
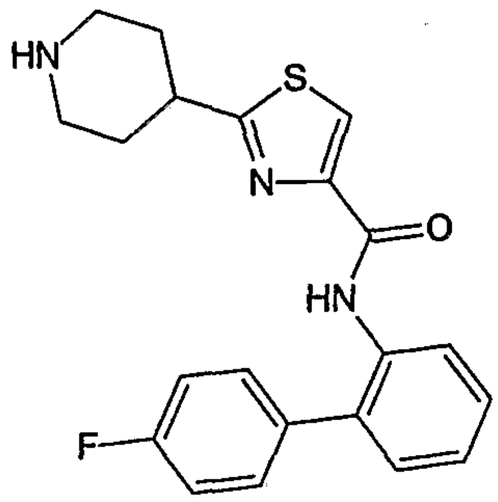
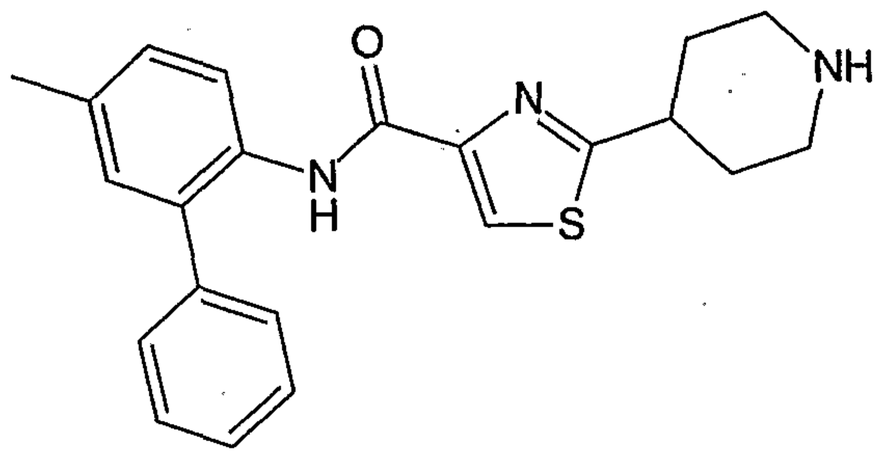
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448		

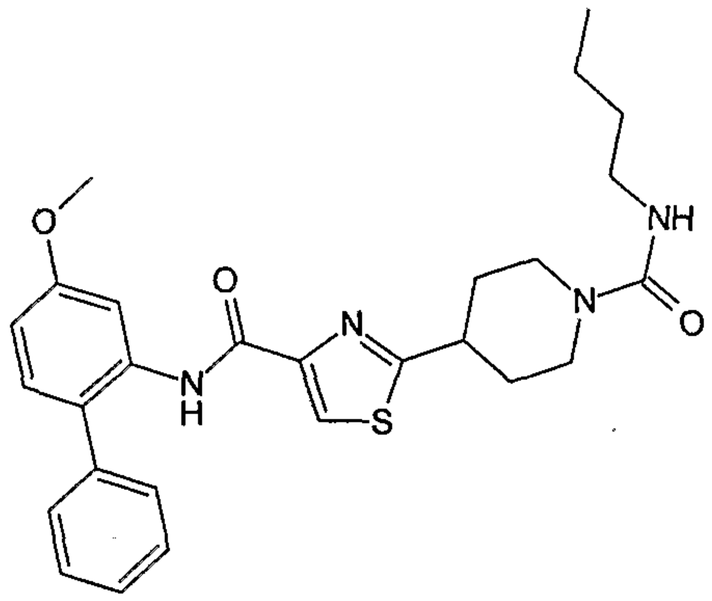
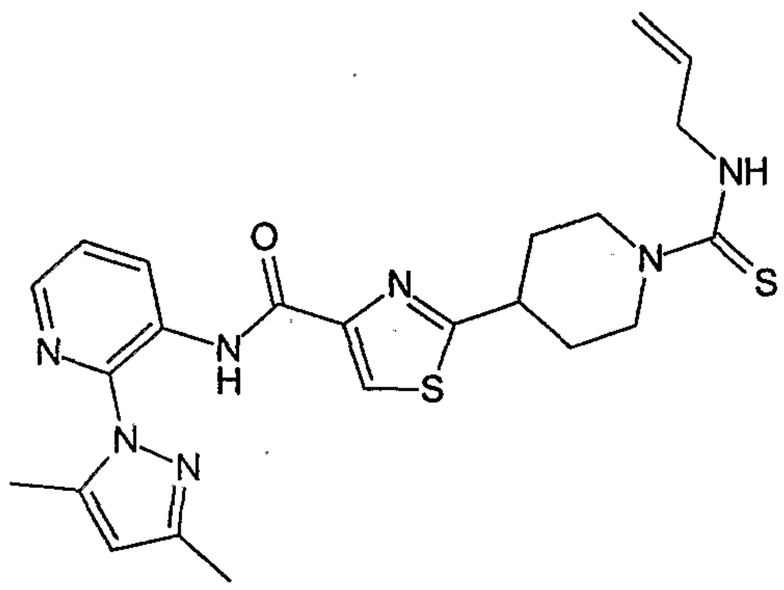
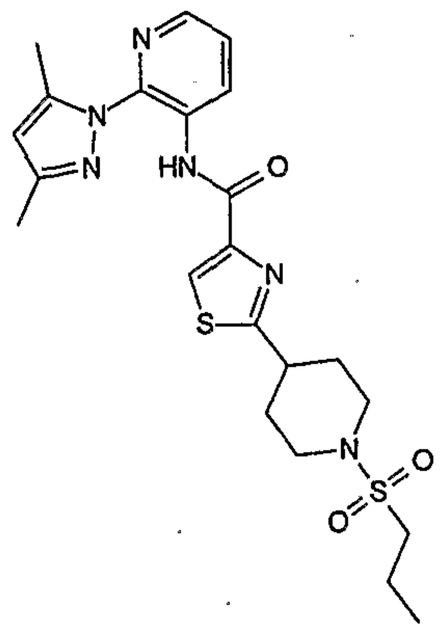
No.	FORMULA	NMR or mass
449		
450	 2-(1-{[(3-methoxypropyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
451		

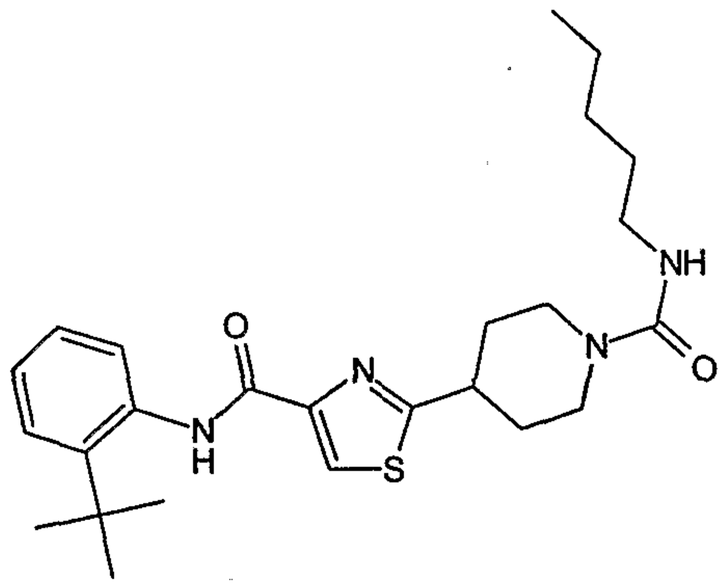
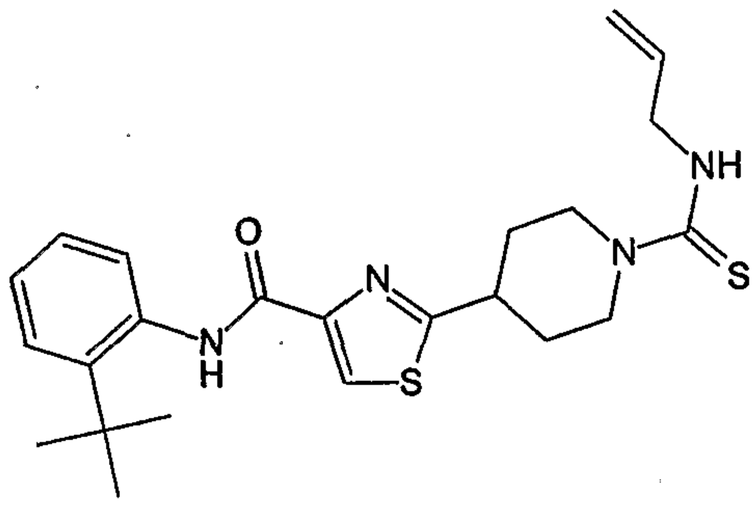
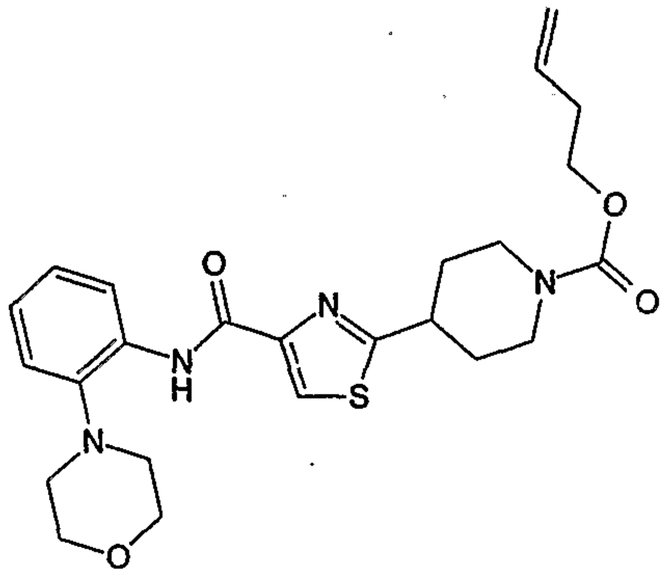
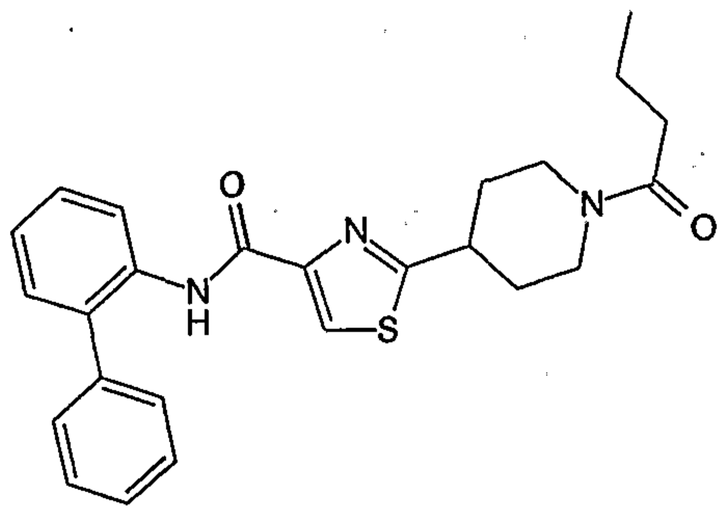
No.	FORMULA	NMR or mass
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453		
454		

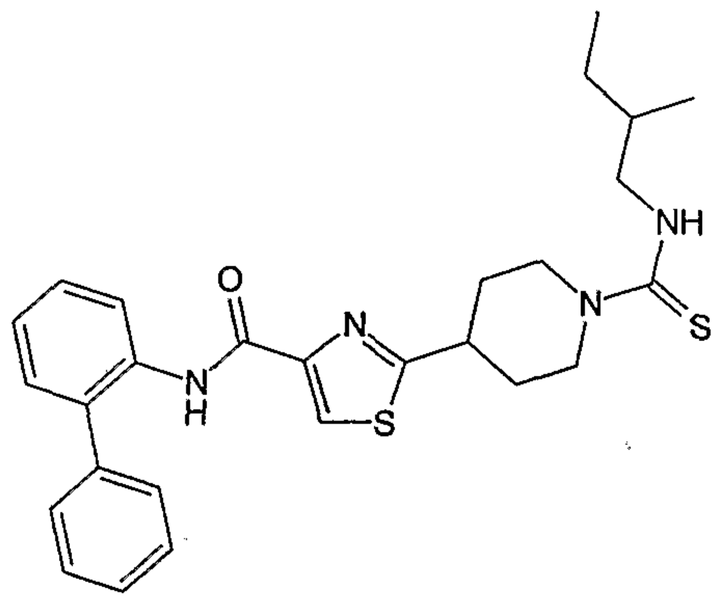
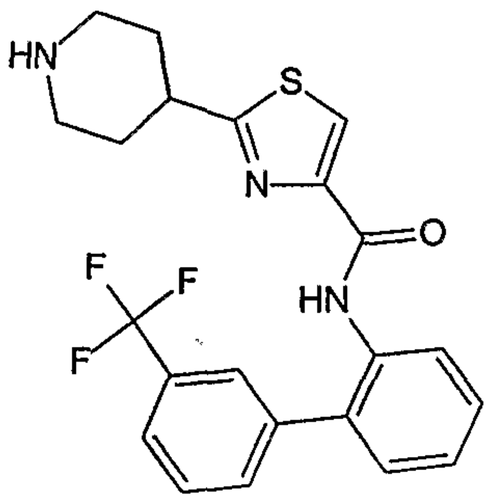
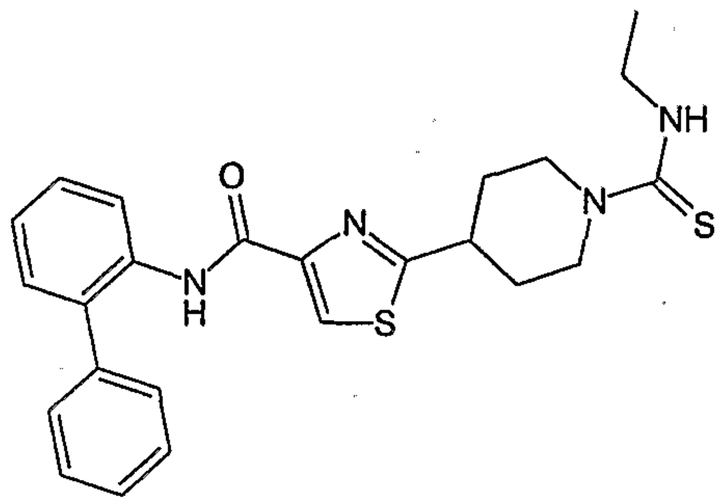
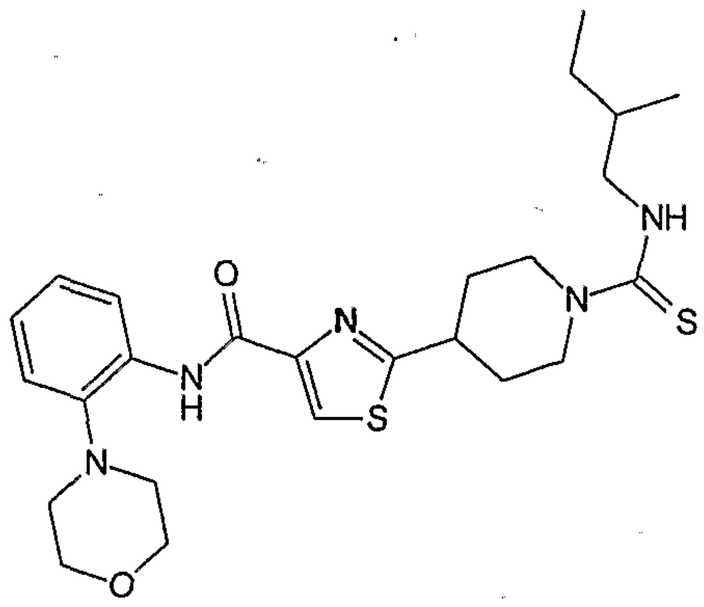
No.	FORMULA	NMR or mass
455	 2-(1-{[(2-methoxyethyl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
456		
457		

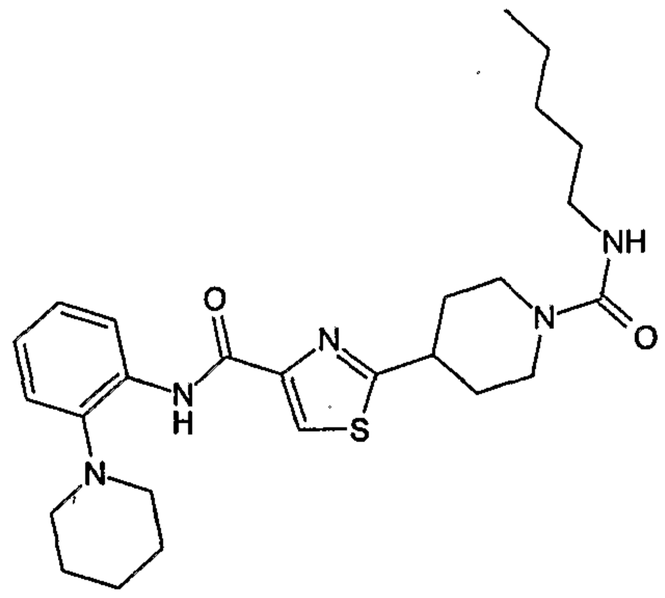
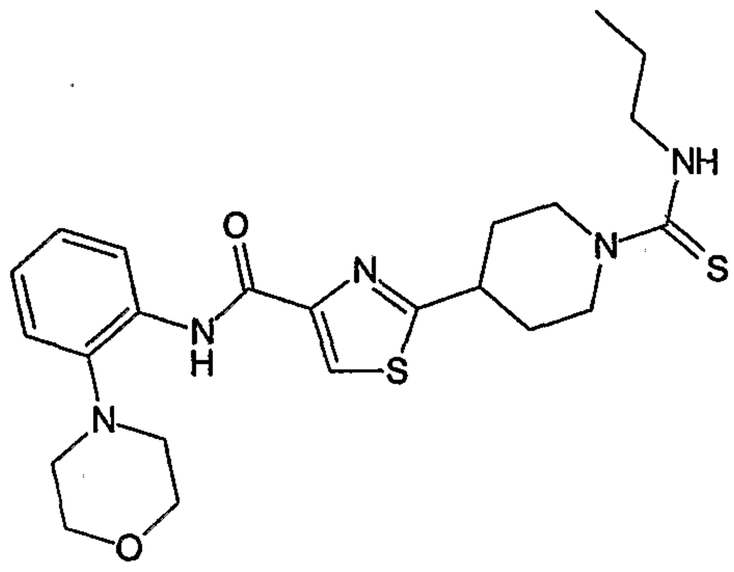
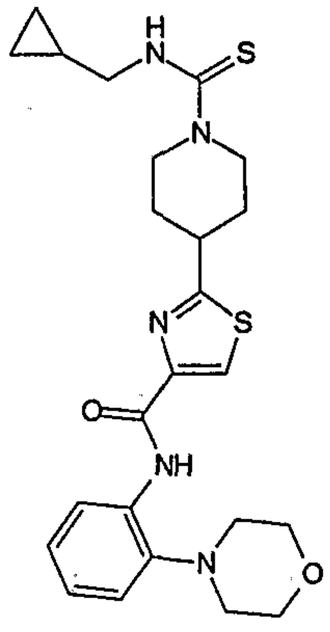
No.	FORMULA	NMR or mass
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459		
460		
461		

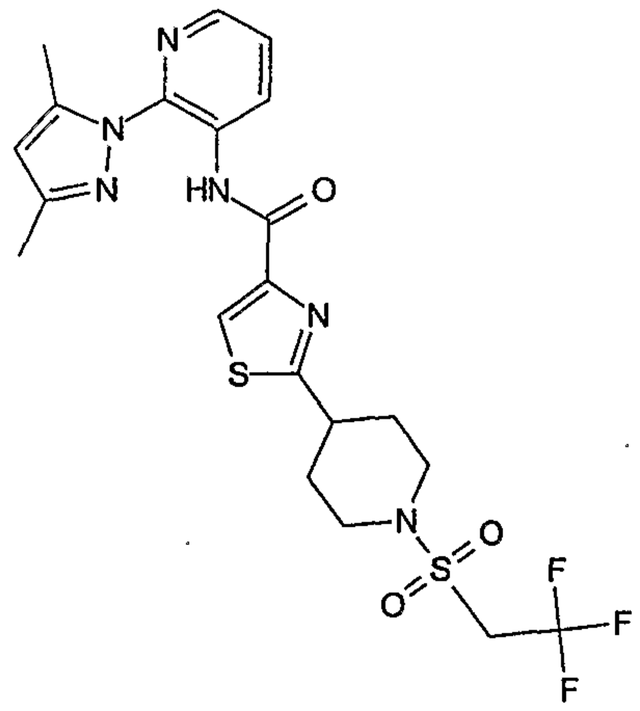
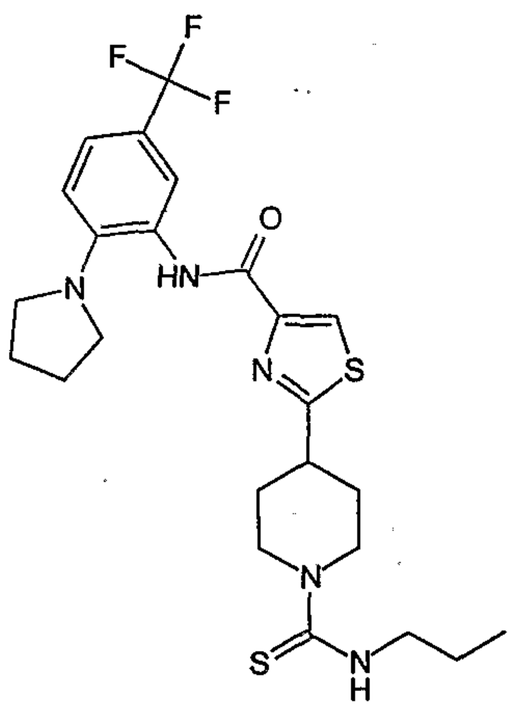
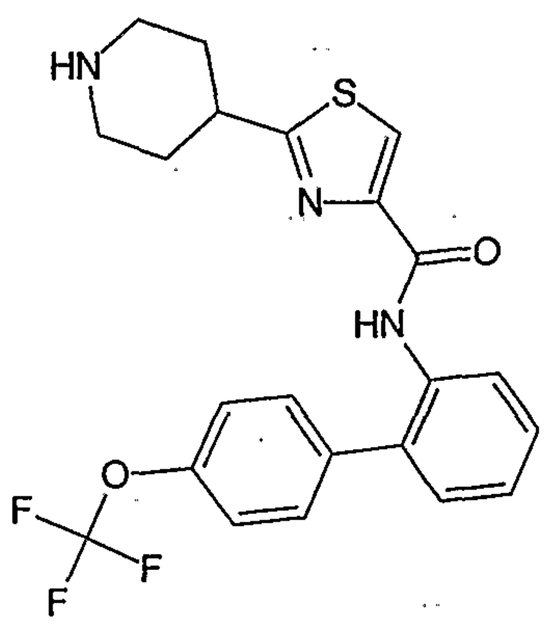
No.	FORMULA	NMR or mass
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464		
465		

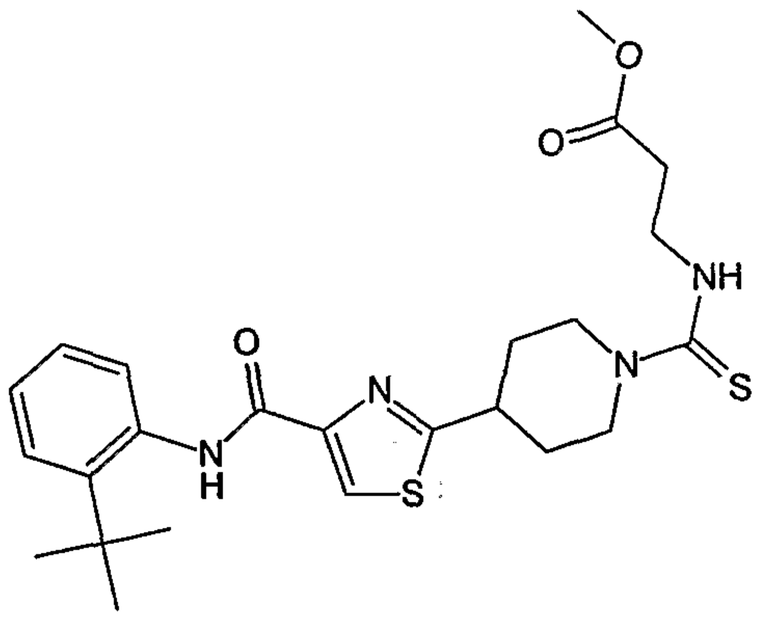
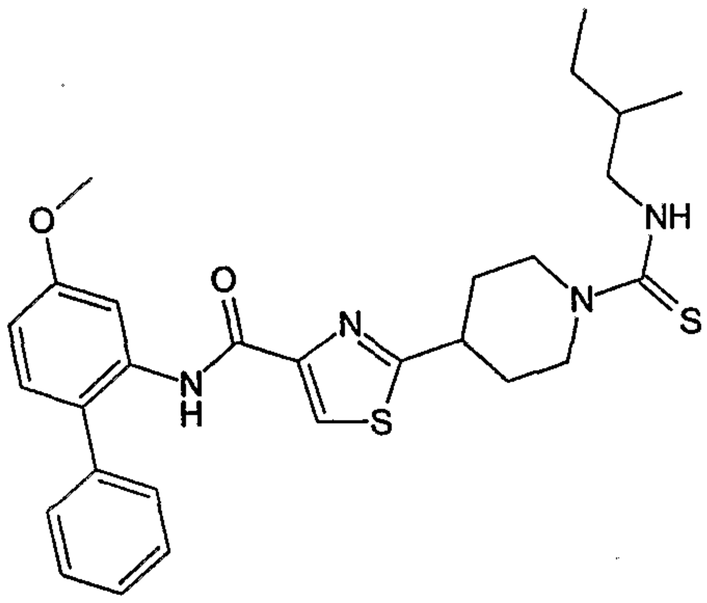
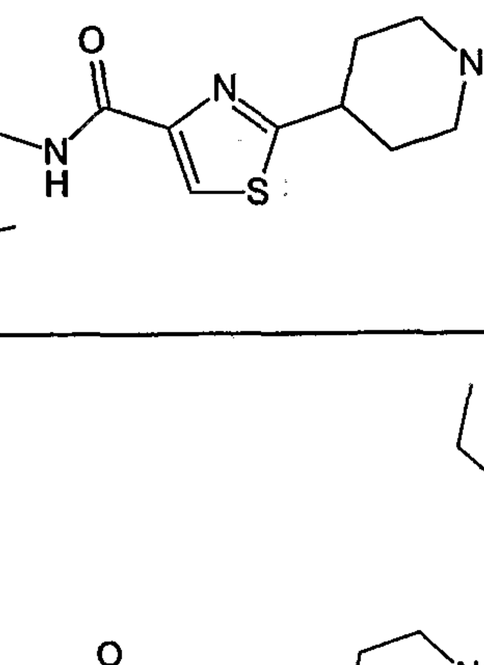
No.	FORMULA	NMR or mass
466		
467		
468	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-[1-(propylsulfonyl)-piperidin-4-yl]-1,3-thiazole-4-carboxamide	

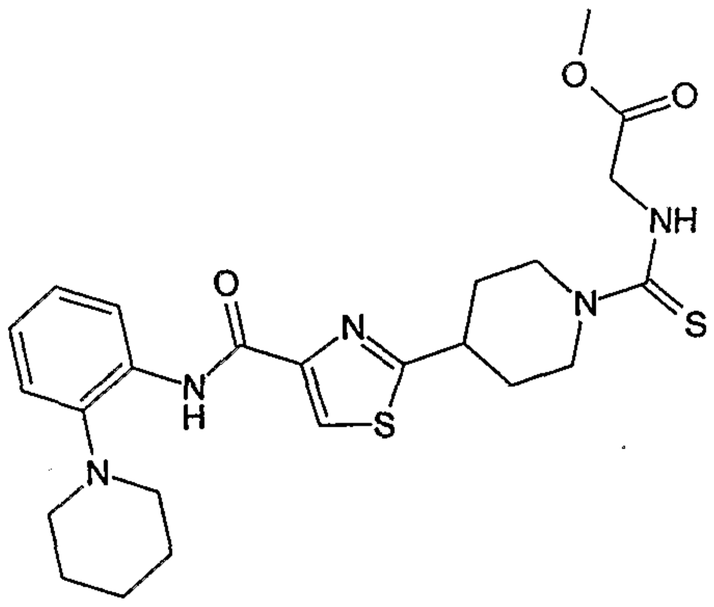
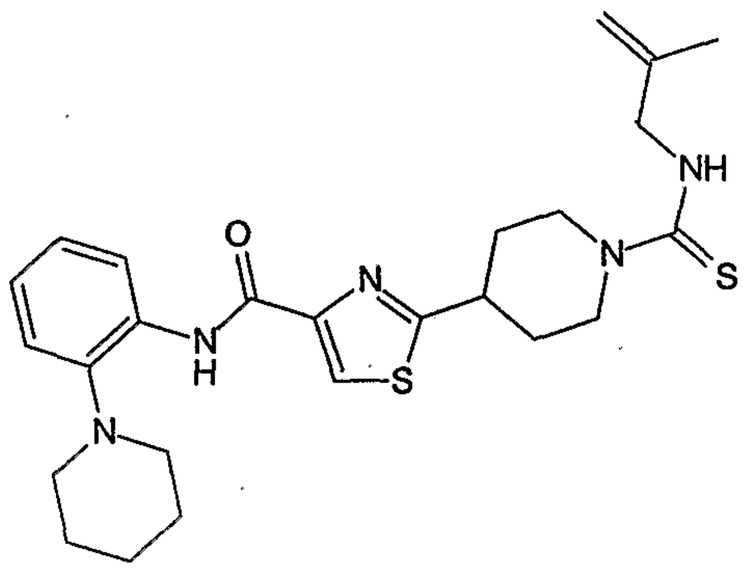
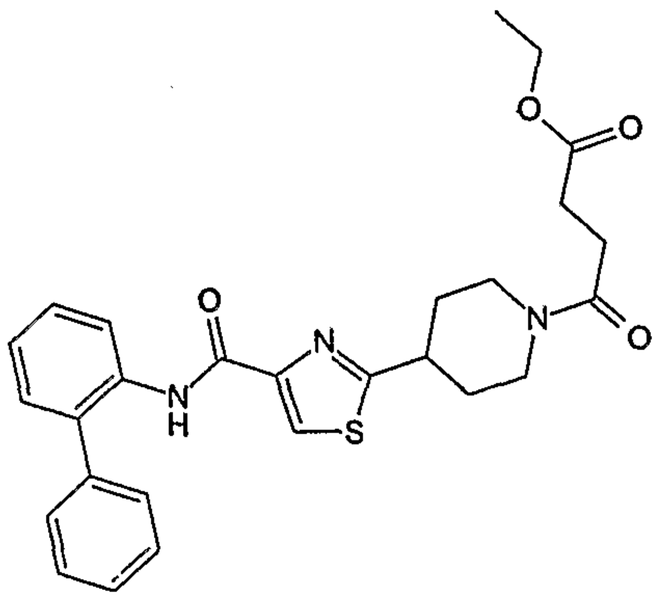
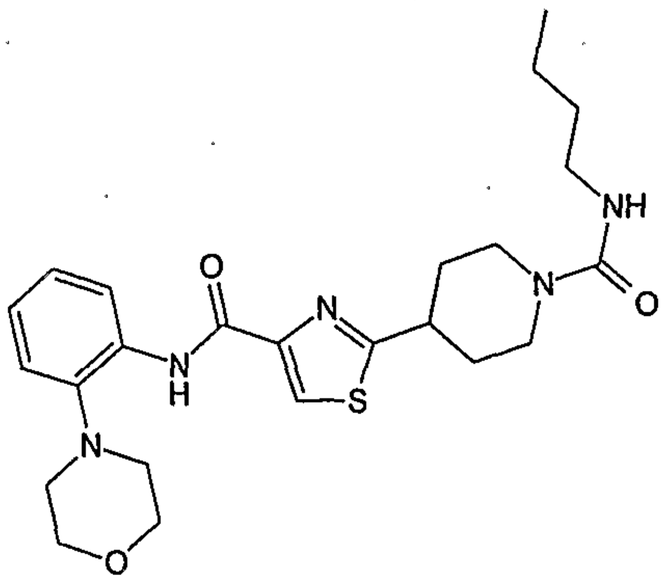
No.	FORMULA	NMR or mass
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470		
471		
472		

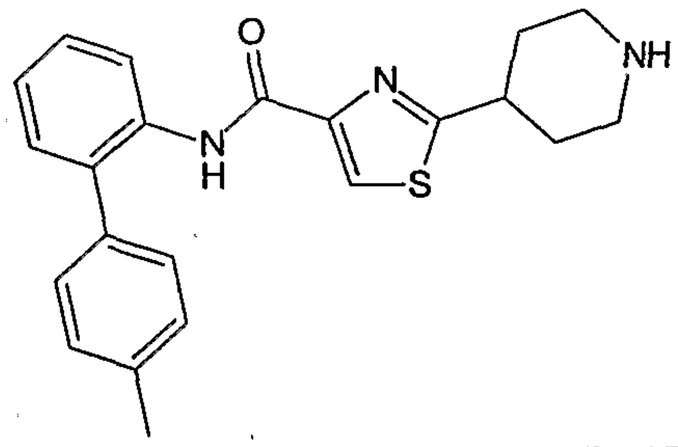
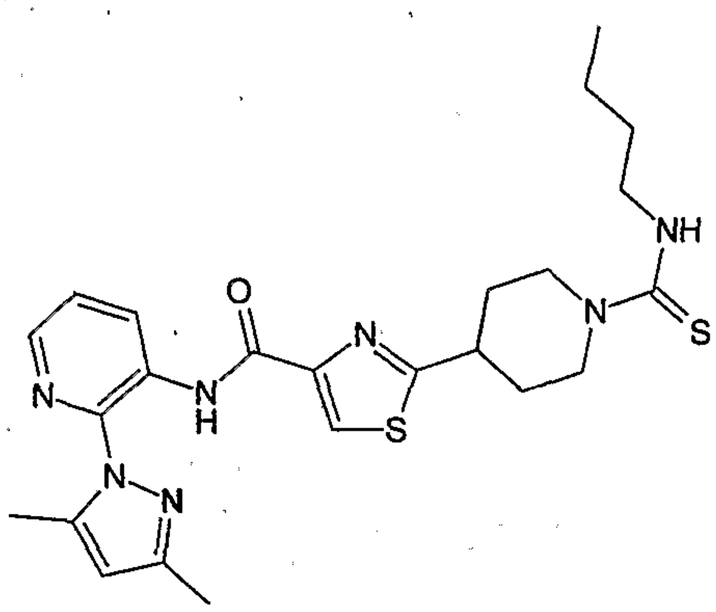
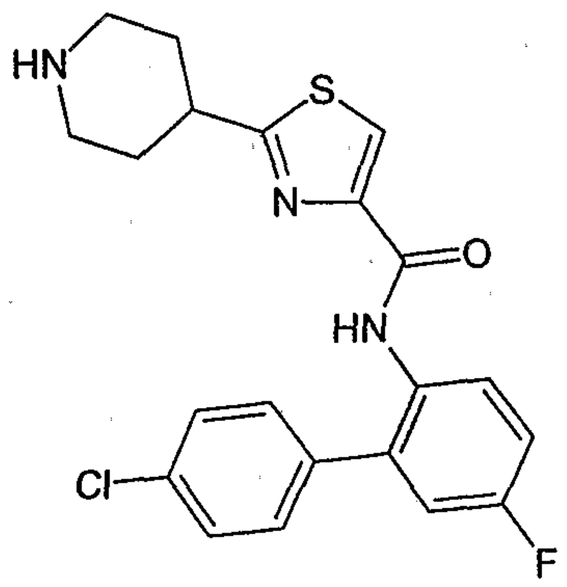
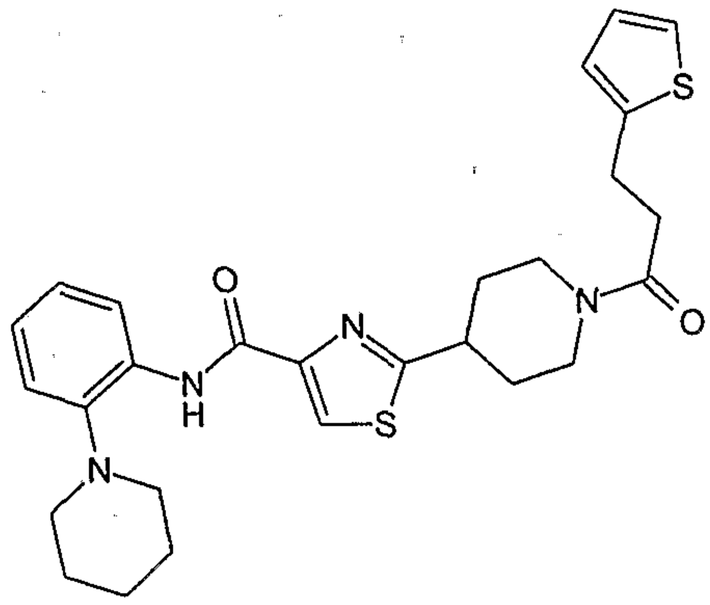
No.	FORMULA	NMR or mass
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474		
475		
476		

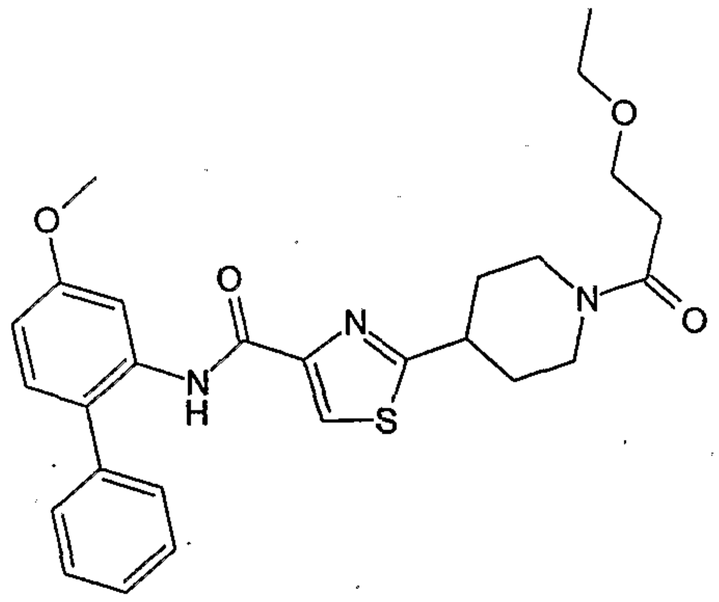
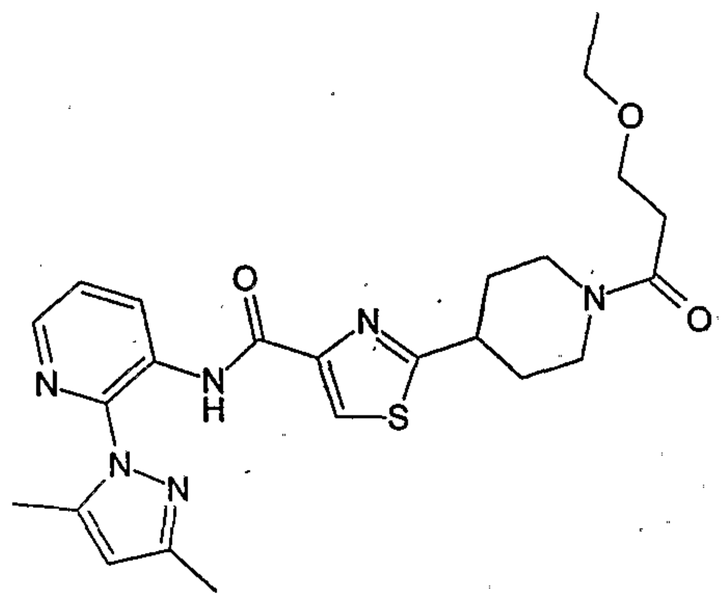
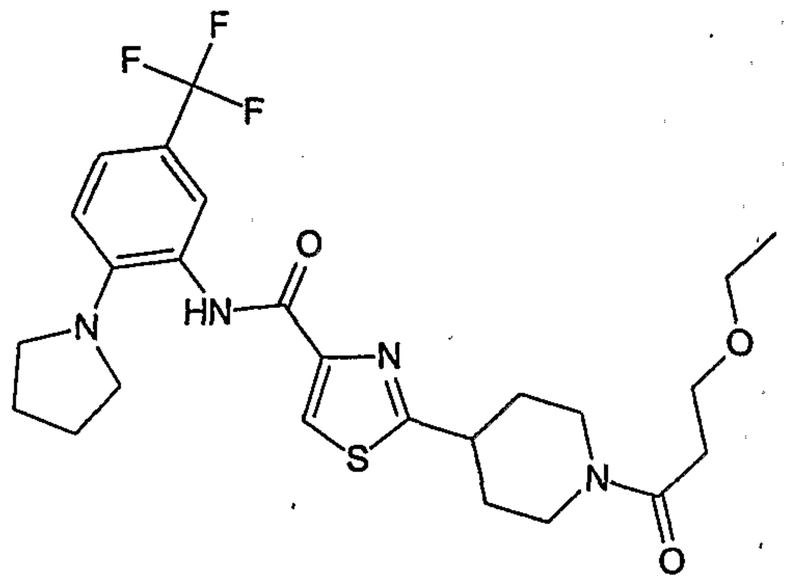
No.	FORMULA	NMR or mass
477		
478		
479	 2-(1-{[(cyclopropylmethyl)amino]carbonothioyl}piperidin-4-yl)-N-(2-morpholin-4-ylphenyl)-1,3-thiazole-4-carboxamide	

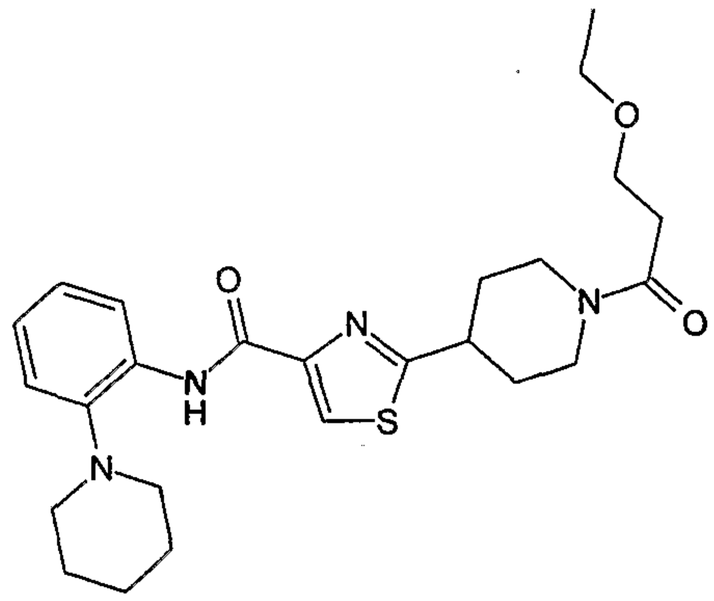
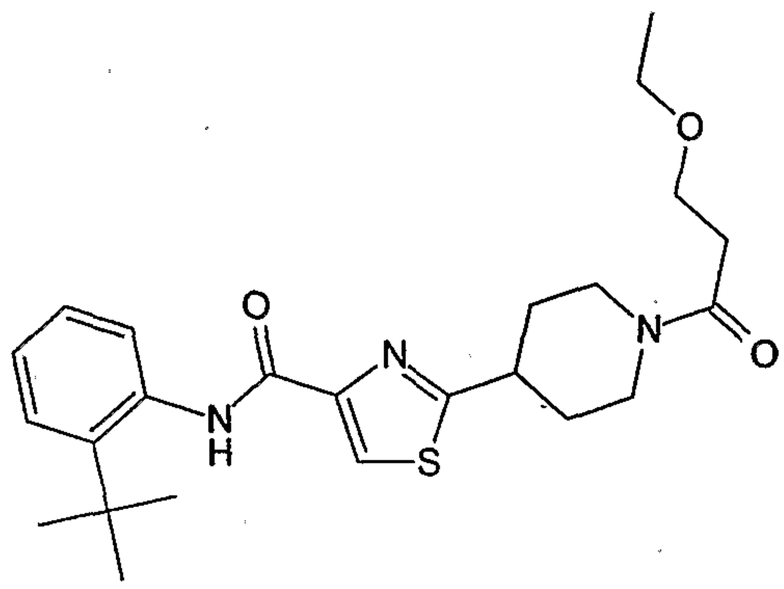
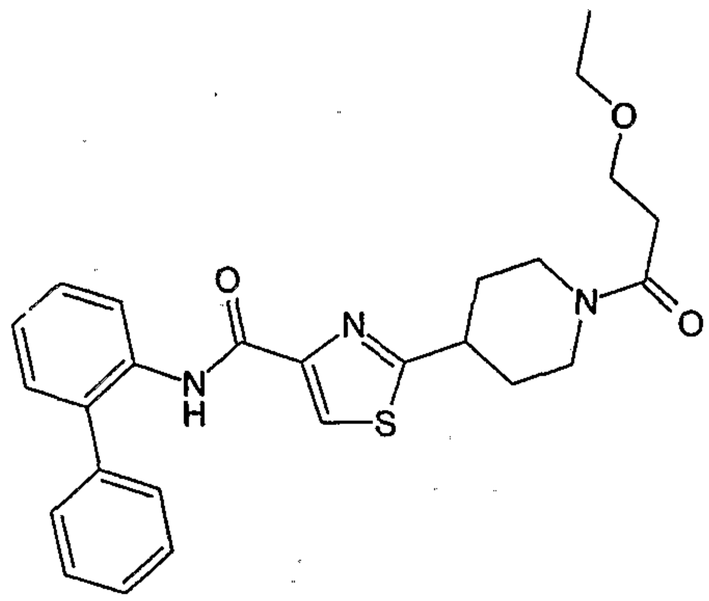
No.	FORMULA	NMR or mass
480	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-{1-[(2,2,2-trifluoroethyl)-sulfonyl]piperidin-4-yl}-1,3-thiazole-4-carboxamide	
481	 2-{1-[(propylamino)carbonothioyl]piperidin-4-yl}-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	
482		

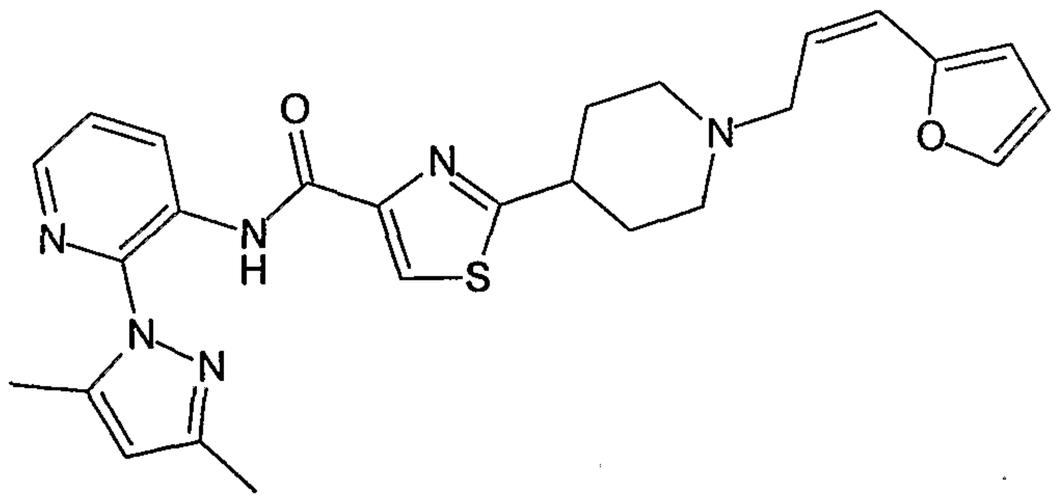
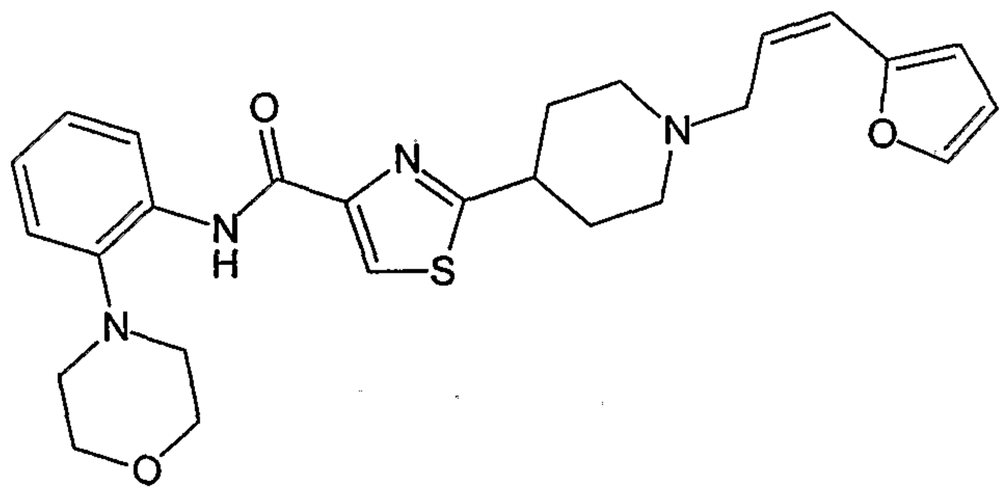
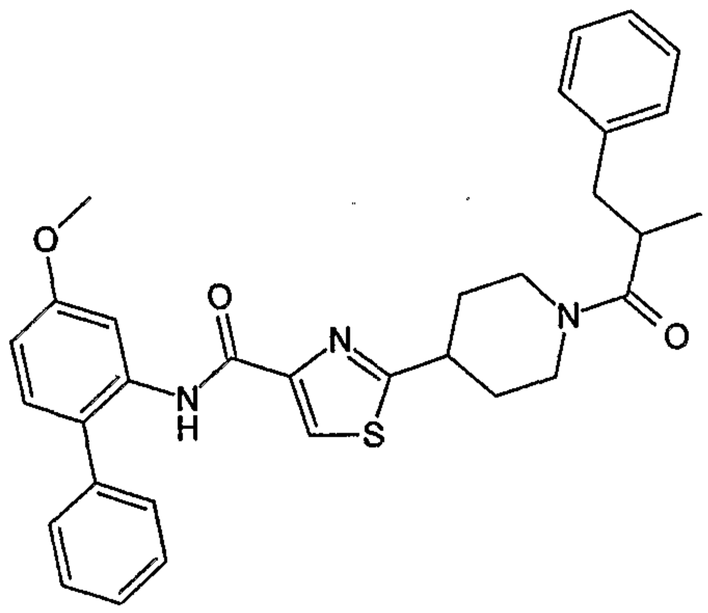
No.	FORMULA	NMR or mass
483		
484		
485	 2-(1-{[(2-methylprop-2-en-1-yl)amino]carbonothioyl}piperidin-4-yl)-N-[2-pyrrolidin-1-yl-5-(trifluoromethyl)phenyl]-1,3-thiazole-4-carboxamide	

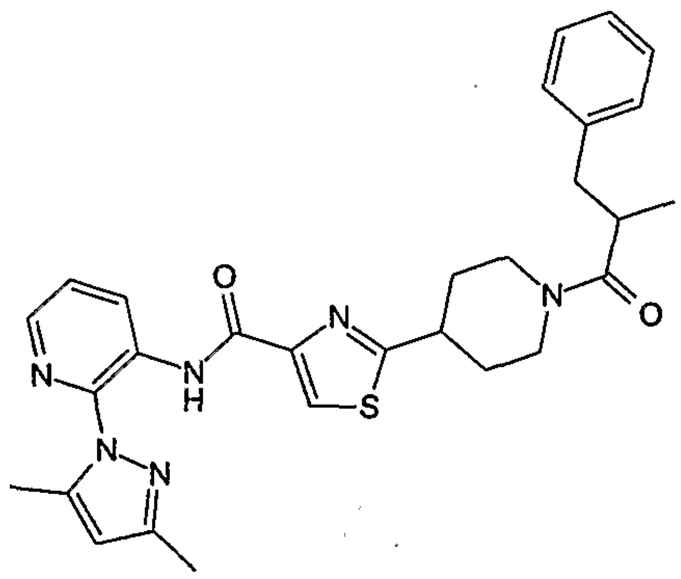
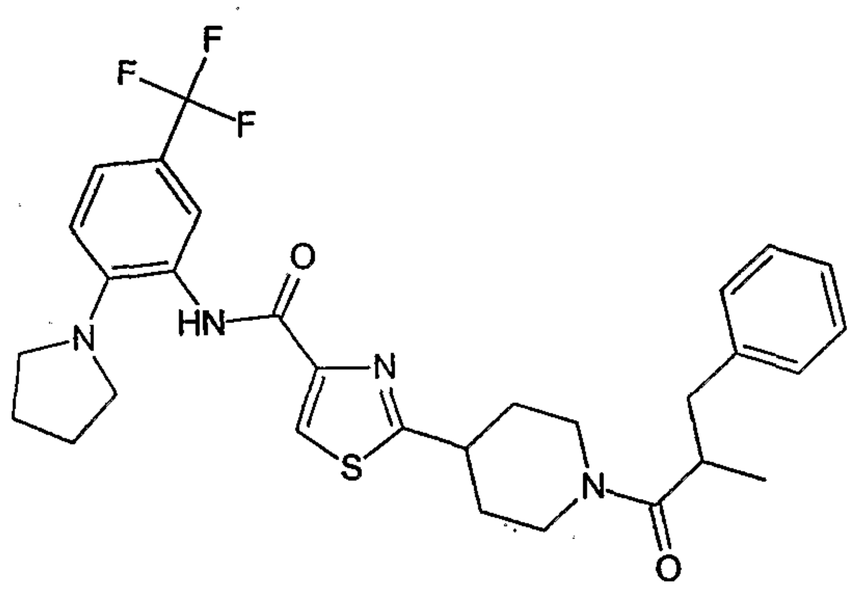
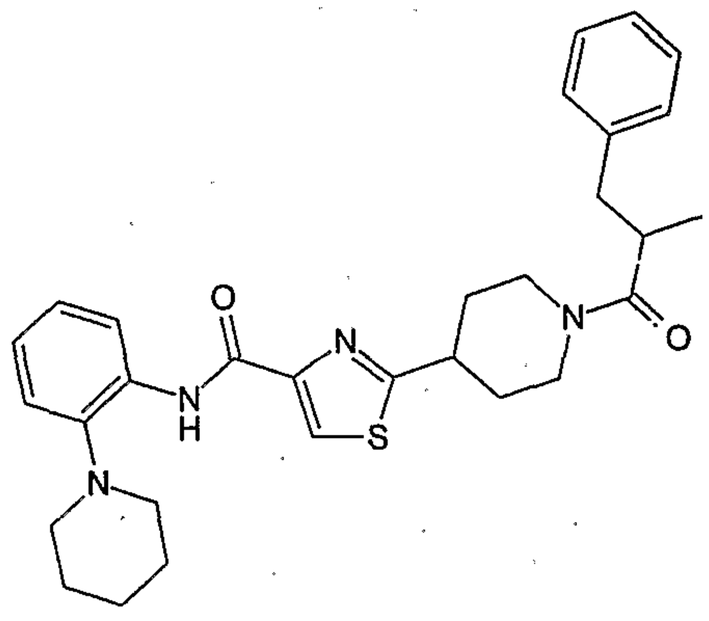
No.	FORMULA	NMR or mass
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487		
488		
489		

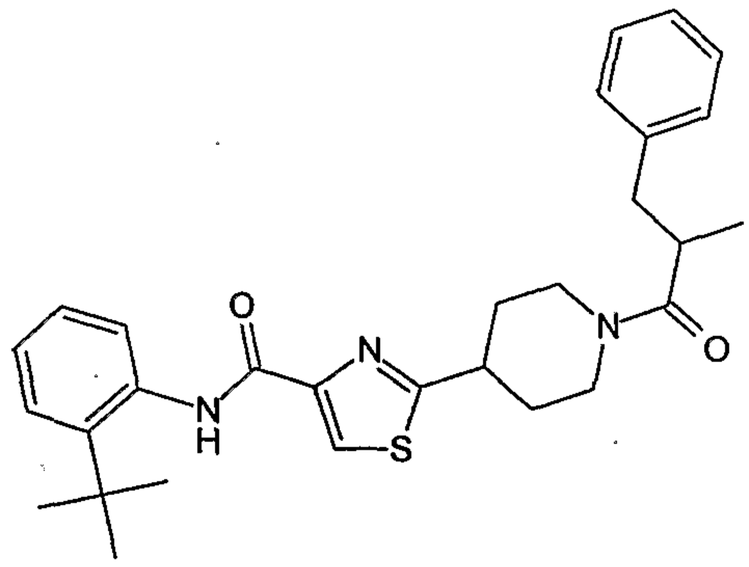
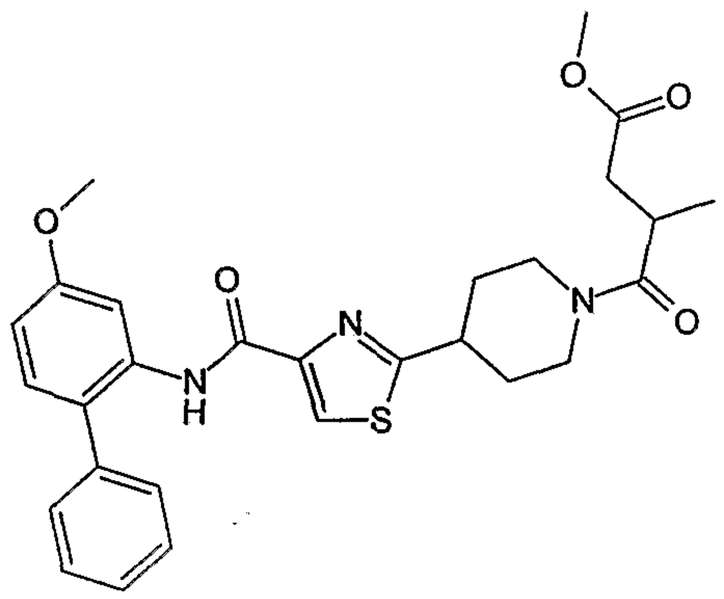
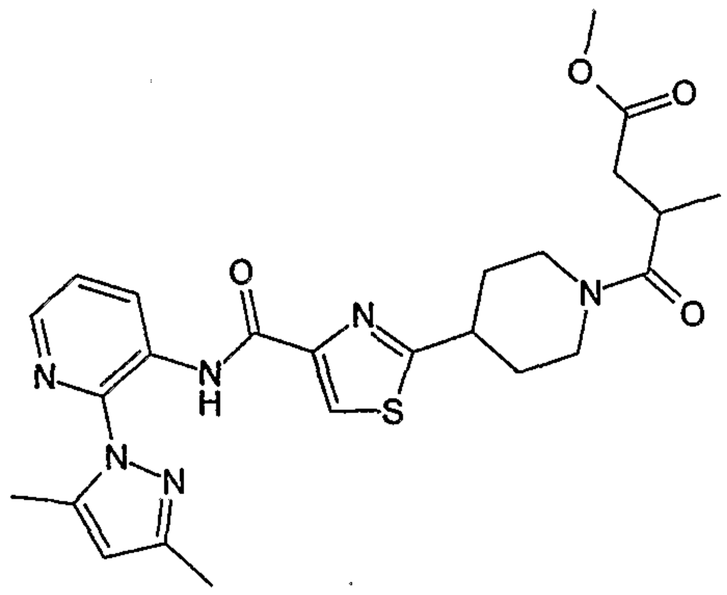
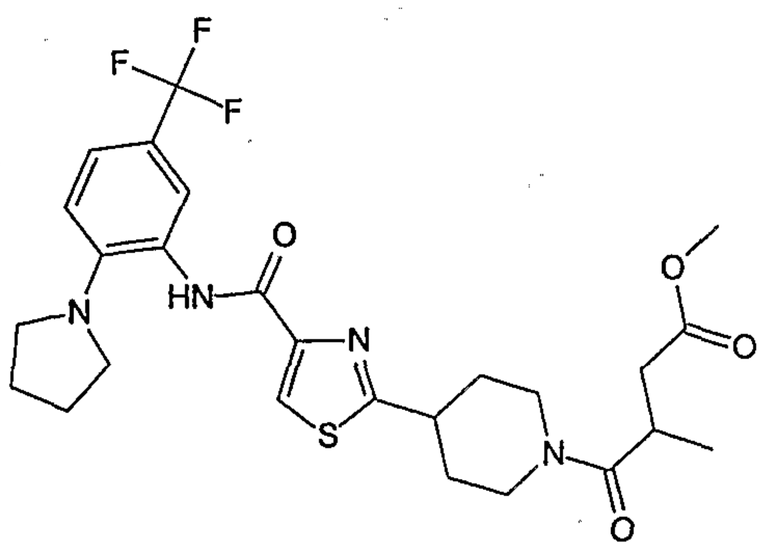
No.	FORMULA	NMR or mass
490		
491	 2-{1-[(butylamino)carbonothioyl]piperidin-4-yl}-N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-1,3-thiazole-4-carboxamide	
492		
493		

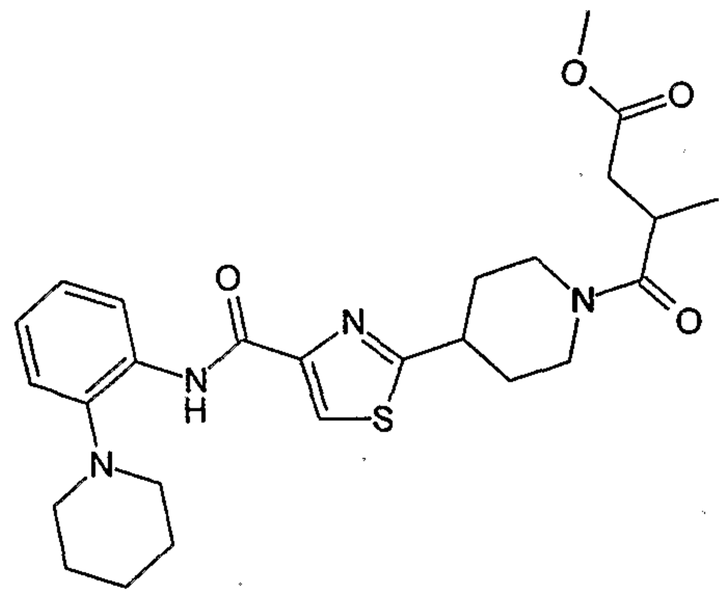
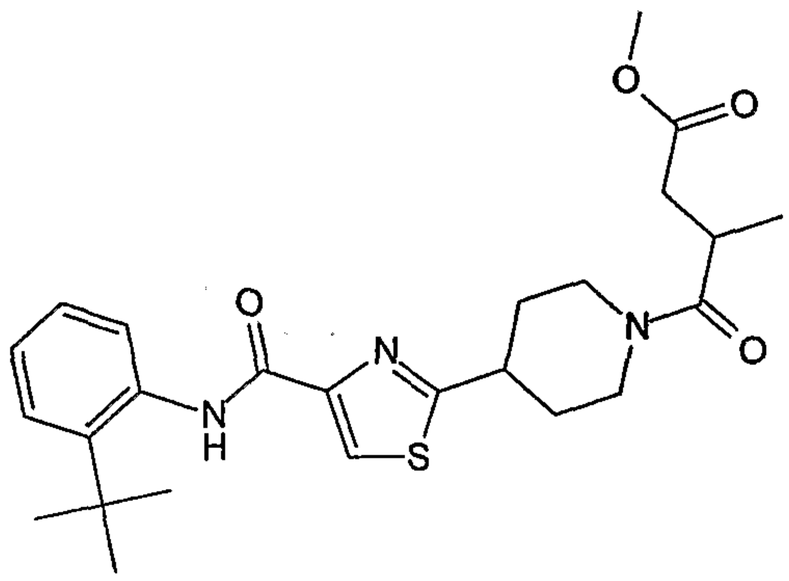
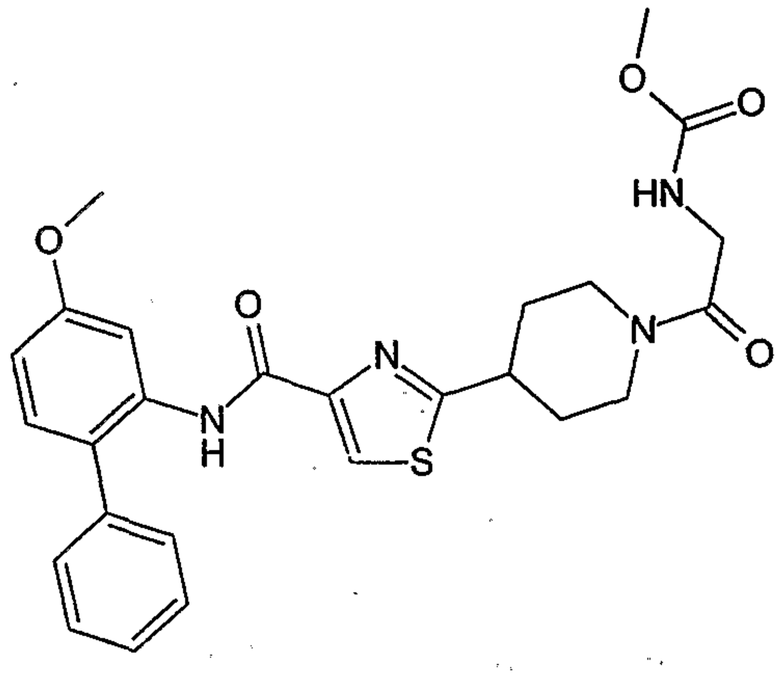
No.	FORMULA	NMR or mass
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495		
496		

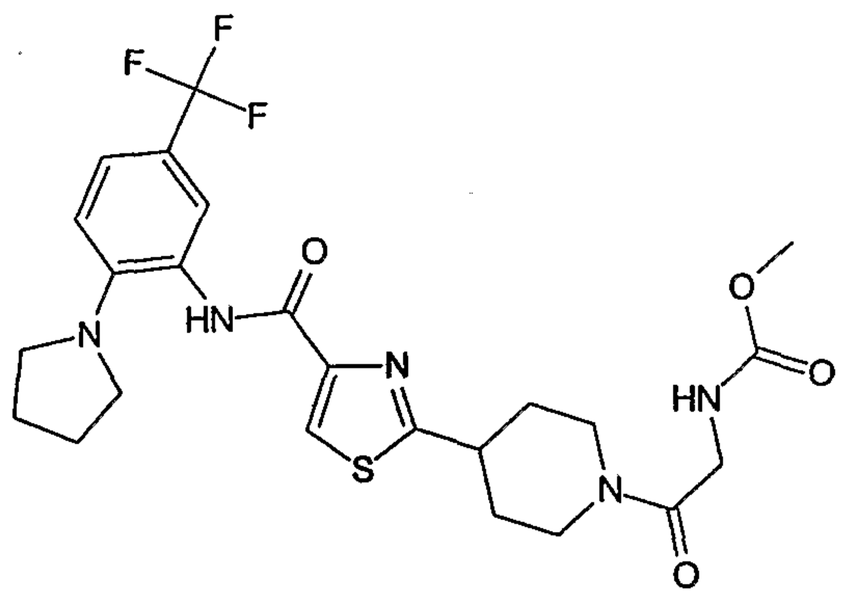
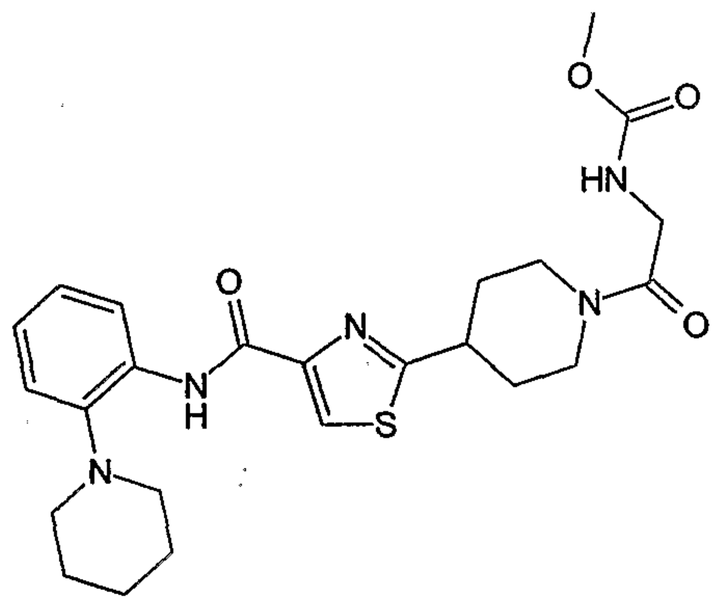
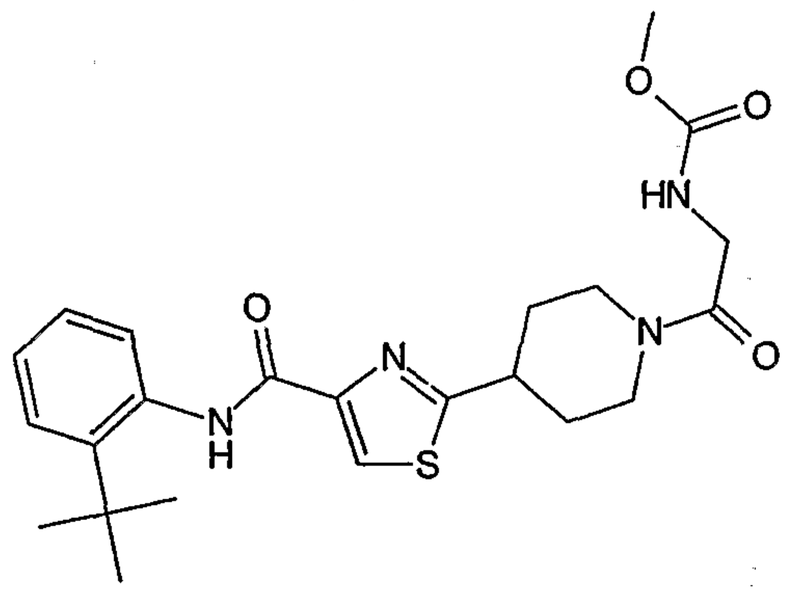
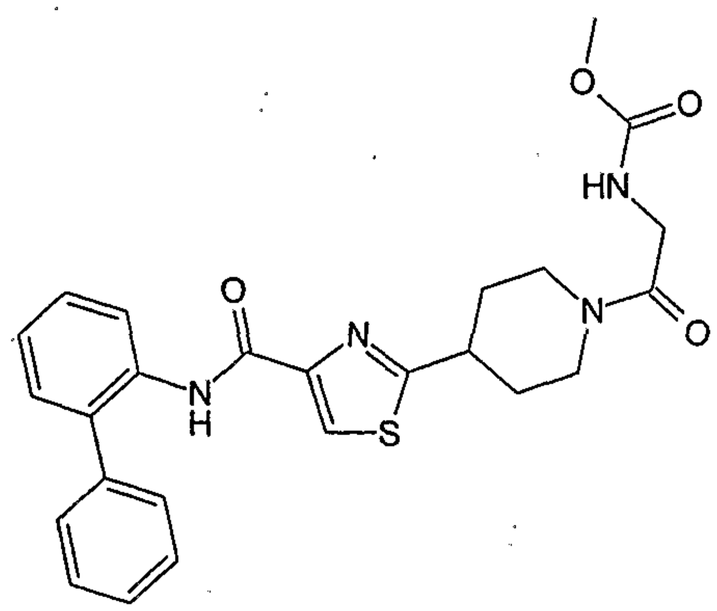
No.	FORMULA	NMR or mass
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498		
499		
500		

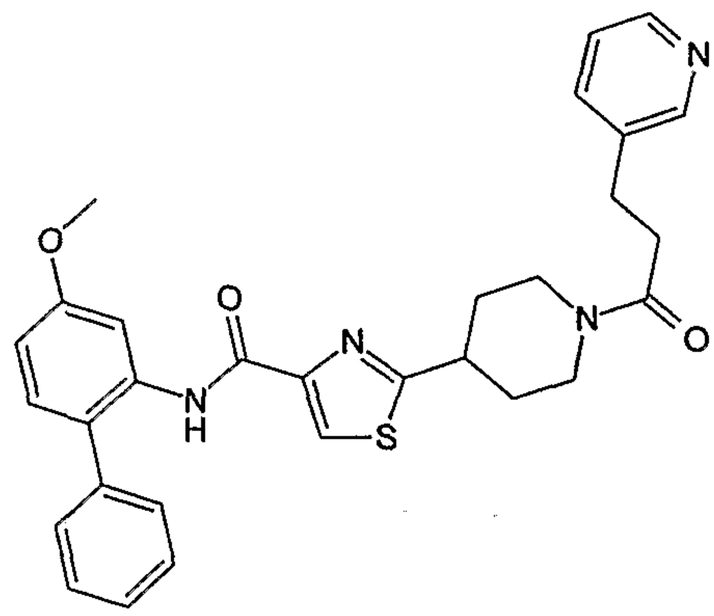
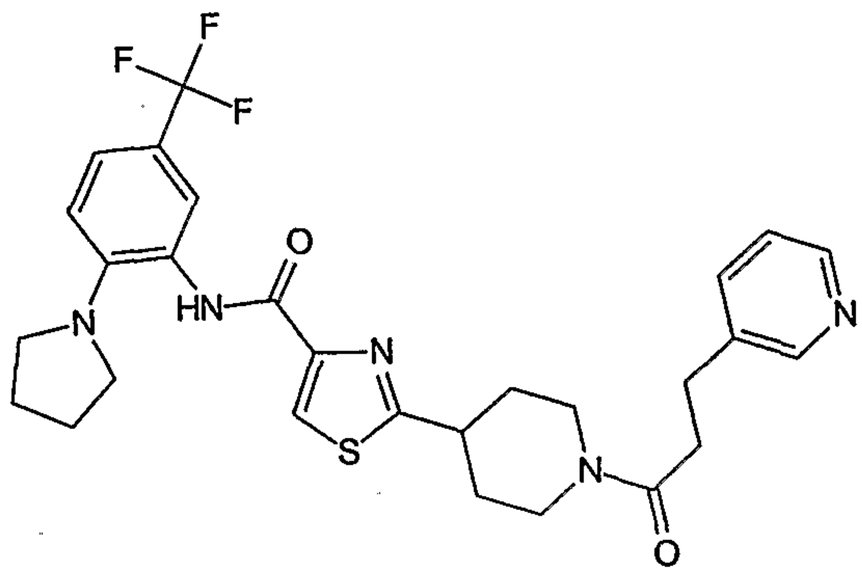
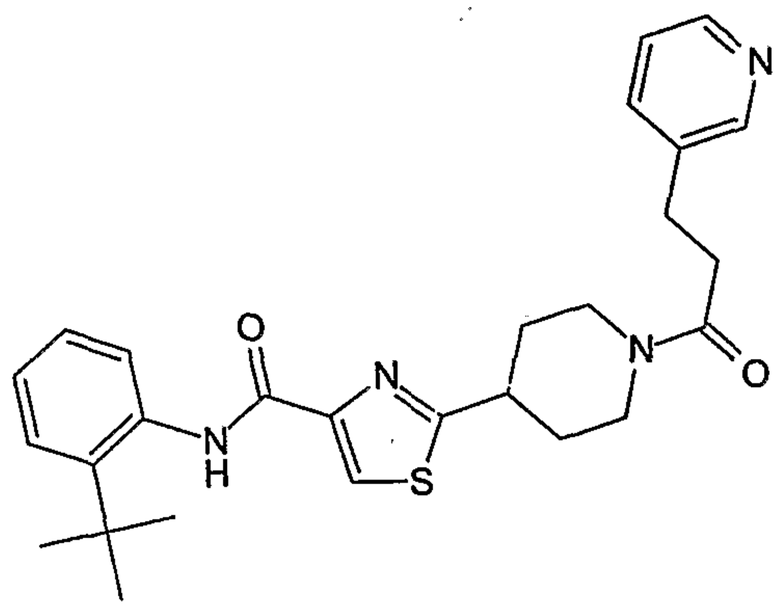
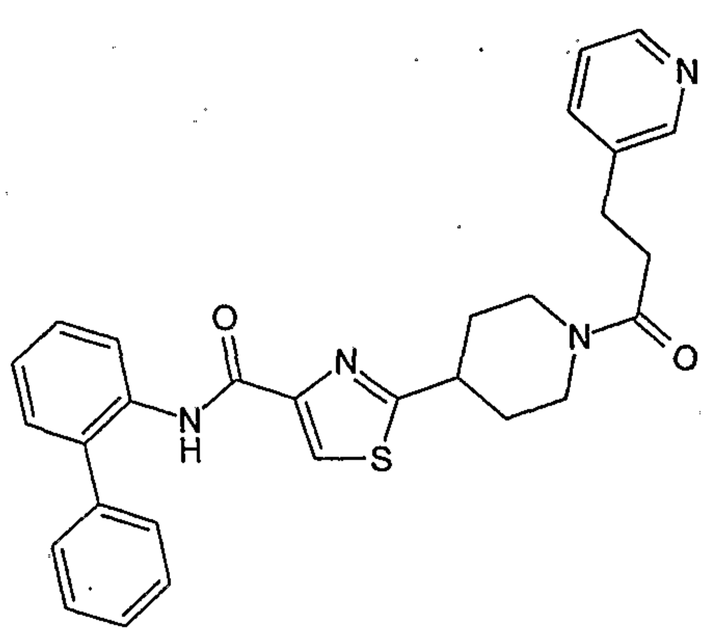
No.	FORMULA	NMR or mass
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502		
503		

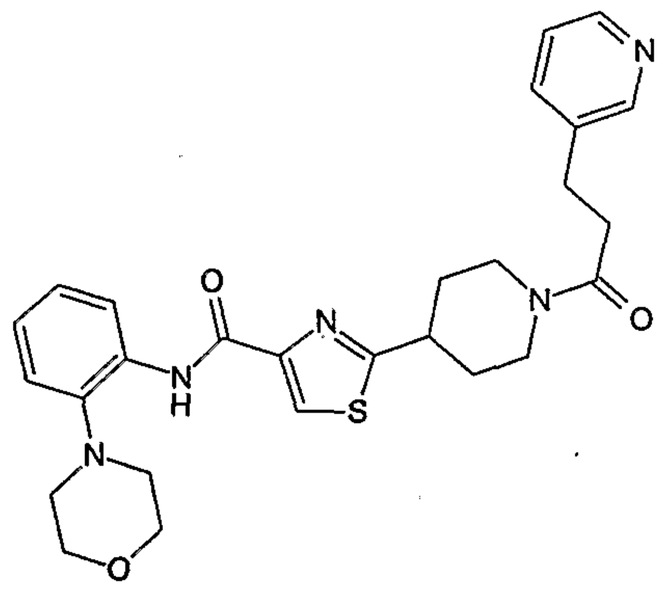
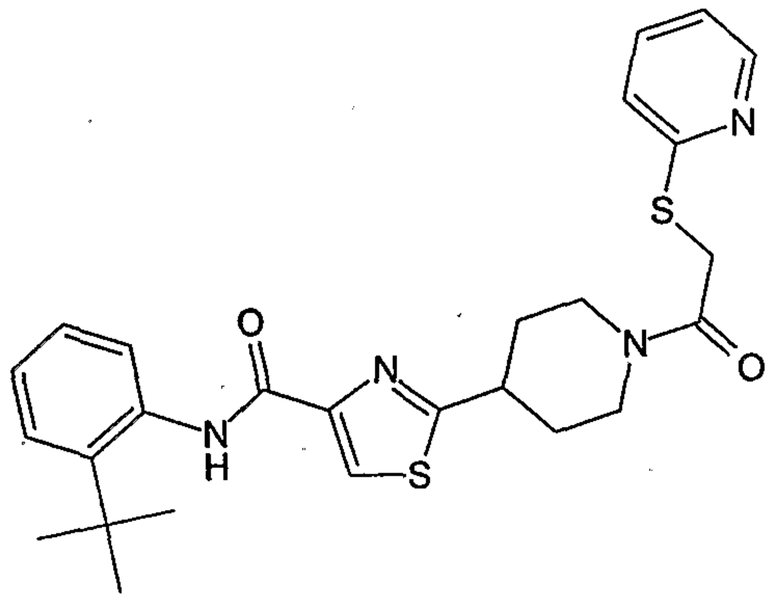
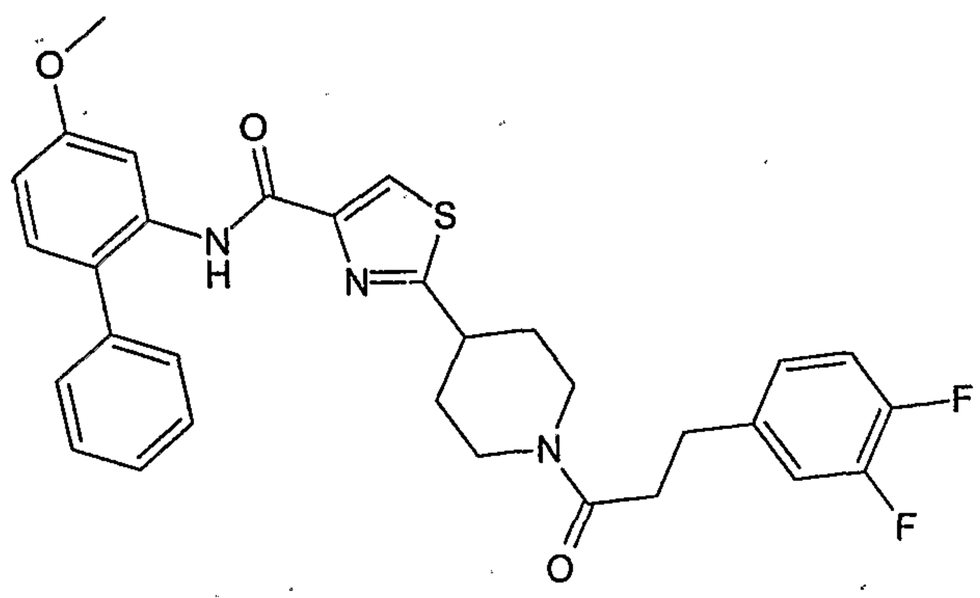
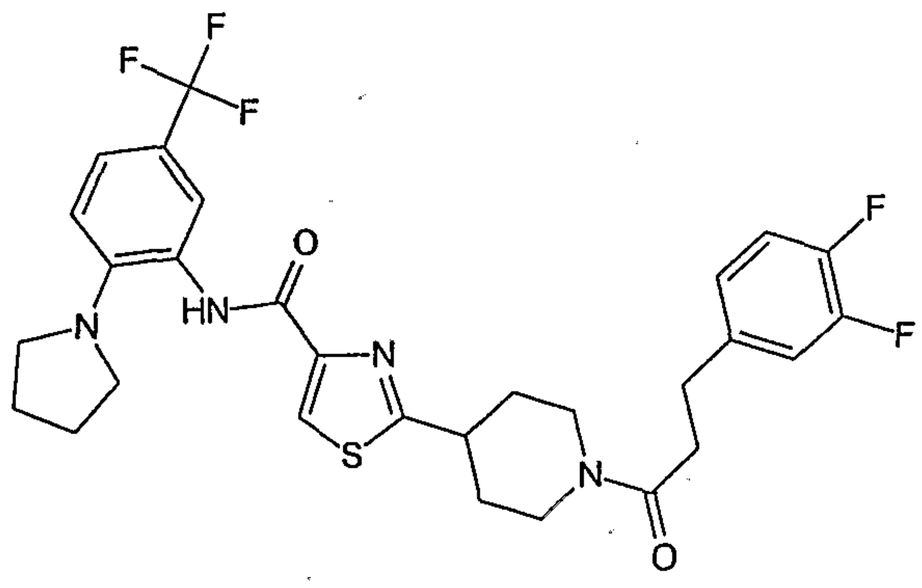
No.	FORMULA	NMR or mass
504	 N-[2-(3,5-dimethyl-1H-pyrazol-1-yl)pyridin-3-yl]-2-[1-(2-methyl-3-phenyl-propanoyl)piperidin-4-yl]-1,3-thiazole-4-carboxamide	
505		
506		

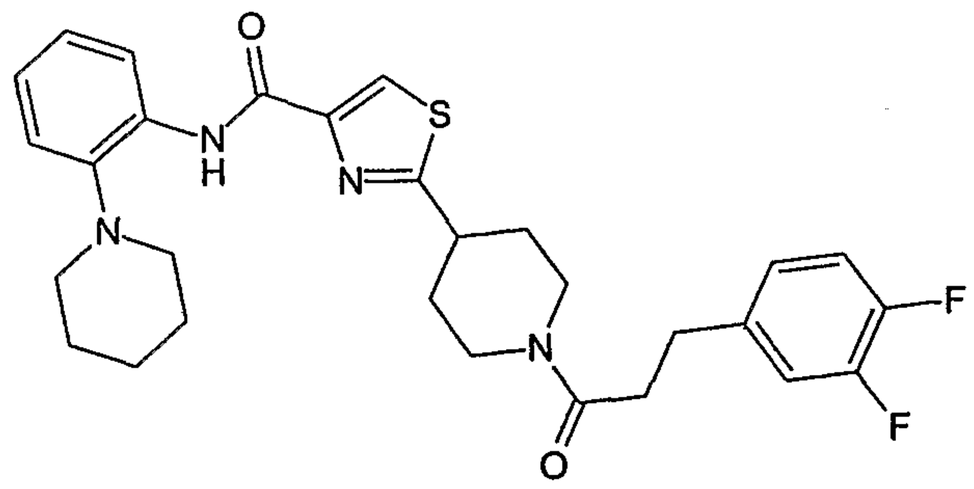
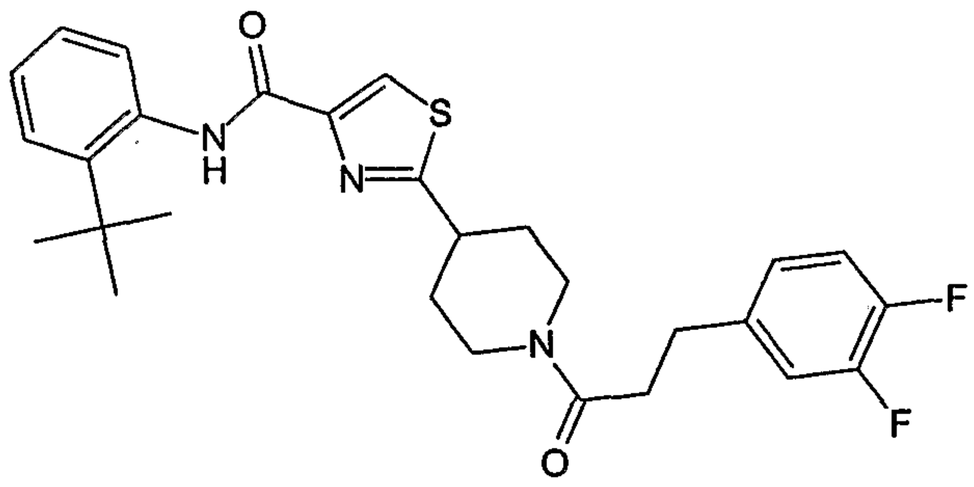
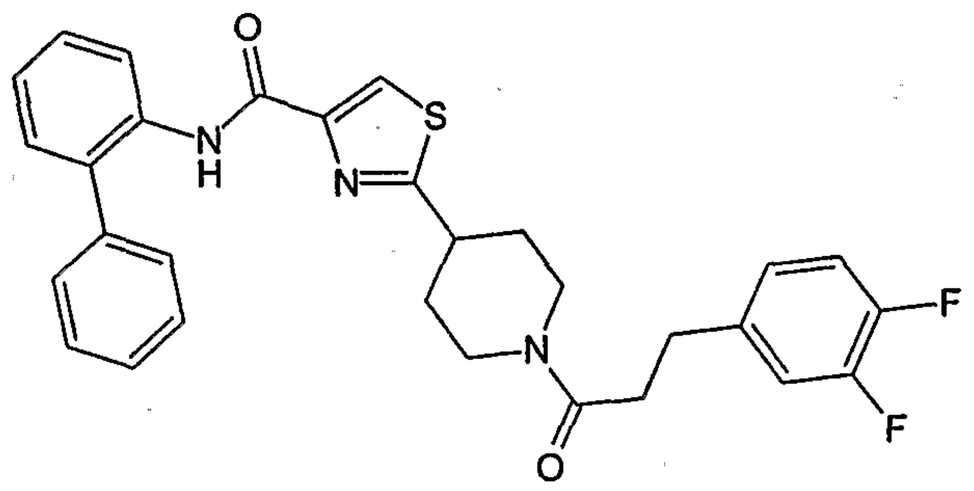
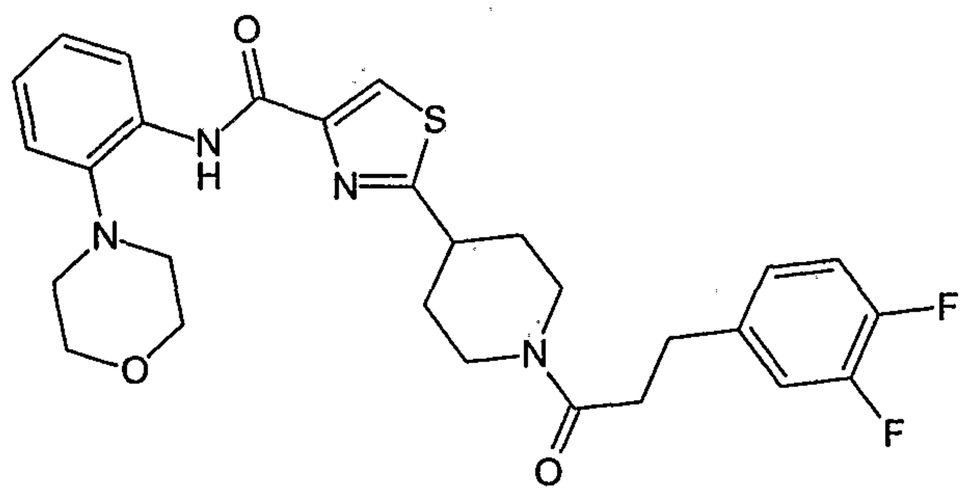
No.	FORMULA	NMR or mass
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508		
509		
510		

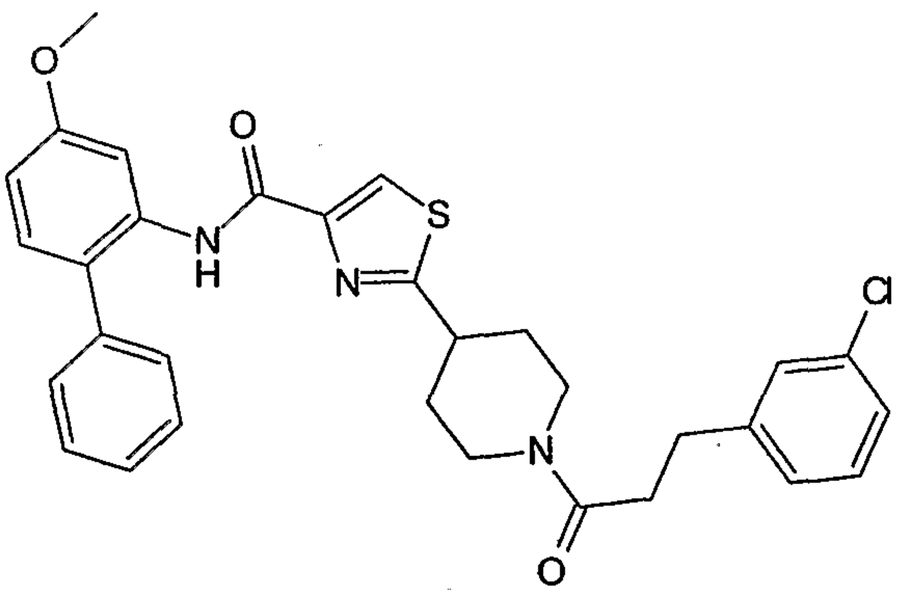
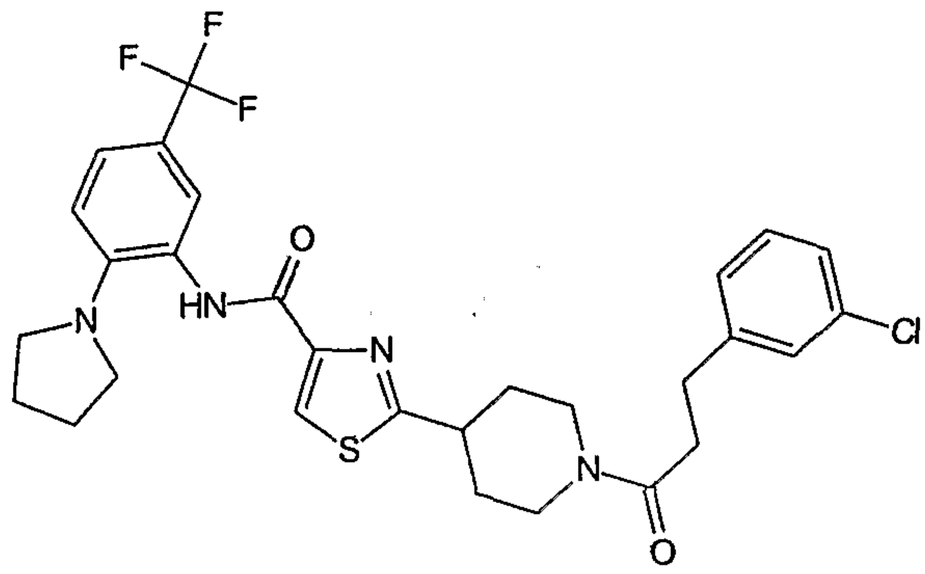
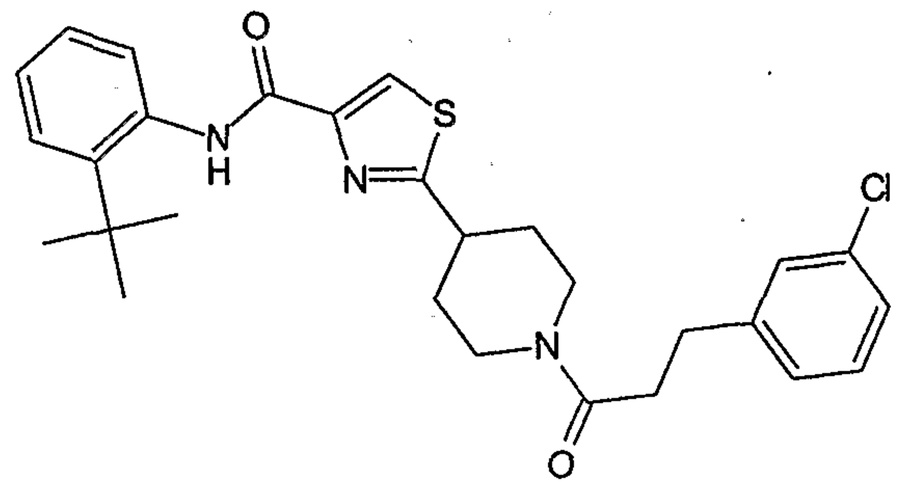
No.	FORMULA	NMR or mass
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512		
513		

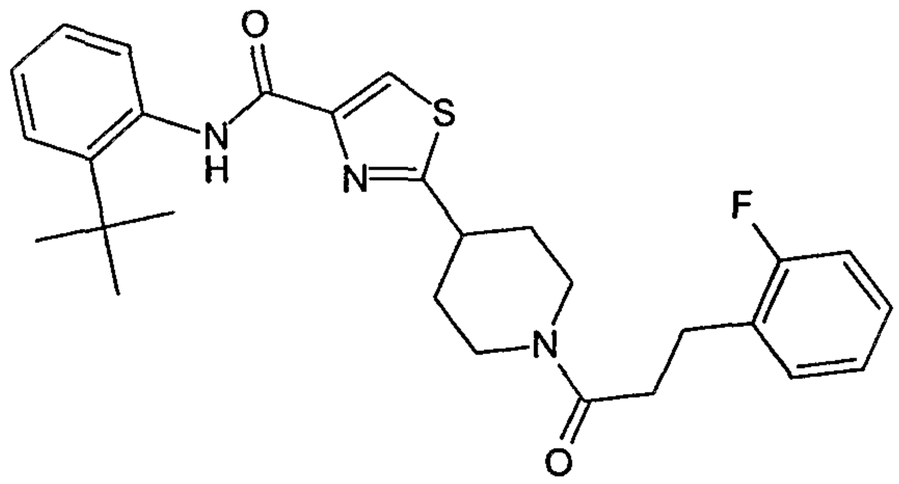
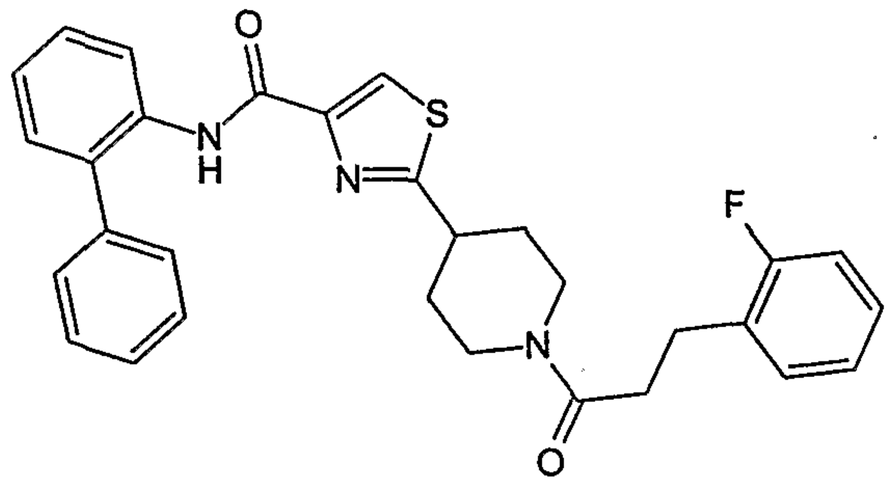
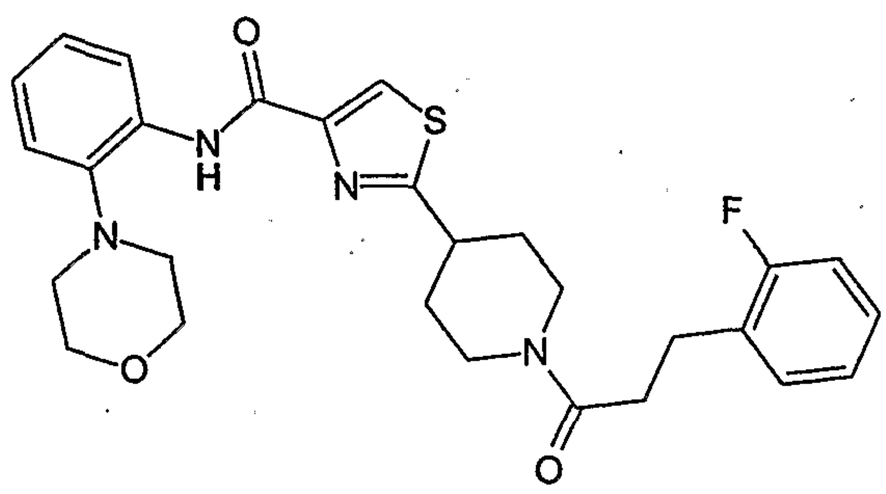
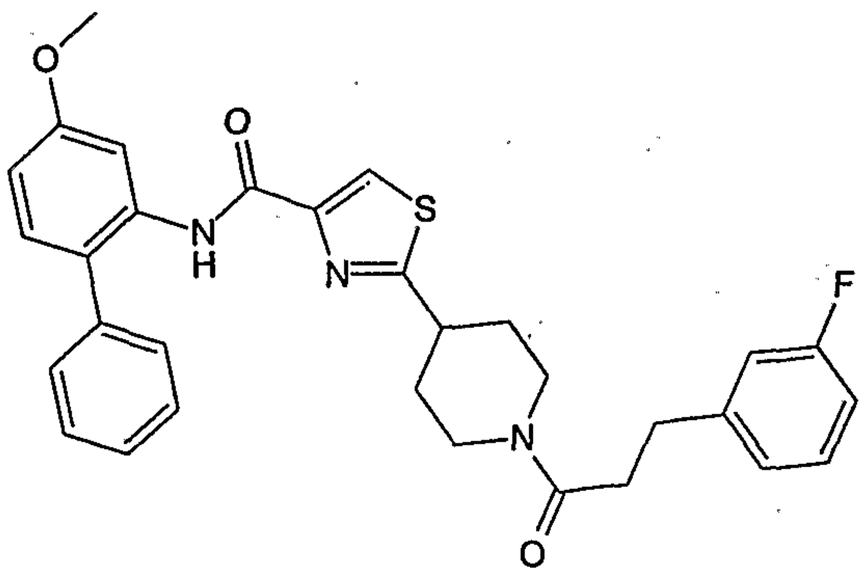
No.	FORMULA	NMR or mass
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515		
516		
517		

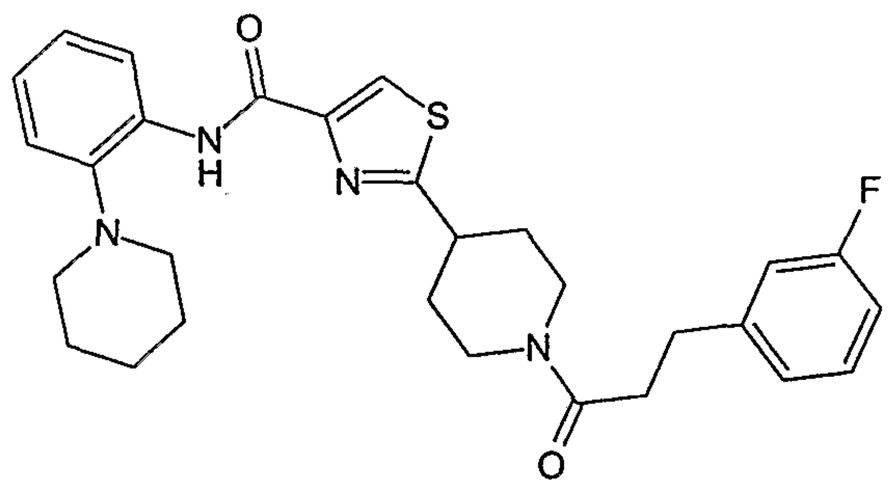
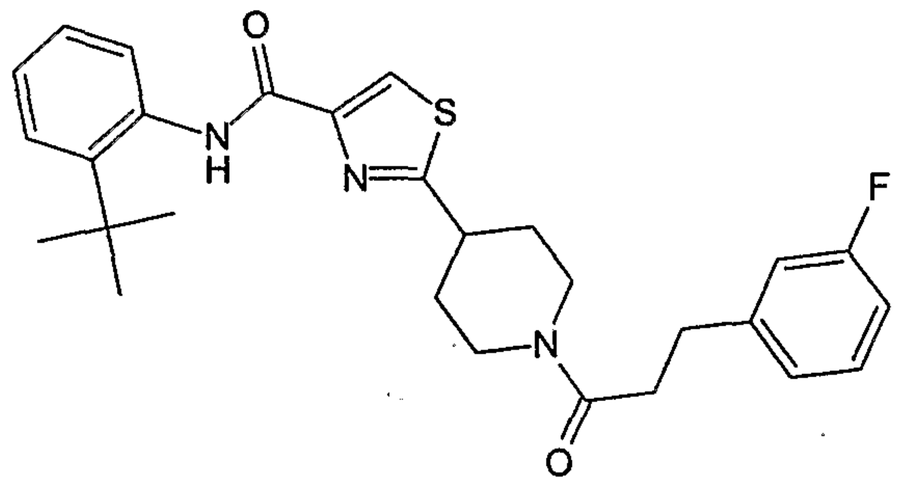
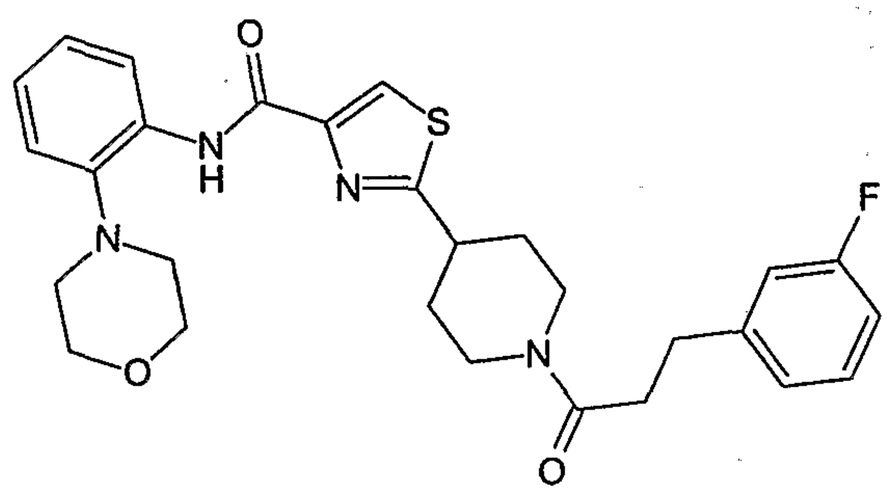
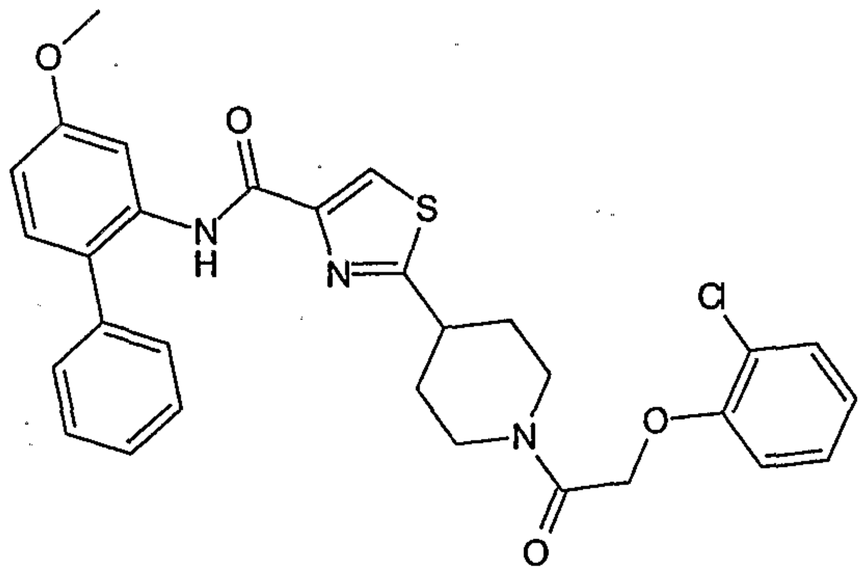
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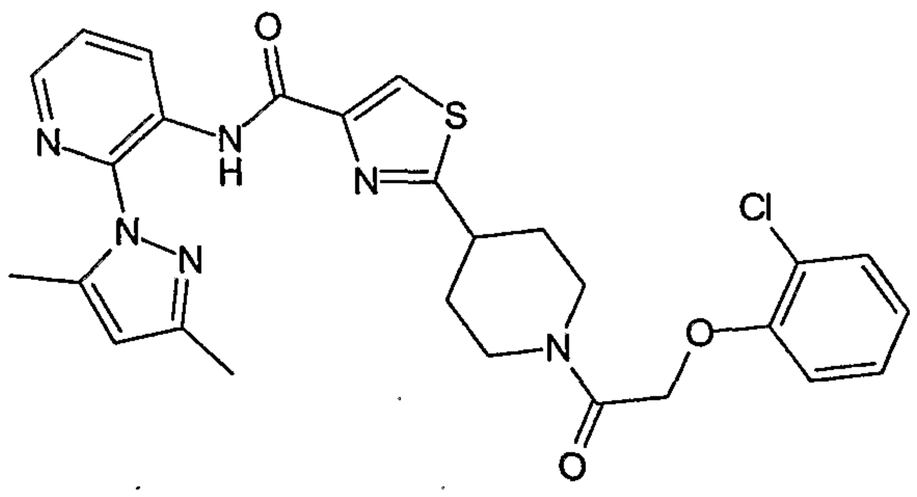
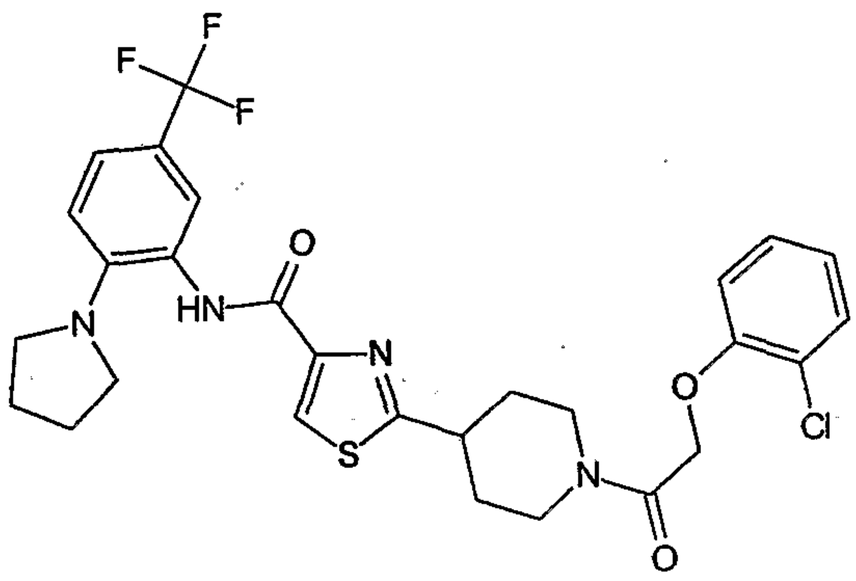
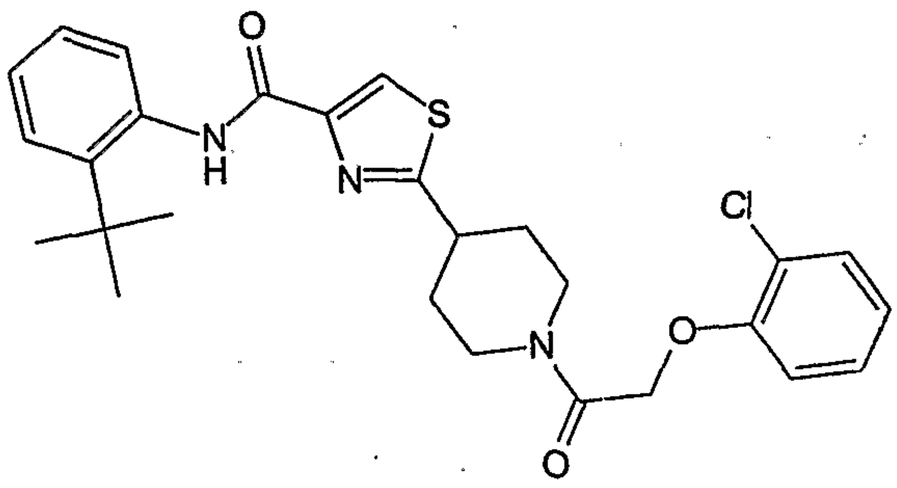
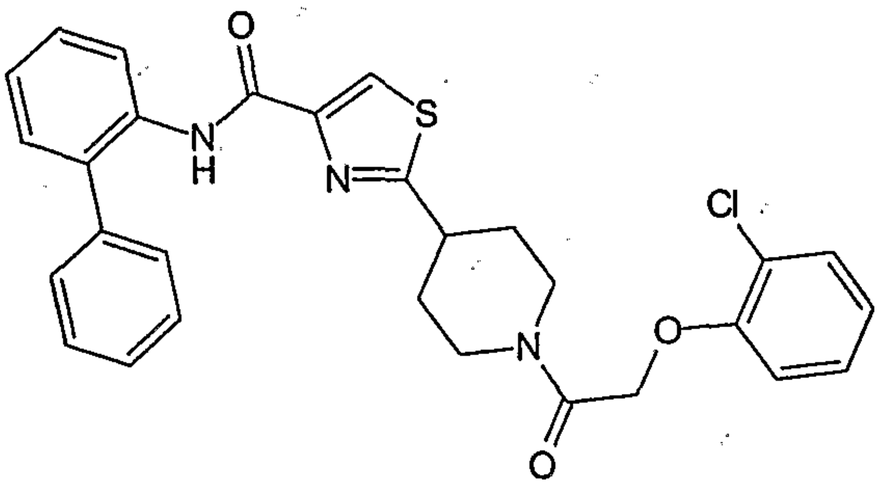
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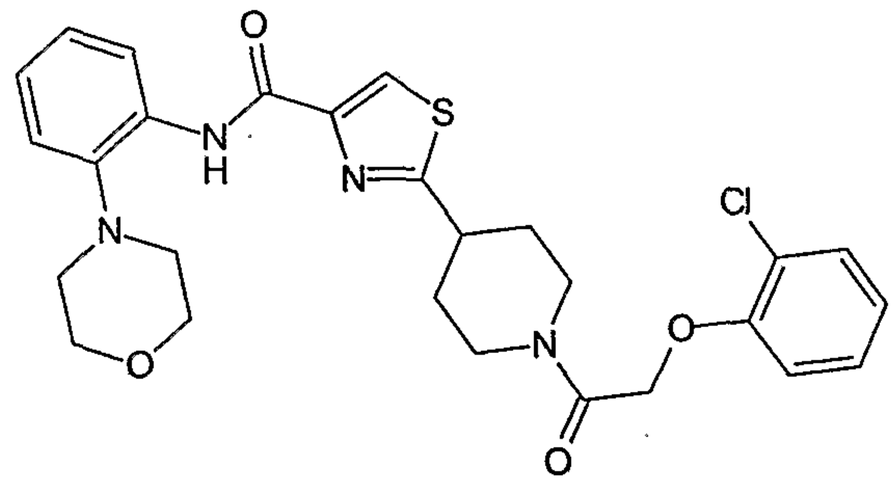
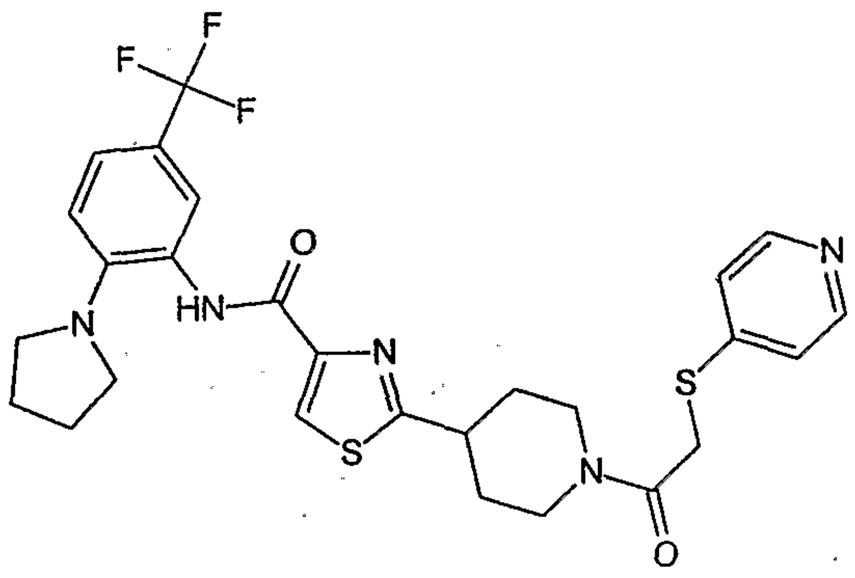
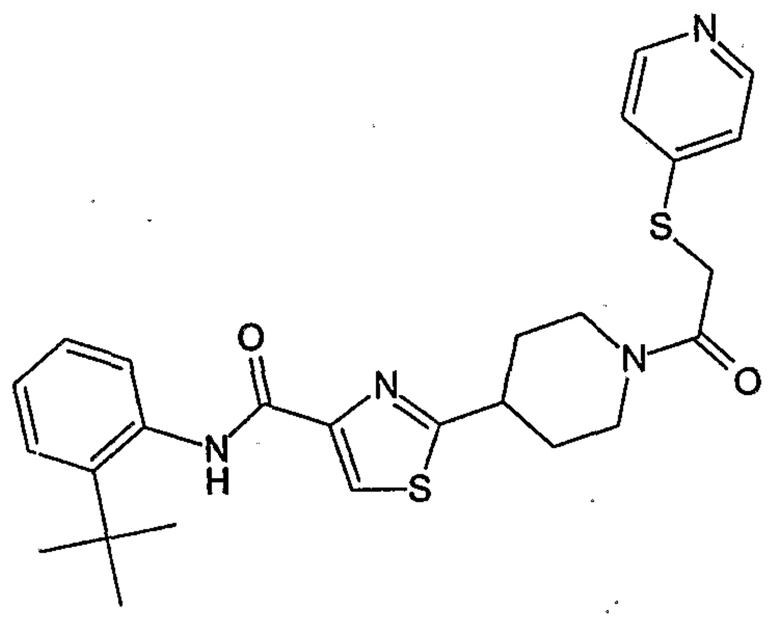
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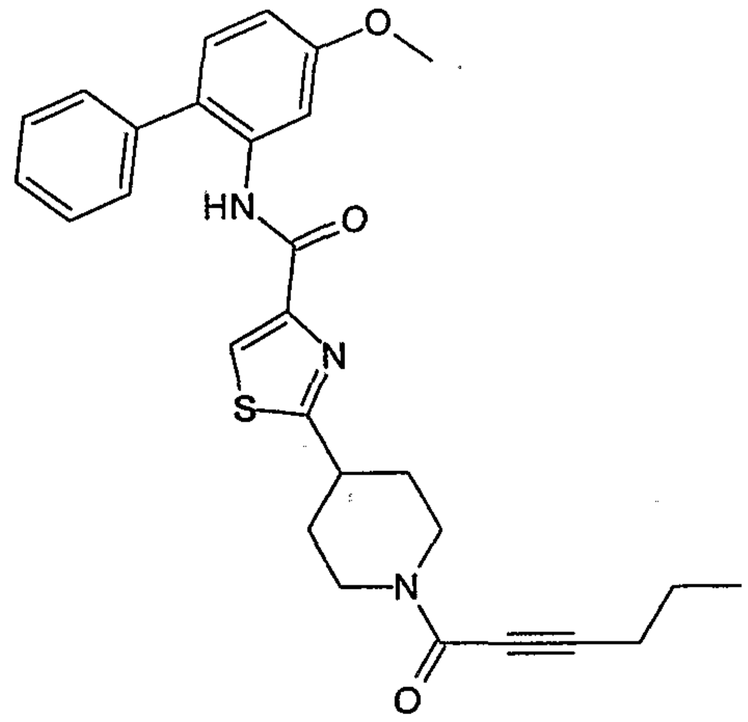
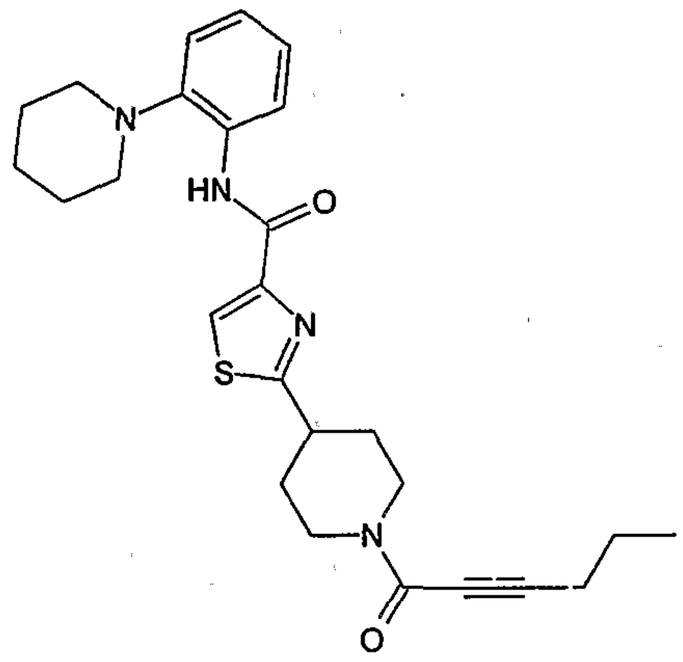
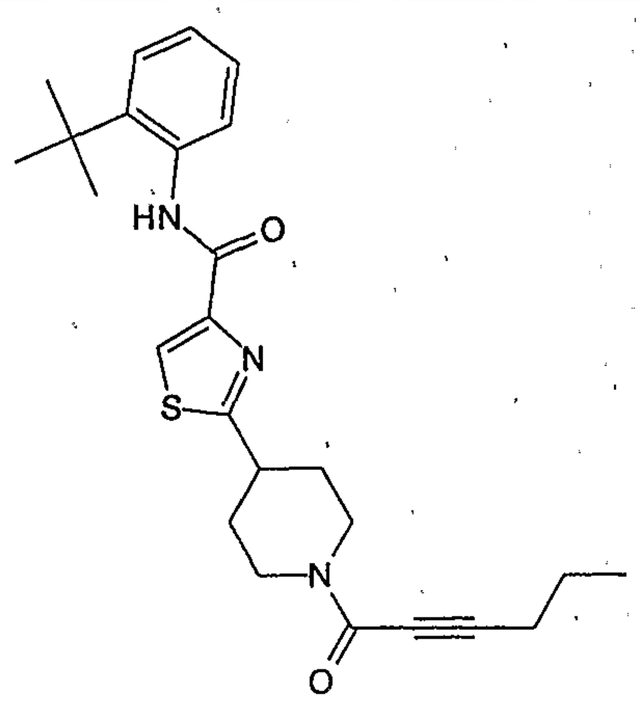
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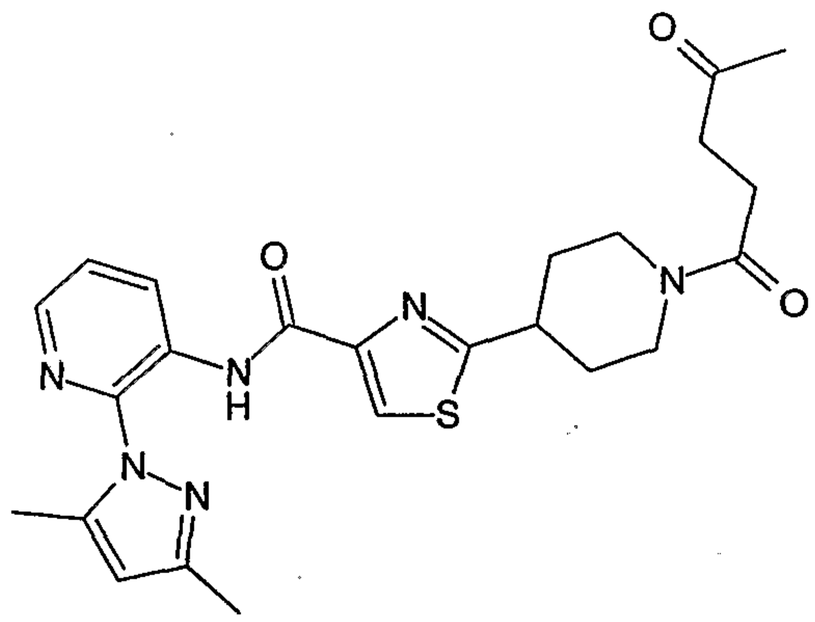
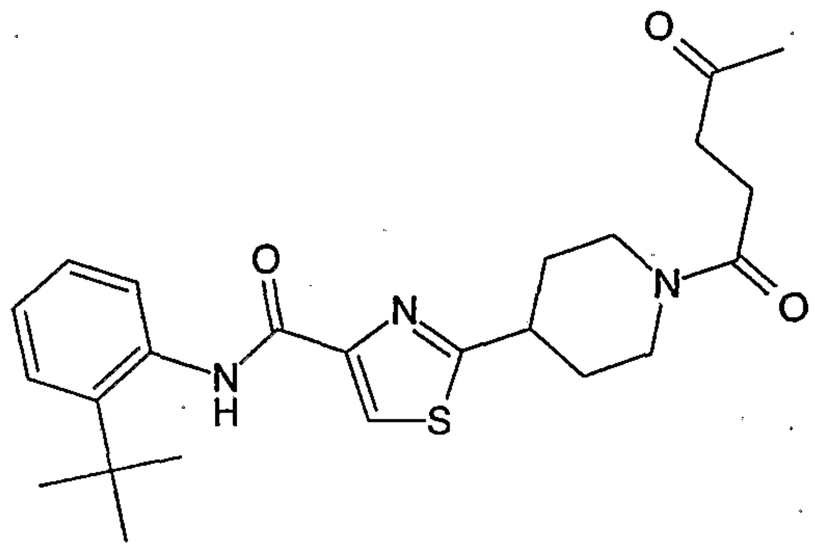
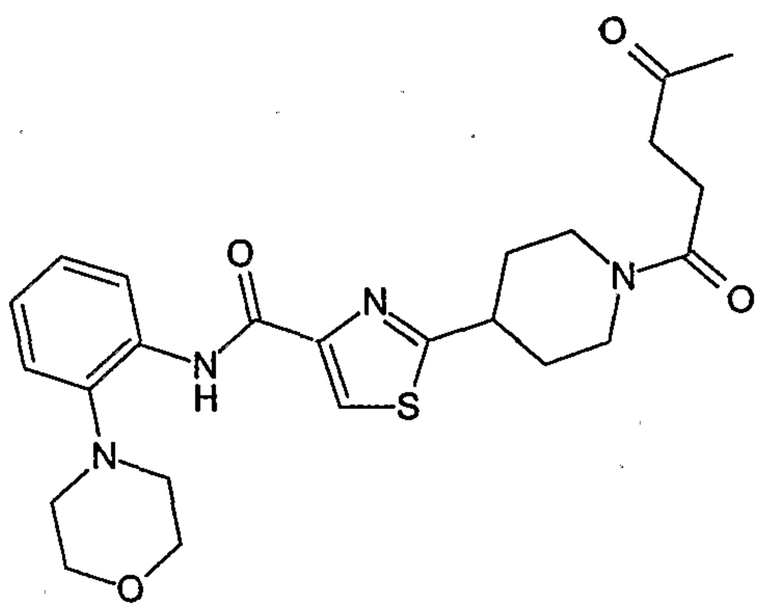
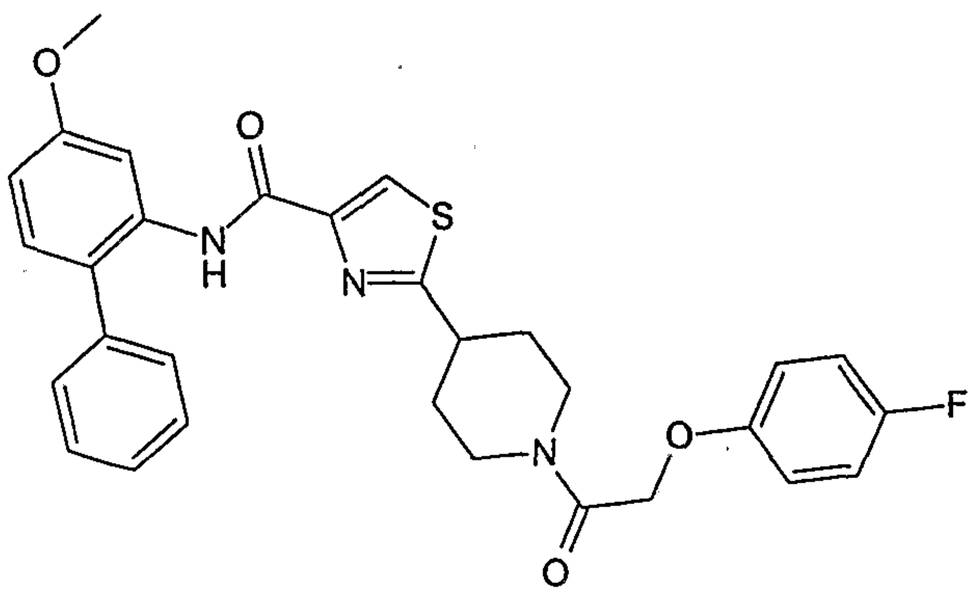
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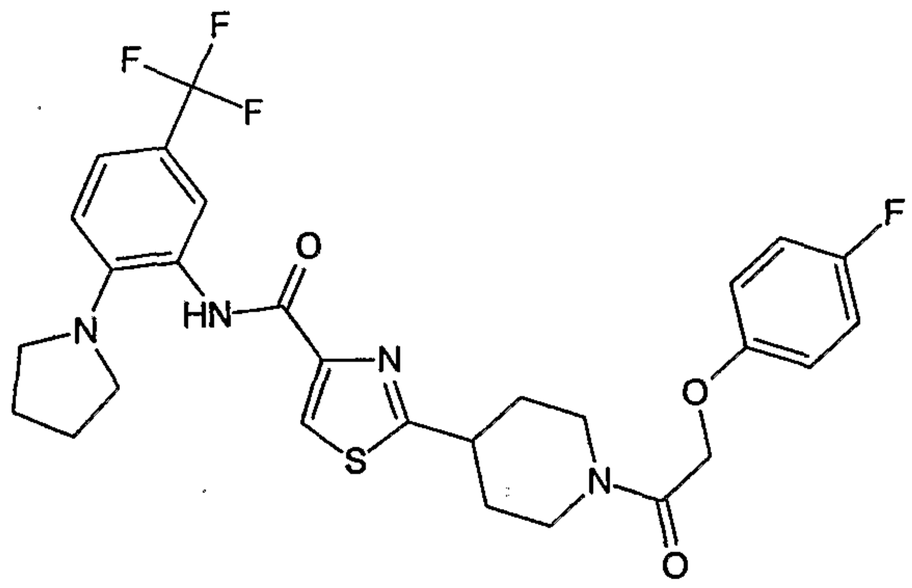
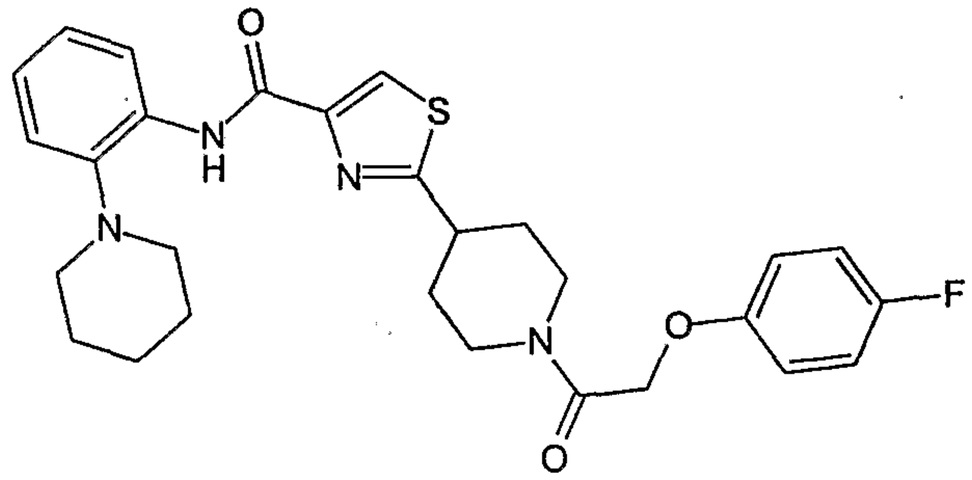
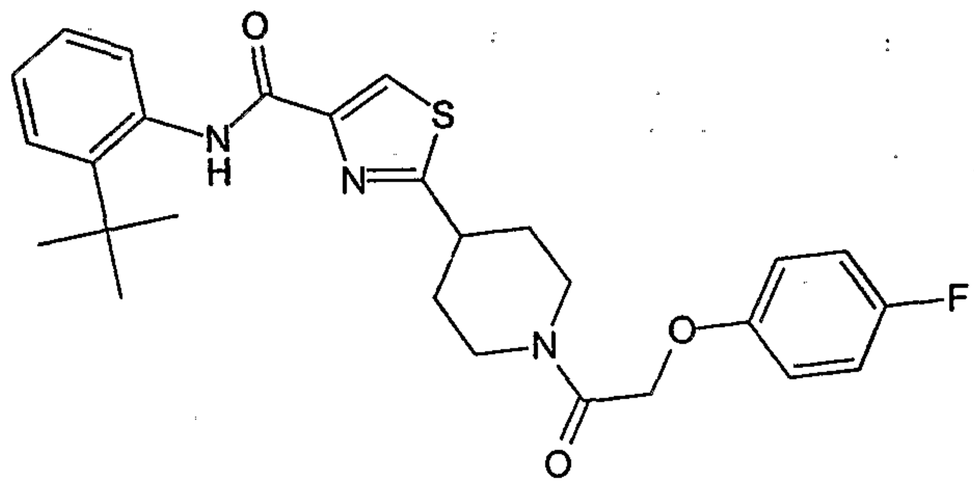
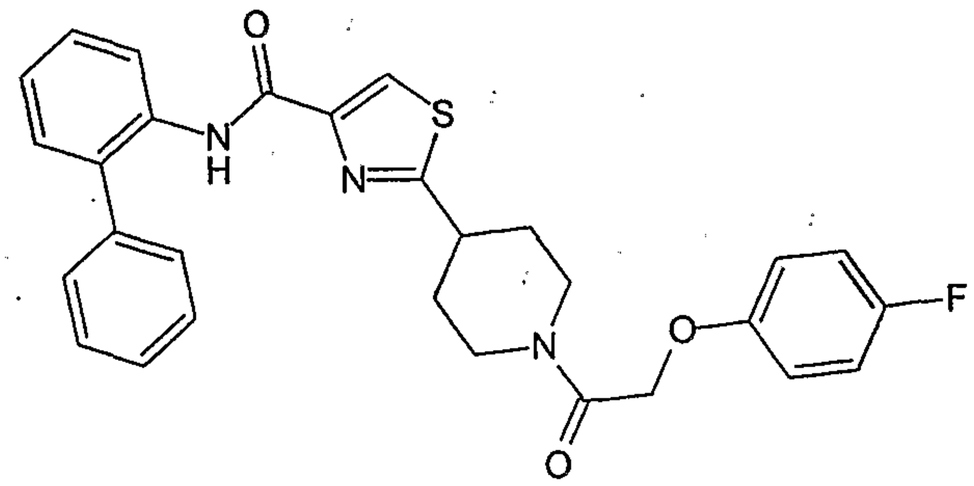
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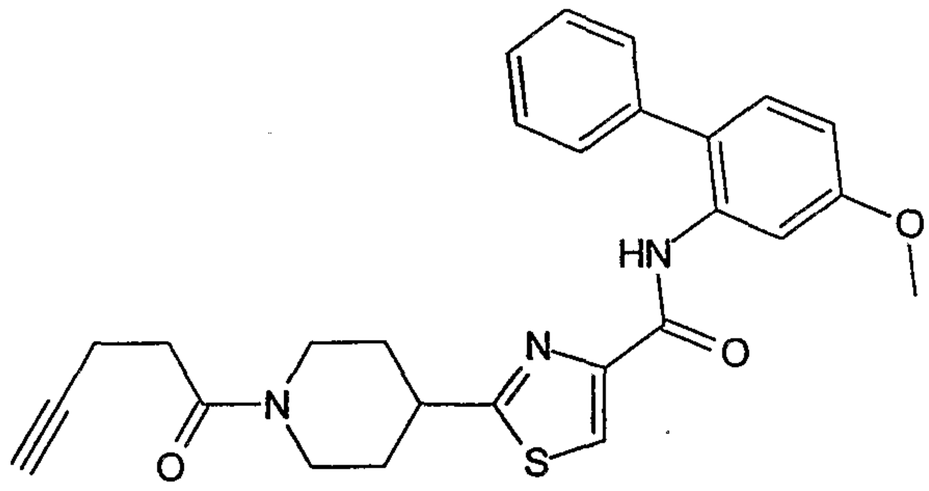
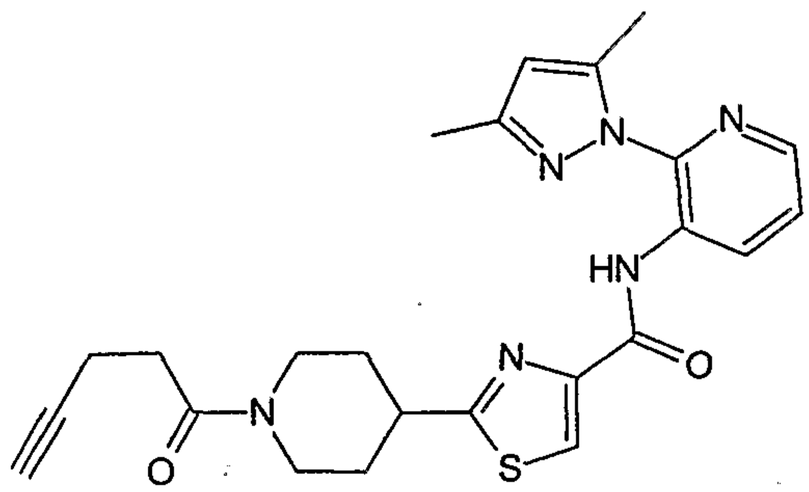
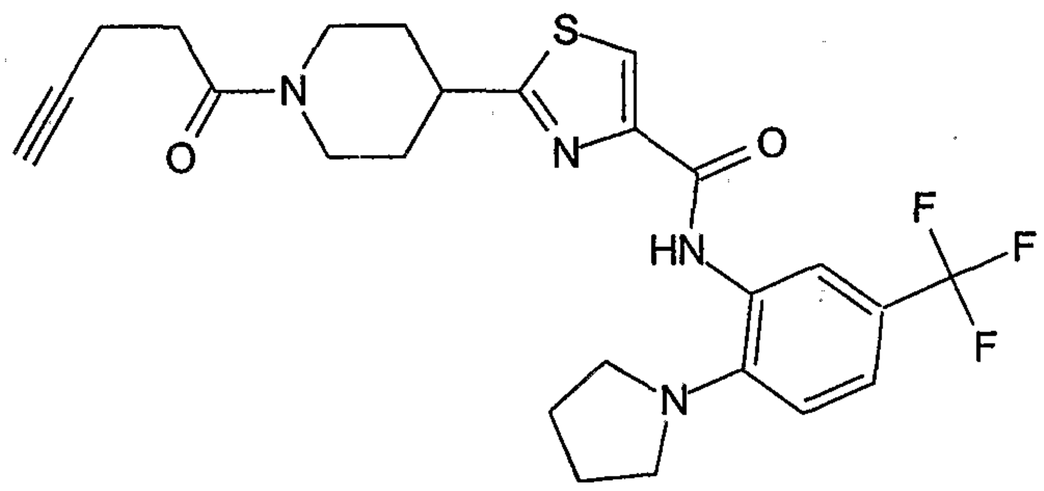
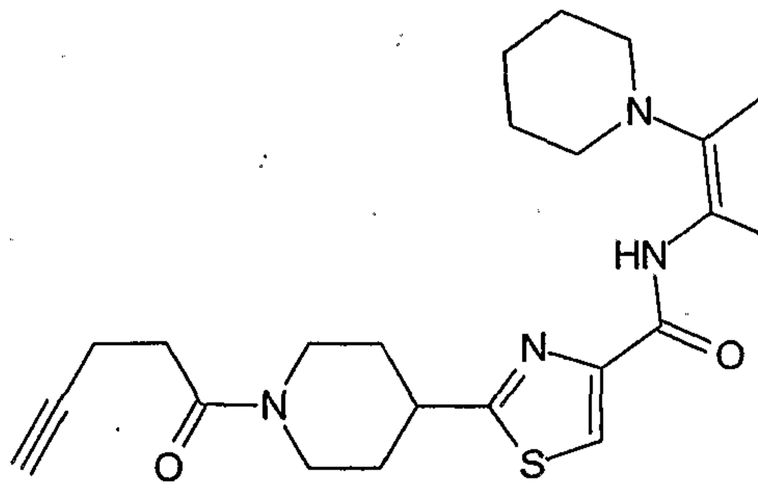
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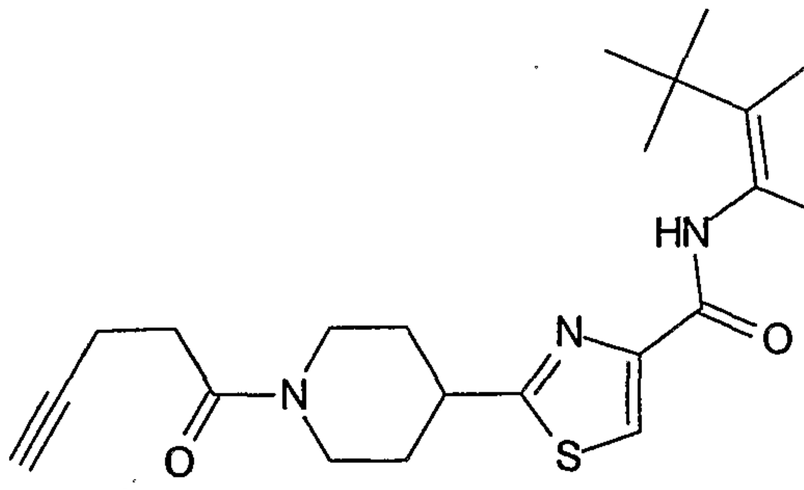
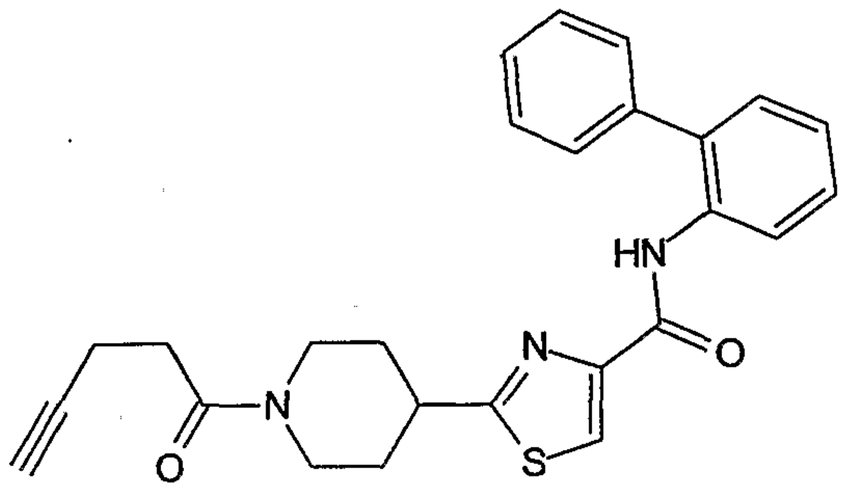
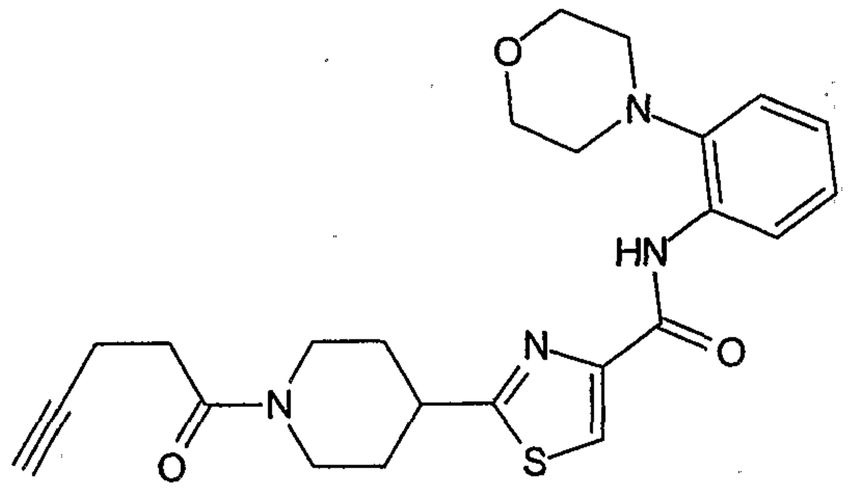
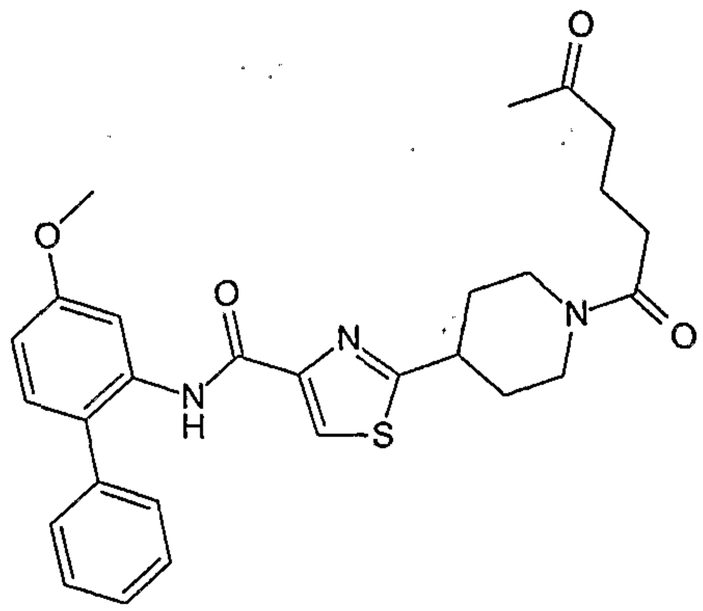
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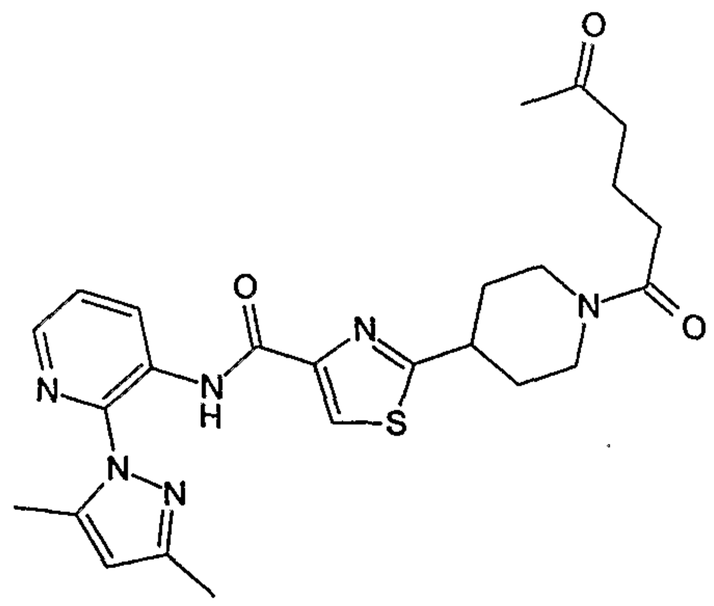
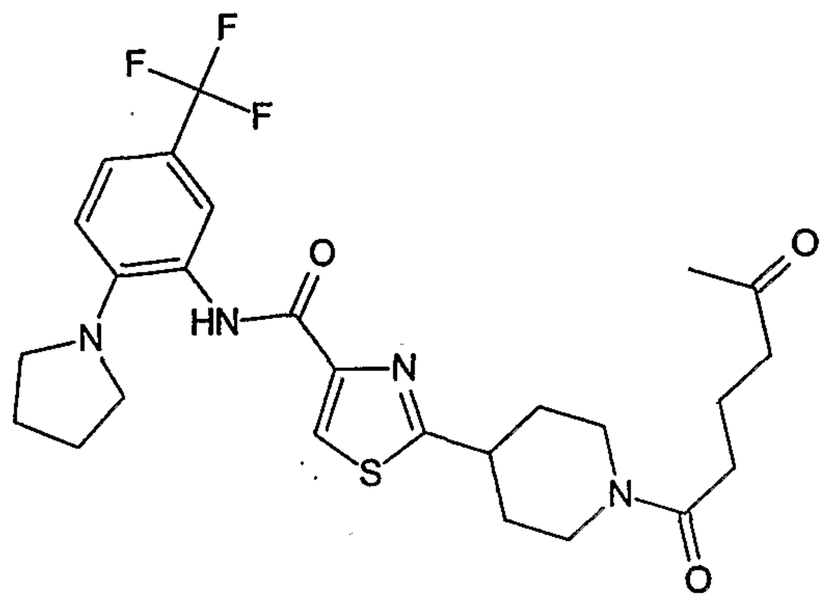
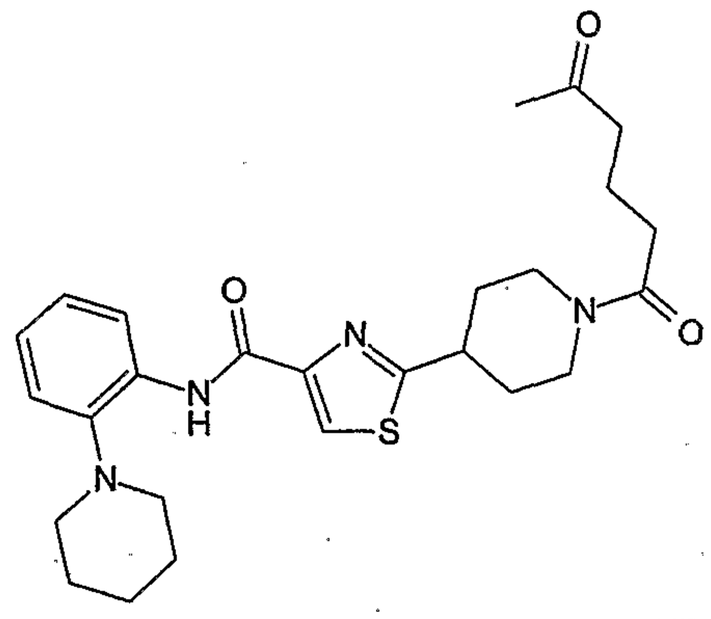
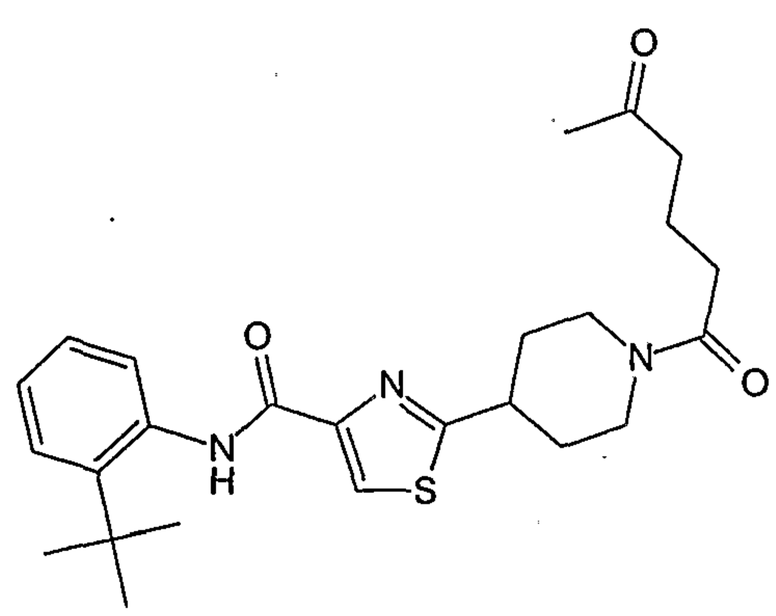
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551	 2-(1-hex-2-ynoylpiperidin-4-yl)-N-(2-piperidin-1-ylphenyl)-1,3-thiazole-4-carboxamide	
552	 N-(2-tert-butylphenyl)-2-(1-hex-2-ynoylpiperidin-4-yl)-1,3-thiazole-4-carboxamide	

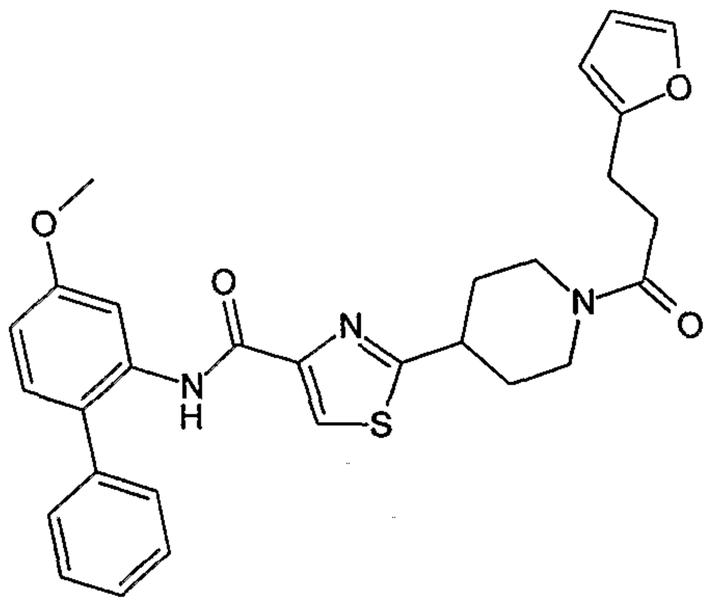
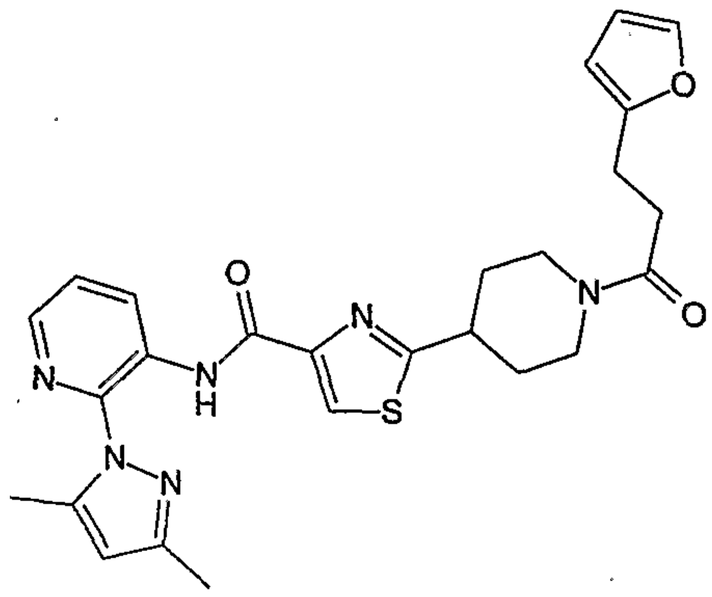
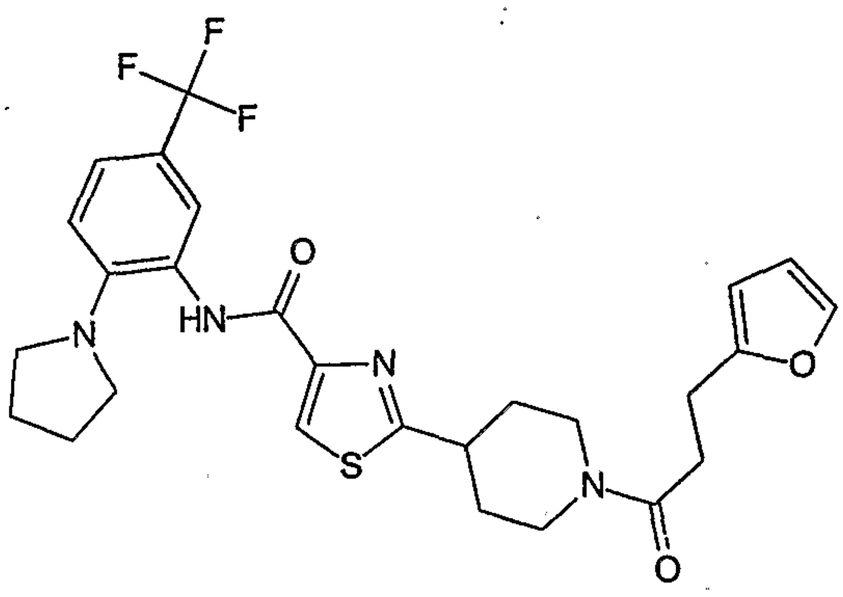
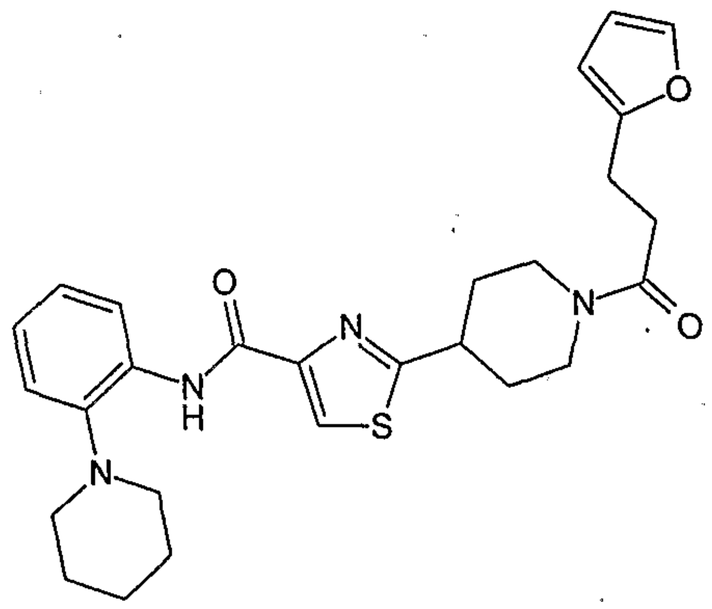
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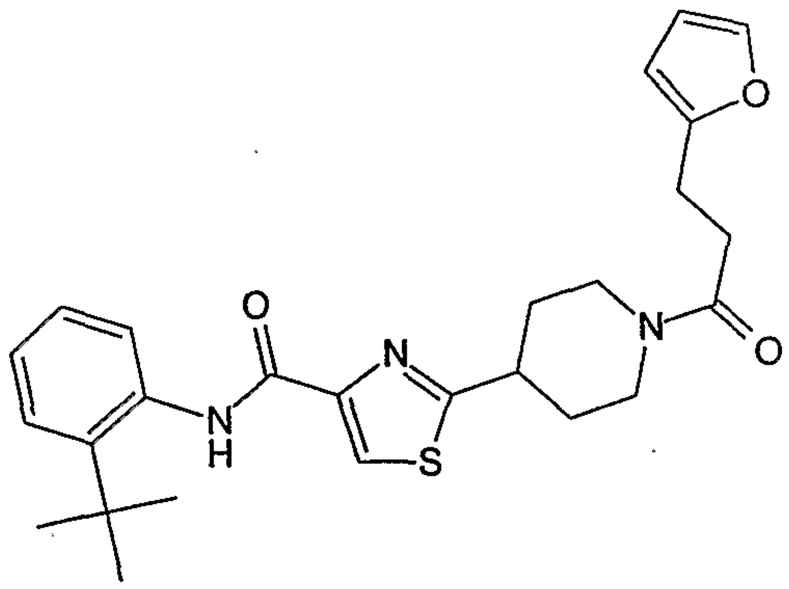
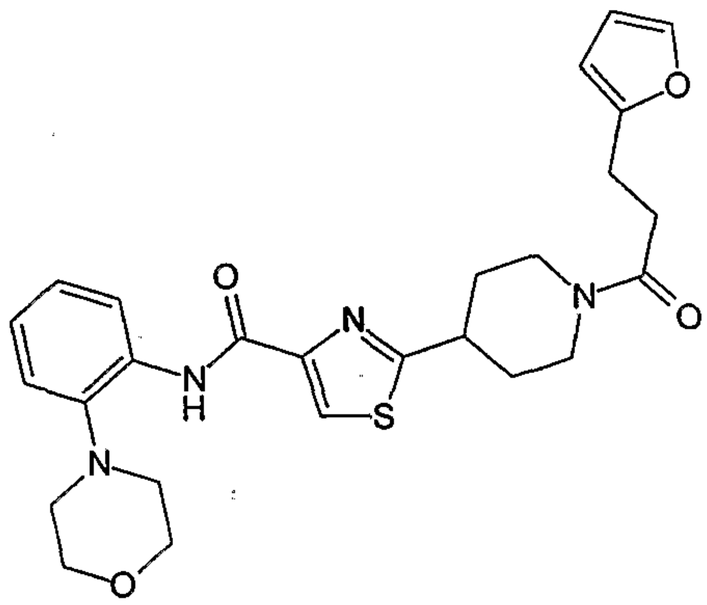
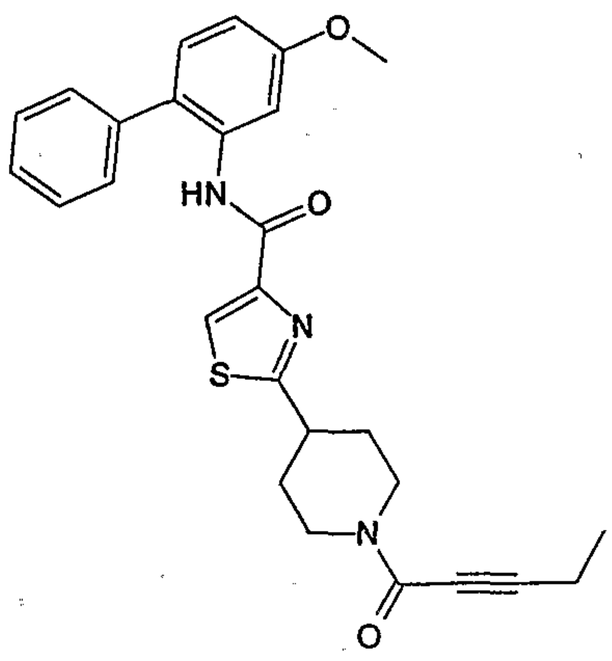
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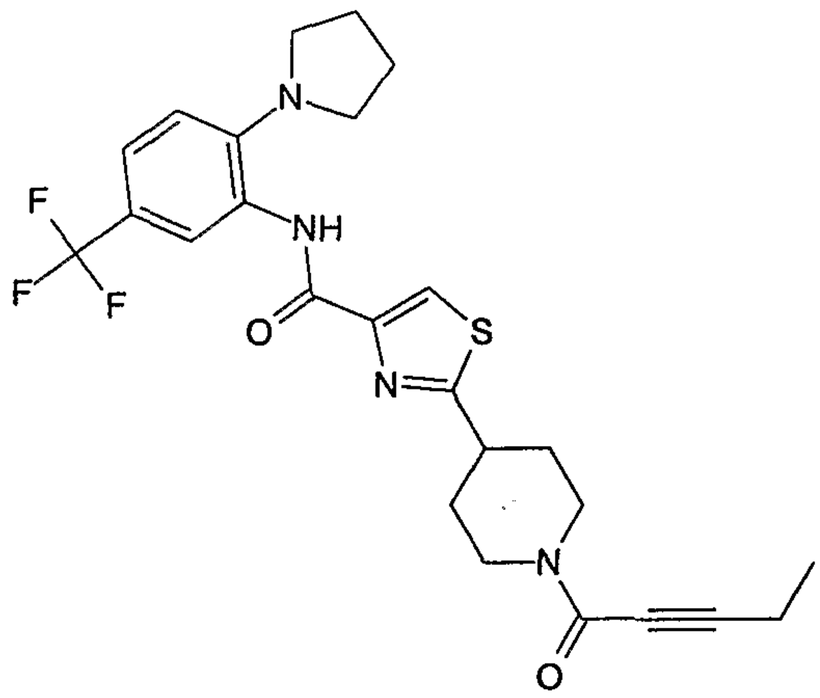
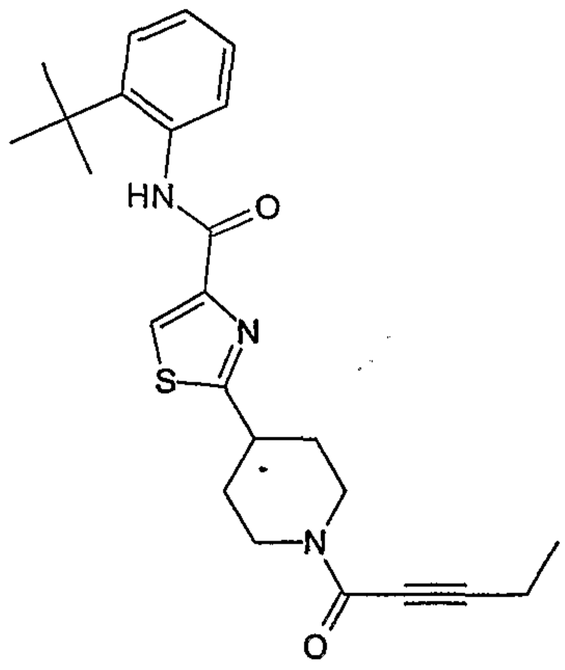
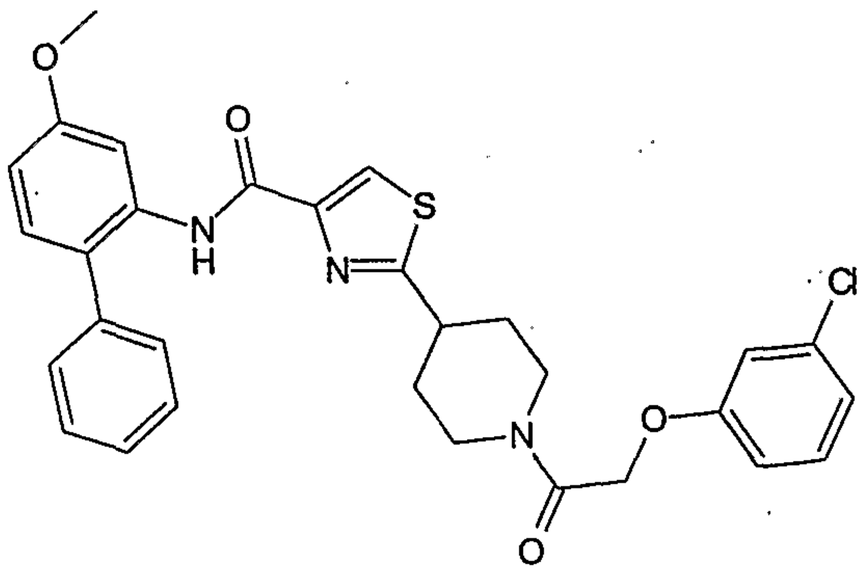
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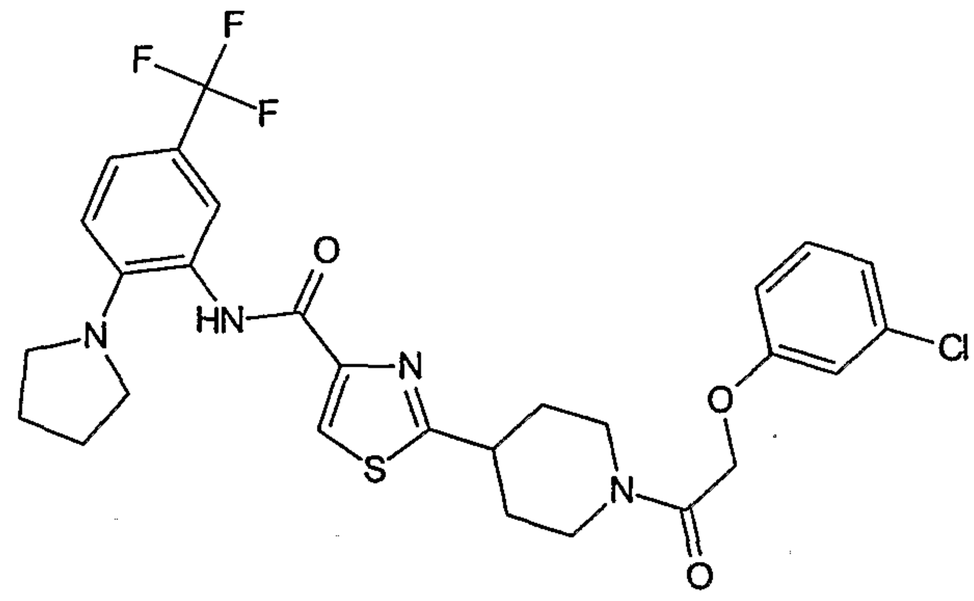
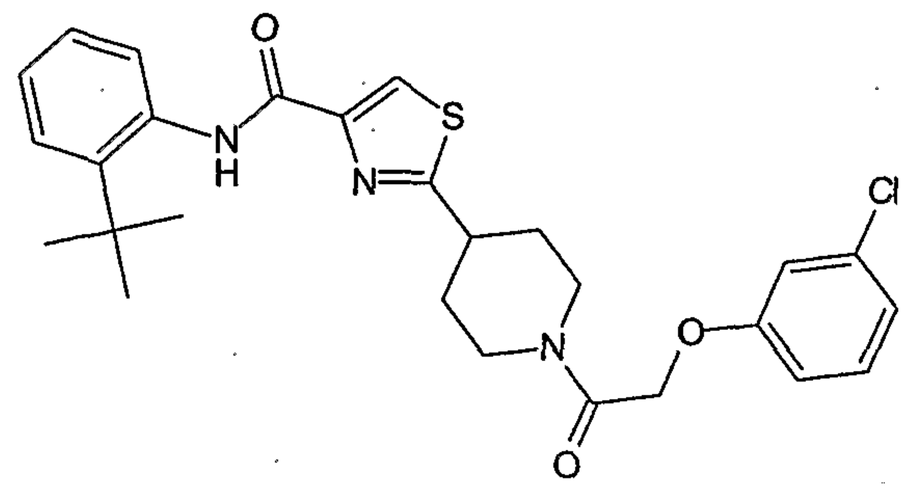
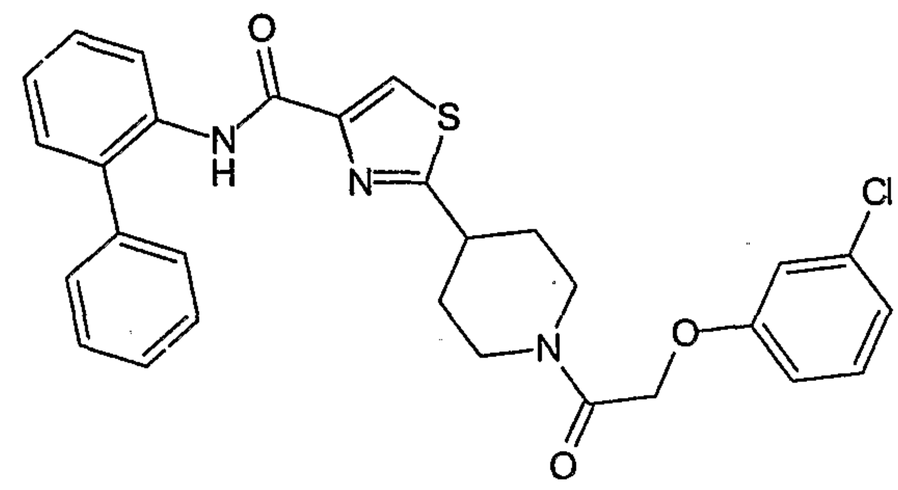
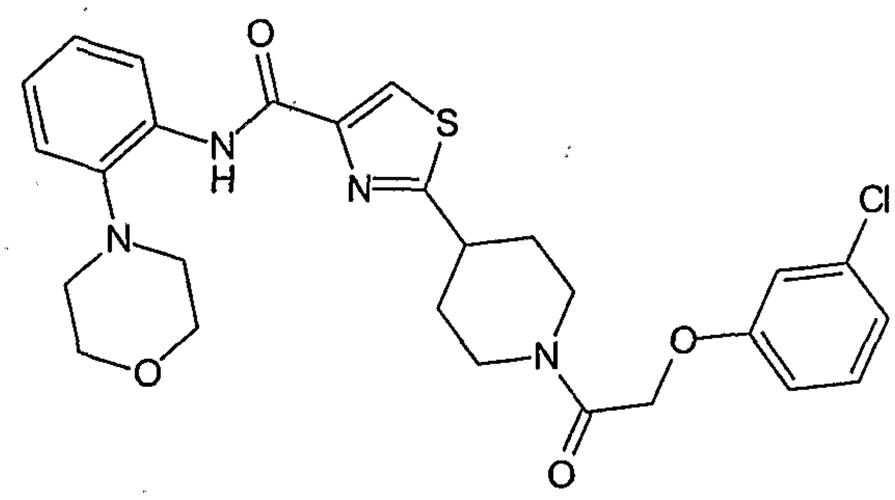
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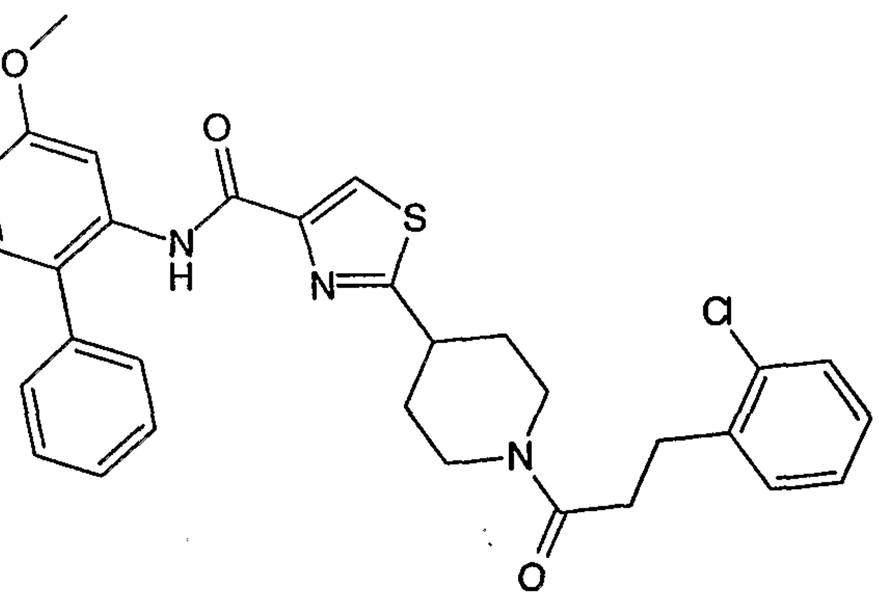
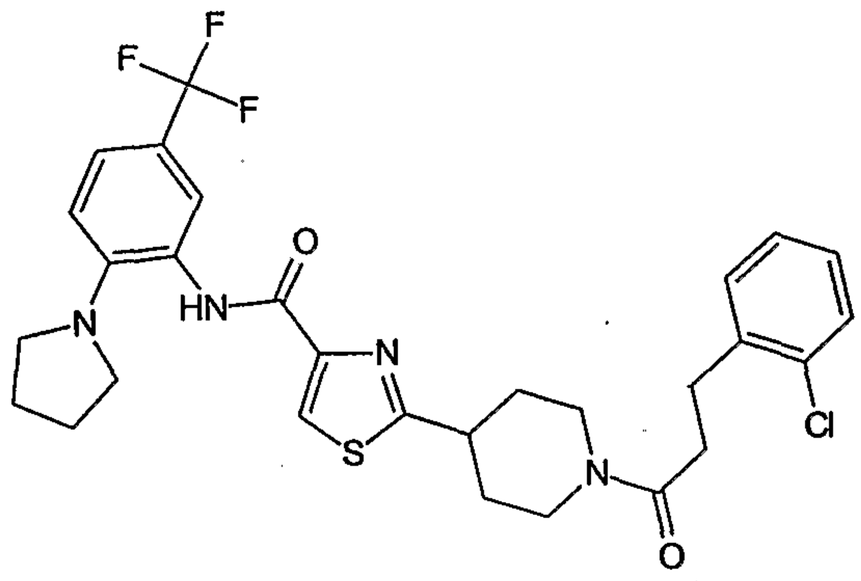
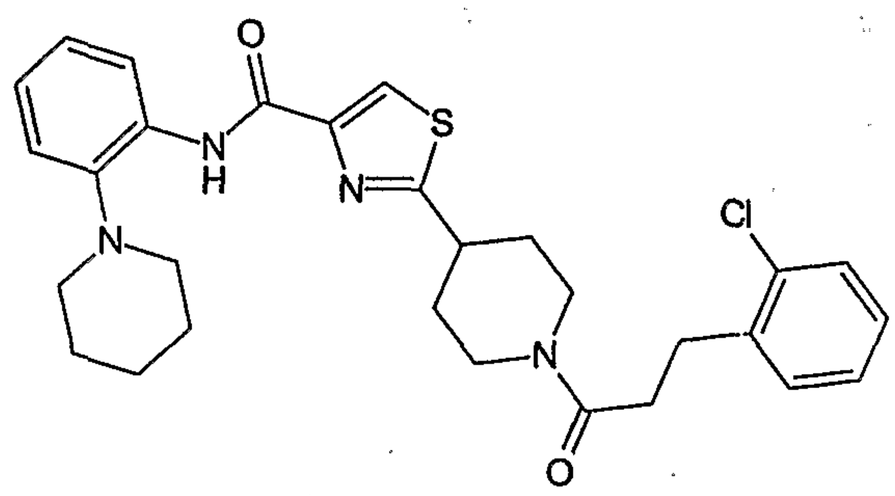
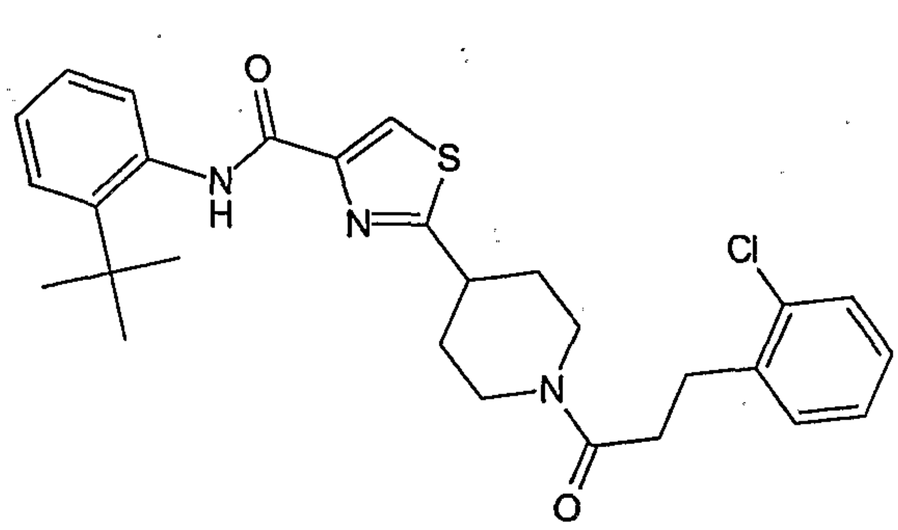
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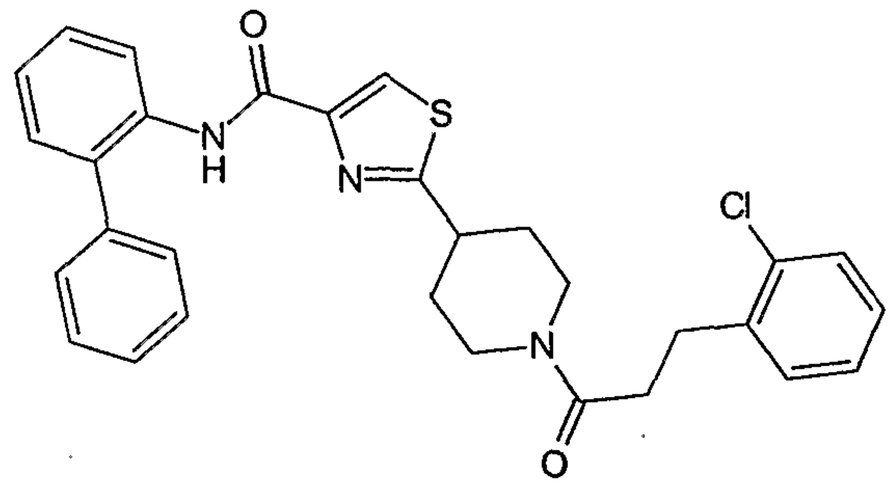
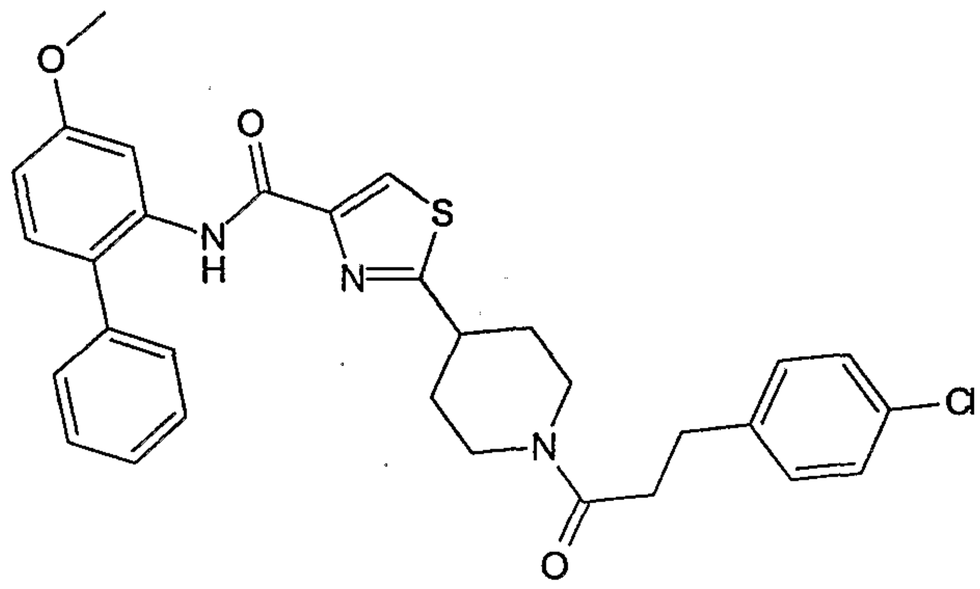
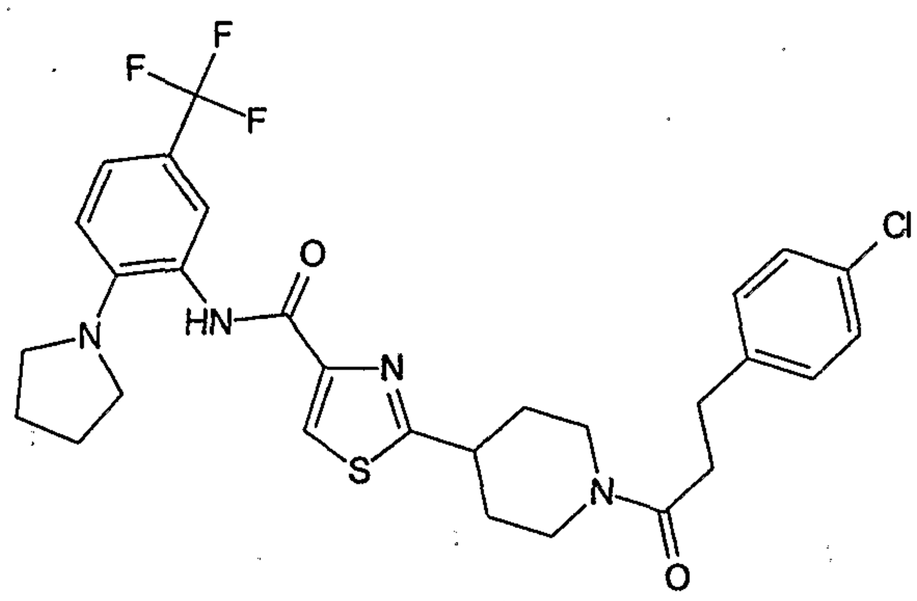
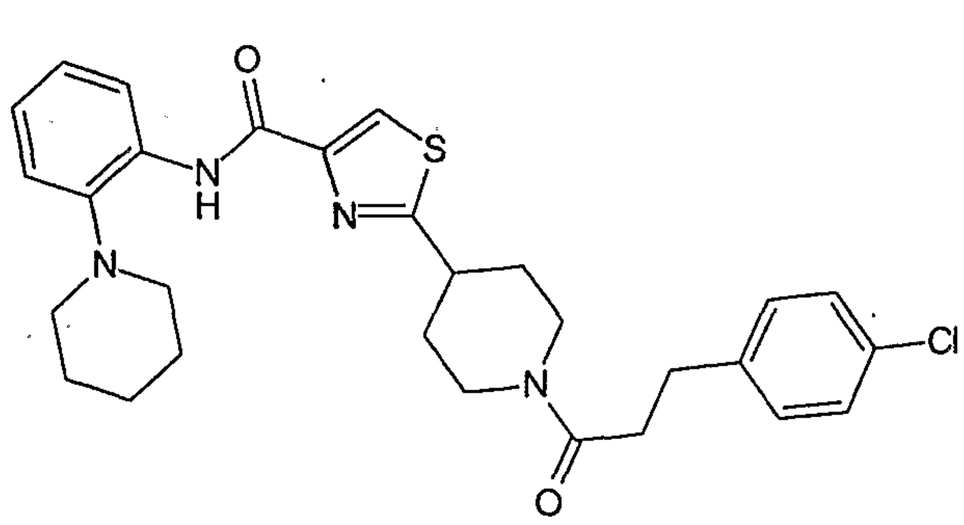
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No.	FORMULA	NMR or mass
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578		
579	 N-(4-methoxybiphenyl-2-yl)-2-(1-pent-2-ynoylpiperidin-4-yl)-1,3-thiazole-4-carboxamide	

No.	FORMULA	NMR or mass
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581	 N-(2-tert-butylphenyl)-2-(1-pent-2-ynoylpiperidin-4-yl)-1,3-thiazole-4-carboxamide	
582		

No.	FORMULA	NMR or mass
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No.	FORMULA	NMR or mass
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No.	FORMULA	NMR or mass
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DEMANDES OU BREVETS VOLUMINEUX

LA PRÉSENTE PARTIE DE CETTE DEMANDE OU CE BREVETS COMPREND PLUS D'UN TOME.

CECI EST LE TOME __1___ DE __2___

NOTE: Pour les tomes additionels, veillez contacter le Bureau Canadien des Brevets.

JUMBO APPLICATIONS / PATENTS

THIS SECTION OF THE APPLICATION / PATENT CONTAINS MORE THAN ONE VOLUME.

THIS IS VOLUME __1___ OF __2___

NOTE: For additional volumes please contact the Canadian Patent Office.

26474-982

CLAIMS:

1. A compound, which is

N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl) 2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;

N-ethyl-N-(1-methyl-2-oxo-2-pyrid-3-ylethyl) 2-[(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;

N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl)2-[1-(6-methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;

N-ethyl-N-(1-methyl-2-oxo-2-pyrid-2-ylethyl)2[1-(6-methyl-4'-trifluoro-methoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate;

N-[cyano(4-fluorophenyl)methyl]-N-phenyl-2-[1-(4'-trifluoromethyl-biphenyl-2-carbony)piperid-4-yl]thiazole-4-carboxamide;

N-(α-cyanobenzyl)-N-ethyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carboxamide;

2-{1-{[4'(trifluoromethyl)-1,1'-biphenyl-2-yl]carboxyl}piperid-4-yl}-1,3-thiazole-4-carboxylic acid;

1-(4-{[4-(3-hydroxypiperid-1-yl)methanoyl]thiazol-2-yl}piperid-1-yl)-1-(4'-trifluoromethylbiphenyl-2-yl)methanone;

N-methyl-N-(1-methyl-2-oxo-2-phenethyl)-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

N-methyl-N-(1-methyl-2-oxo-2(S)-phenethyl)-2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

N-(7-oxo-7H-thieno[3,2-b]pyran-6-yl)-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

N-(2-methyl-4-oxo-4H-chromen-3-yl)-2-[1-(6-methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

N-(α-cyanobenzyl)-N-isopropyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carboxamide; or

N-[1-cyano-1-(pyrid-4-yl)methyl]-N-isopropyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide;

or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

2. A compound according to claim 1, which is N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl)2-[1(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

3. A compound according to claim 1, which is N-ethyl-N-(1-methyl-2-oxo-2-pyrid-3-ylethyl)2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carbamate, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

4. A compound according to claim 1, which is N-ethyl-N-(1-methyl-2-oxo-2-phenylethyl)2[1-(6-methyl-4'-triftuoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

5. A compound according to claim 1, which is N-ethyl-N-(1-methyl-2-oxo-2-pyrid-2-ylethyl)2[1-(6-methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carbamate, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

6. A compound according to claim 1, which is N-[cyano(4-fluorophenyl)methyl]-N-phenyl-2-[1-(4'-trifluoromethyl-biphenyl-2-carbonyl)-piperid-4-

yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

7. A compound according to claim 1, which is N-(α-cyanobenzyl)-N-ethyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

8. A compound according to claim 1, which is 2-{1-{[4'-(trifluoromethyl)-1,1'-biphenyl-2-yl]carboxyl}piperid-4-yl}-1,3-thiazole-4-carboxylic acid, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

9. A compound according to claim 1, which is 1-(4-{[4-(3-hydroxypiperid-1-yl)methanoyl]thiazol-2-yl}piperid-1-yl)-1-(4'-trifluoromethylbiphenyl-2-yl)methanone, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

10. A compound according to claim 1, which is N-methyl-N-(1-methyl-2-oxo-2-phenethyl)-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

11. A compound according to claim 1, which is N-methyl-N-(1-methyl-2-oxo-2(S)-phenethyl)-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

12. A compound according to claim 1, which is N-(7-oxo-7H-thieno[3,2-b]pyran-6-yl)-2[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

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13. A compound according to claim 1, which is N-(2-methyl-4-oxo-4H-chromen-3-yl)-2-[1-(6-methyl-4'-trifluoromethoxybiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

14. A compound according to claim 1, which is N-(α-cyanobenzyl)-N-isopropyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

15. A compound according to claim 1, which is N-[1-cyano-1-(pyrid-4-yl)methyl]-N-isopropyl-2-[1-(4'-trifluoromethylbiphenyl-2-carbonyl)-piperid-4-yl]thiazole-4-carboxamide, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof.

16. A pharmaceutical composition comprising a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof, and a pharmaceutically acceptable carrier.

17. The pharmaceutical composition according to claim 16 for use in the treatment of hypertriglyceridaemia, hypercholesterolaemia or dyslipidaemia associated with diabetes.

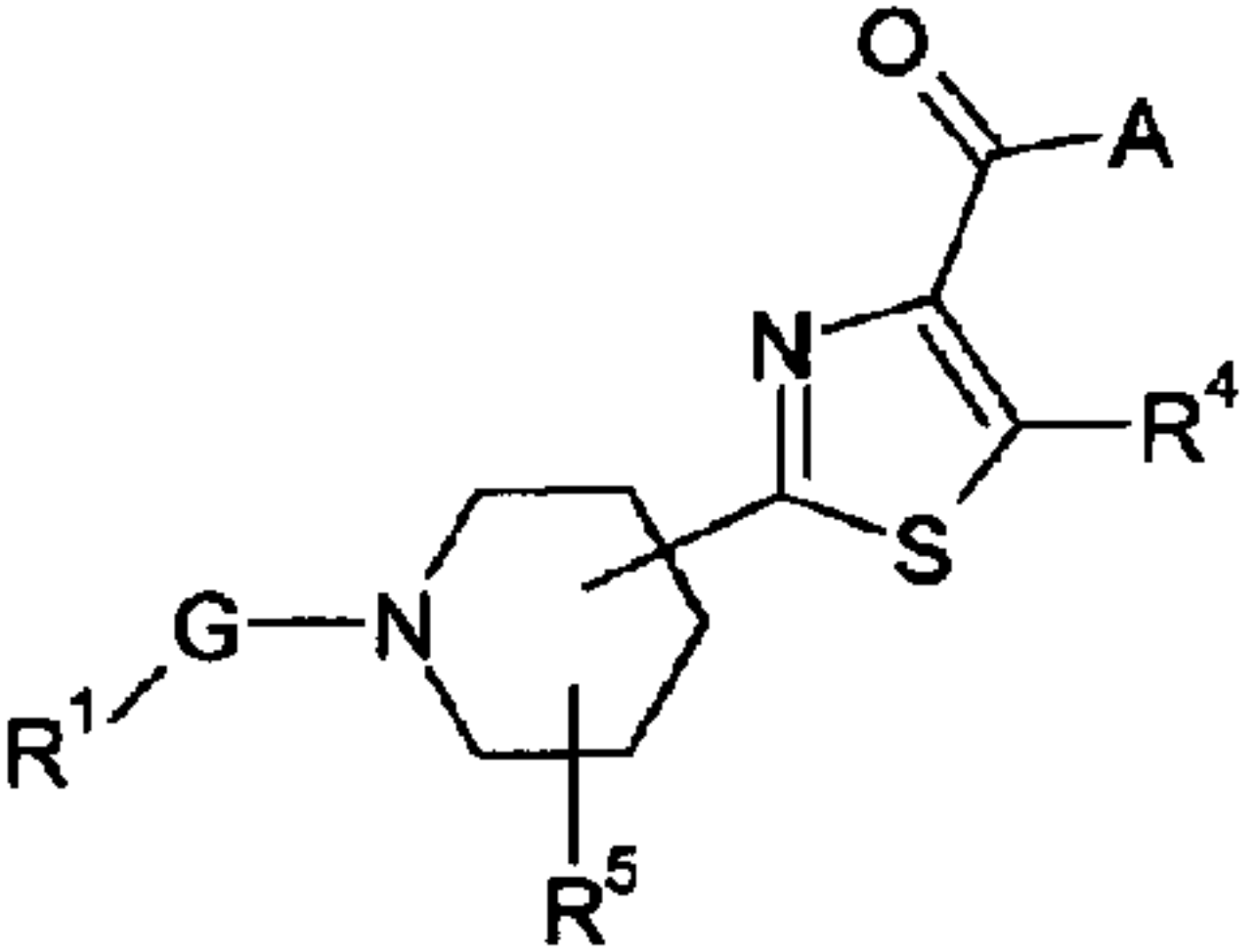
18. The pharmaceutical composition according to claim 16 for use in the prevention or treatment of obesity.

19. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof, for the preparation of a medicament for the treatment of hypertriglyceridaemia, hypercholesterolaemia or dyslipidaemia associated with diabetes.

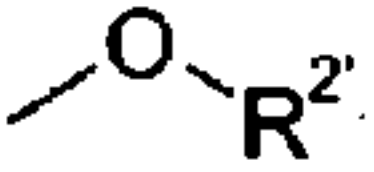
20. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof, for the preparation of a medicament for the prevention or treatment of obesity.

21. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof, for the treatment of hypertriglyceridaemia, hypercholesterolaemia or dyslipidaemia associated with diabetes.

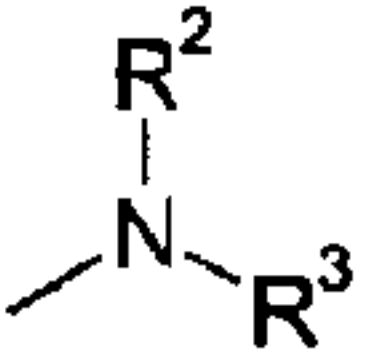
22. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, or an optical isomer thereof, or an N-oxide or S-oxide form thereof, for the prevention or treatment of obesity.



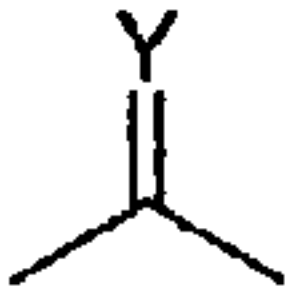
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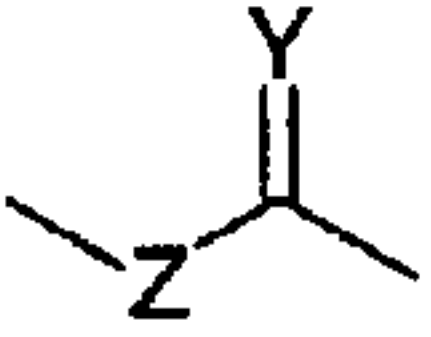
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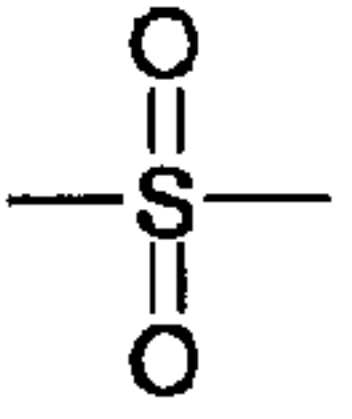
a2 ;



g1 ;



g2 ;



g3 ;