



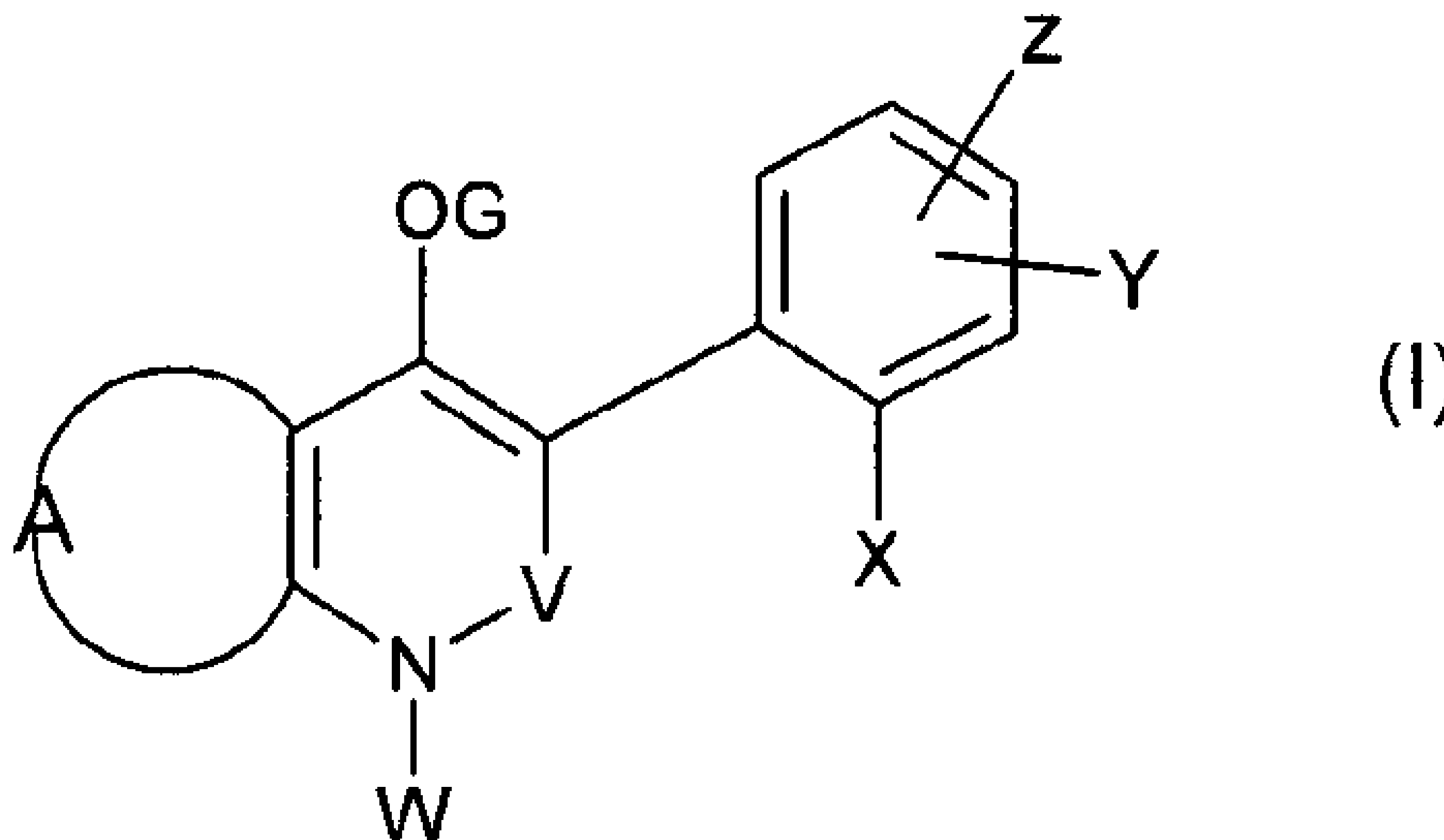
(86) **Date de dépôt PCT/PCT Filing Date:** 2011/08/29
(87) **Date publication PCT/PCT Publication Date:** 2012/03/08
(45) **Date de délivrance/Issue Date:** 2018/12/18
(85) **Entrée phase nationale/National Entry:** 2013/02/26
(86) **N° demande PCT/PCT Application No.:** EP 2011/064825
(87) **N° publication PCT/PCT Publication No.:** 2012/028582
(30) **Priorité/Priority:** 2010/09/01 (EP10174905.9)

(51) **Cl.Int./Int.Cl.** **C07D 471/04** (2006.01),
A01N 43/90 (2006.01), **C07D 231/40** (2006.01),
C07D 271/08 (2006.01), **C07D 277/56** (2006.01),
C07D 333/38 (2006.01), **C07D 495/04** (2006.01),
C07D 498/04 (2006.01), **C07D 513/04** (2006.01)

(72) **Inventeurs/Inventors:**
LEHR, STEFAN, DE;
WALDRAFF, CHRISTIAN, DE;
GATZWEILER, ELMAR, DE;
HAEUSER-HAHN, ISOLDE, DE;
HEINEMANN, INES, DE;
ROSINGER, CHRISTOPHER HUGH, DE

(73) **Propriétaire/Owner:**

(54) **Titre : CETOSULTAMES ET DICETOPYRIDINES HERBICIDES**
(54) **Title: HERBICIDALLY ACTIVE KETOSULTAMS AND DIKETOPYRIDINES**



(57) **Abrégé/Abstract:**

Ketosultams and diketopyridines of the formula (I) and use thereof as herbicides are described. (see formula I) In this formula (I), G, X, Y and Z are each radicals such as hydrogen and organic radicals such as alkyl. W represents organic radicals such as alkyl. A represents a heterocycle.

(73) **Propriétaires(suite)/Owners(continued):**BAYER CROPSCIENCE AG, DE

(74) **Agent:** FETHERSTONHAUGH & CO.

BCS 10-1017

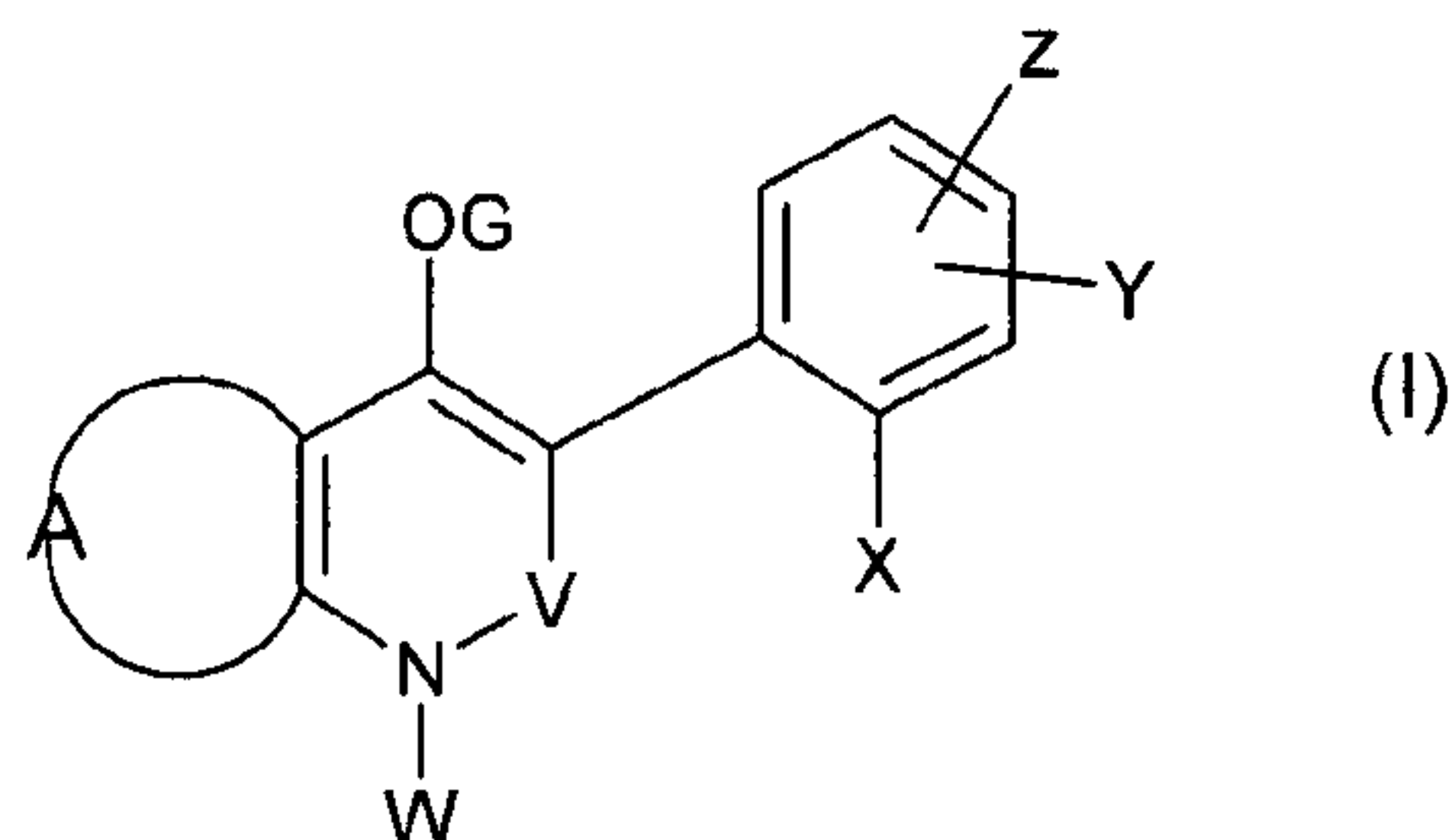
208

Abstract:

Herbicidally active ketosultams and diketopyridines

5

Ketosultams and diketopyridines of the formula (I) and use thereof as herbicides are described.



10

In this formula (I), G, X, Y and Z are each radicals such as hydrogen and organic radicals such as alkyl. W represents organic radicals such as alkyl. A represents a heterocycle.

Description

5 Herbicidally active ketosultams and diketopyridines

The invention relates to the technical field of the herbicides, especially to that of the herbicides for selective control of broad-leaved and gramineous weeds in crops of useful plants.

10

It especially relates to aryl-substituted ketosultam and diketopyridine derivatives, to processes for preparation thereof and to the use thereof as herbicides.

15

Various documents describe herbicidally active diketopyridines which form a fused ring system with 6-membered carbo- or heterocyclic rings. WO2008/009908 A1 and WO2008/071918 A1 describe diketopyridines with fused pyrazine. WO2009/090401 A1 and WO2010/049269 A1 mention diketopyridines fused to a pyridine ring. WO2009/063180 describes ketosultams fused to pyrazine rings.

20

However, the compounds known from these documents frequently exhibit inadequate herbicidal efficacy. It is therefore an object of the present invention to provide alternative herbicidally active compounds.

25

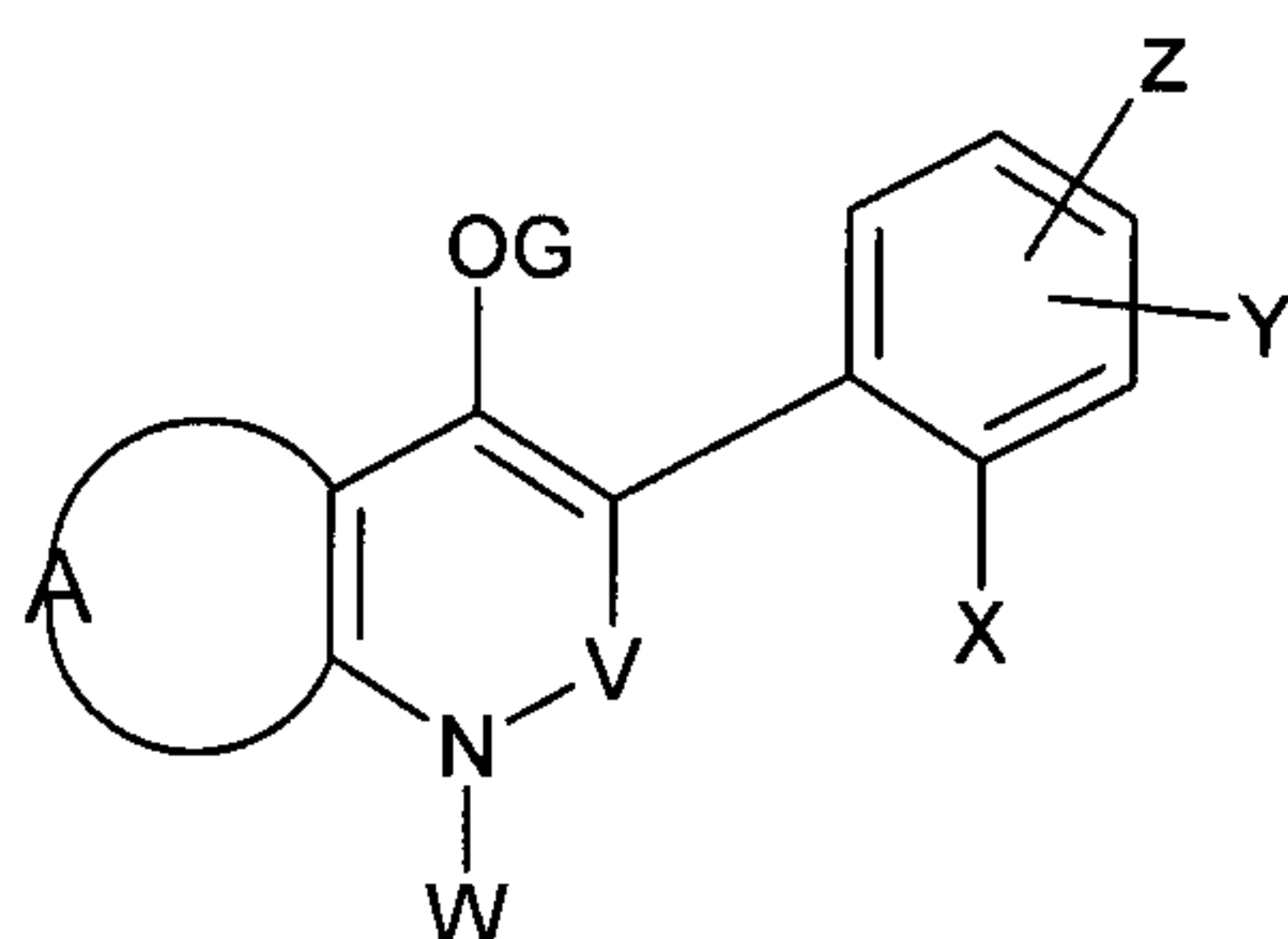
It has been found that ketosultams and diketopyridines which have a fused-on saturated or unsaturated heterocyclic five-membered ring are particularly suitable as herbicides.

The present invention provides ketosultams and diketopyridines of the formula (I) or salts thereof

WO 2012/028582

2

PCT/EP2011/064825



(I)

in which

5 A is a fused-on saturated or unsaturated five-membered heterocycle substituted by m radicals from the group consisting of R^{10} , R^{11} , R^{12} , R^{13} and R^{14} ;

V is $C(=O)$ or $S(O)_2$;

10 m is 0, 1 or 2;

n is 0, 1, 2 or 3;

15 G is hydrogen, $C(=O)R^1$, $C(=L)MR^2$, SO_2R^3 , $P(=L)R^4R^5$, $C(=L)NR^6R^7$, E or R^8 ;

E is a metal ion equivalent or an ammonium ion;

L is oxygen or sulfur;

20 M is oxygen or sulfur;

R^1 is (C_1-C_6) -alkyl, (C_2-C_6) -alkenyl, (C_1-C_4) -alkoxy- (C_1-C_6) -alkyl, di- (C_1-C_4) -alkoxy- (C_1-C_6) -alkyl or (C_1-C_4) -alkylthio- (C_1-C_6) -alkyl each substituted by n halogen atoms,

25 a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n radicals from the group consisting of halogen, (C_1-C_4) -alkyl and (C_1-C_4) -alkoxy,

WO 2012/028582

3

PCT/EP2011/064825

(C₃-C₆)-cycloalkyl, phenyl, phenyl-(C₁-C₄)-alkyl, phenoxy-(C₁-C₄)-alkyl or heteroaryl-oxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

5 R² is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl each substituted by n halogen atoms, or (C₃-C₆)-cycloalkyl, phenyl or benzyl substituted by n radicals in each case from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

10 R³, R⁴ and R⁵ are each independently (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, N-(C₁-C₆)-alkylamino, N,N-di-(C₁-C₆)-alkylamino, (C₁-C₄)-alkylthio, (C₂-C₄)-alkenyl or (C₃-C₆)-cycloalkylthio each substituted by n halogen atoms, or phenyl, benzyl, phenoxy or phenylthio substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

15

R⁶ and R⁷ are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₂-C₆)-alkenyl, (C₁-C₆)-alkoxy or (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, phenyl or benzyl substituted by in each case n radicals from the group consisting of
20 halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, or R⁶ and R⁷ form, together with the nitrogen atom to which they are bonded, a 3- to 6-membered ring containing 2 to 5 carbon atoms and 0 or 1 oxygen or sulfur atom;

R⁸ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl,
25 (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3
30 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

WO 2012/028582

4

PCT/EP2011/064825

phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- 5 R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

- R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
 10 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- 15 R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkylsulfonyl, or
 20 (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms, and
 25

- X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl.
 30

WO 2012/028582

5

PCT/EP2011/064825

Alkyl denotes saturated straight-chain or branched hydrocarbyl radicals having 1 to 8 carbon atoms, for example C₁-C₆-alkyl such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl.

10

Haloalkyl denotes straight-chain or branched alkyl groups having 1 to 8 carbon atoms, where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms, for example C₁-C₂-haloalkyl such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl and 1,1,1-trifluoroprop-2-yl.

15

20

Alkenyl denotes unsaturated straight-chain or branched hydrocarbyl radicals having 2 to 8 carbon atoms and one double bond in any position, for example C₂-C₆-alkenyl such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl,

25

30

WO 2012/028582

6

PCT/EP2011/064825

1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl.

Alkynyl denotes straight-chain or branched hydrocarbyl radicals having 2 to 8 carbon atoms and one triple bond in any position, for example C₂-C₆-alkynyl such as ethynyl, 1-propynyl, 2-propynyl (or propargyl), 1-butyne, 2-butyne, 3-butyne, 1-methyl-2-propynyl, 1-pentyne, 2-pentyne, 3-pentyne, 4-pentyne, 3-methyl-1-butyne, 1-methyl-2-butyne, 1-methyl-3-butyne, 2-methyl-3-butyne, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 3-methyl-1-pentyne, 4-methyl-1-pentyne, 1-methyl-2-pentyne, 4-methyl-2-pentyne, 1-methyl-3-pentyne, 2-methyl-3-pentyne, 1-methyl-4-pentyne, 2-methyl-4-pentyne, 3-methyl-4-pentyne, 1,1-dimethyl-2-butyne, 1,1-dimethyl-3-butyne, 1,2-dimethyl-3-butyne, 2,2-dimethyl-3-butyne, 3,3-dimethyl-1-butyne, 1-ethyl-2-butyne, 1-ethyl-3-butyne, 2-ethyl-3-butyne and 1-ethyl-1-methyl-2-propynyl.

20

Alkoxy denotes saturated straight-chain or branched alkoxy radicals having 1 to 8 carbon atoms, for example C₁-C₆-alkoxy such as methoxy, ethoxy, propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy, 1,1-dimethylethoxy, pentoxy, 1-methylbutoxy, 2-methylbutoxy, 3-methylbutoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy, 1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy, 1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and 1-ethyl-2-methylpropoxy;

haloalkoxy denotes straight-chain or branched alkoxy groups having 1 to 8 carbon atoms (as specified above), where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as specified above, for example C₁-C₂-

WO 2012/028582

7

PCT/EP2011/064825

haloalkoxy such as chloromethoxy, bromomethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 1-chloroethoxy, 1-bromoethoxy, 1-fluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, pentafluoroethoxy and 1,1,1-trifluoroprop-2-oxy.

Alkylthio denotes saturated straight-chain or branched alkylthio radicals having 1 to 8 carbon atoms, for example C₁-C₆-alkylthio such as methylthio, ethylthio, propylthio, 1-methylethylthio, butylthio, 1-methylpropylthio, 2-methylpropylthio, 1,1-dimethylethylthio, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio and 1-ethyl-2-methylpropylthio;

haloalkylthio denotes straight-chain or branched alkylthio groups having 1 to 8 carbon atoms (as specified above), where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as specified above, for example C₁-C₂-haloalkylthio such as chloromethylthio, bromomethylthio, dichloromethylthio, trichloromethylthio, fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorofluoromethylthio, dichlorofluoromethylthio, chlorodifluoromethylthio, 1-chloroethylthio, 1-bromoethylthio, 1-fluoroethylthio, 2-fluoroethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, 2,2,2-trichloroethylthio, pentafluoroethylthio and 1,1,1-trifluoroprop-2-ylthio.

Heteroaryl is especially 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 1-pyrazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 1-imidazolyl, 2-imidazolyl, 4-imidazolyl,

5-imidazolyl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl, 1,2,4-triazol-1-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-4-yl, 1,2,4-triazol-5-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3-triazol-4-yl, tetrazol-1-yl, tetrazol-2-yl, tetrazol-5-yl, indol-1-yl, indol-2-yl, indol-3-yl, isoindol-1-yl, isoindol-2-yl, benzofur-2-yl, benzothiophen-2-yl, benzofur-3-yl, benzothiophen-3-yl, benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, indazol-1-yl, indazol-2-yl, indazol-3-yl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl, 1,2,4-triazin-3-yl, 1,2,4-triazin-5-yl or 1,2,4-triazin-6-yl. This heteroaryl is – unless
 10 stated otherwise – in each case unsubstituted or in each case mono- or polysubstituted identically or differently by radicals selected from fluorine, chlorine, bromine, iodine, cyano, hydroxyl, mercapto, amino, methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, cyclopropyl, 1-chlorocyclopropyl, vinyl, ethynyl, methoxy, ethoxy, isopropoxy, methylthio, ethylthio, trifluoromethylthio,
 15 chlorodifluoromethyl, dichlorofluoromethyl, chlorofluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, trifluoromethylthio, 2,2,2-trifluoroethoxy, 2,2-dichloro-2-fluoroethyl, 2,2-difluoro-2-chloroethyl, 2-chloro-2-fluoroethyl, 2,2,2-trichloroethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2-methoxyethoxy, acetyl,
 20 propionyl, methoxycarbonyl, ethoxycarbonyl, N-methylamino, N,N-dimethylamino, N-ethylamino, N,N-diethylamino, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, dimethylcarbamoylamino, methoxycarbonylamino, methoxycarbonyloxy, ethoxycarbonylamino, ethoxycarbonyloxy, methylsulfamoyl, dimethylsulfamoyl, phenyl or phenoxy.

25

A saturated or unsaturated five-membered heterocycle is understood to mean a five-membered ring system which, apart from carbon atoms, contains 1 to 4 heteroatoms from the group of oxygen, sulfur and nitrogen. Examples of such a heterocycle are furan, thiophene, 1,2-oxazole, 1,3-oxazole, 1,2-thiazole, 1,3-thiazole, imidazole,
 30 pyrazole, 1,2-diazole, 1,2,5-oxadiazole and the unsaturated and partly saturated analogs of each.

The compounds of the formula (I) may, also depending on the type of substituents, be present as geometric and/or optical isomers or isomer mixtures, in different compositions which may be separated if appropriate by a customary manner. Both the pure isomers and the isomer mixtures, the preparation thereof and use thereof, and compositions comprising them, form part of the subject matter of this invention. Hereinafter, however, reference is made for the sake of simplicity always to compounds of the formula (I), even though what is meant is both the pure compounds and, if appropriate, mixtures with different proportions of isomeric compounds.

10

A metal ion equivalent is a metal ion with one positive charge, such as Na^+ , K^+ , $(\text{Mg}^{2+})_{1/2}$, $(\text{Ca}^{2+})_{1/2}$, MgH^+ , CaH^+ , $(\text{Al}^{3+})_{1/3}$, $(\text{Fe}^{2+})_{1/2}$ or $(\text{Fe}^{3+})_{1/3}$.

Halogen is fluorine, chlorine, bromine and iodine.

15

When a group is polysubstituted by radicals, this is understood to mean that this group is substituted by one or more identical or different radicals among those mentioned.

20 According to the nature of the substituents defined above, the compounds of the formula (I) have acidic or basic properties and can form salts with inorganic or organic acids or with bases or with metal ions, and in some cases also internal salts or adducts. When the compounds of the formula (I) bear amino, alkylamino or other groups which induce basic properties, these compounds can be reacted with acids to
25 give salts or are obtained directly as salts by the synthesis.

Examples of inorganic acids are hydrohalic acids such as hydrogen fluoride, hydrogen chloride, hydrogen bromide and hydrogen iodide, sulfuric acid, phosphoric acid and nitric acid, and acidic salts such as NaHSO_4 and KHSO_4 . Useful organic
30 acids include, for example, formic acid, carbonic acid, and alkanoic acids such as acetic acid, trifluoroacetic acid, trichloroacetic acid and propionic acid, and also glycolic acid, thiocyanic acid, lactic acid, succinic acid, citric acid, benzoic acid,

WO 2012/028582

10

PCT/EP2011/064825

- cinnamic acid, oxalic acid, alkylsulfonic acids (sulfonic acids with straight-chain or branched alkyl radicals having 1 to 20 carbon atoms), arylsulfonic acids or -disulfonic acids (aromatic radicals such as phenyl and naphthyl which bear one or two sulfo groups), alkylphosphonic acids (phosphonic acids with straight-chain or branched alkyl radicals having 1 to 20 carbon atoms), arylphosphonic acids or -diphosphonic acids (aromatic radicals such as phenyl and naphthyl which bear one or two phosphonic acid radicals), where the alkyl or aryl radicals may bear further substituents, for example p-toluenesulfonic acid, salicylic acid, p-aminosalicylic acid, 2-phenoxybenzoic acid, 2-acetoxybenzoic acid etc.
- 10 Useful metal ions include especially the ions of the elements of the second main group, especially calcium and magnesium, of the third and fourth main groups, especially aluminum, tin and lead, and of the first to eighth transition groups, especially chromium, manganese, iron, cobalt, nickel, copper, zinc and others. Particular preference is given to the metal ions of the elements of the fourth period.
- 15 These metals may be present in the different valences that they can adopt.

When the compounds of the formula (I) bear hydroxyl, carboxyl or other groups which induce acidic properties, these compounds can be reacted with bases to give salts. Suitable bases are, for example, hydroxides, carbonates, hydrogencarbonates of the alkali metals and alkaline earth metals, especially those of sodium, potassium, magnesium and calcium, and also ammonia, primary, secondary and tertiary amines with (C₁-C₄)-alkyl groups, mono-, di- and trialkanolamines of (C₁-C₄)-alkanols, choline and chlorocholine.

- 25 The compounds of the formula (I) may, according to the nature and bonding of the substituents, be present as stereoisomers. When, for example, one or more asymmetrically substituted carbon atoms or sulfoxides are present, enantiomers and diastereomers may occur. Stereoisomers can be obtained by customary separation methods from the mixtures obtained in the preparation, for example by
- 30 chromatographic separation processes. It is likewise possible to selectively prepare stereoisomers by use of stereoselective reactions employing optically active starting materials and/or auxiliaries. The invention also relates to all stereoisomers and

WO 2012/028582

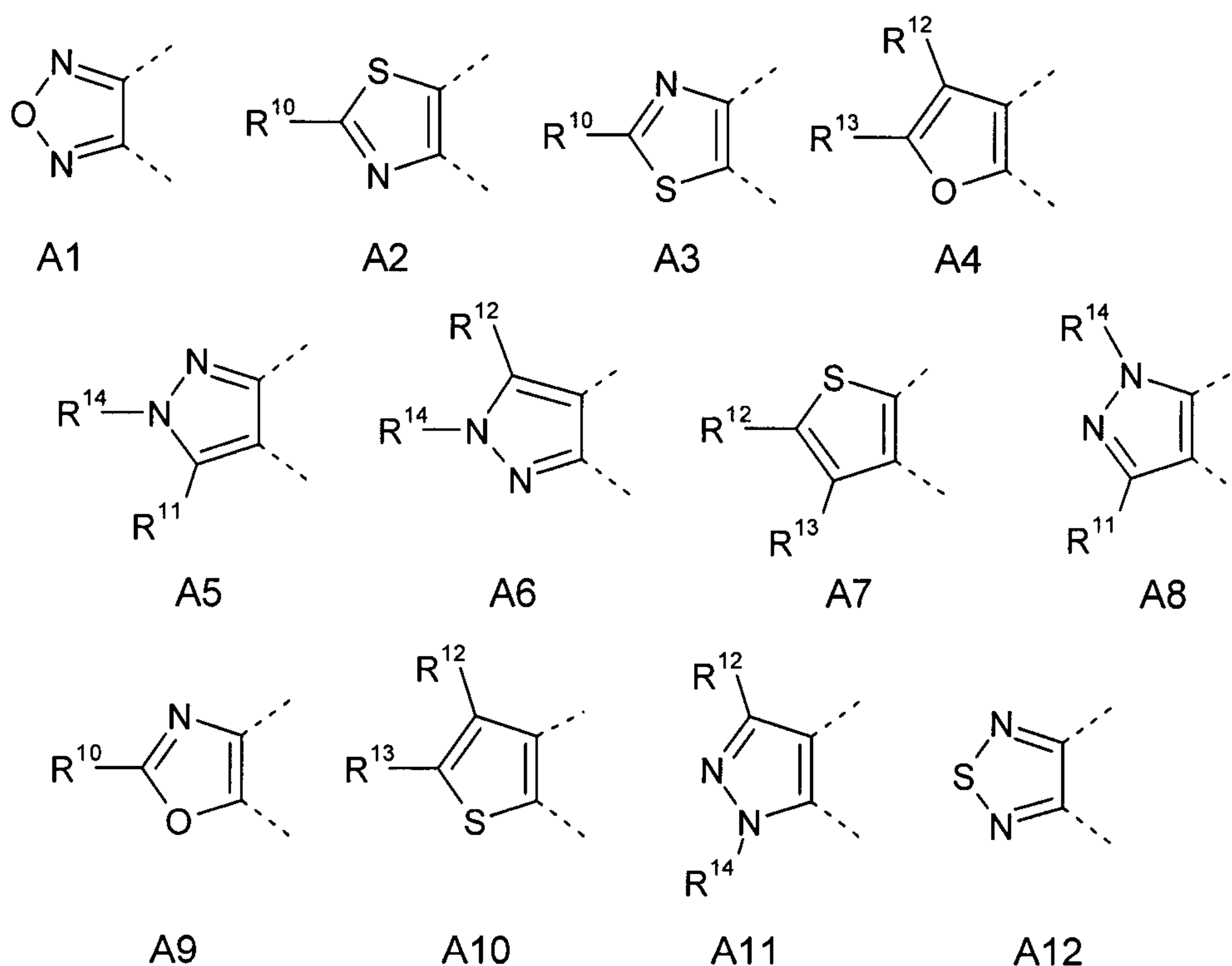
11

PCT/EP2011/064825

mixtures thereof which are encompassed by the formula (I) but are not defined specifically.

In all formulae specified hereinafter, the substituents and symbols, unless defined
5 differently, have the same definition as in formula (I).

Preference is given to ketosultams and diketopyridines of the formula (I) in which
A is one of the five-membered heterocycles A1 to A12 shown below, in which
the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



10

V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

15

G is hydrogen, C(=O)R¹, C(=L)MR², SO₂R³, P(=L)R⁴R⁵, C(=L)NR⁶R⁷, E or R⁸;

E is a metal ion equivalent or an ammonium ion;

WO 2012/028582

12

PCT/EP2011/064825

L is oxygen or sulfur;

M is oxygen or sulfur;

- 5 R¹ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl each substituted by n halogen atoms,
a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n
10 radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, (C₃-C₆)-cycloalkyl, phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;
- 15 R² is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl each substituted by n halogen atoms,
or (C₃-C₆)-cycloalkyl, phenyl or benzyl substituted by n radicals in each case from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;
- 20 R³, R⁴ and R⁵ are each independently (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, N-(C₁-C₆)-alkylamino, N,N-di-(C₁-C₆)-alkylamino, (C₁-C₄)-alkylthio, (C₂-C₄)-alkenyl or (C₃-C₆)-cycloalkylthio each substituted by n halogen atoms,
or phenyl, benzyl, phenoxy or phenylthio substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;
- 25 R⁶ and R⁷ are each independently hydrogen,
(C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₂-C₆)-alkenyl, (C₁-C₆)-alkoxy or (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms,
phenyl or benzyl substituted by in each case n radicals from the group consisting of
30 halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,
or R⁶ and R⁷ form, together with the nitrogen atom to which they are bonded, a 3- to 6-membered ring containing 2 to 5 carbon atoms and 0 or 1 oxygen or sulfur atom;

R^8 is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms,

- 5 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,
a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,
10 phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

- X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl,
20 halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

- R^{10} is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

- 25 R^{11} , R^{12} and R^{13} are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
30 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy; and

WO 2012/028582

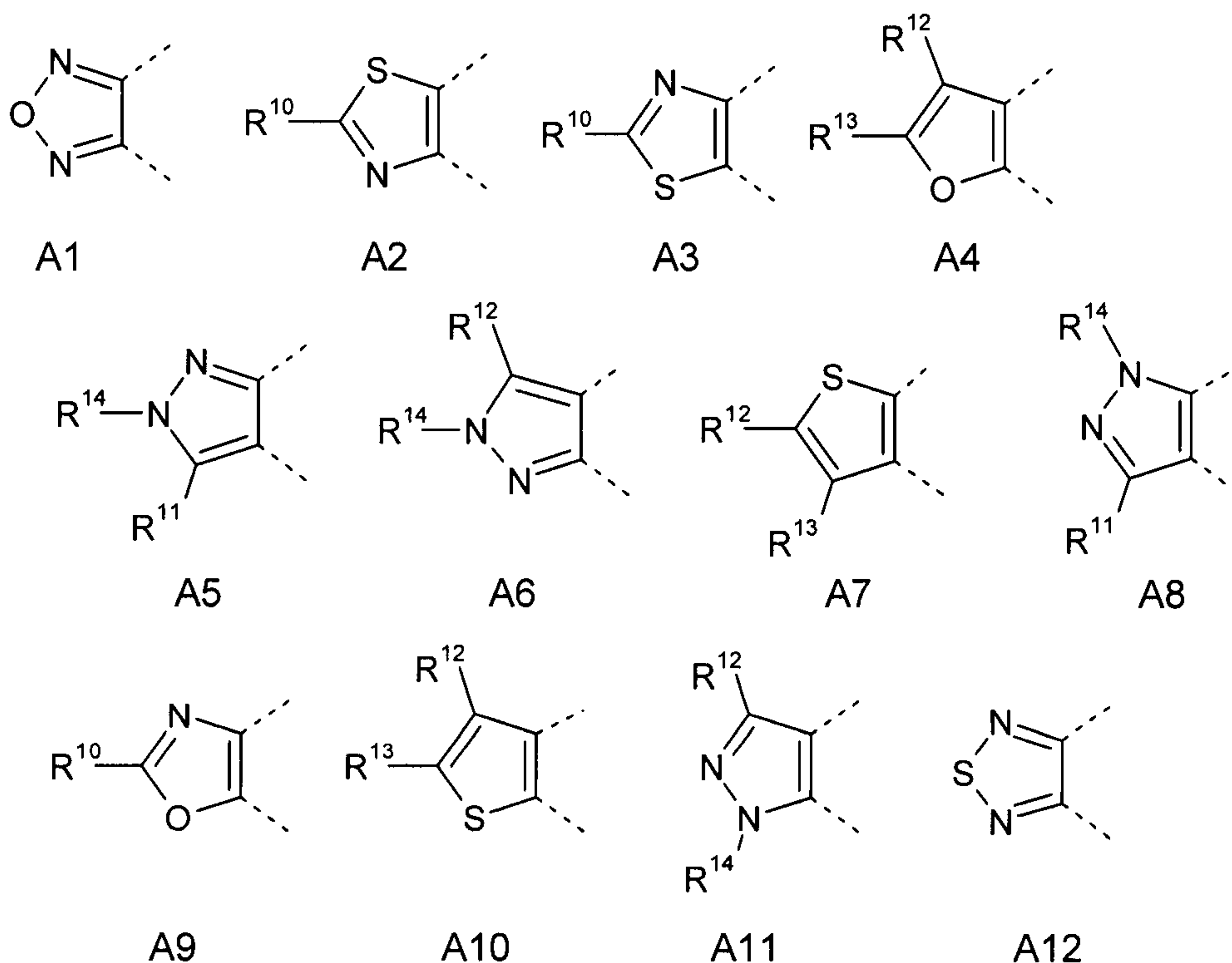
14

PCT/EP2011/064825

- R^{14} is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkylsulfonyl, or
- 5 (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

Particular preference is given to ketosultams and diketopyridines of the formula (I) in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



15 V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

G is hydrogen;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

10

R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms or (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

20

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkylsulfonyl, or

(C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

Particular preference is also given to ketosultams and diketopyridines of the formula (I) in which

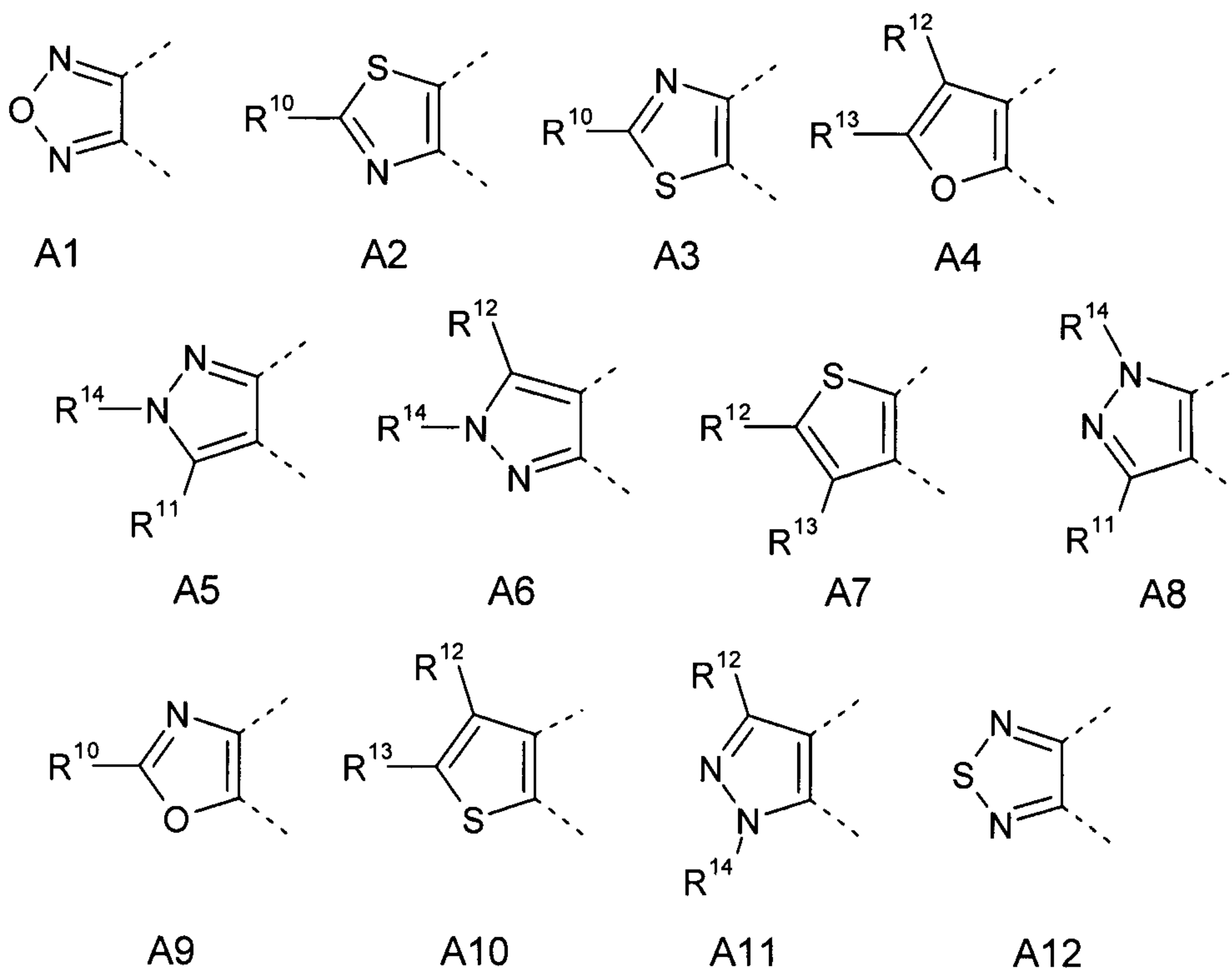
30

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,

WO 2012/028582

16

PCT/EP2011/064825



V is C(=O) or S(O)₂;

5 n is 0, 1, 2 or 3;

G is C(=O)R¹;

R¹ is (C₁-C₆)-alkyl each substituted by n halogen atoms;

10

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

15

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

WO 2012/028582

17

PCT/EP2011/064825

R^{10} is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

5 R^{11} , R^{12} and R^{13} are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
(C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

10

R^{14} is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkylsulfonyl, or
15 (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

20

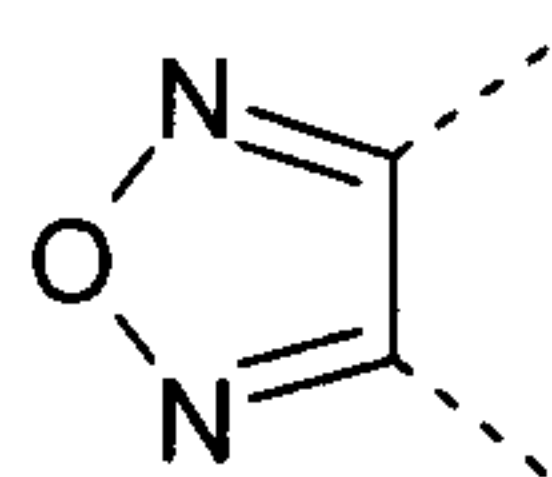
Particular preference is also given to ketosultams and diketopyridines of the formula (I) in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,

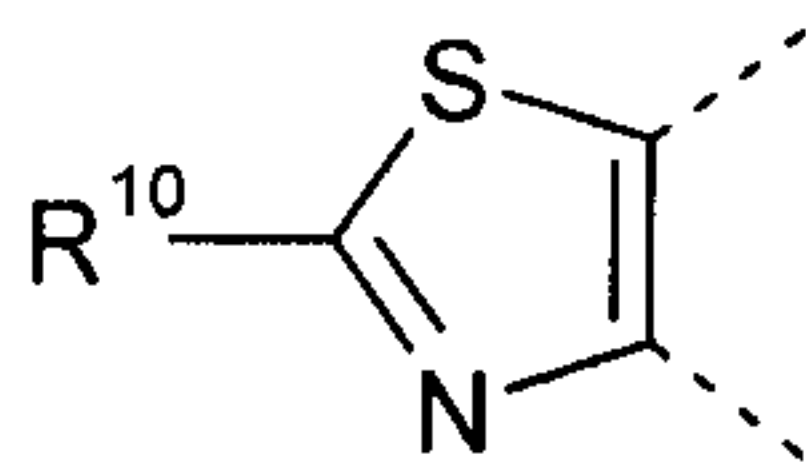
WO 2012/028582

18

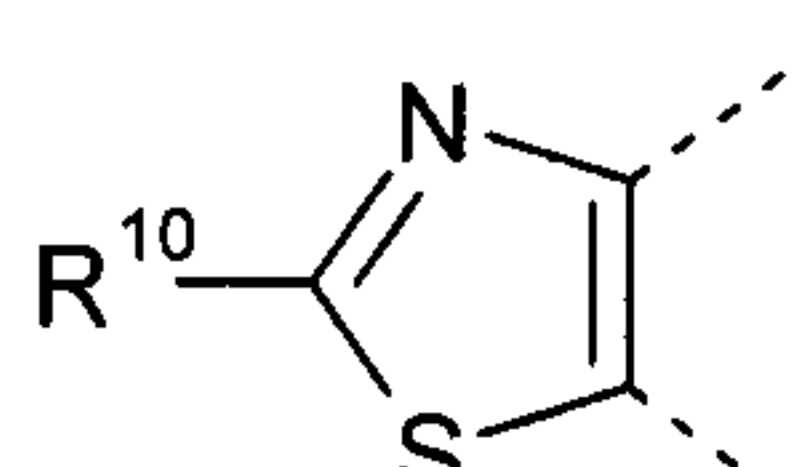
PCT/EP2011/064825



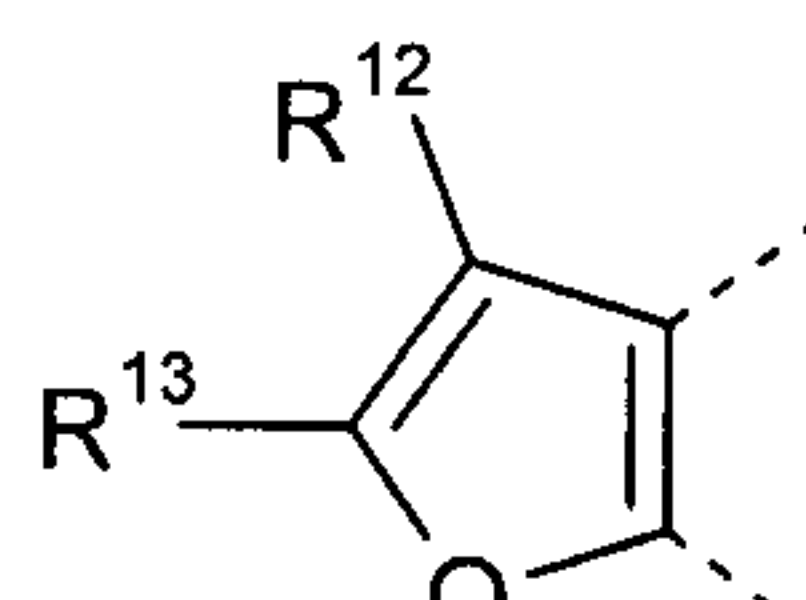
A1



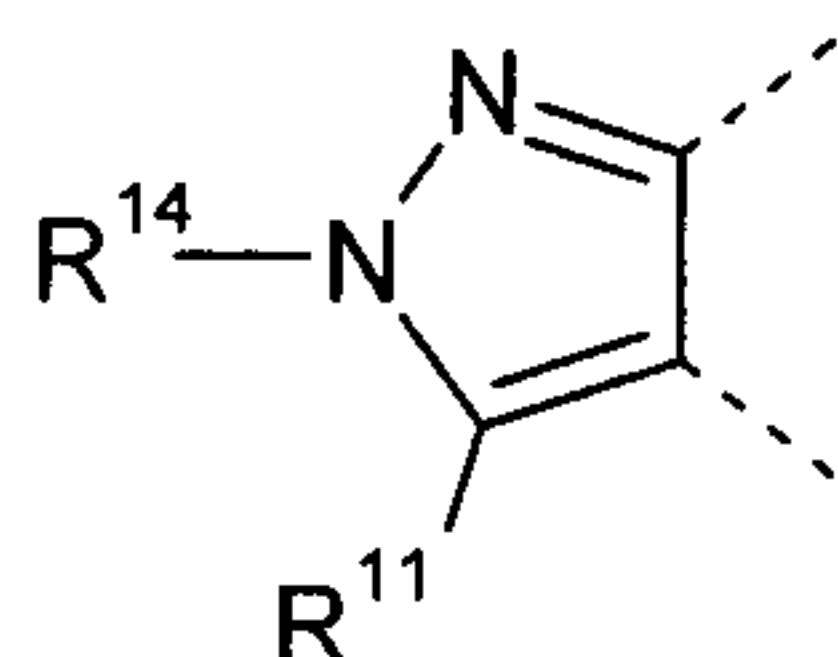
A2



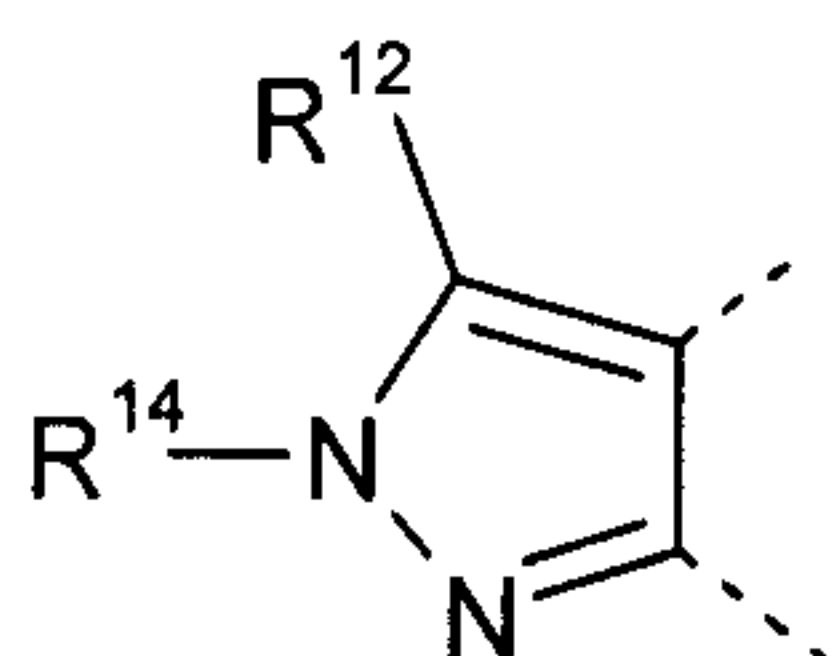
A3



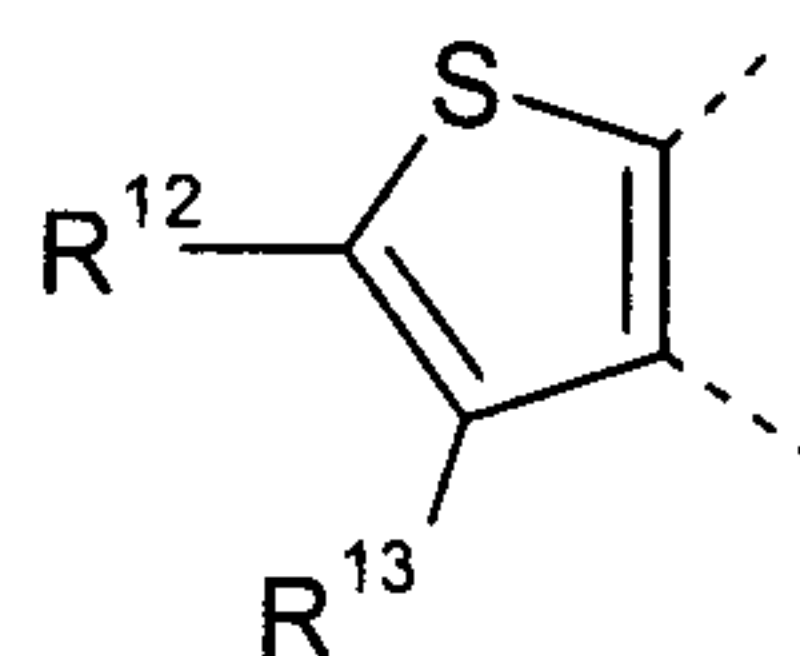
A4



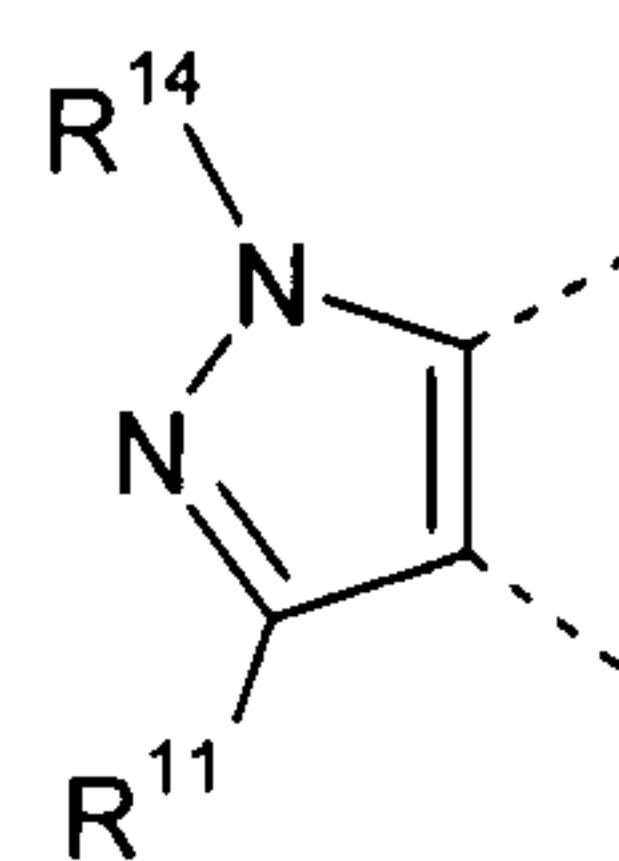
A5



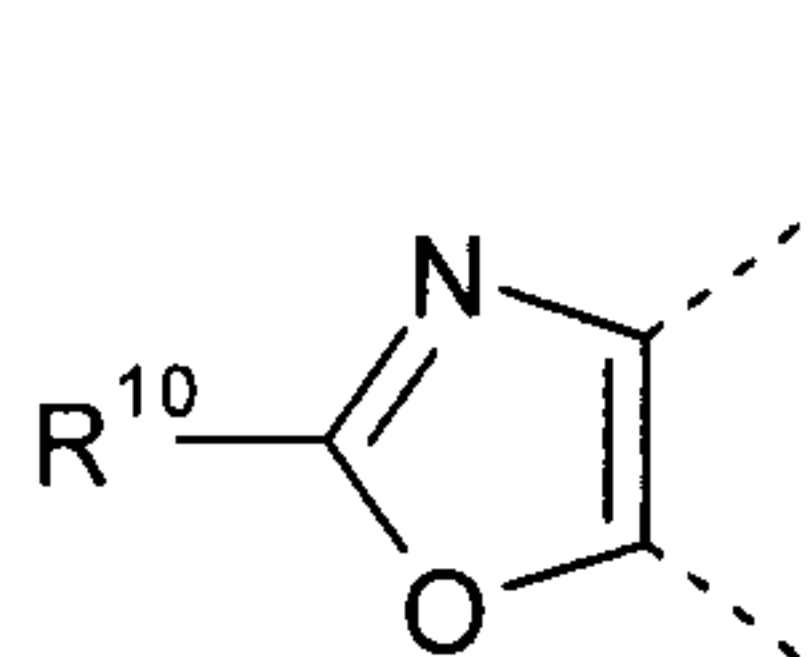
A6



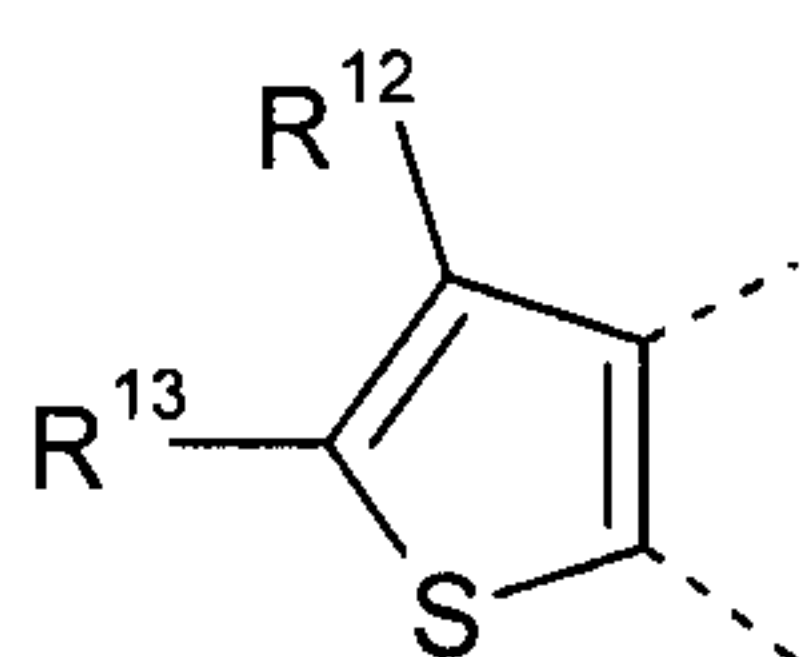
A7



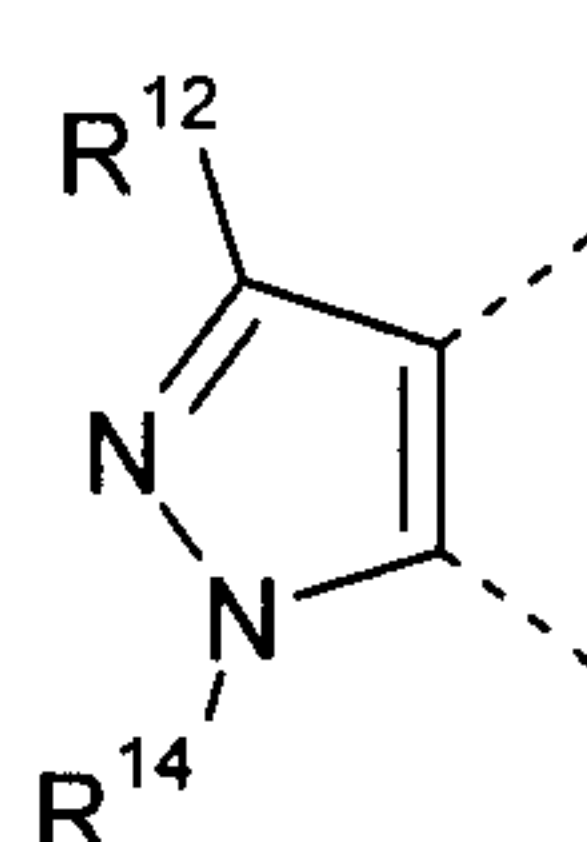
A8



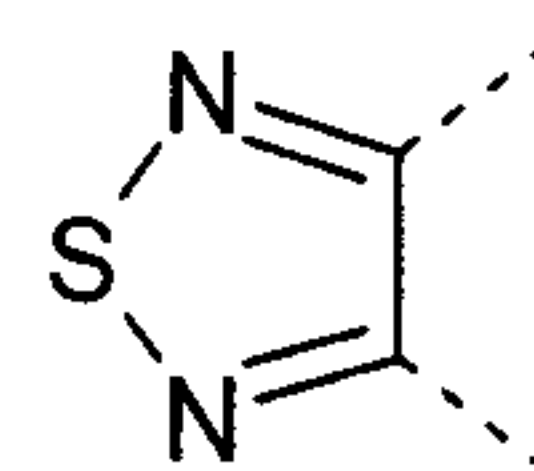
A9



A10



A11



A12

V is C(=O) or S(O)₂;

5 n is 0, 1, 2 or 3;

G is C(=L)MR²;

L is oxygen;

10

M is oxygen or sulfur;

R² is (C₁-C₆)-alkyl each substituted by n halogen atoms;

15 W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms and

WO 2012/028582

19

PCT/EP2011/064825

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

- 5 R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

- R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
 10 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

- 15 R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, (C₁-C₆)-alkylsulfonyl, or
 20 (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

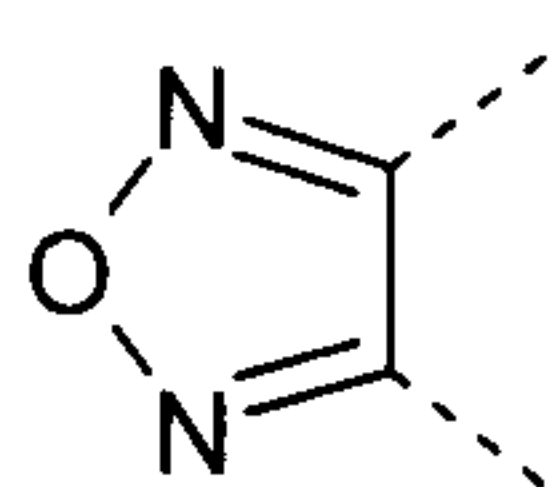
Particular preference is also given to ketosultams and diketopyridines of the formula (I) in which

- 25 A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,

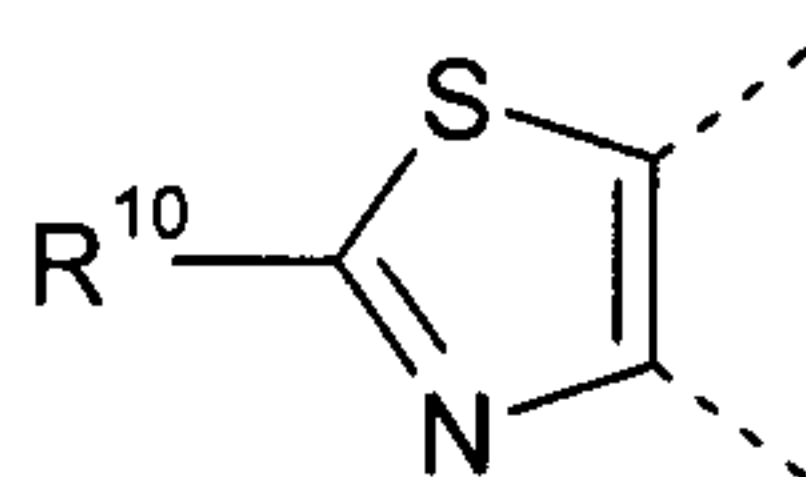
WO 2012/028582

20

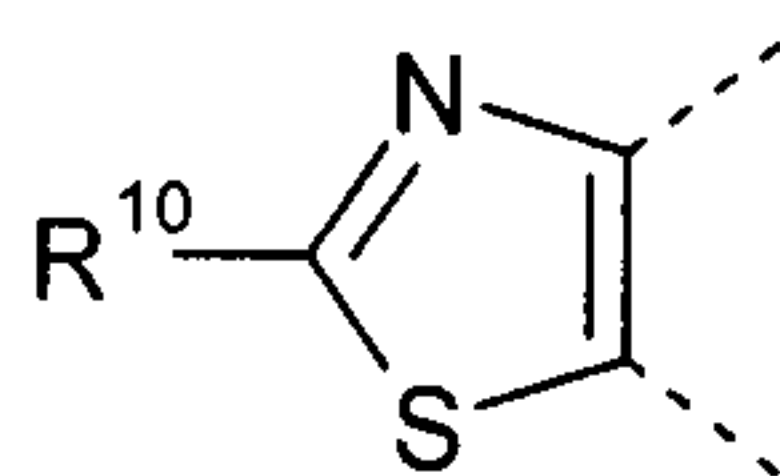
PCT/EP2011/064825



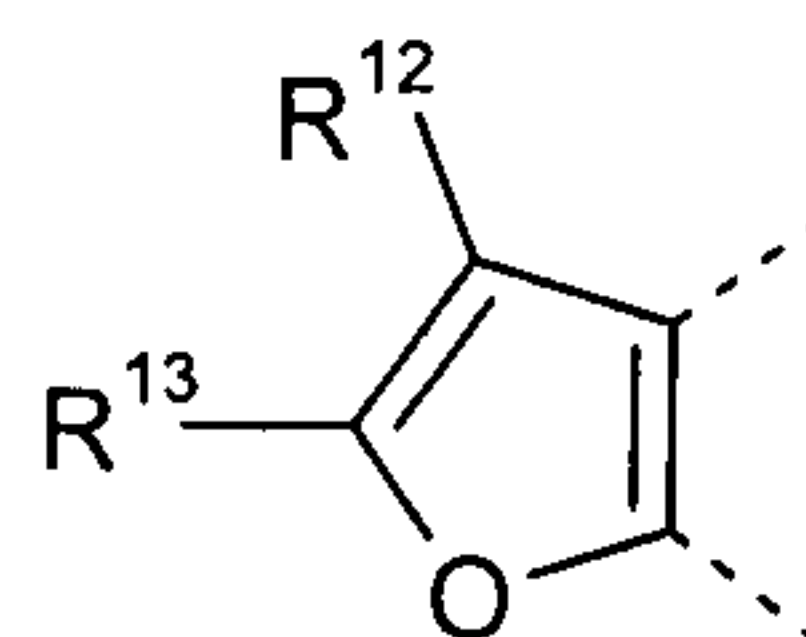
A1



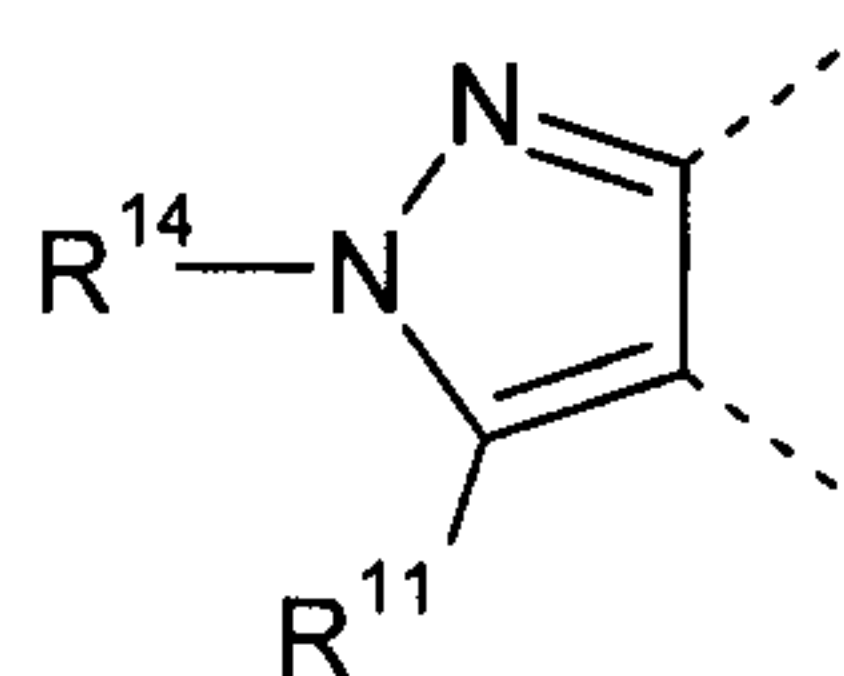
A2



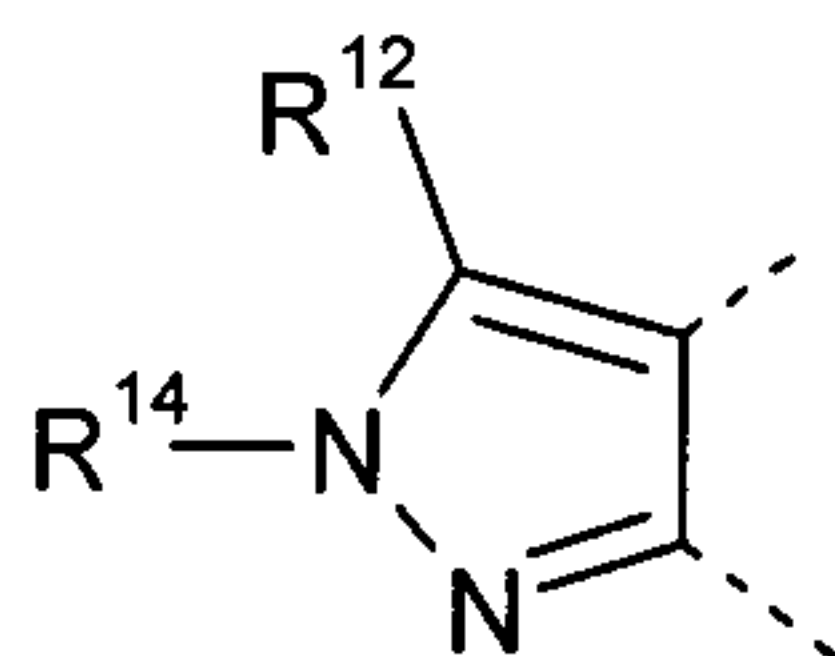
A3



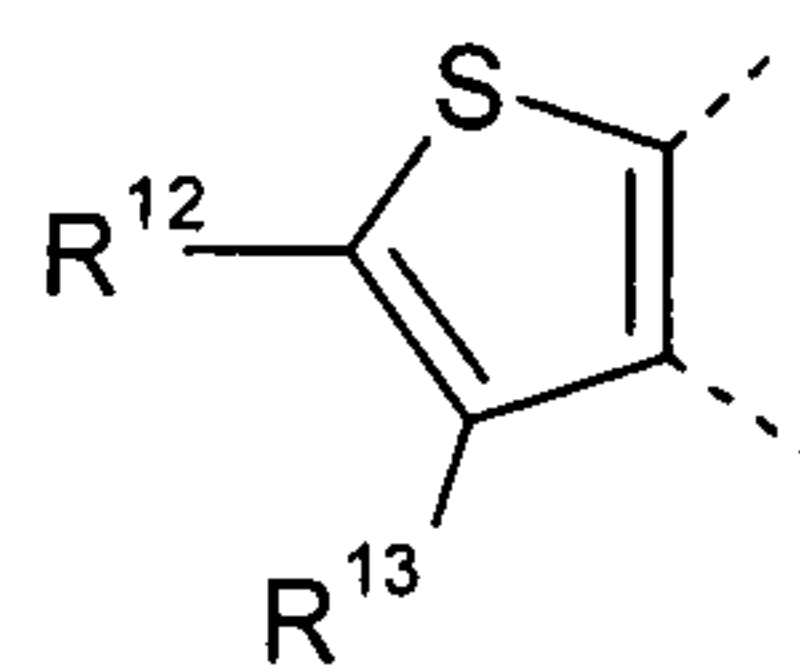
A4



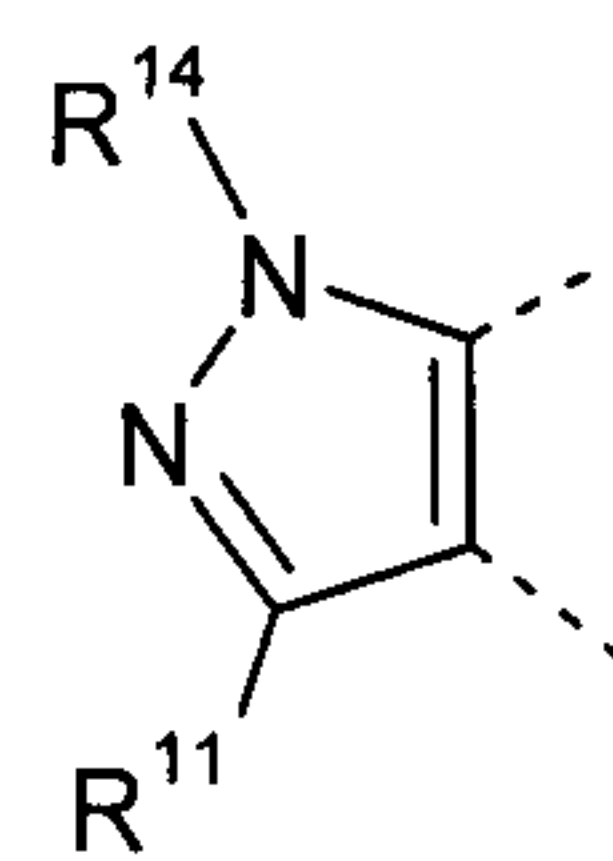
A5



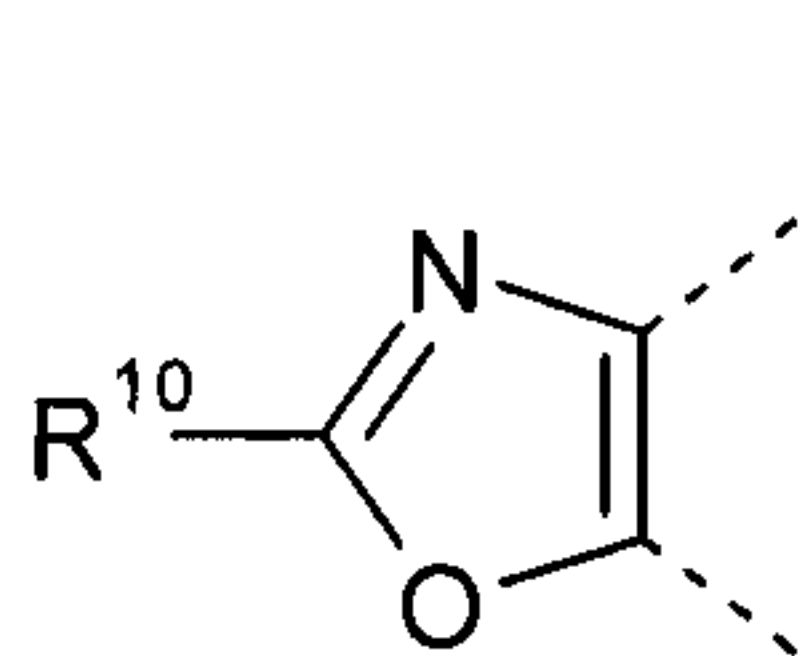
A6



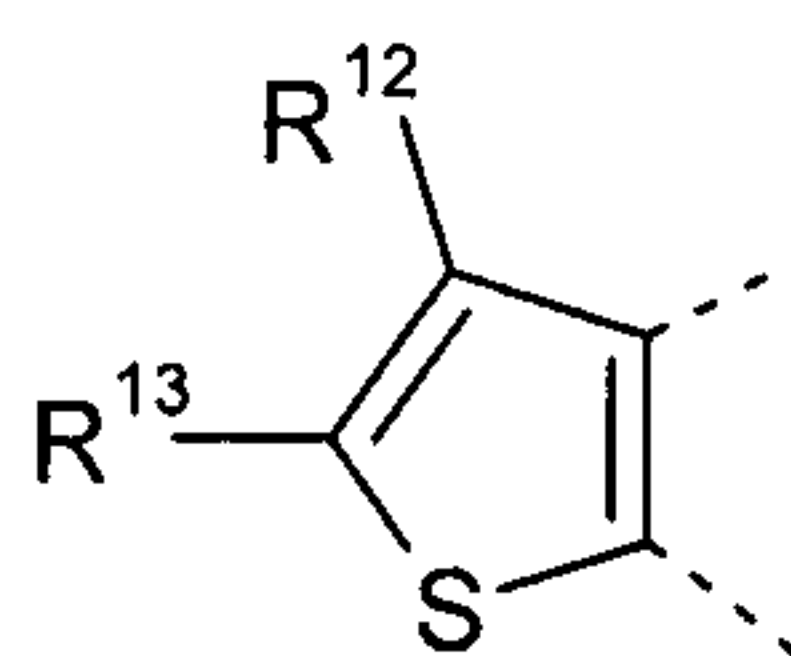
A7



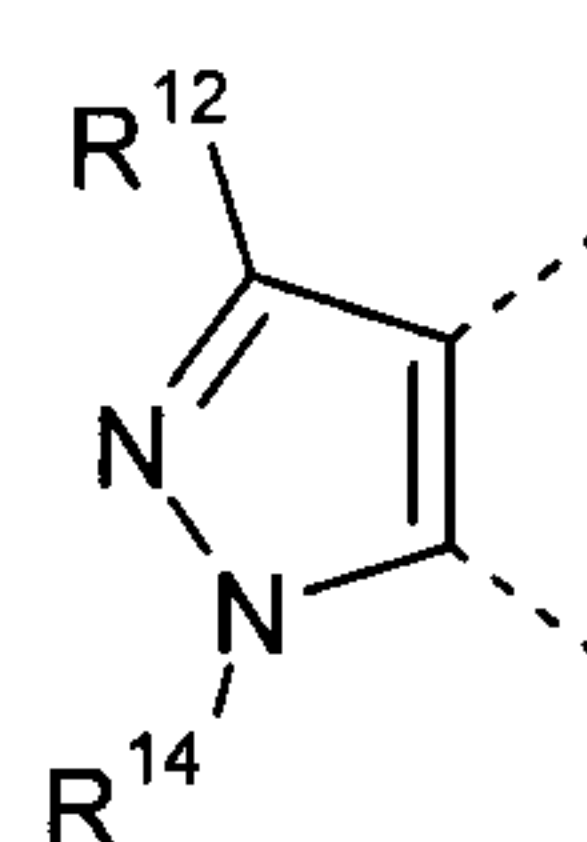
A8



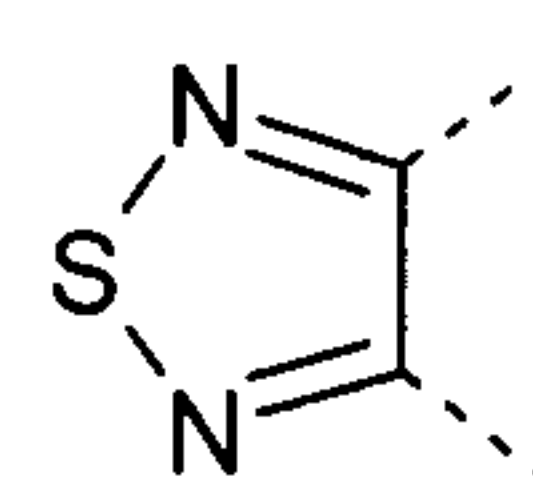
A9



A10



A11



A12

V is C(=O) or S(O)₂;

5 n is 0, 1, 2 or 3;

G is R⁸;

10 R⁸ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl substituted by n halogen atoms;

15 W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

- 5 R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
 10 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

- R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl,
 15 (C₁-C₆)-alkylsulfonyl, or
 (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl, (C₃-C₆)-cycloalkylsulfonyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

- 20 Very particular preference is given to the compounds of the formula (I) specified in tables 1 to 124.

The abbreviations used mean:

Bz = benzyl	c-Pr = cyclopropyl	Et = ethyl
i-Bu = isobutyl	t-Bu = tert-butyl	i-Pr = isopropyl
Me = methyl	Ph = phenyl	c = cyclo

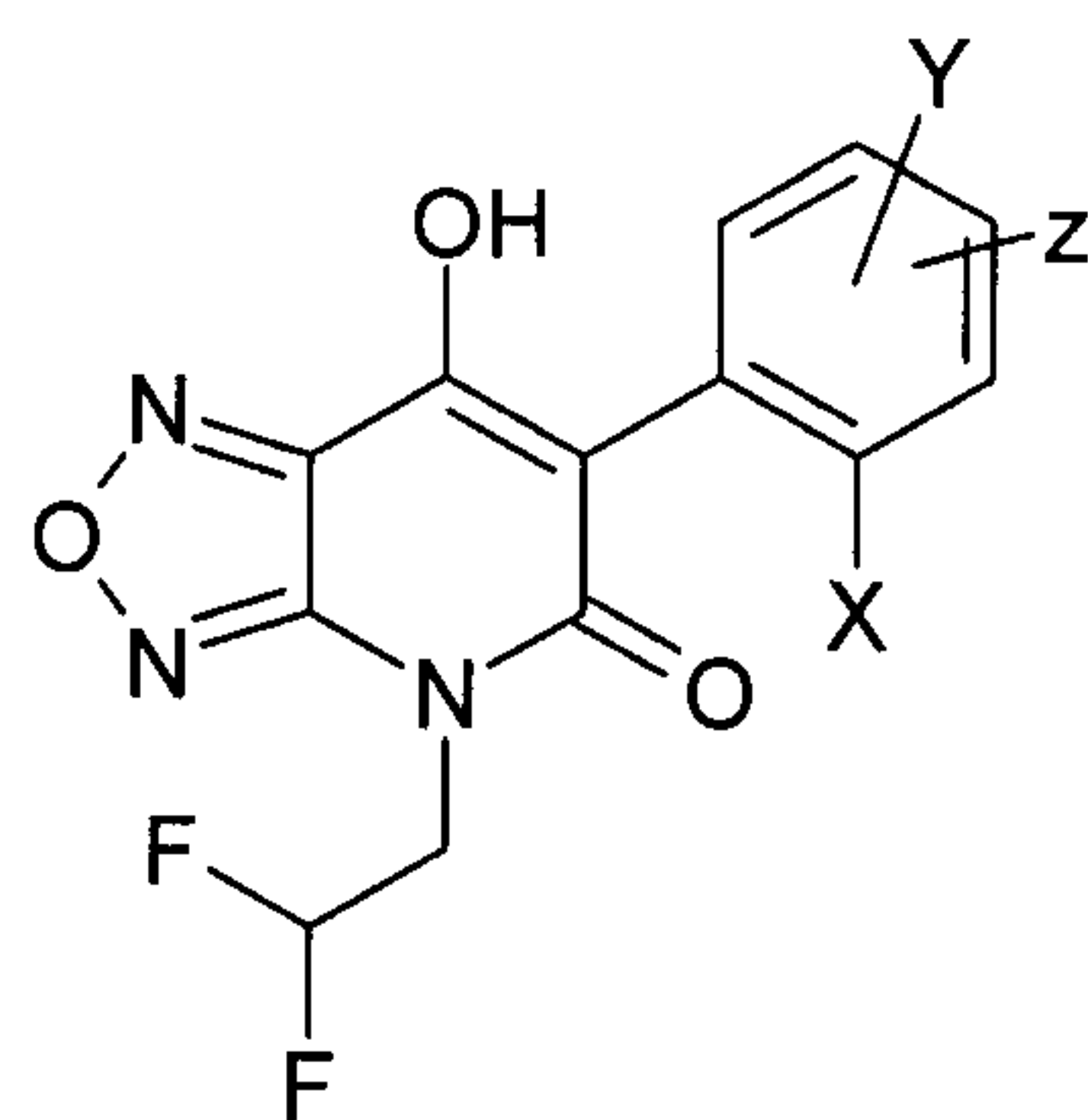
25

Table 1: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, and A is A1:

WO 2012/028582

22

PCT/EP2011/064825



No.	X	Y	Z
1	F	H	H
2	Cl	H	H
3	Br	H	H
4	I	H	H
5	OMe	H	H
6	EtO	H	H
7	CF ₃	H	H
8	CN	H	H
9	NO ₂	H	H
10	OCF ₃	H	H
11	H	3-CF ₃	H
12	H	3-Me	H
13	H	3-F	H
14	H	3-Cl	H
15	H	3-CN	H
16	H	3-Br	H
17	H	3-I	H
18	H	3-NO ₂	H
19	H	3-OCF ₃	H
20	H	3-OMe	H
21	H	3-EtO	H
22	H	4-CF ₃	H
23	H	4-Me	H

WO 2012/028582

23

PCT/EP2011/064825

No.	X	Y	Z
24	H	4-F	H
25	H	4-Cl	H
26	H	4-CN	H
27	H	4-Br	H
28	H	4-I	H
29	H	4-NO ₂	H
30	H	4-OCF ₃	H
31	H	4-OMe	H
32	H	4-EtO	H
33	Cl	4-Cl	H
34	H	3-Cl	4-Cl
35	Br	4-Cl	H
36	Cl	H	6-Cl
37	Cl	H	6-F
38	F	H	6-F
39	Me	4-Cl	H
40	Me	4-Br	H
41	Me	4-I	H
42	Cl	4-Cl	6-Cl
43	Cl	6-Me	4-Br
44	Cl	6-Me	4-Cl
45	Br	6-Me	4-Cl
46	Br	6-Me	4-Br
47	OMe	6-Me	4-Cl
48	EtO	6-Me	4-Cl
49	Cl	6-Me	4-Br
50	Cl	6-Et	4-Cl
51	Br	6-Et	4-Cl
52	Br	6-Et	4-Br
53	OMe	6-Et	4-Cl

WO 2012/028582

24

PCT/EP2011/064825

No.	X	Y	Z
54	EtO	6-Et	4-Cl
55	Br	4-Me	6-Br
56	Cl	4-Me	6-Cl
57	OMe	4-Me	6-Me
58	EtO	4-Me	6-Me
59	OMe	6-Et	4-Me
60	EtO	6-Et	4-Me
61	Cl	4-Me	6-Et
62	Et	6-Et	4-Cl
63	Et	6-Me	4-Br
64	Et	6-Et	4-Br
65	Et	6-Me	4-Cl
66	Et	6-Me	4-Br
67	OMe	4-Me	6-Cl
68	EtO	4-Me	6-Cl
69	I	H	4-Me
70	I	6-Me	H
71	I	6-Et	H
72	I	4-Me	6-Me
73	I	6-Et	4-Me
74	I	6-Me	4-Cl
75	I	6-Et	6-Cl
76	I	6-Cl	4-Me
77	Me	4-I	H
78	Et	4-I	H
79	Et	4-I	6-Me
80	Et	4-I	6-Et
81	Cl	6-Me	4-I
82	Cl	6-Et	4-I
83	c-Pr	H	H

WO 2012/028582

25

PCT/EP2011/064825

No.	X	Y	Z
84	c-Pr	4-Me	H
85	c-Pr	H	6-Me
86	c-Pr	6-Et	H
87	c-Pr	4-Me	6-Me
88	c-Pr	6-Et	4-Me
89	c-Pr	4-Me	6-Cl
90	c-Pr	6-Et	4-Cl
91	c-Pr	4-Cl	6-Me
92	Me	4-c-Pr	H
93	Et	4-c-Pr	H
94	Me	4-c-Pr	6-Me
95	Et	4-c-Pr	6-Me
96	Et	4-c-Pr	6-Et
97	Cl	6-Me	4-c-Pr
98	Cl	6-Et	4-c-Pr
99	Et	6-Et	4-I
100	Cl	6-F	3-Me
101	F	6-F	3-F
102	EtO	6-F	3-F
103	F	6-F	3-EtO
104	F	H	5-Cl
105	H	3-CF ₃	5-CF ₃
106	Me	4-OCF ₃	H
107	OCF ₃	4-Me	H
108	OCF ₃	5-Me	H
109	OCF ₃	6-Me	H
110	OCF ₃	6-Et	H
111	Me	5-OCF ₃	H
112	Me	3-OCF ₃	6-Me
113	Br	4-OCF ₃	6-Cl

WO 2012/028582

26

PCT/EP2011/064825

No.	X	Y	Z
114	Br	4-OCF ₃	6-Br
115	OMe	4-OCF ₃	6-Br
116	OMe	4-OCF ₃	6-Cl
117	Cl	4-OCF ₃	6-Cl
118	OMe	4-OCF ₃	6-Cl
119	OMe	4-OCF ₃	6-Br
120	Me	4-OCF ₃	6-Me
121	Cl	4-OCF ₃	6-Me
122	OCF ₃	6-Cl	4-Br
123	OCF ₃	6-Me	4-Me
124	OCF ₃	6-OMe	4-Cl
125	OCF ₃	6-Cl	4-Me
126	Cl	5-OCF ₃	H
127	Br	5-OCF ₃	H
128	OCF ₃	6-Et	4-Cl
129	Br	4-Cl	6-Br
130	Br	4-Cl	6-Cl
131	Br	4-Me	6-Cl
132	Cl	3-Me	6-Cl
133	Cl	3-F	6-F
134	F	3-Me	6-F
135	F	4-OMe	6-F
136	F	3-OMe	6-F
137	Cl	3-Cl	6-F
138	Cl	4-Et	6-Cl
139	Cl	4-Et	6-Br
140	Cl	3-Br	6-Cl
141	Cl	4-CF ₃	6-F
142	Cl	4-CF ₃	6-Cl
143	Cl	3-Cl	6-Cl

WO 2012/028582

27

PCT/EP2011/064825

No.	X	Y	Z
144	Cl	3-CF ₃	6-Cl
145	F	3-F	6-NO ₂
146	F	4-NO ₂	6-F
147	Cl	4-CF ₃	6-NO ₂
148	Br	6-NO ₂	H
149	F	4-CF ₃	6-F
150	Br	6-Br	H
151	Cl	3-OMe	6-F
152	F	3-OMe	6-Cl
153	F	4-Cl	6-F
154	F	4-Br	6-F
155	F	4-Br	6-Br
156	Cl	4-Br	6-Cl
157	F	4-EtO	6-F
158	F	3-Cl	6-F
159	Cl	3-Cl	6-Br
160	F	3-F	6-Cl
161	F	3-F	6-Br
162	F	3-F	6-I
163	Cl	6-CF ₃	H
164	Cl	3-Cl	6-CF ₃
165	F	3-Cl	6-CF ₃
166	Cl	3-CF ₃	6-Cl
167	c-Pr	4-Cl	6-Cl
168	Me	4-CF ₂ -CF ₃	6-Me
169	Cl	3-c-Pr	6-Cl
170	Cl	3-I	6-Cl
171	Me	4-c-Pr-(2'-c-Pr)	6-Me

WO 2012/028582

28

PCT/EP2011/064825

Table 2: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A1, and X, Y and Z are each as defined in table 1:

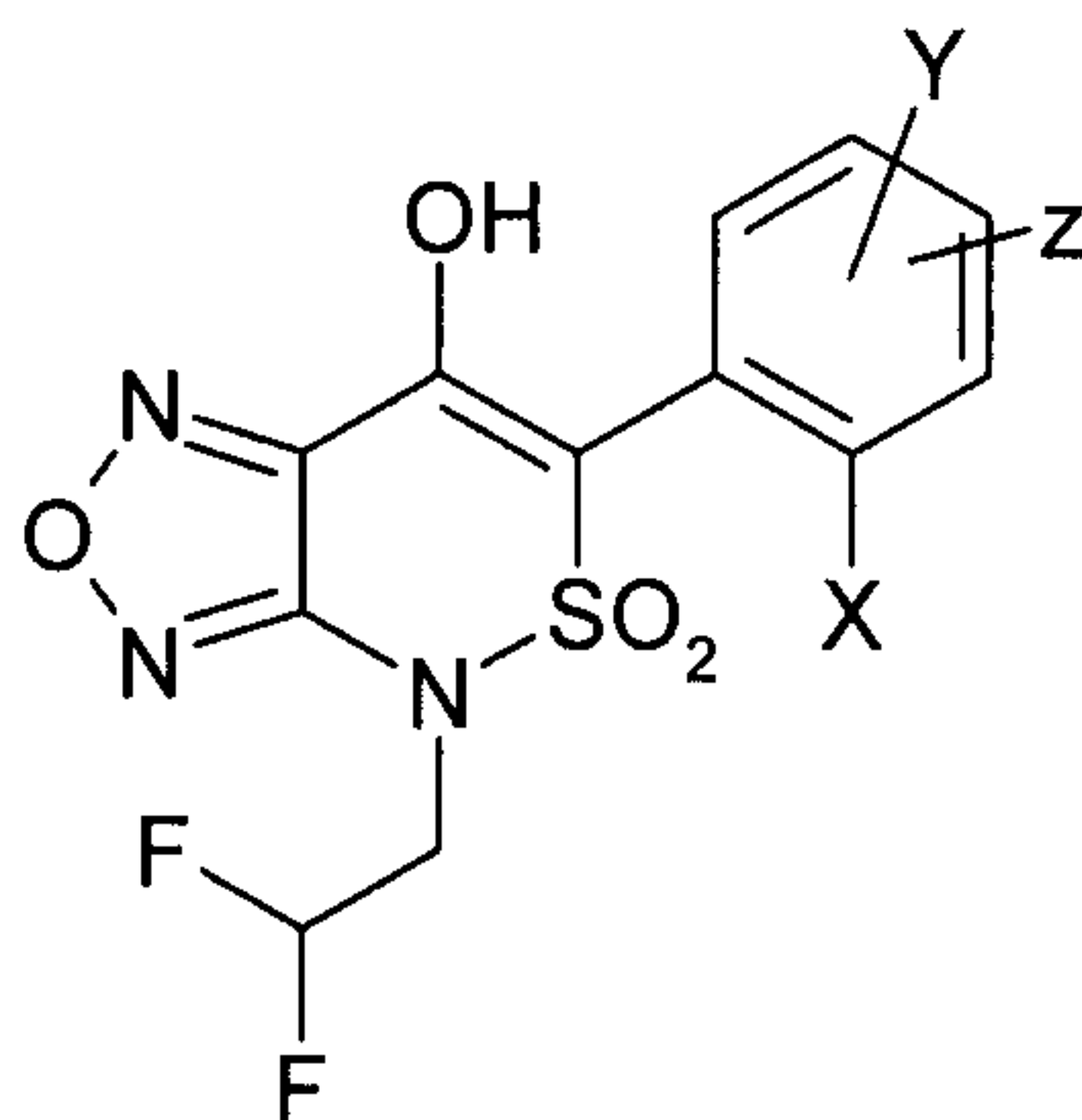


Table 3: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A1, and X, Y and Z are each as defined in table 1:

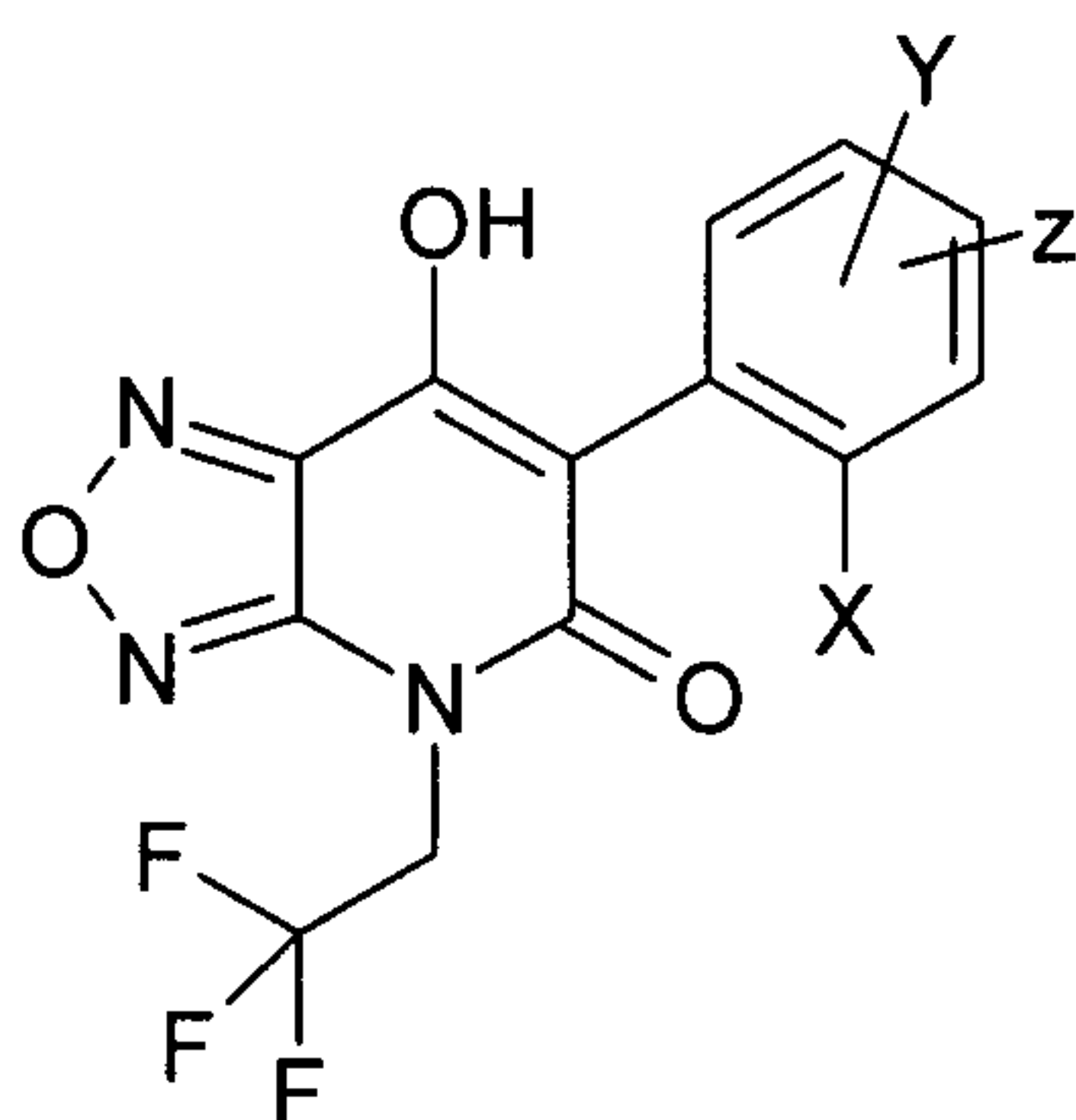


Table 4: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A1, and X, Y and Z are each as defined in table 1:

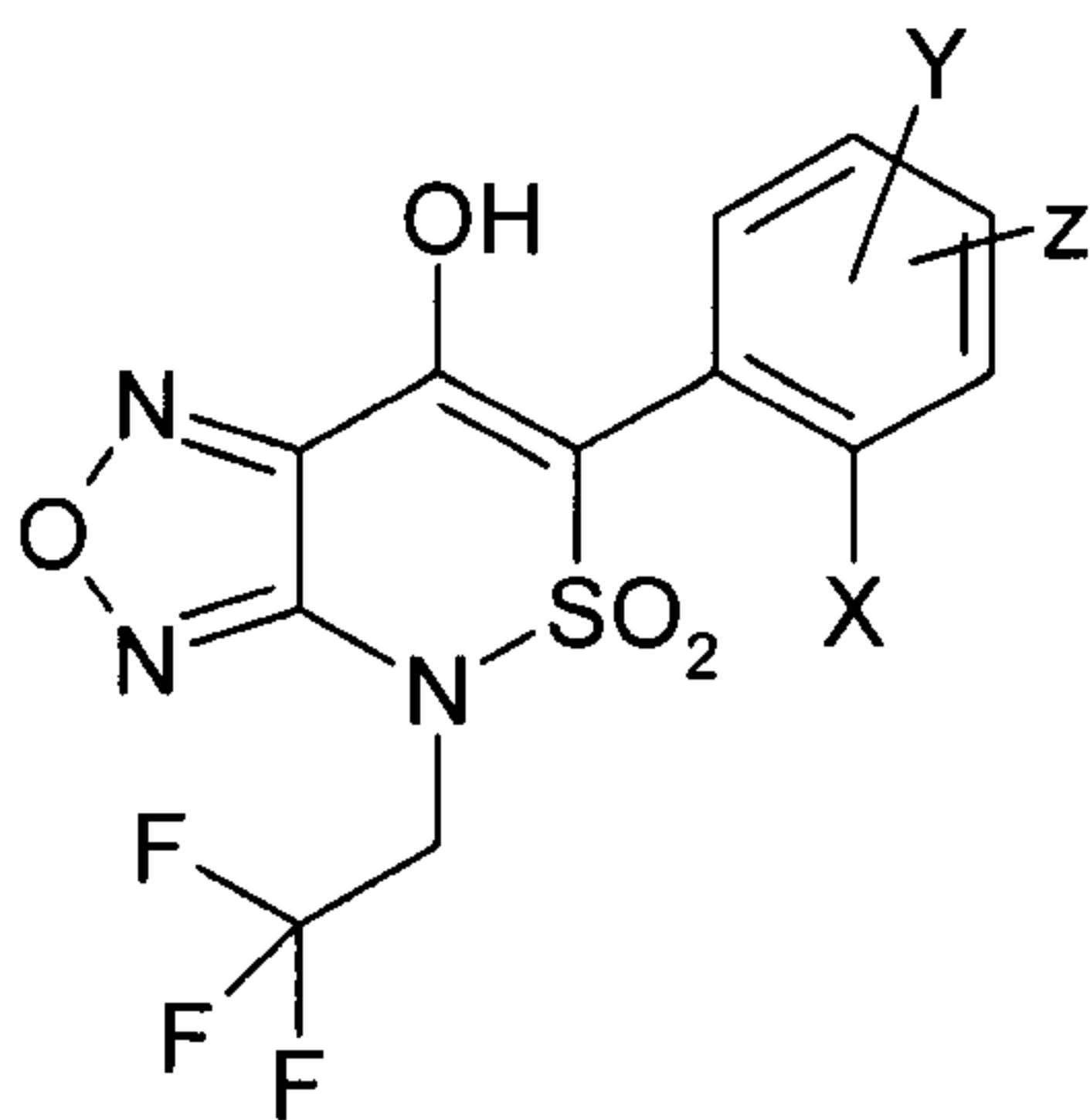


Table 5: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A1, and X, Y and Z are each as defined in table 1:

WO 2012/028582

29

PCT/EP2011/064825

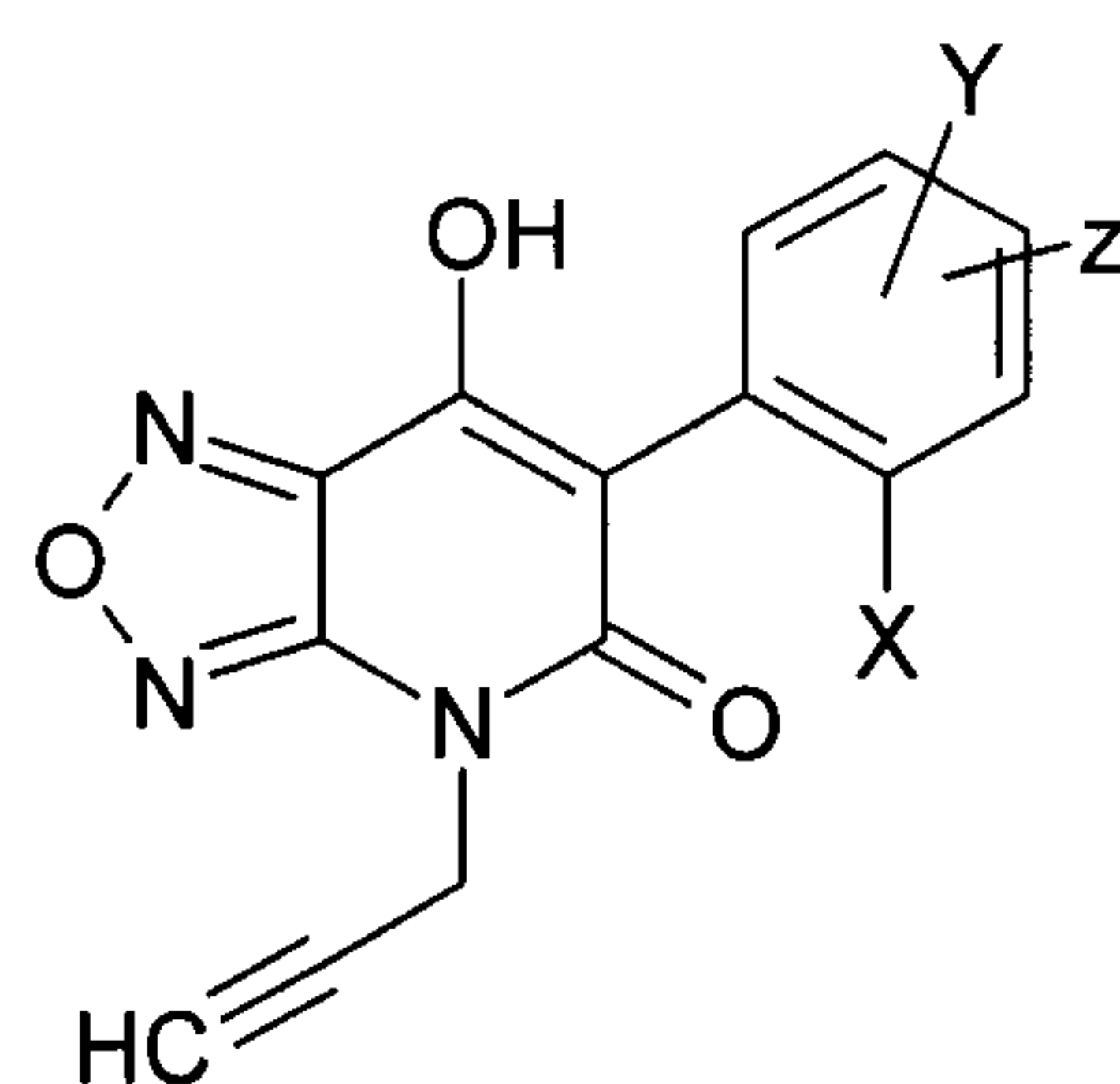
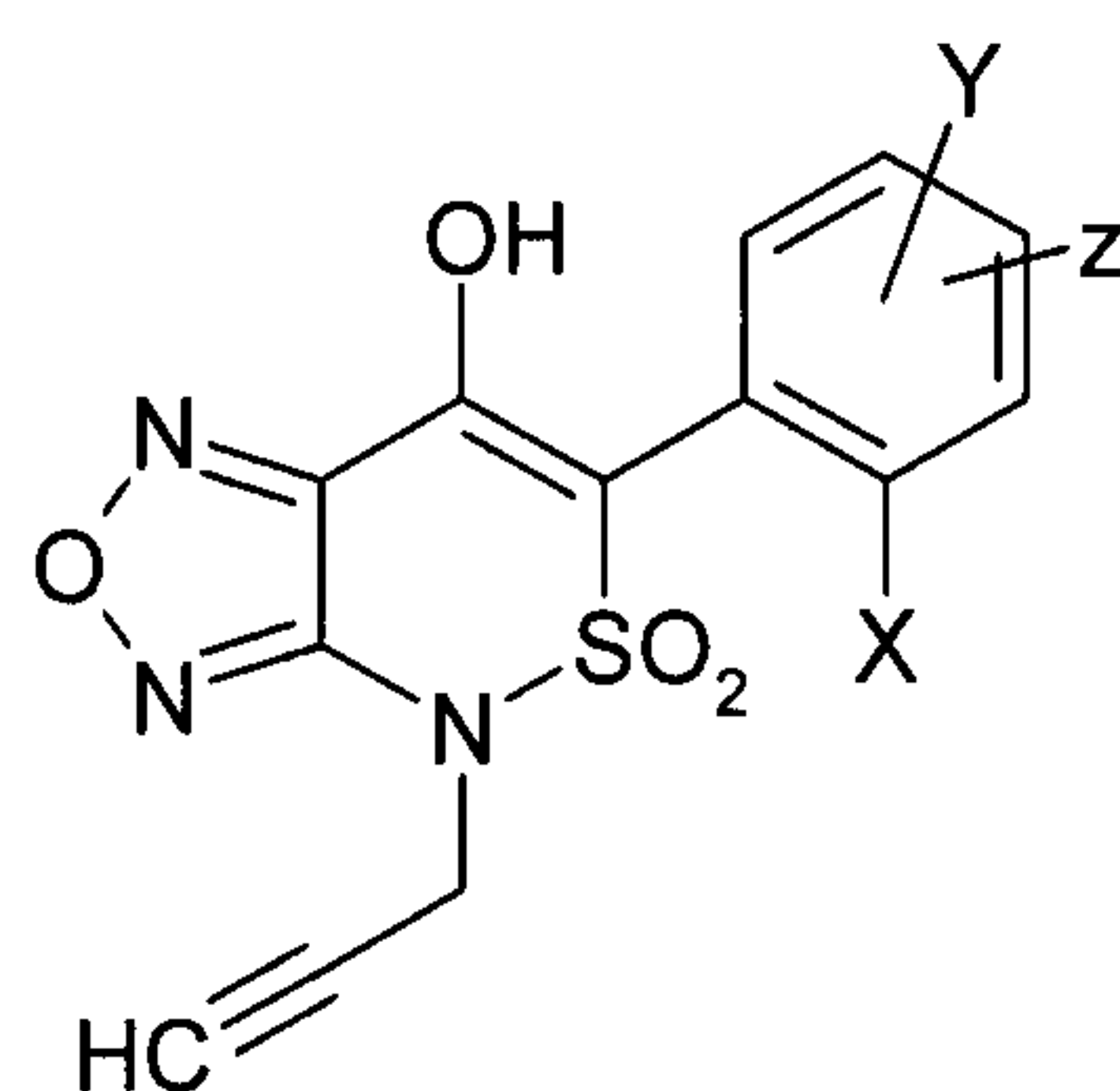
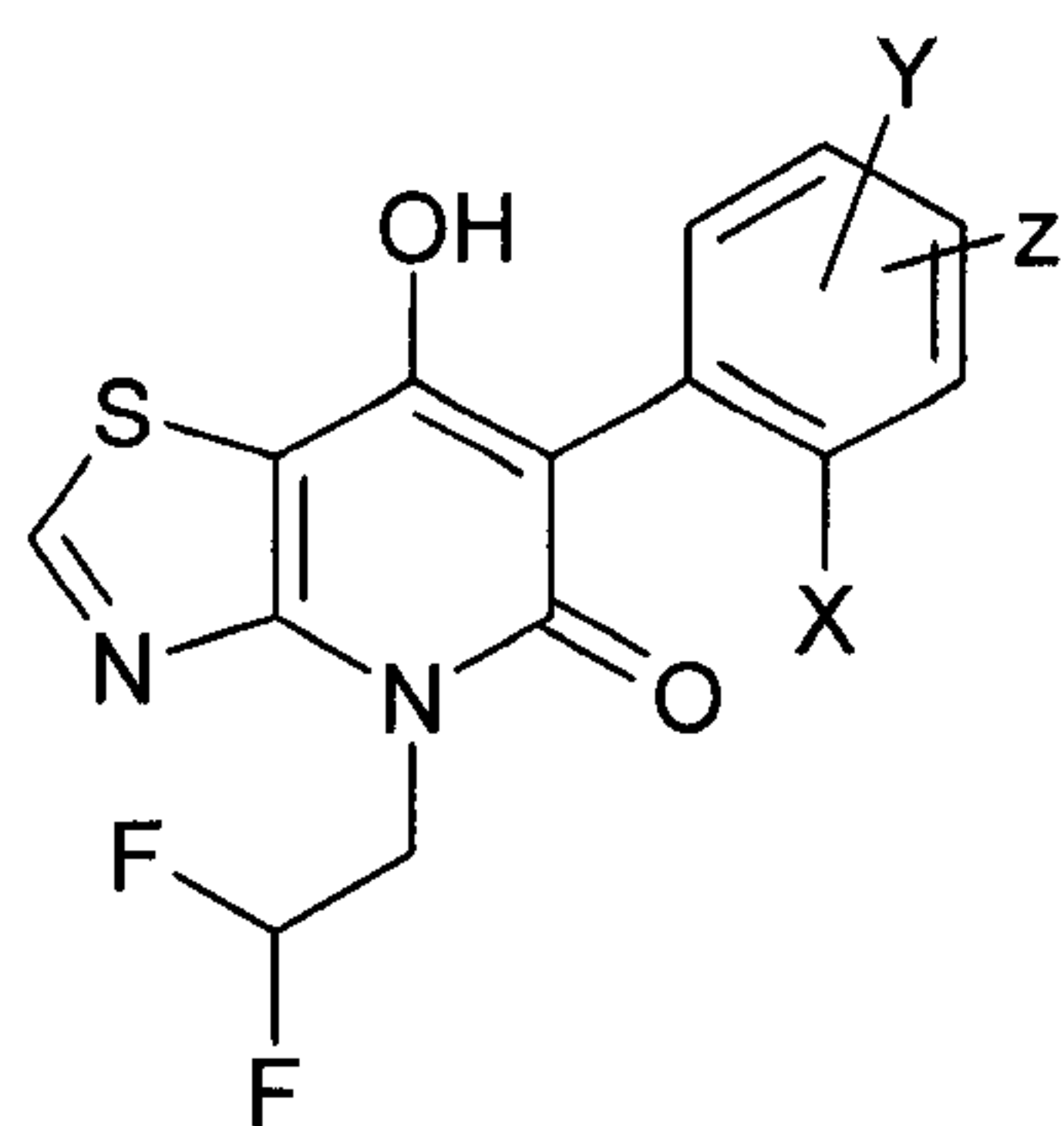


Table 6: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A1, and X, Y and Z are each as defined in table 1:



5

Table 7: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 8: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

30

PCT/EP2011/064825

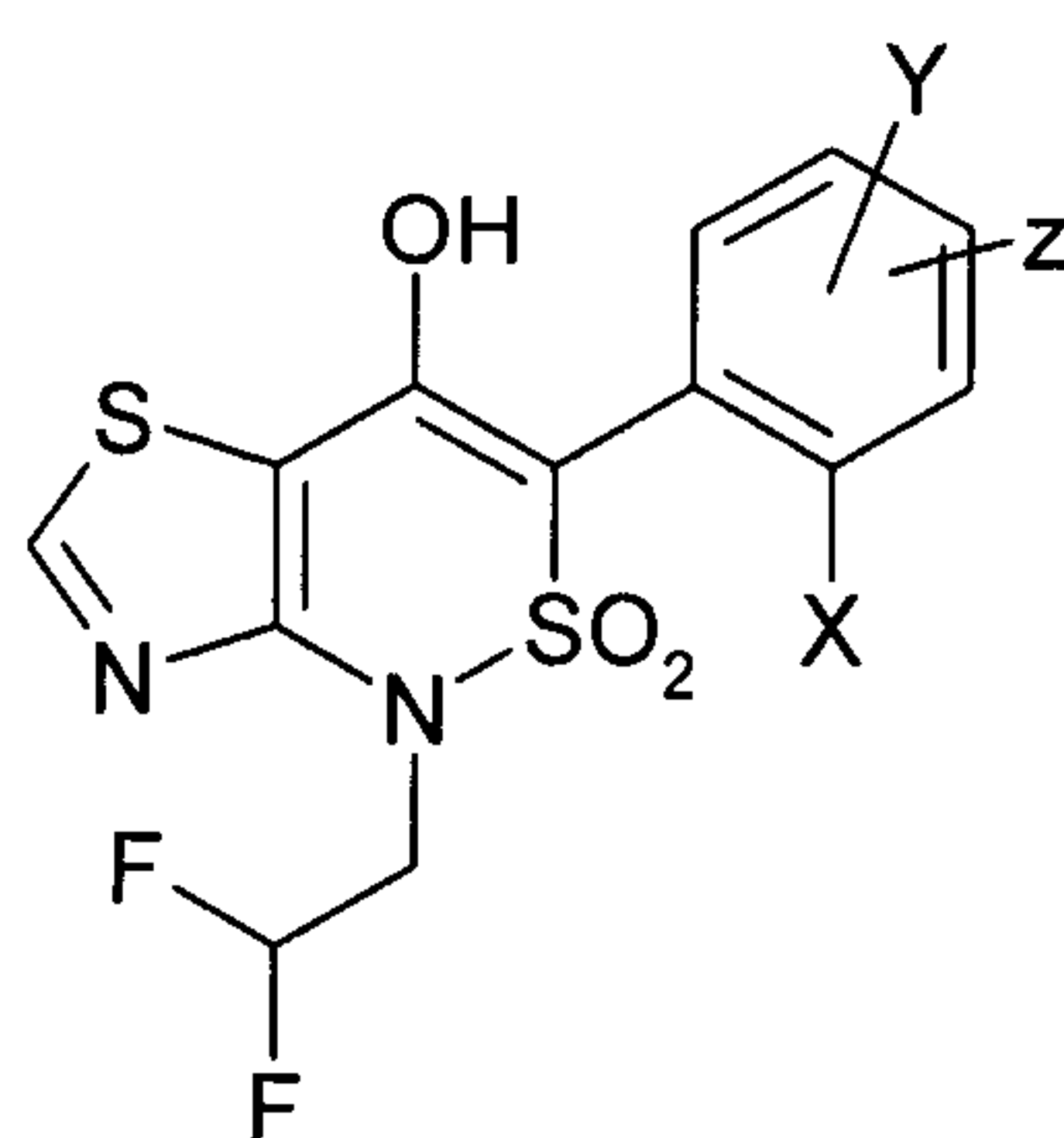


Table 9: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A₂, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

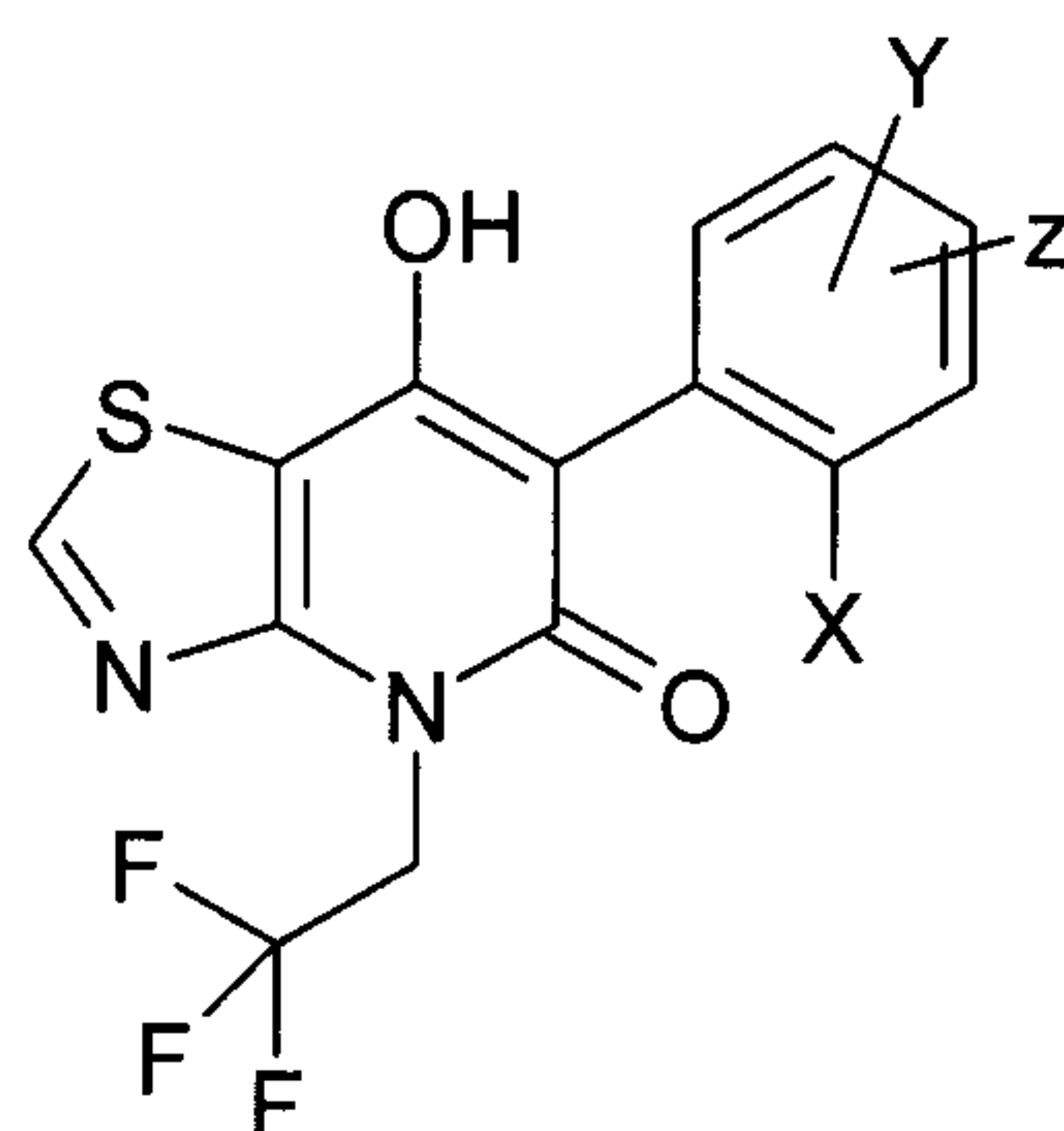
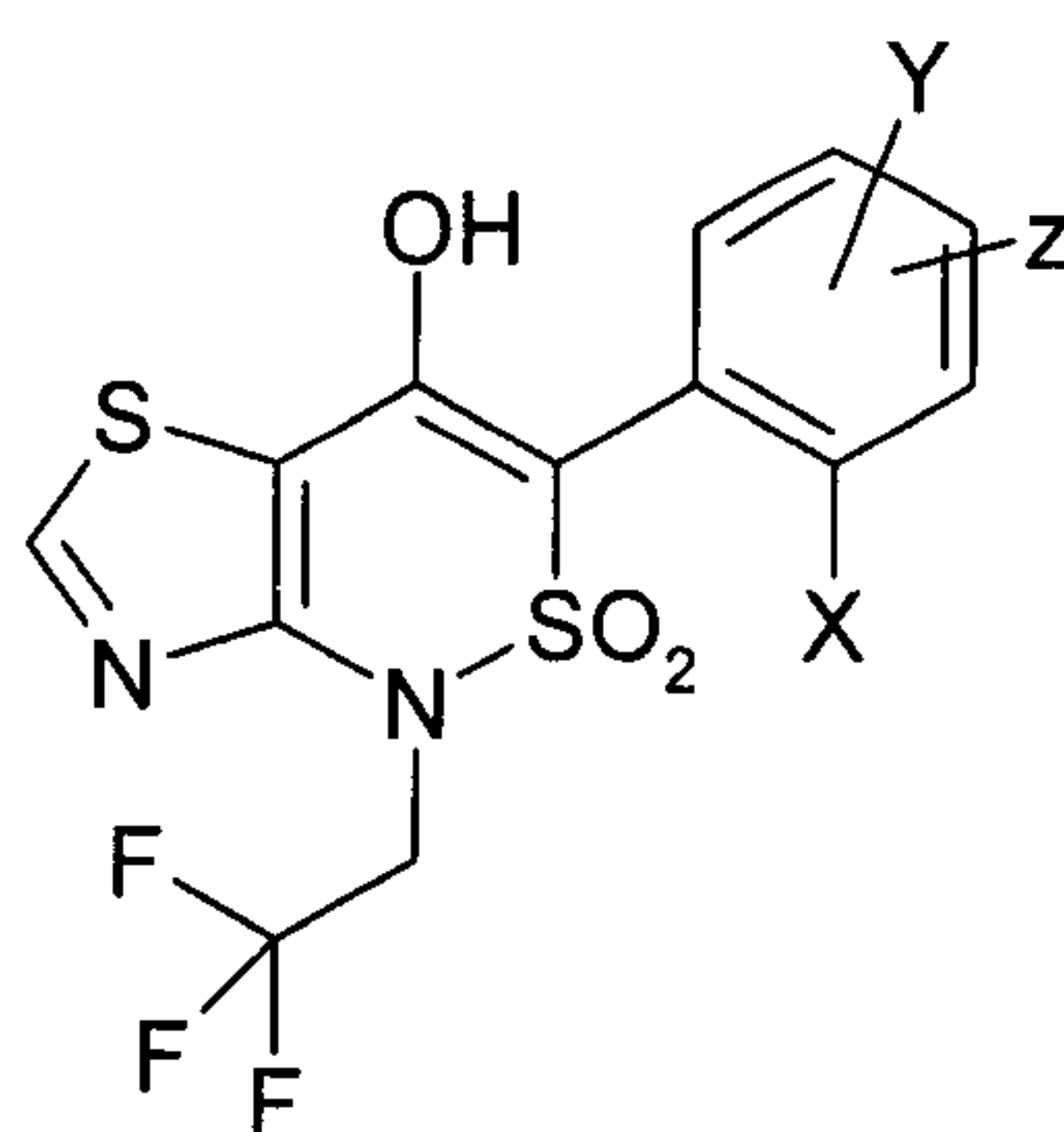


Table 10: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A₂, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

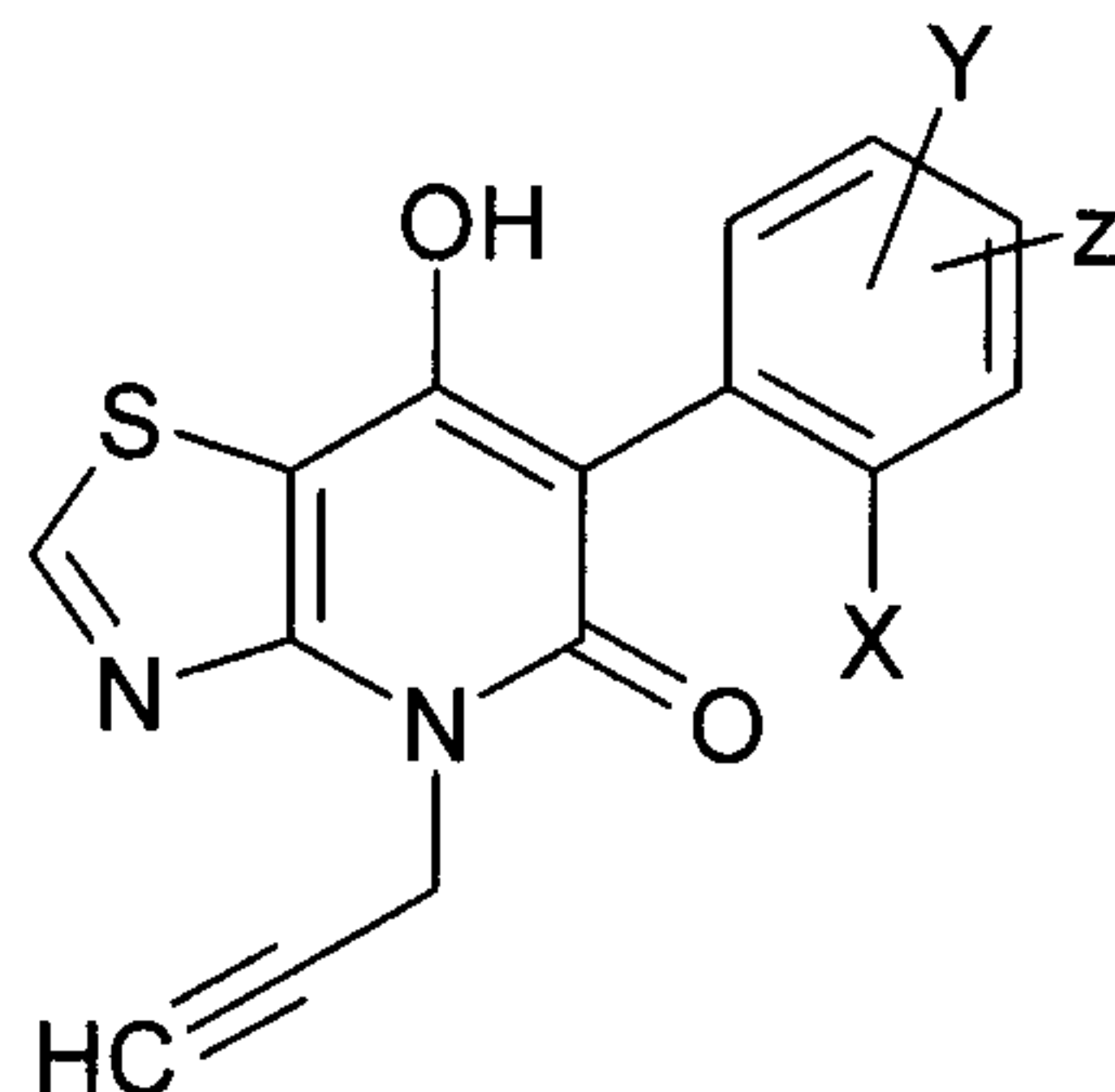


WO 2012/028582

31

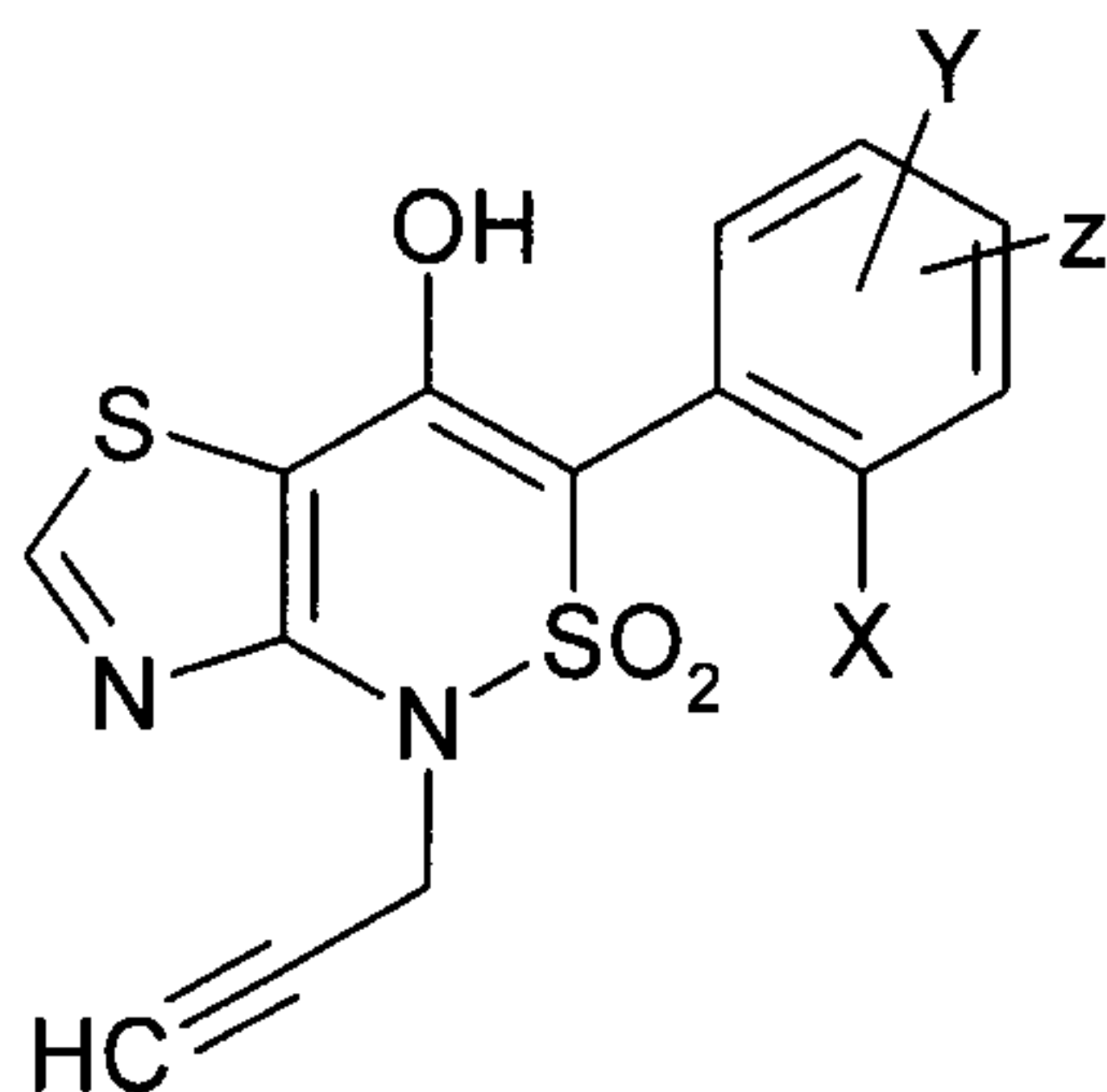
PCT/EP2011/064825

Table 11: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A₂, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



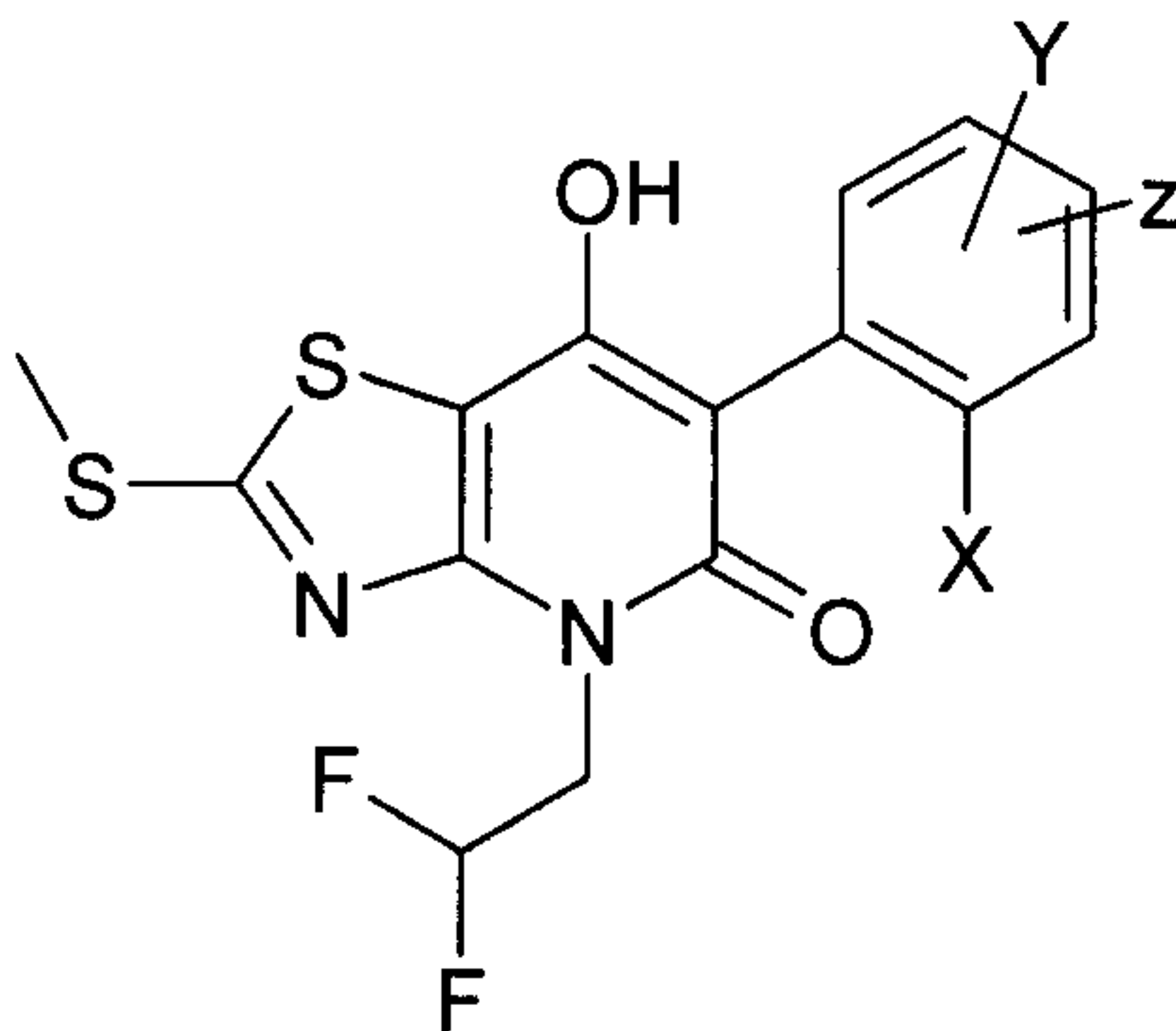
5

Table 12: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, R¹⁰ is hydrogen, A is A₂, and X, Y and Z are each as defined in table 1:



10

Table 13: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A₂, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

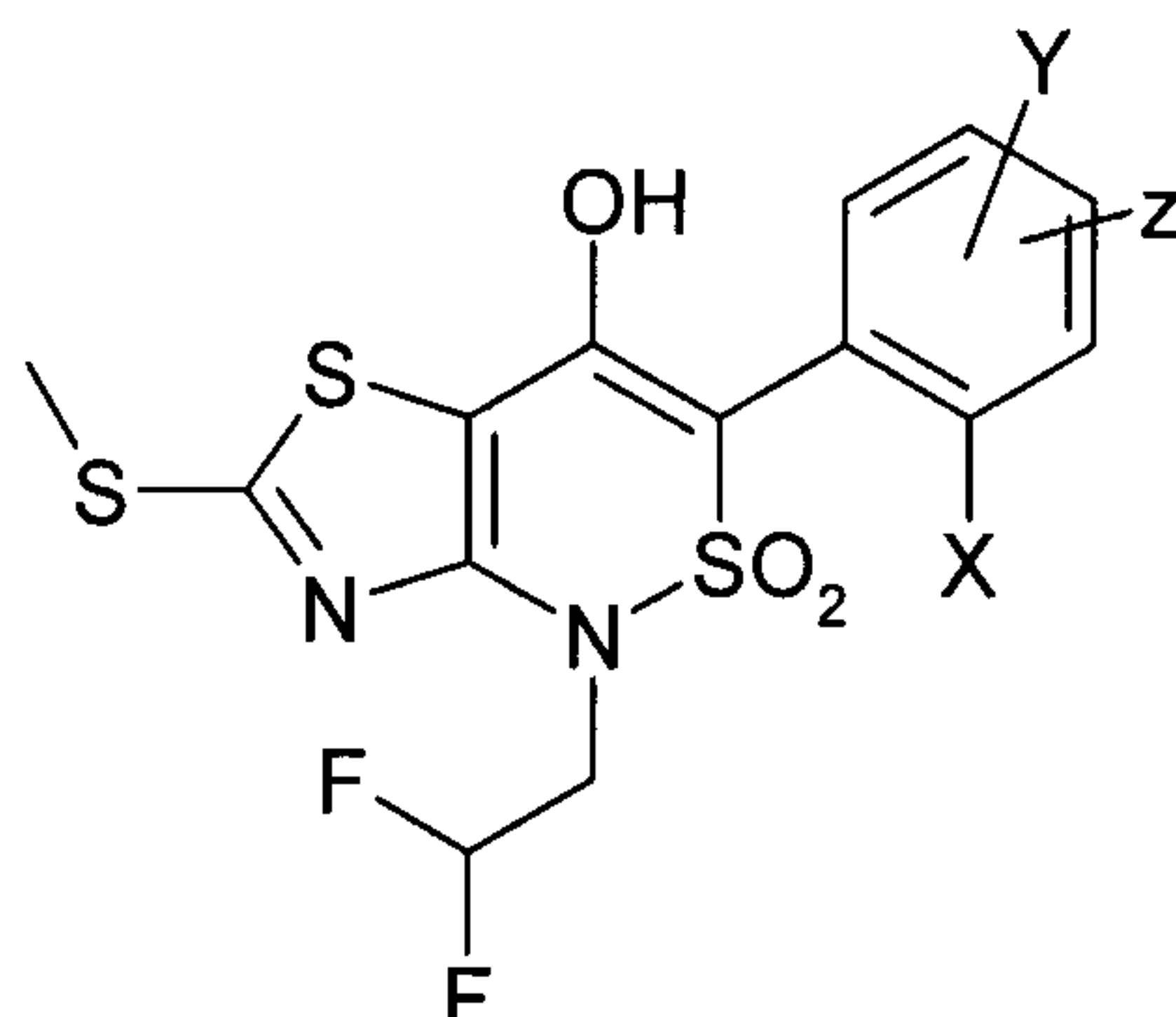


WO 2012/028582

32

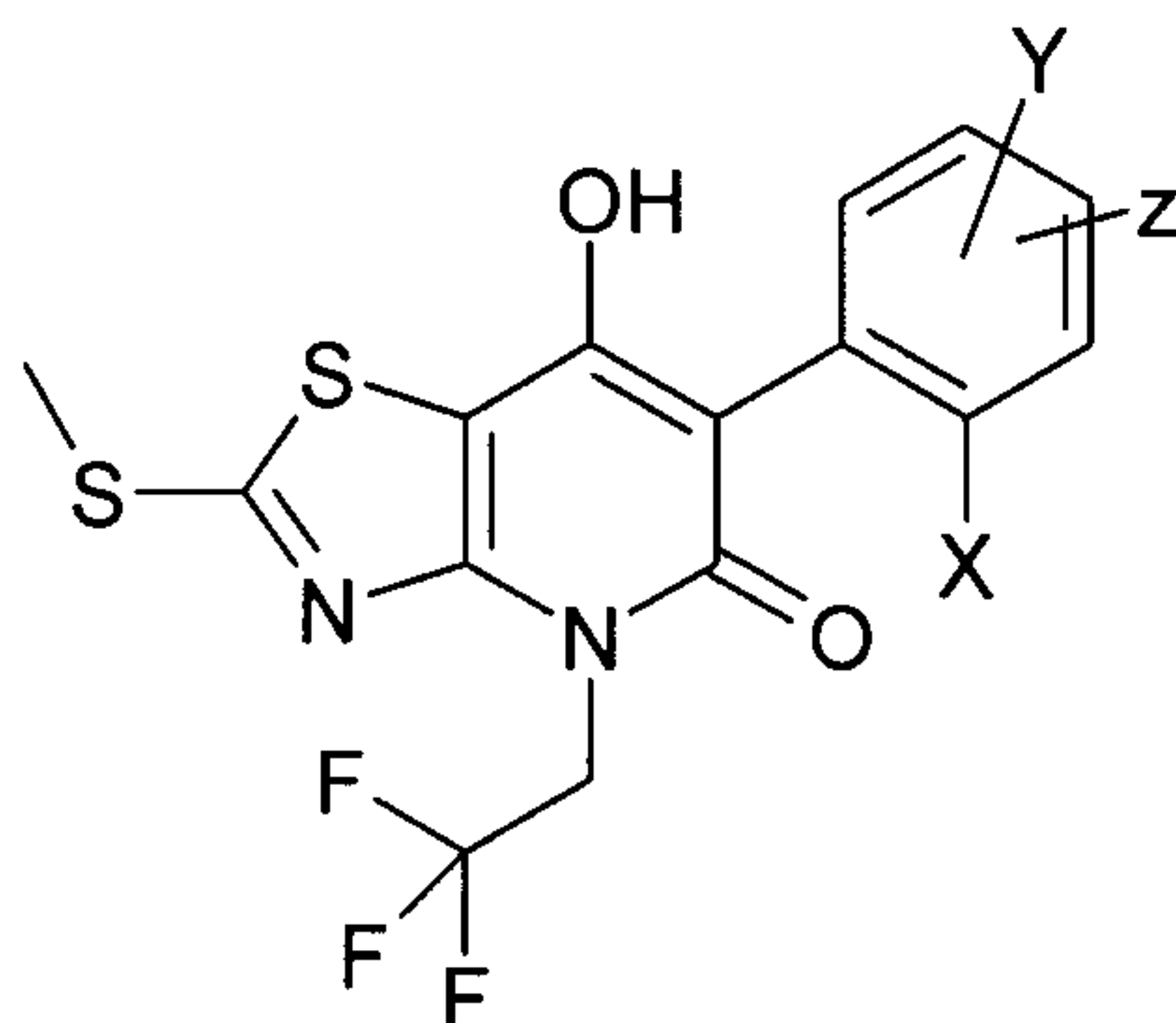
PCT/EP2011/064825

Table 14: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:



5

Table 15: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:



10

Table 16: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

WO 2012/028582

33

PCT/EP2011/064825

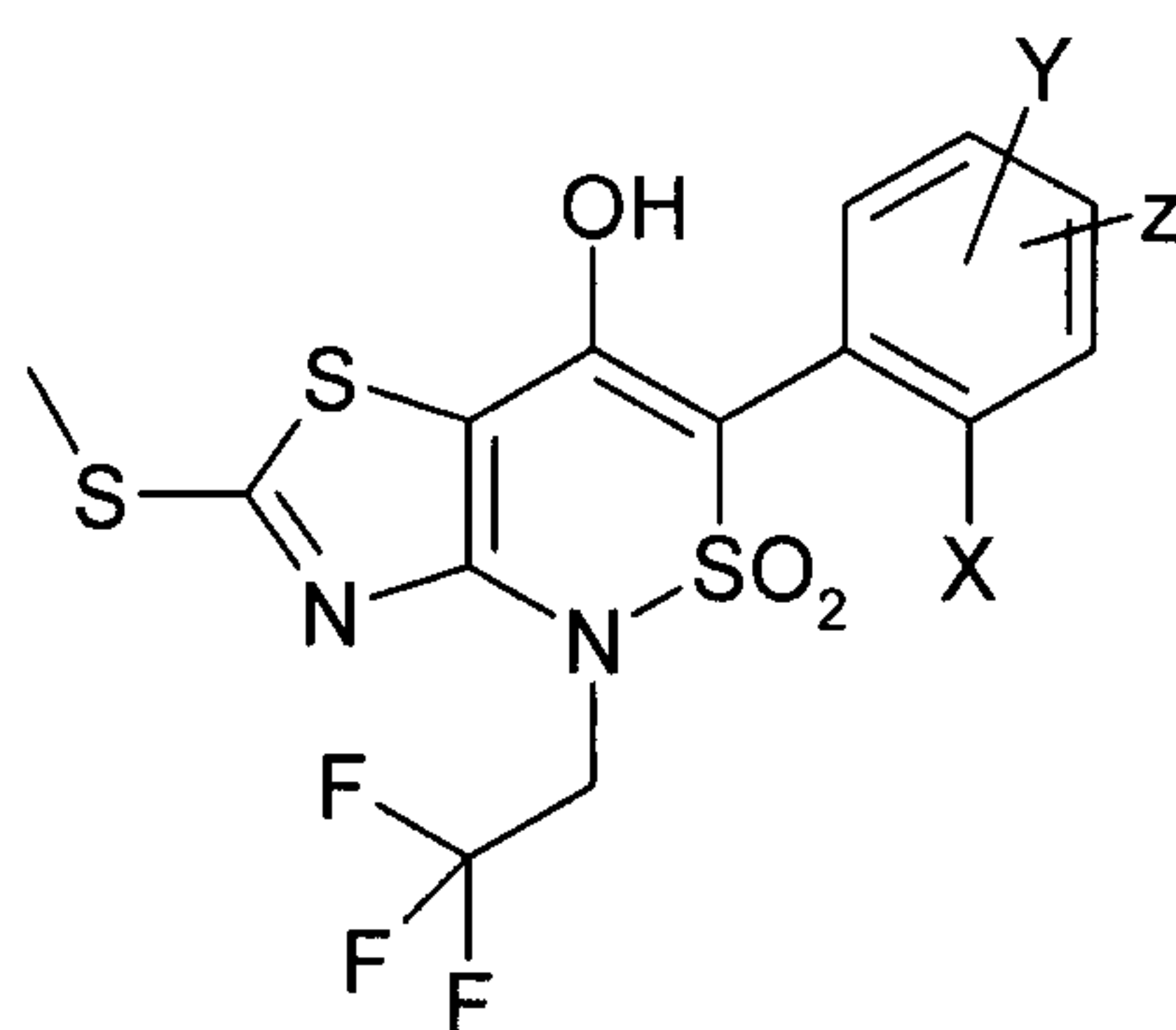


Table 17: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

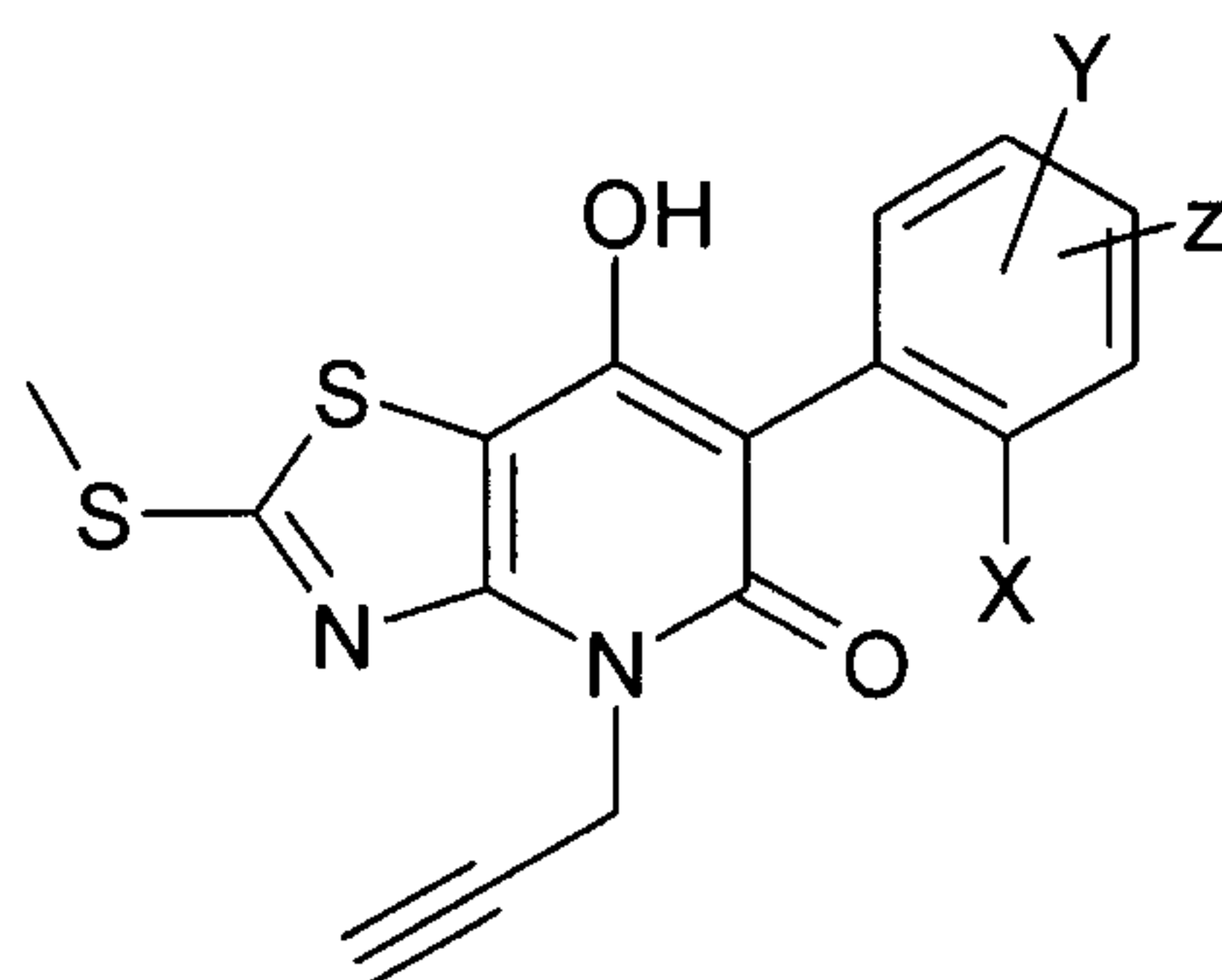


Table 18: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

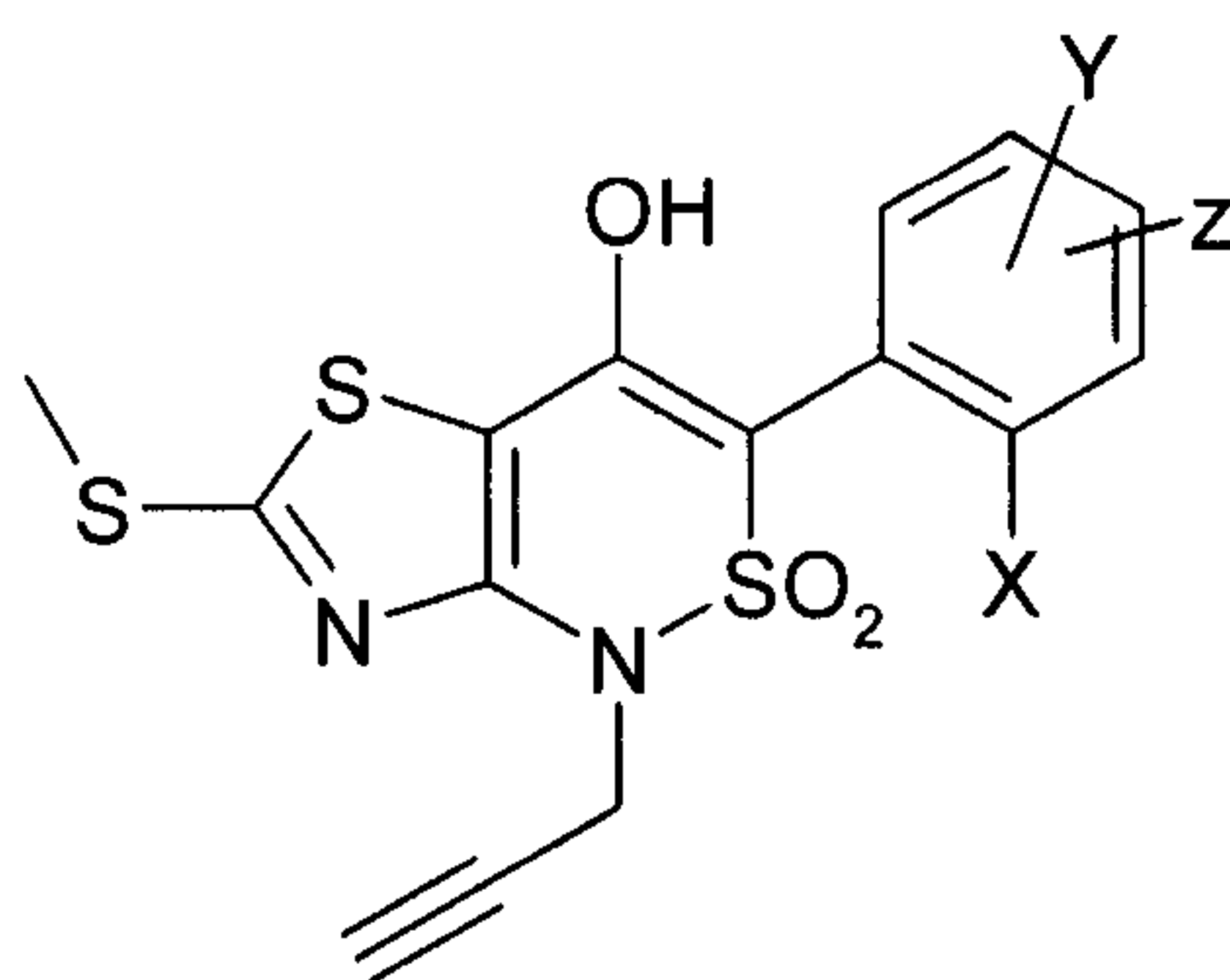


Table 19: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

34

PCT/EP2011/064825

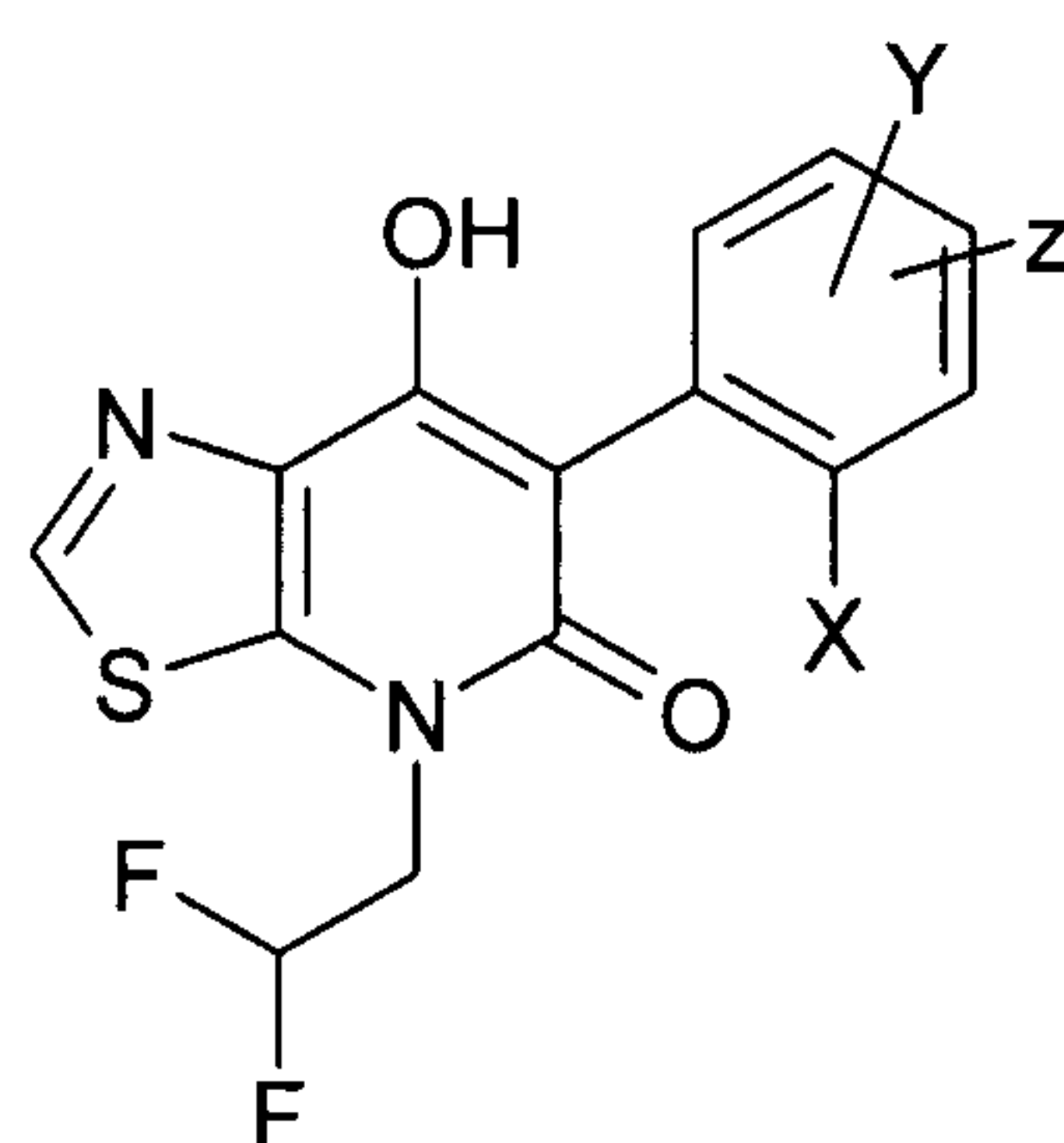


Table 20: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is difluoroethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined
 5 in table 1:

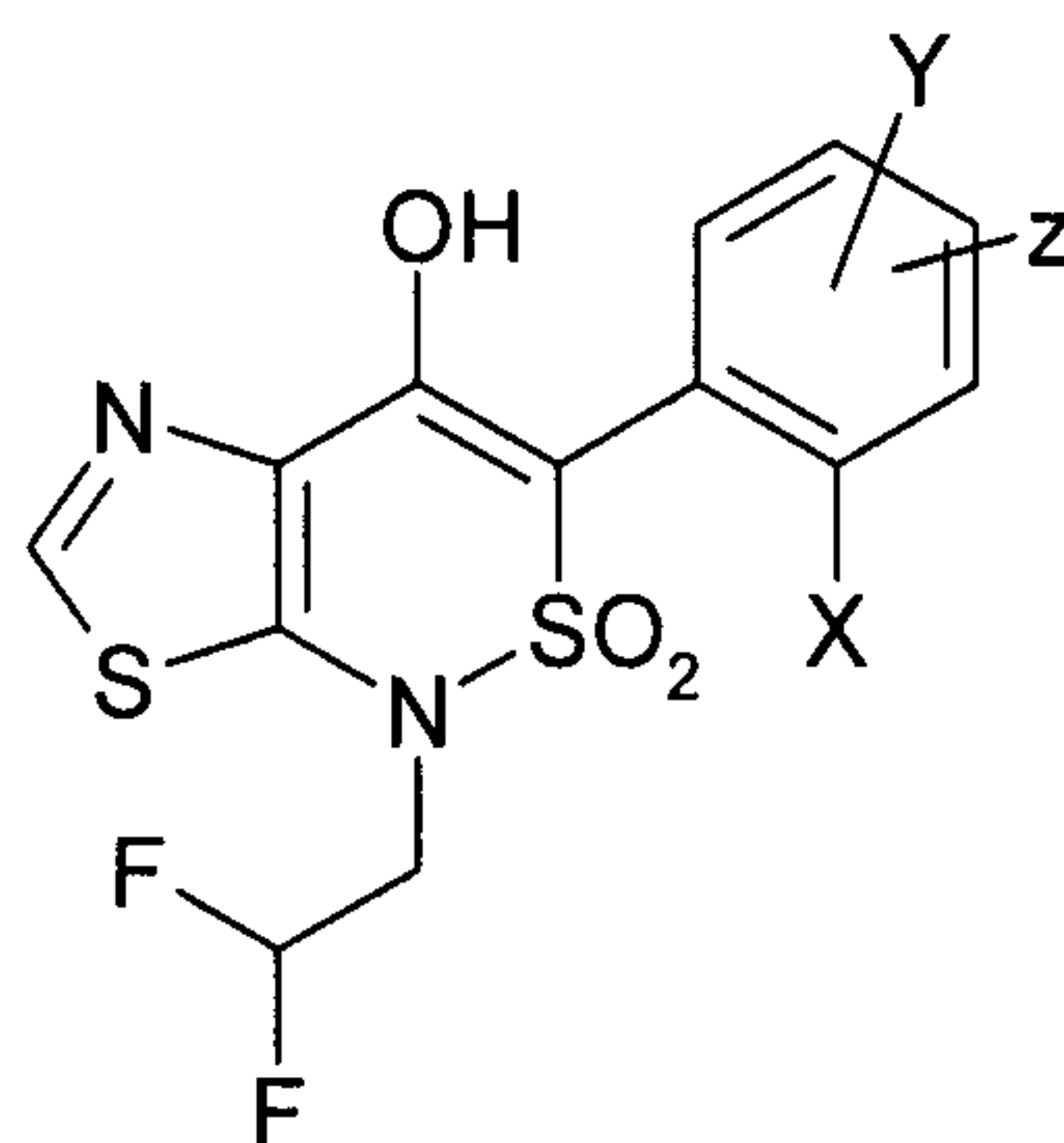
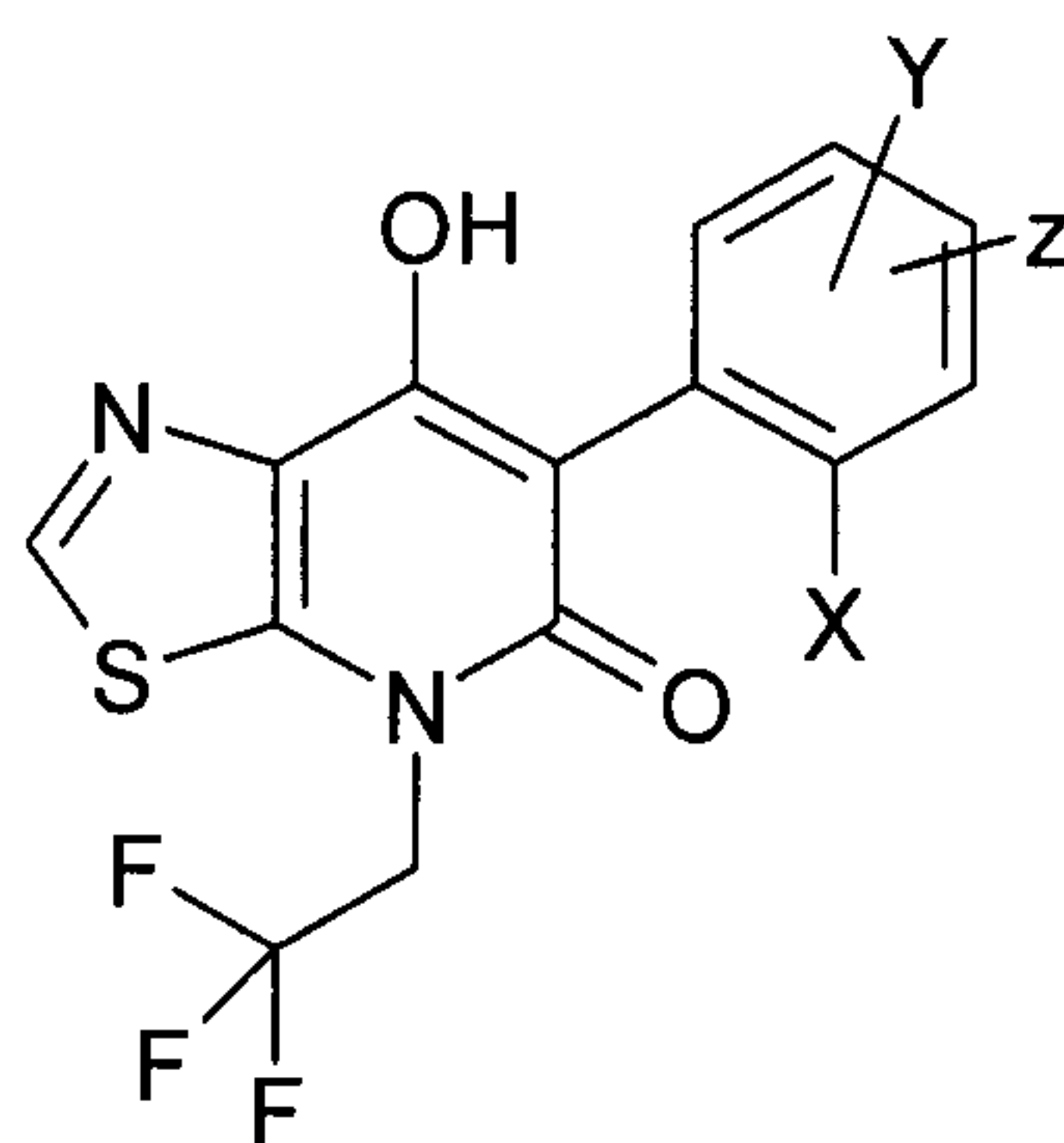


Table 21: Inventive compounds of the formula (I) in which G is hydrogen, V is
 10 C=O, W is trifluoroethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as
 defined in table 1:

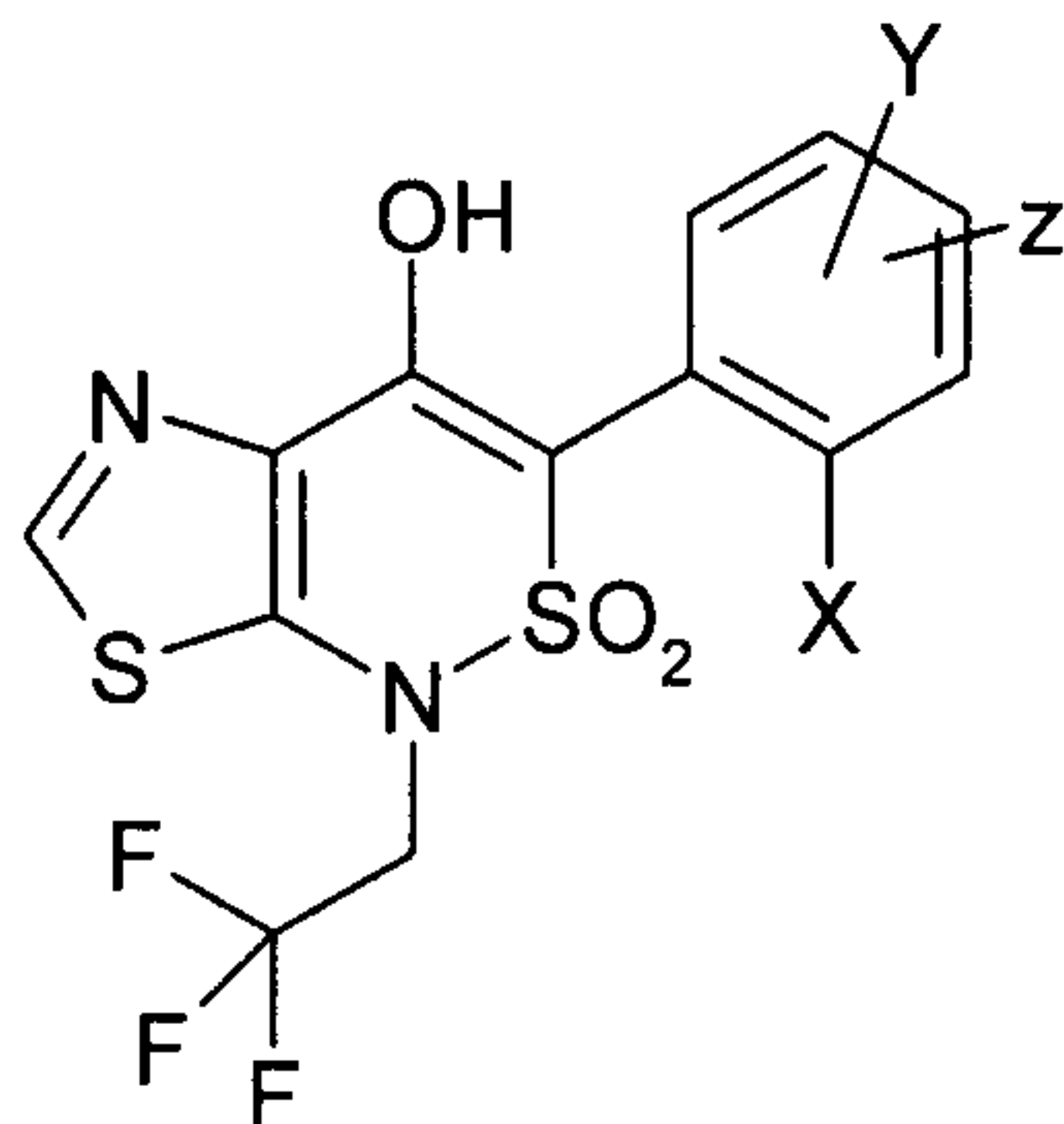


WO 2012/028582

35

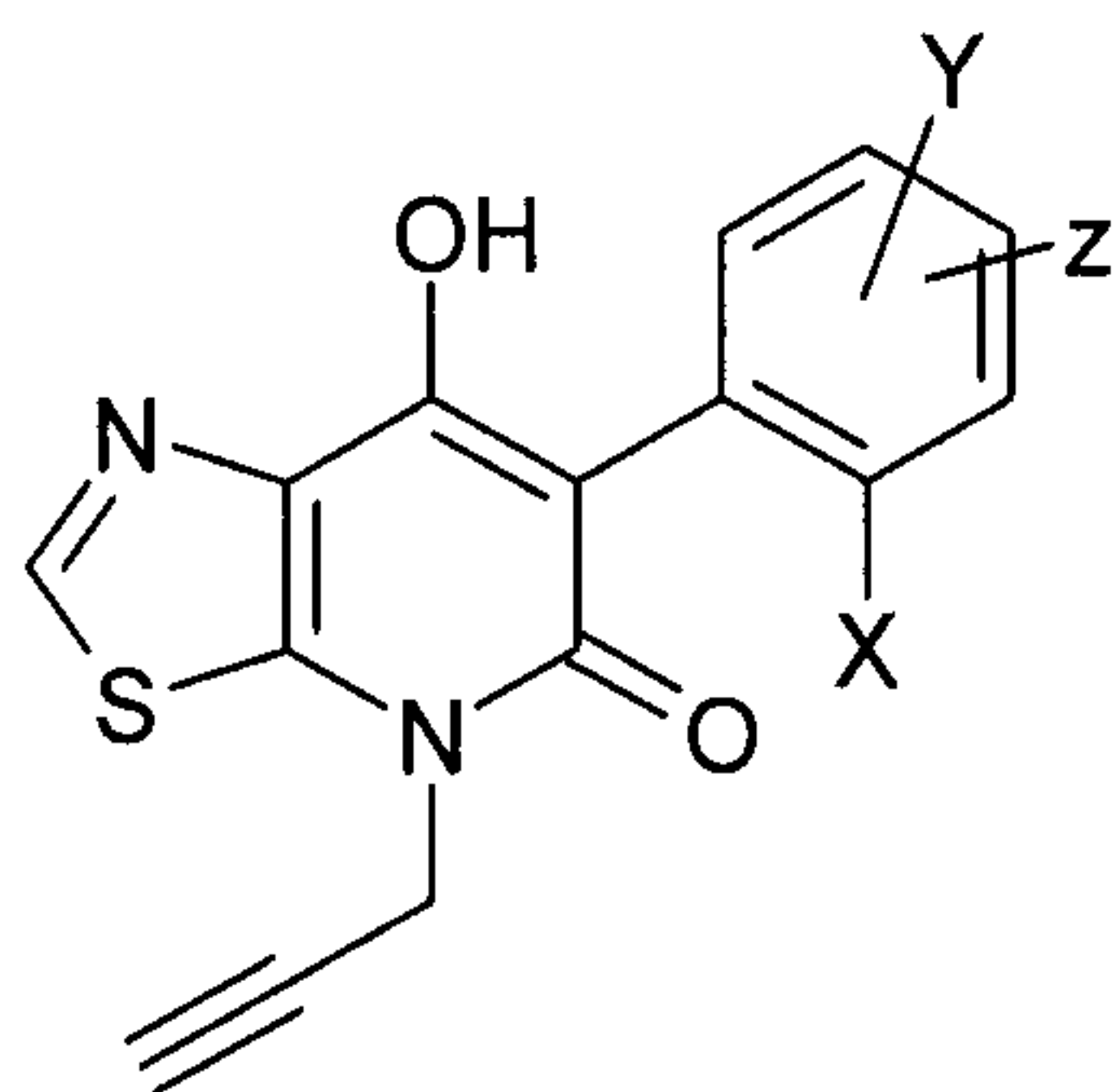
PCT/EP2011/064825

Table 22: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



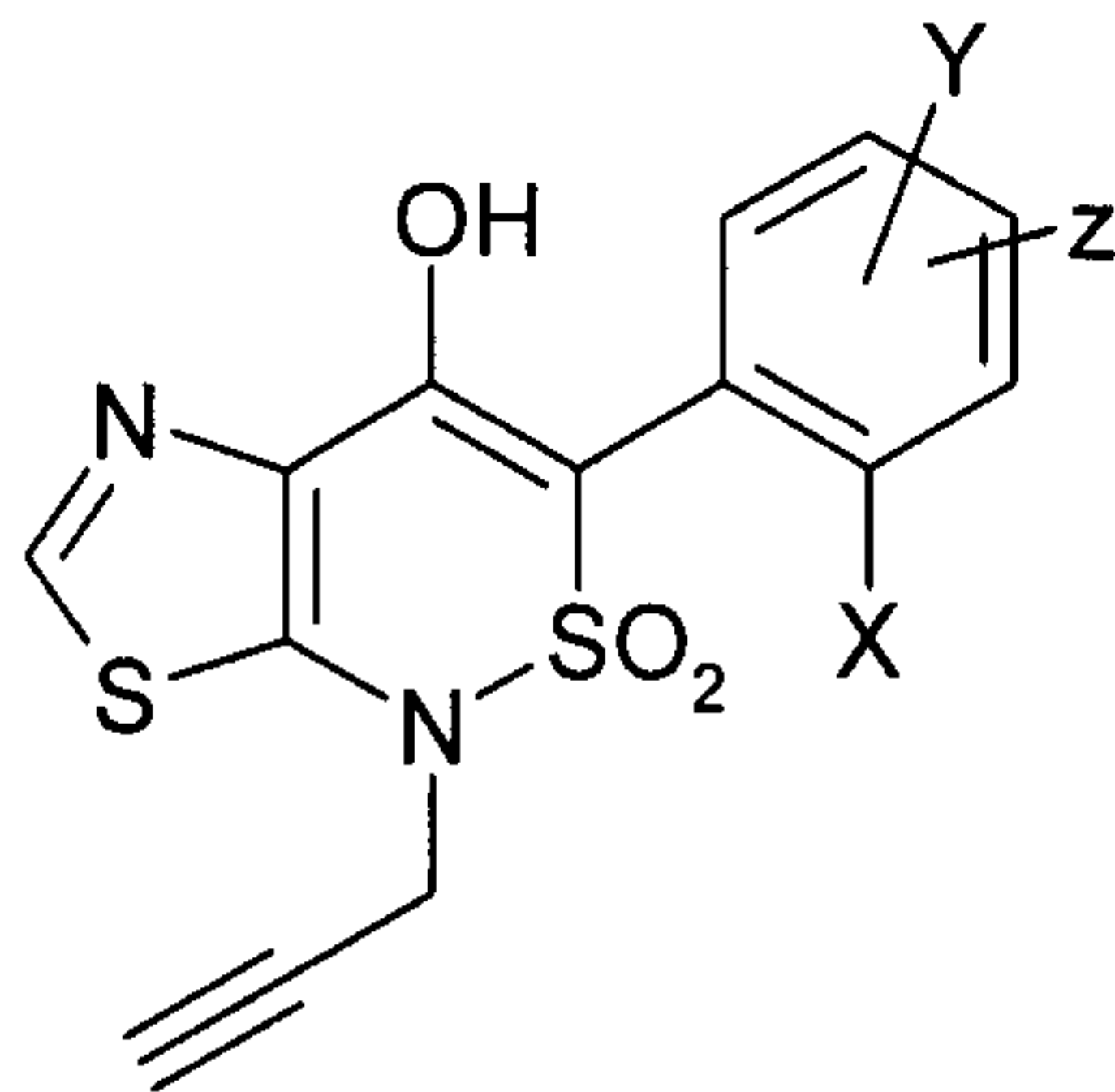
5

Table 23: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 24: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



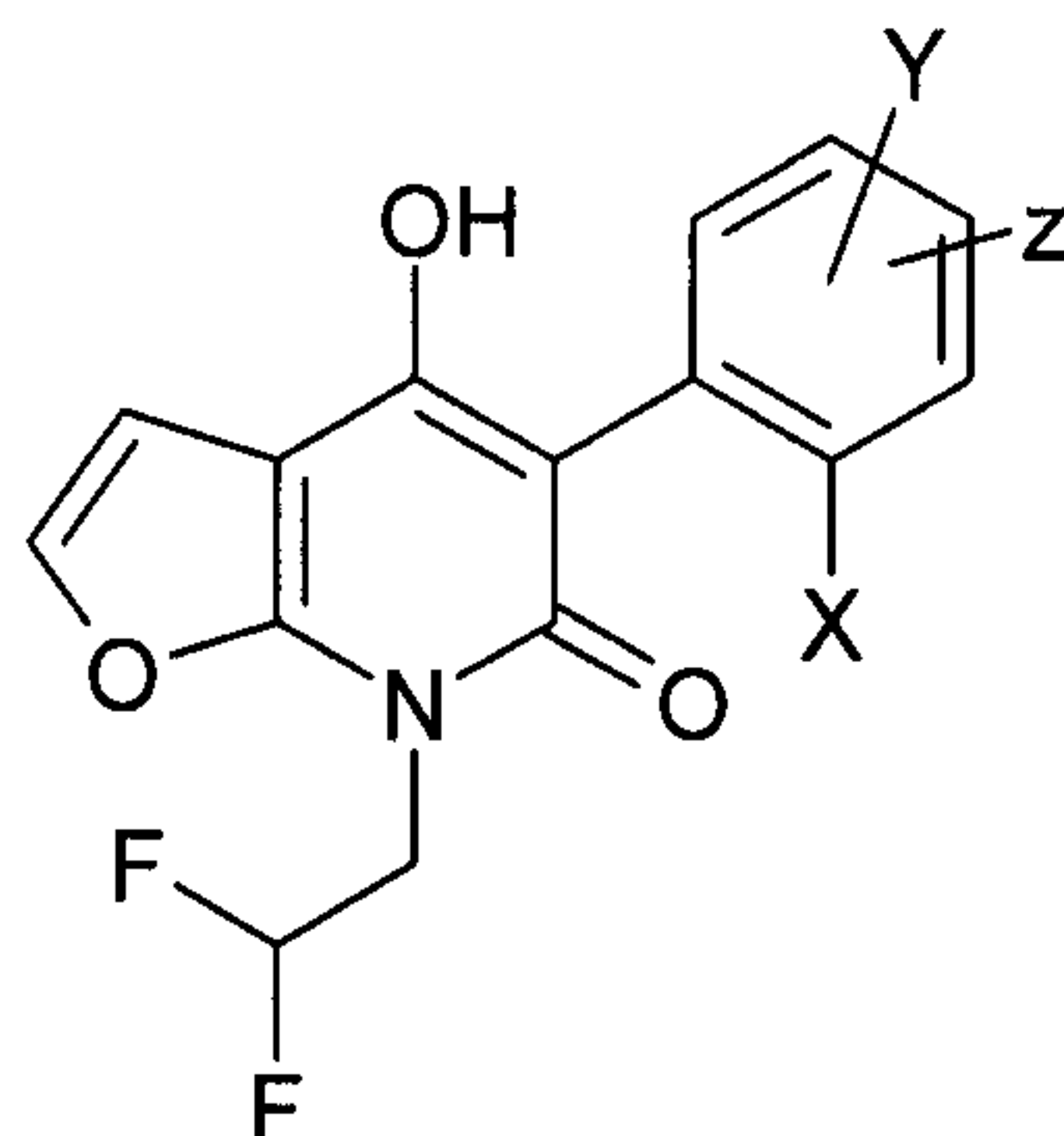
15

WO 2012/028582

36

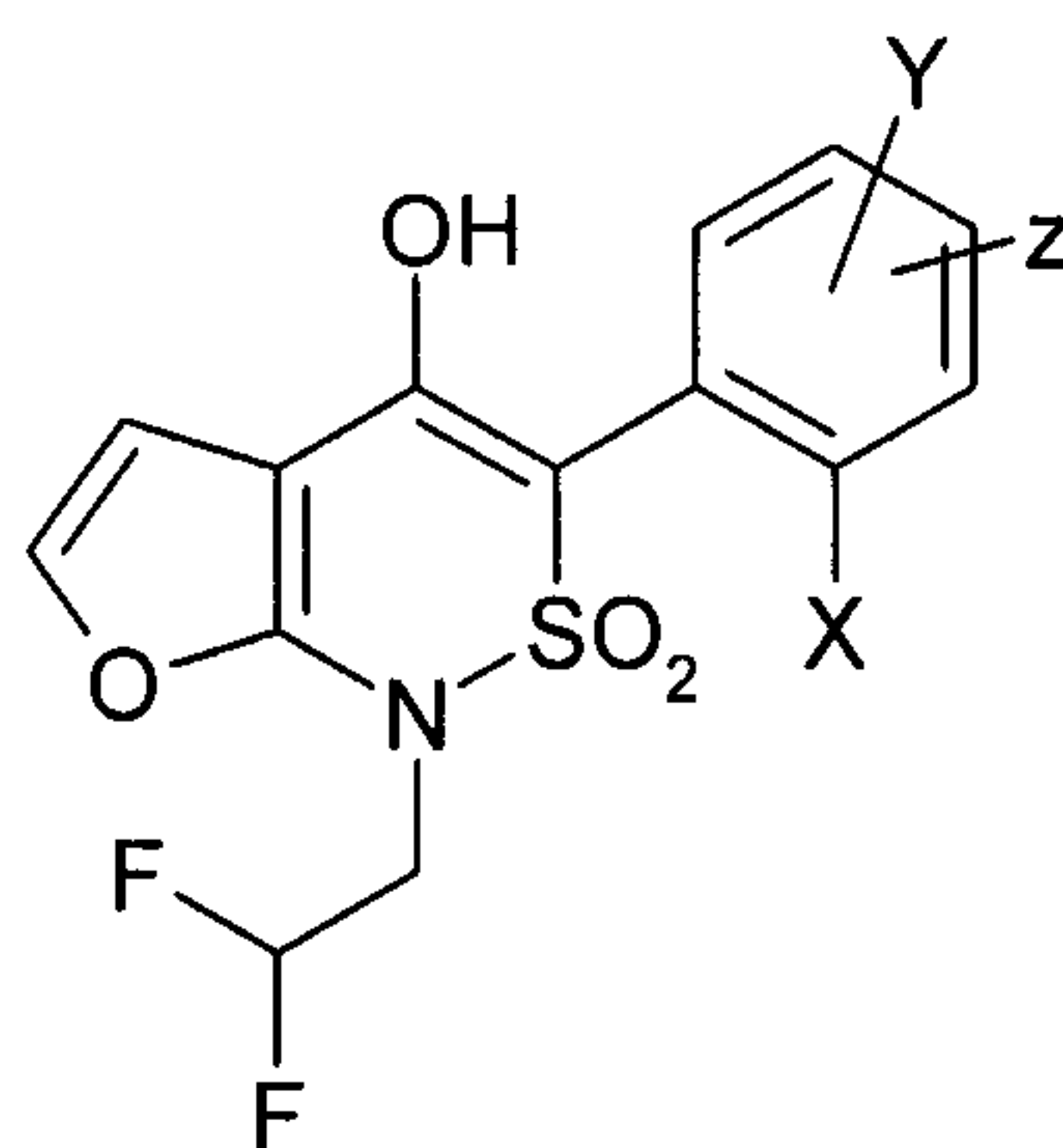
PCT/EP2011/064825

Table 25: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



5

Table 26: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 27: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

37

PCT/EP2011/064825

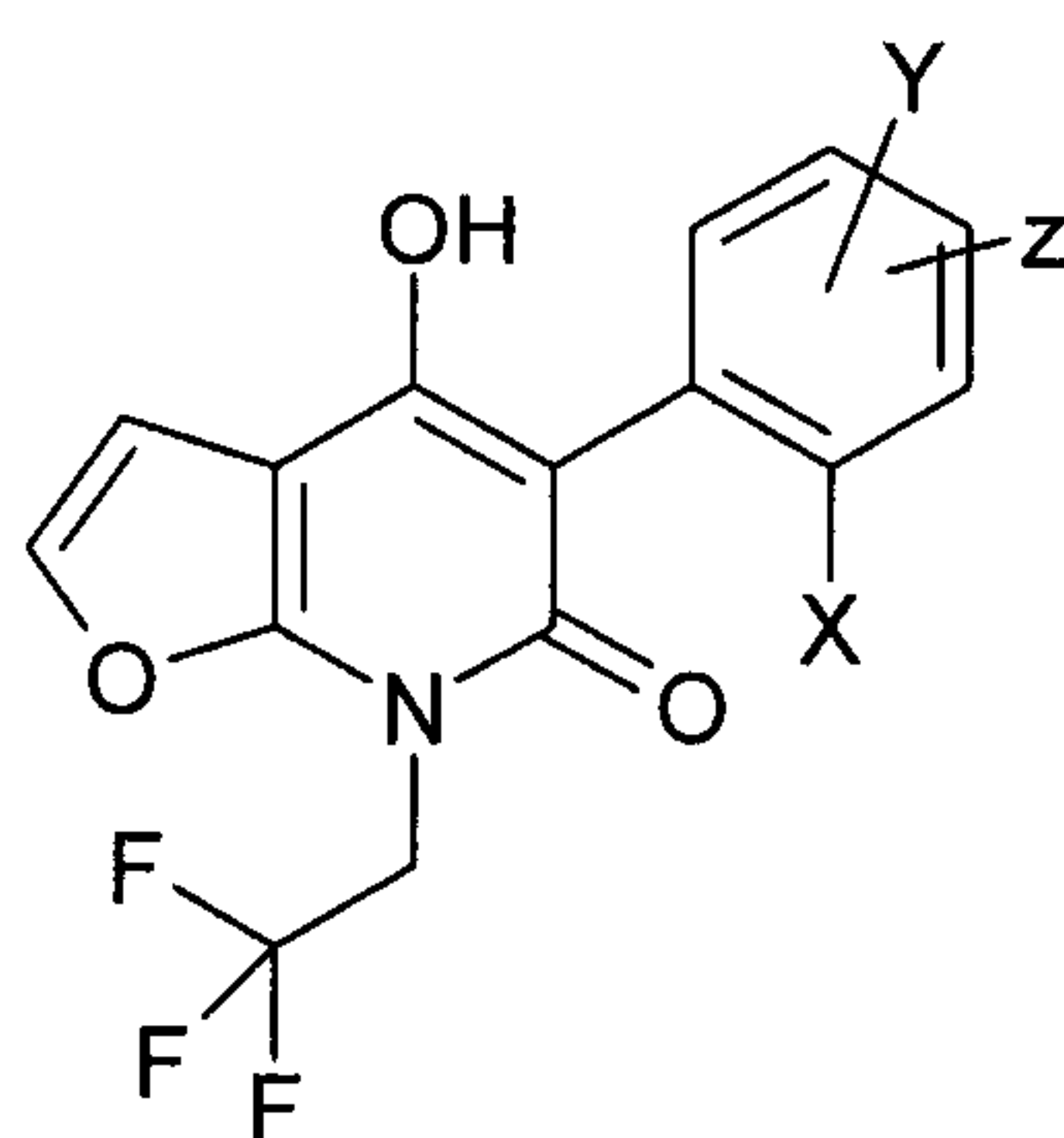


Table 28: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are
5 each as defined in table 1:

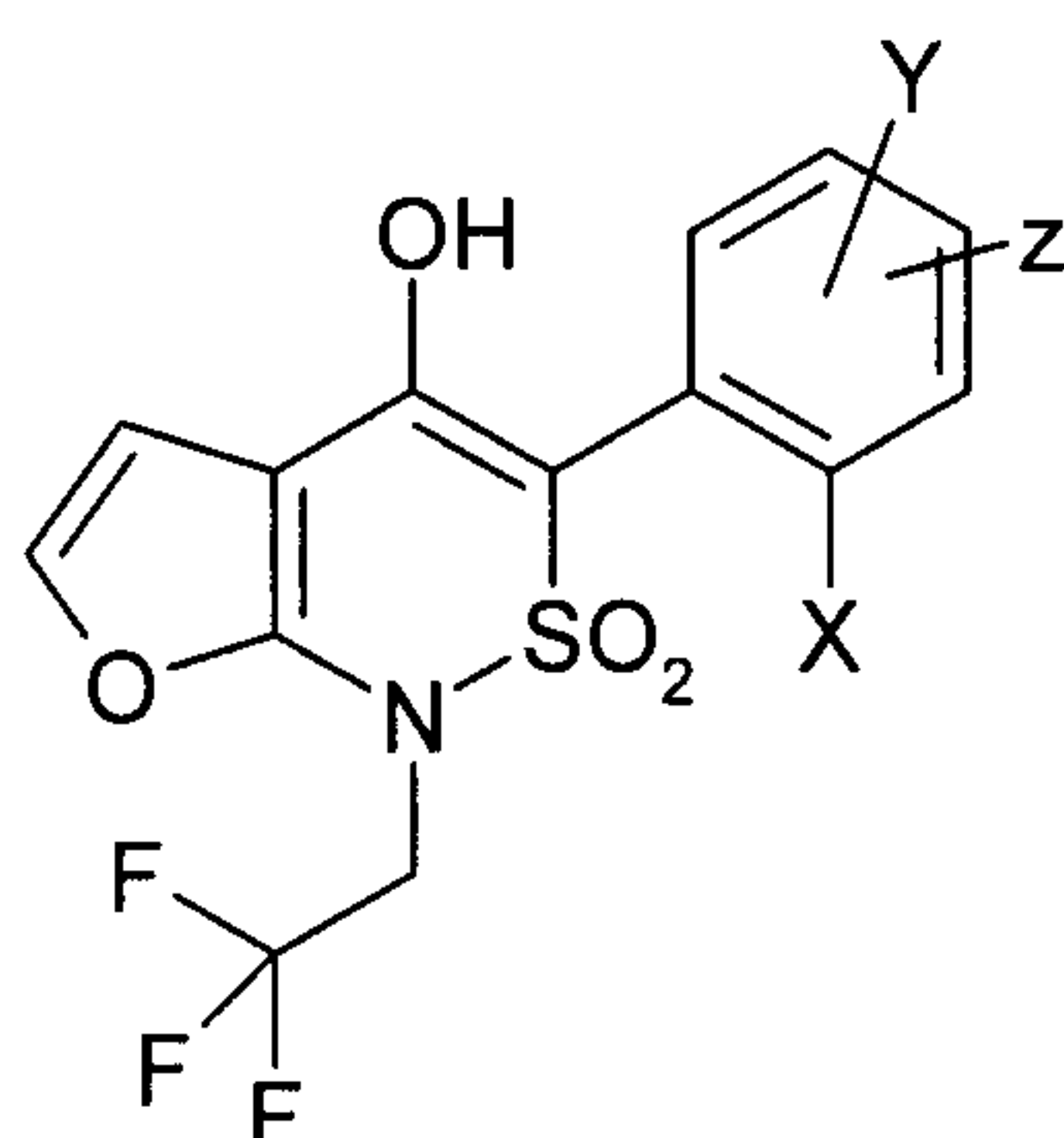
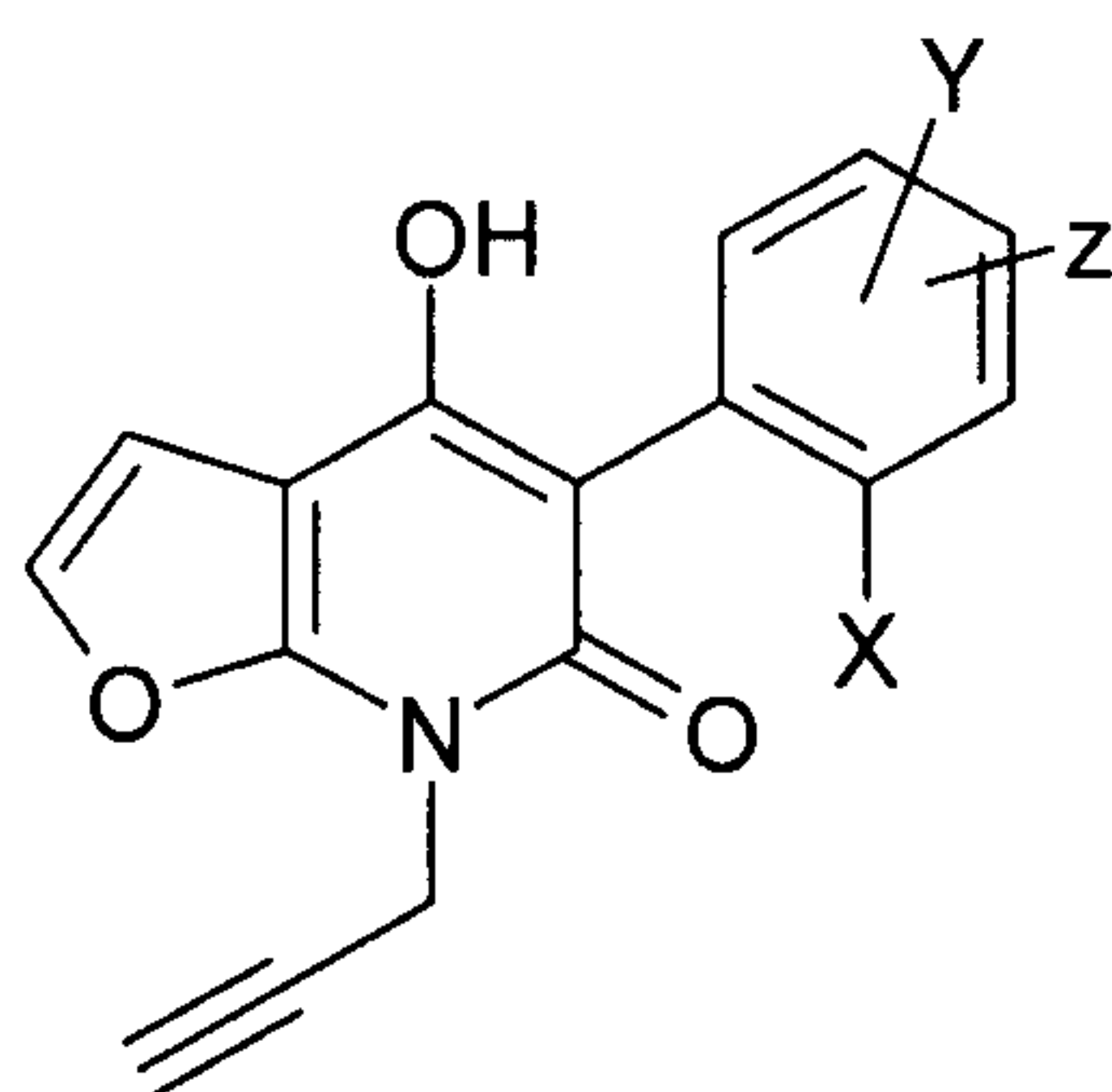


Table 29: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are
10 each as defined in table 1:

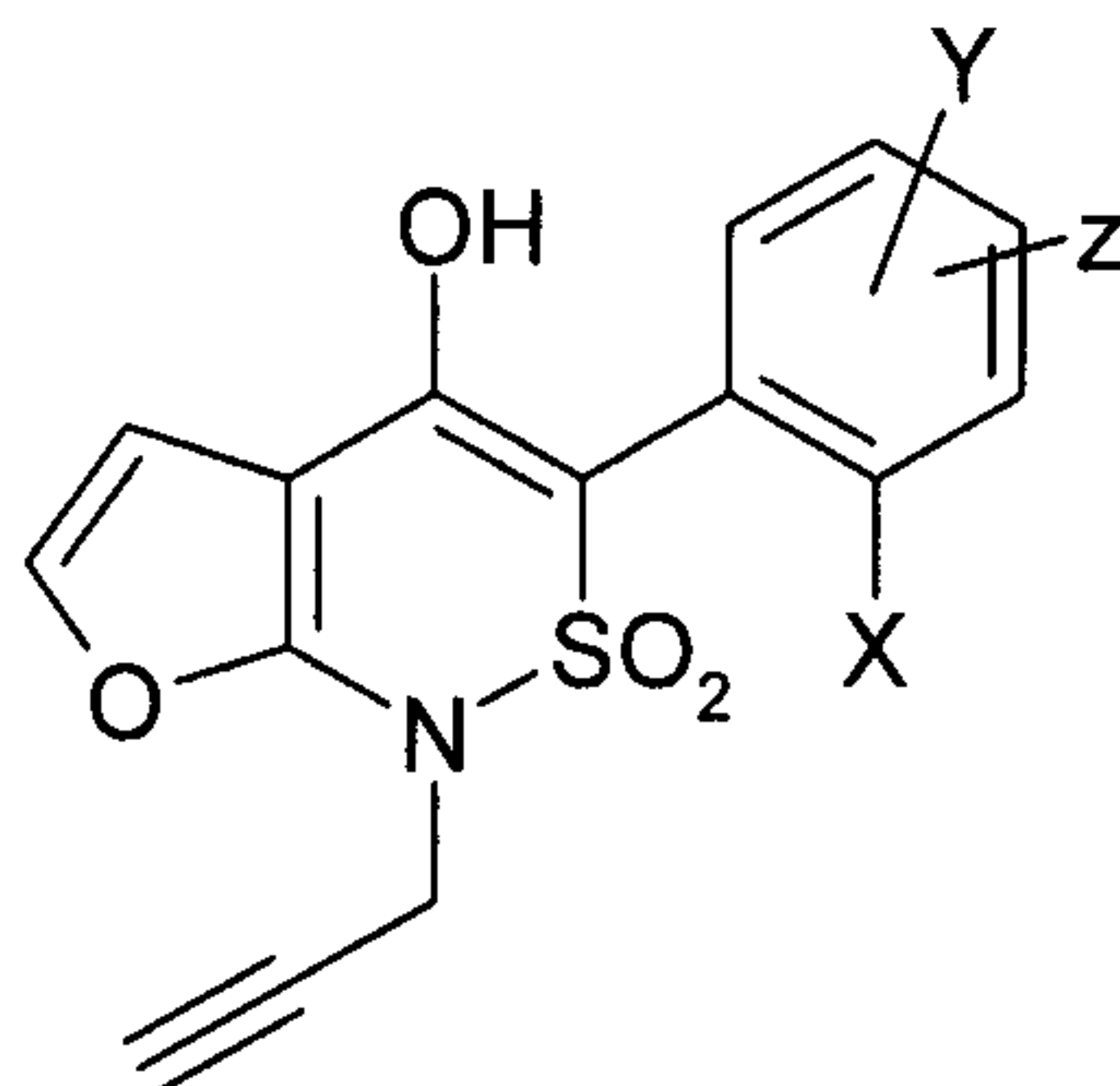


WO 2012/028582

38

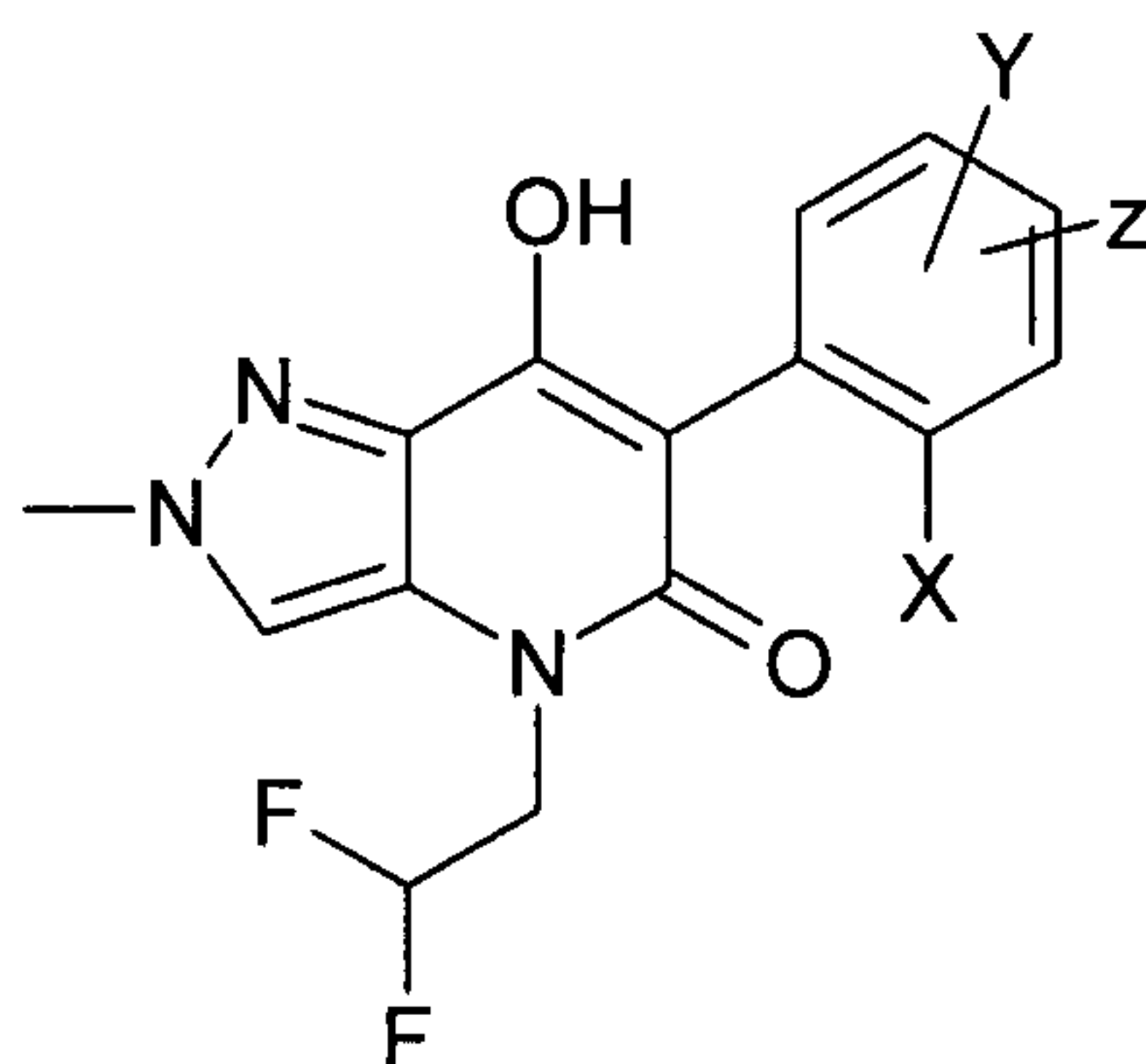
PCT/EP2011/064825

Table 30: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

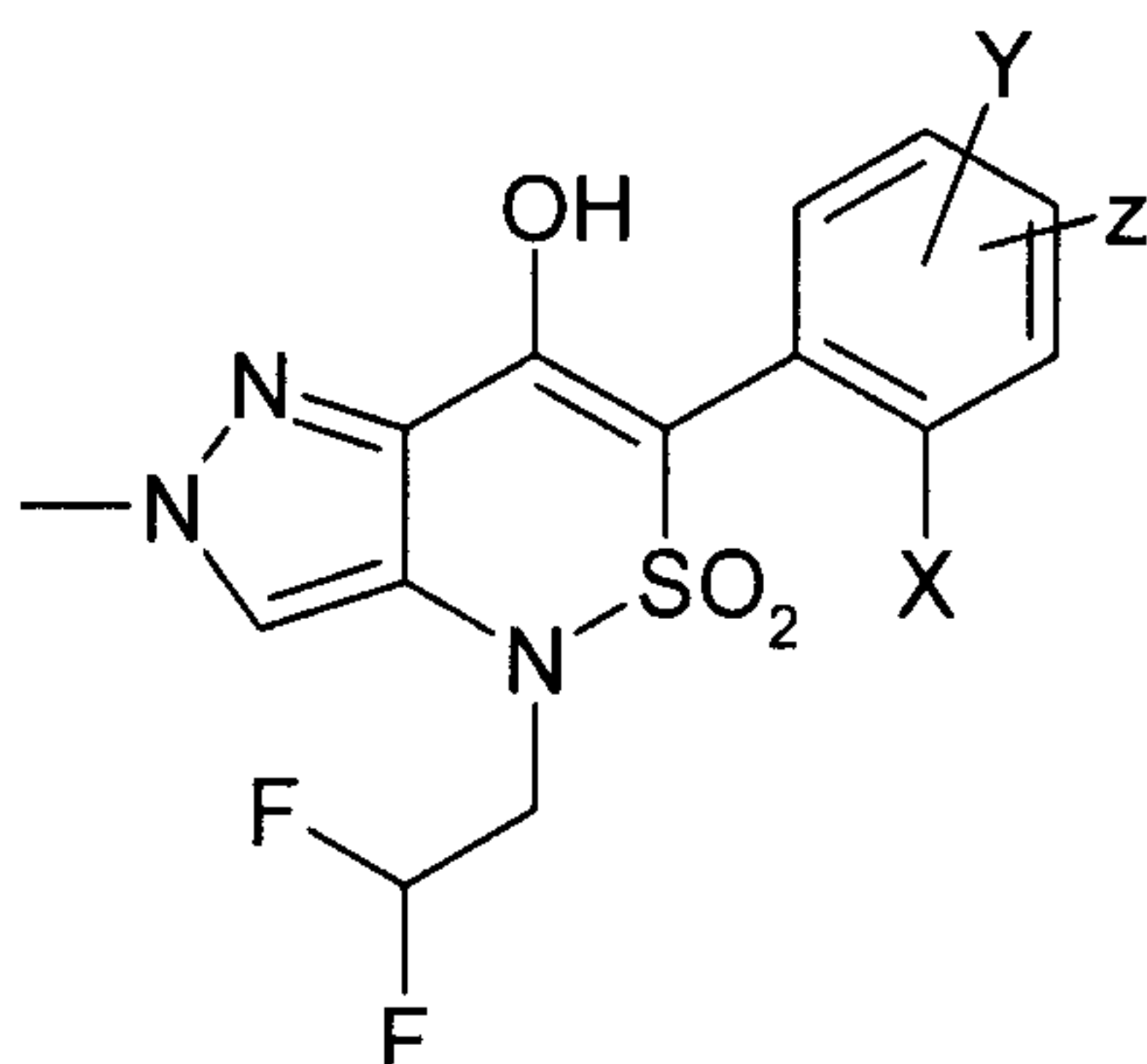


5

Table 31: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10 Table 32: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

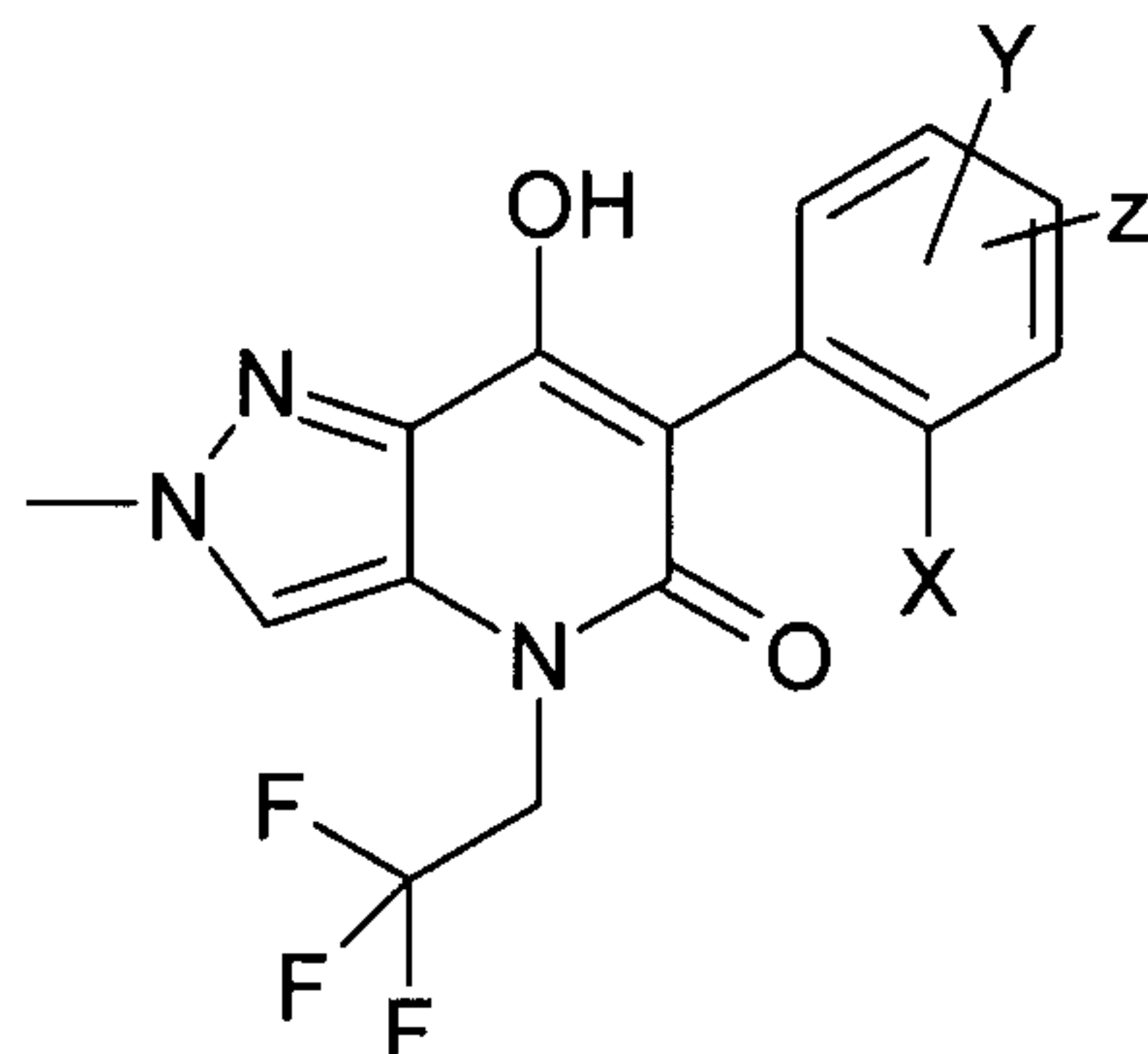


WO 2012/028582

39

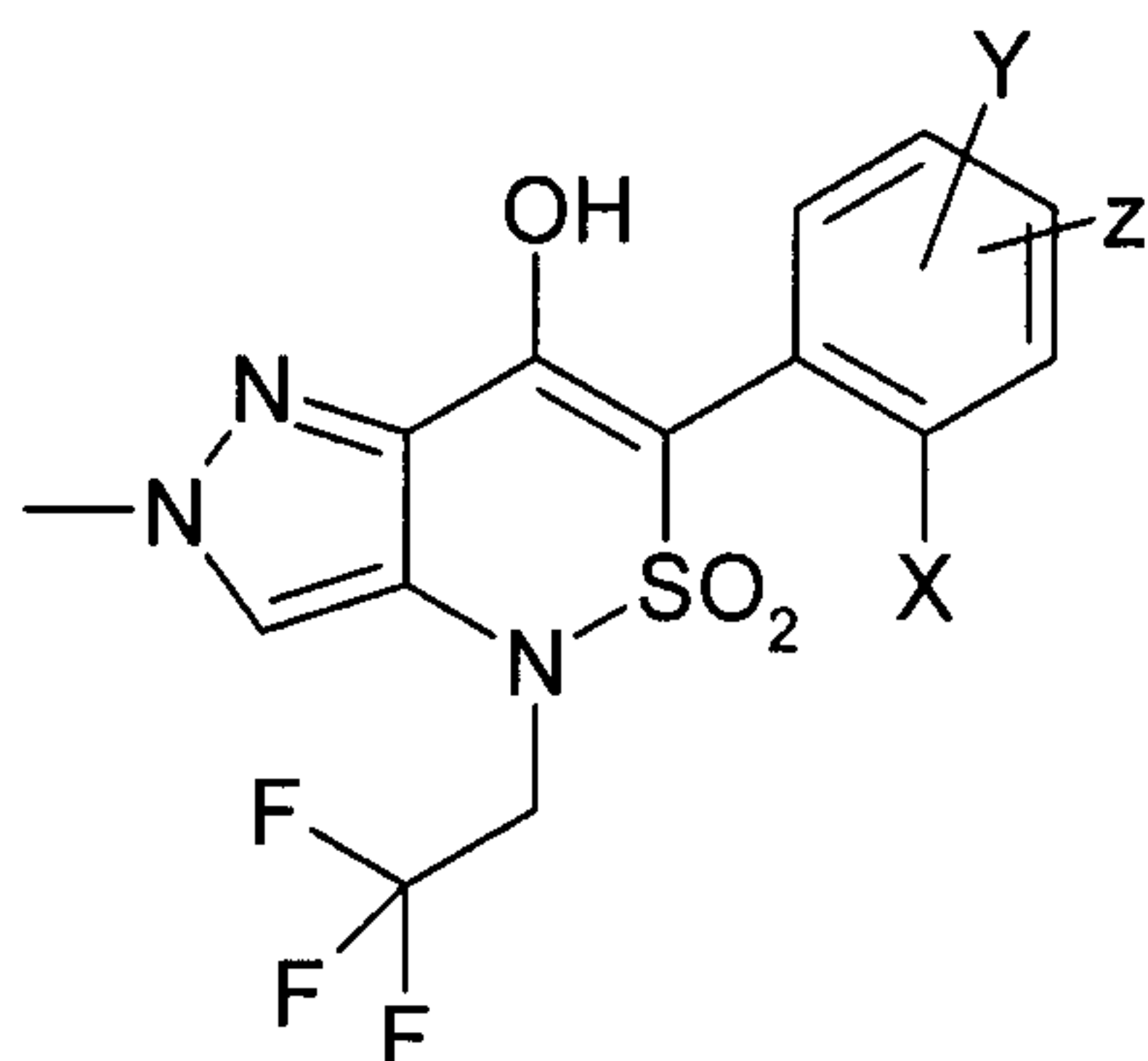
PCT/EP2011/064825

Table 33: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



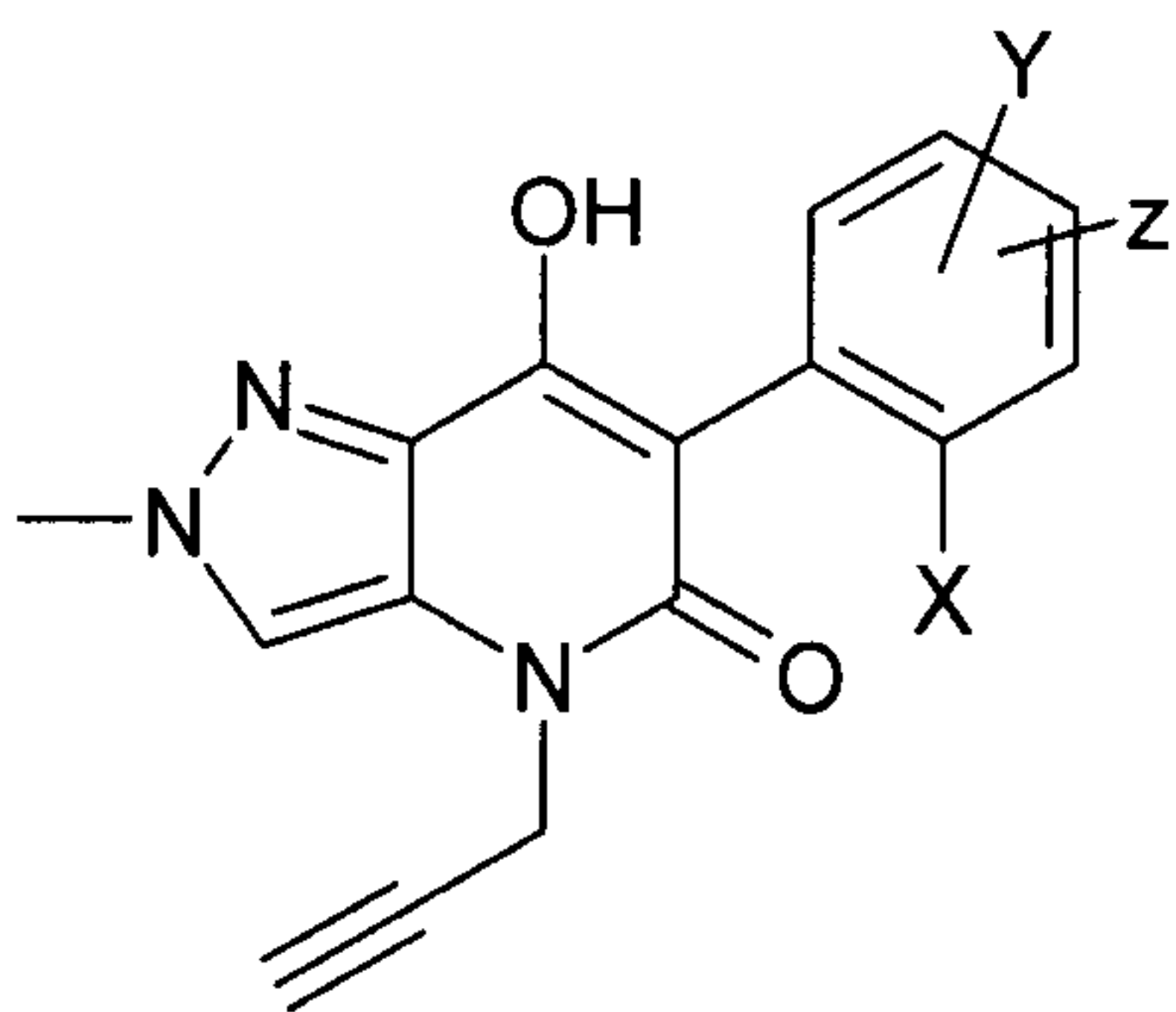
5

Table 34: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 35: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

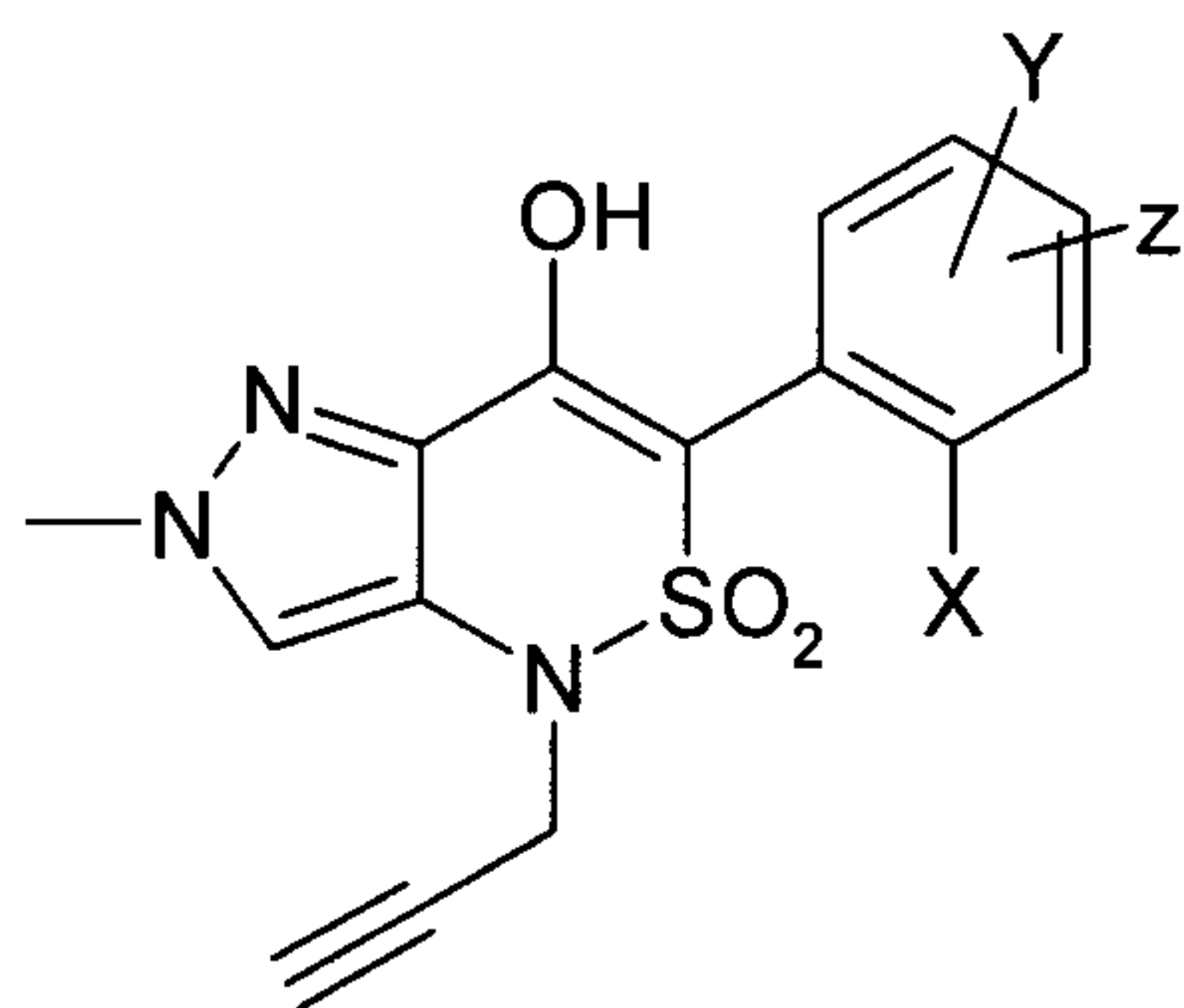


WO 2012/028582

40

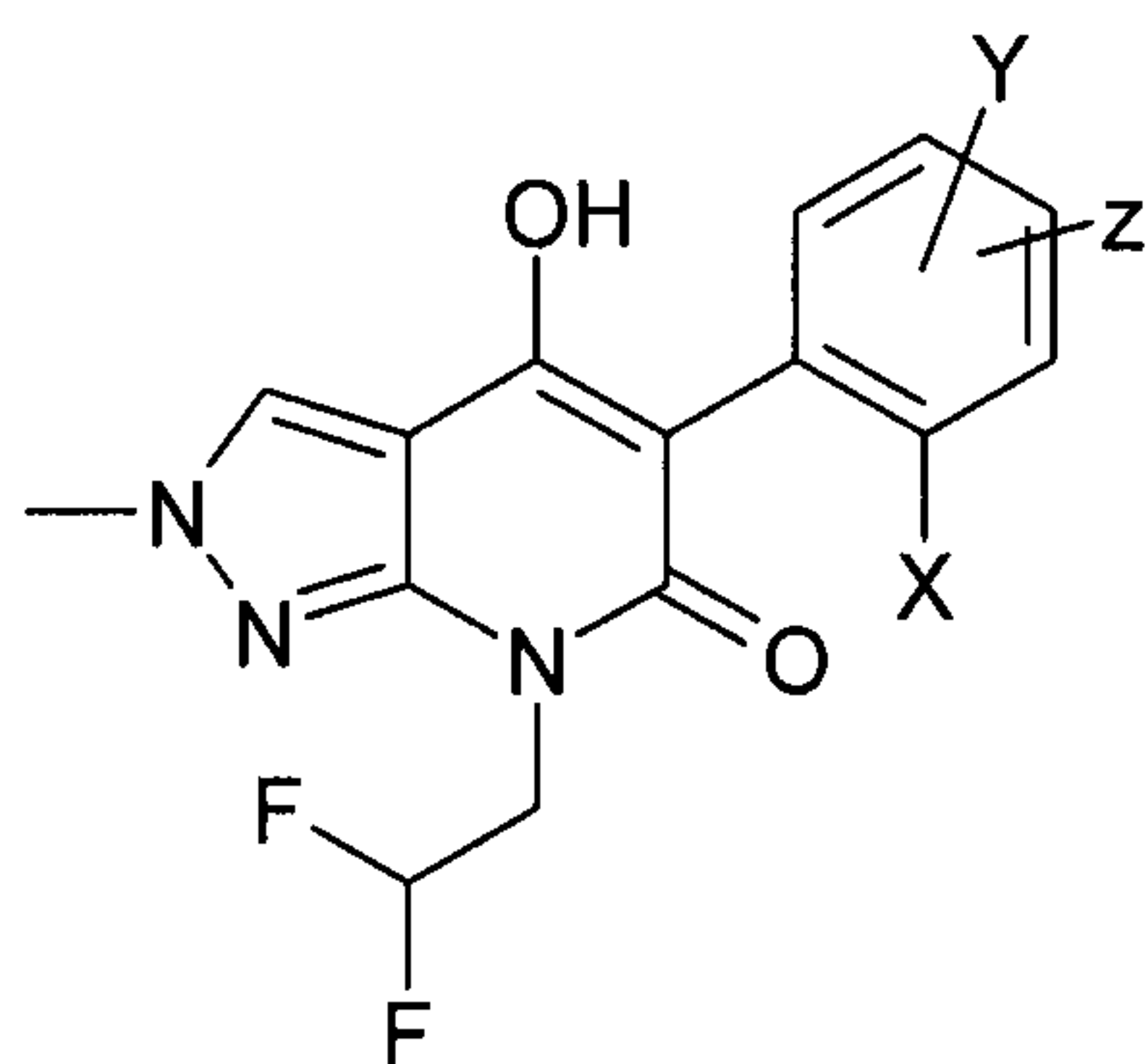
PCT/EP2011/064825

Table 36: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



5

Table 37: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 38: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

41

PCT/EP2011/064825

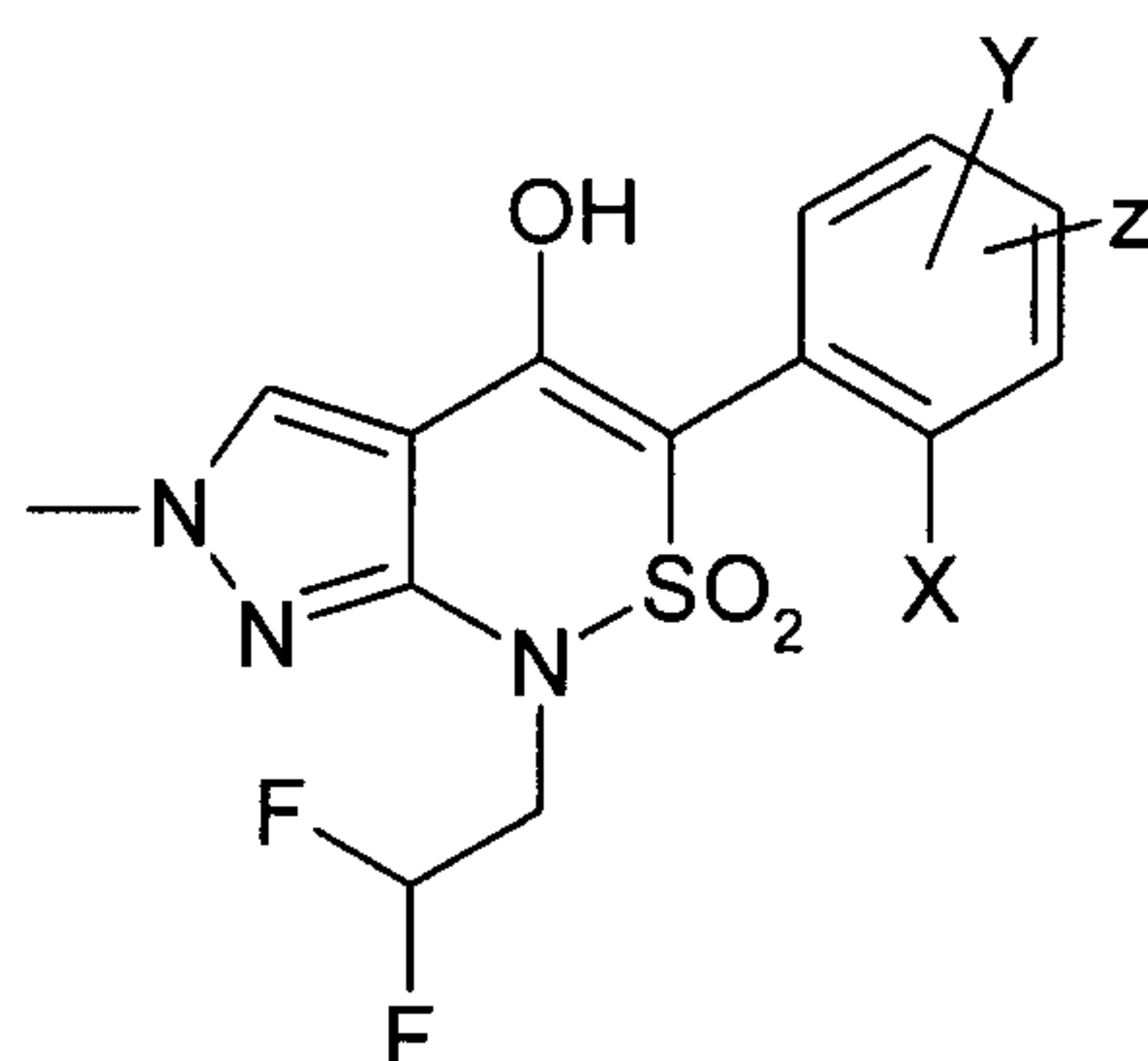


Table 39: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are
5 each as defined in table 1:

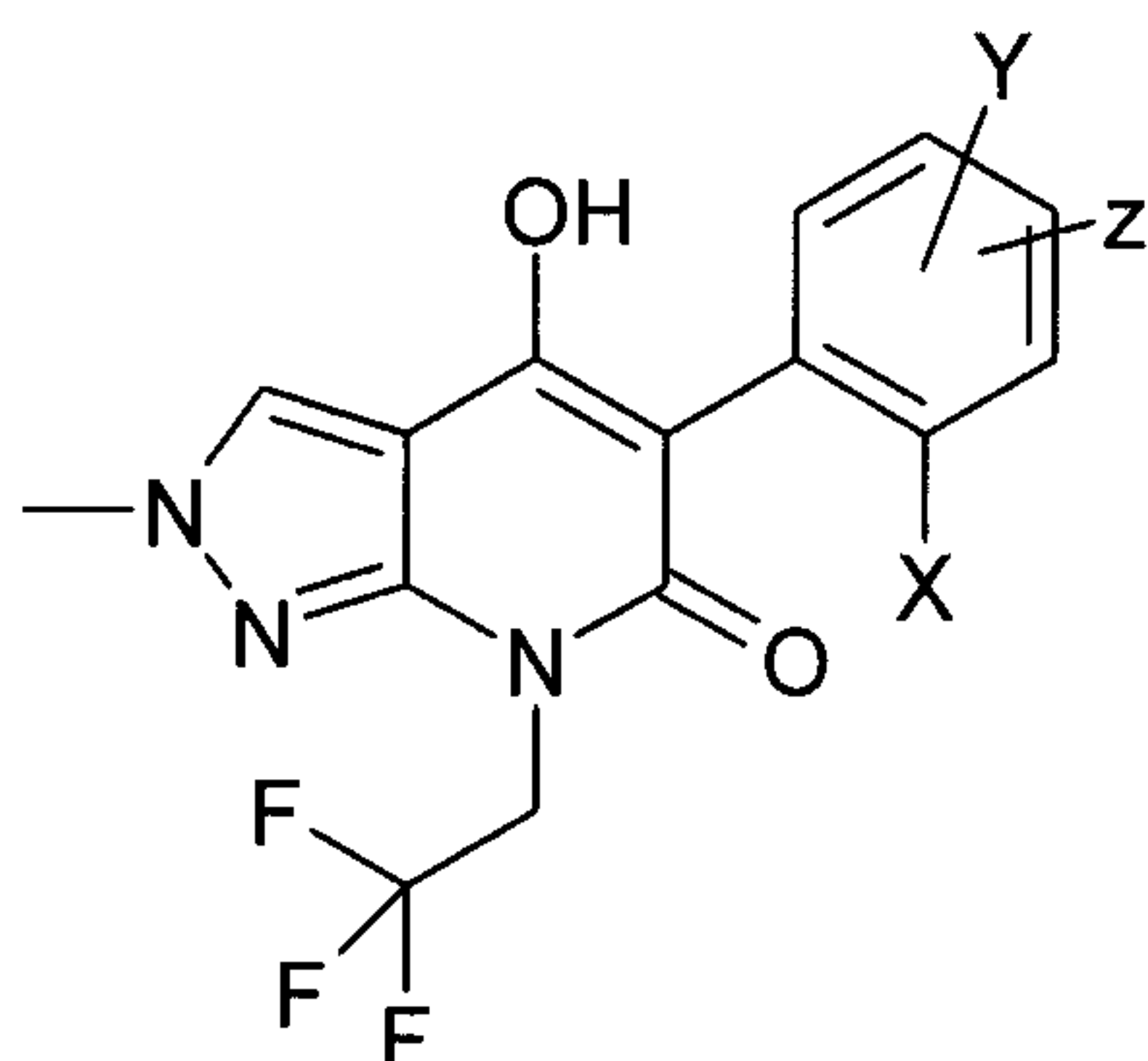
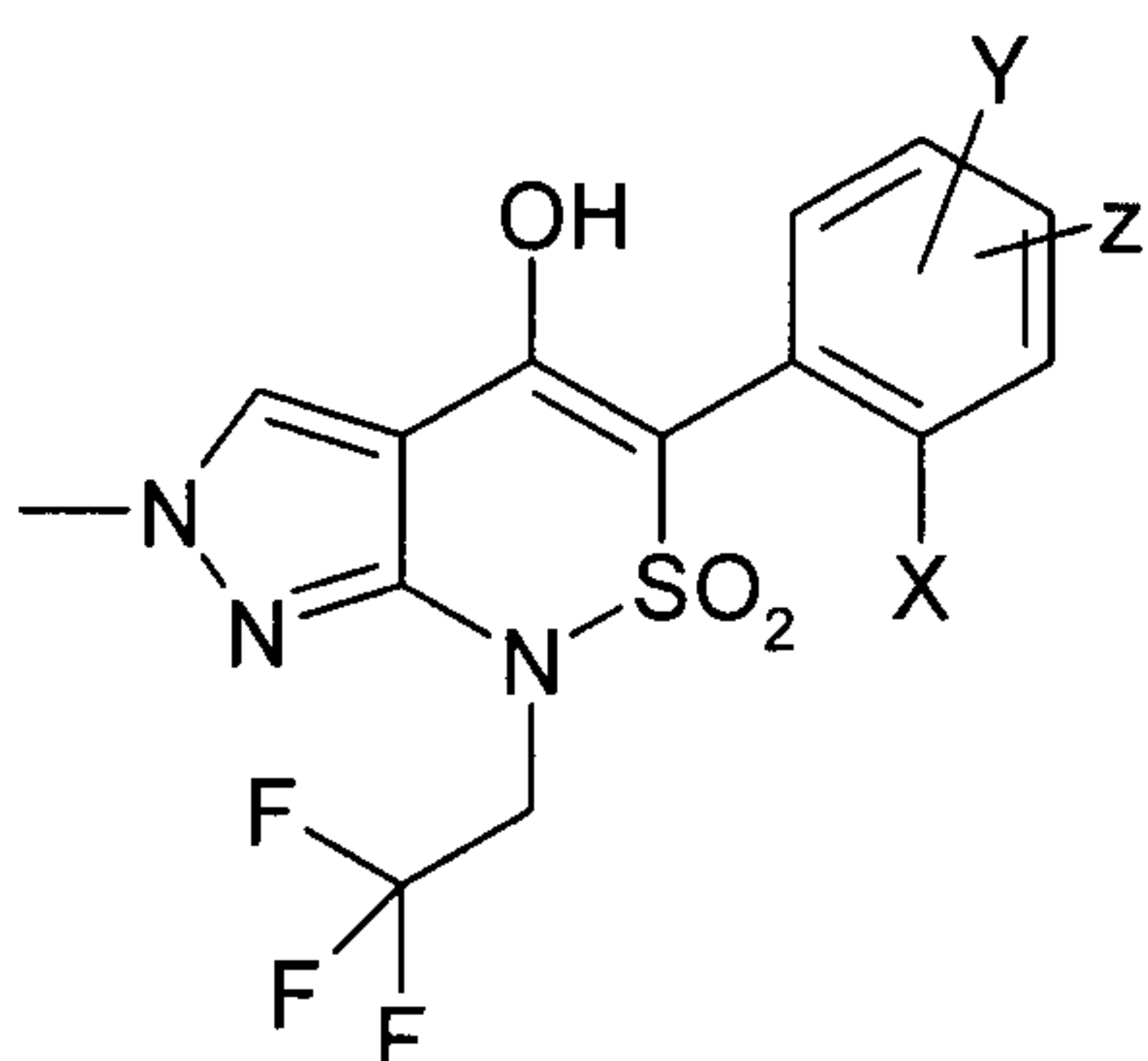


Table 40: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are
10 each as defined in table 1:

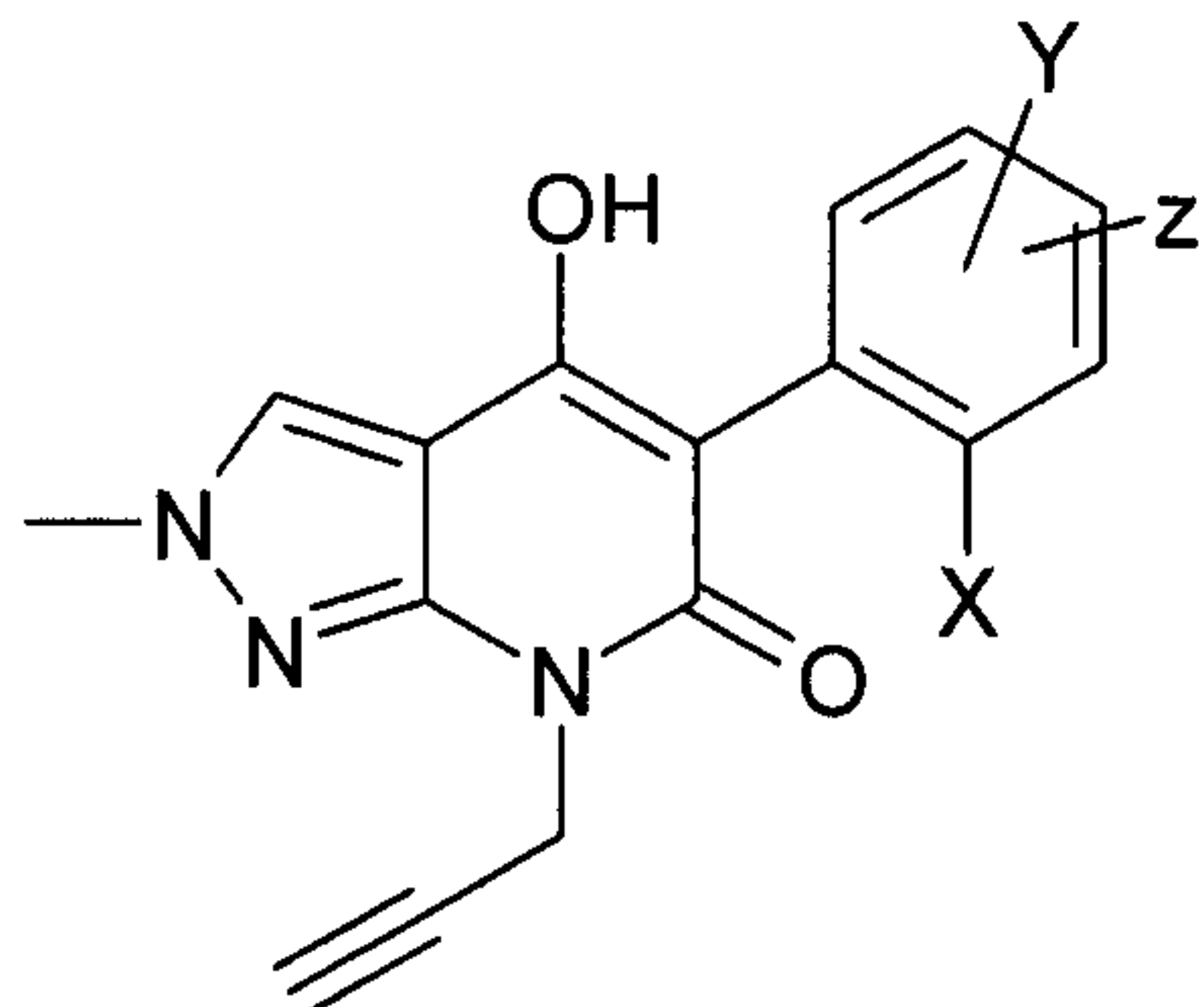


WO 2012/028582

42

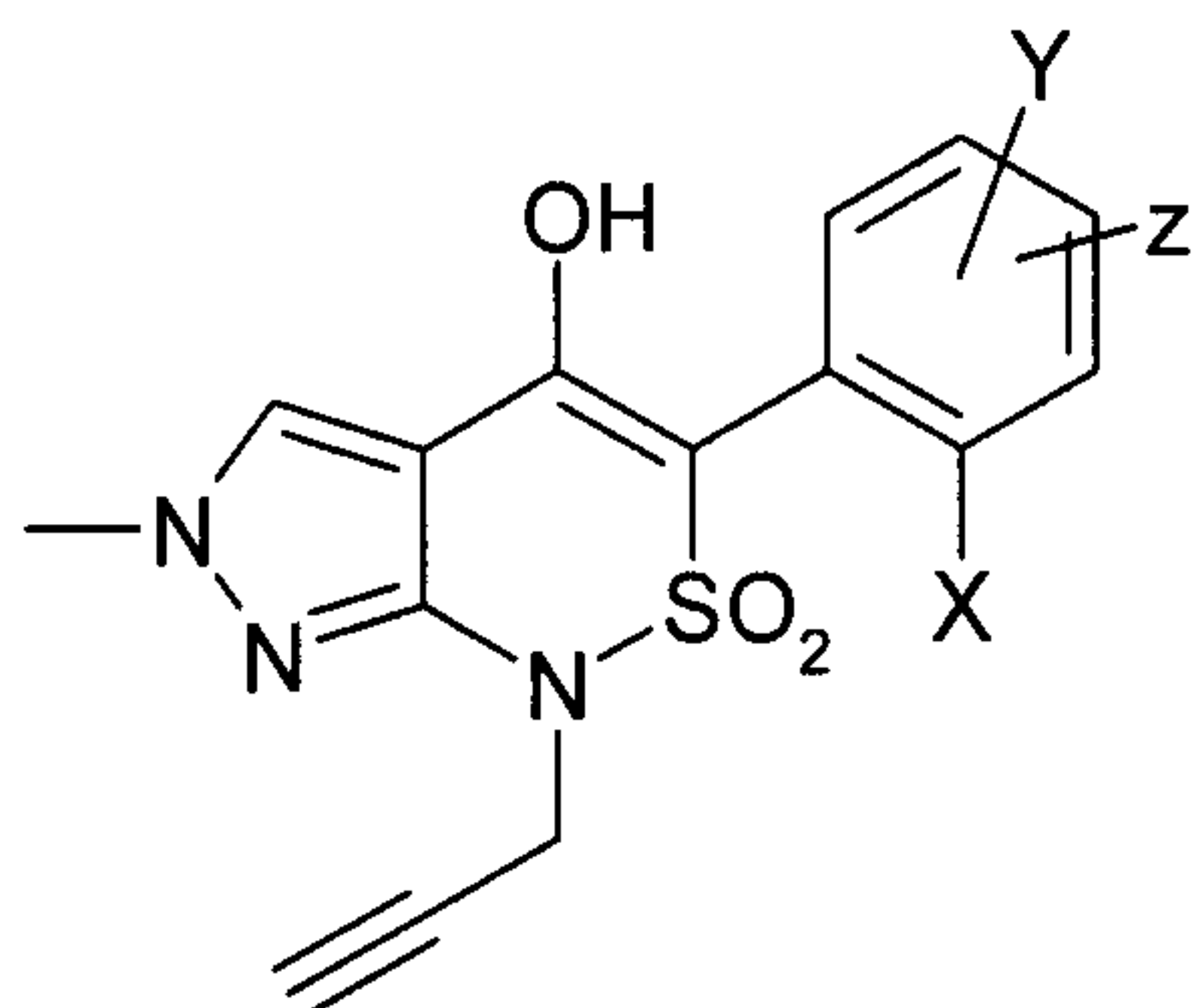
PCT/EP2011/064825

Table 41: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



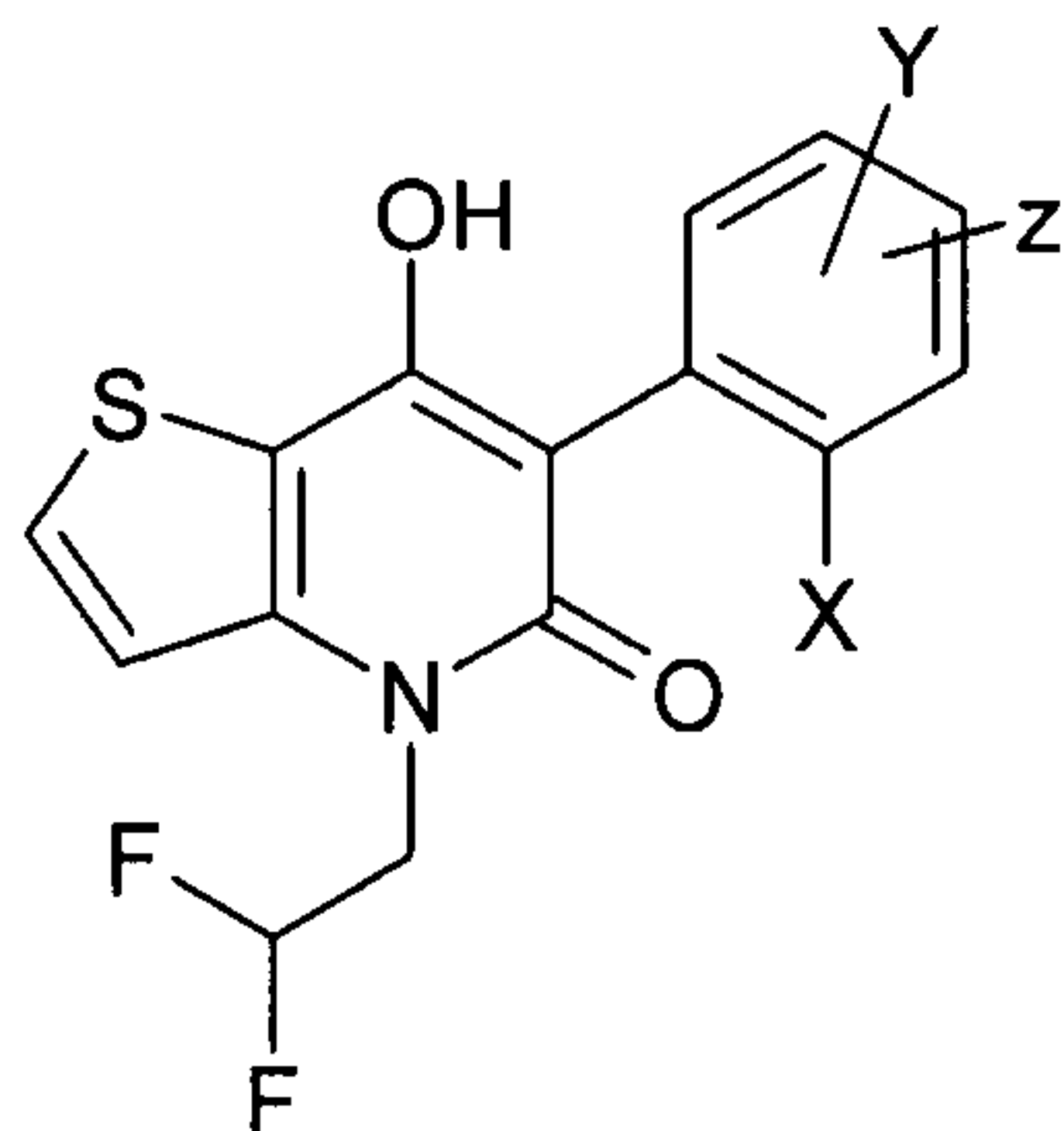
5

Table 42: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 43: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

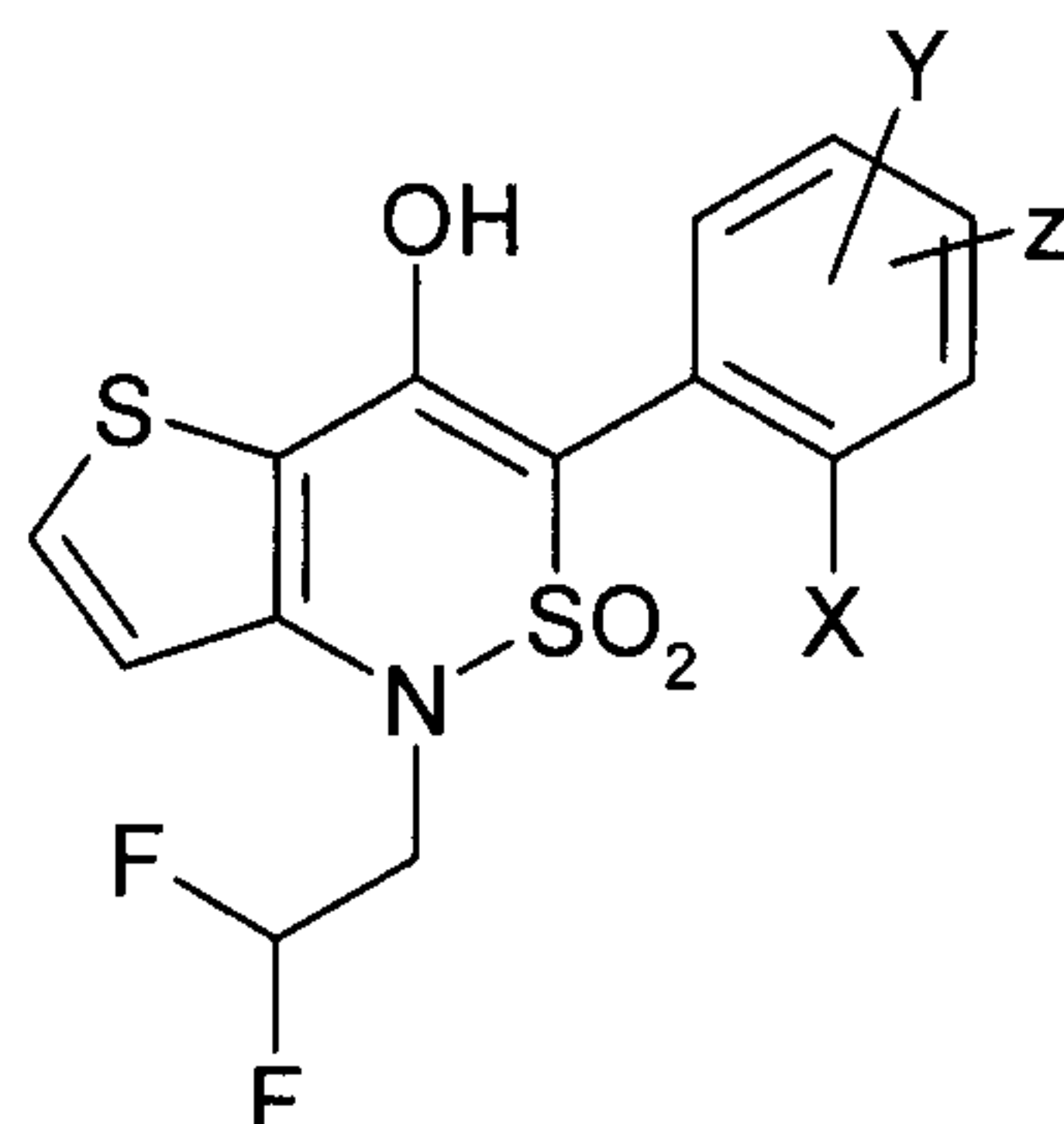


WO 2012/028582

43

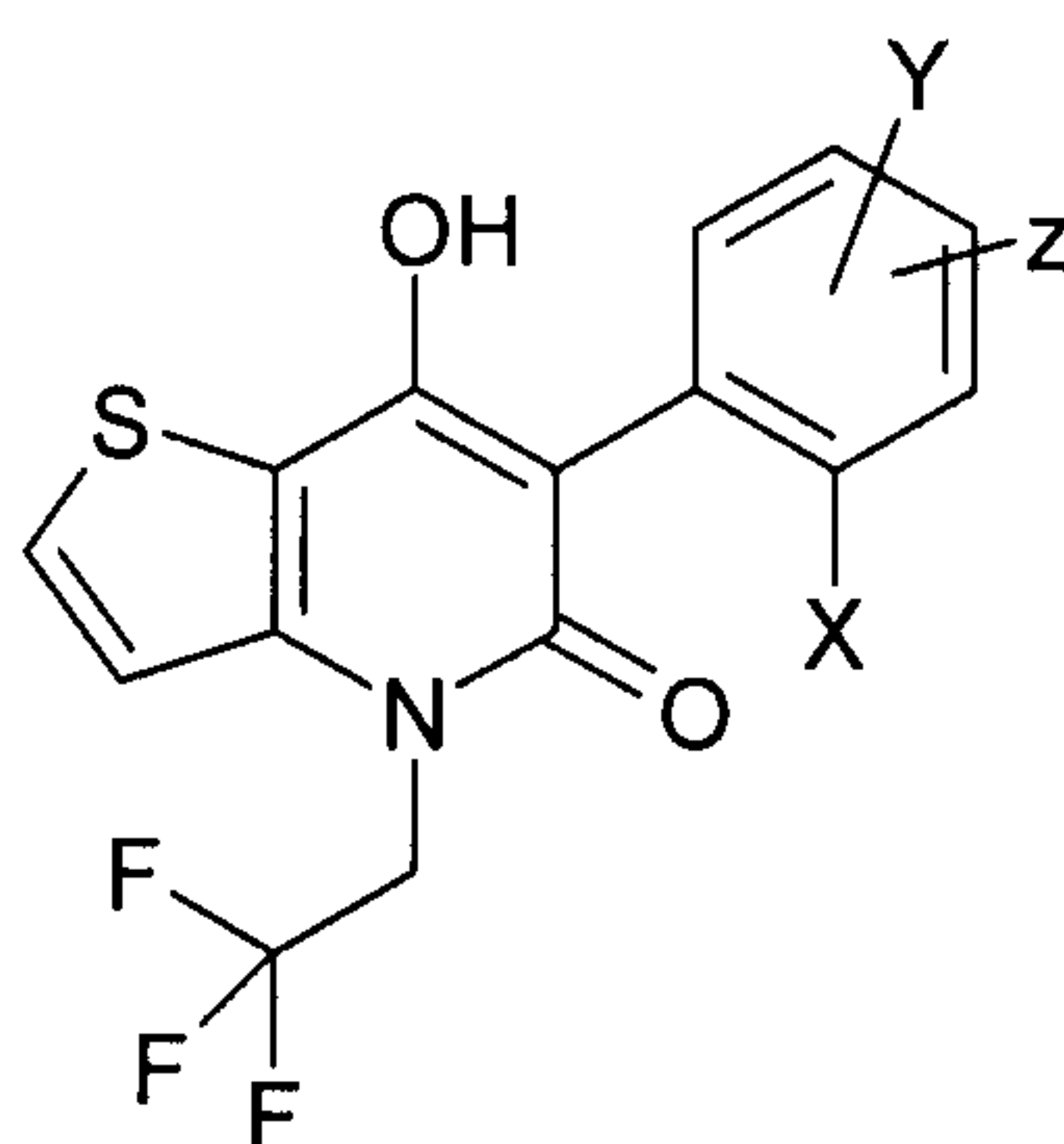
PCT/EP2011/064825

Table 44: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



5

Table 45: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 46: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

44

PCT/EP2011/064825

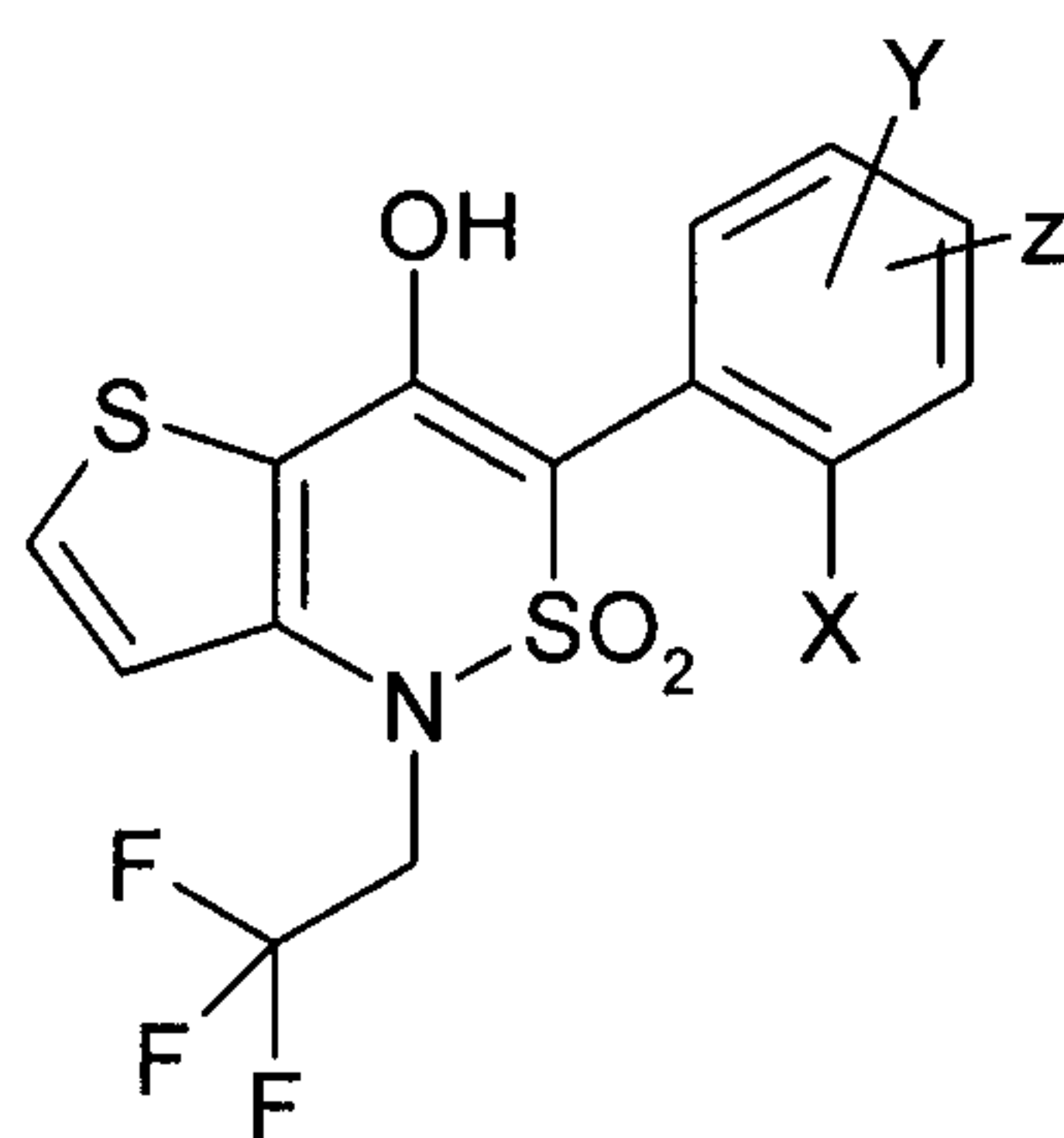


Table 47: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

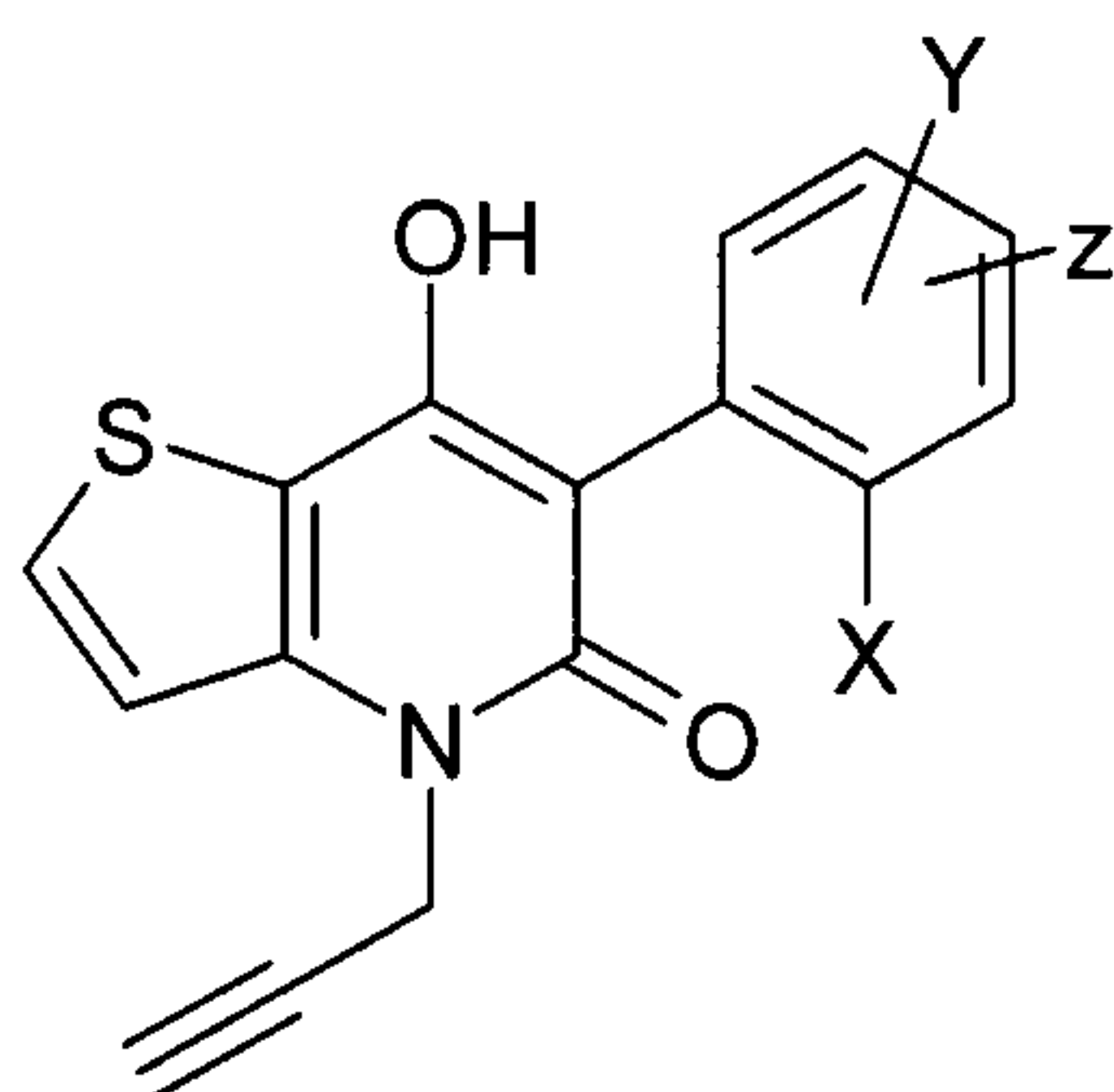


Table 48: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

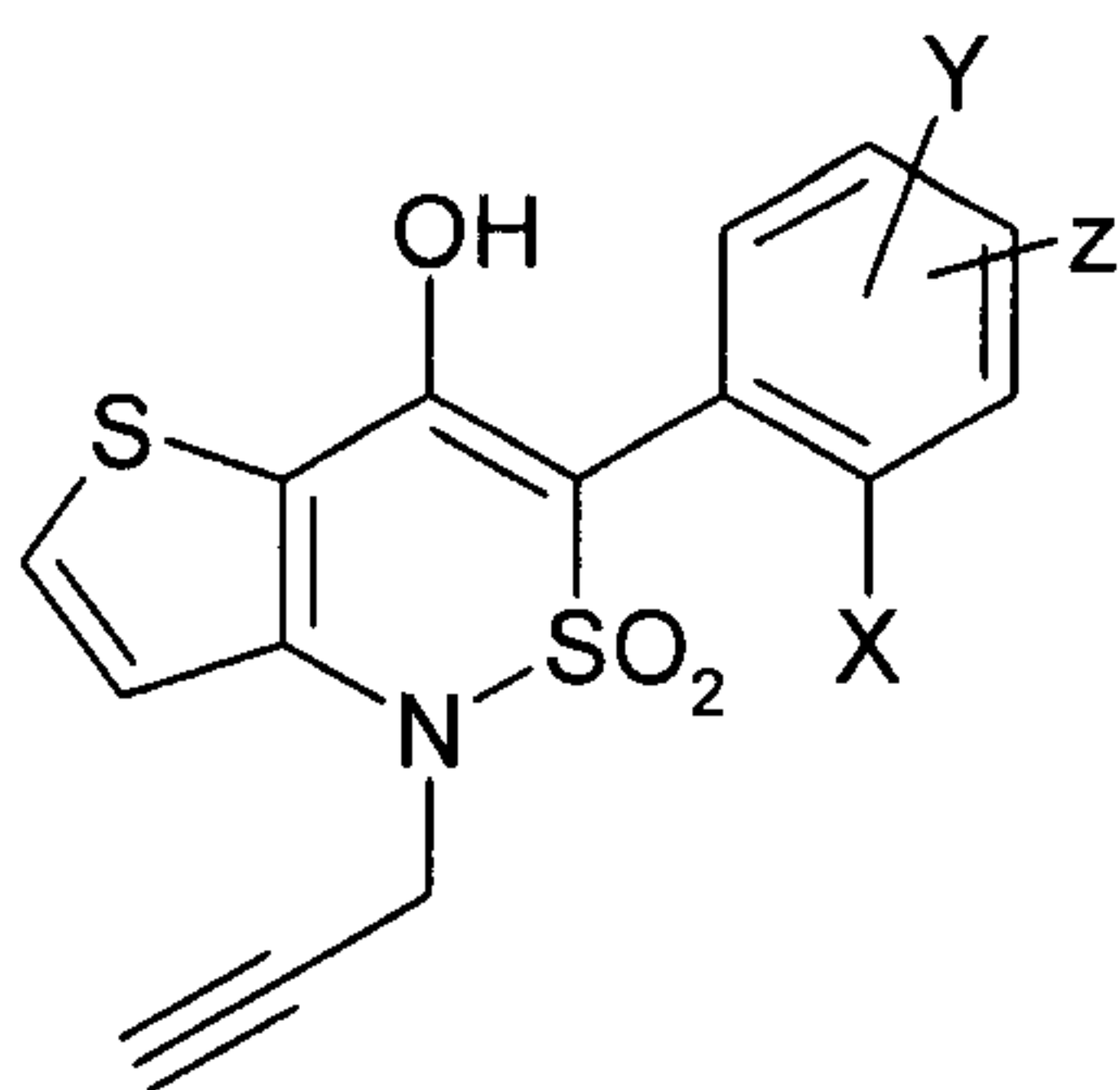


Table 49: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

45

PCT/EP2011/064825

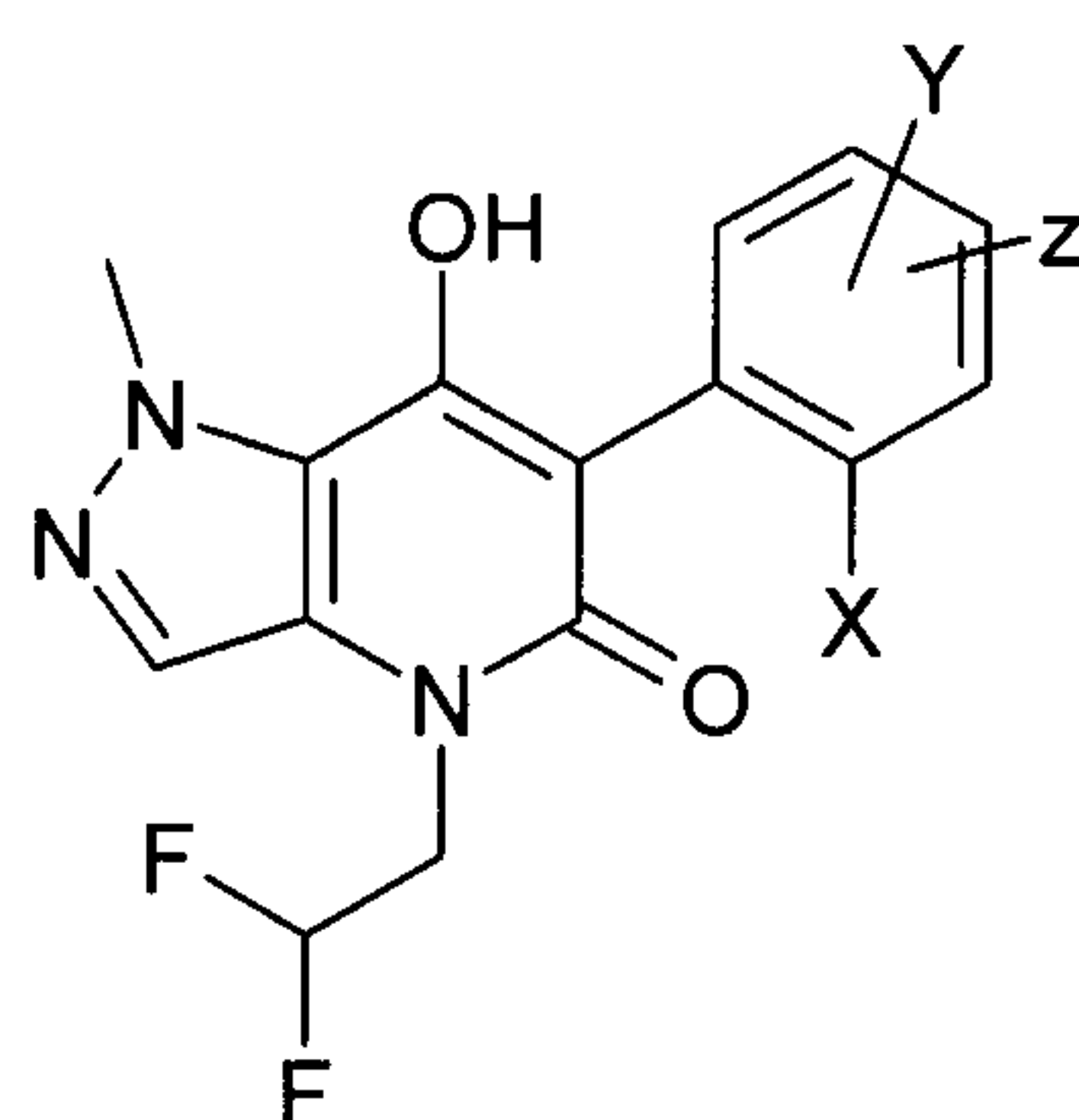


Table 50: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is difluoroethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are
 5 each as defined in table 1:

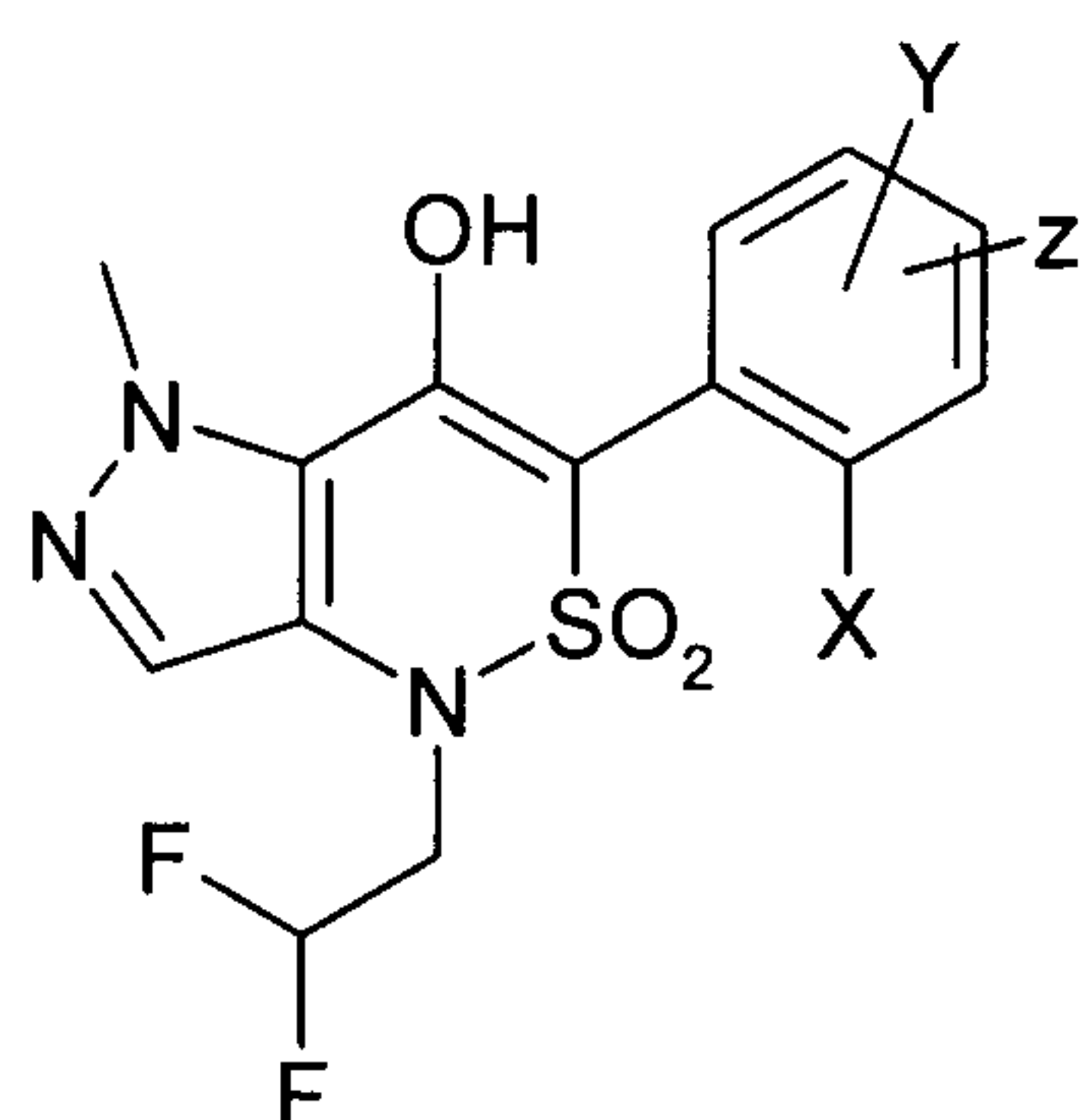
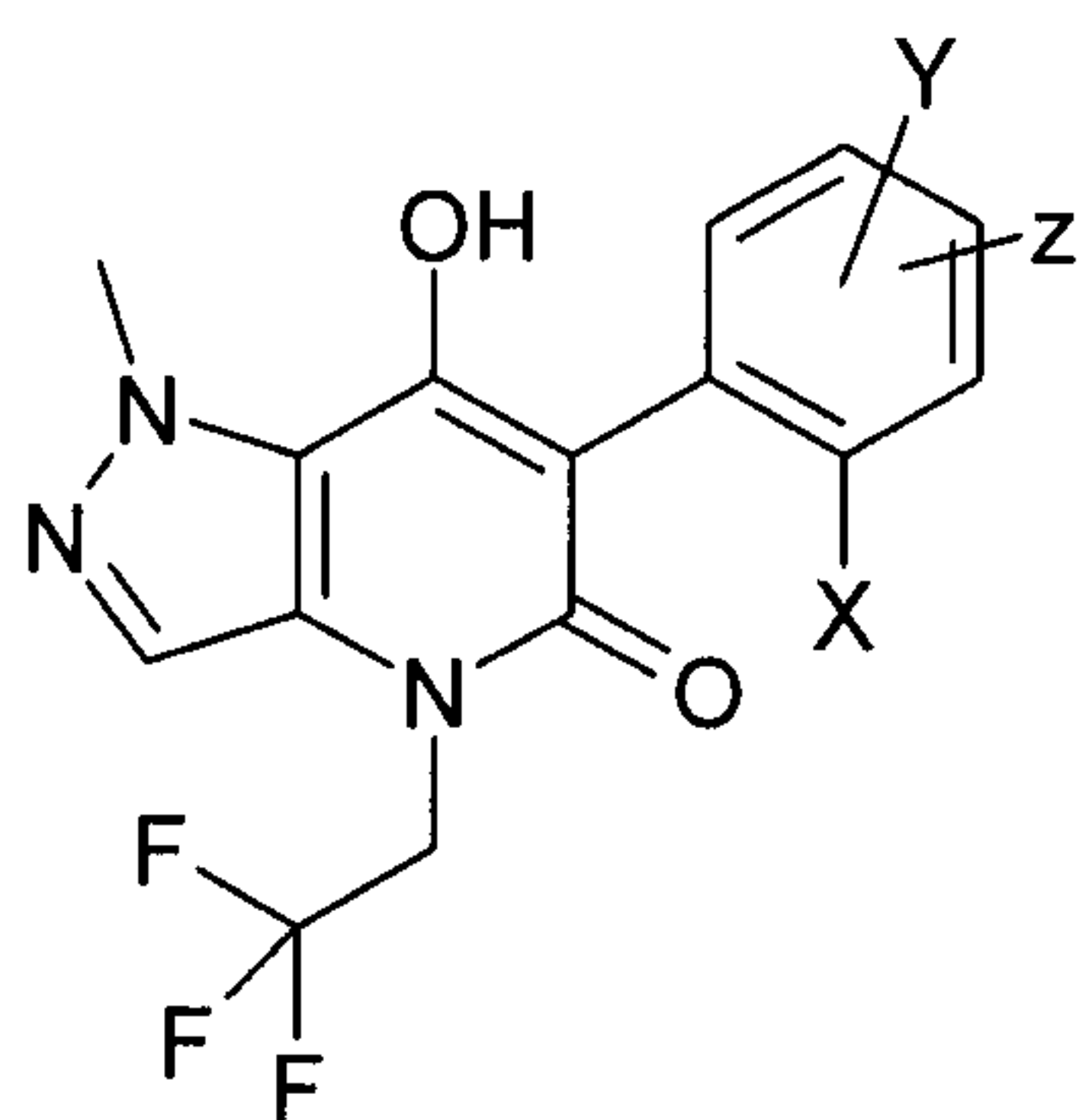


Table 51: Inventive compounds of the formula (I) in which G is hydrogen, V is
 C=O, W is trifluoroethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are
 10 each as defined in table 1:

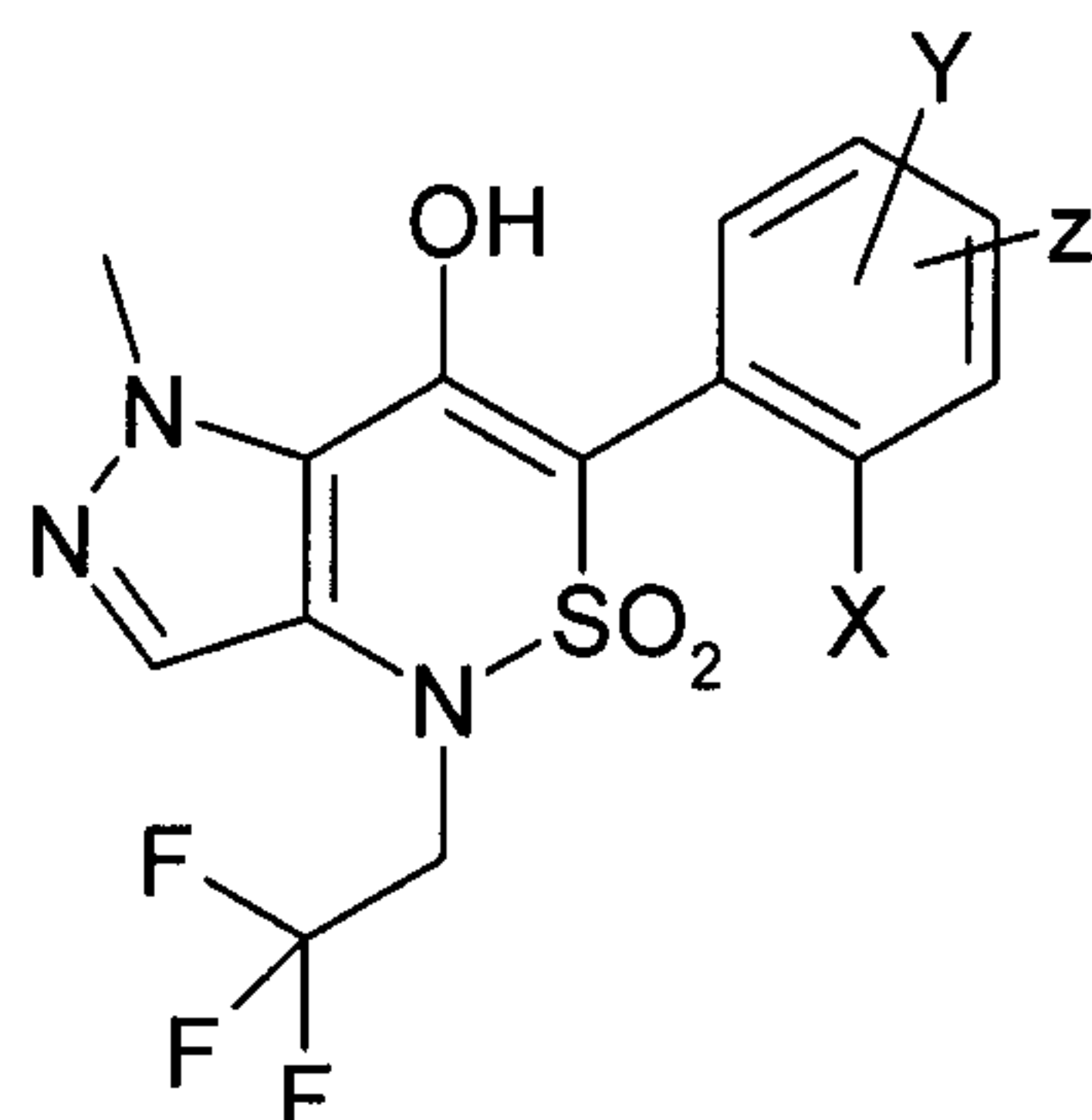


WO 2012/028582

46

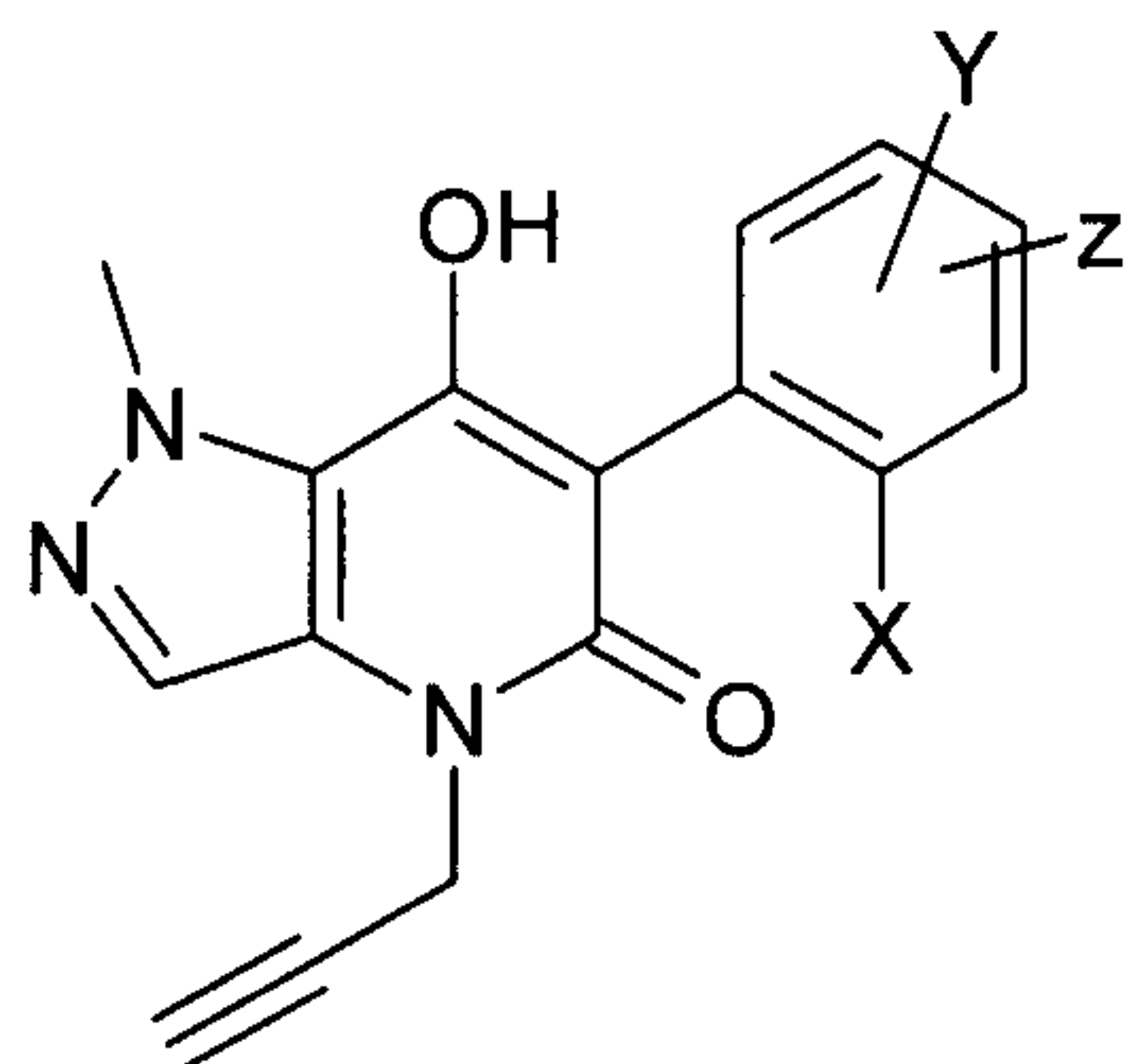
PCT/EP2011/064825

Table 52: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



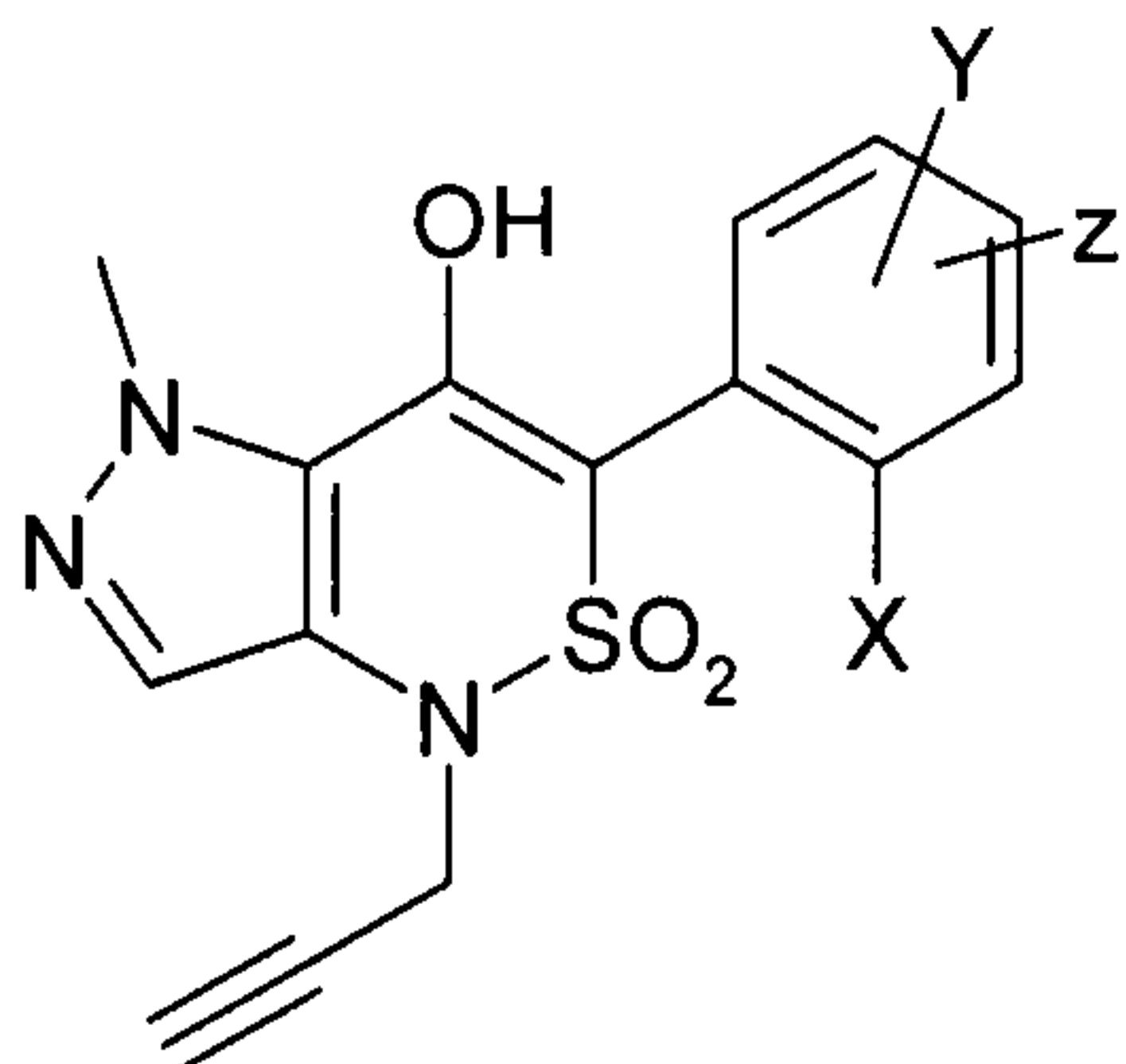
5

Table 53: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 54: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



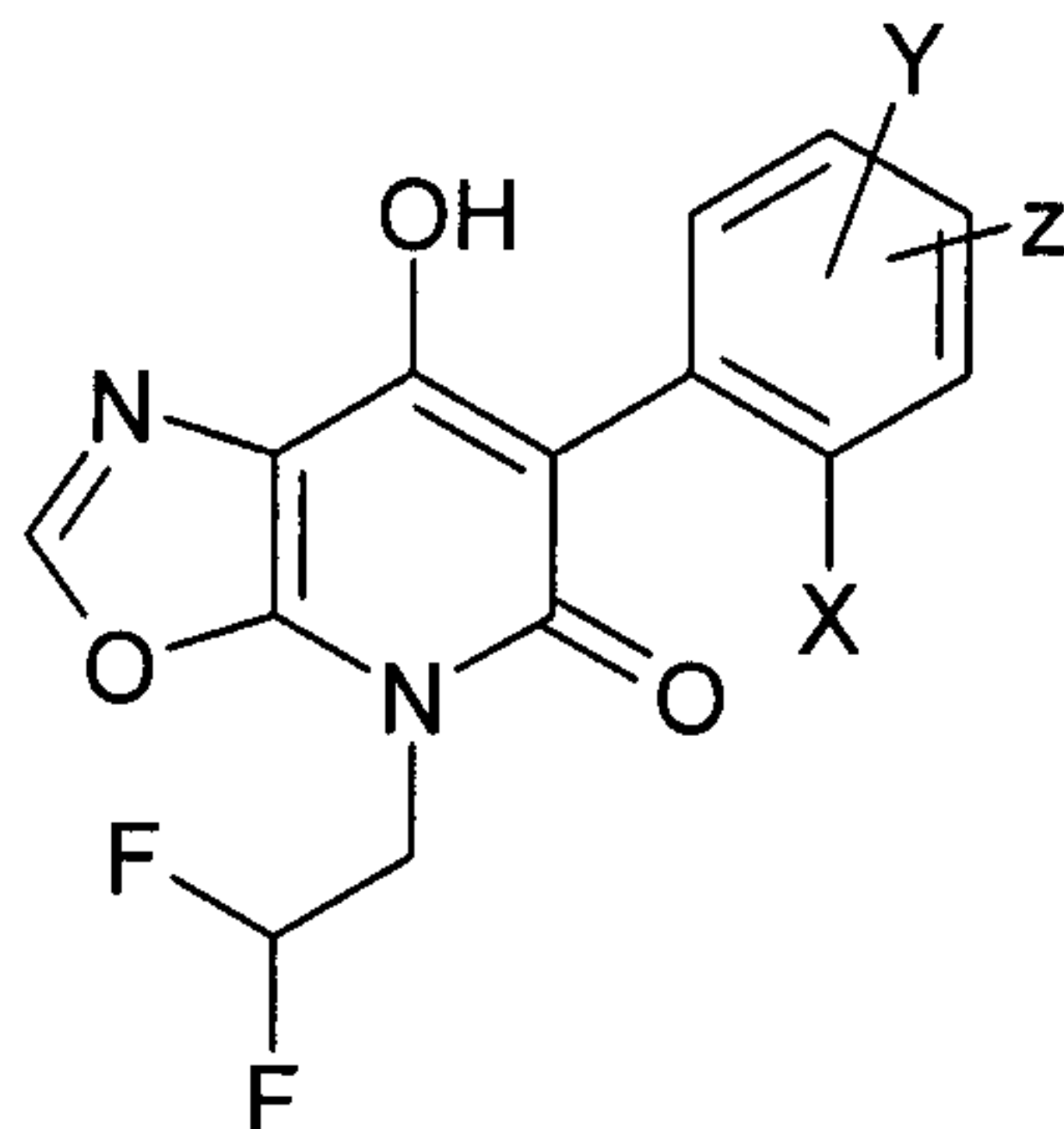
15

WO 2012/028582

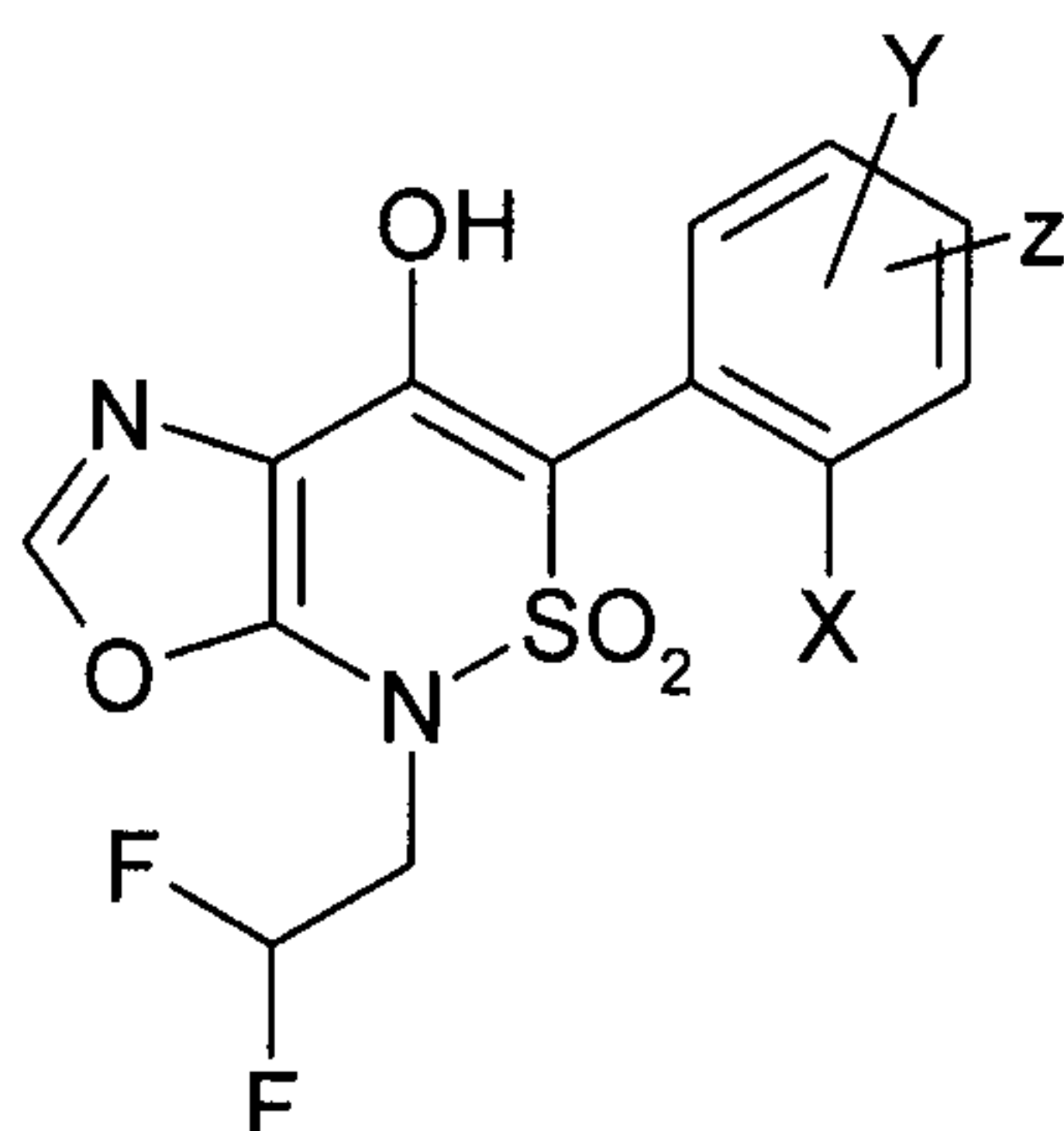
47

PCT/EP2011/064825

Table 55: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



- 5 Table 56: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



- 10 Table 57: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

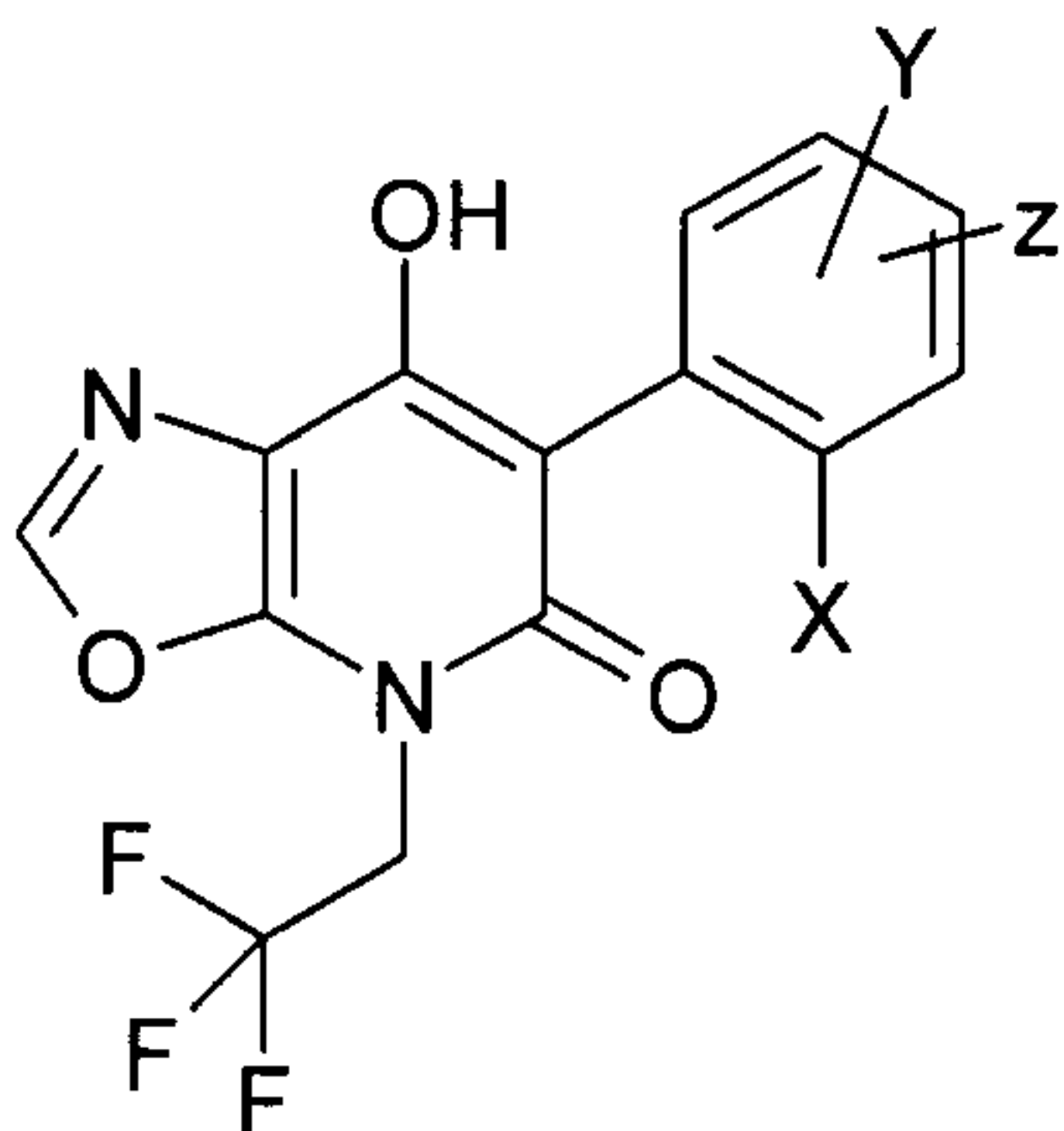
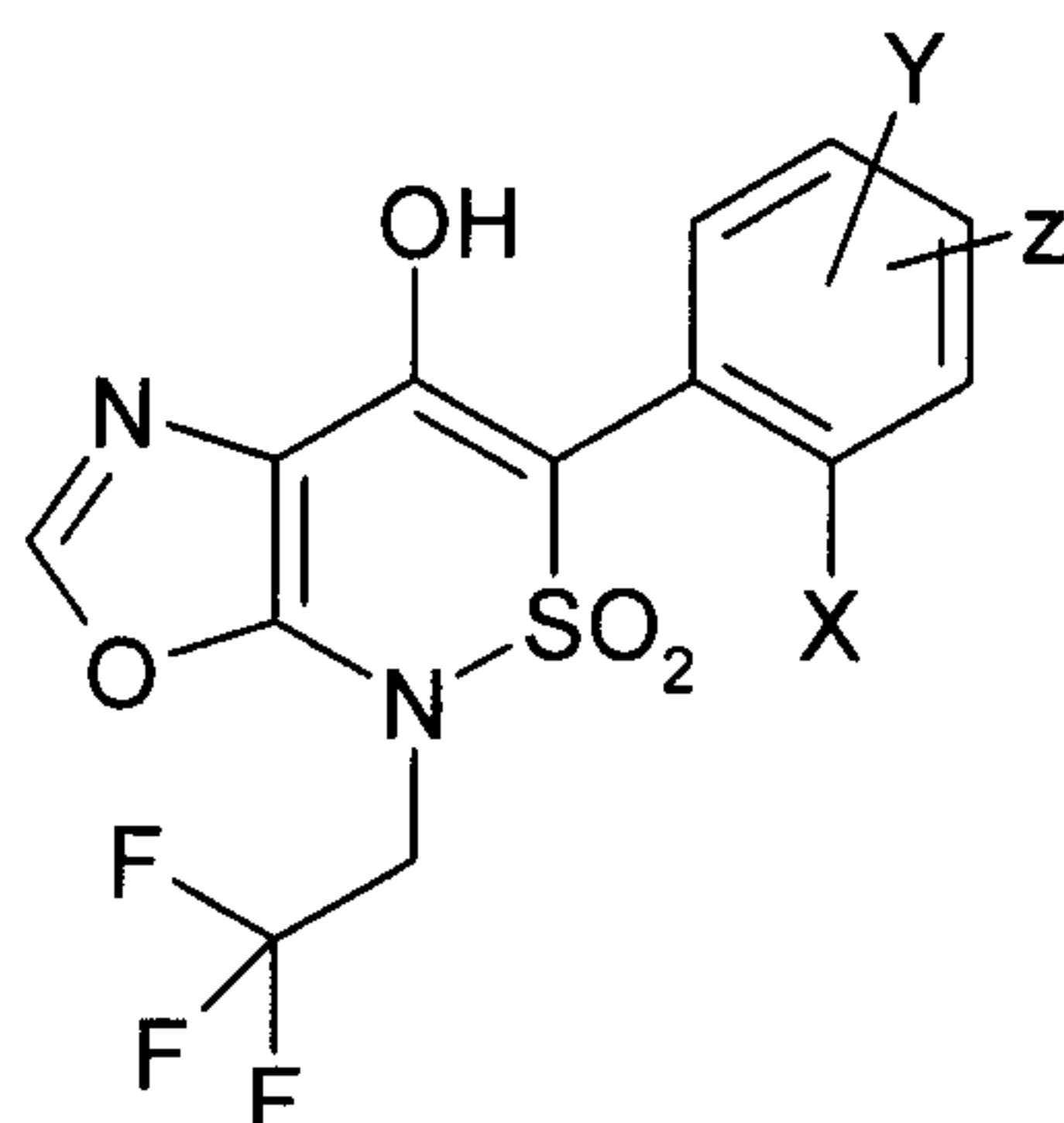
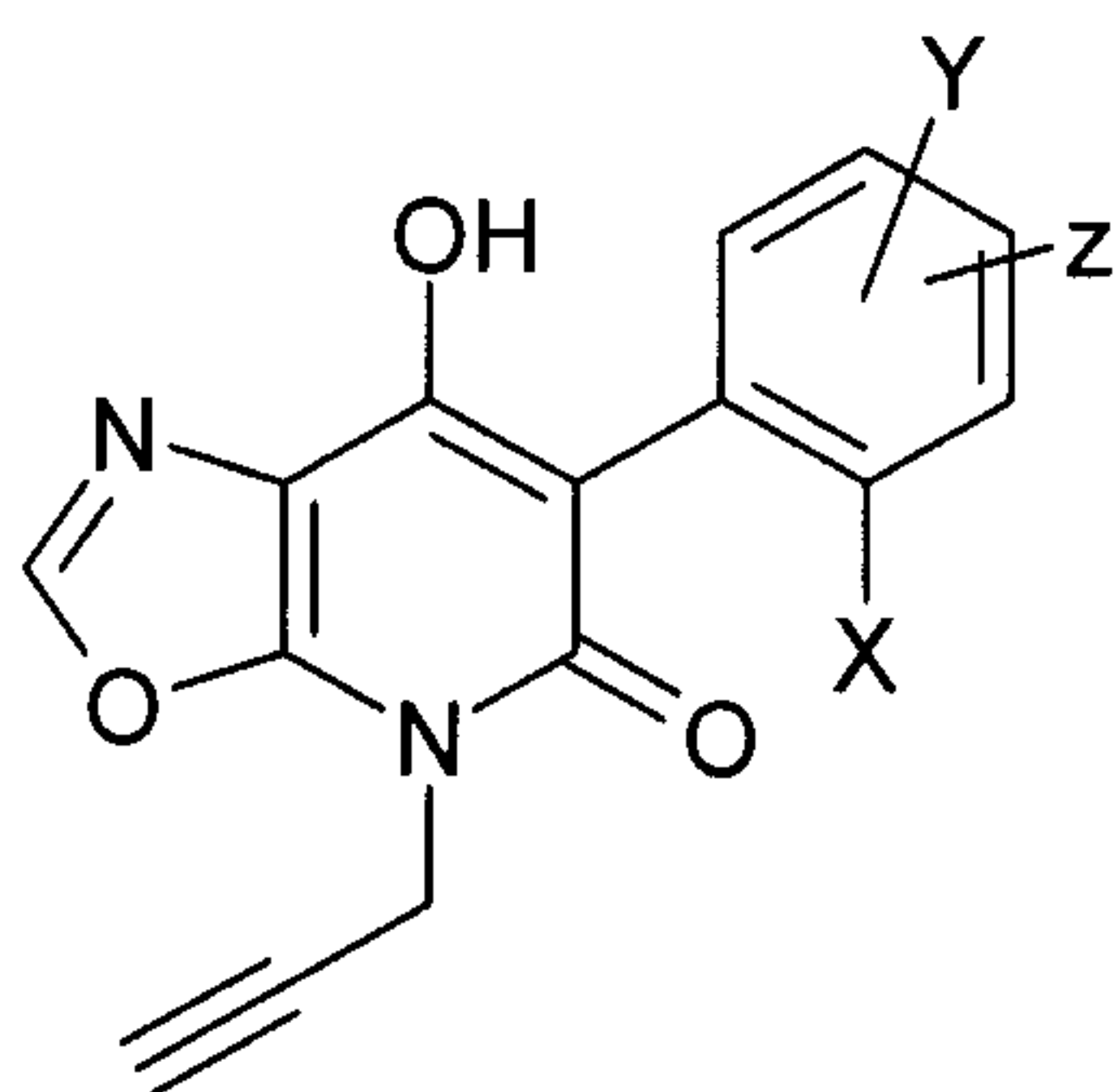


Table 58: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



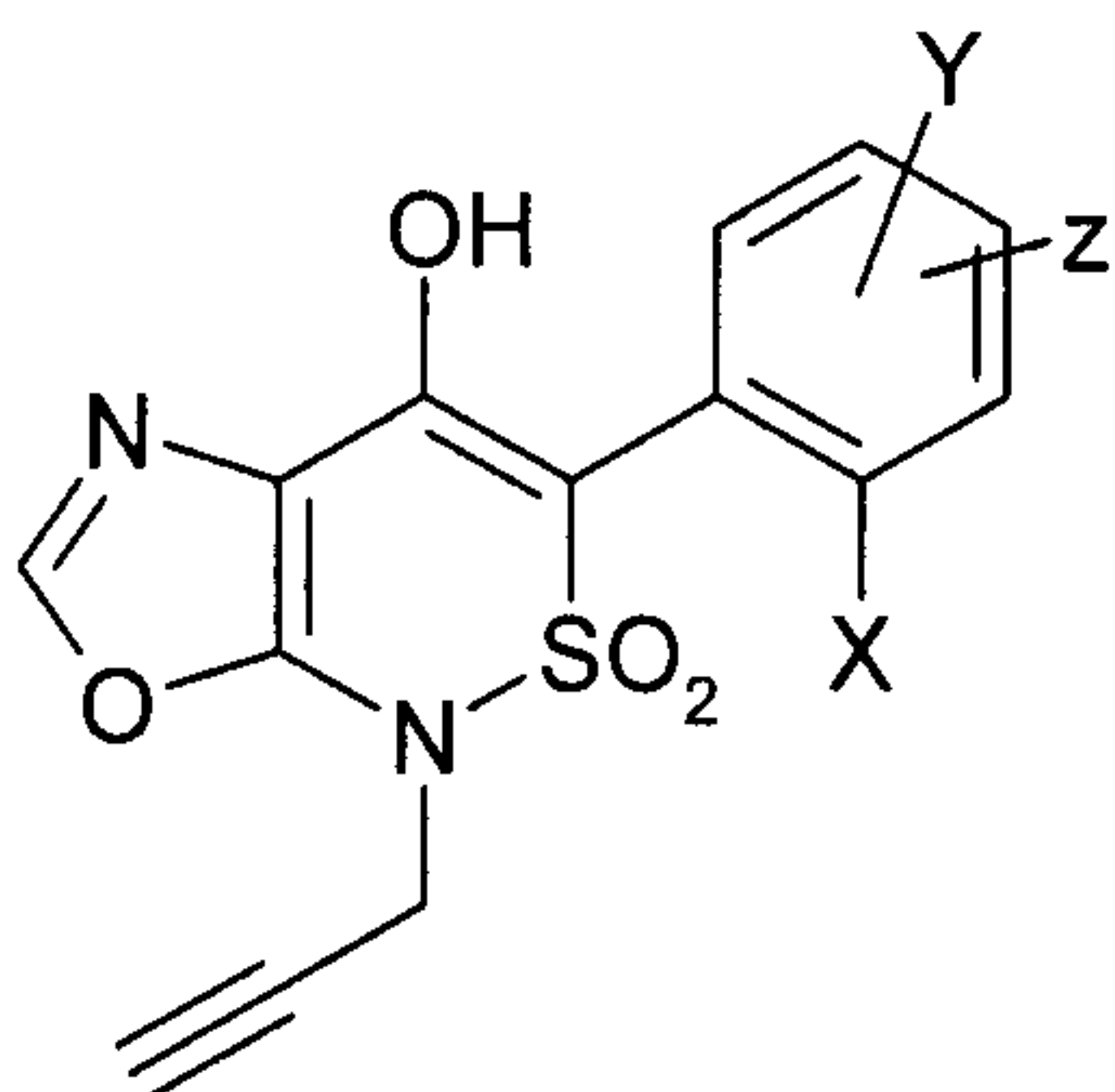
5

Table 59: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



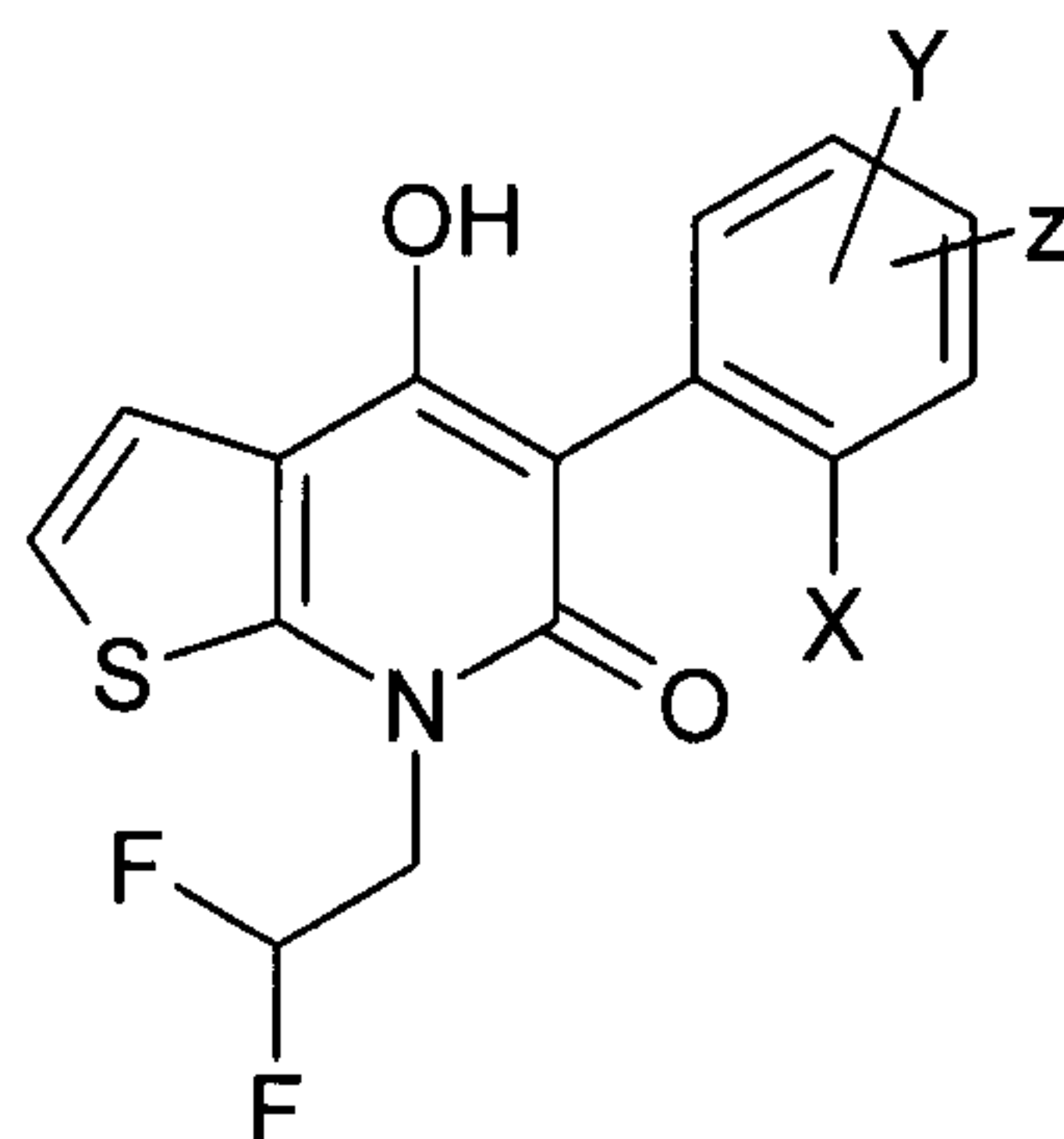
10

Table 60: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



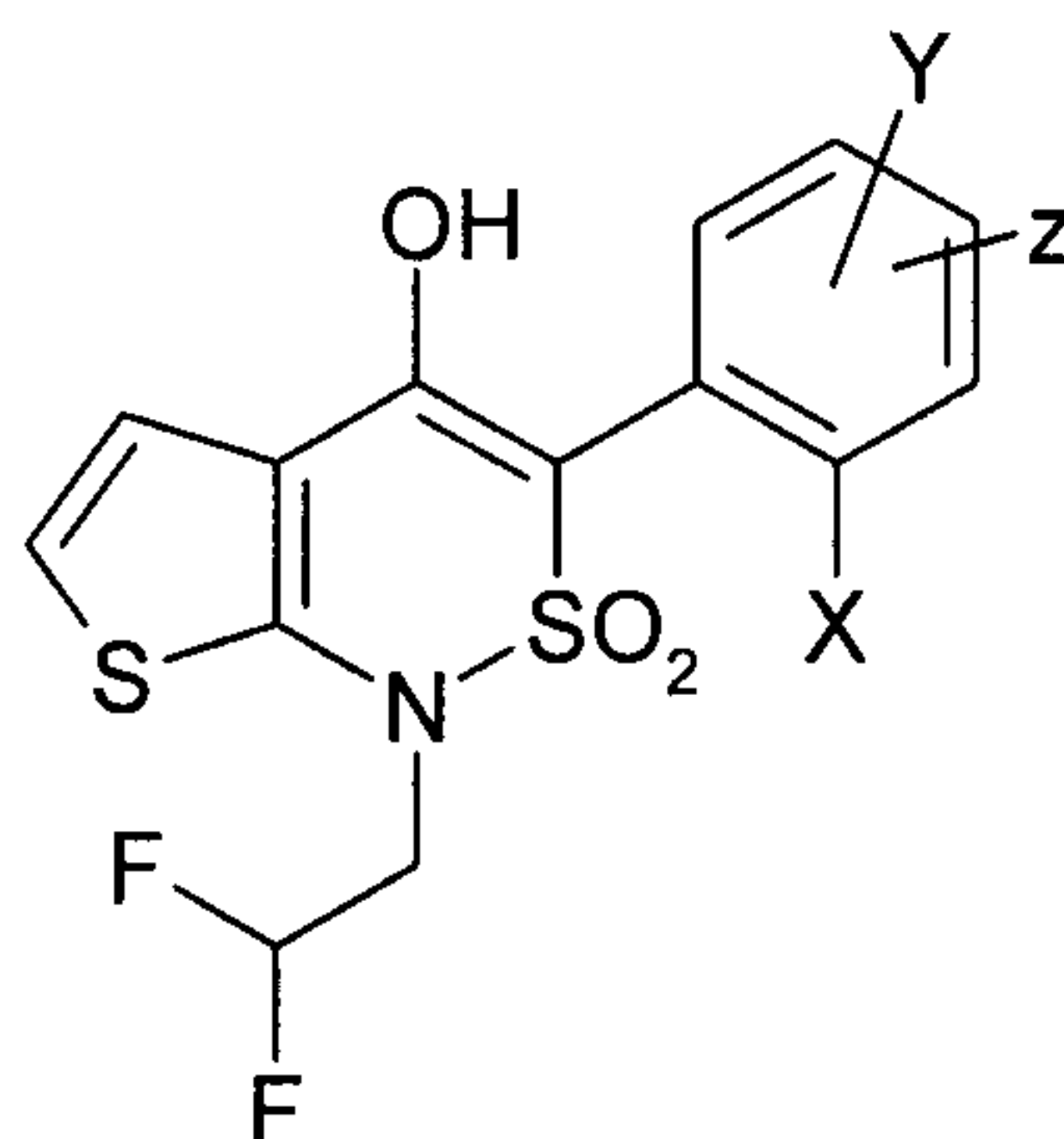
15

Table 61: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is difluoroethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



5

Table 62: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is difluoroethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 63: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

50

PCT/EP2011/064825

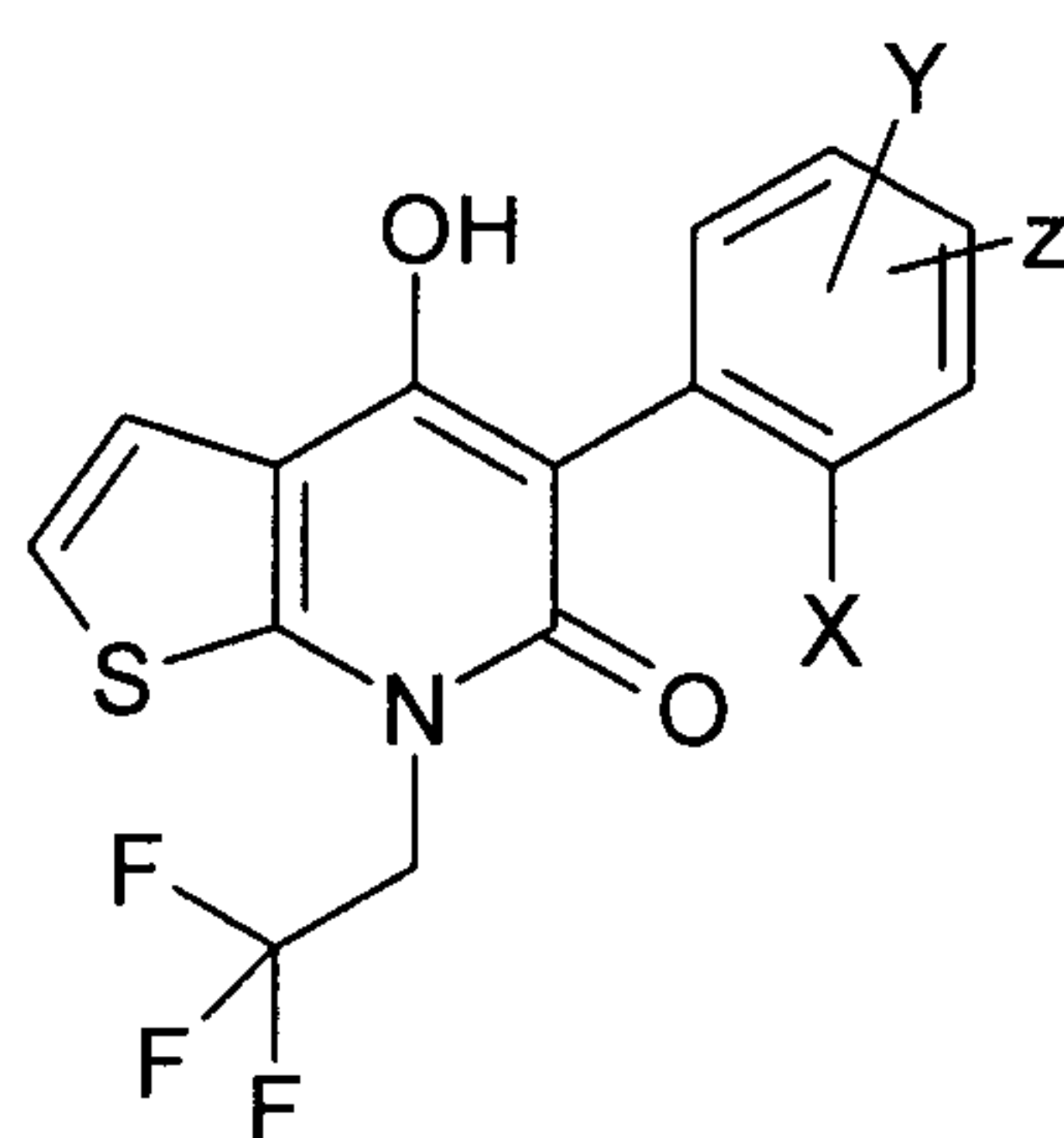


Table 64: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is trifluoroethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are
 5 each as defined in table 1:

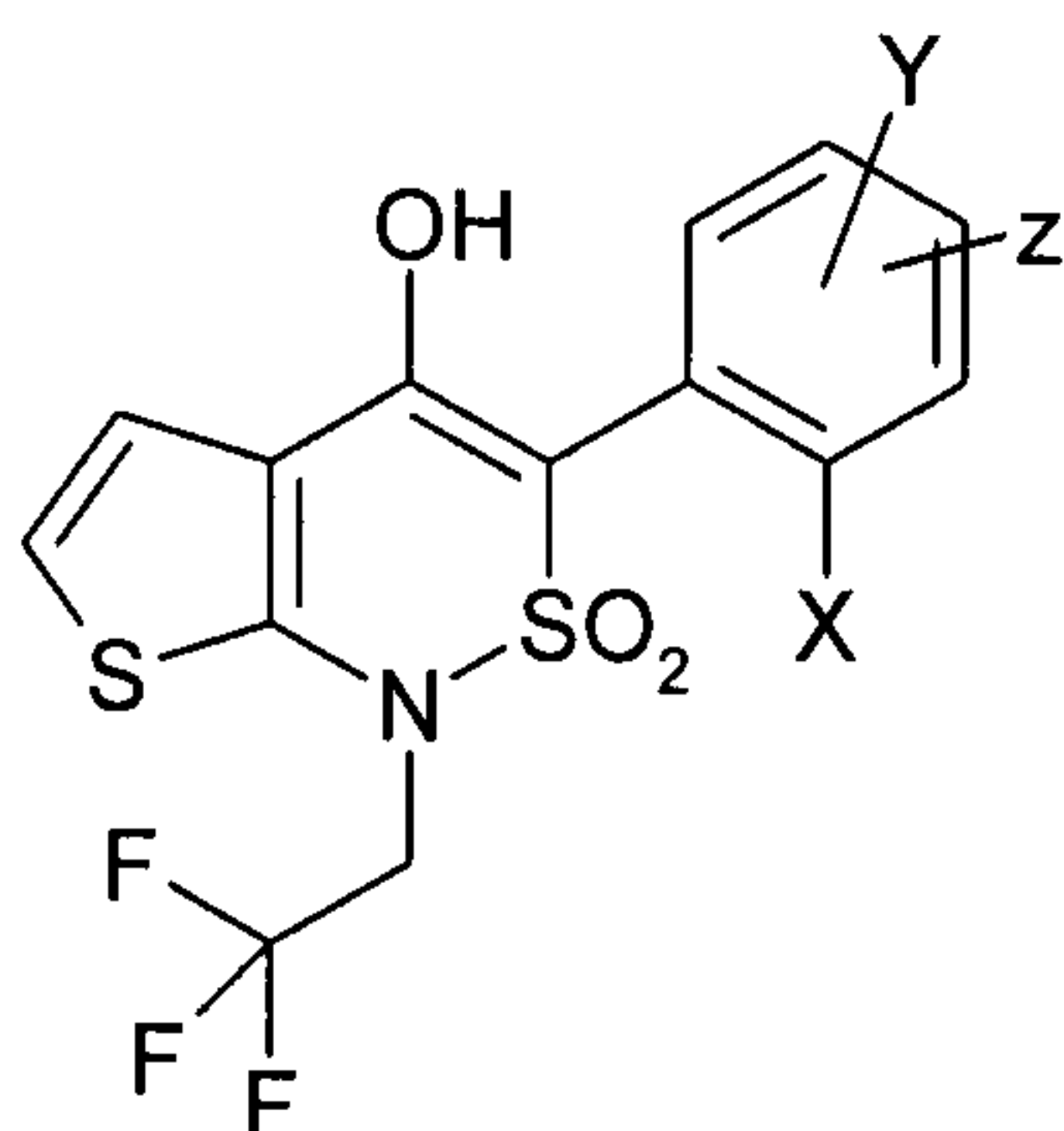
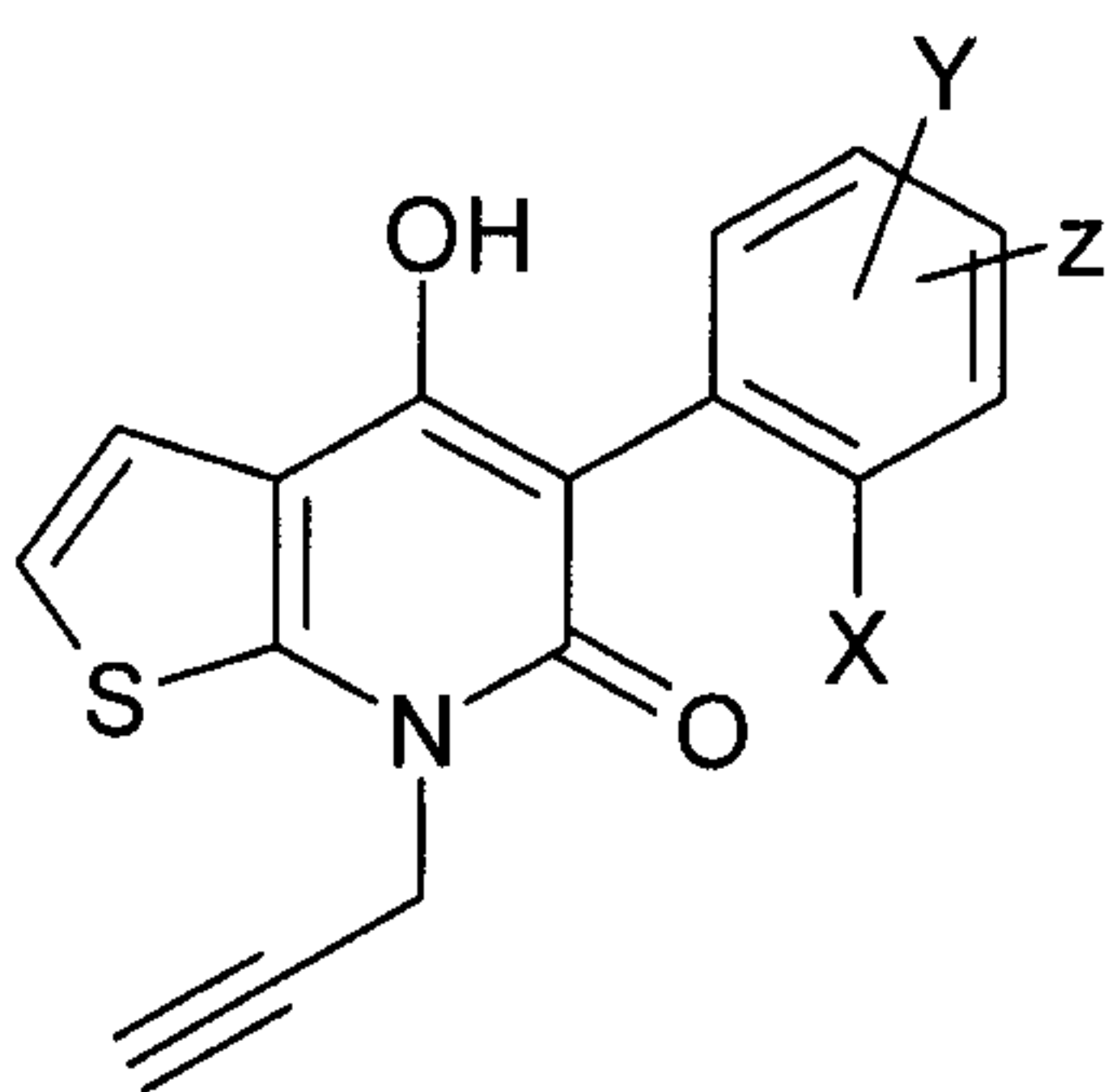


Table 65: Inventive compounds of the formula (I) in which G is hydrogen, V is
 C=O, W is propynyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are
 10 each as defined in table 1:

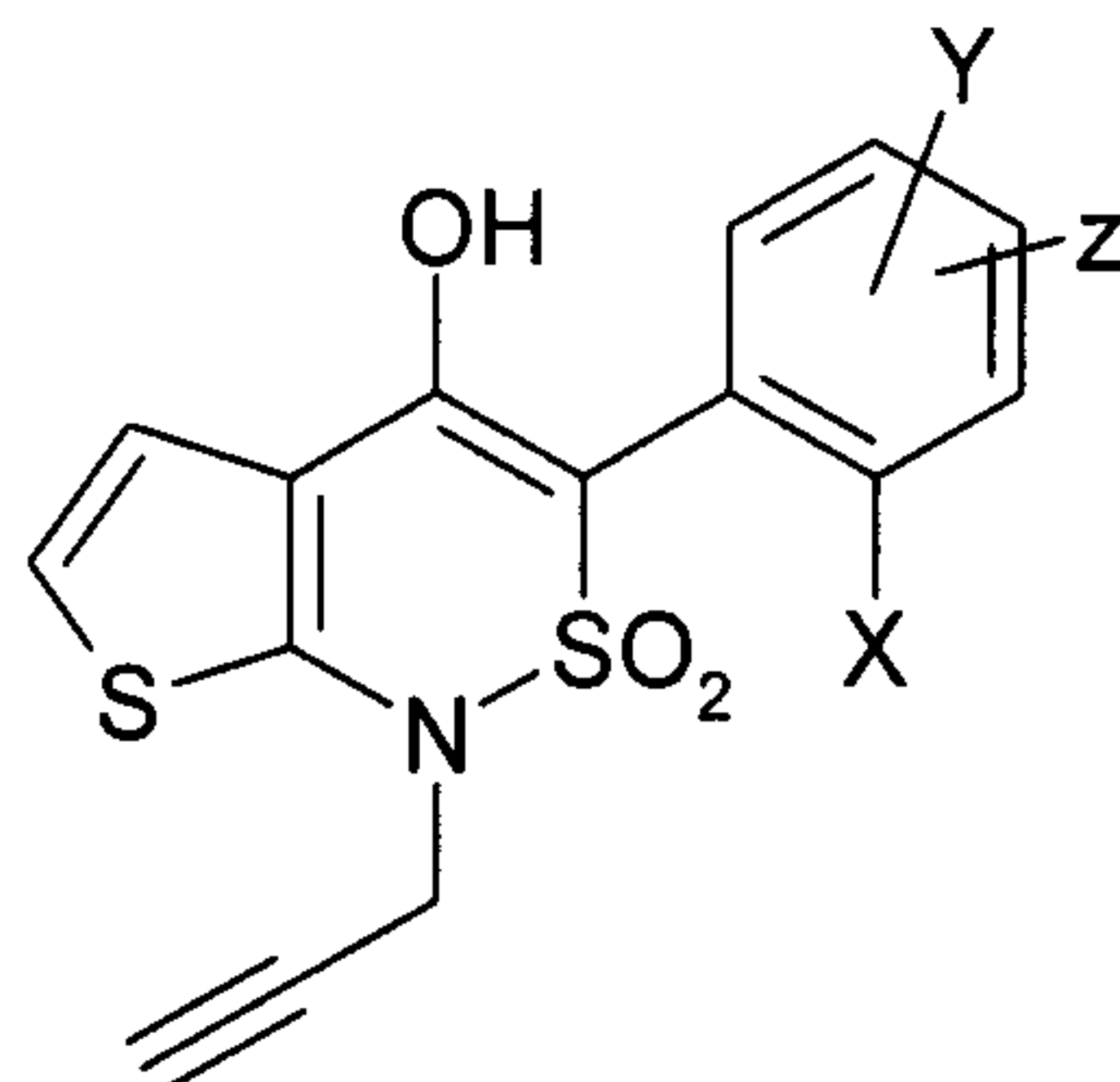


WO 2012/028582

51

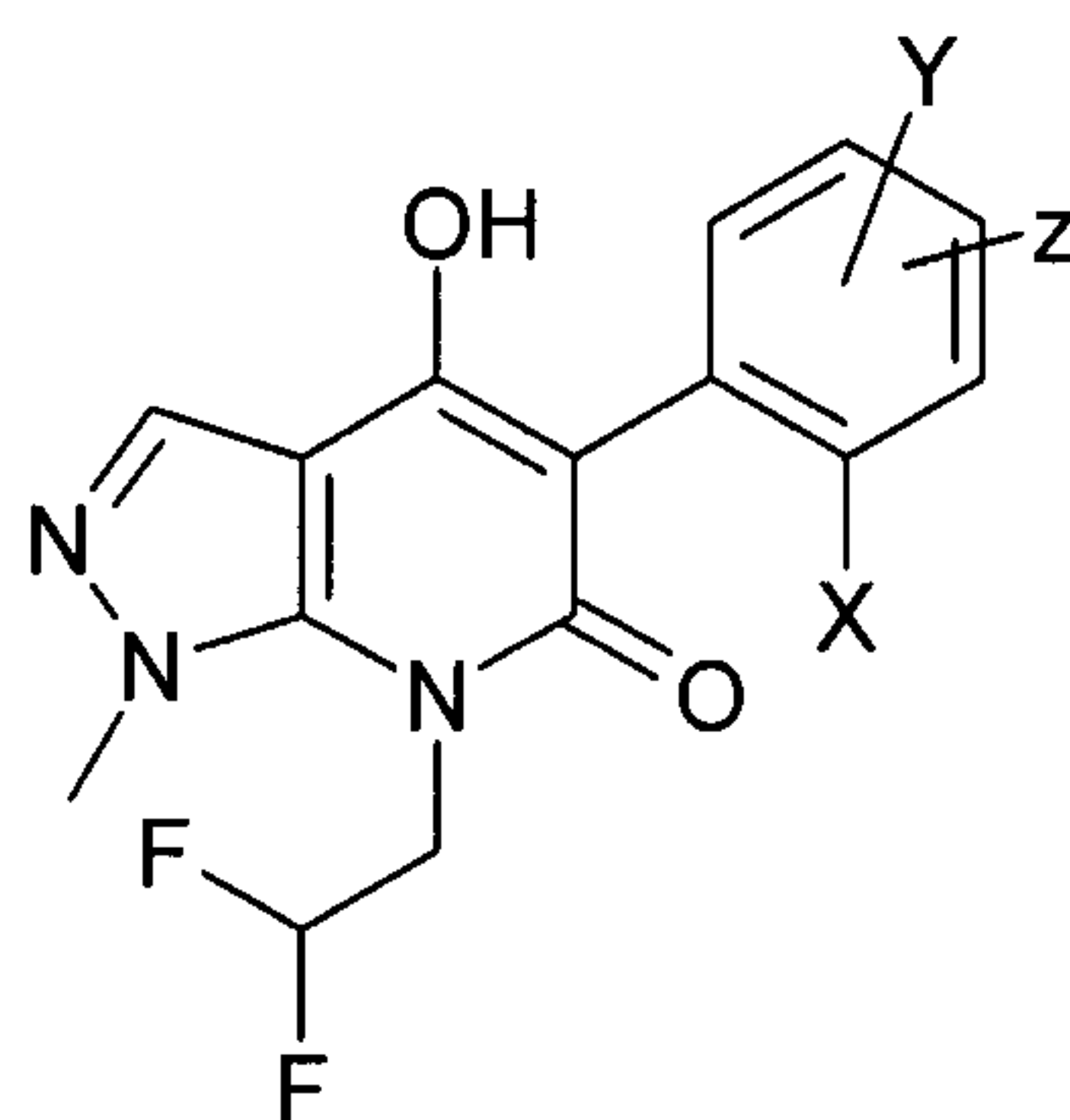
PCT/EP2011/064825

Table 66: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is propynyl, A is A10, R^{12} and R^{13} are each hydrogen, and X, Y and Z are each as defined in table 1:



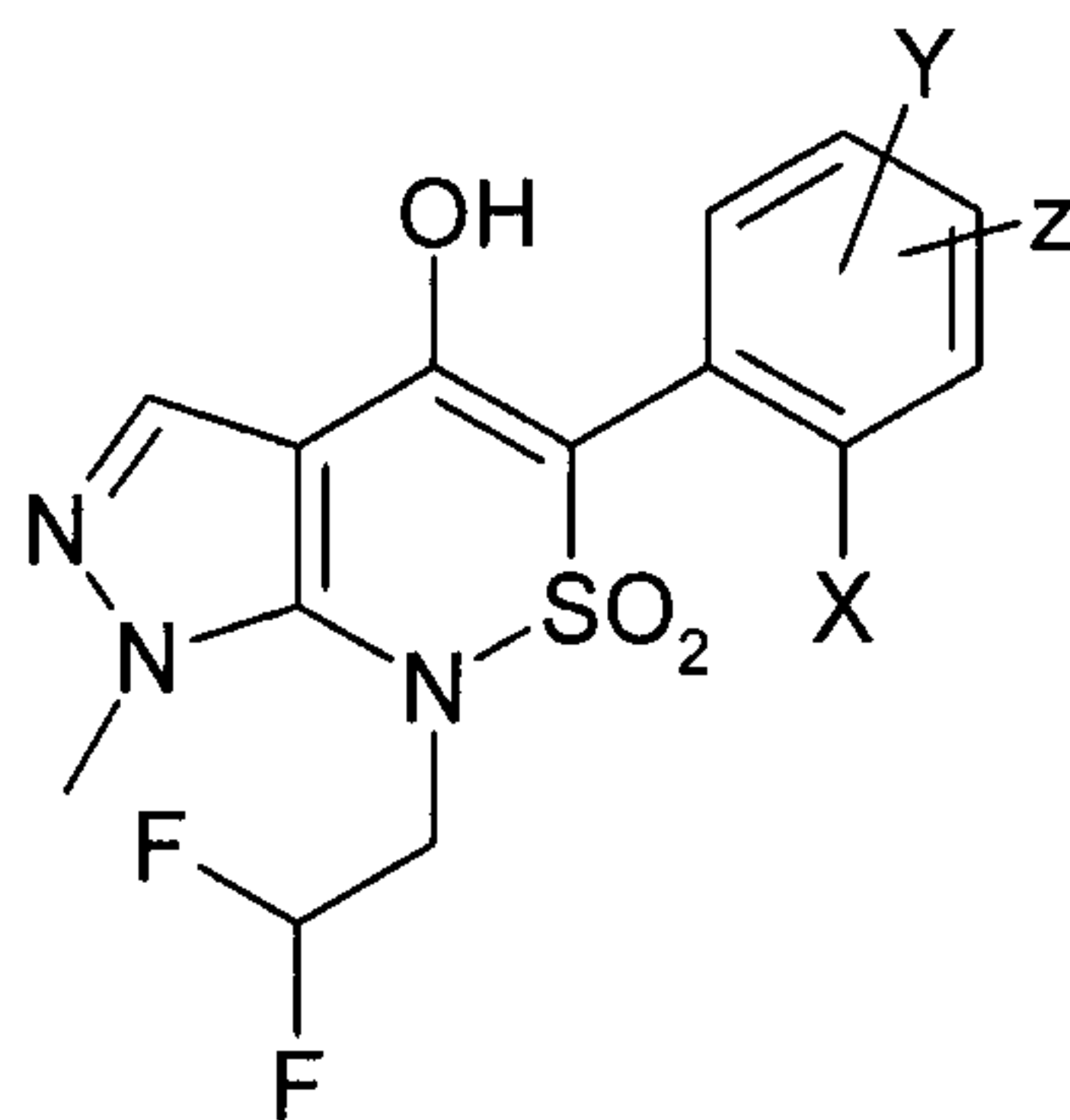
5

Table 67: Inventive compounds of the formula (I) in which G is hydrogen, V is $\text{C}=\text{O}$, W is difluoroethyl, A is A11, R^{12} is hydrogen, R^{14} is methyl, and X, Y and Z are each as defined in table 1:



10

Table 68: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is difluoroethyl, A is A11, R^{12} is hydrogen, R^{14} is methyl, and X, Y and Z are each as defined in table 1:

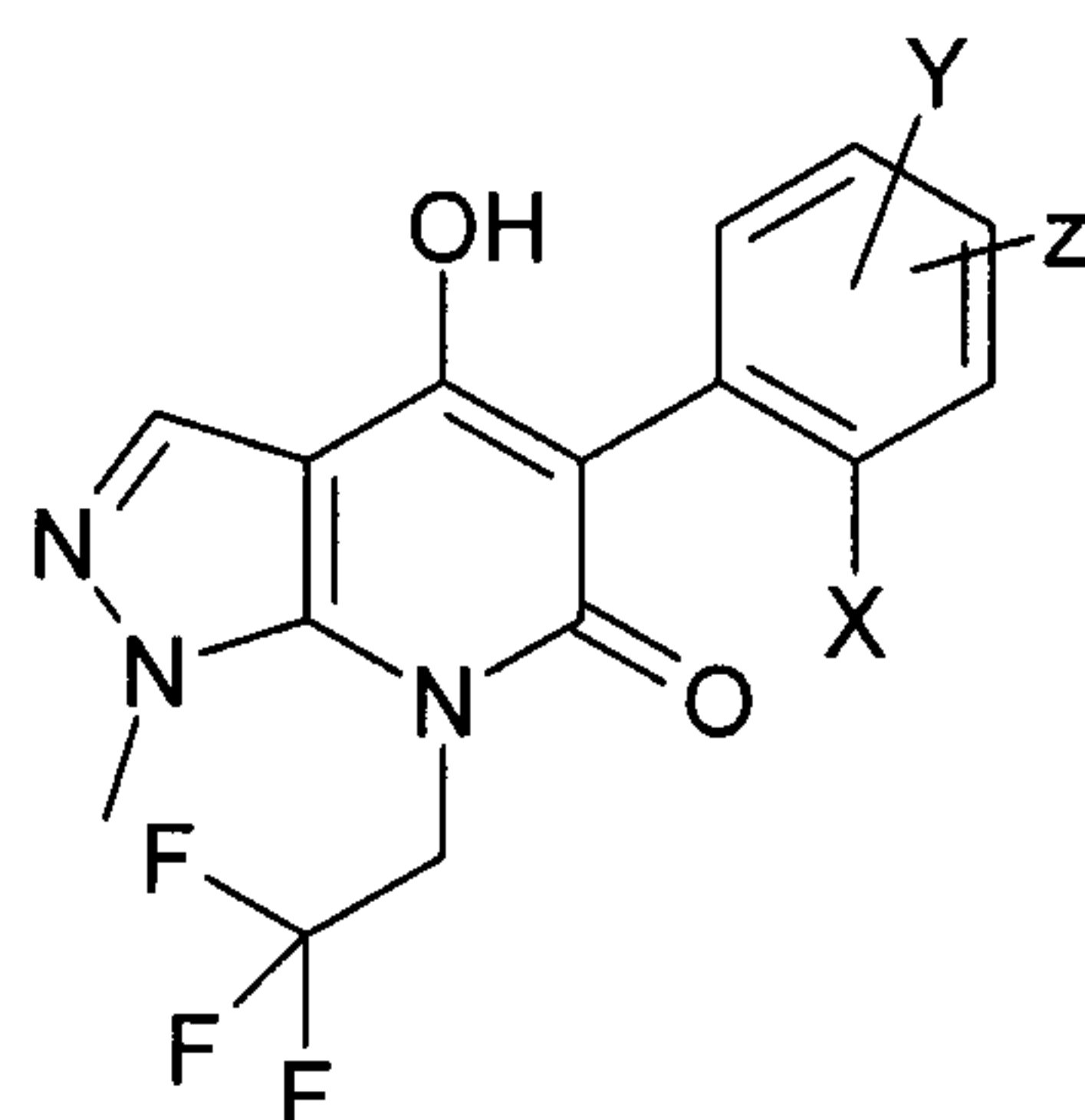


WO 2012/028582

52

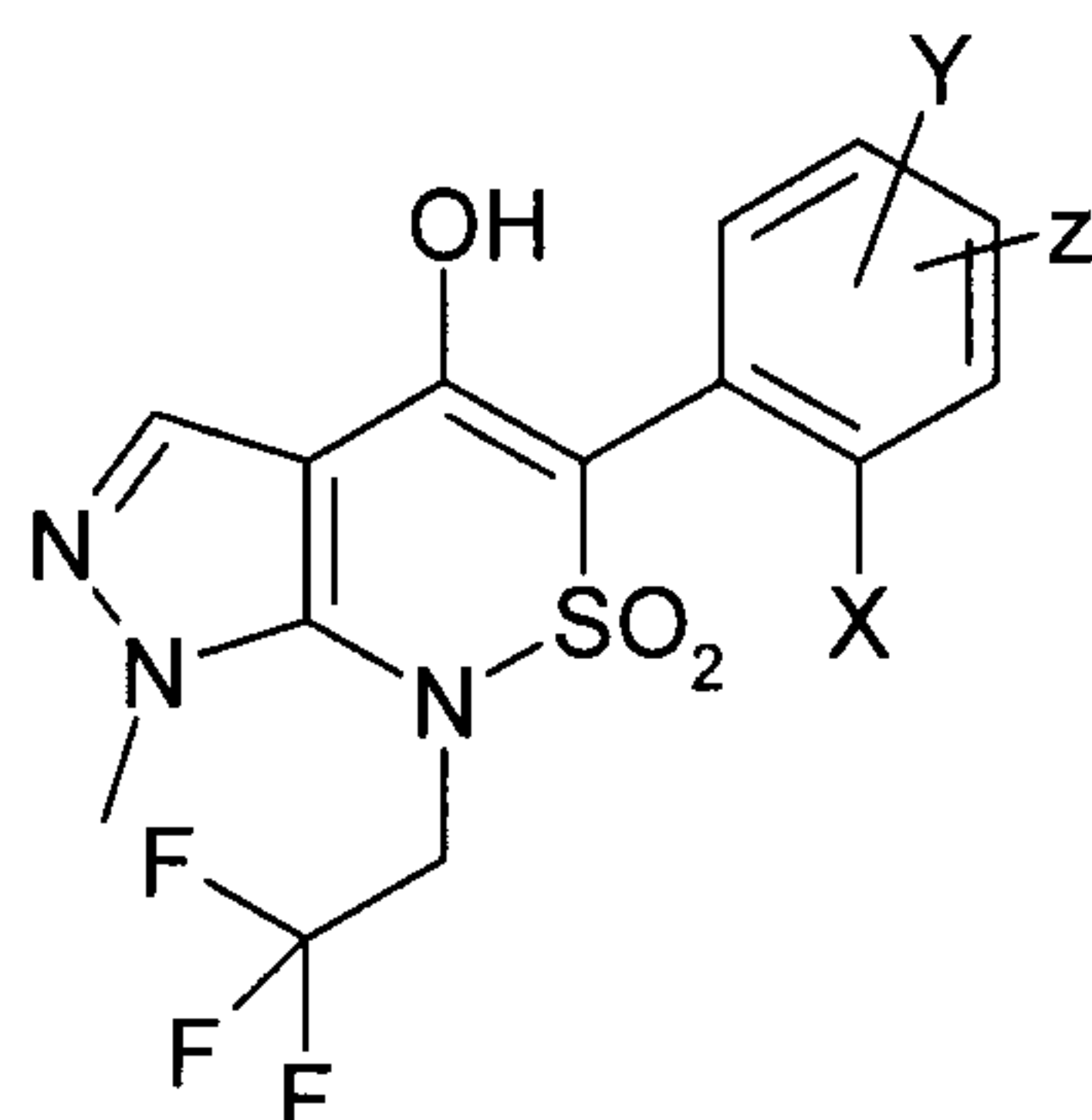
PCT/EP2011/064825

Table 69: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is trifluoroethyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



5

Table 70: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is trifluoroethyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 71: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

53

PCT/EP2011/064825

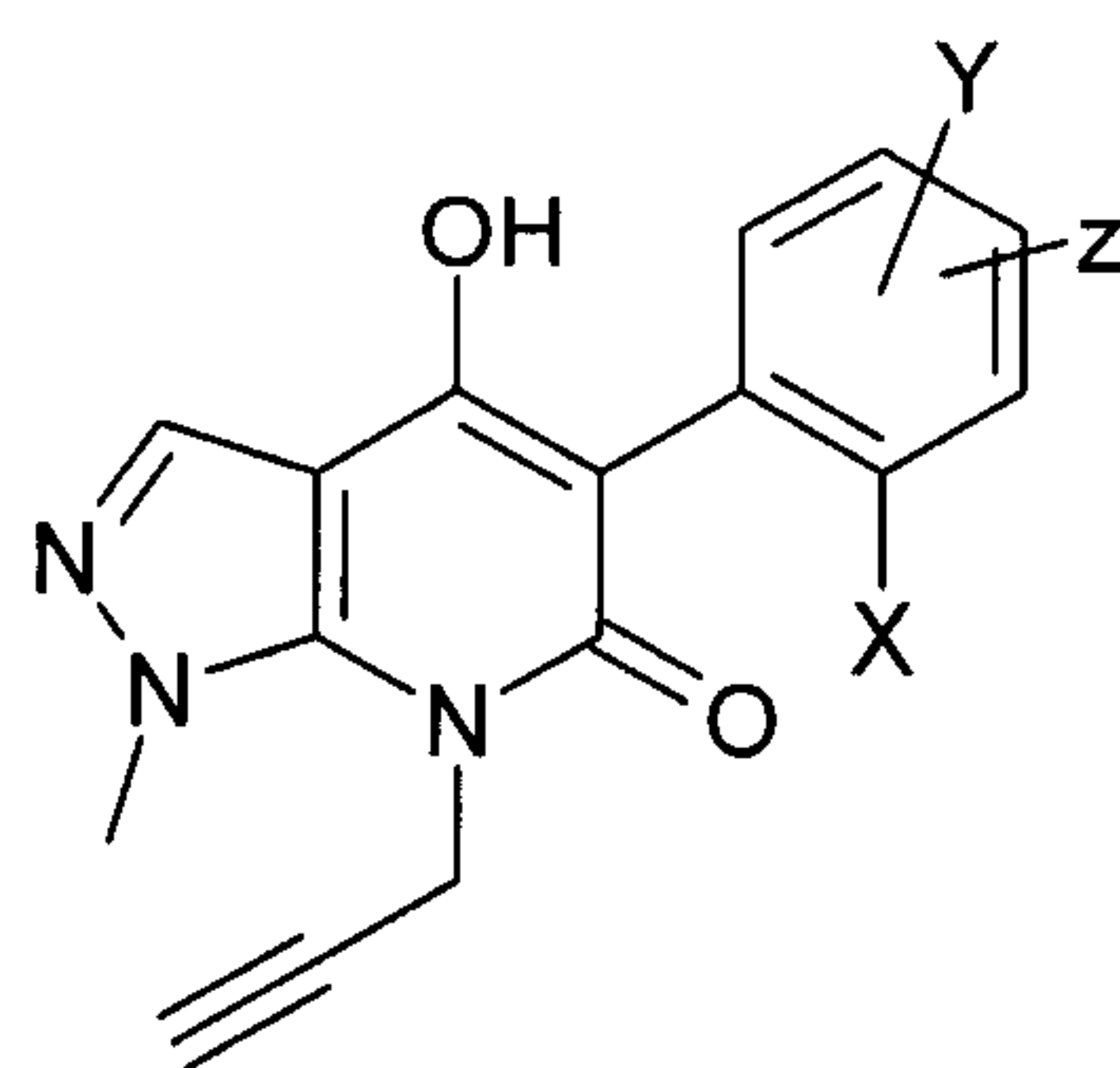


Table 72: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

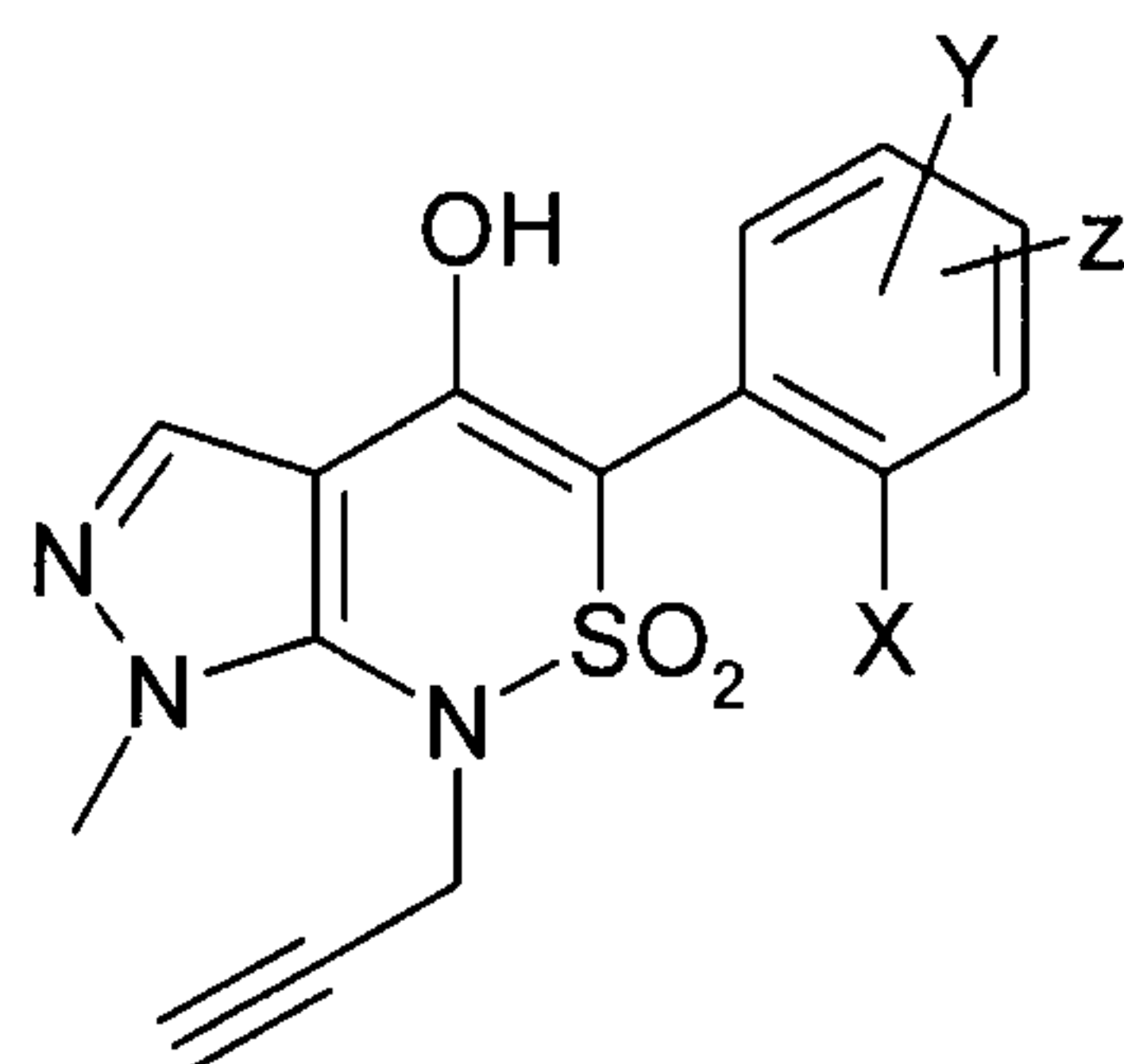
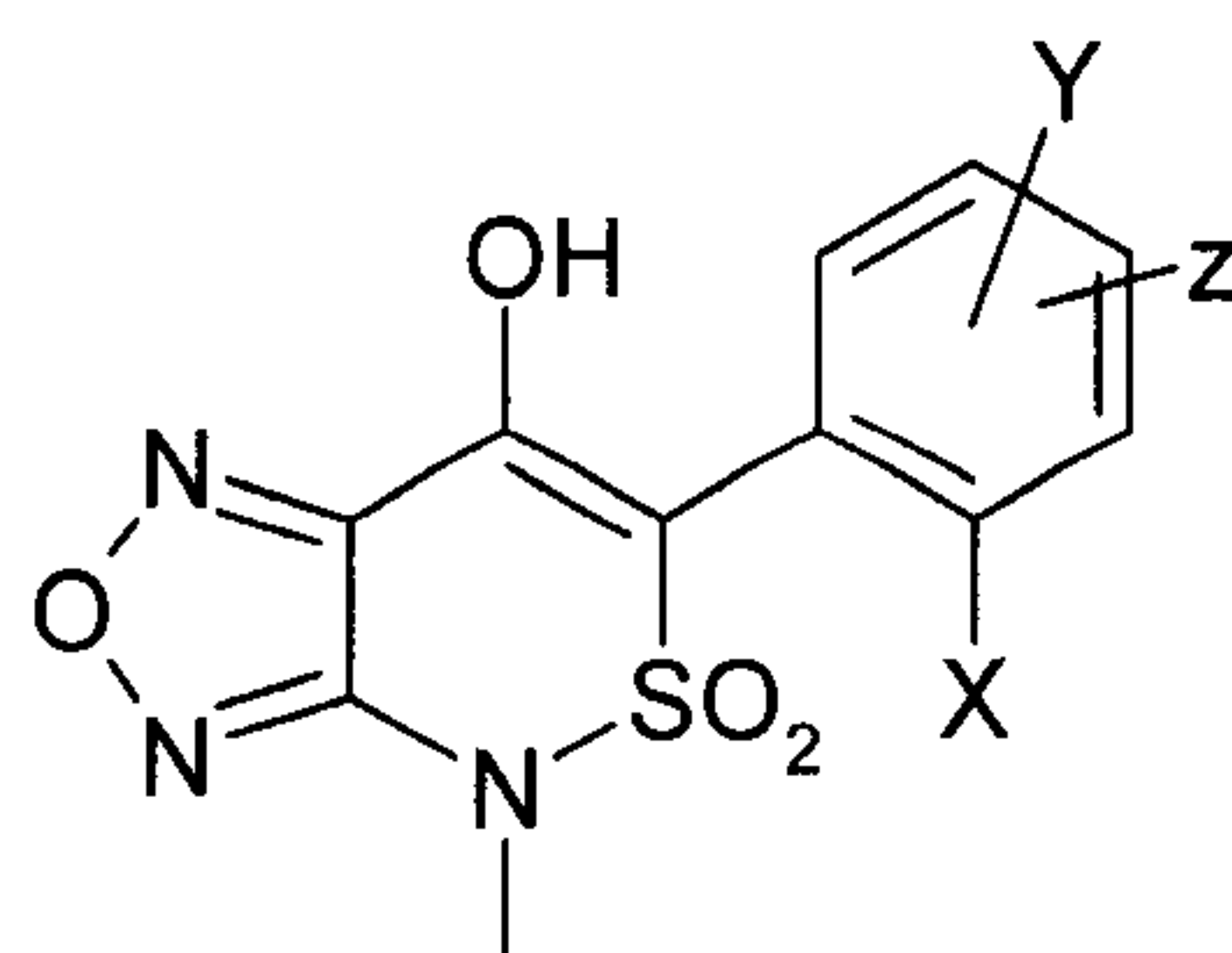


Table 73: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A1, and X, Y and Z are each as defined in table 1:



10

Table 74: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A1, and X, Y and Z are each as defined in table 1:

WO 2012/028582

54

PCT/EP2011/064825

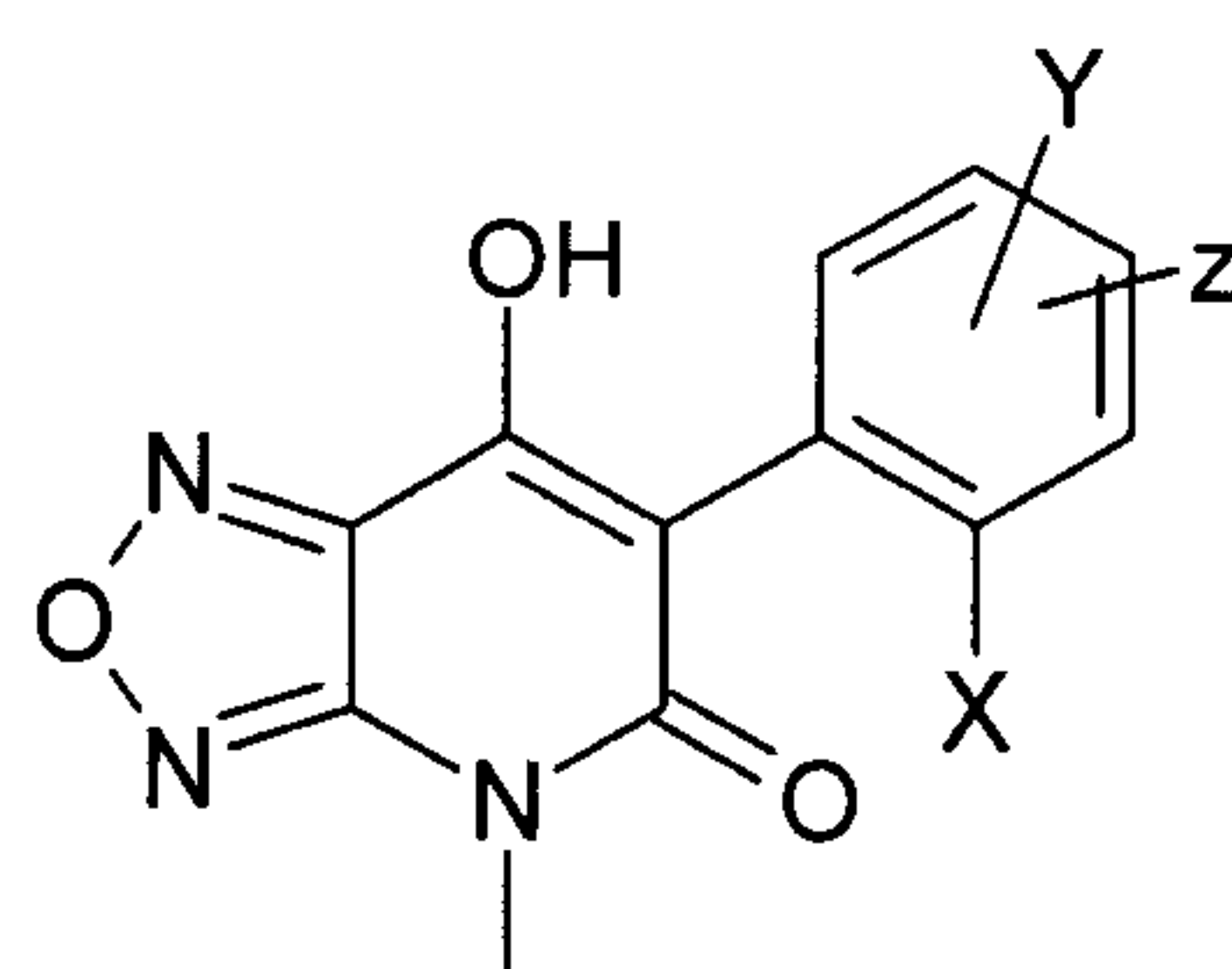


Table 75: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

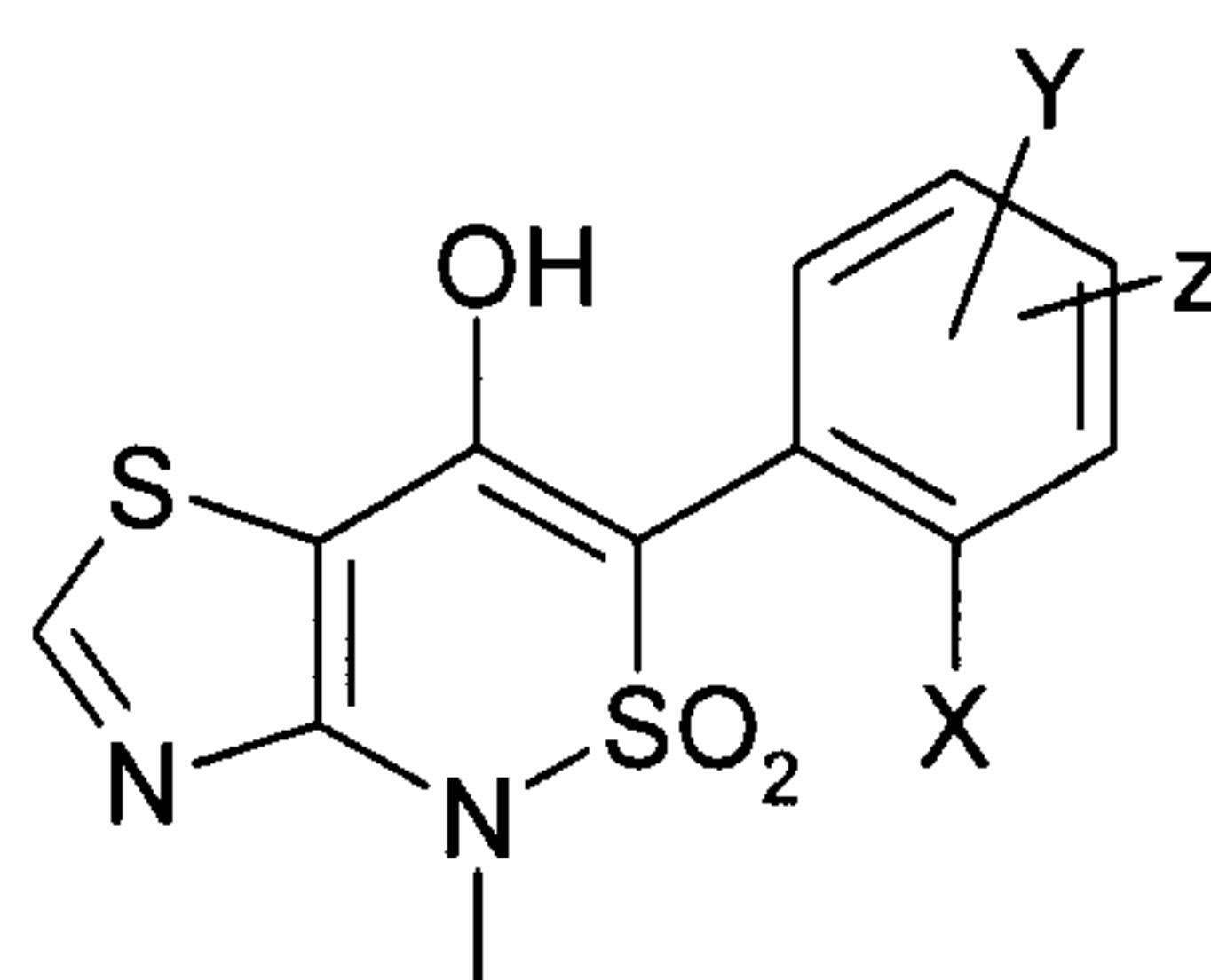


Table 76: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

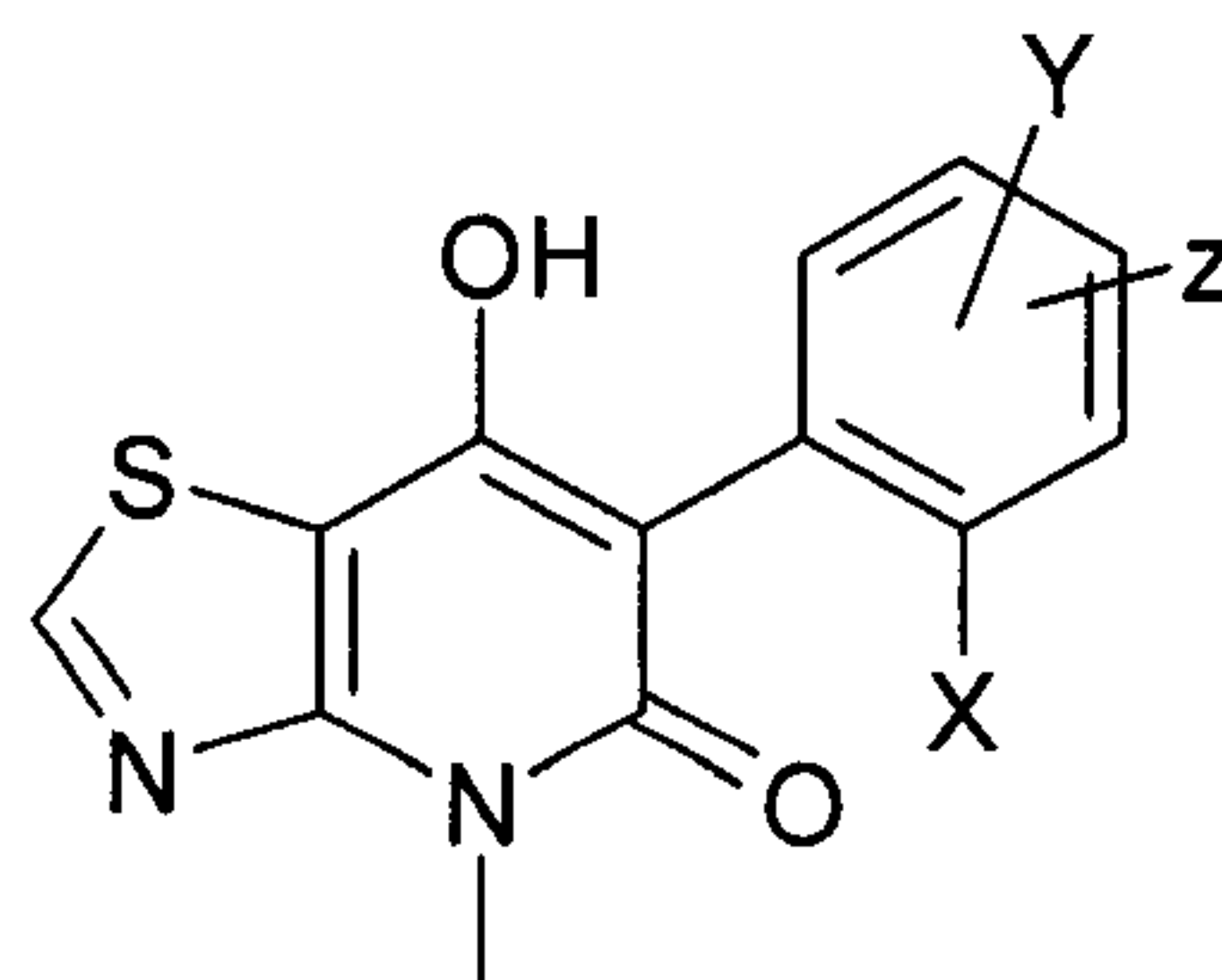


Table 77: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

WO 2012/028582

55

PCT/EP2011/064825

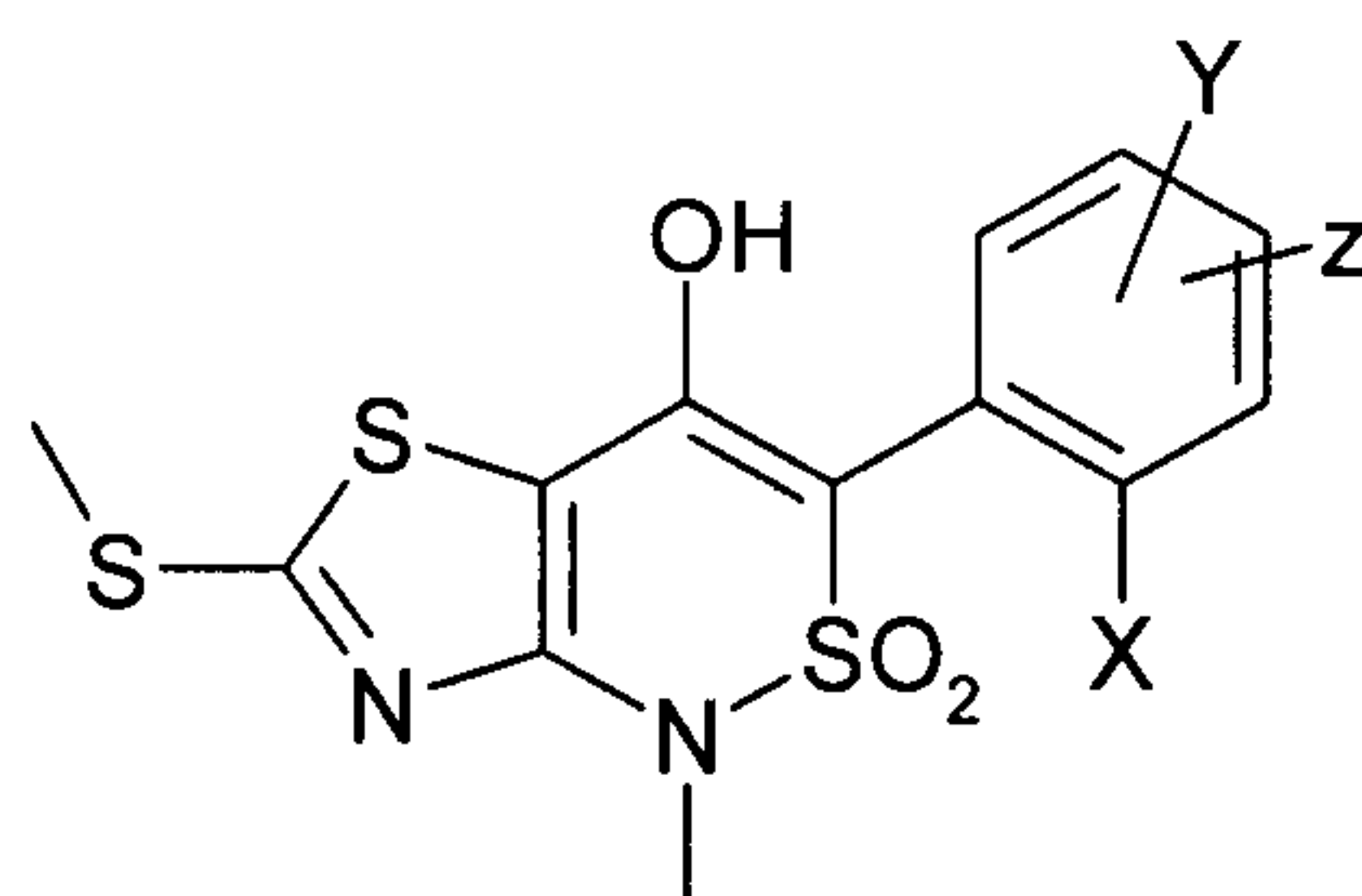


Table 78: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in table 1:

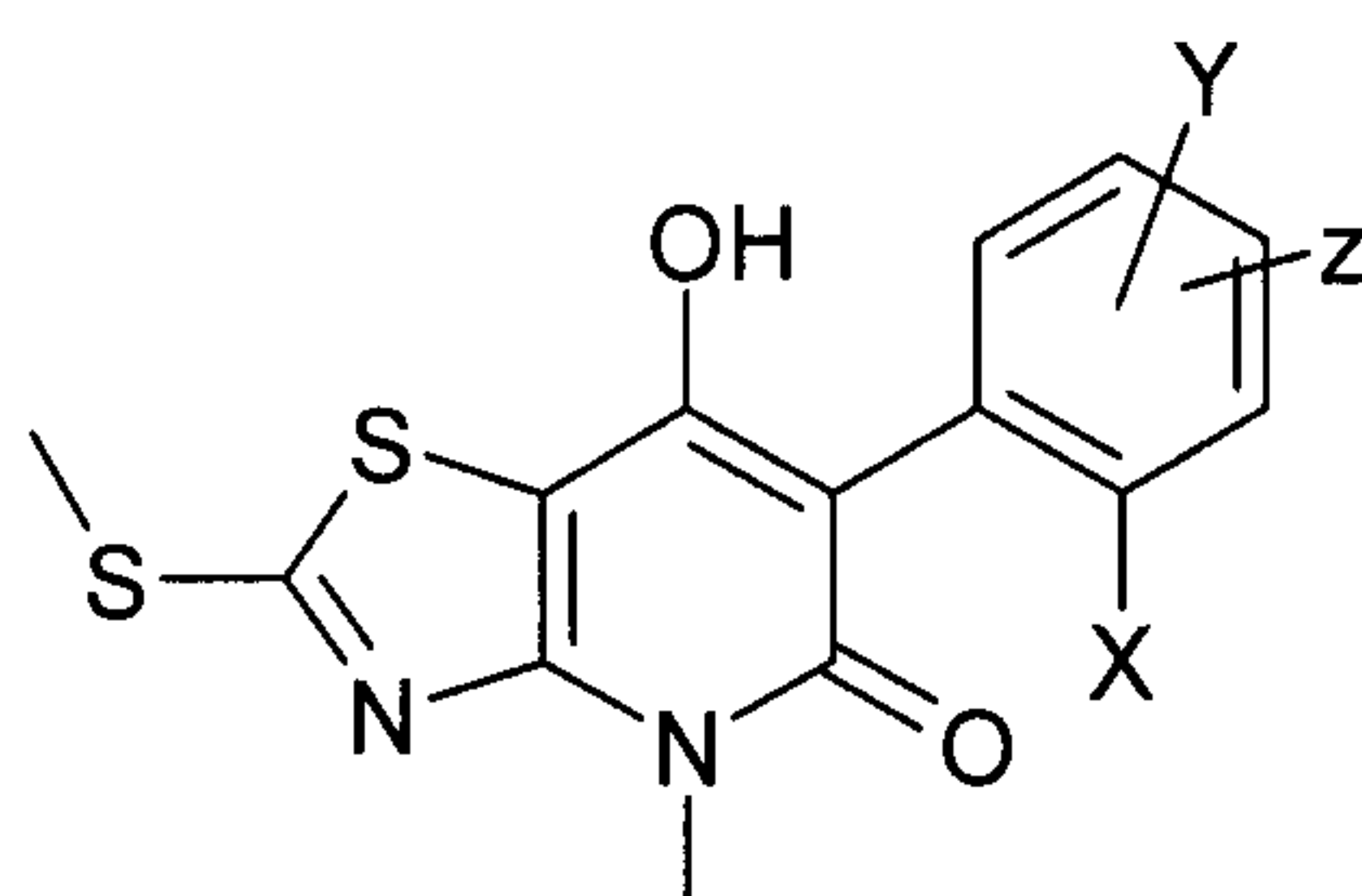


Table 79: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

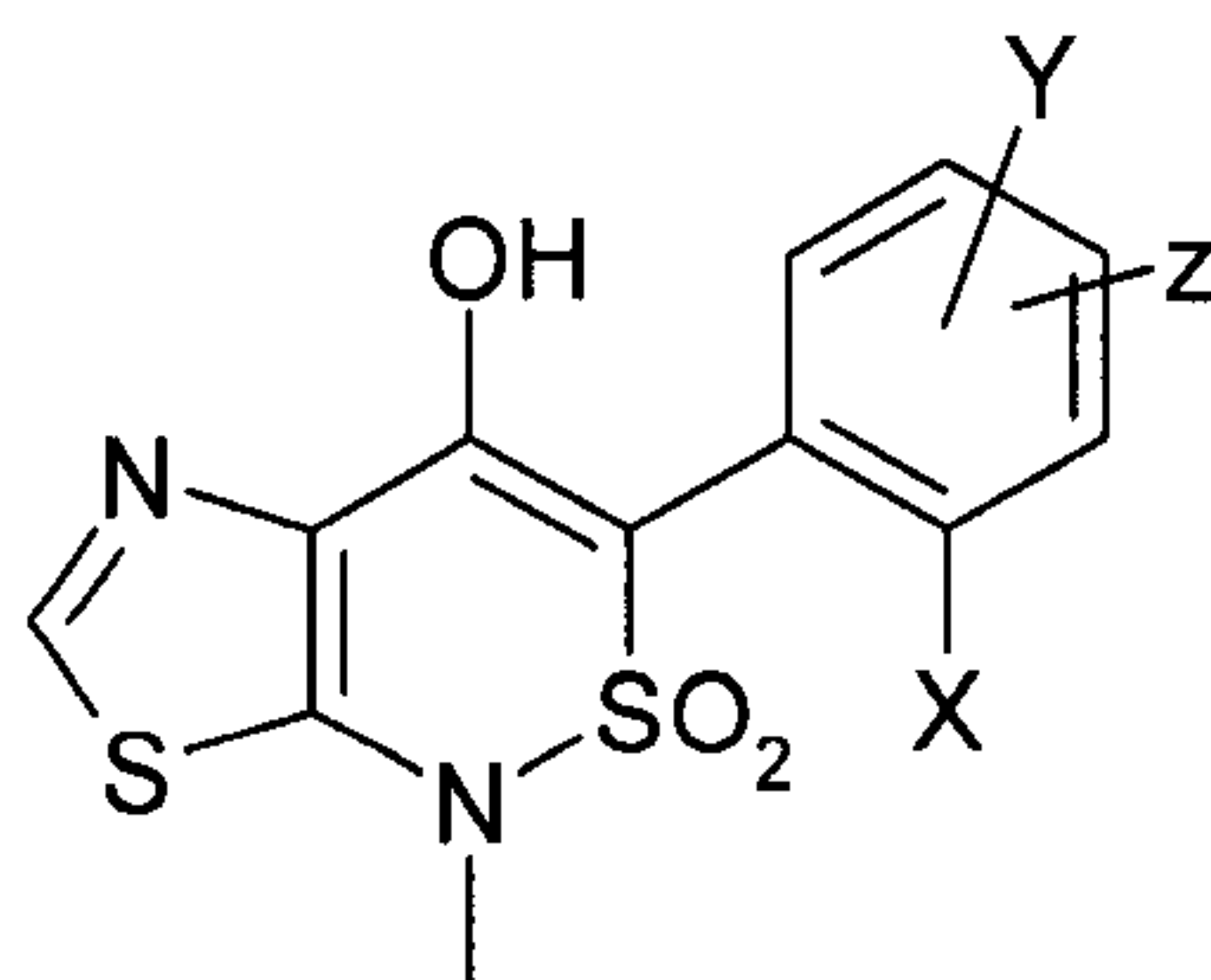


Table 80: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

56

PCT/EP2011/064825

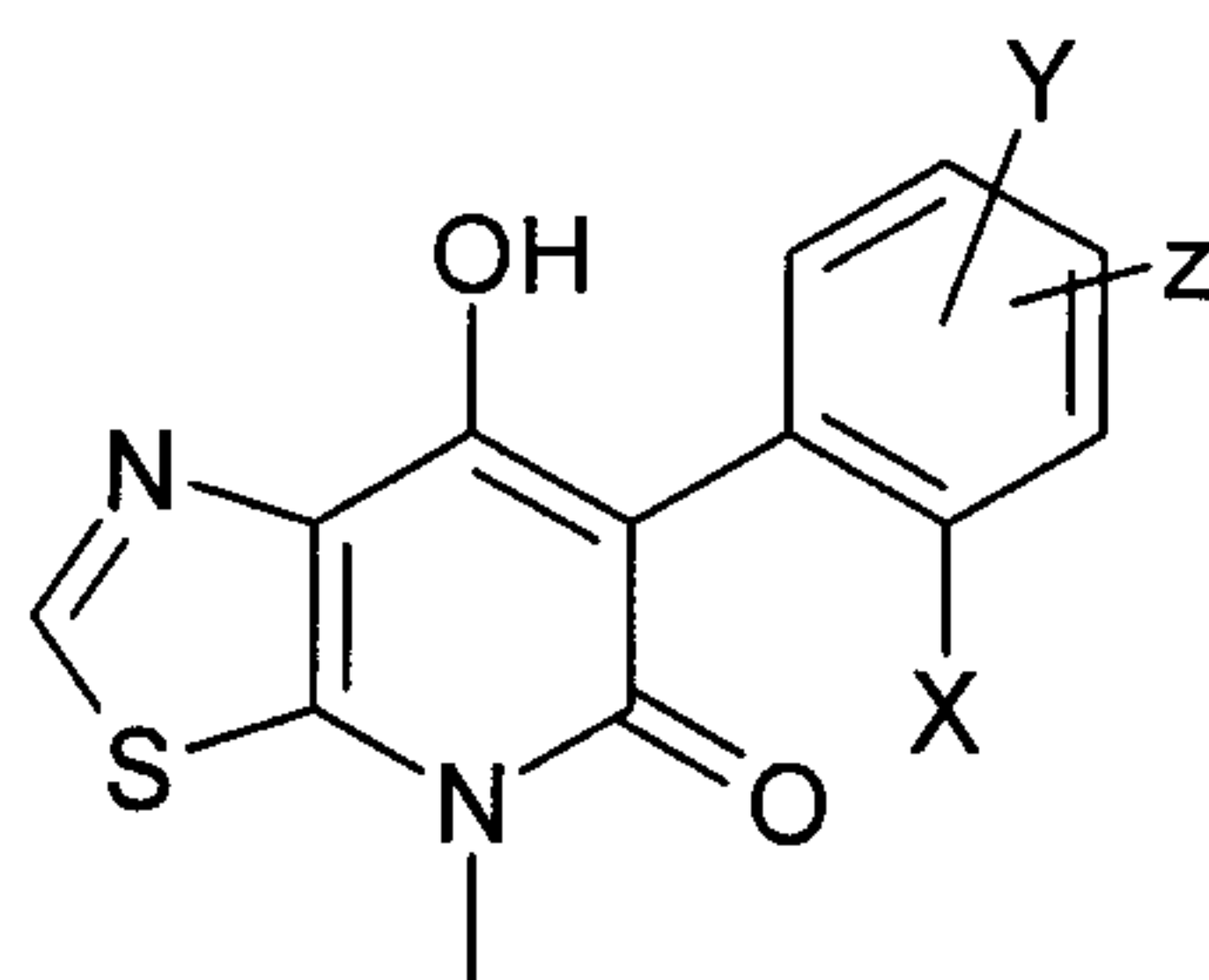


Table 81: Inventive compounds of the formula (I) in which G is hydrogen, V is
 5 SO₂, W is methyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each
 as defined in table 1:

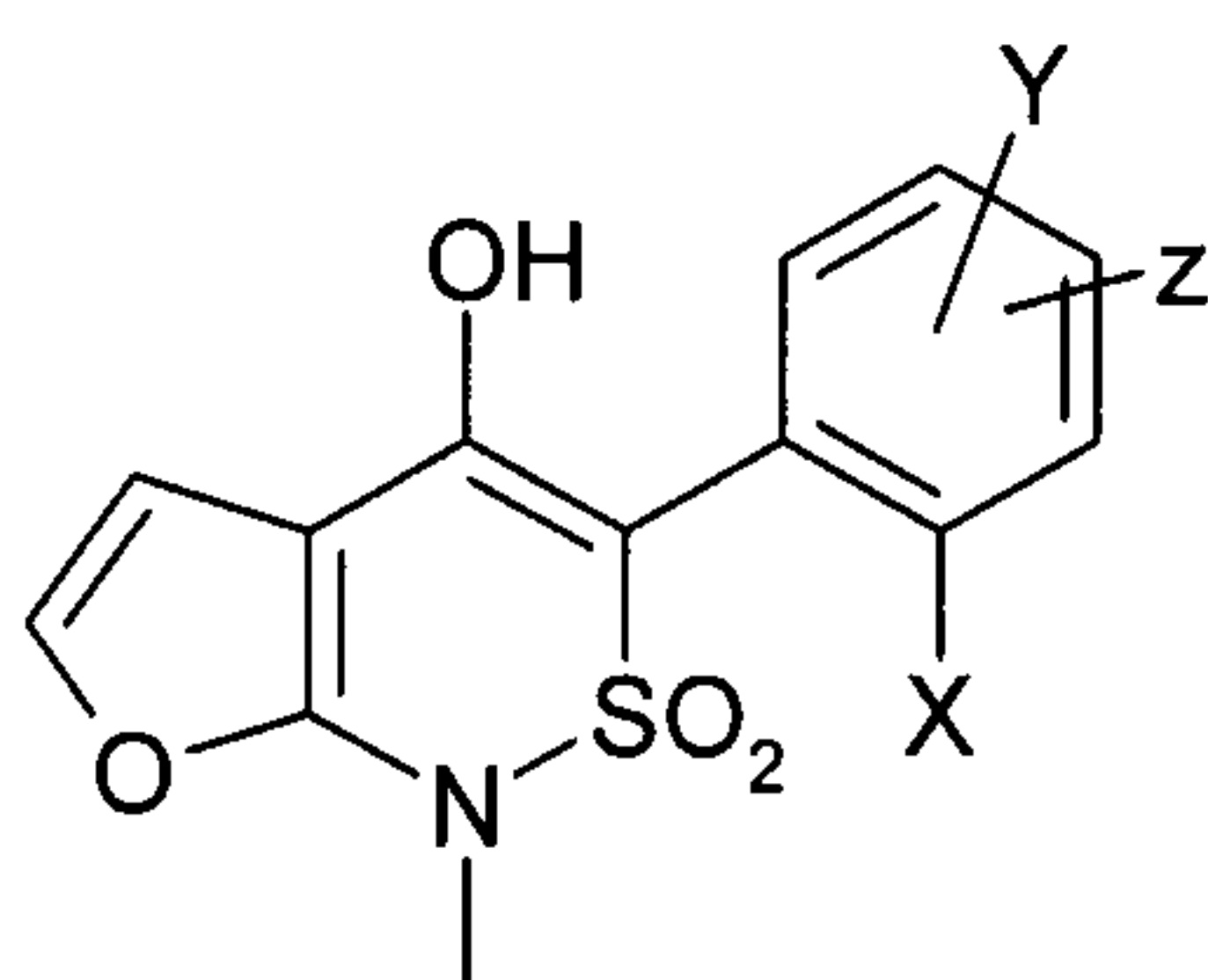


Table 82: Inventive compounds of the formula (I) in which G is hydrogen, V is
 10 C=O, W is methyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each
 as defined in table 1:

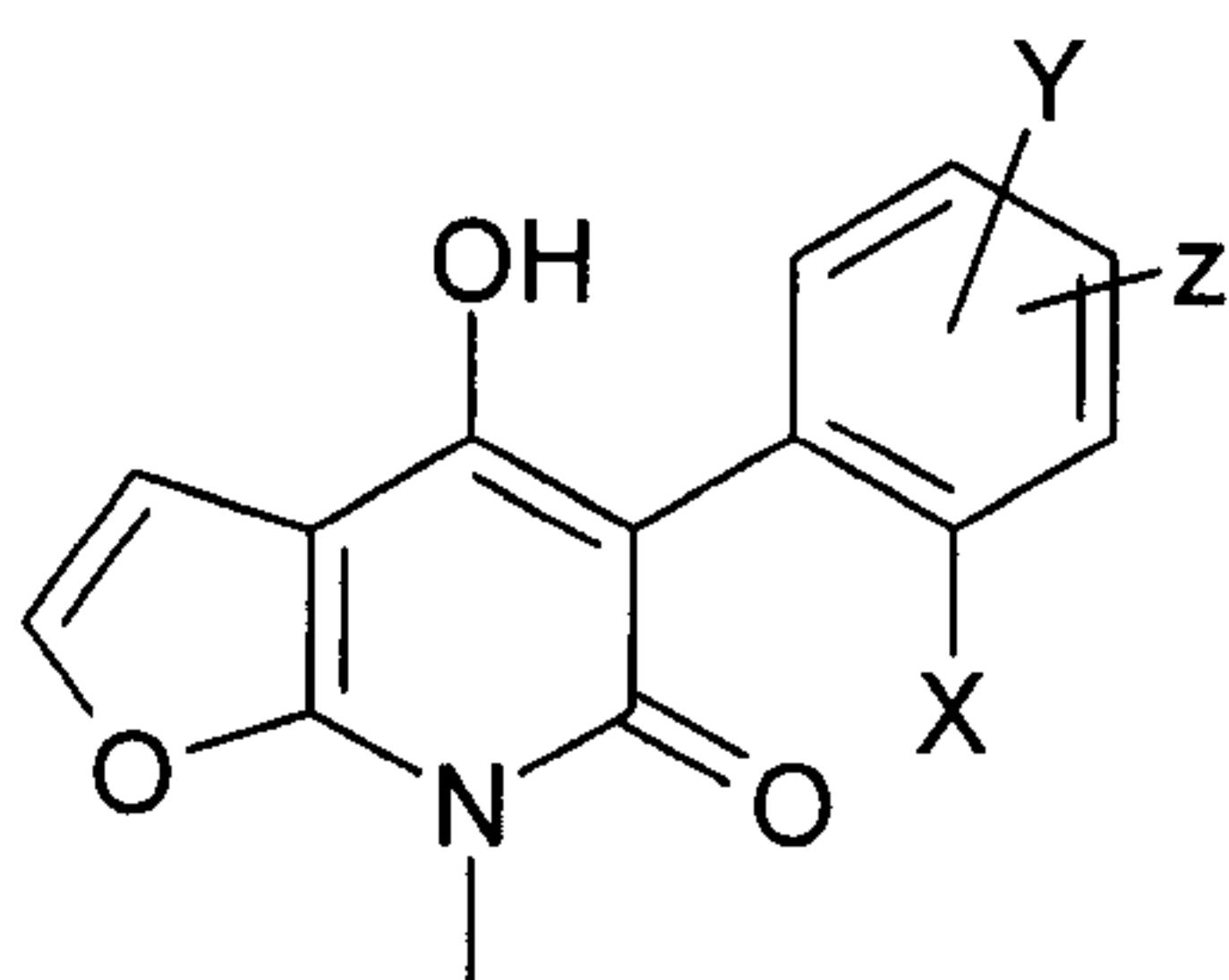


Table 83: Inventive compounds of the formula (I) in which G is hydrogen, V is
 15 SO₂, W is methyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each
 as defined in table 1:

WO 2012/028582

57

PCT/EP2011/064825

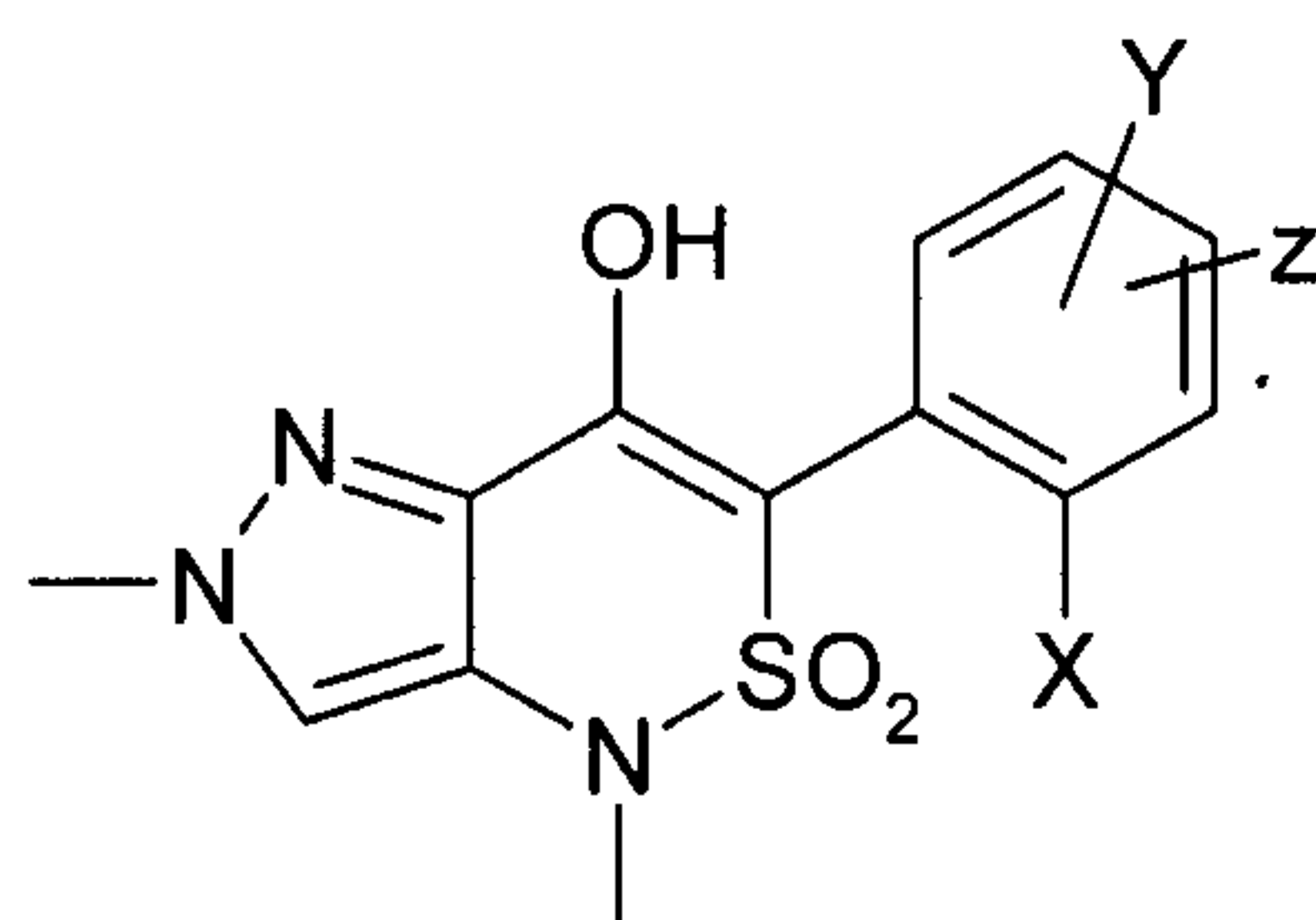


Table 84: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each

5 as defined in table 1:

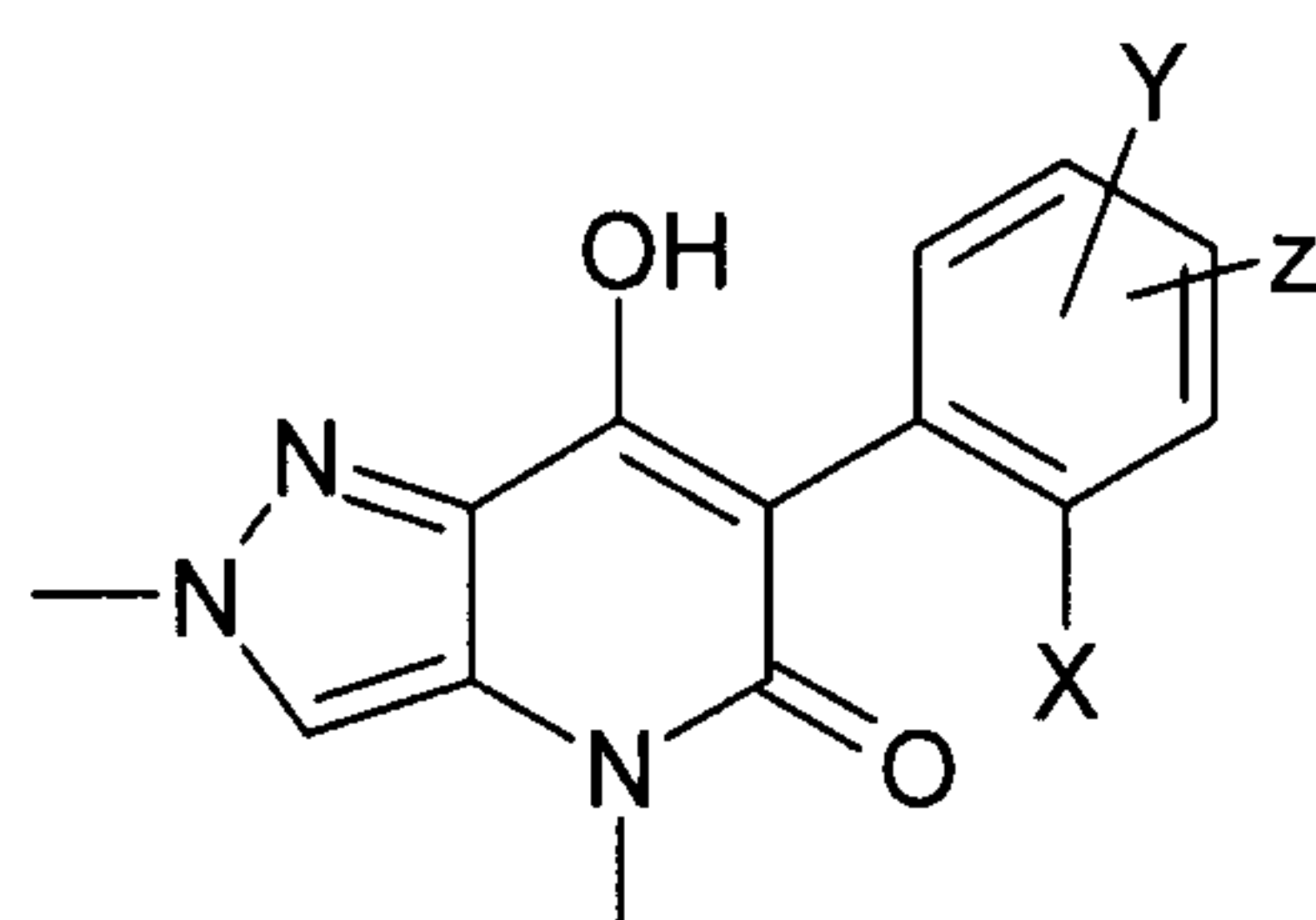


Table 85: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each

10 as defined in table 1:

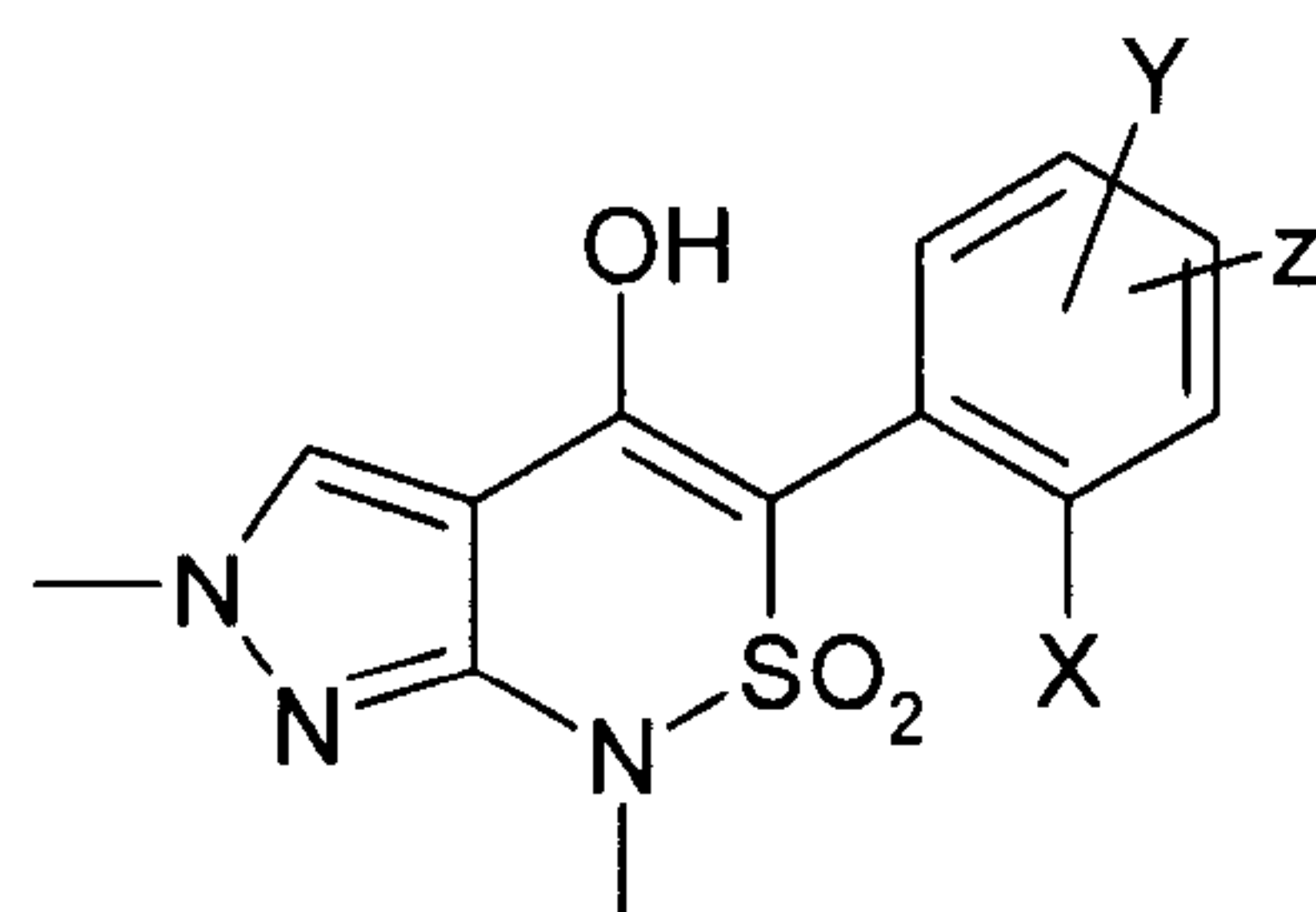


Table 86: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each

15 as defined in table 1:

WO 2012/028582

58

PCT/EP2011/064825

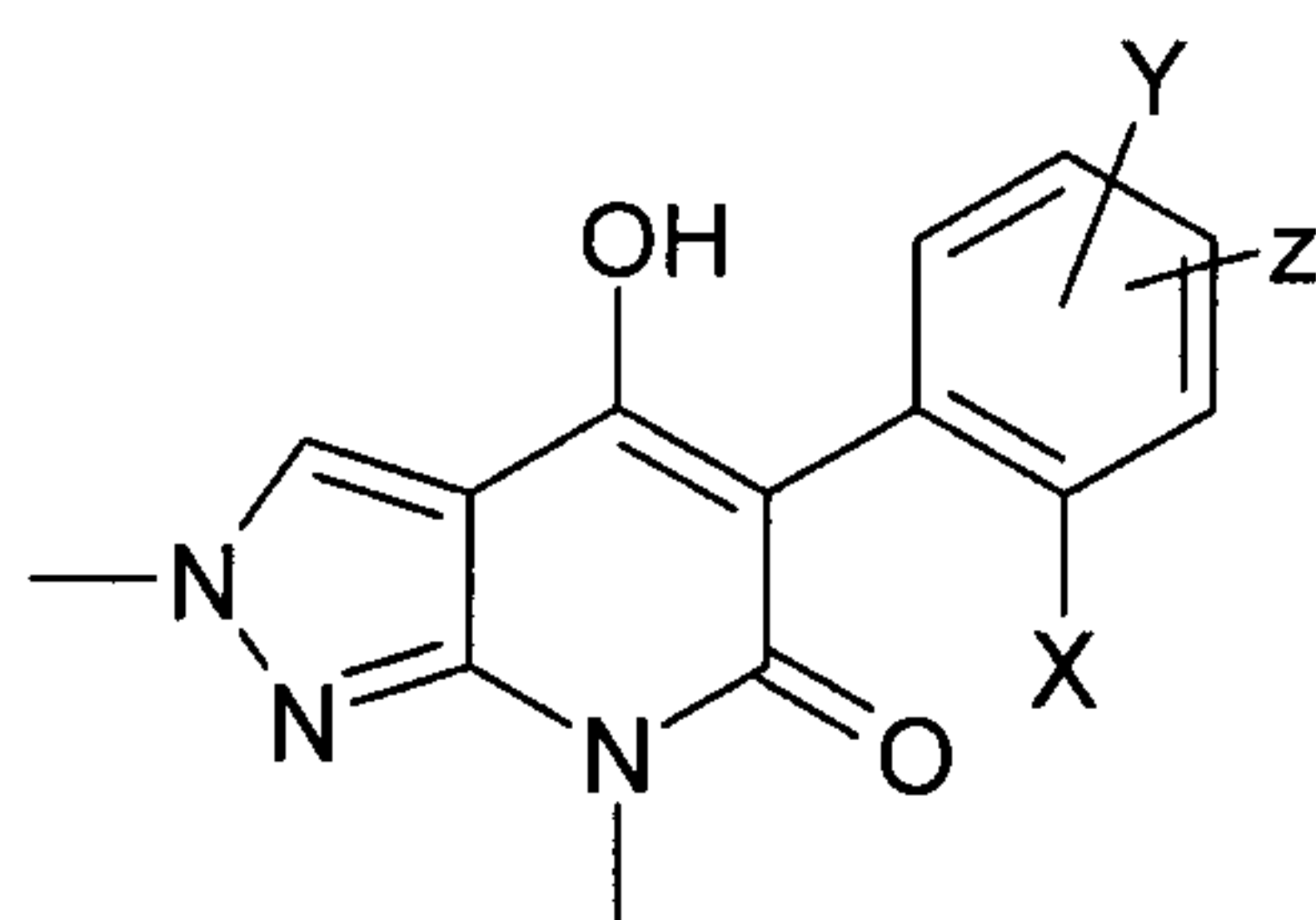


Table 87: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is methyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each
 5 as defined in table 1:

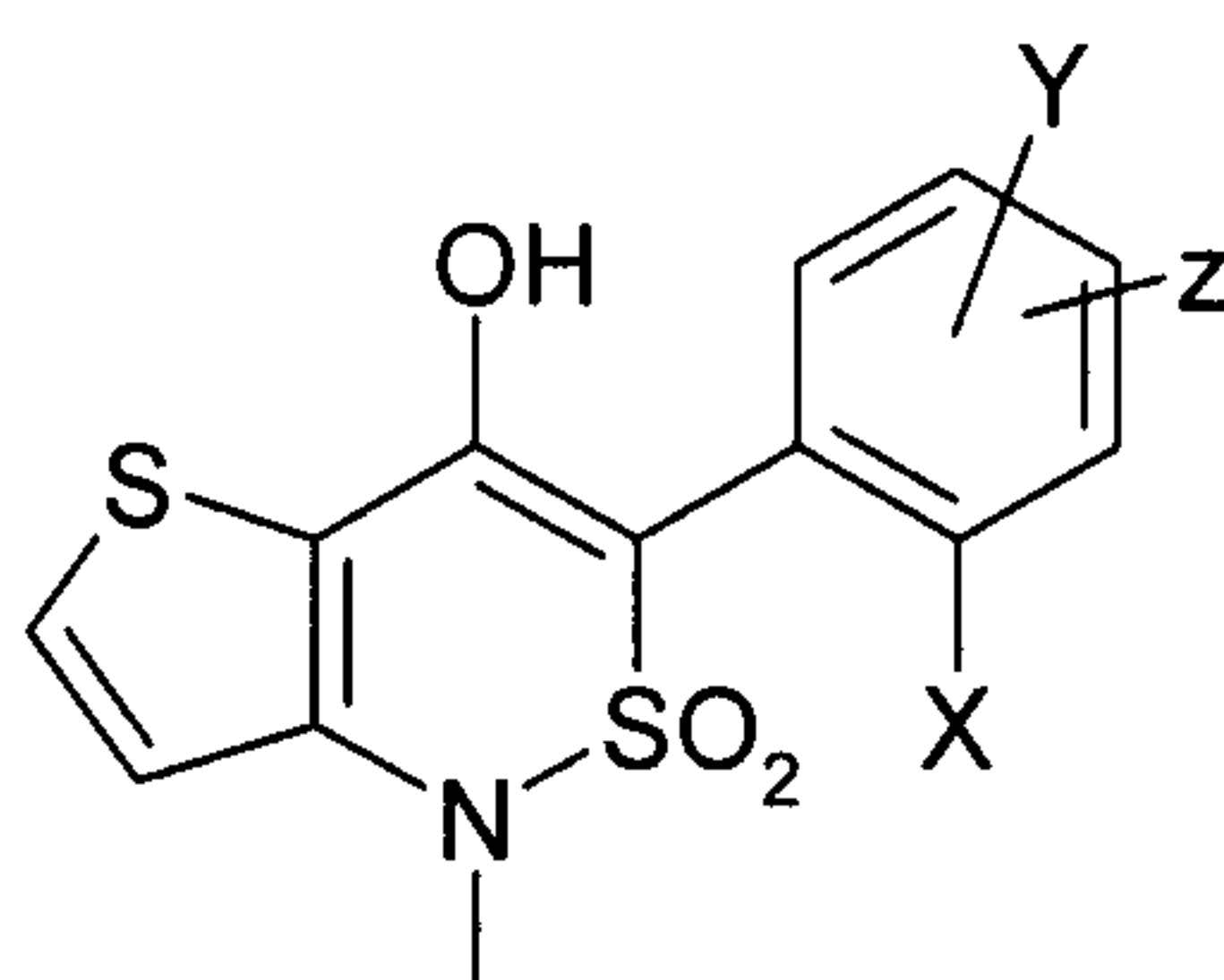


Table 88: Inventive compounds of the formula (I) in which G is hydrogen, V is
 C=O, W is methyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each
 10 as defined in table 1:

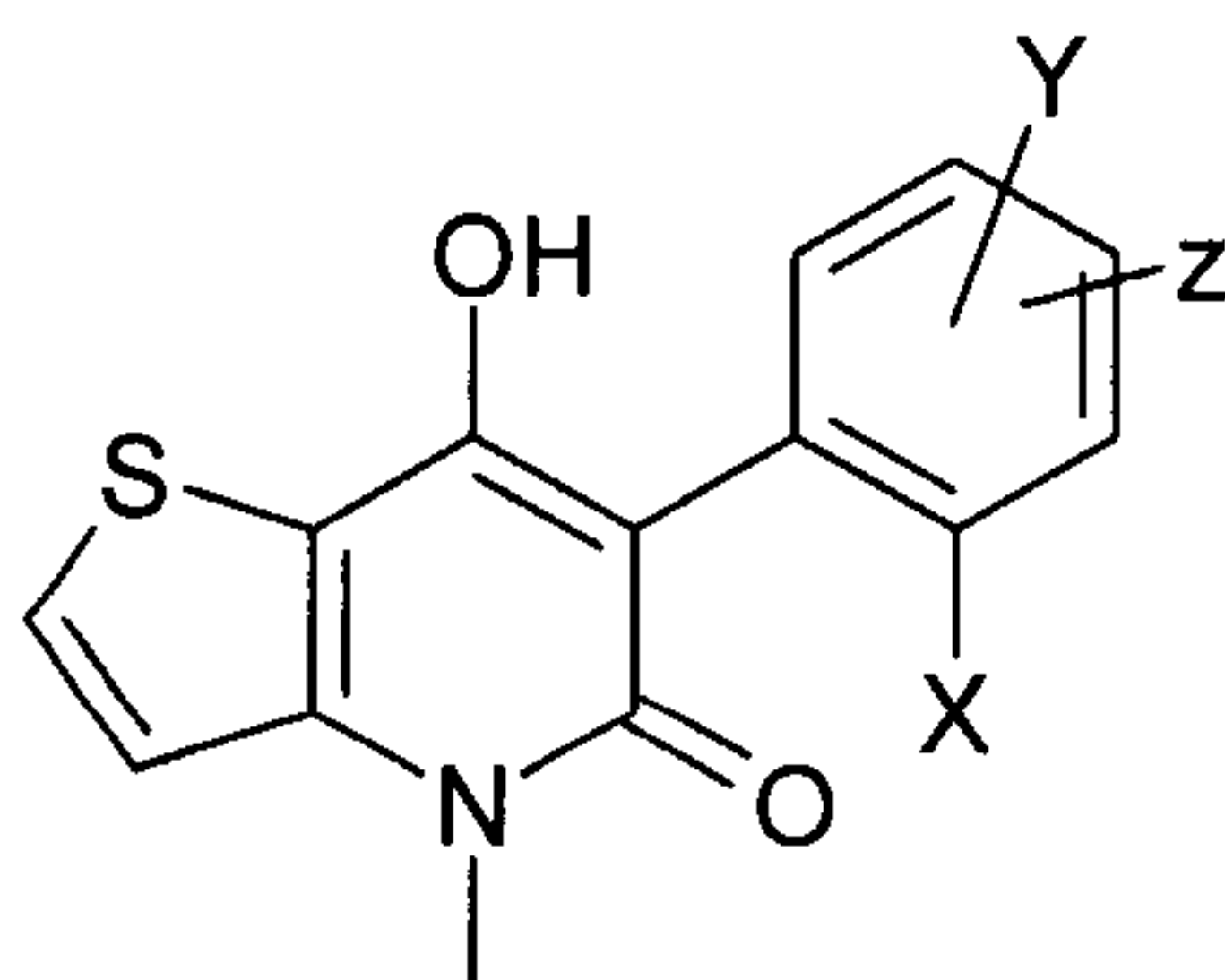


Table 89: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is methyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each
 15 as defined in table 1:

WO 2012/028582

59

PCT/EP2011/064825

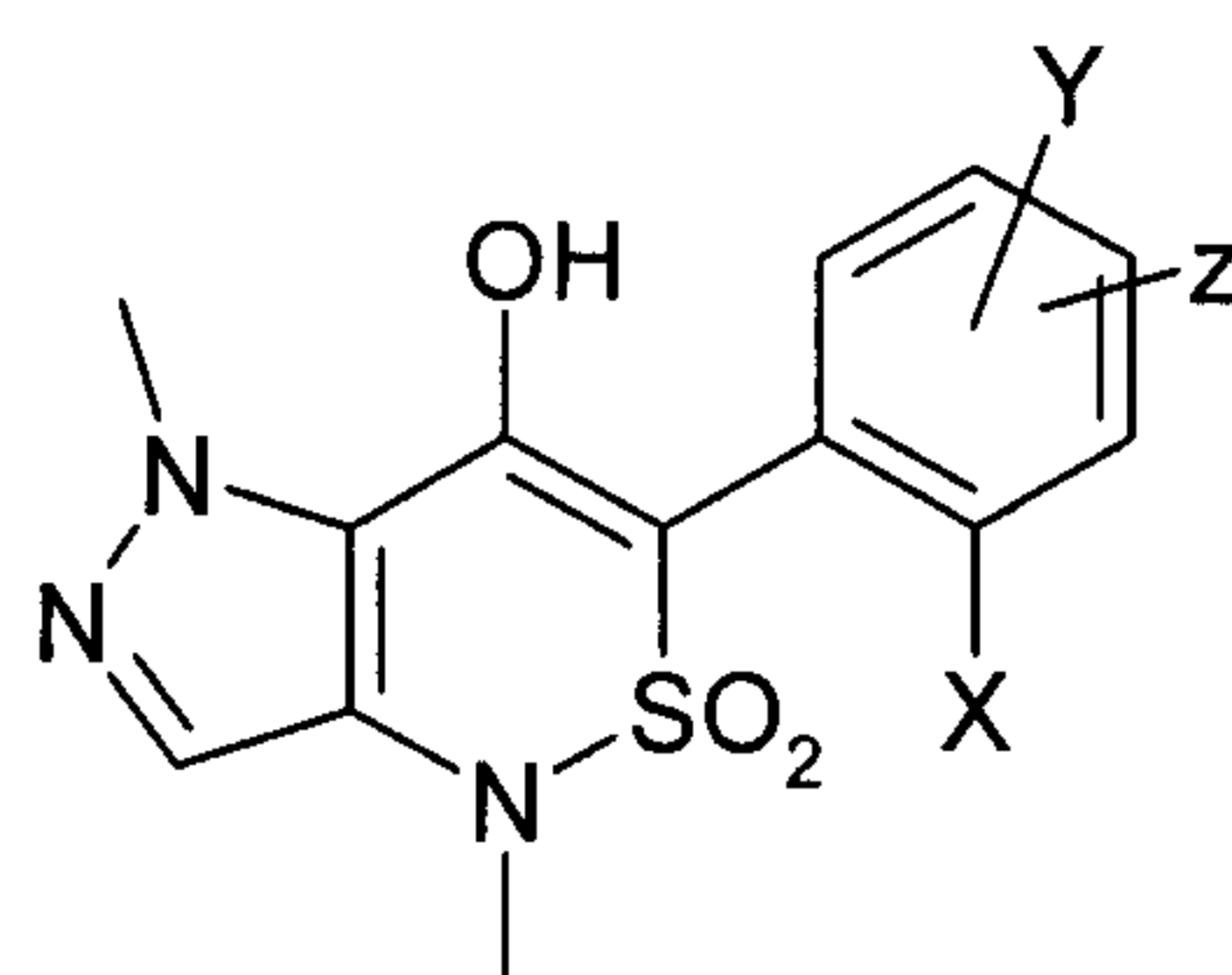


Table 90: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each
5 as defined in table 1:

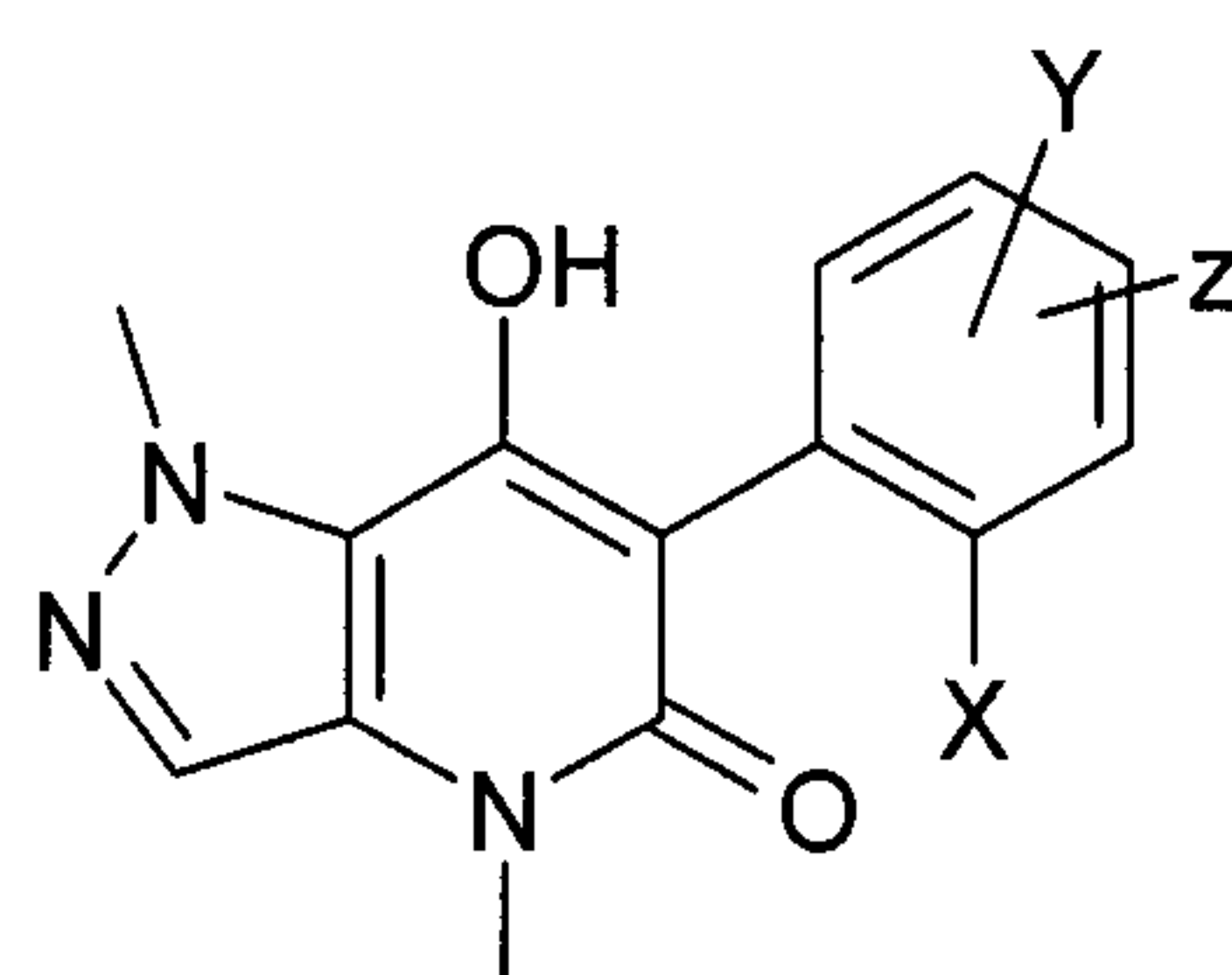


Table 91: Inventive compounds of the formula (I) in which G is hydrogen, V is
10 SO₂, W is methyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

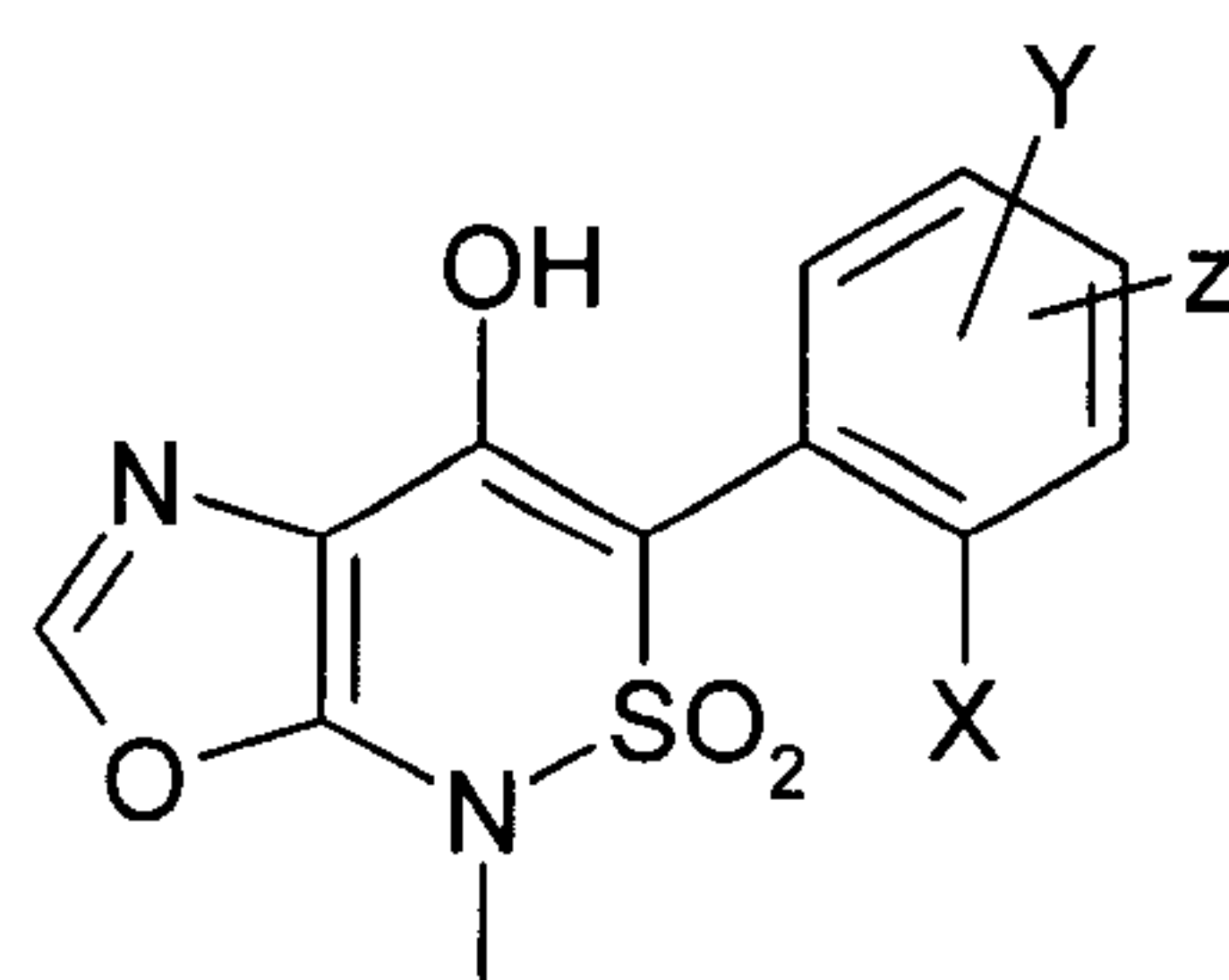


Table 92: Inventive compounds of the formula (I) in which G is hydrogen, V is
15 C=O, W is methyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

60

PCT/EP2011/064825

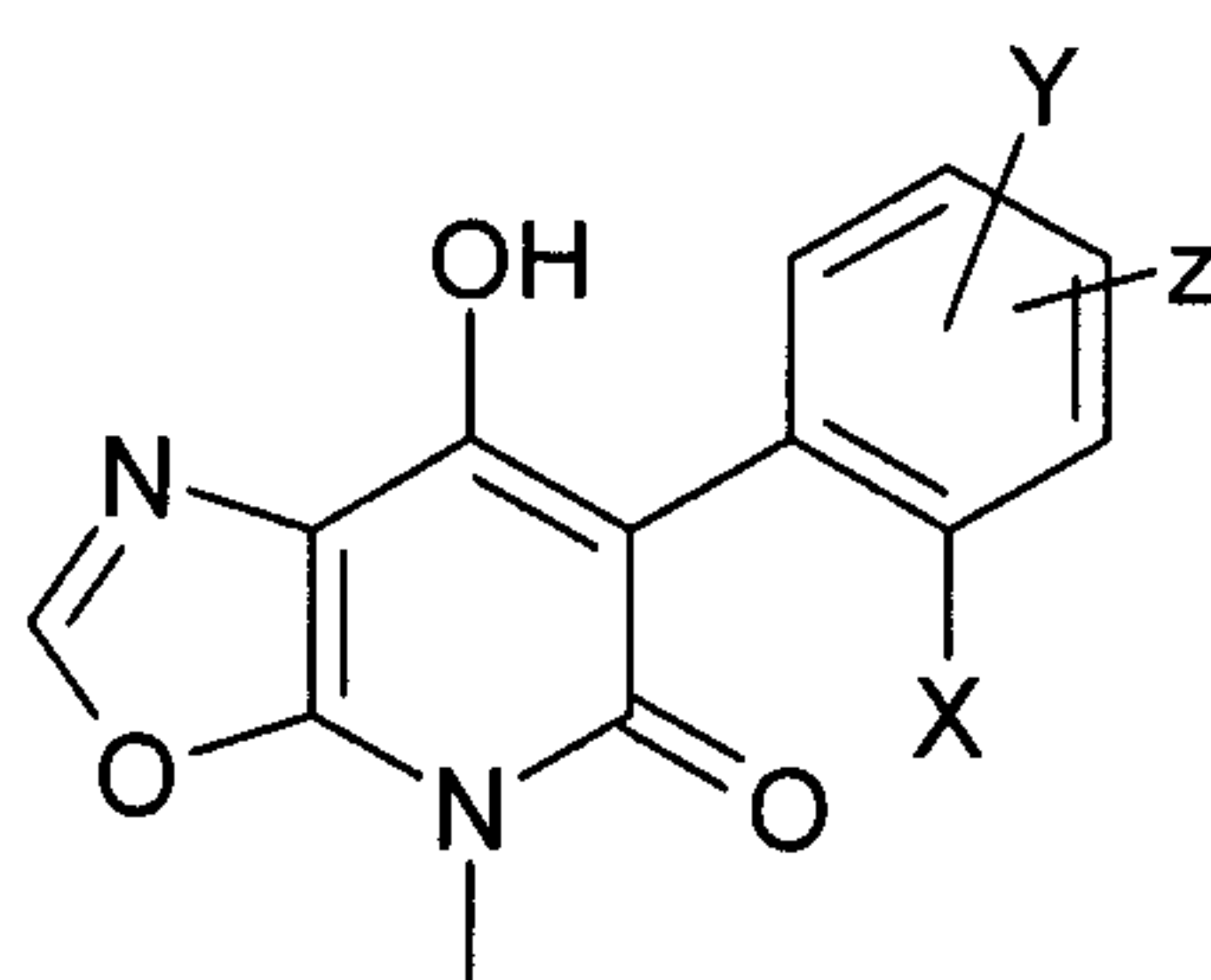


Table 93: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

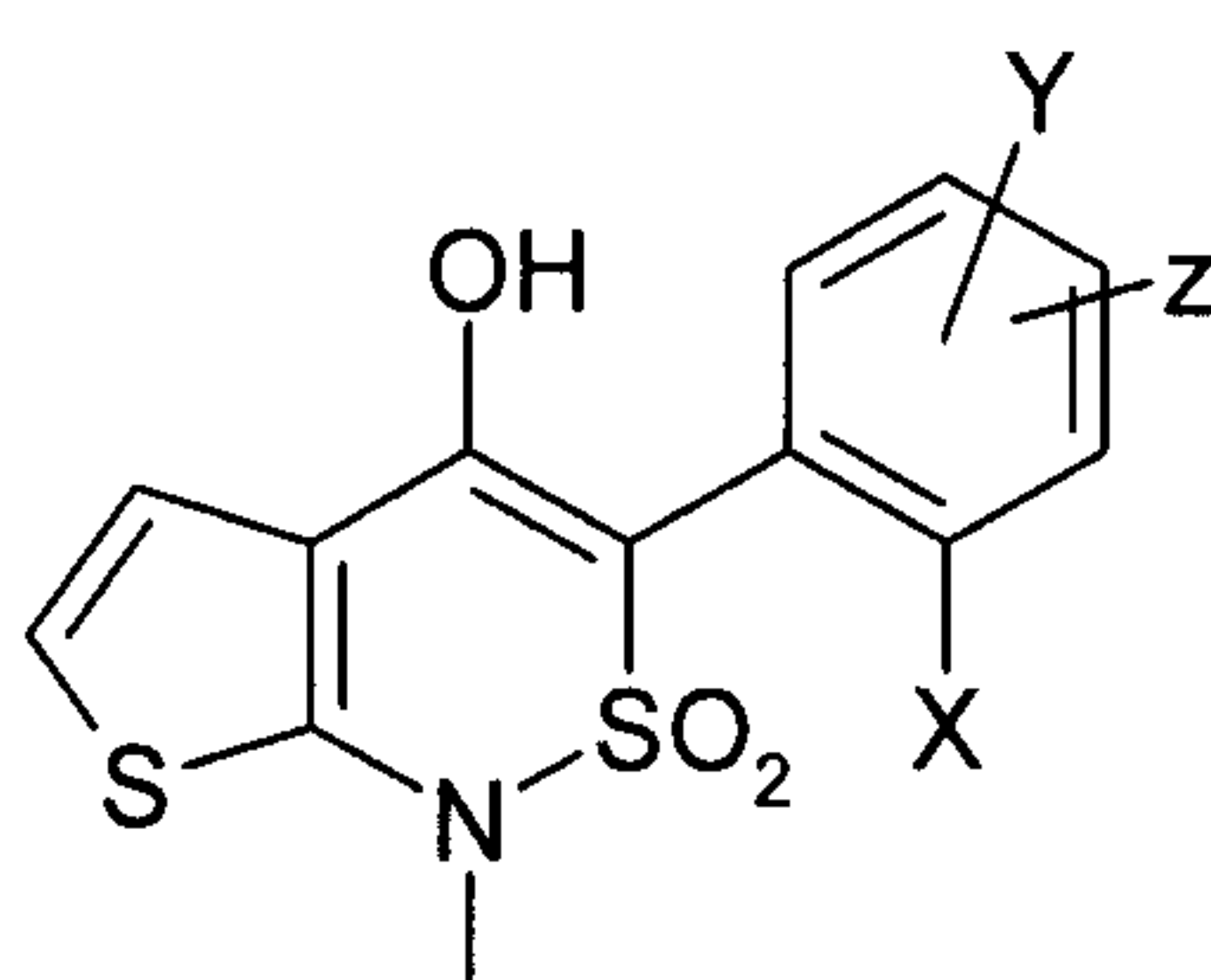


Table 94: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

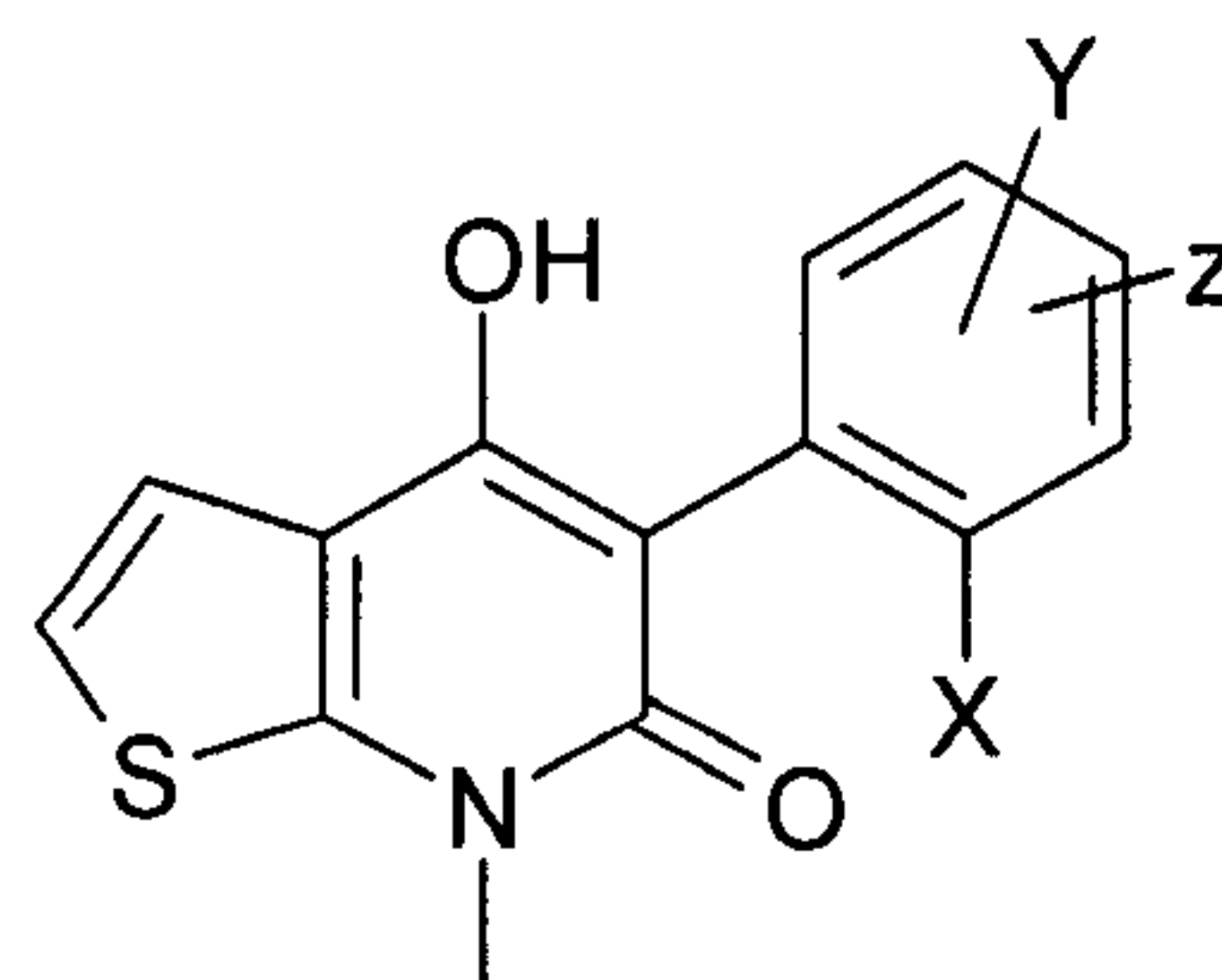


Table 95: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

61

PCT/EP2011/064825

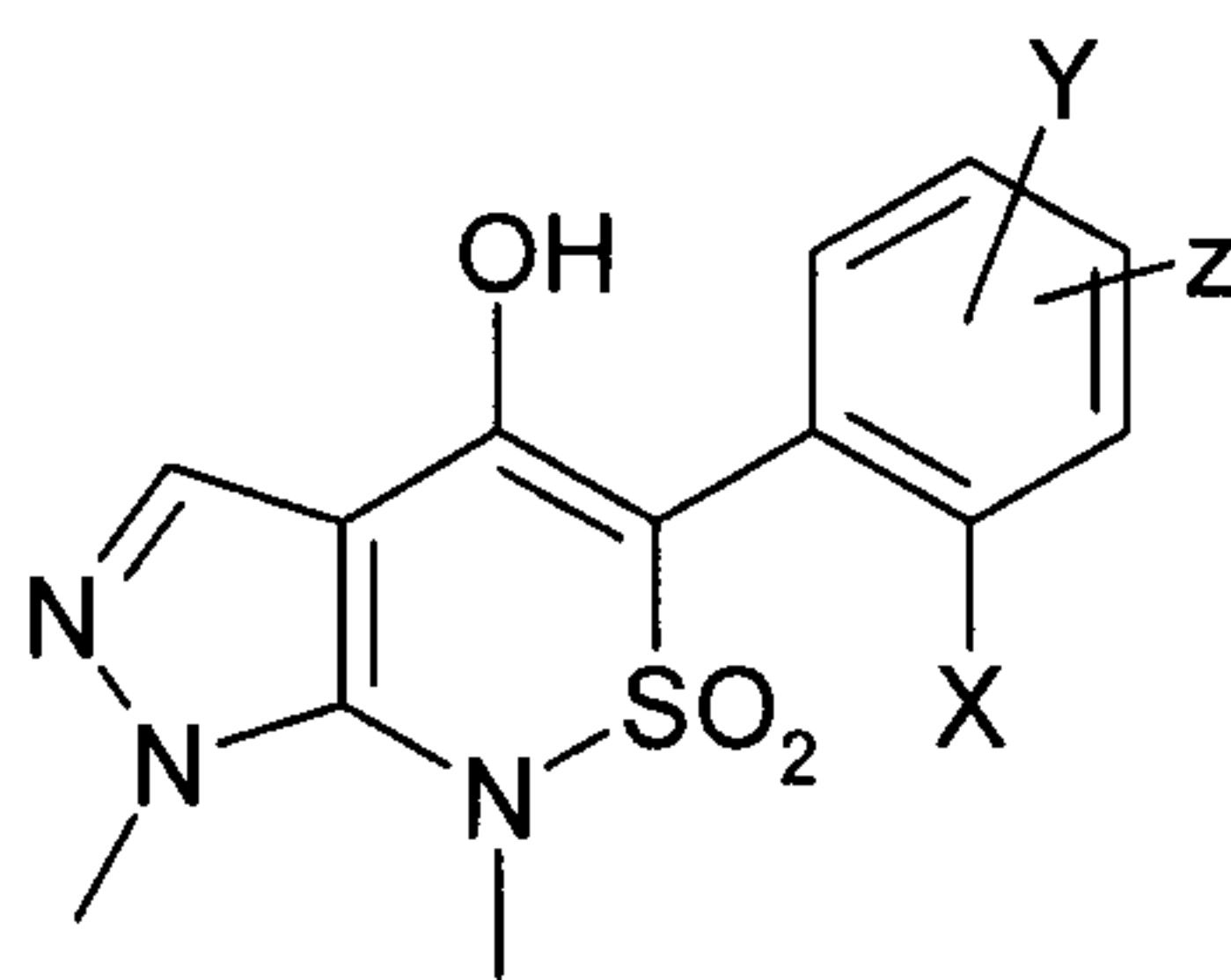


Table 96: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

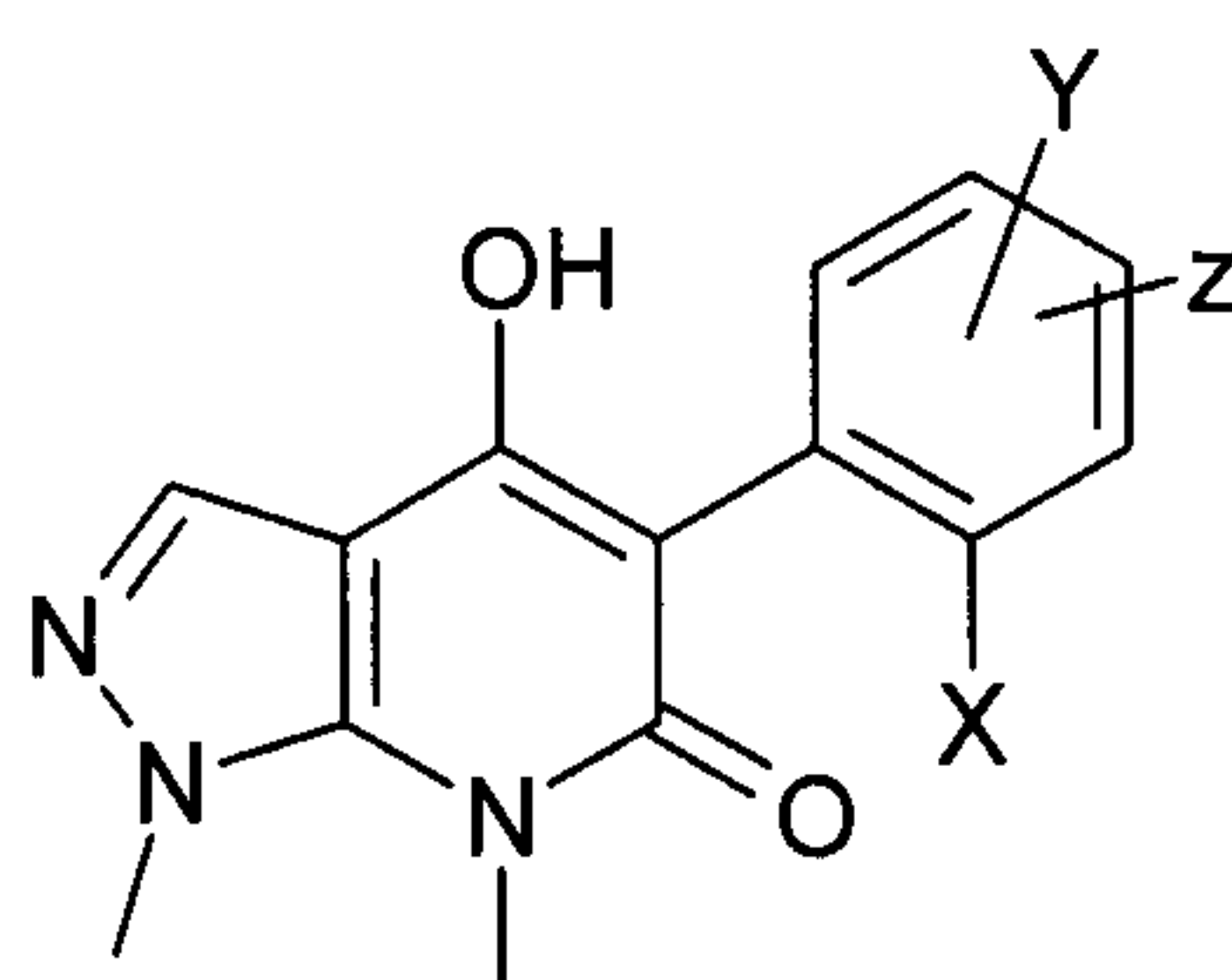
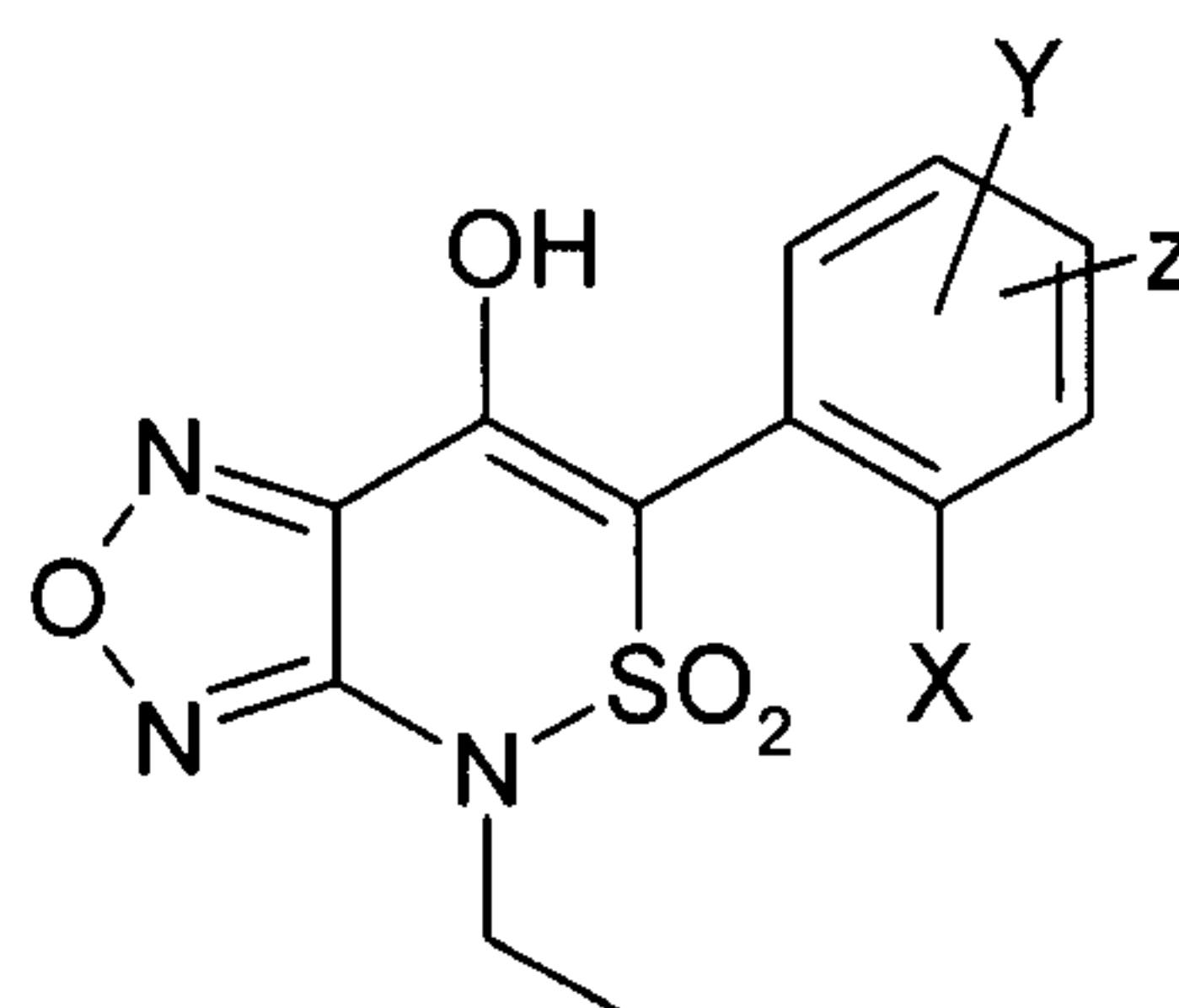


Table 97: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A1, and X, Y and Z are each as defined in table 1:



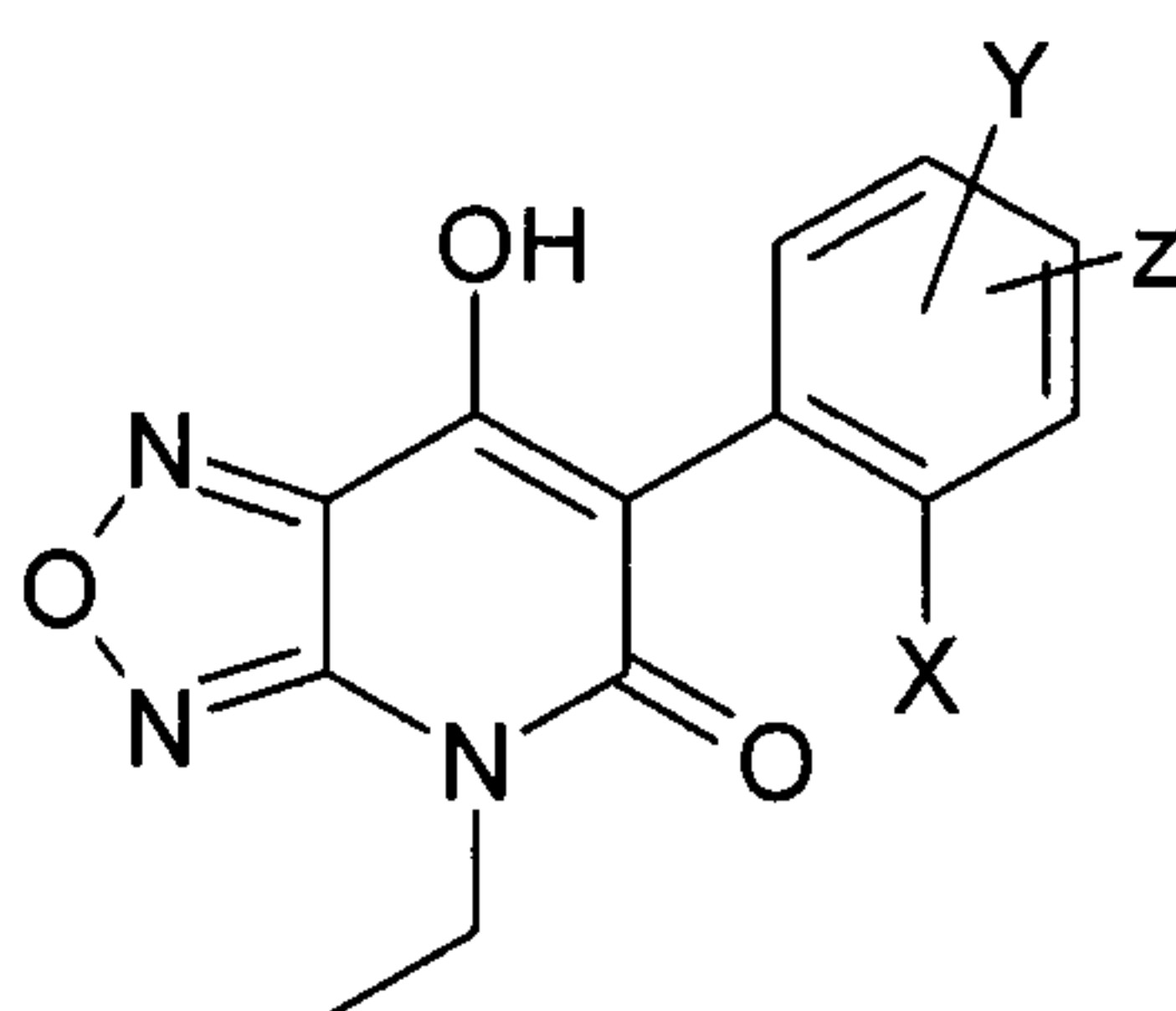
10

Table 98: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A1, and X, Y and Z are each as defined in table 1:

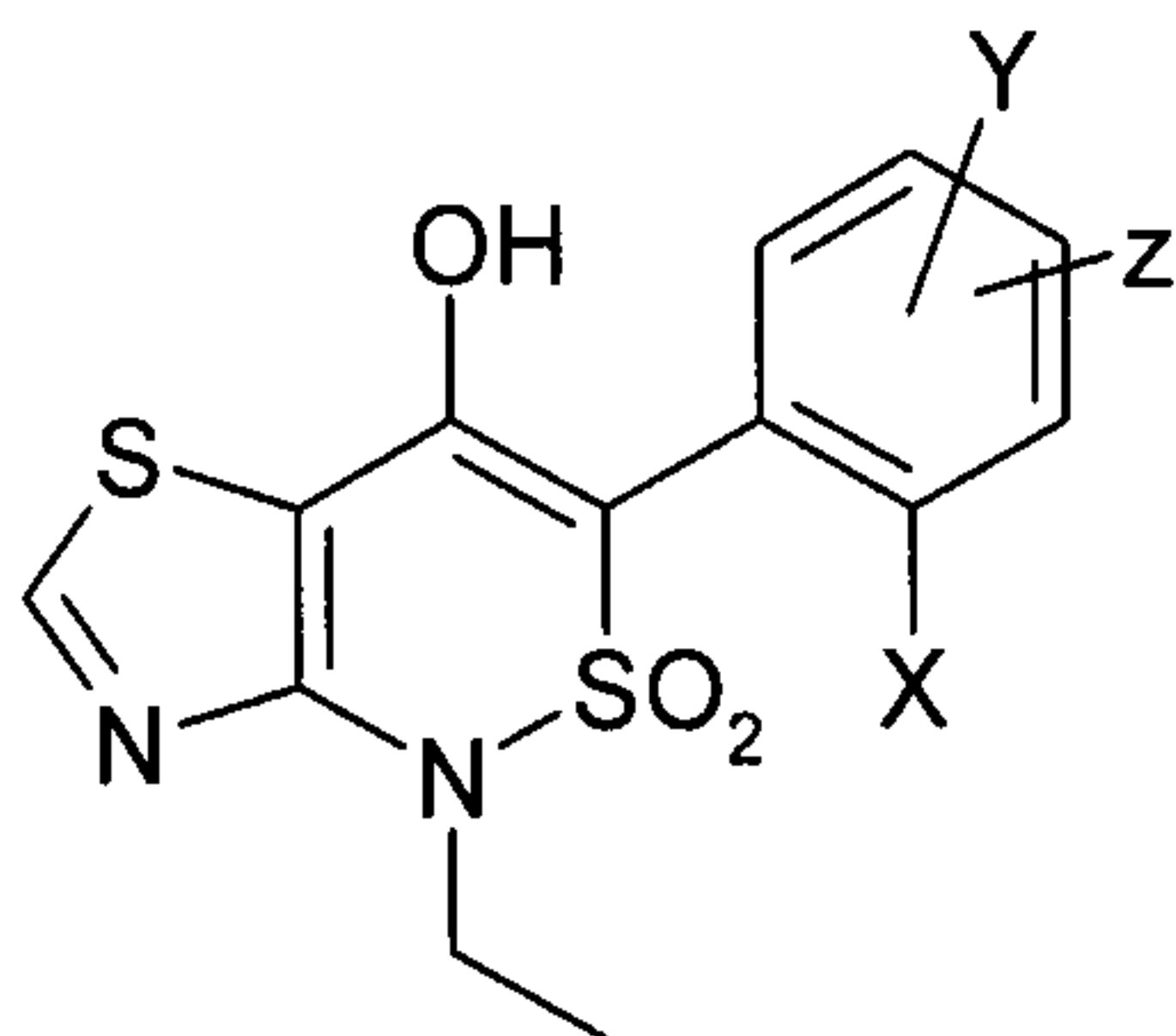
WO 2012/028582

62

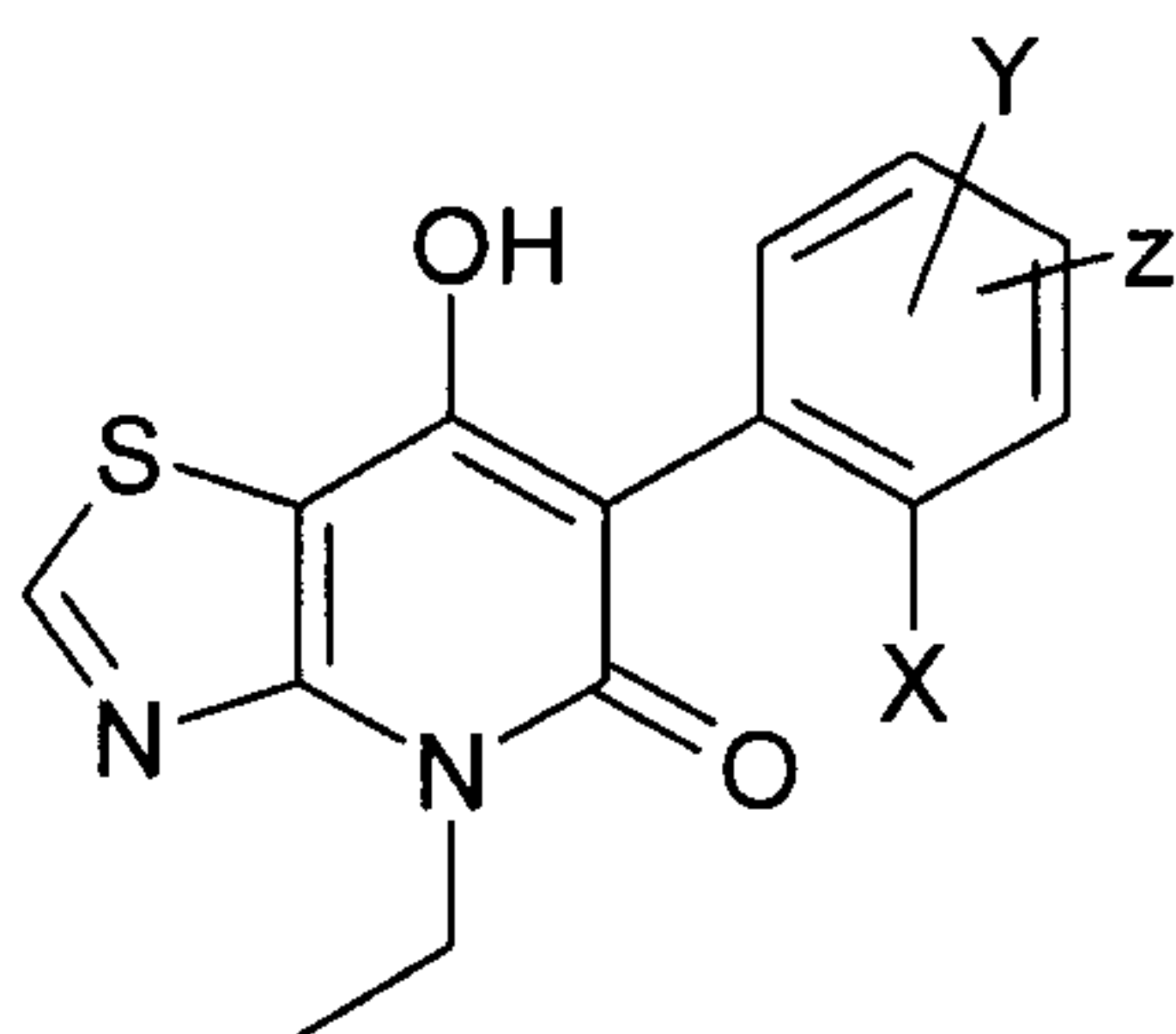
PCT/EP2011/064825



- 5 Table 99: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A2, R^{10} is hydrogen, and X, Y and Z are each as defined in table 1:



- 10 Table 100: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O , W is ethyl, A is A2, R^{10} is hydrogen, and X, Y and Z are each as defined in table 1:



- 15 Table 101: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A2, R^{10} is methylthio, and X, Y and Z are each as defined in table 1:

WO 2012/028582

63

PCT/EP2011/064825

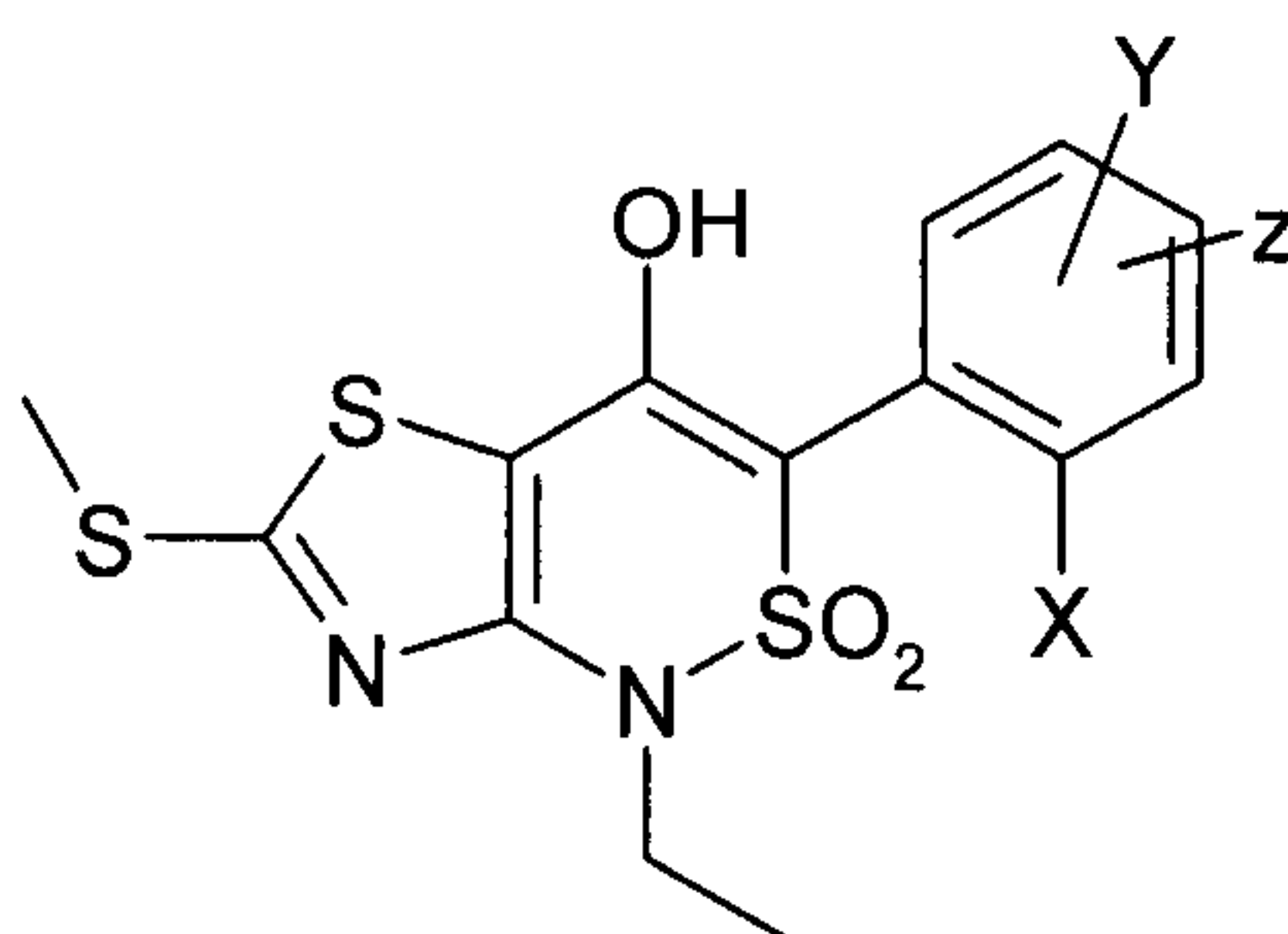


Table 102: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is methylthio, and X, Y and Z are each as defined in
5 table 1:

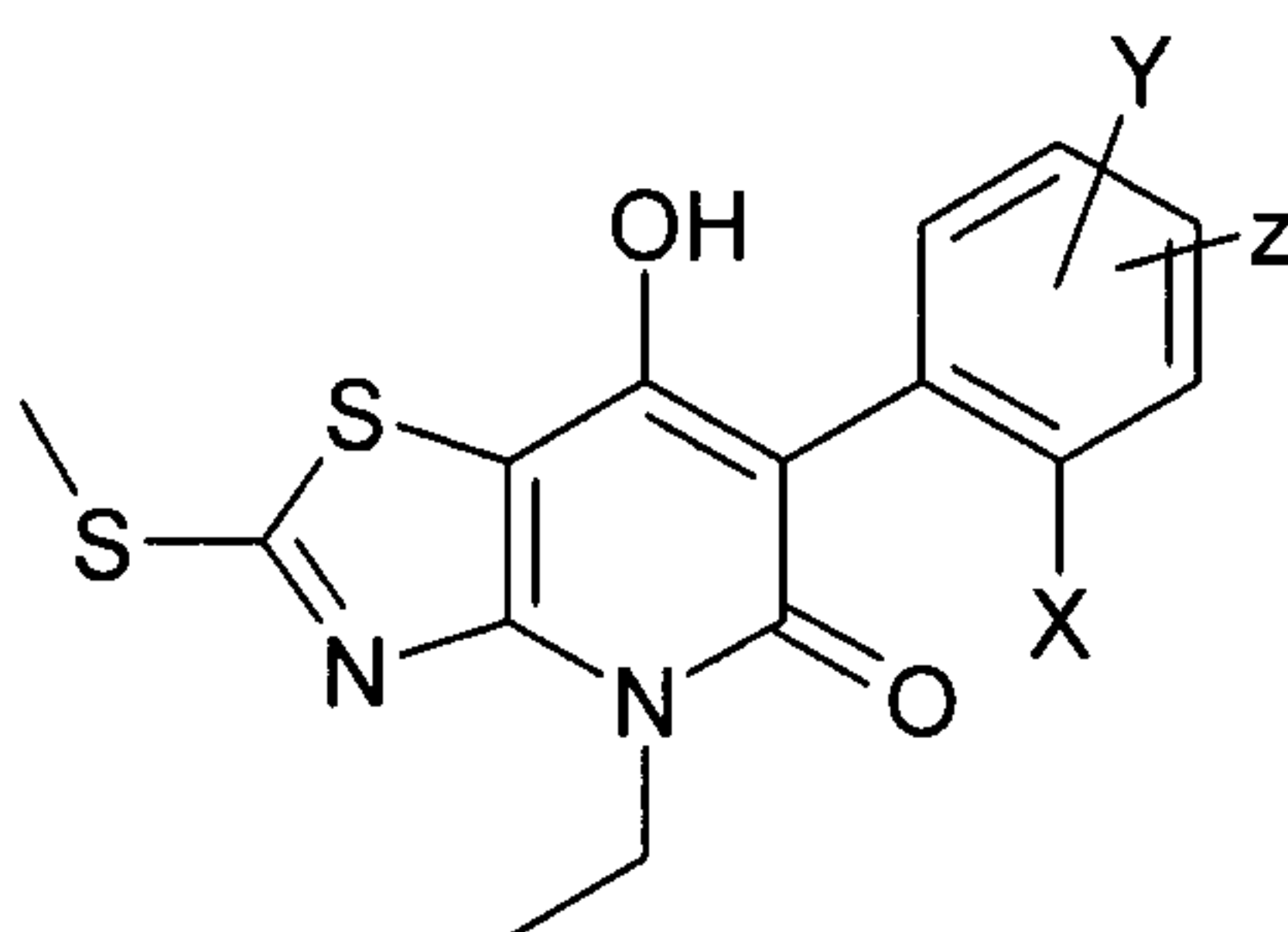


Table 103: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in
10 table 1:

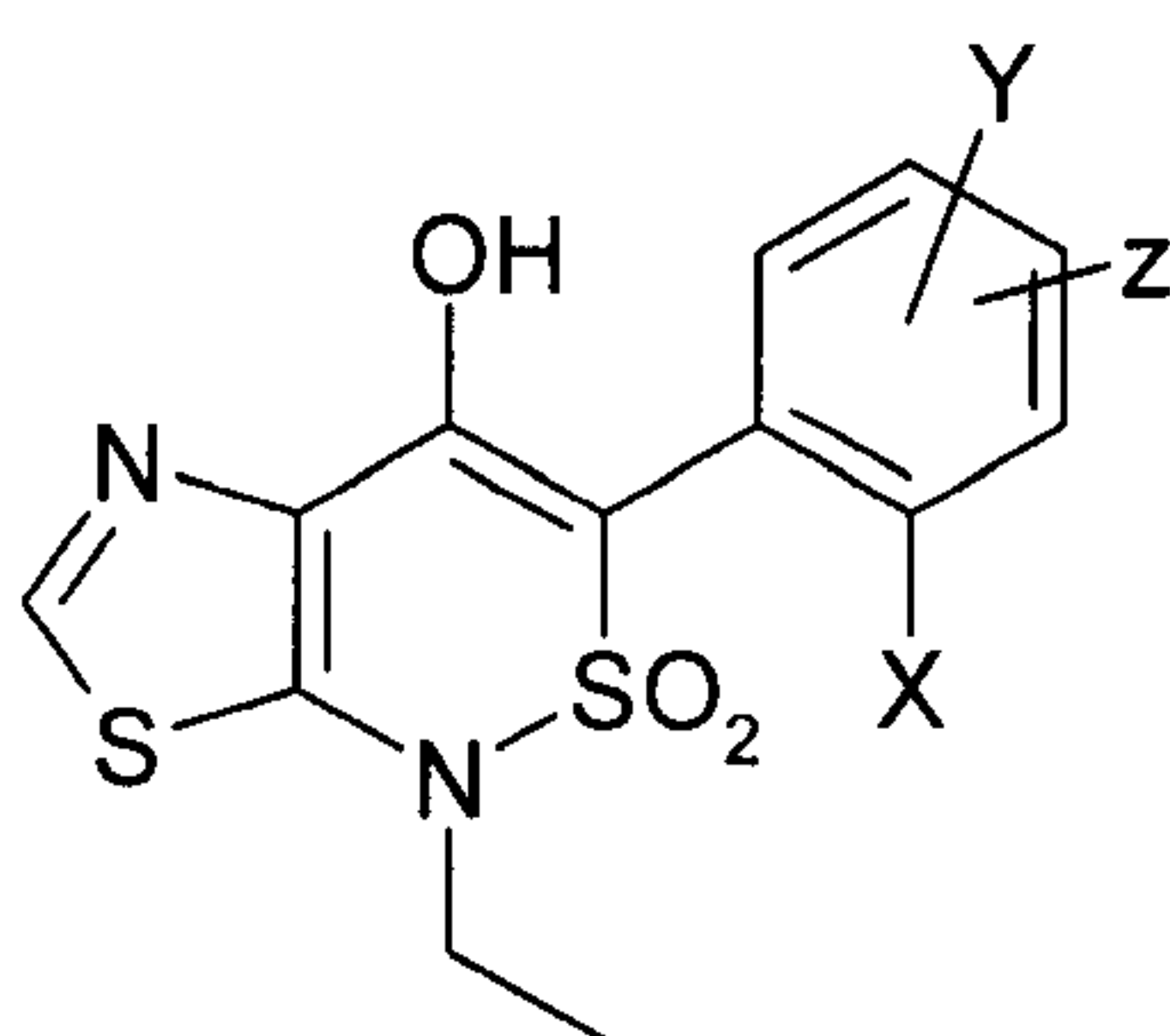


Table 104: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A3, R¹⁰ is hydrogen, and X, Y and Z are each as defined in
15 table 1:

WO 2012/028582

64

PCT/EP2011/064825

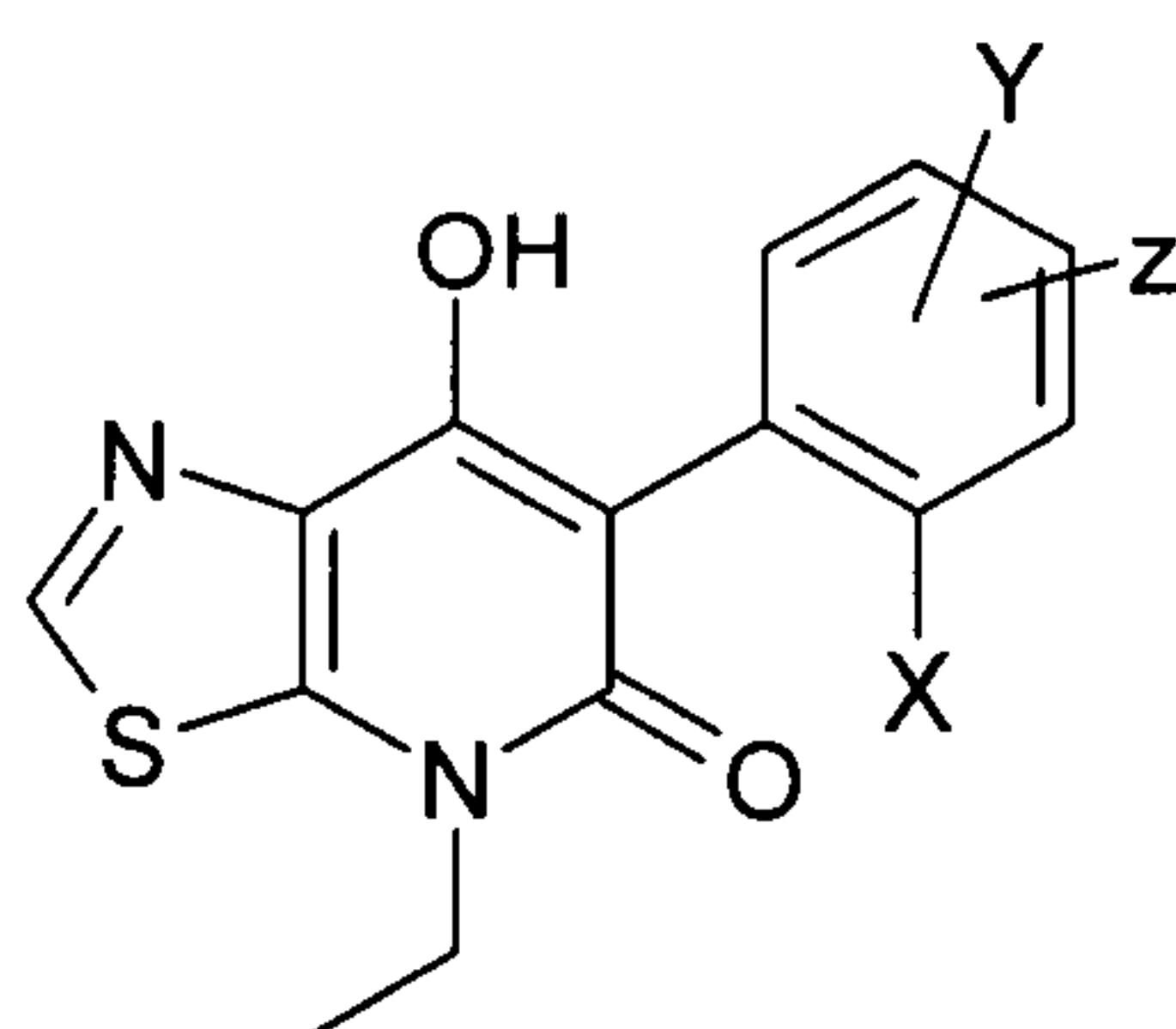


Table 105: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

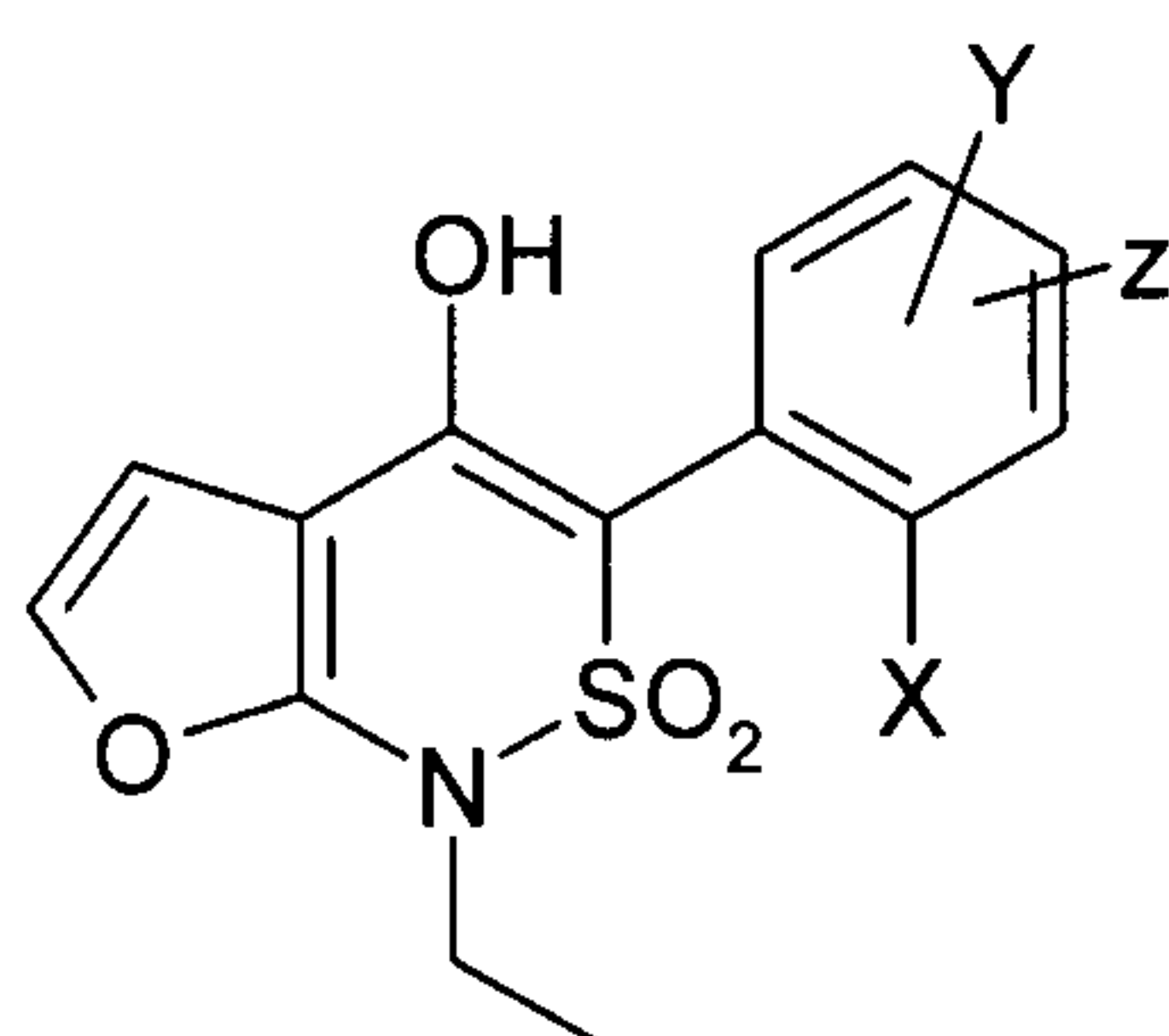


Table 106: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A4, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as defined in table 1:

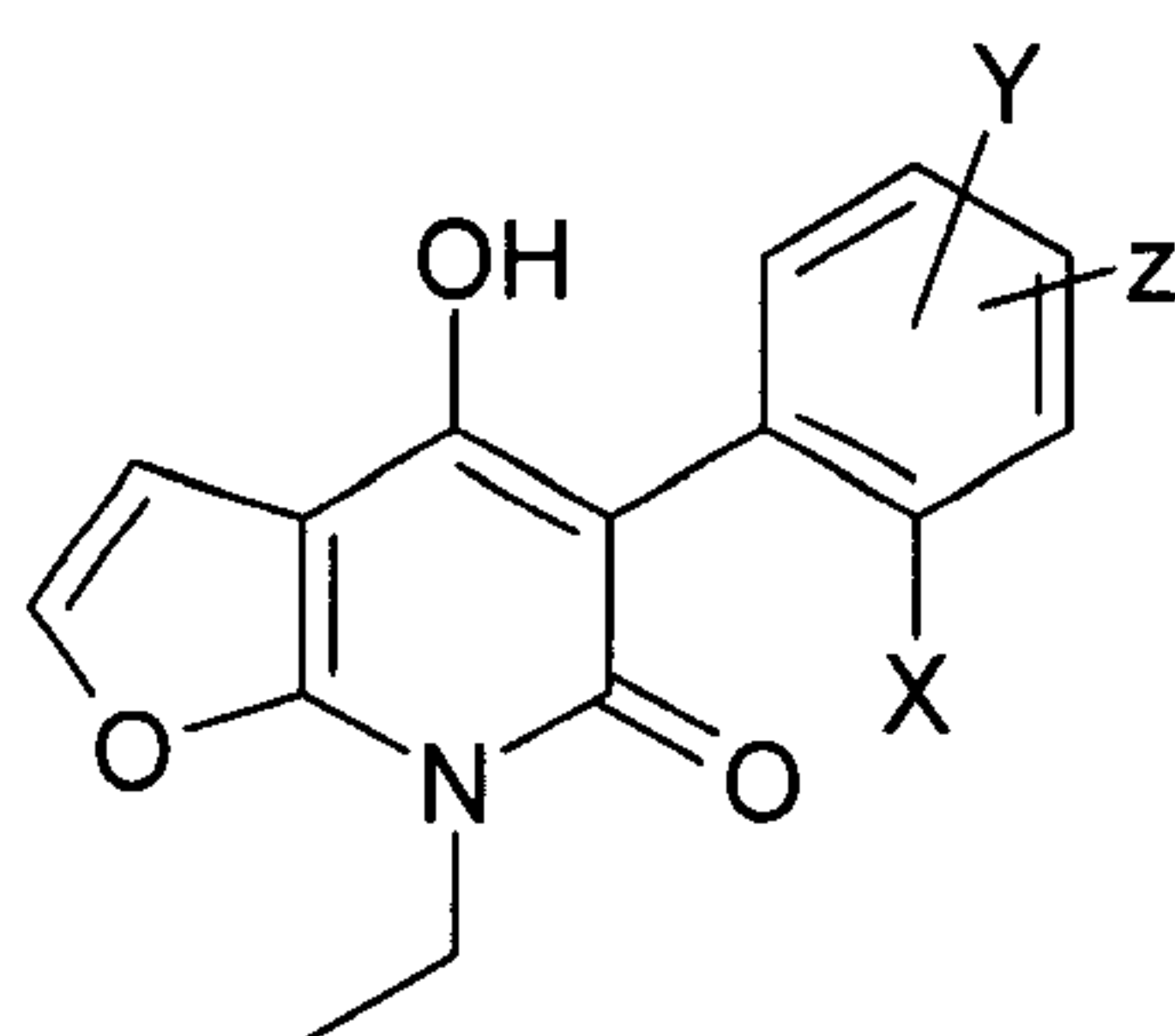


Table 107: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

65

PCT/EP2011/064825

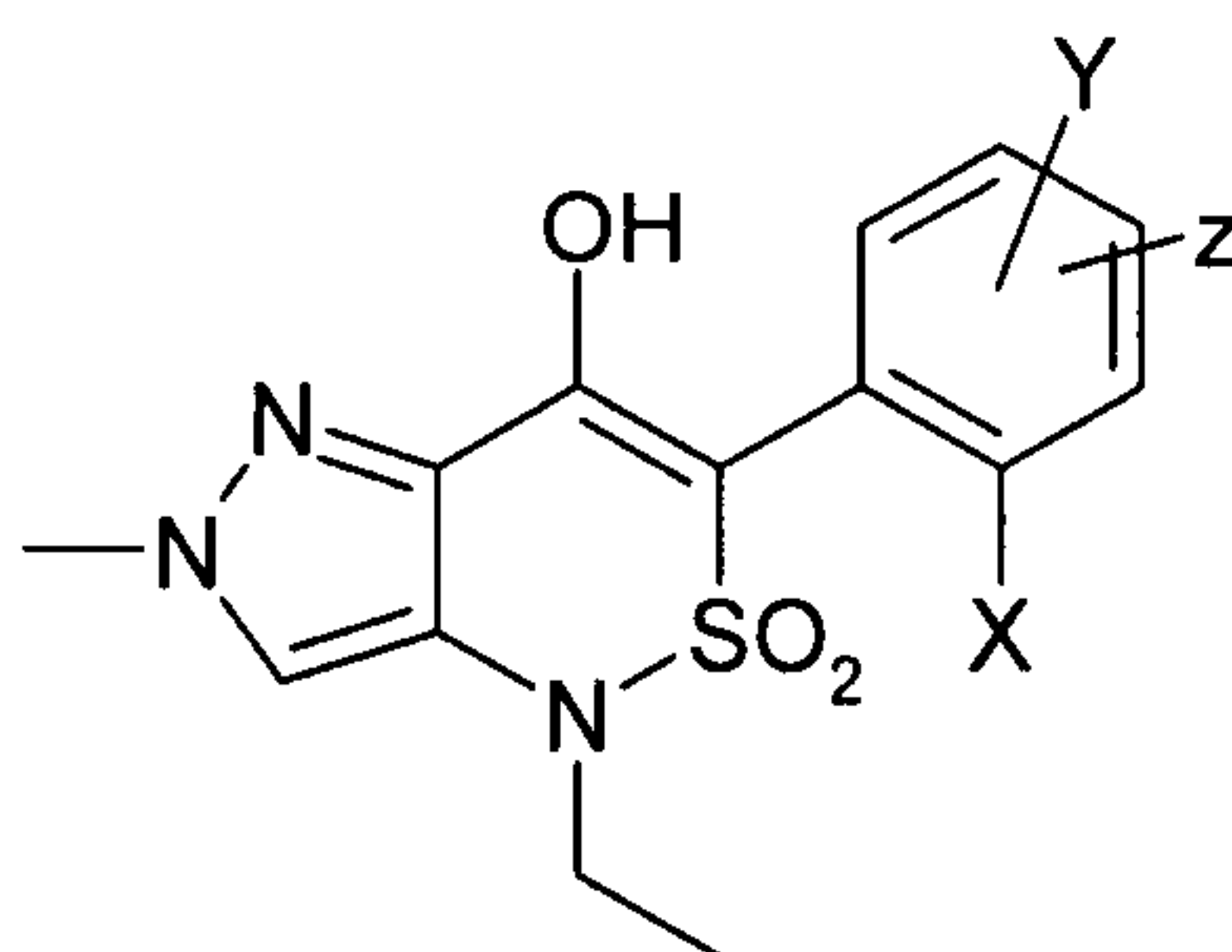


Table 108: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A5, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

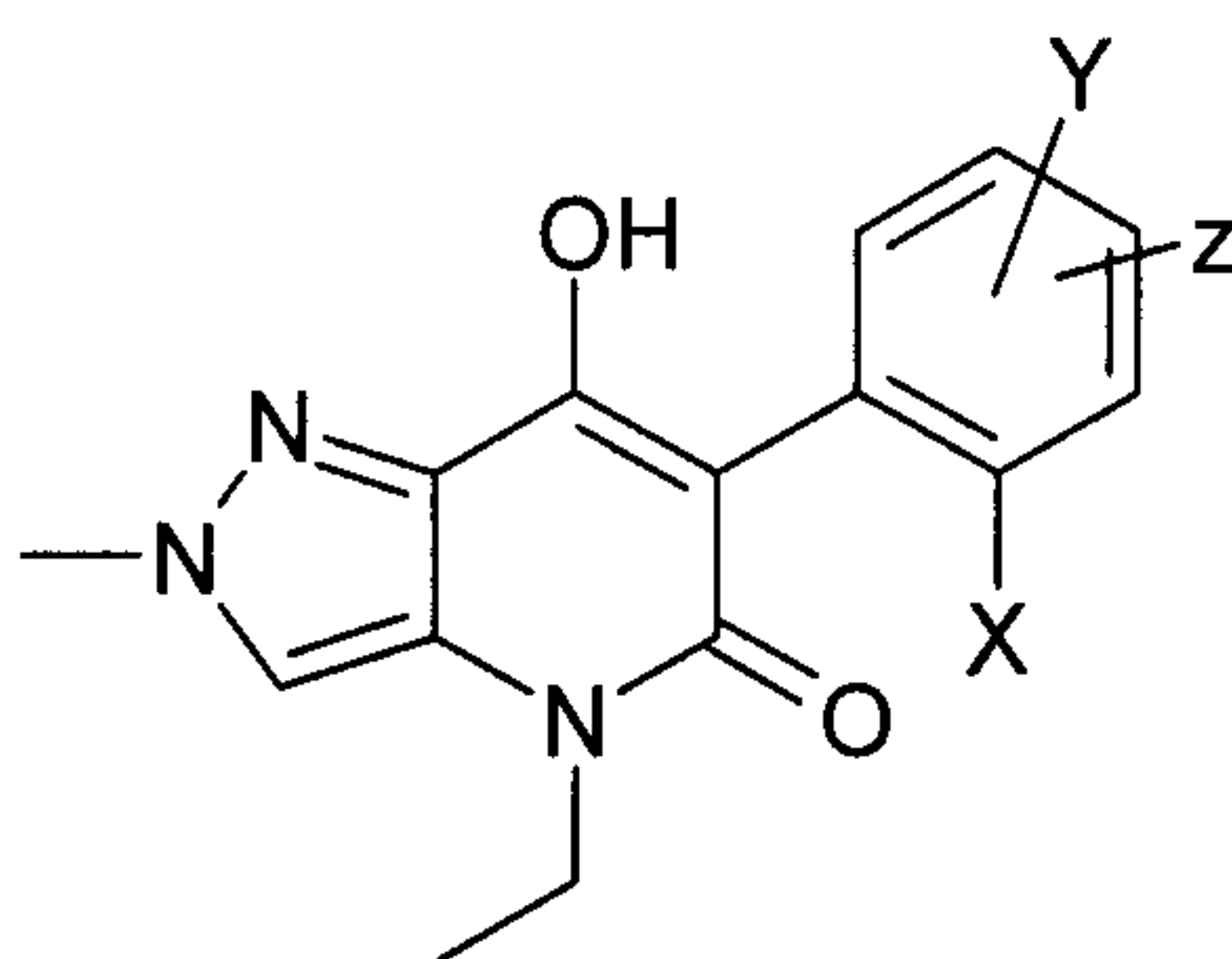


Table 109: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

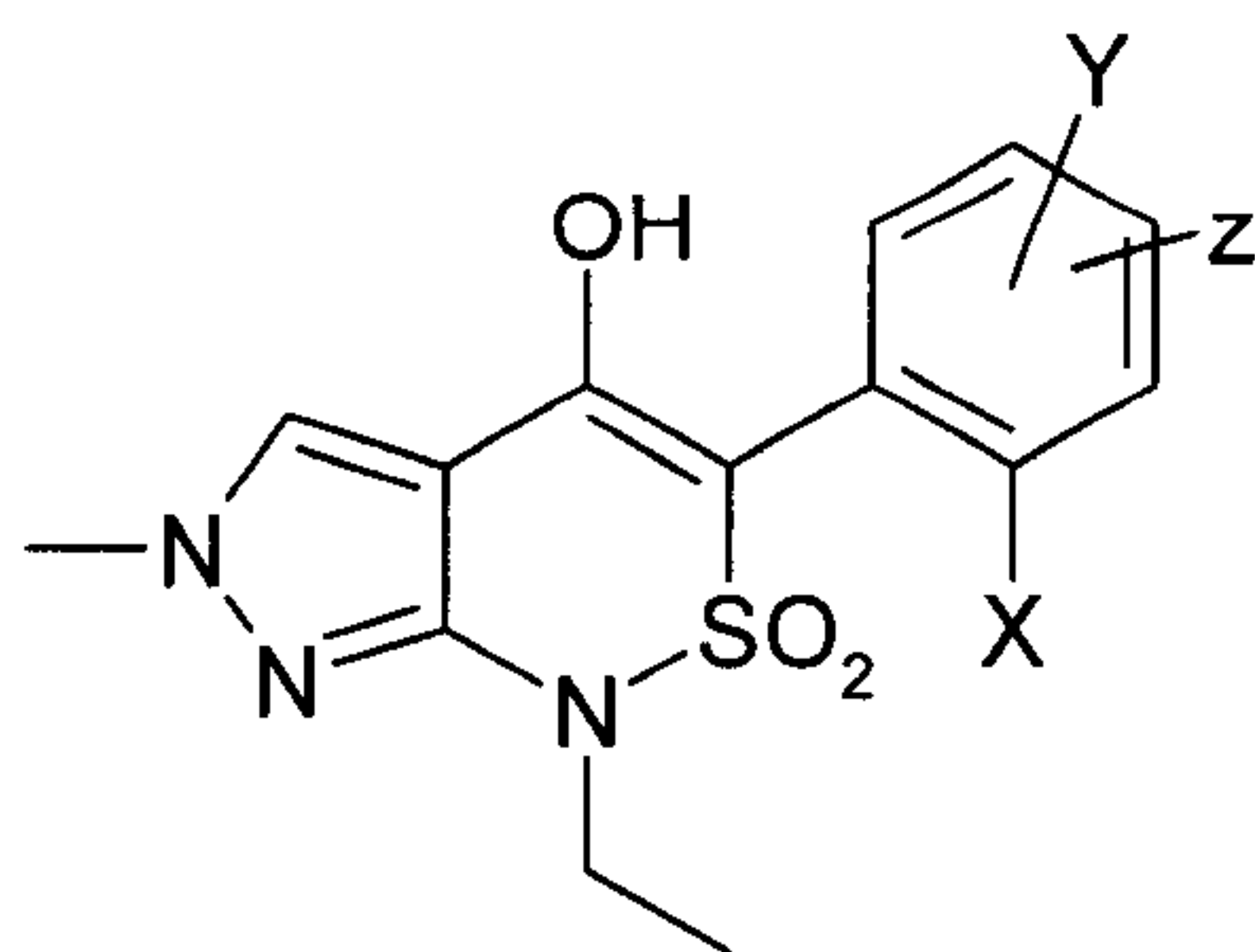


Table 110: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A6, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

66

PCT/EP2011/064825

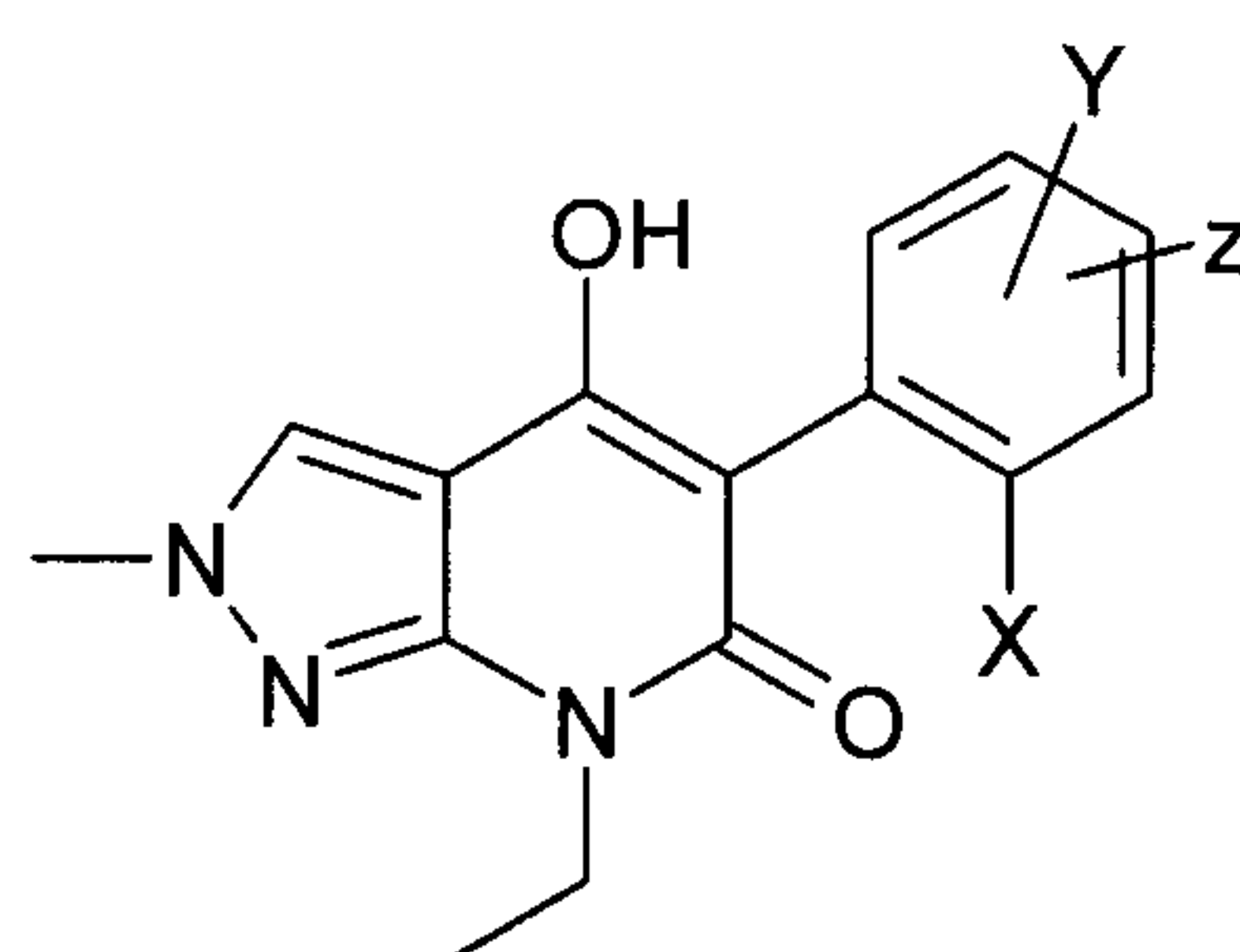


Table 111: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is ethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each as
 5 defined in table 1:

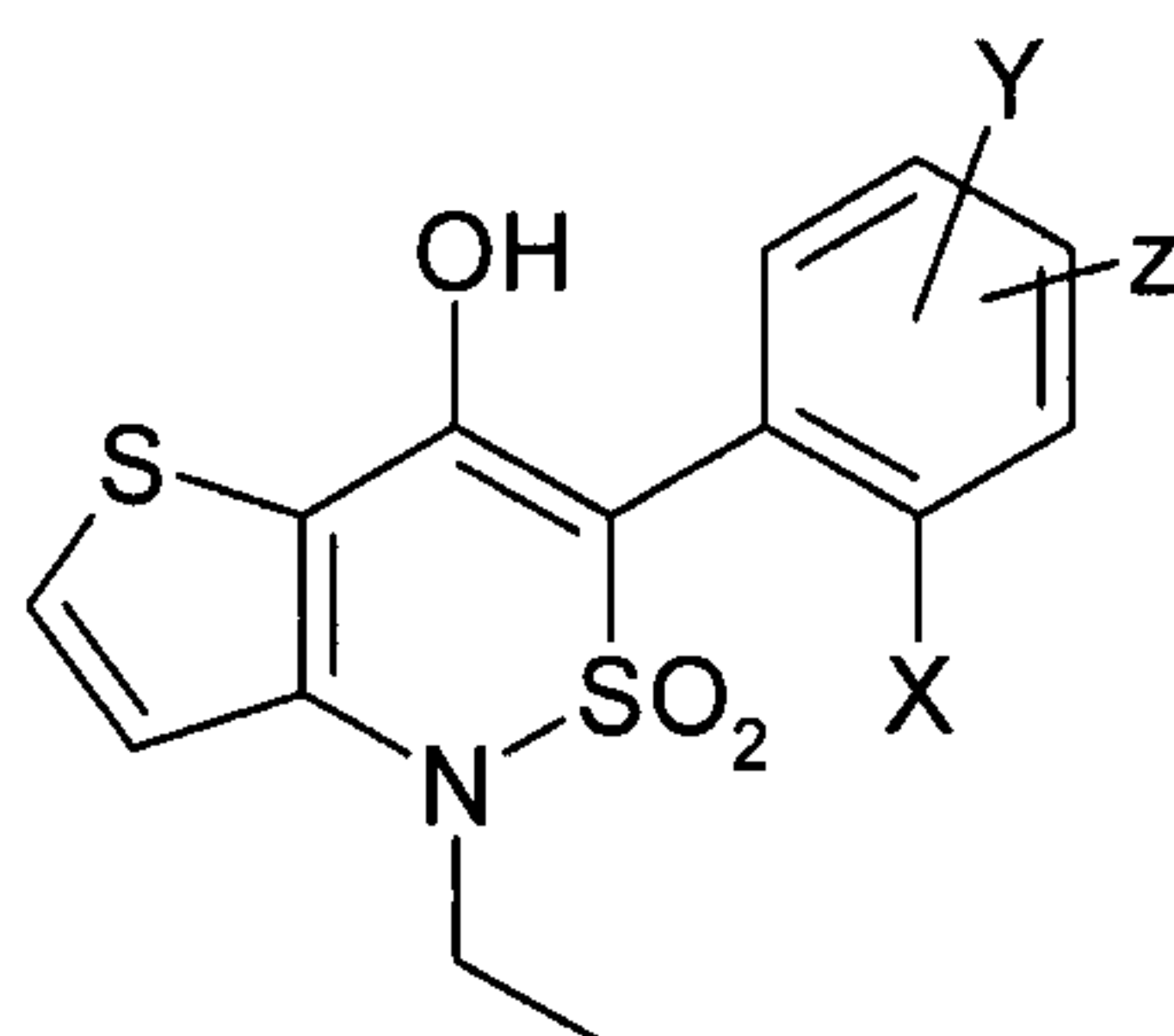


Table 112: Inventive compounds of the formula (I) in which G is hydrogen, V is
 C=O, W is ethyl, A is A7, R¹² and R¹³ are each hydrogen, and X, Y and Z are each
 10 as defined in table 1:

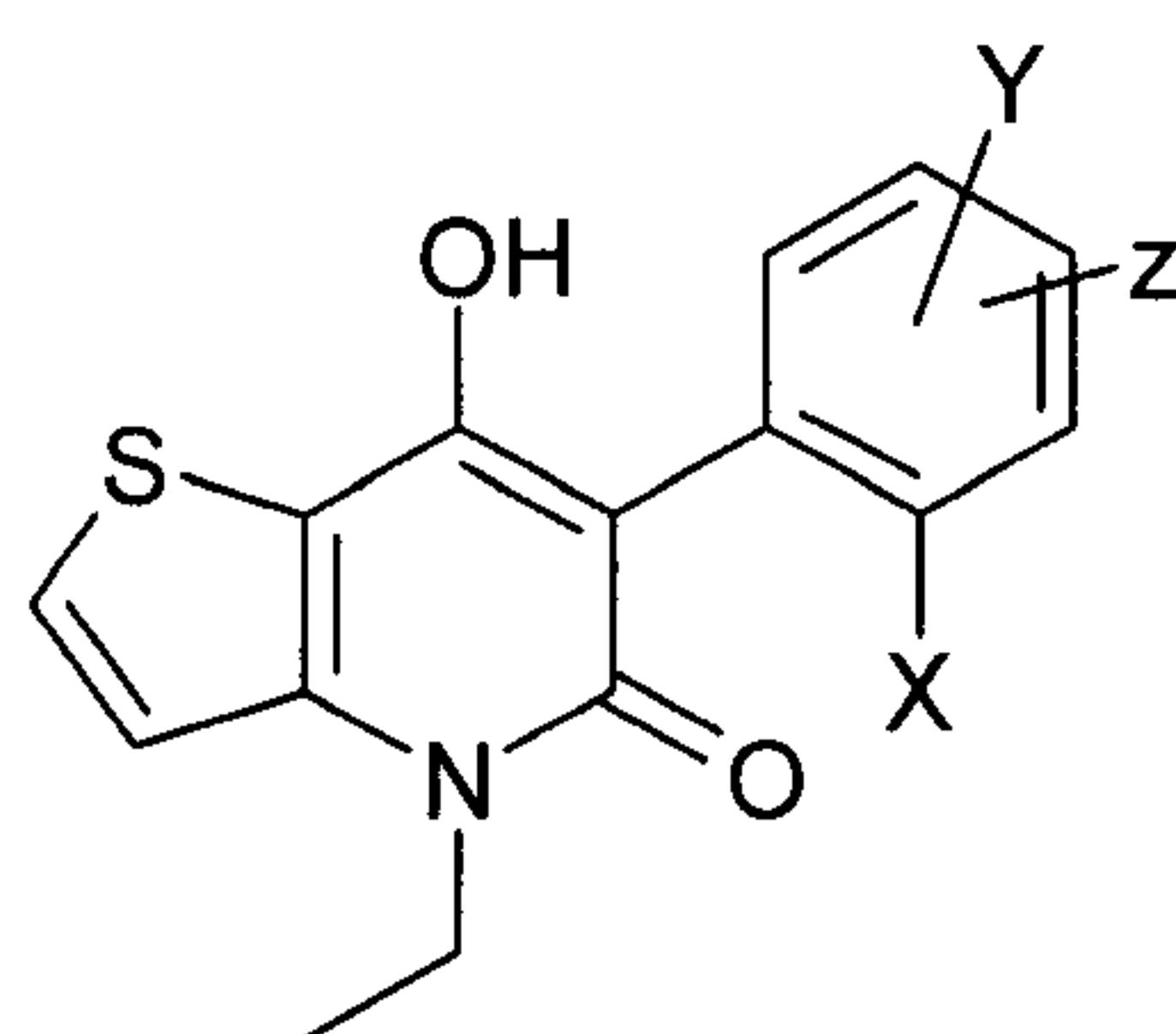


Table 113: Inventive compounds of the formula (I) in which G is hydrogen, V is
 SO₂, W is ethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as
 15 defined in table 1:

WO 2012/028582

67

PCT/EP2011/064825

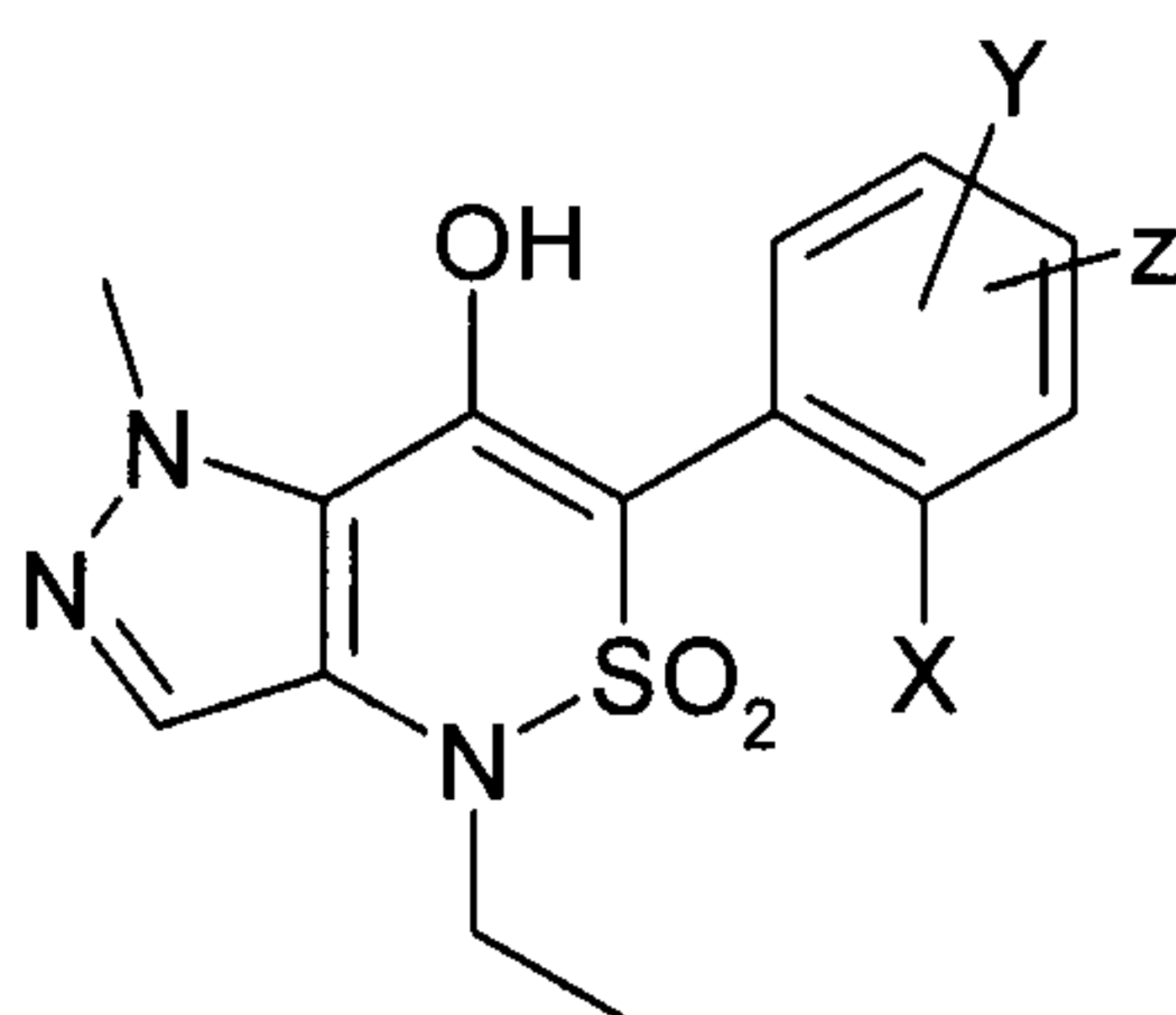


Table 114: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A8, R¹¹ is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as defined in table 1:

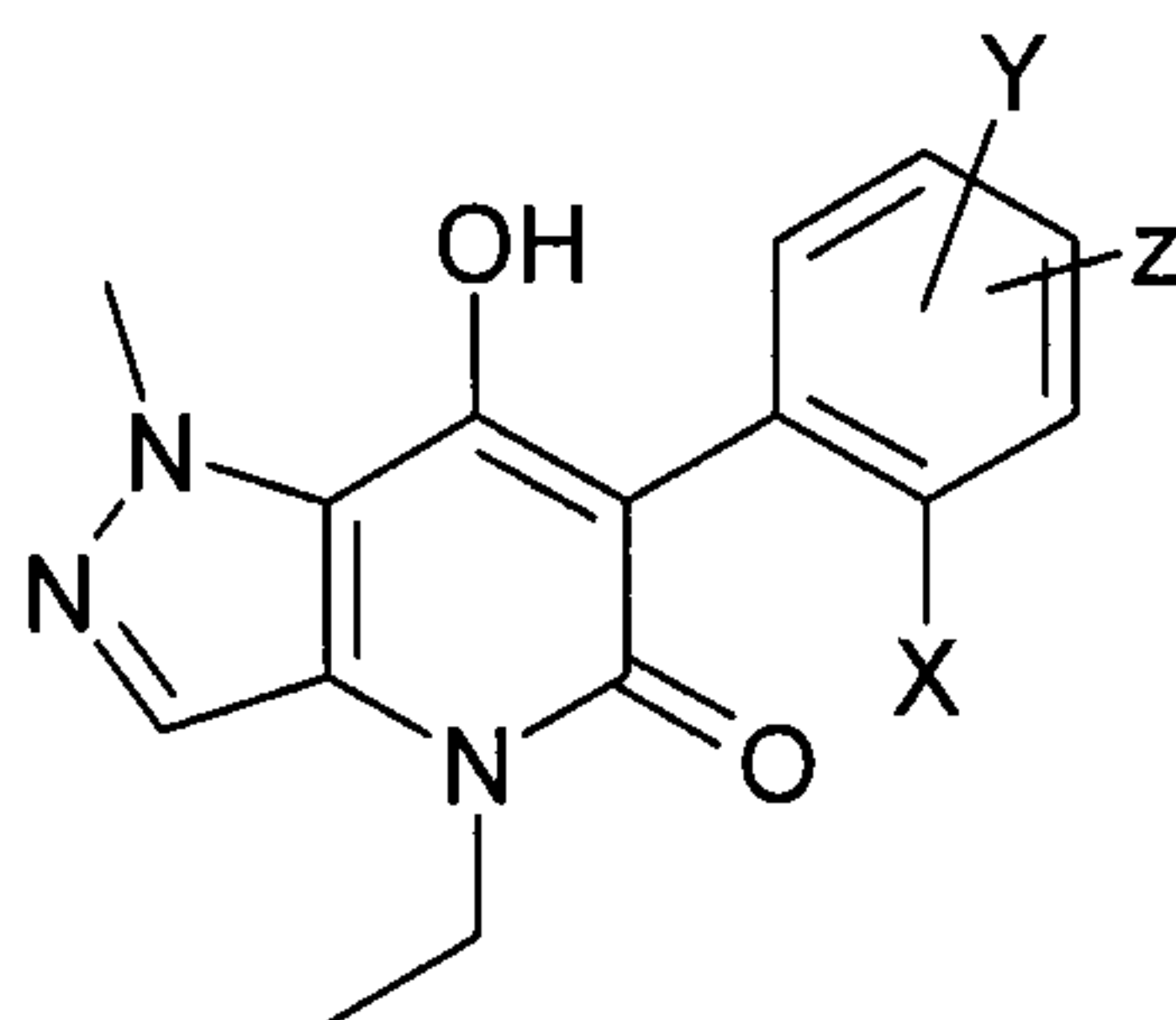


Table 115: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

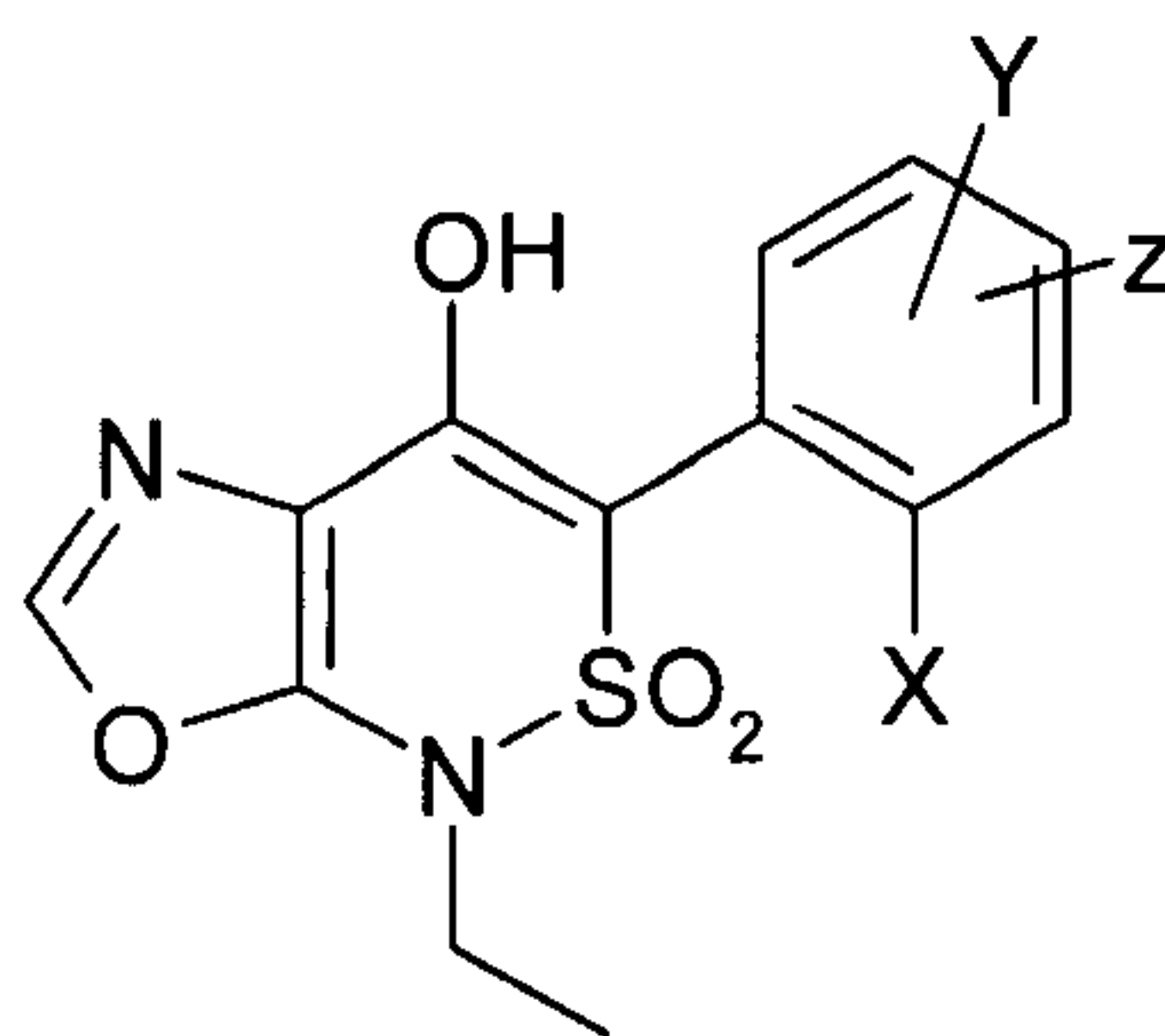


Table 116: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A9, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:

WO 2012/028582

68

PCT/EP2011/064825

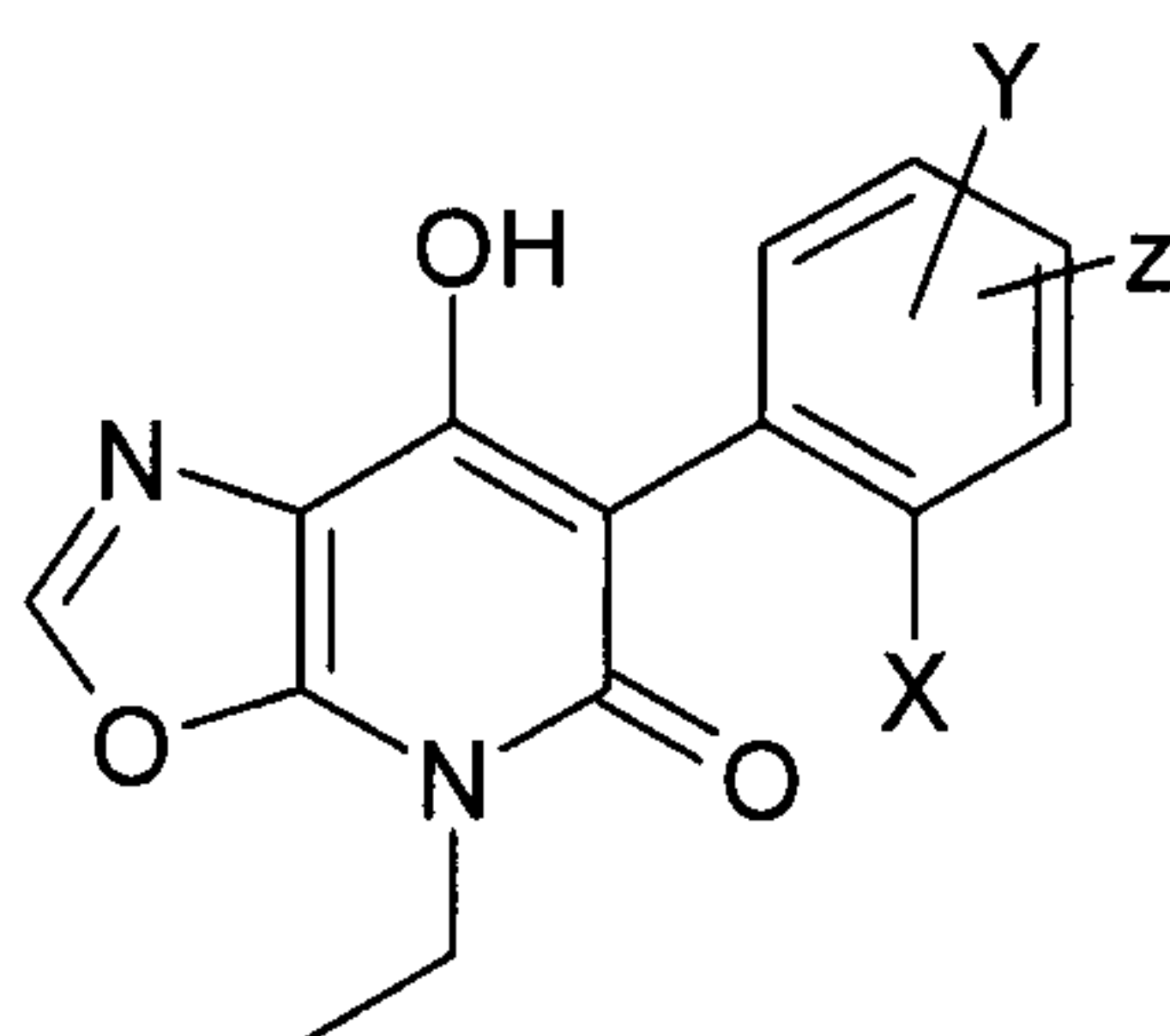


Table 117: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each

5 as defined in table 1:

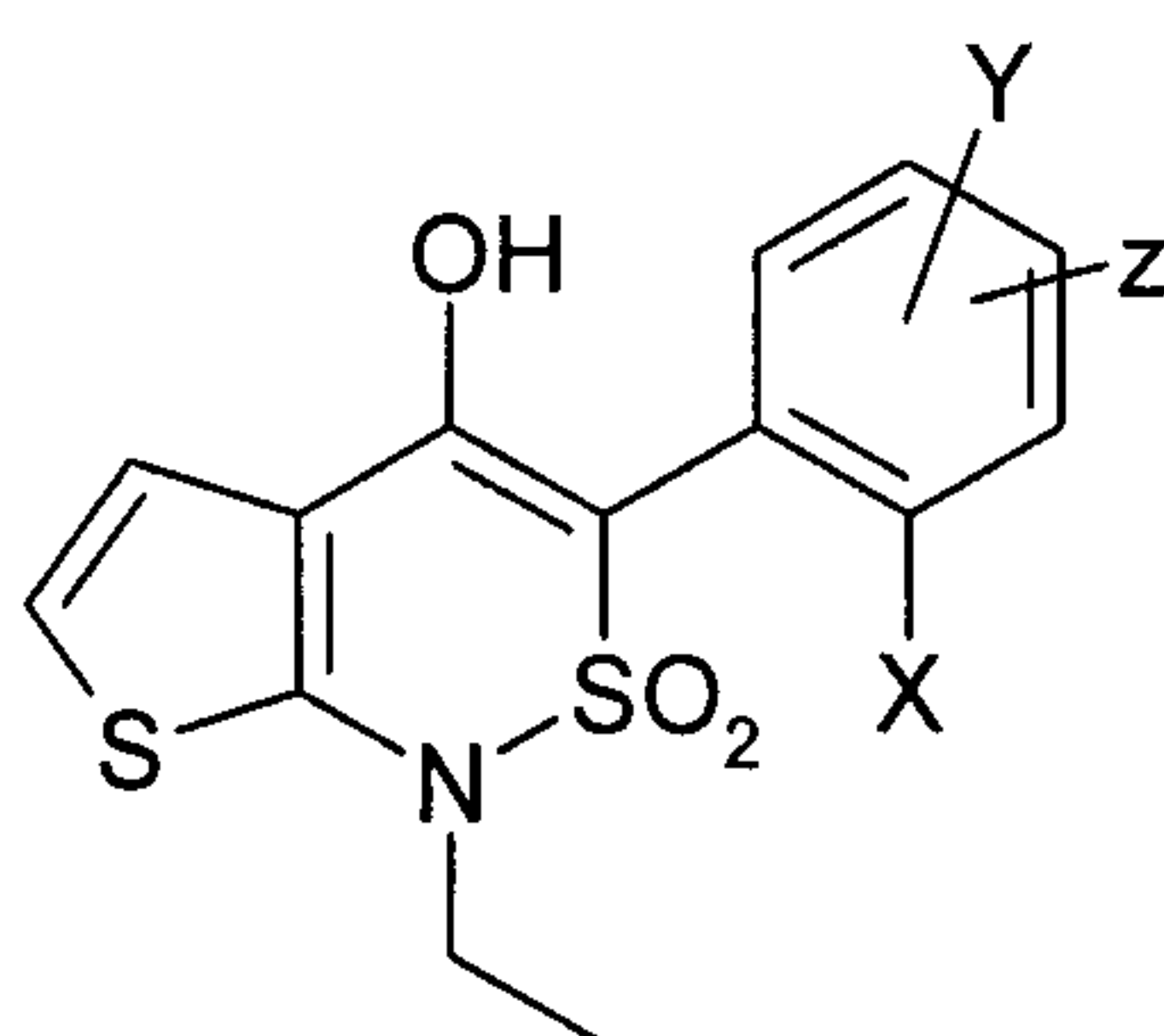


Table 118: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A10, R¹² and R¹³ are each hydrogen, and X, Y and Z are each

10 as defined in table 1:

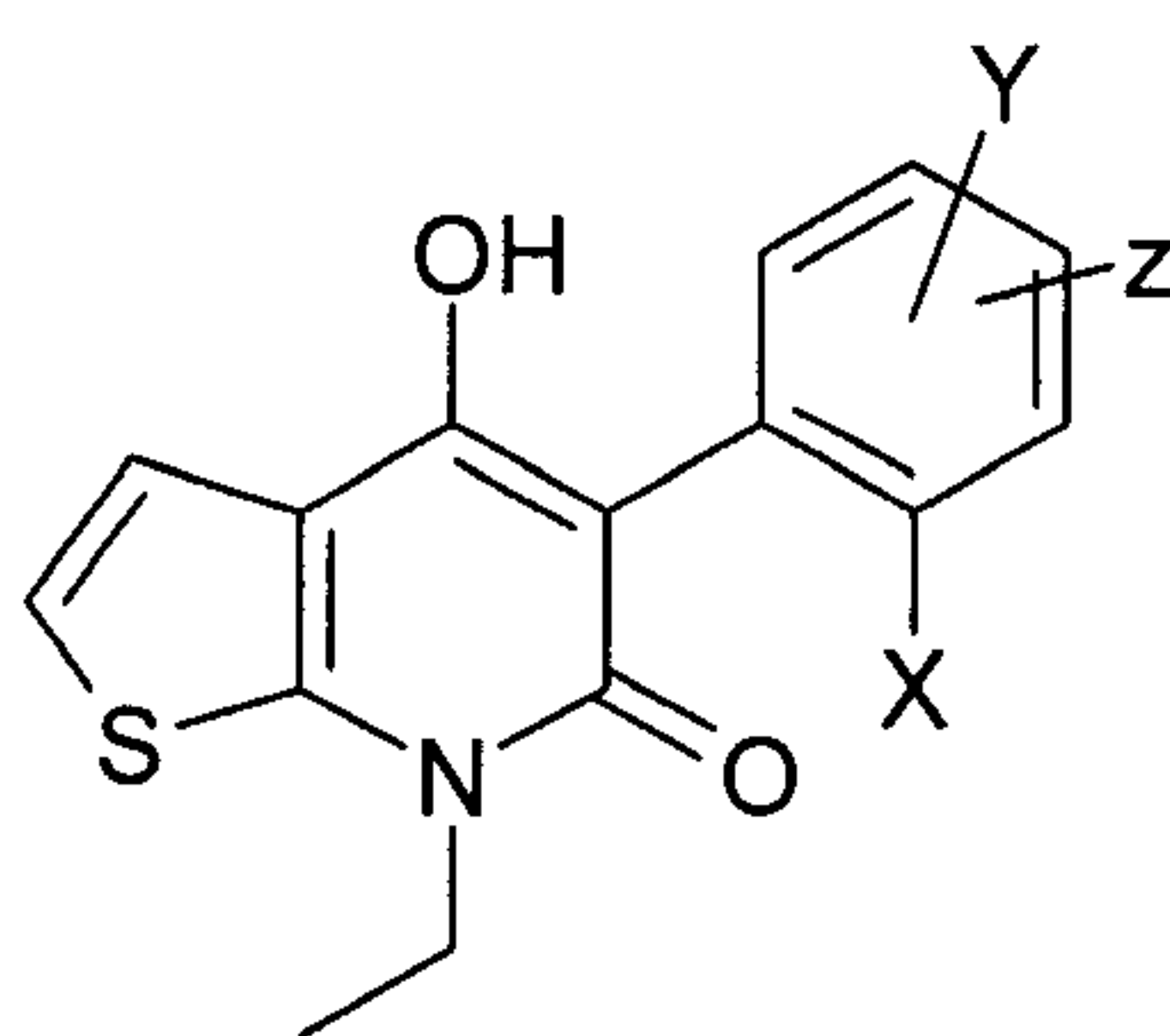


Table 119: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each as

15 defined in table 1:

WO 2012/028582

69

PCT/EP2011/064825

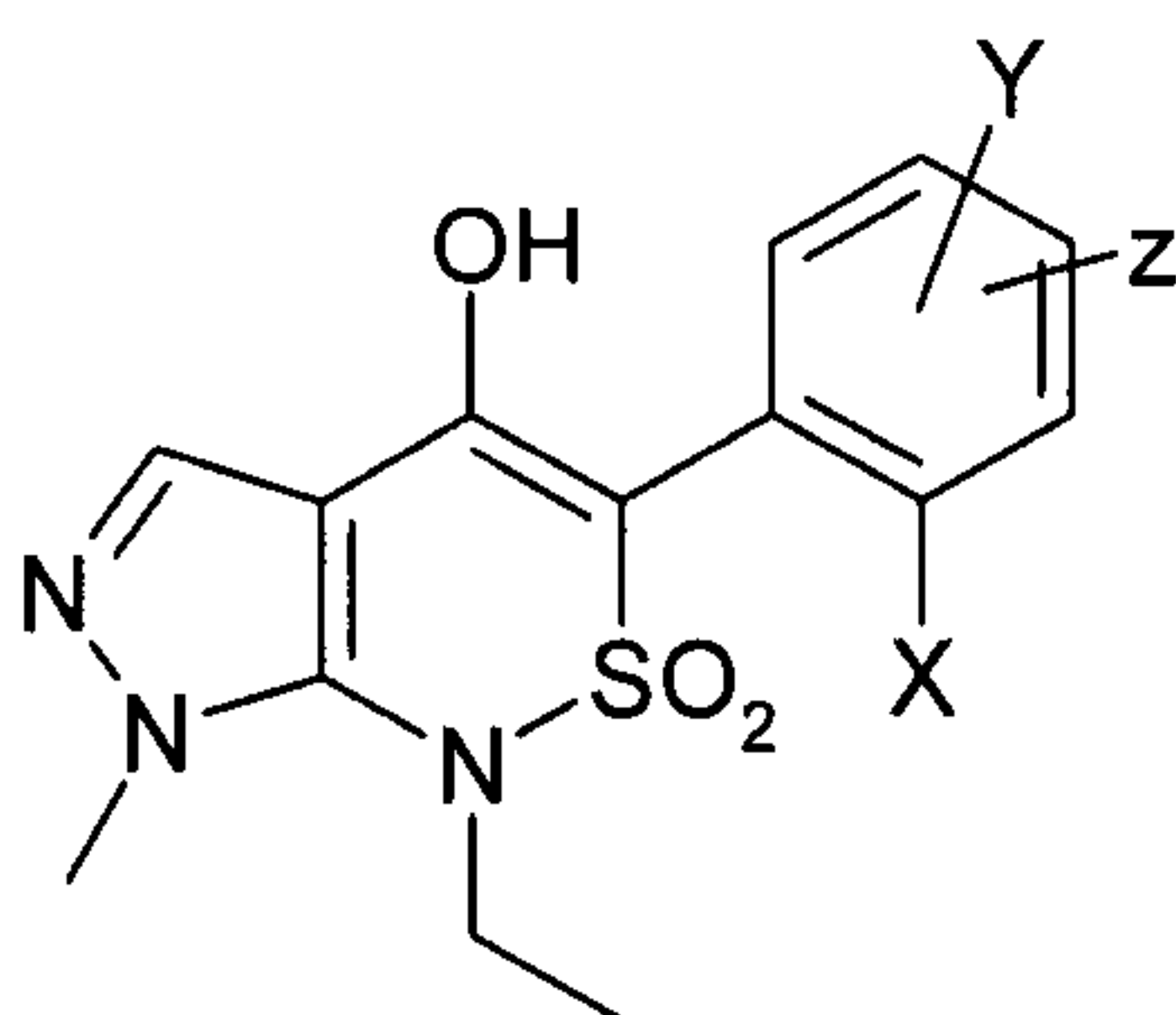


Table 120: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A11, R¹² is hydrogen, R¹⁴ is methyl, and X, Y and Z are each
5 as defined in table 1:

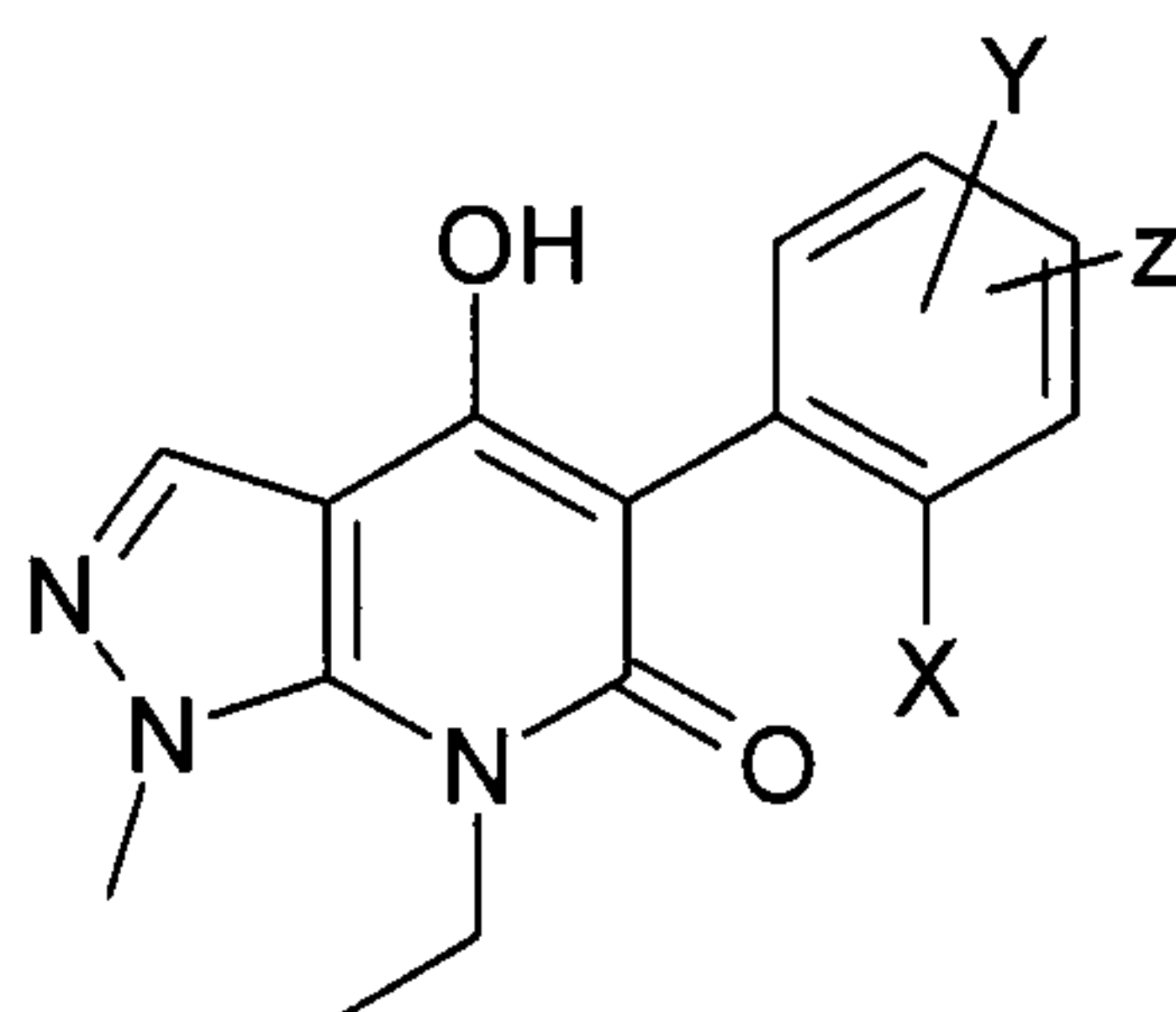
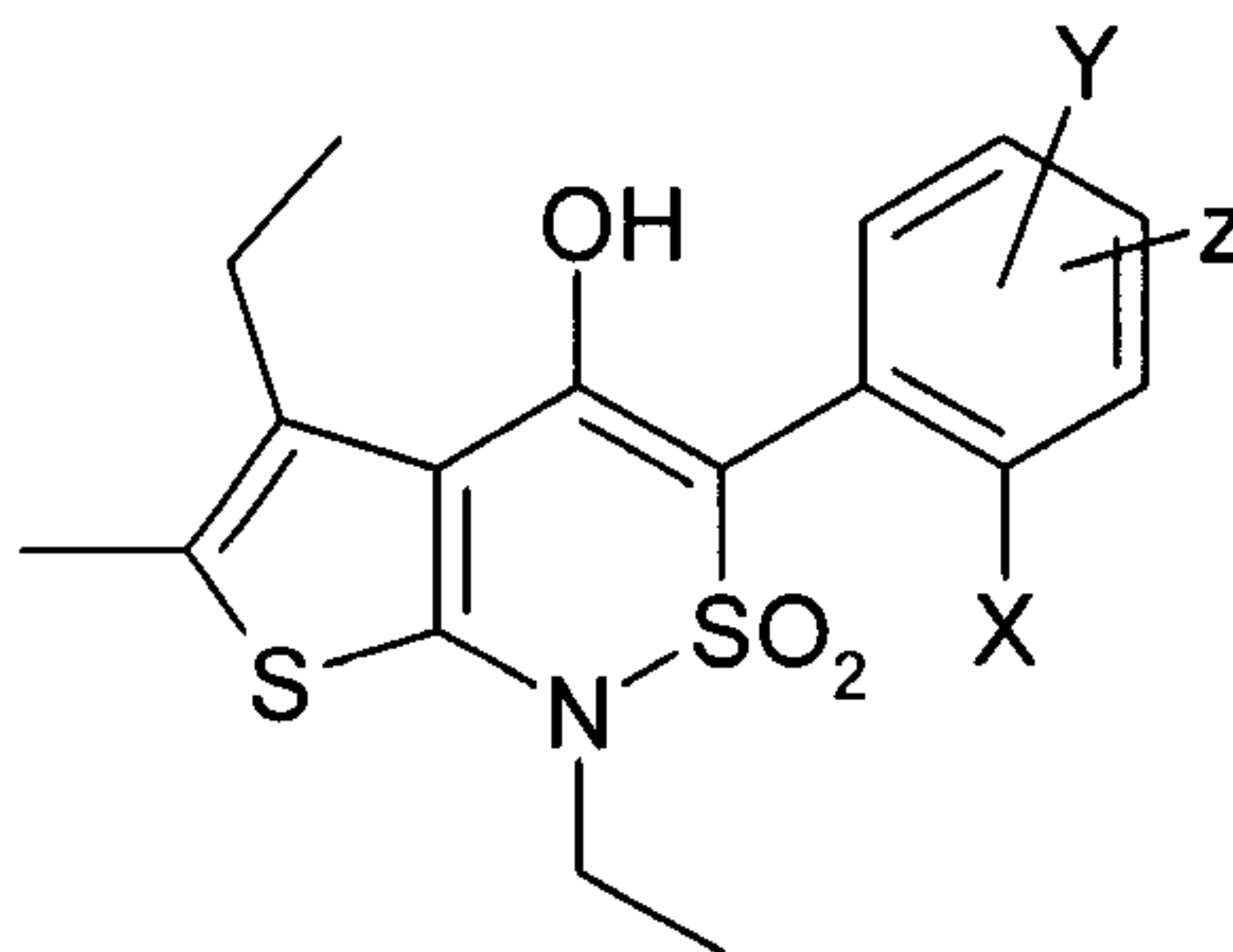


Table 121: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as
defined in table 1:



10

Table 122: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as
defined in table 1:

WO 2012/028582

70

PCT/EP2011/064825

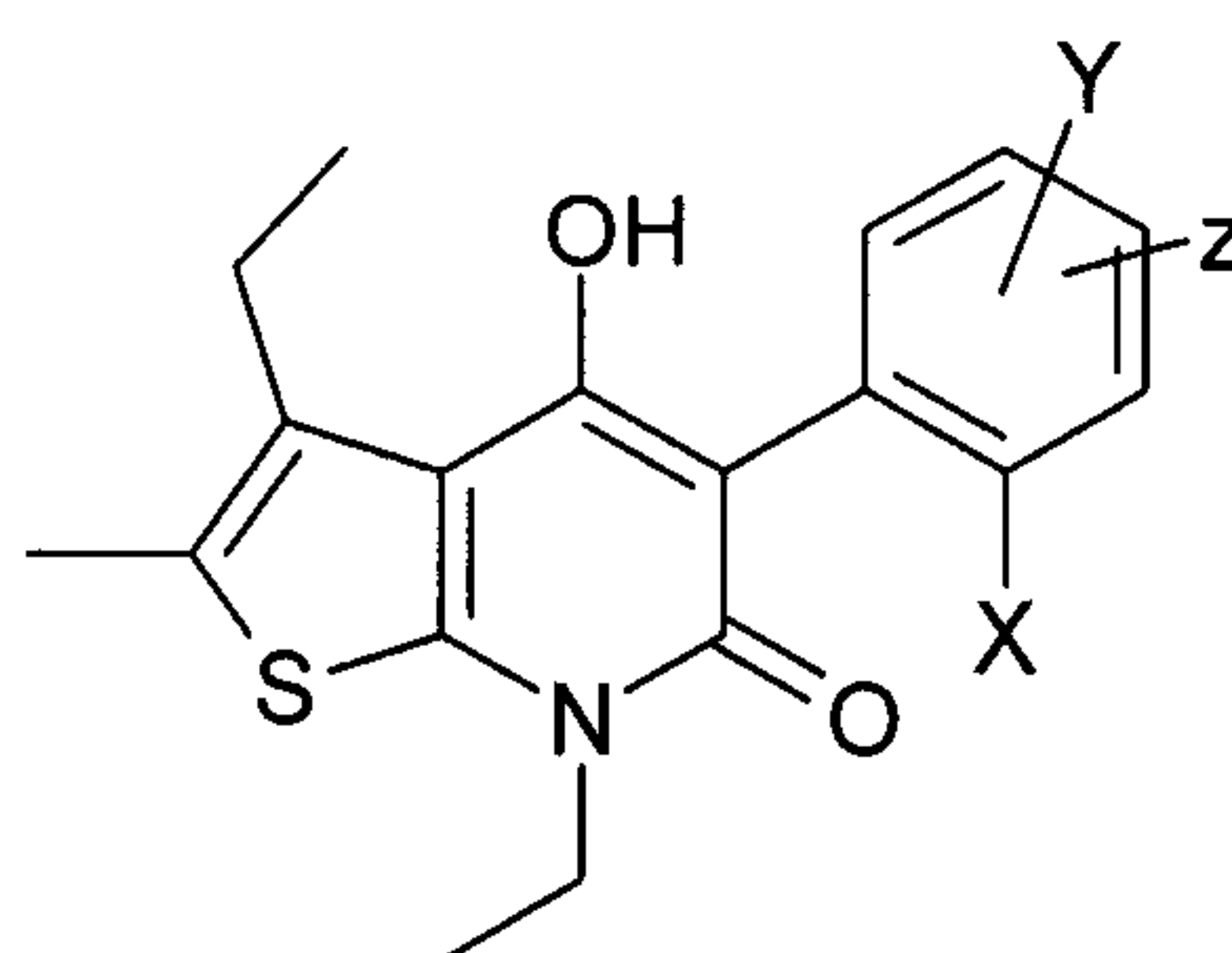
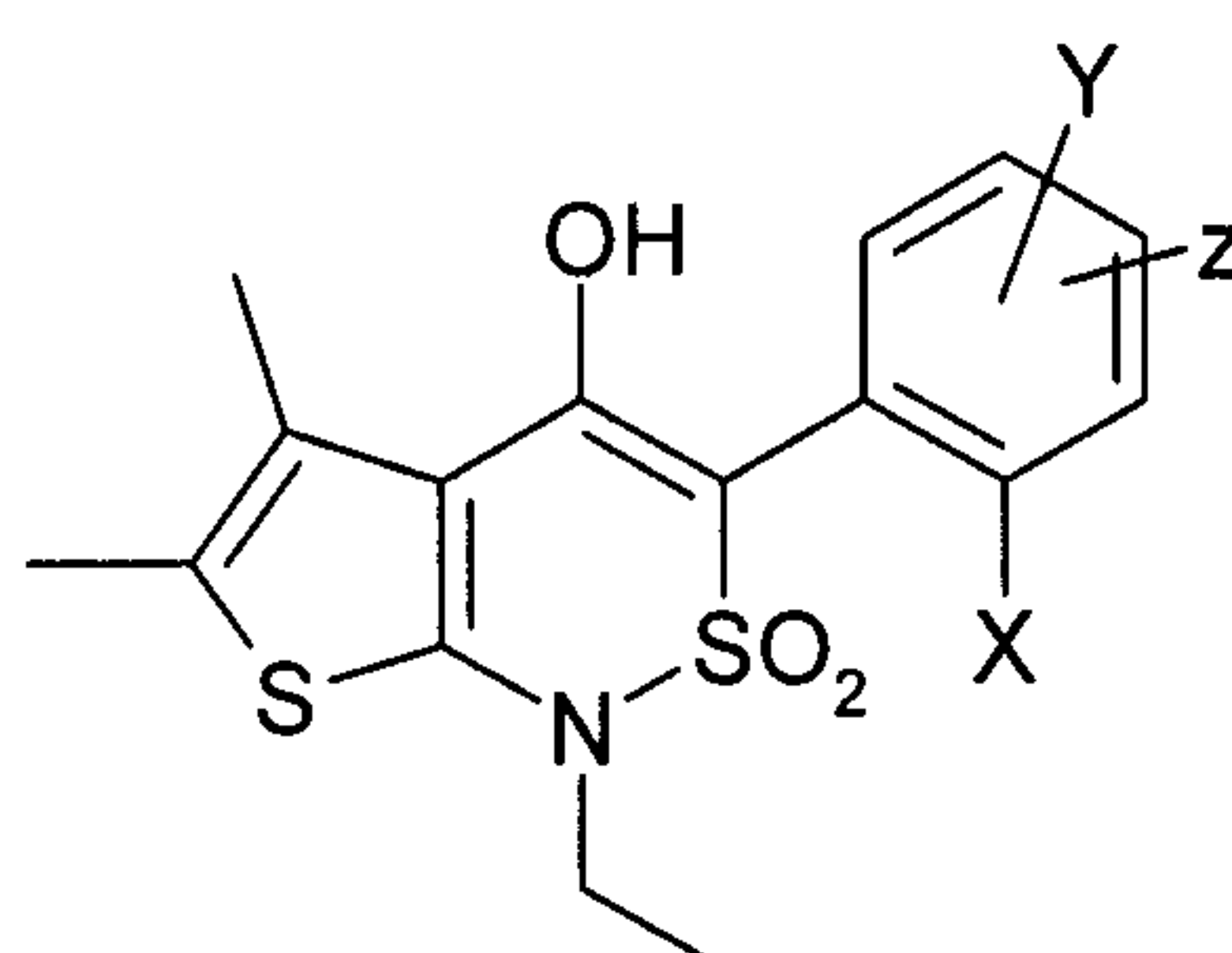


Table 123: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A10, R^{12} and R^{13} are each methyl, and X, Y and Z are each as defined in table 1:



5

Table 124: Inventive compounds of the formula (I) in which G is hydrogen, V is $\text{C}=\text{O}$, W is ethyl, A is A10, R^{12} and R^{13} are each methyl, and X, Y and Z are each as defined in table 1:

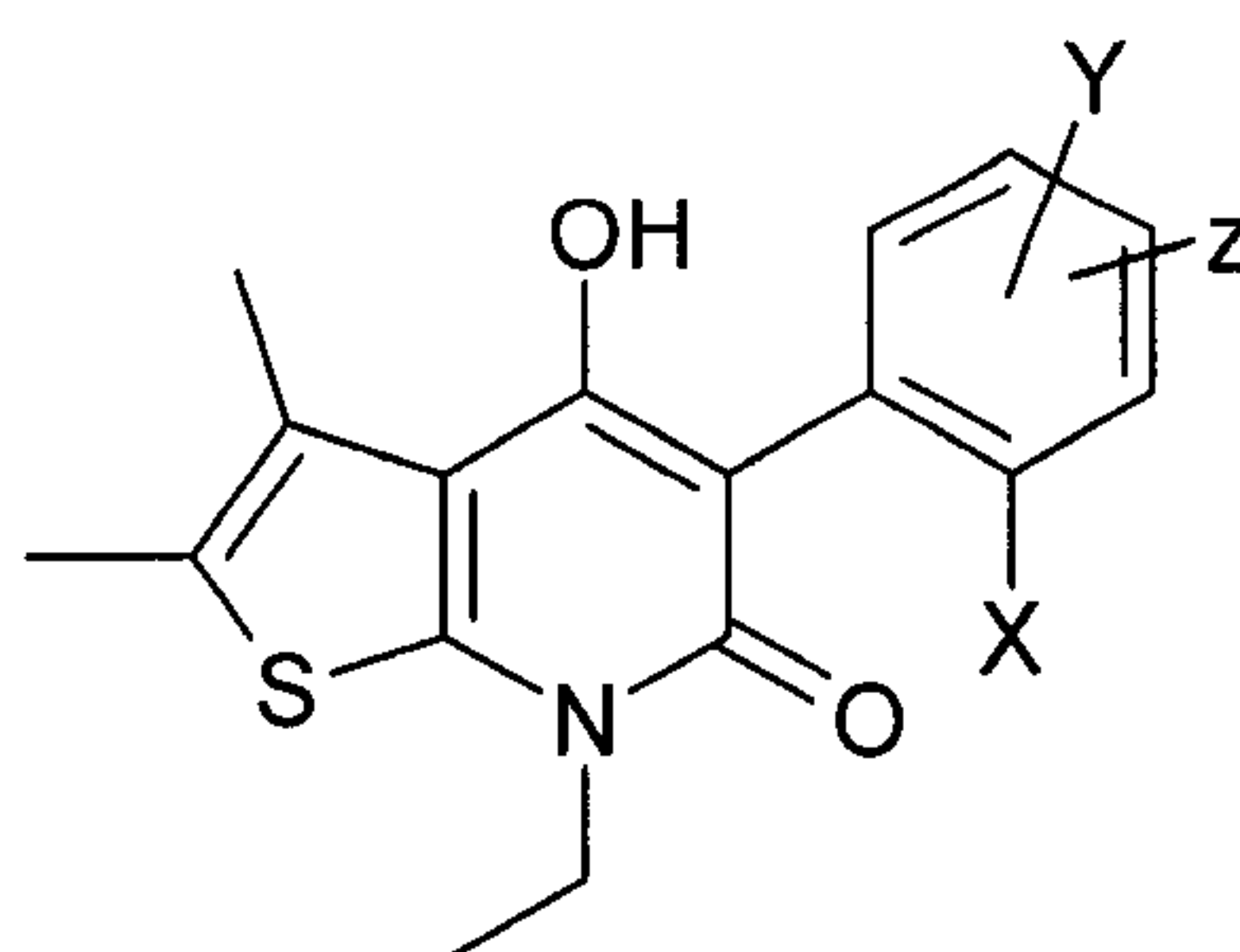


Table 125: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A7, R^{12} is phenyl and R^{13} is hydrogen, and X, Y and Z are each as defined in table 1:

15

WO 2012/028582

71

PCT/EP2011/064825

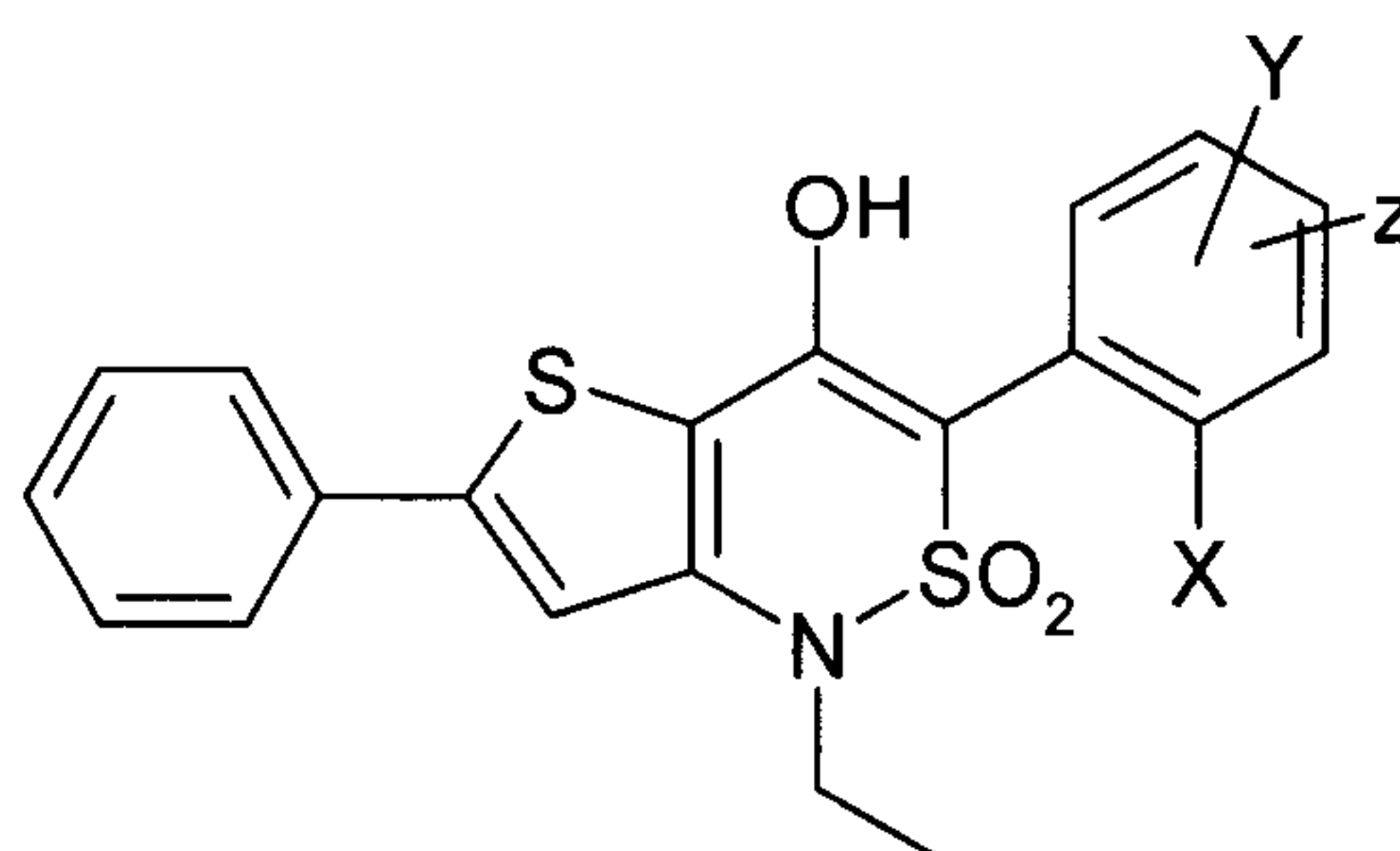


Table 126: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A10, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are each as defined in table 1:

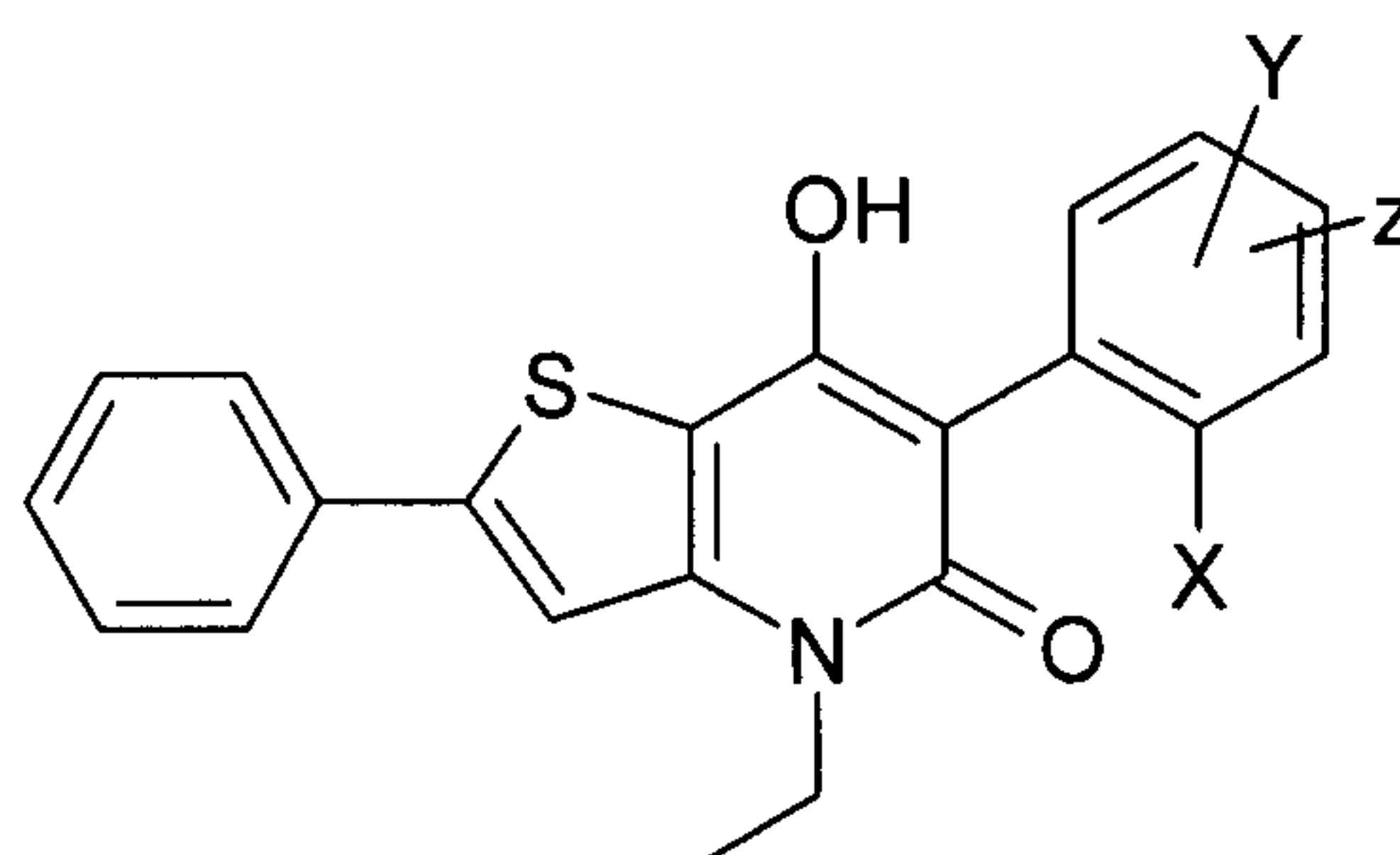
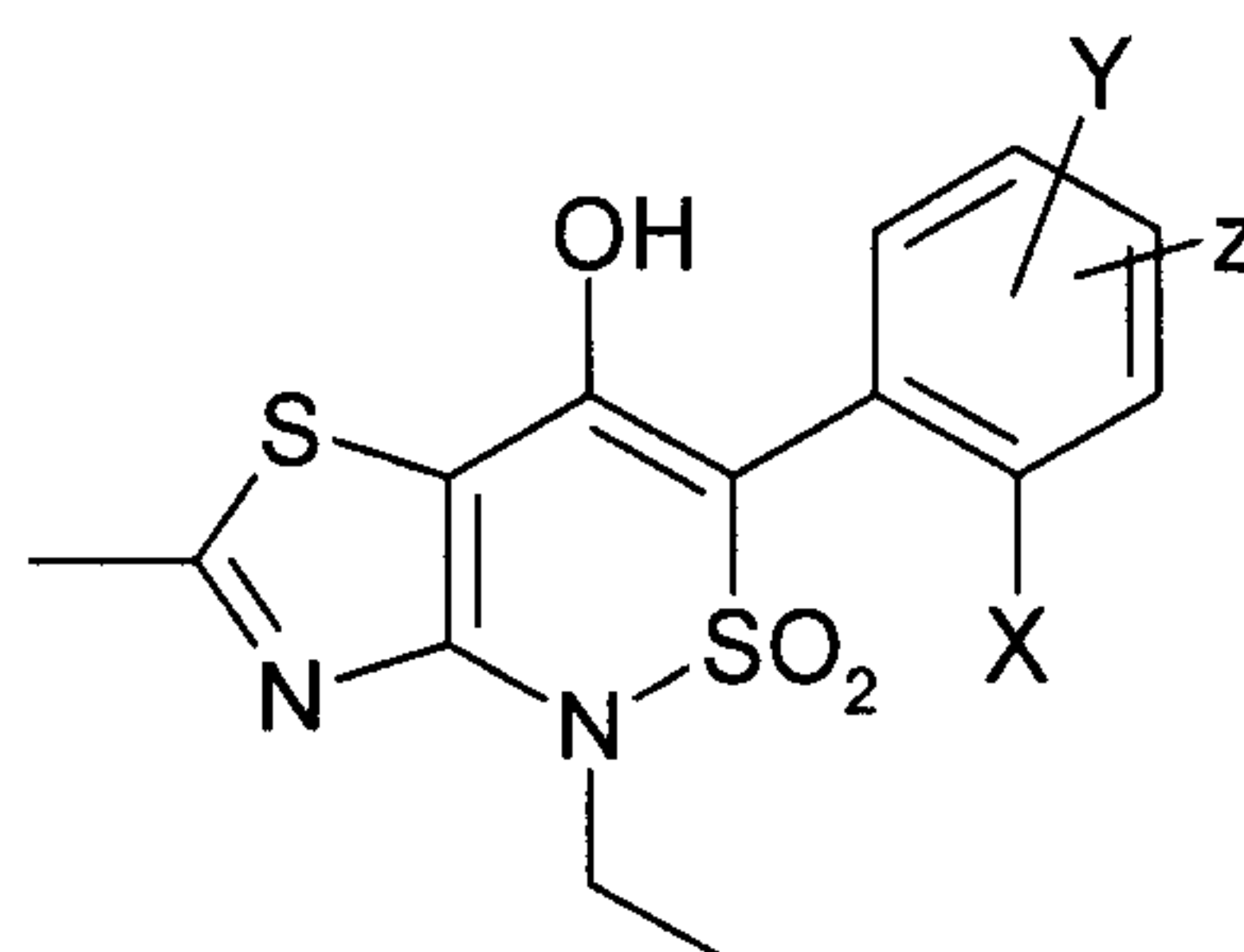


Table 127: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 128: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

72

PCT/EP2011/064825

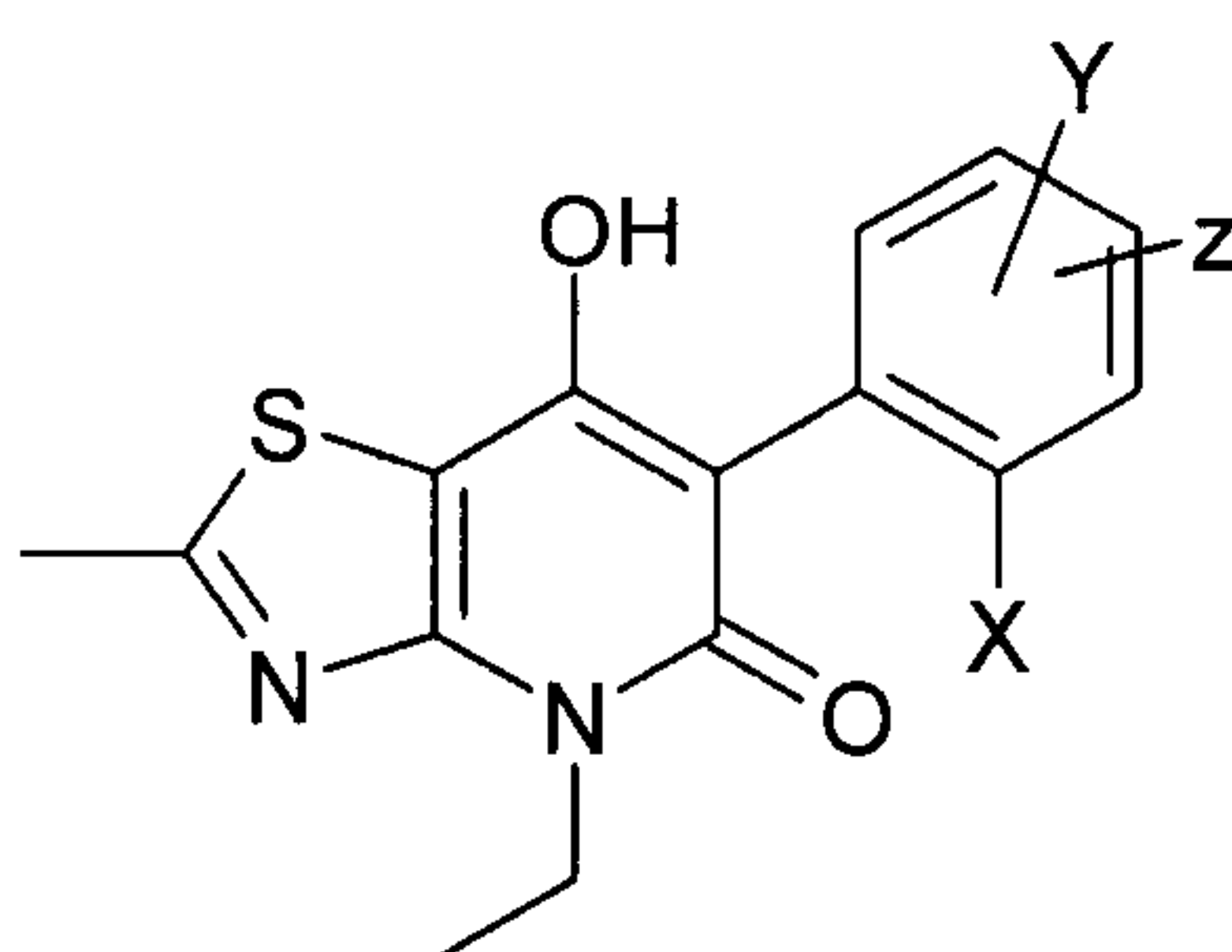
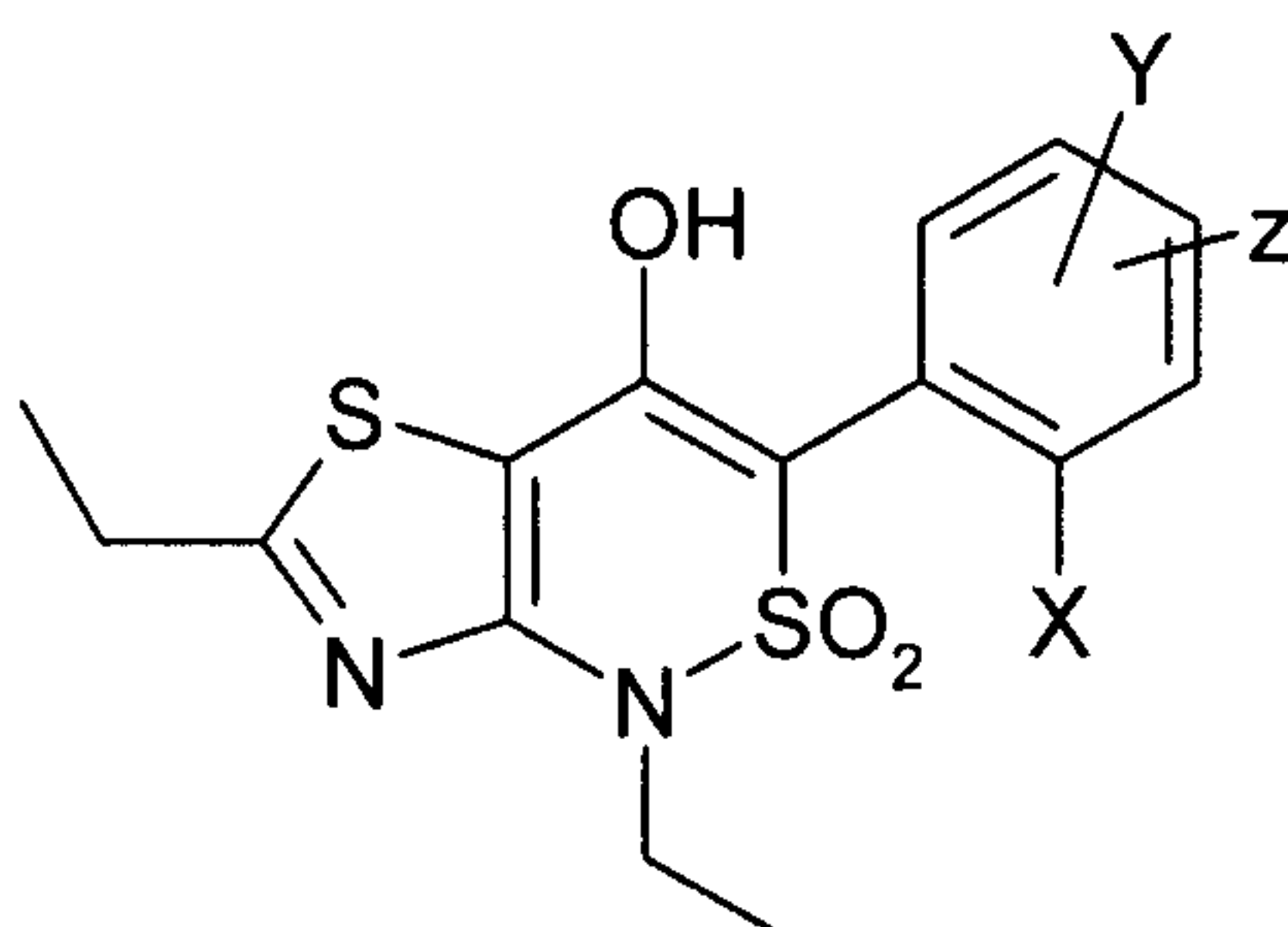


Table 129: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A2, R^{10} is ethyl, and X, Y and Z are each as defined in table 1:



5

Table 130: Inventive compounds of the formula (I) in which G is hydrogen, V is $\text{C}=\text{O}$, W is ethyl, A is A2, R^{10} is ethyl, and X, Y and Z are each as defined in table 1:

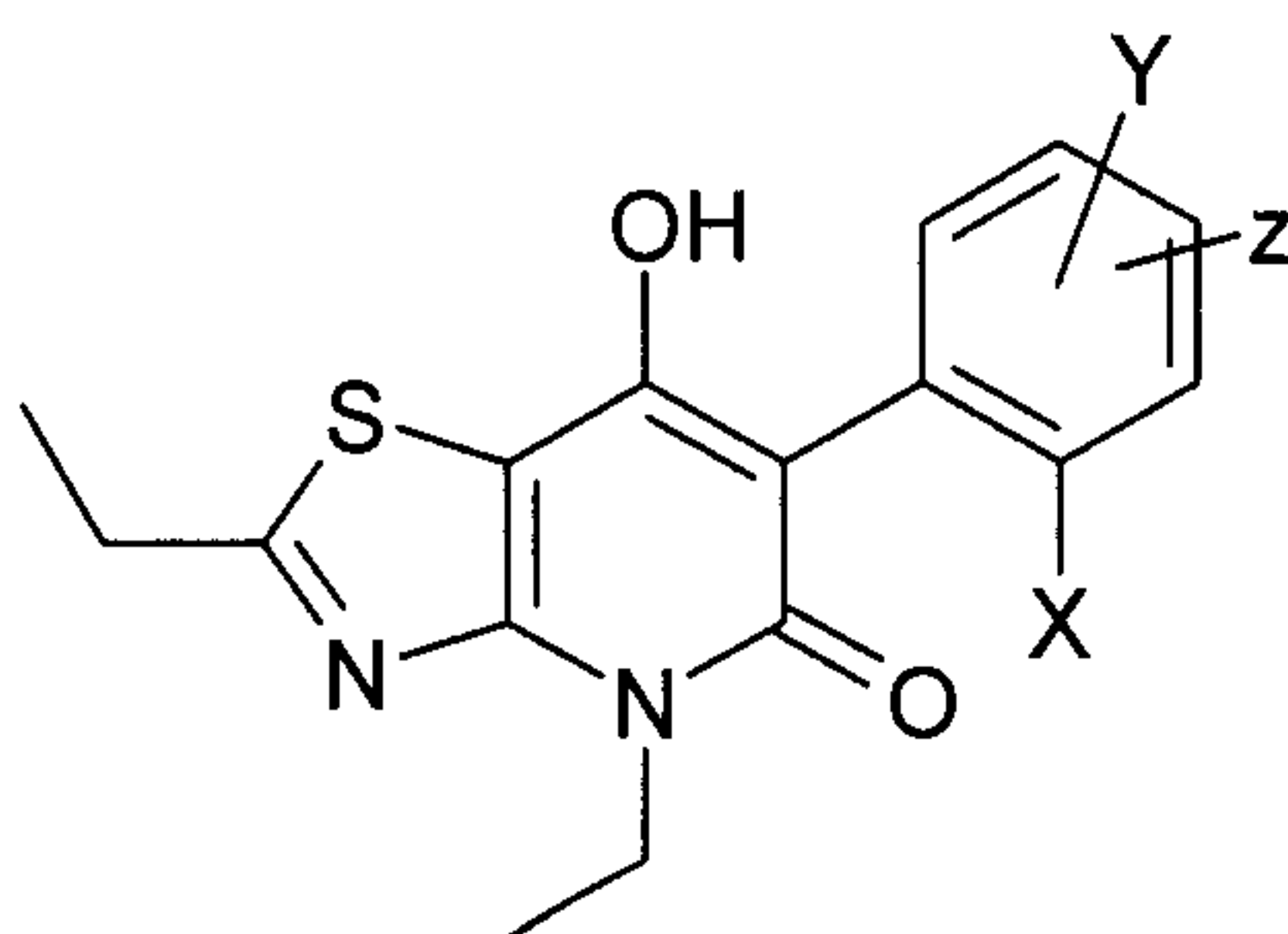


Table 131: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A2, R^{10} is n-propyl, and X, Y and Z are each as defined in table 1:

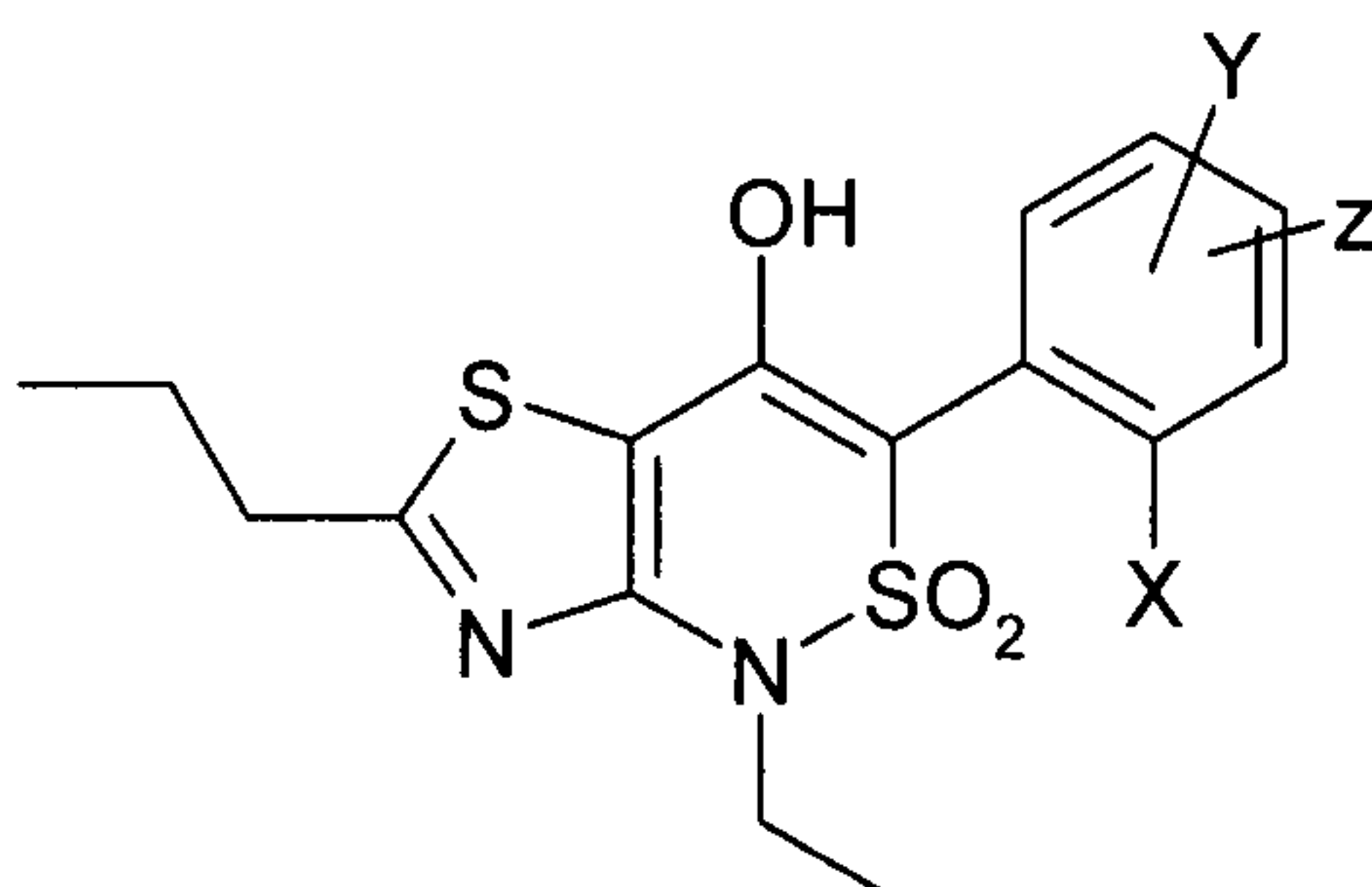
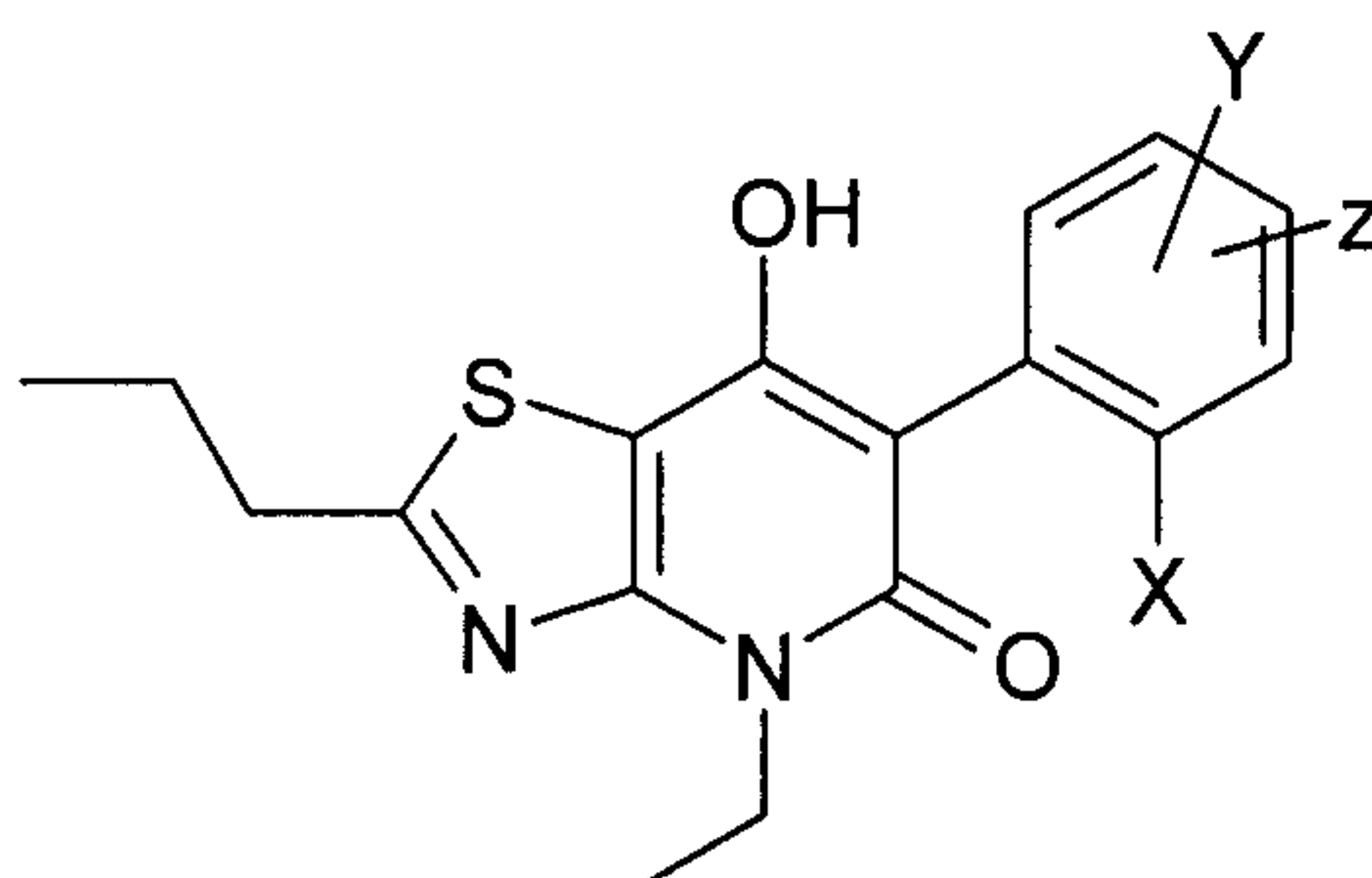
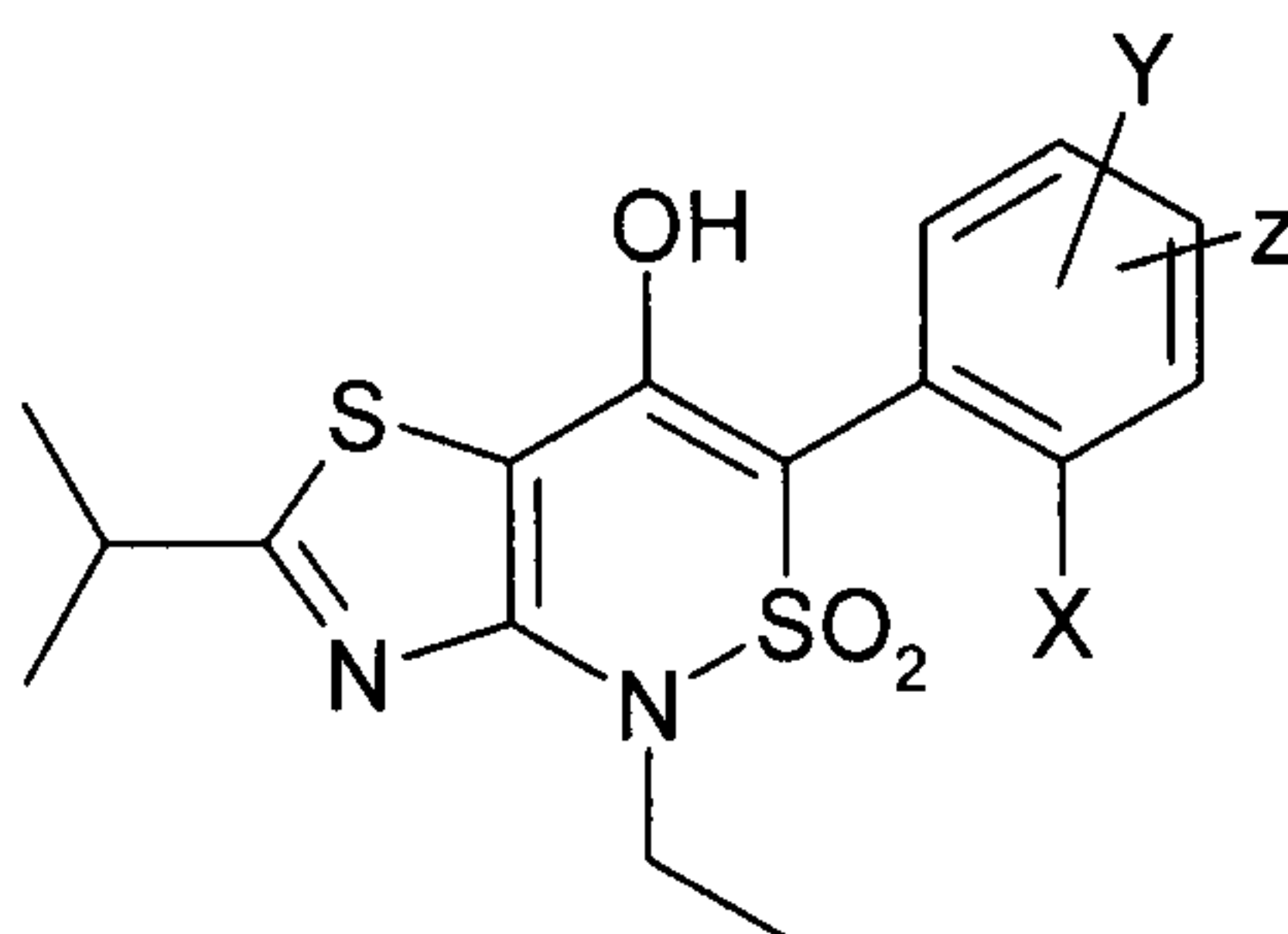


Table 132: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:



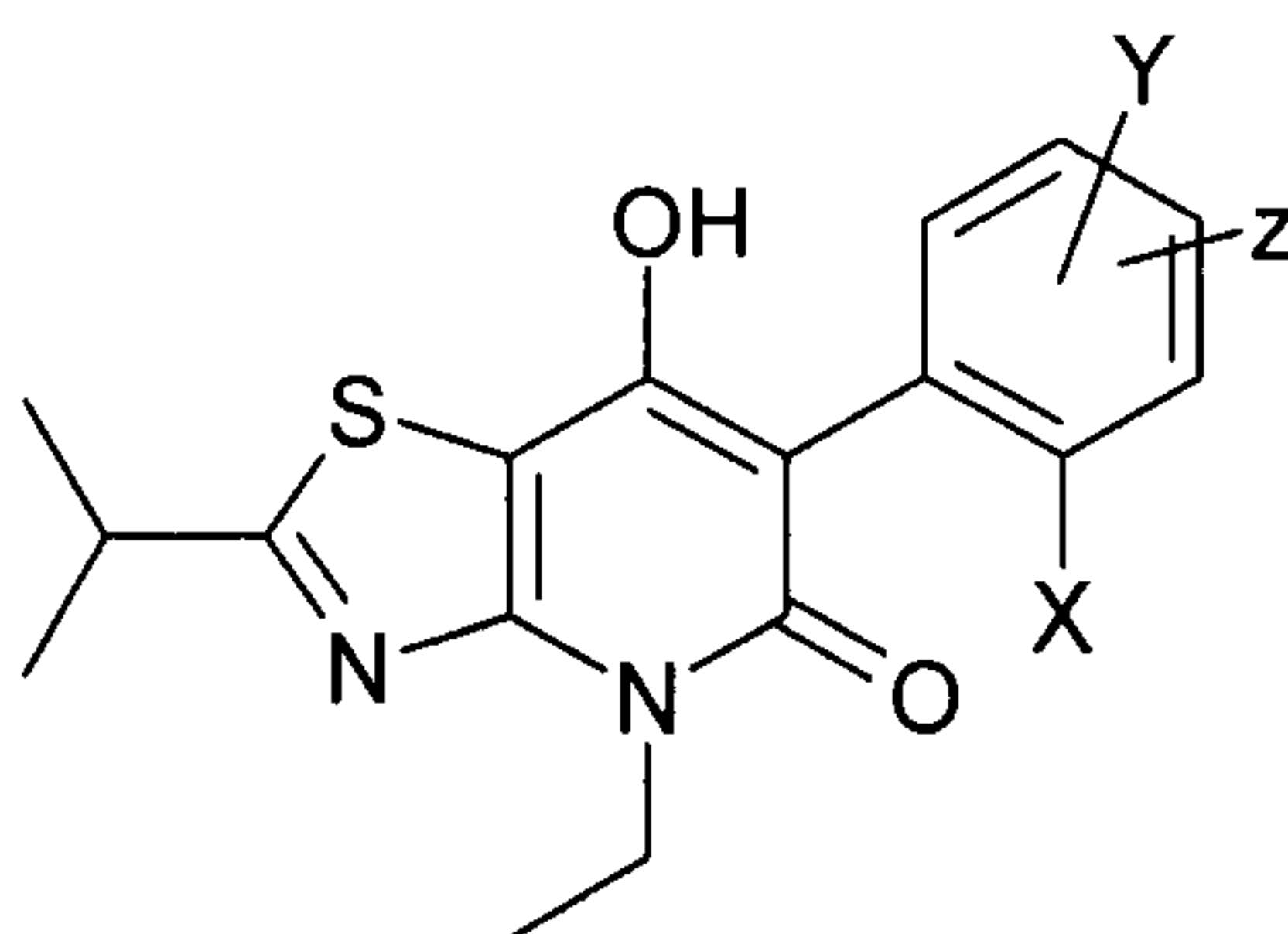
5

Table 133: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



10

Table 134: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



15 Table 135: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

74

PCT/EP2011/064825

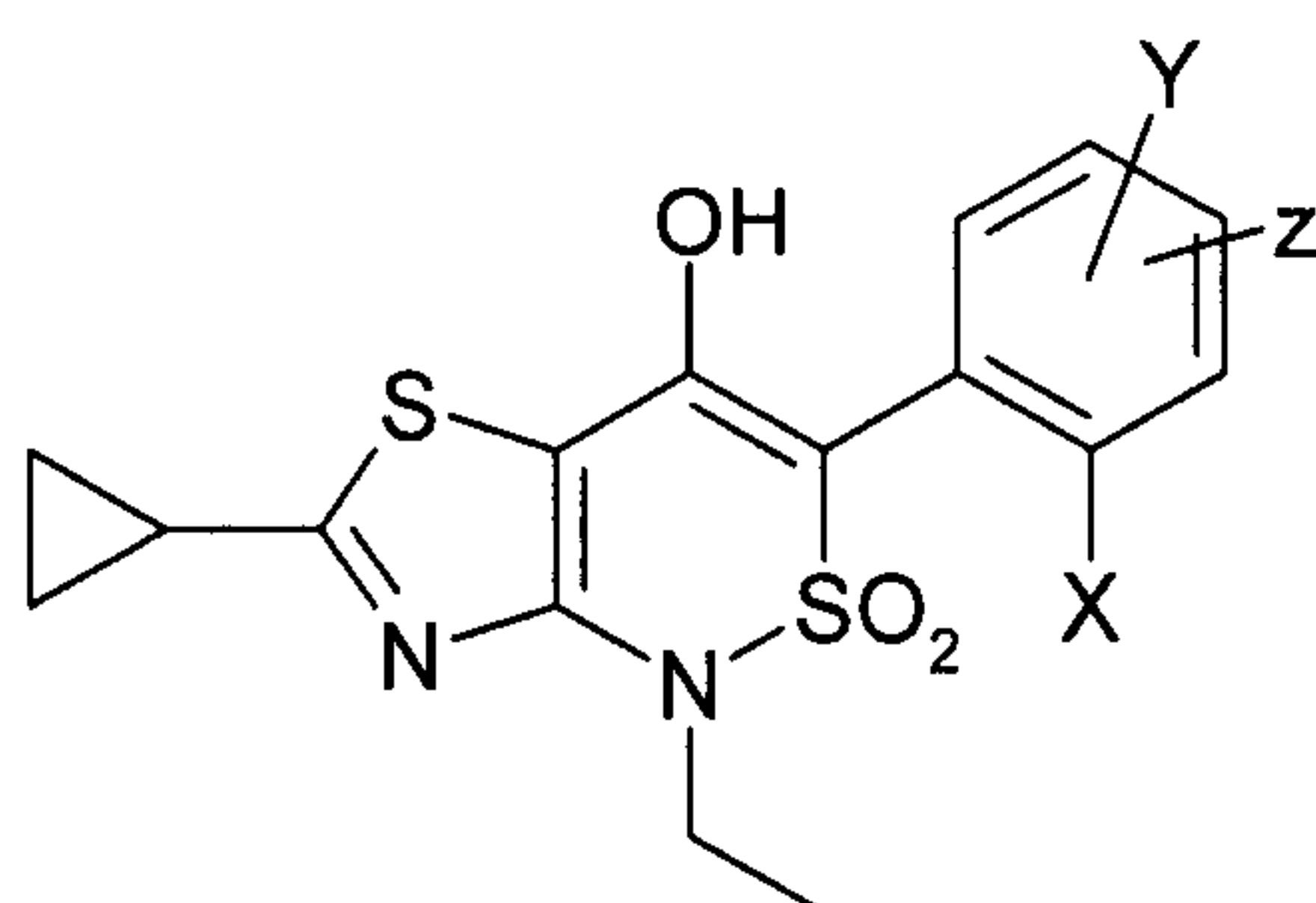


Table 136: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:

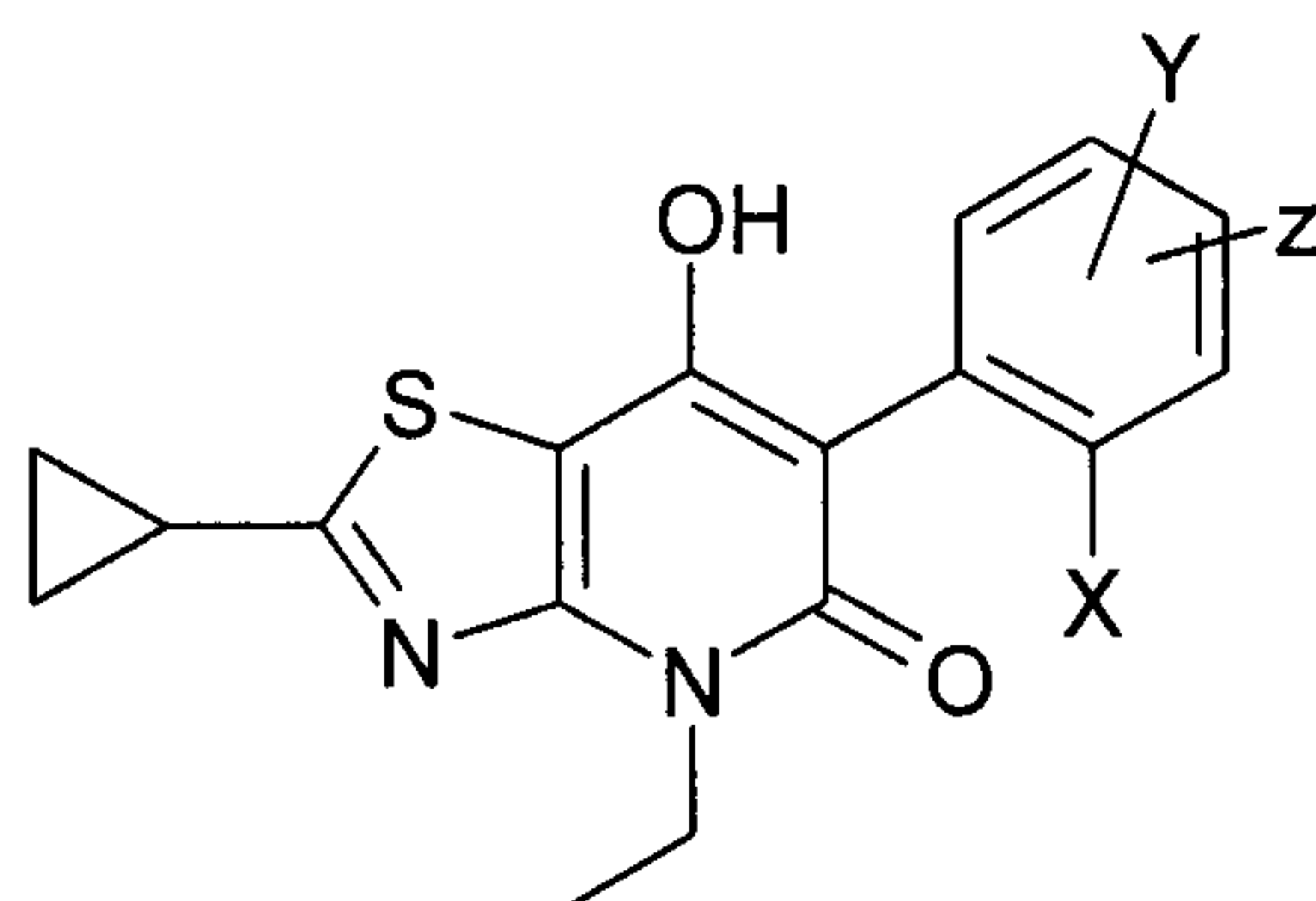


Table 137: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in table 1:

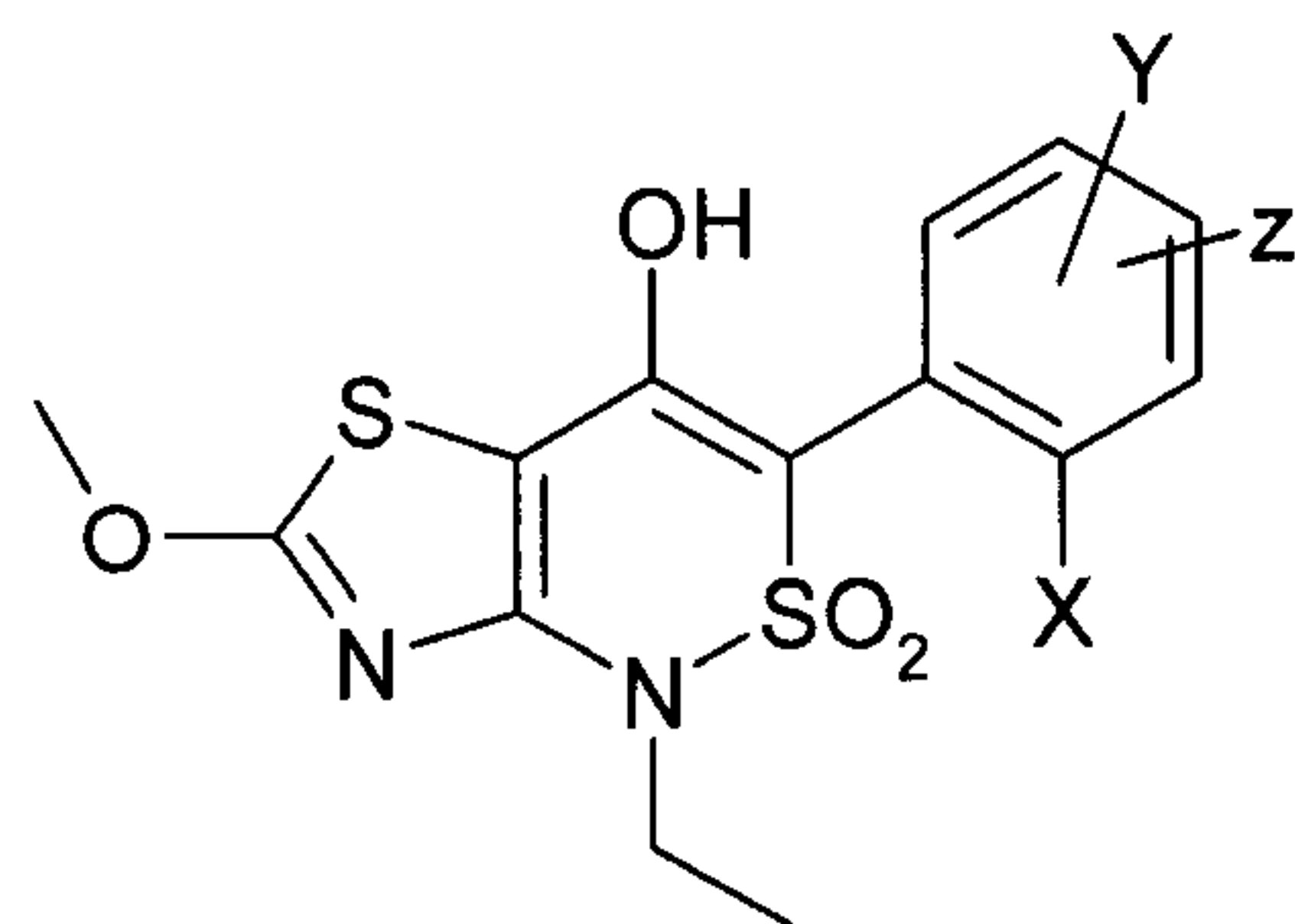


Table 138: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in table 1:

WO 2012/028582

75

PCT/EP2011/064825

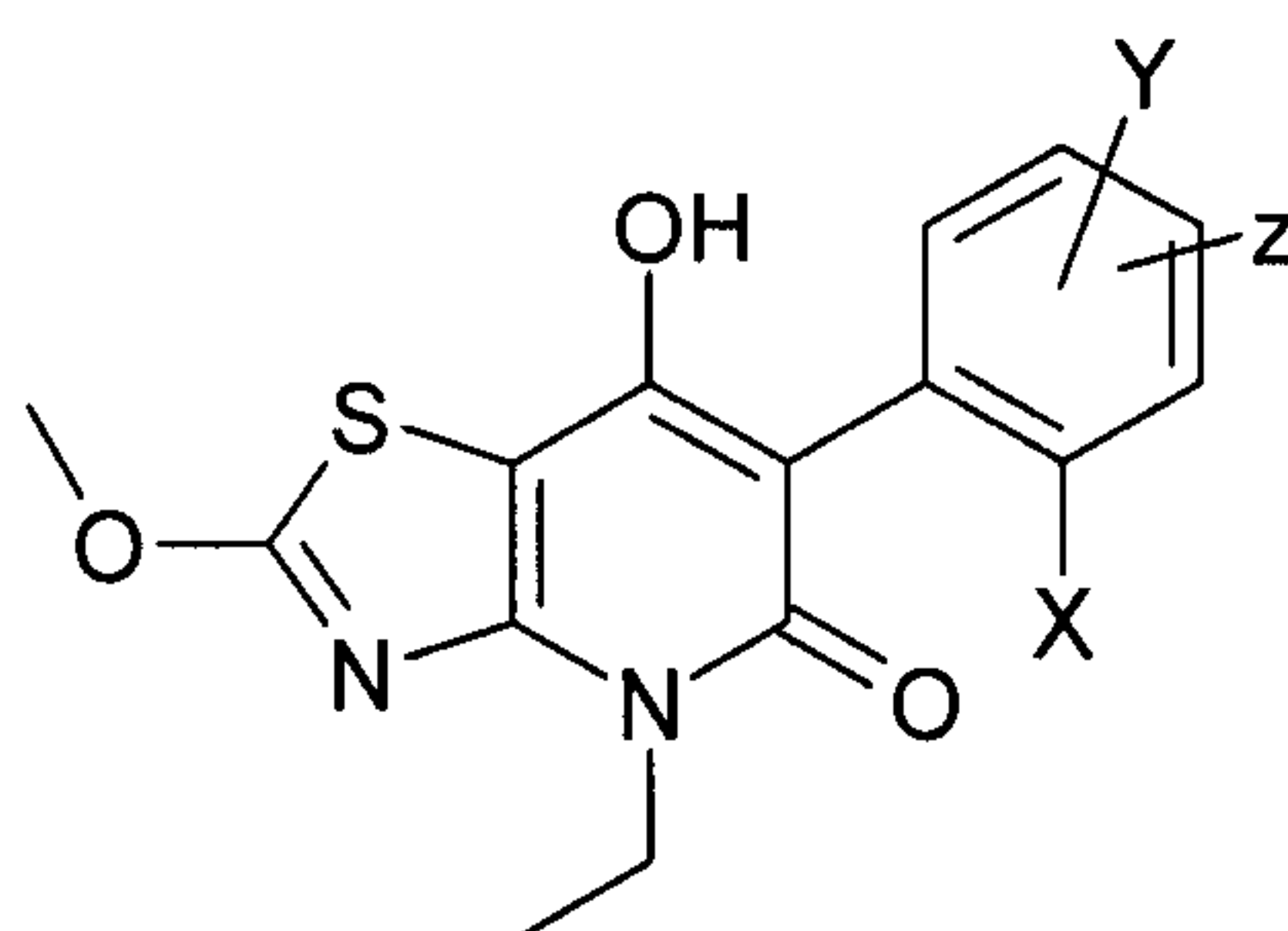
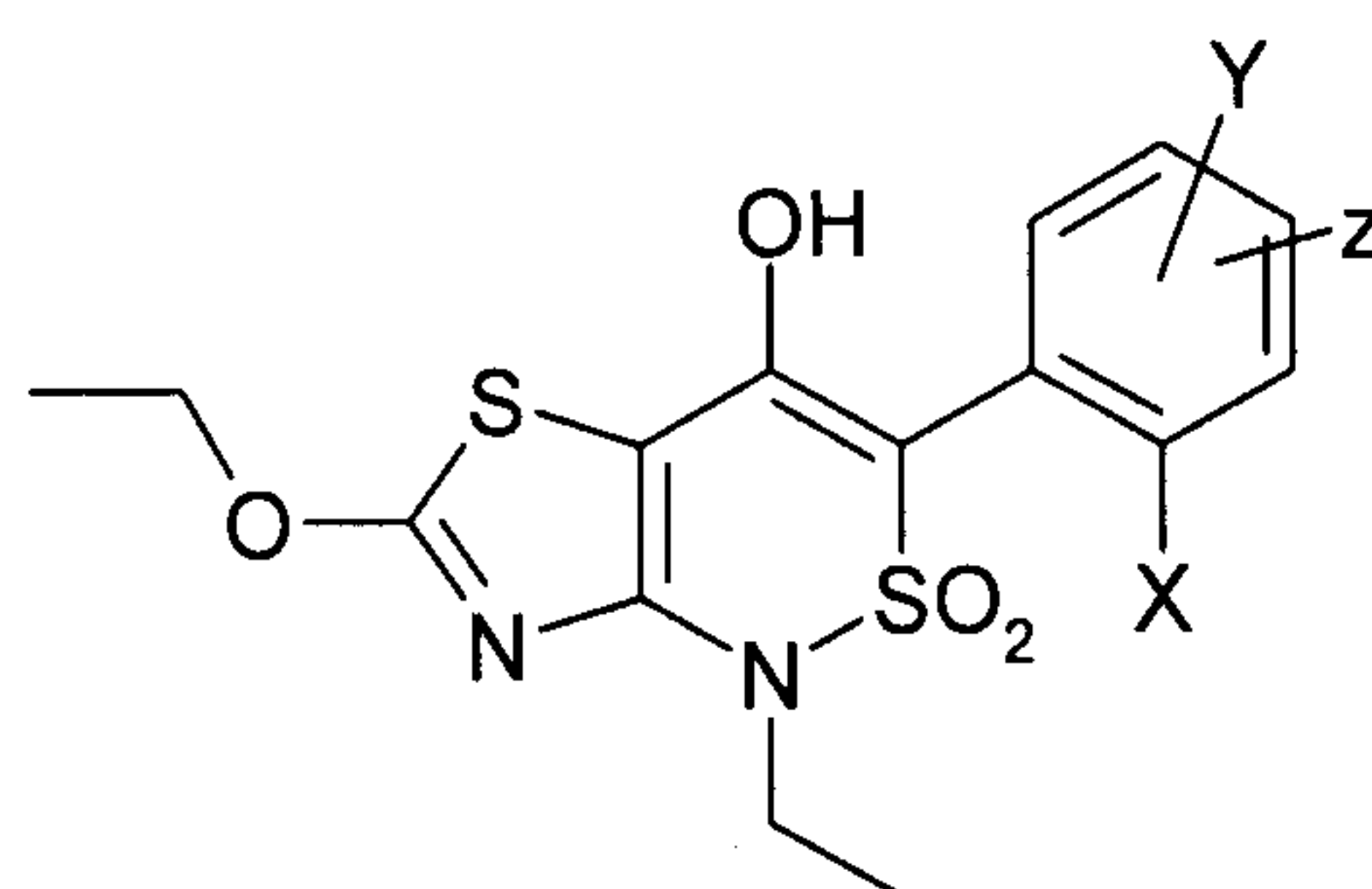
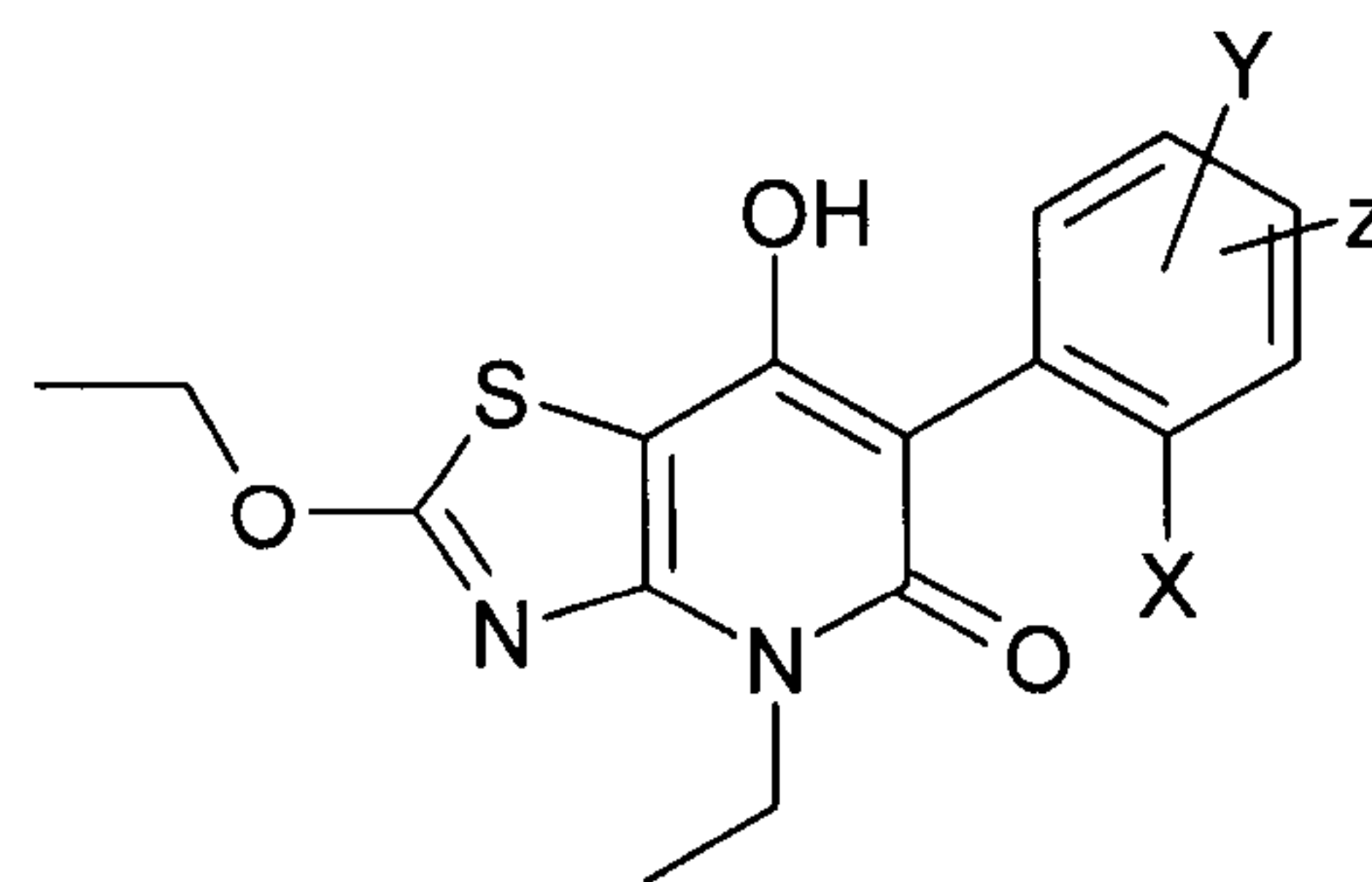


Table 139: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:



5

Table 140: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:



10

Table 141: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined in table 1:

WO 2012/028582

76

PCT/EP2011/064825

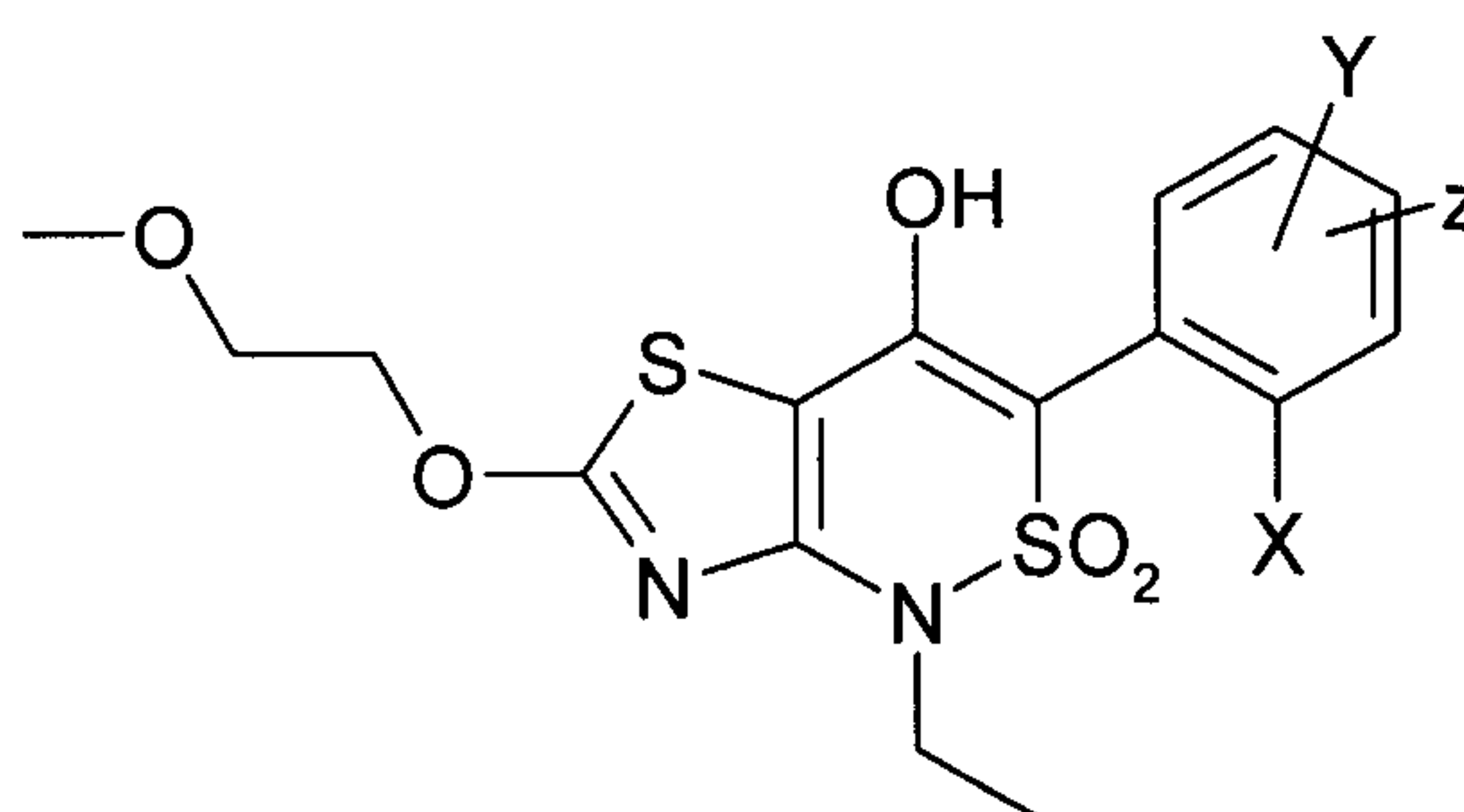


Table 142: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined
5 in table 1:

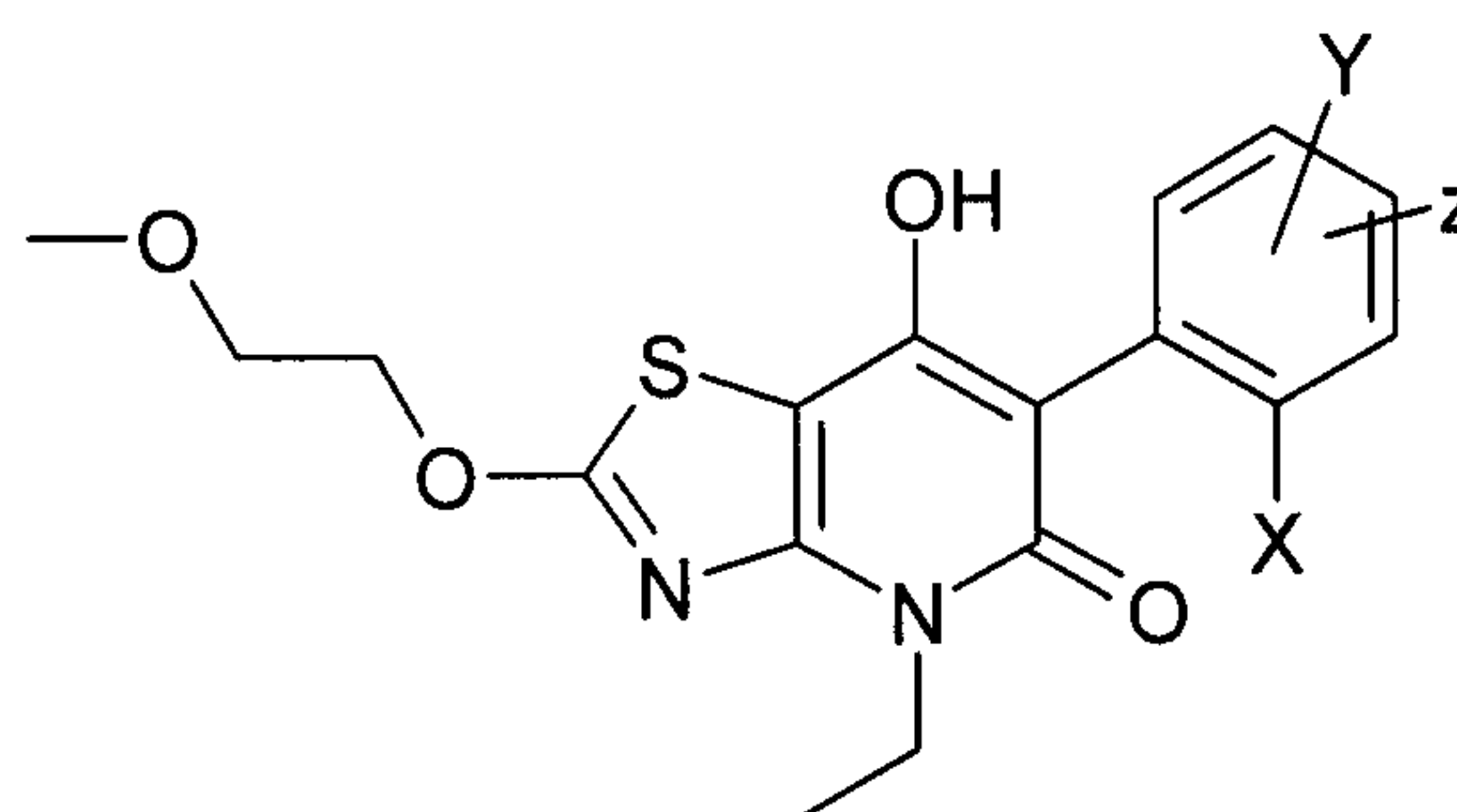
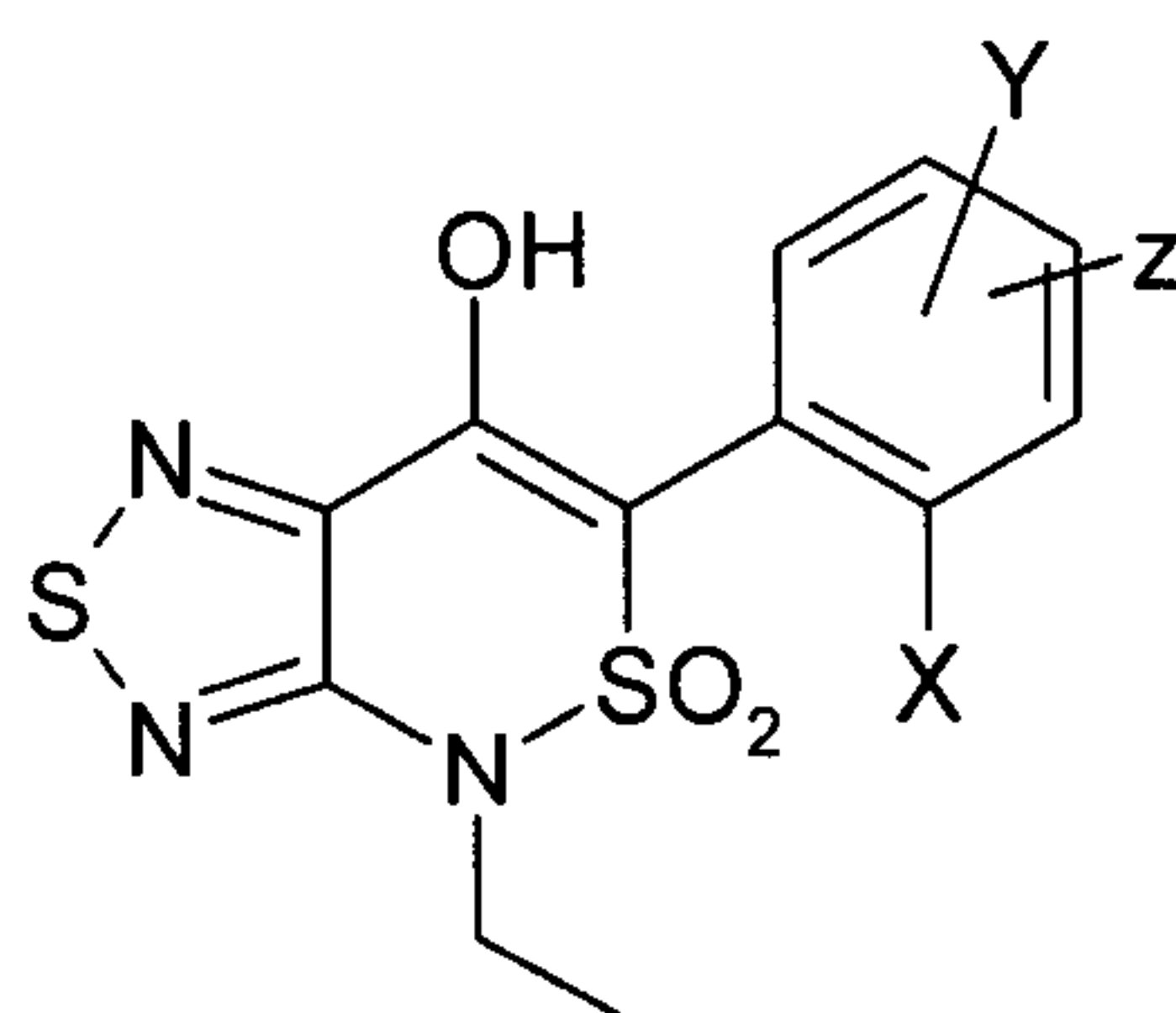


Table 143: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is ethyl, A is A12, and X, Y and Z are each as defined in table 1:



10

Table 144: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is ethyl, A is A12, and X, Y and Z are each as defined in table 1:

WO 2012/028582

77

PCT/EP2011/064825

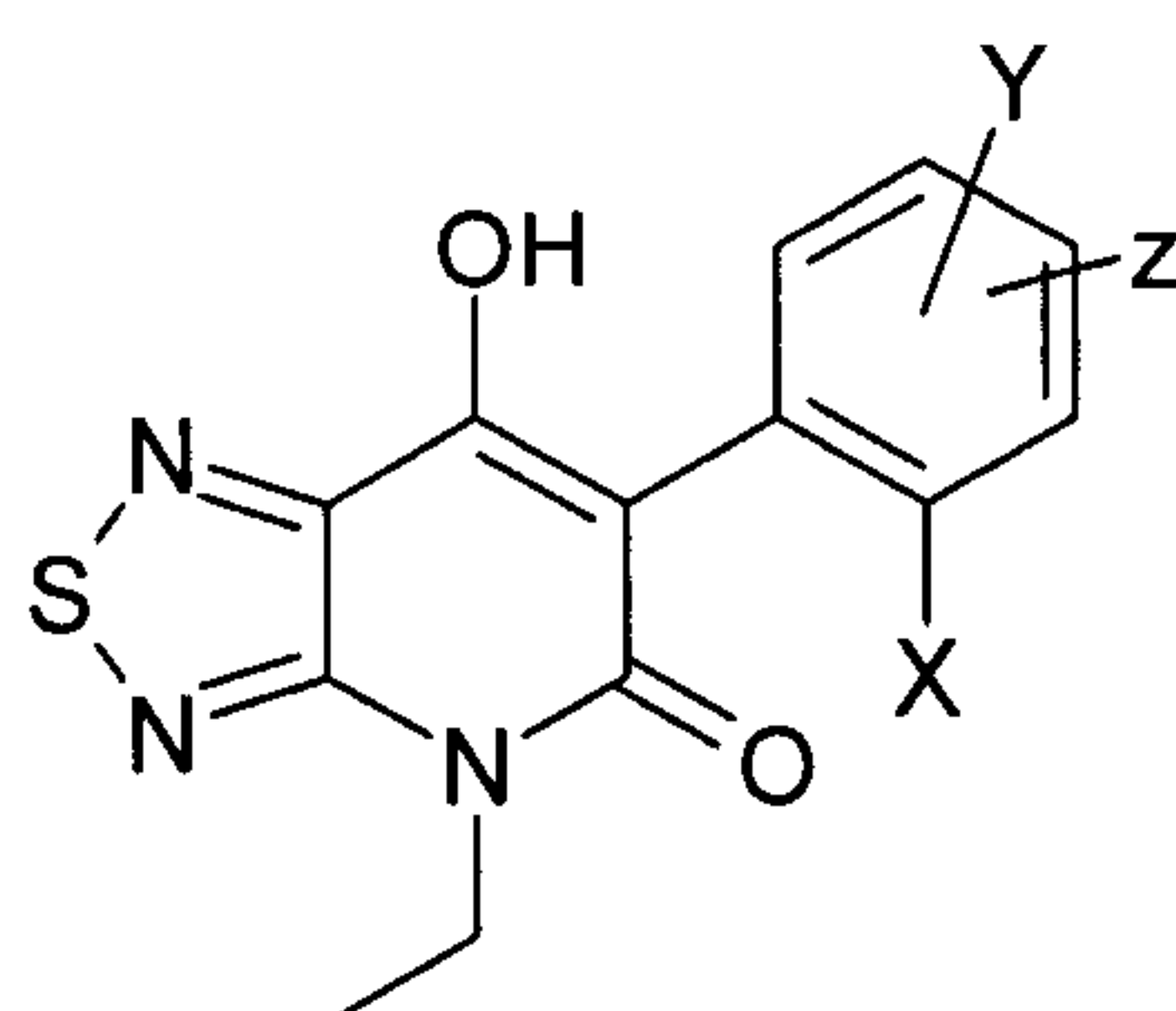
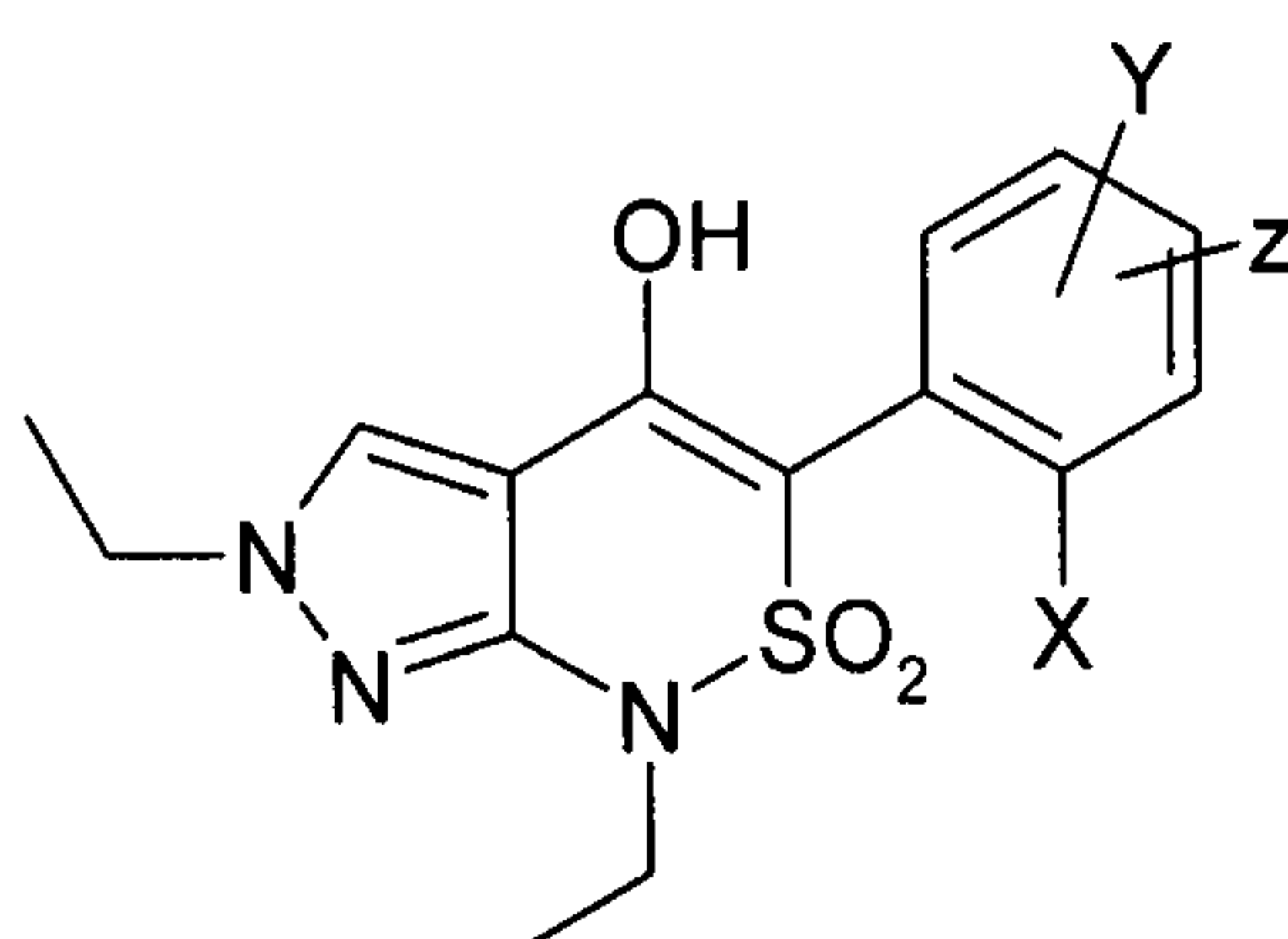
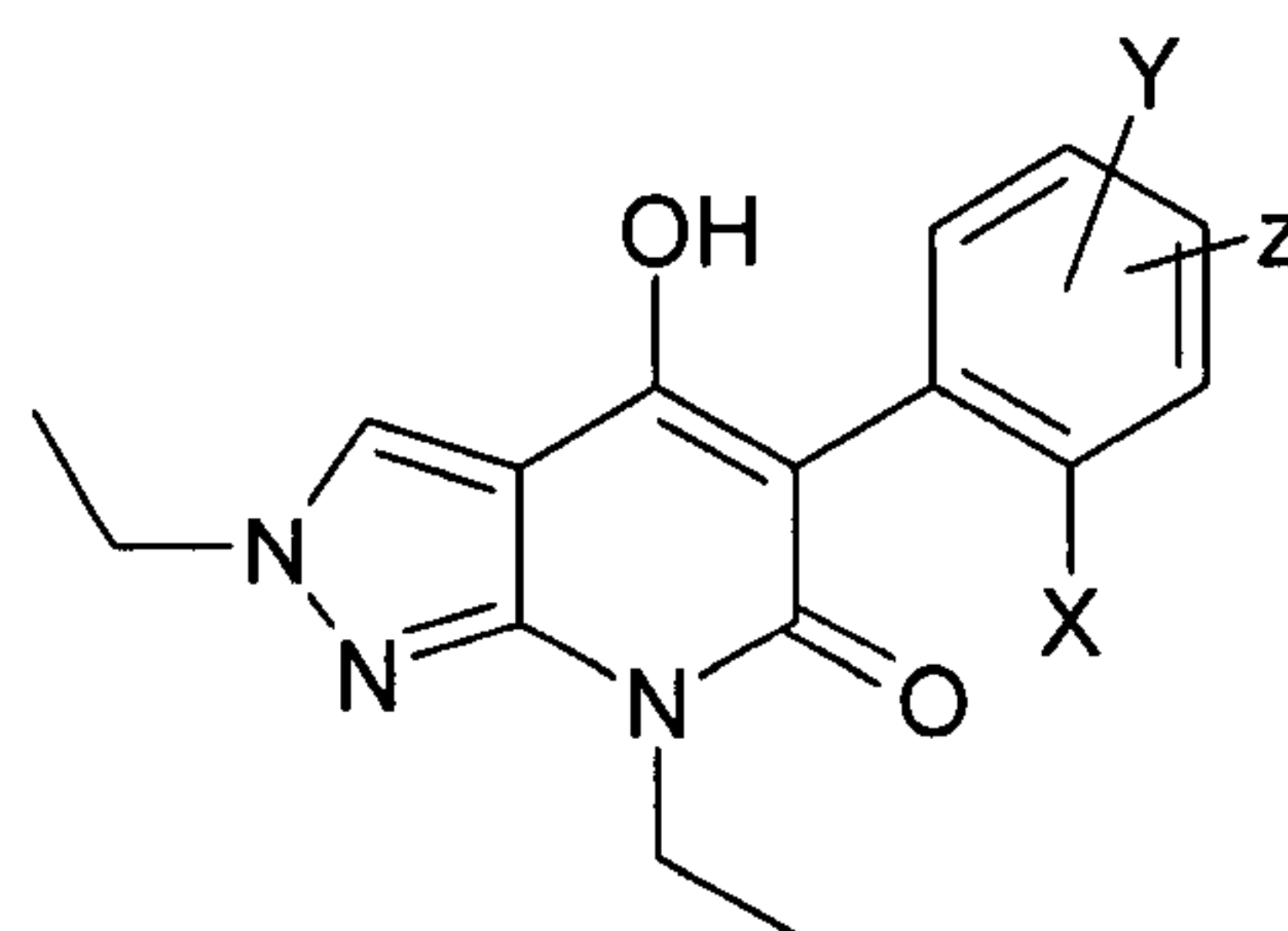


Table 145: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is ethyl, A is A6, R^{12} is hydrogen, R^{14} is ethyl, and X, Y and Z are each as defined in table 1:



5

Table 146: Inventive compounds of the formula (I) in which G is hydrogen, V is $\text{C}=\text{O}$, W is ethyl, A is A6, R^{12} is hydrogen, R^{14} is ethyl, and X, Y and Z are each as defined in table 1:



10

Table 147: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is 2,2-difluoroethyl, A is A10, R^{12} is ethyl and R^{13} is methyl, and X, Y and Z are each as defined in table 1:

15

WO 2012/028582

78

PCT/EP2011/064825

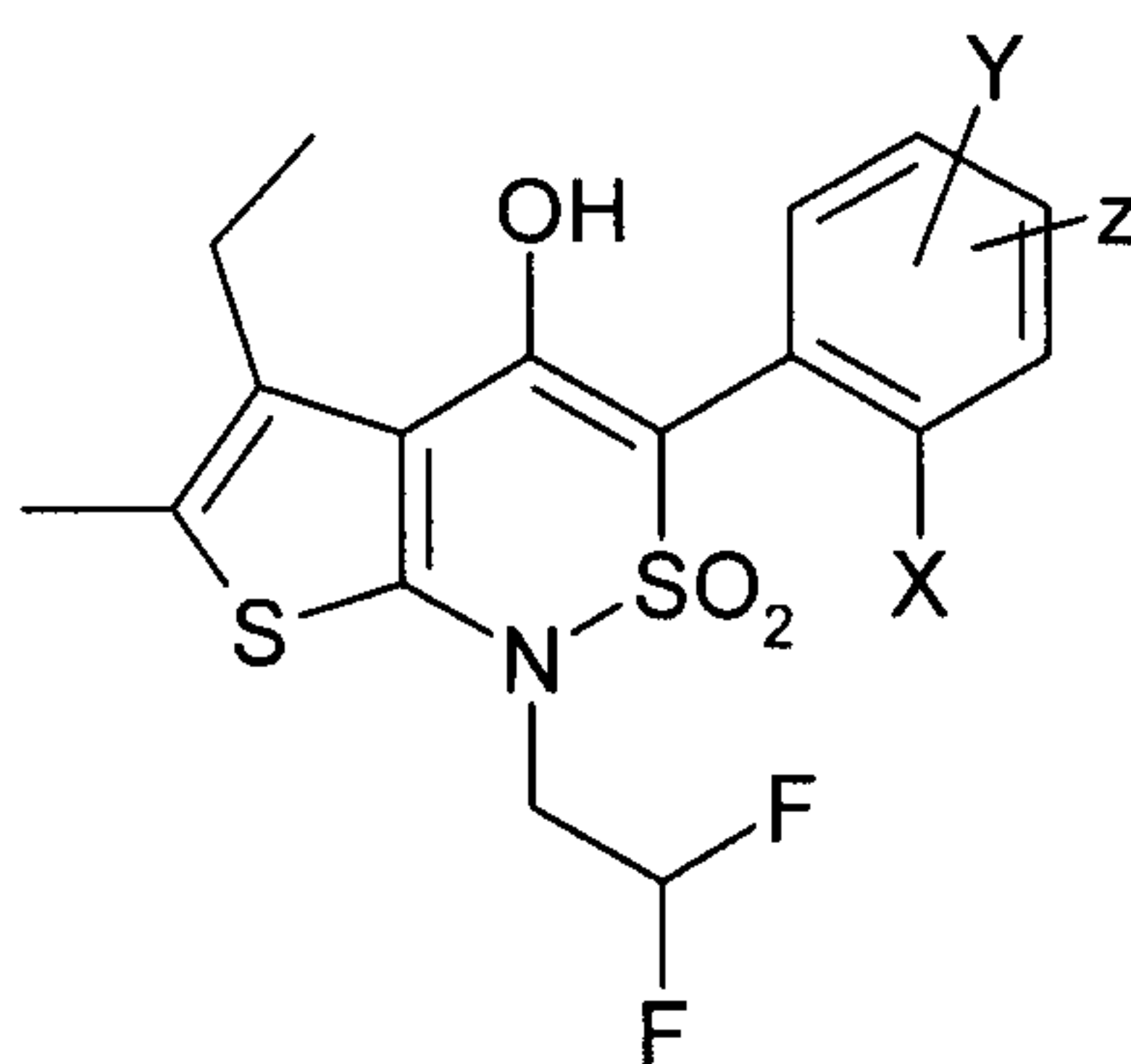


Table 148: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as defined in table 1:

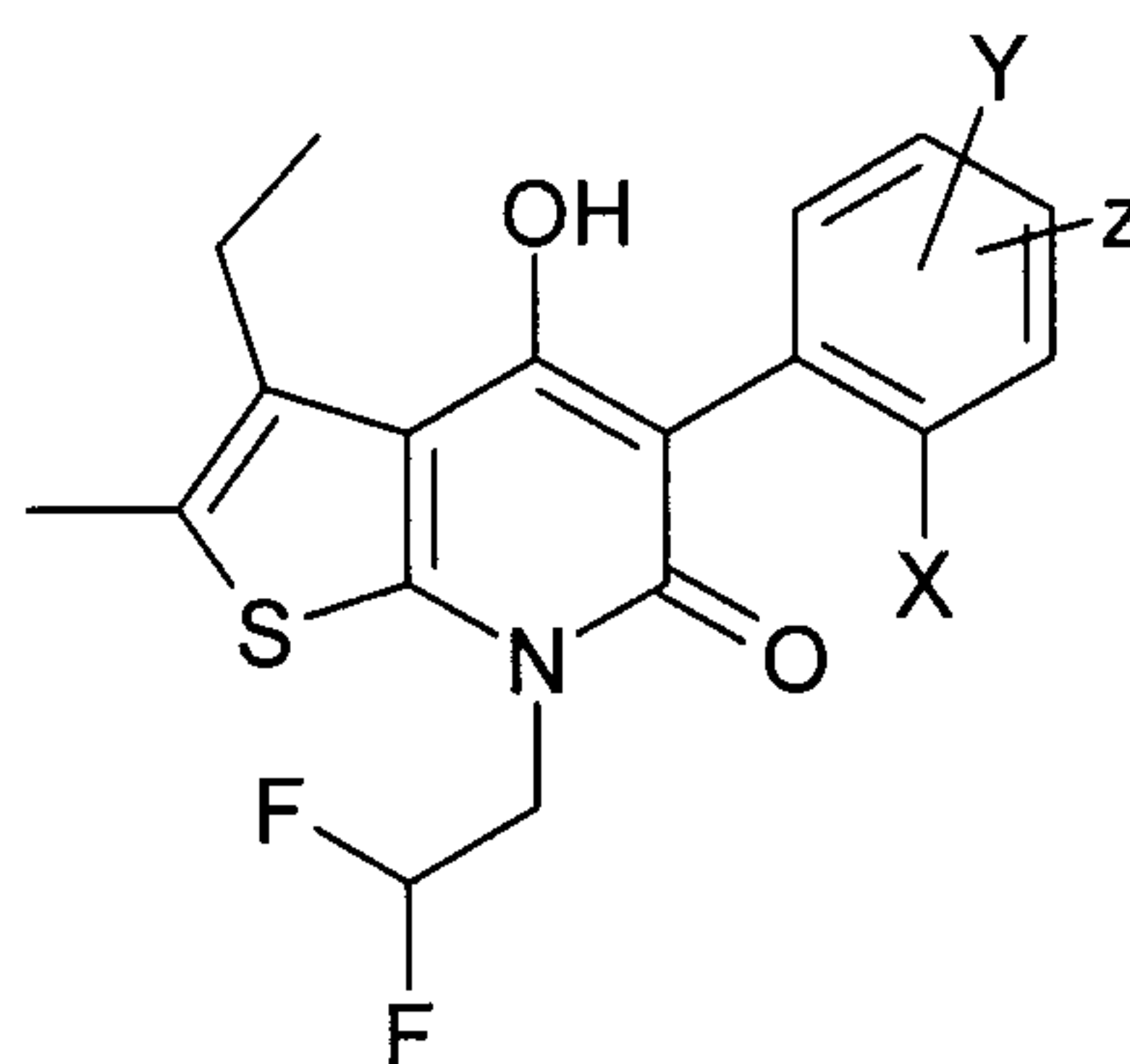
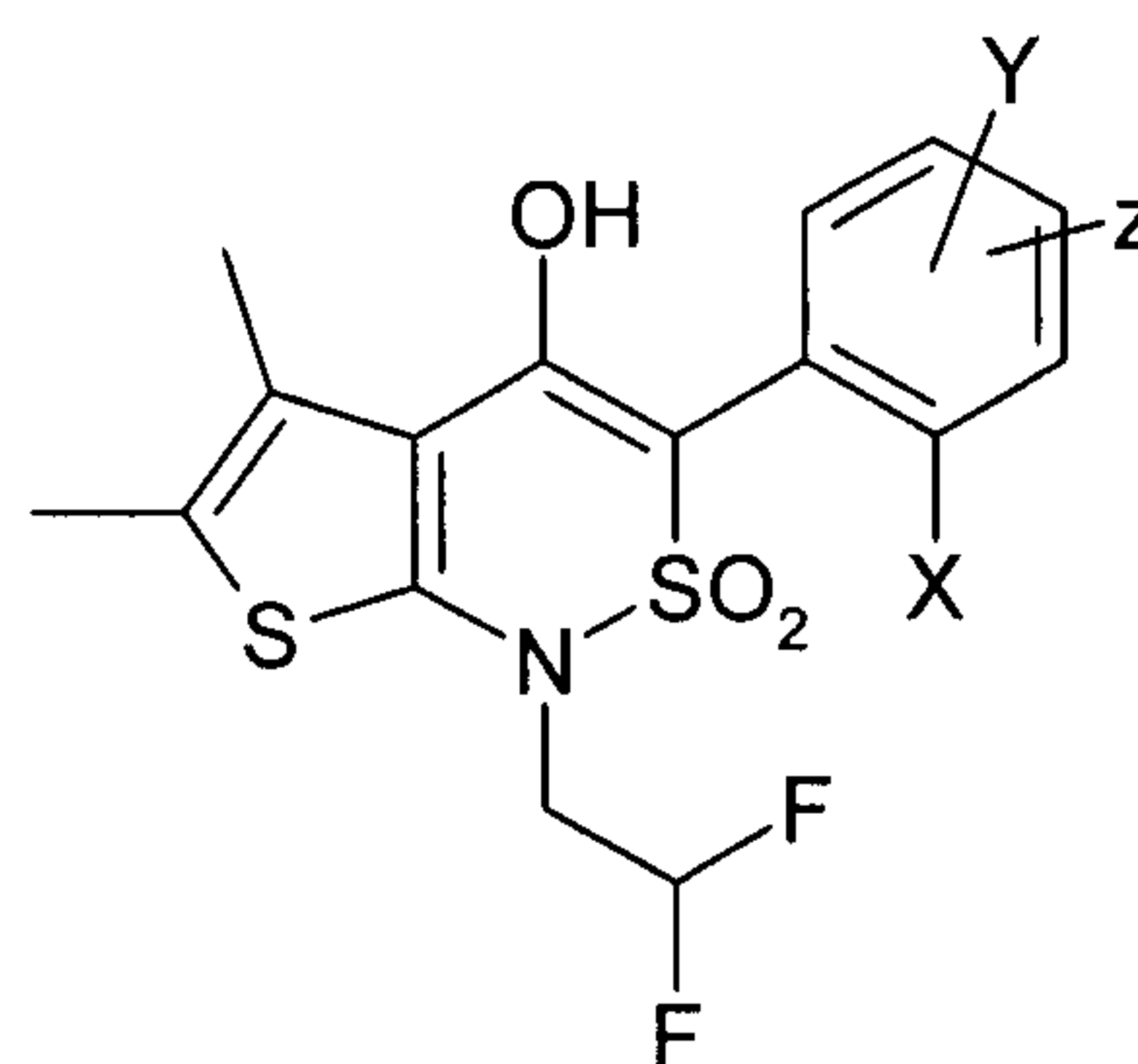


Table 149: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each as defined in table 1:

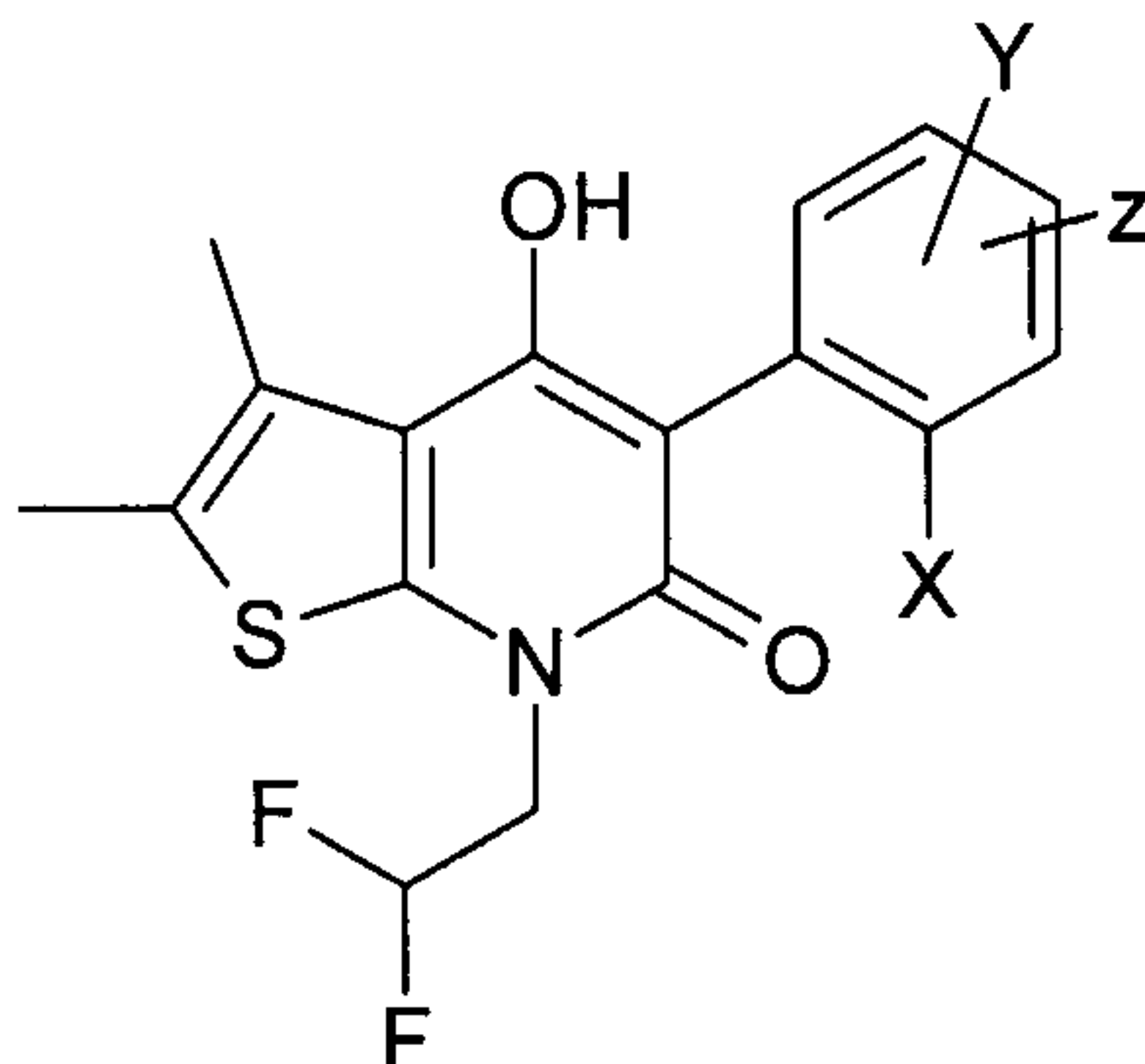


WO 2012/028582

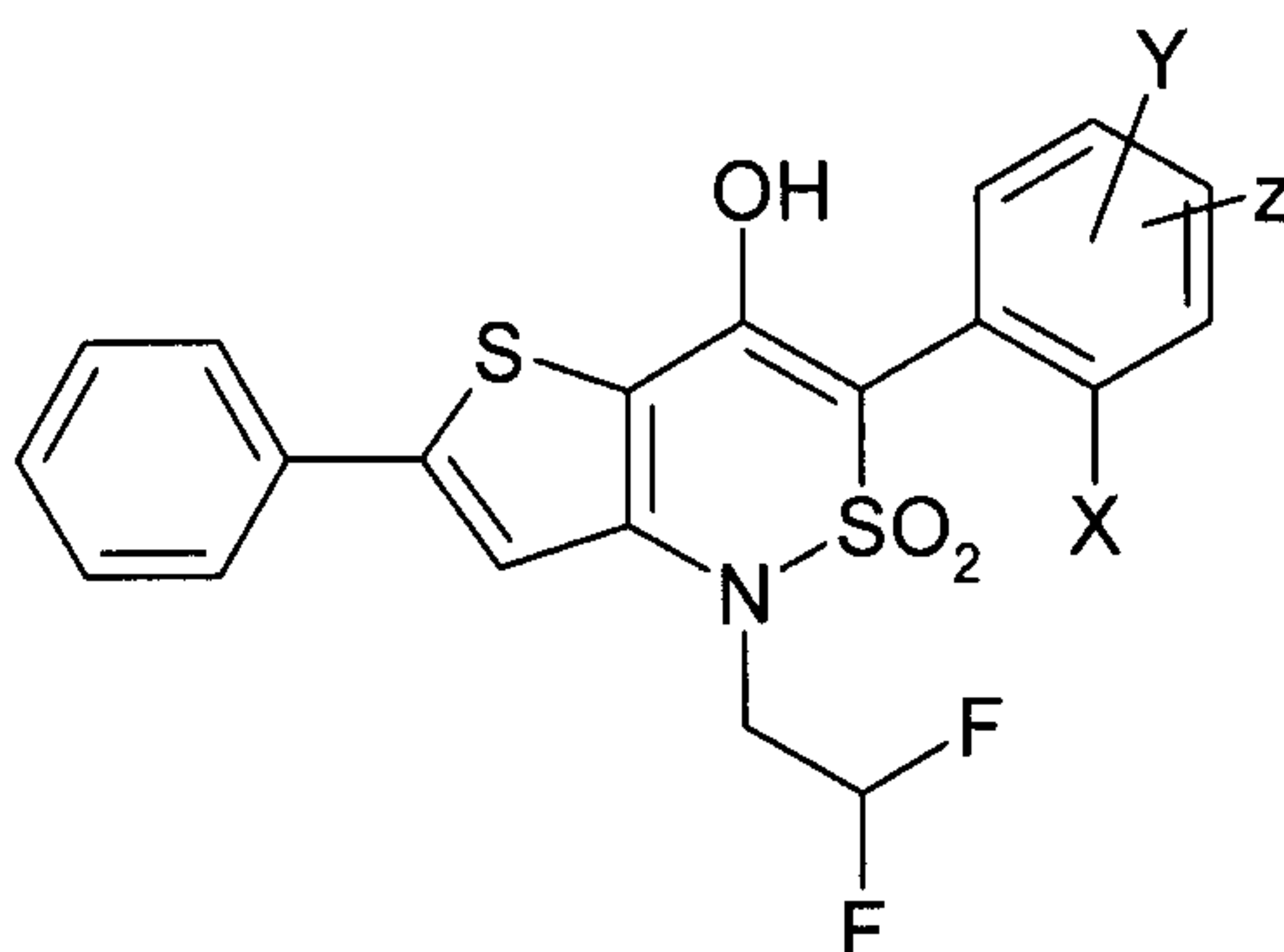
79

PCT/EP2011/064825

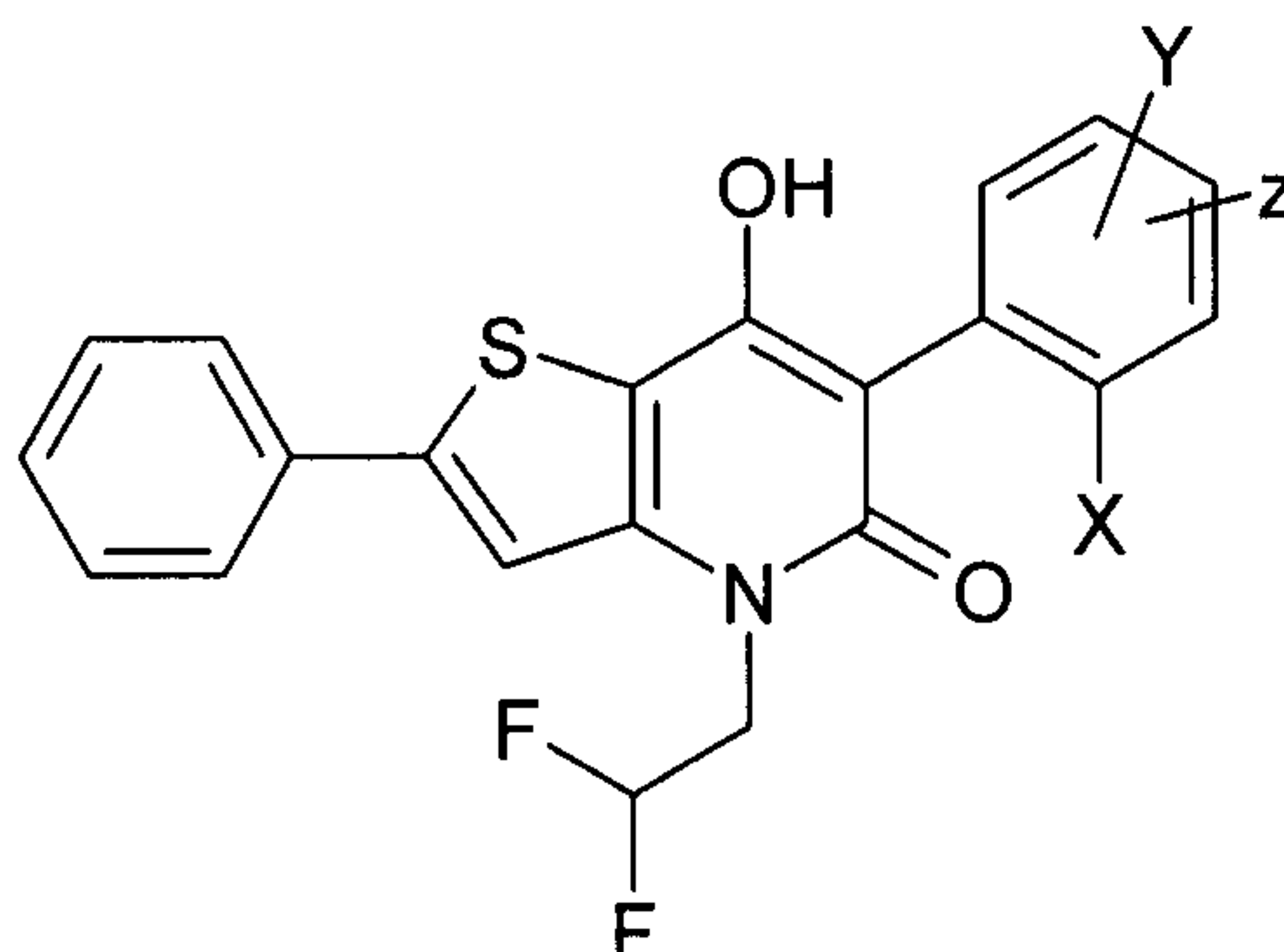
Table 150: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each as defined in table 1:



- 5 Table 151: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A7, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are each as defined in table 1:



- 10 Table 152: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A10, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are each as defined in table 1:

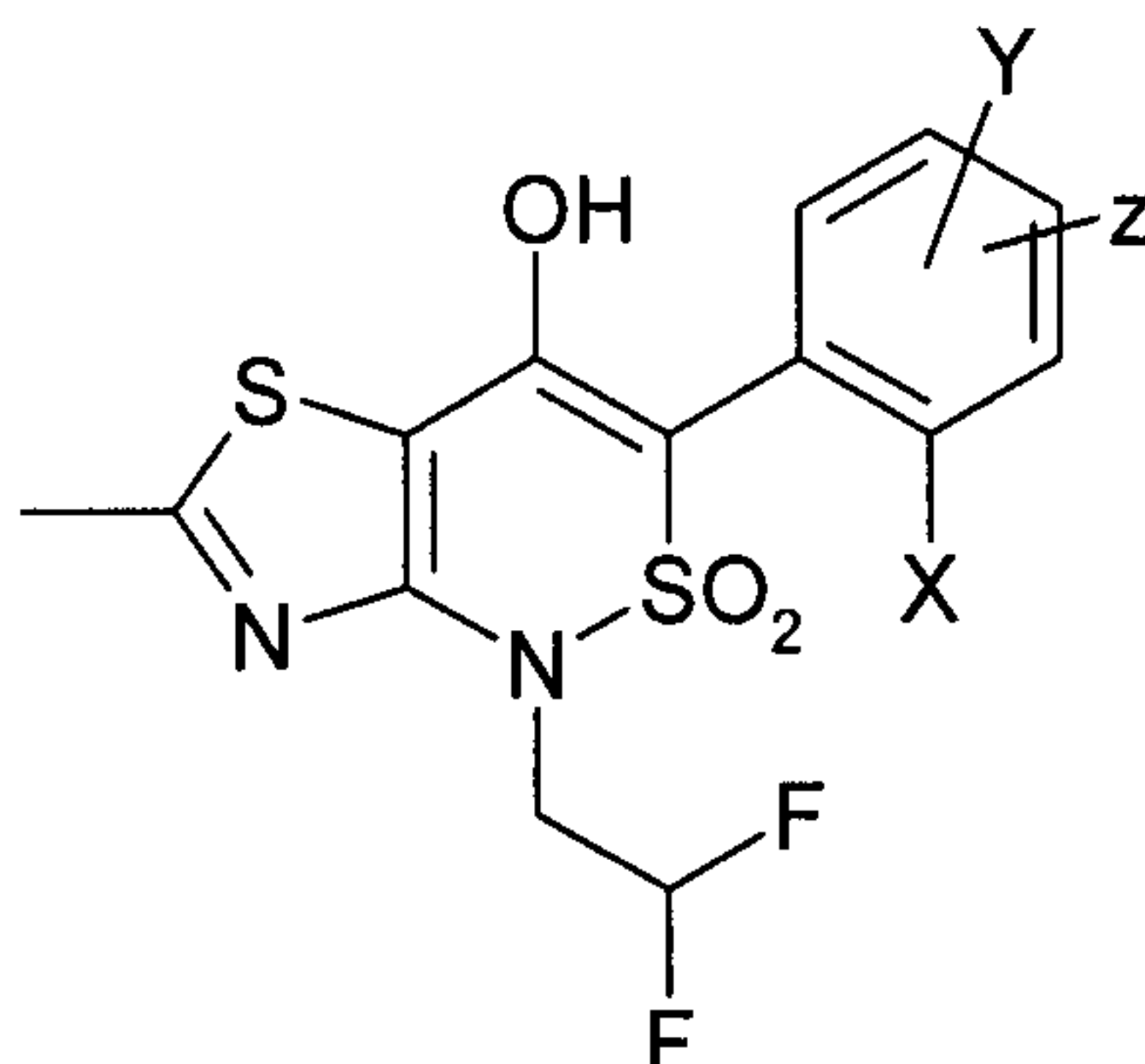


WO 2012/028582

80

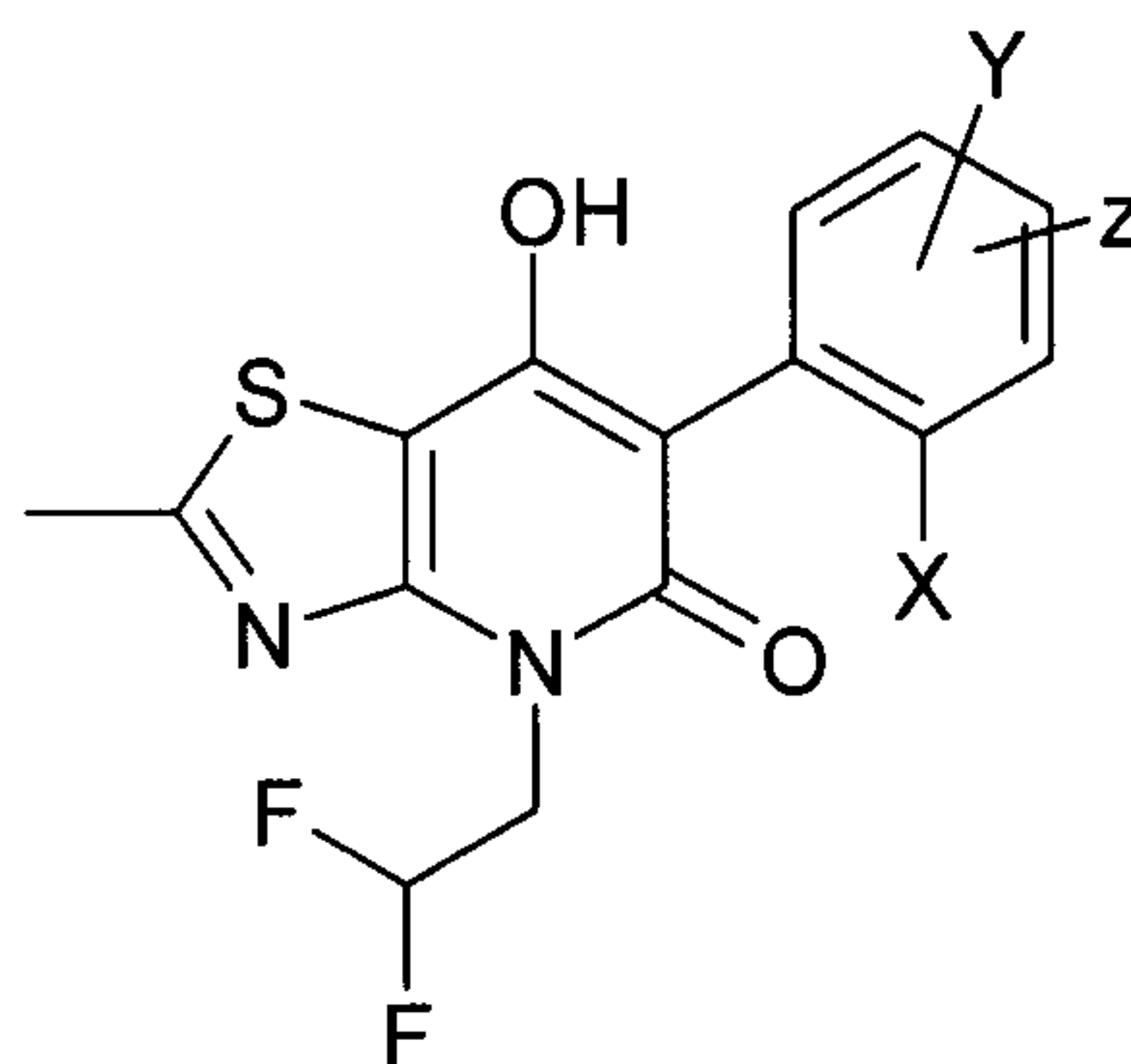
PCT/EP2011/064825

Table 153: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:



5

Table 154: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:



10 Table 155: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:

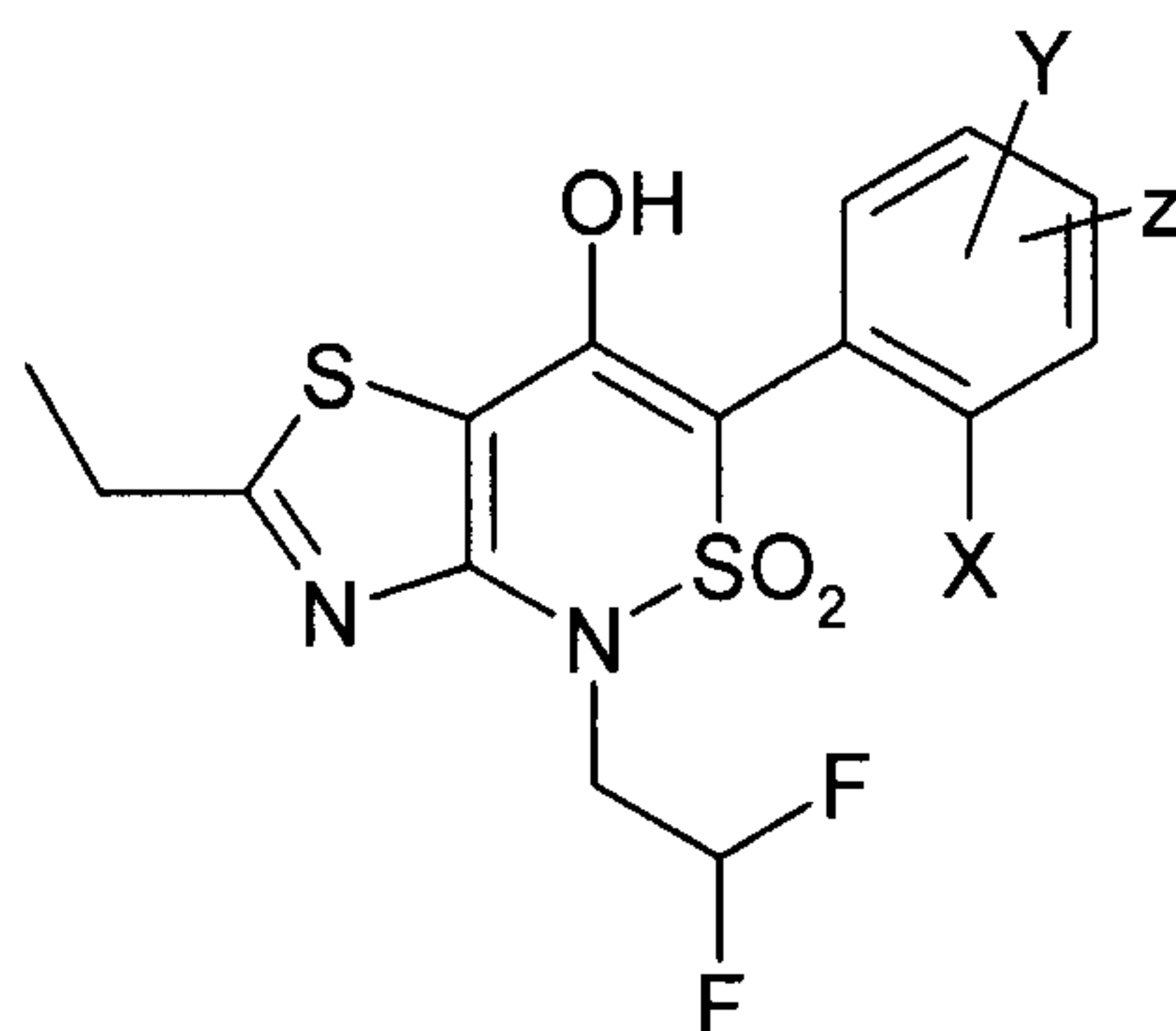
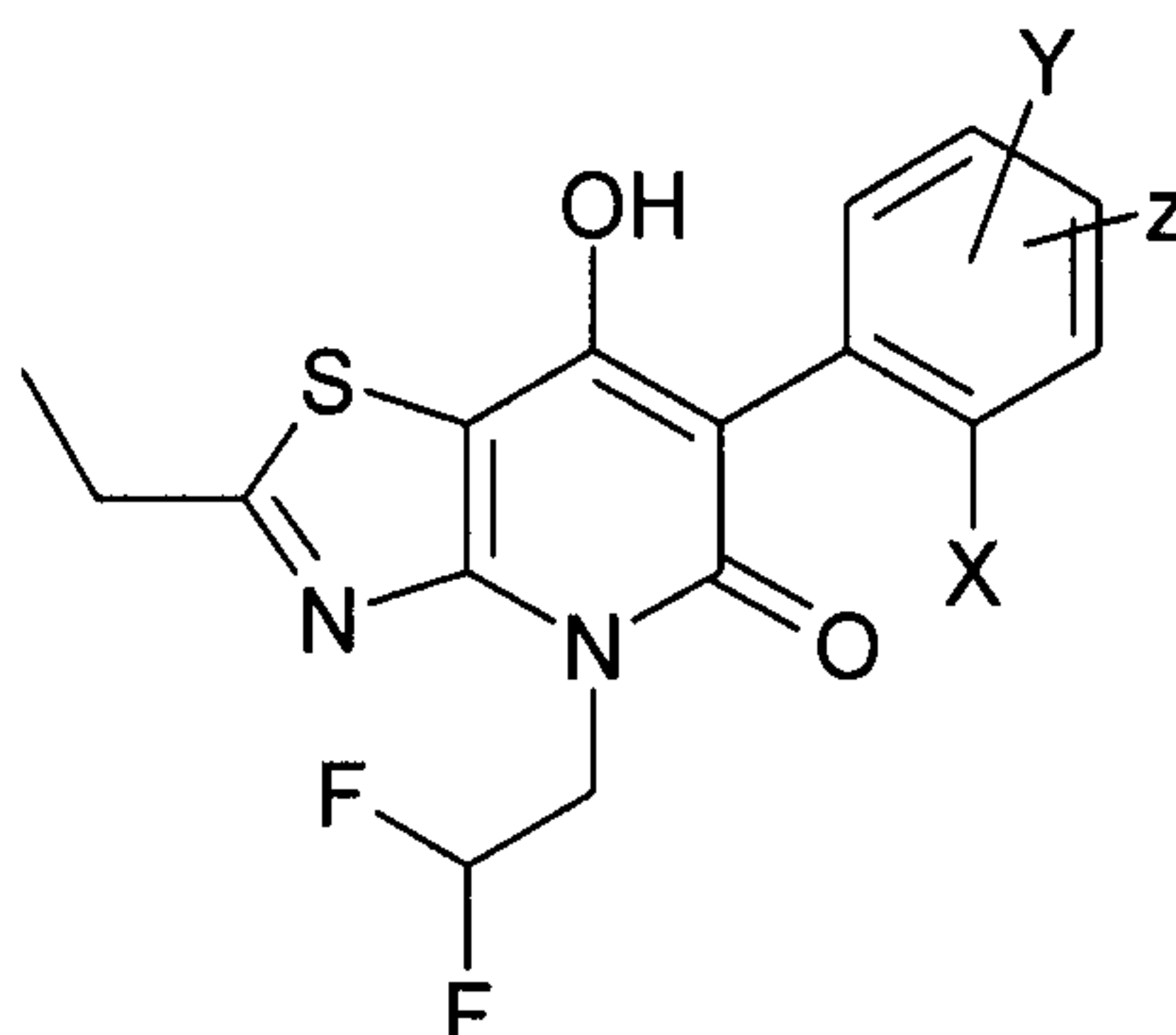
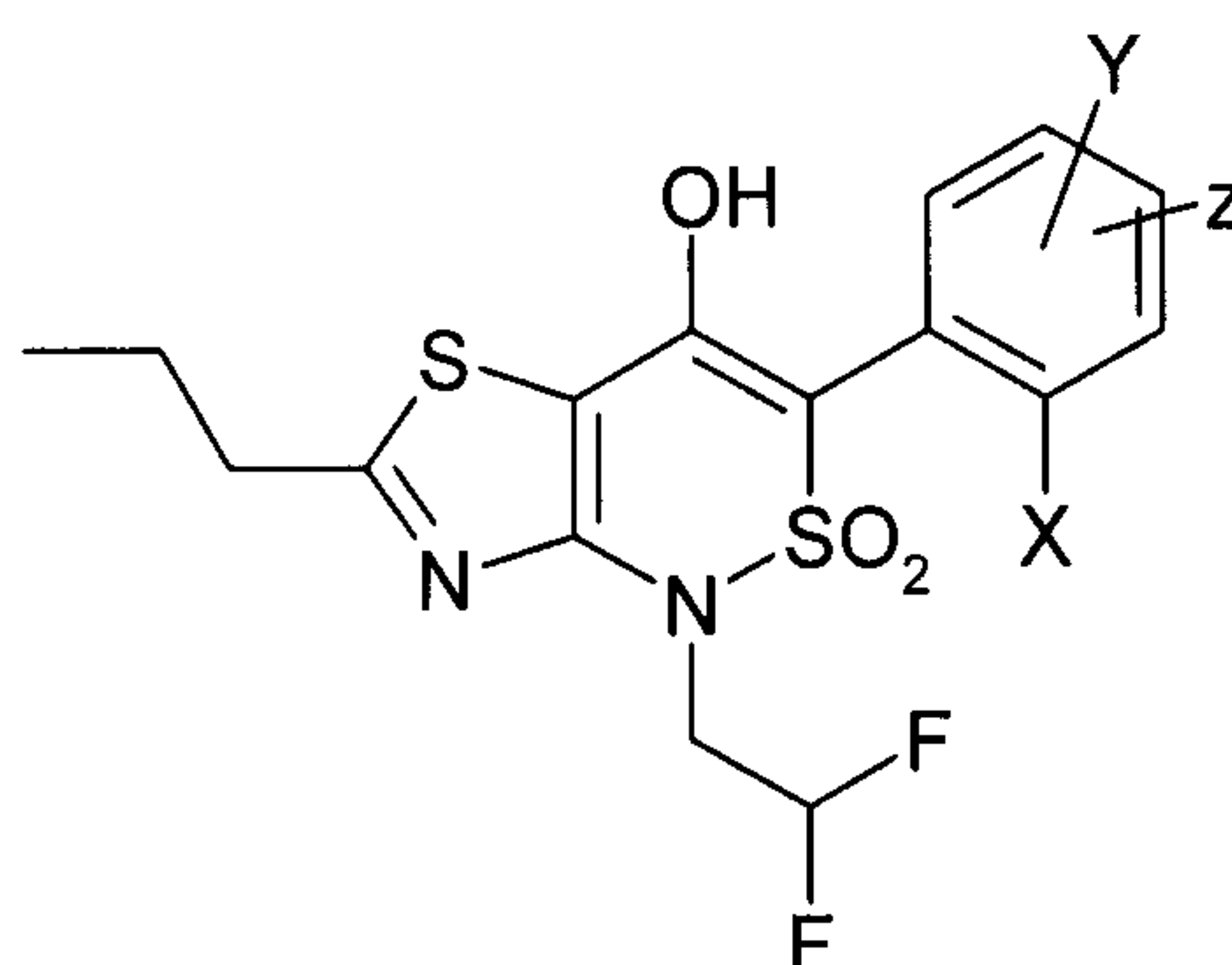


Table 156: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:



5

Table 157: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:



10

Table 158: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

82

PCT/EP2011/064825

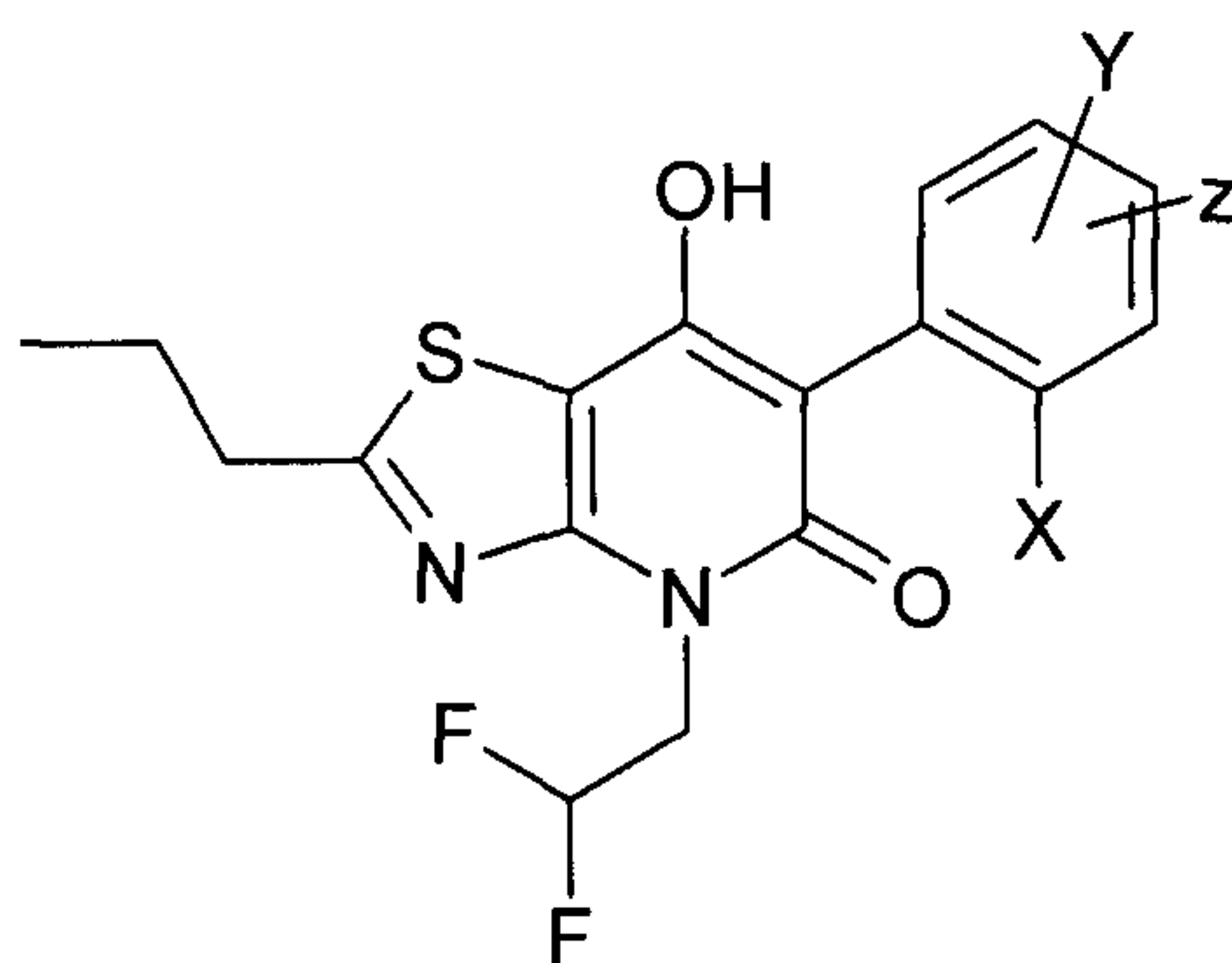
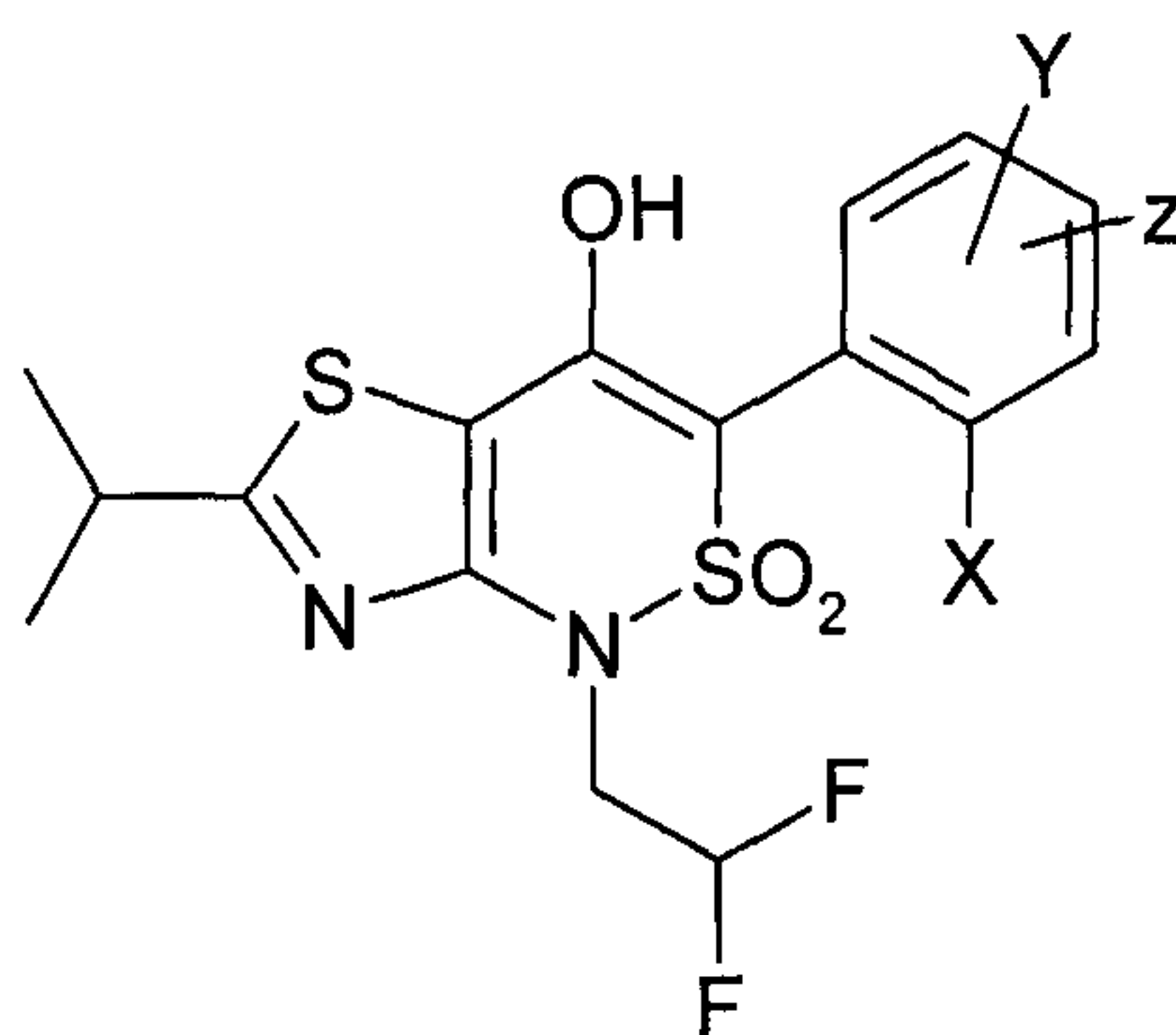
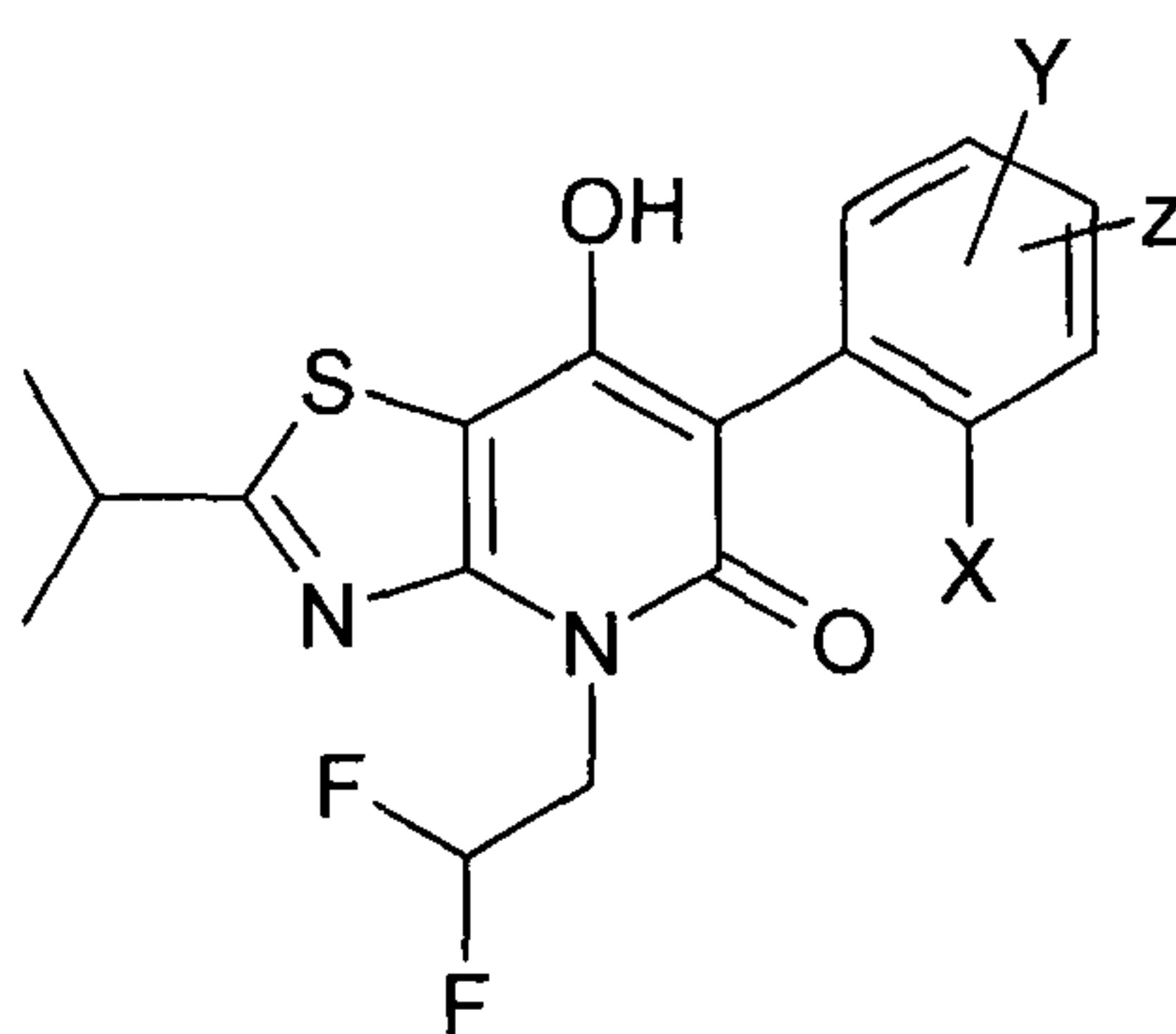


Table 159: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



5

Table 160: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



10

Table 161: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

83

PCT/EP2011/064825

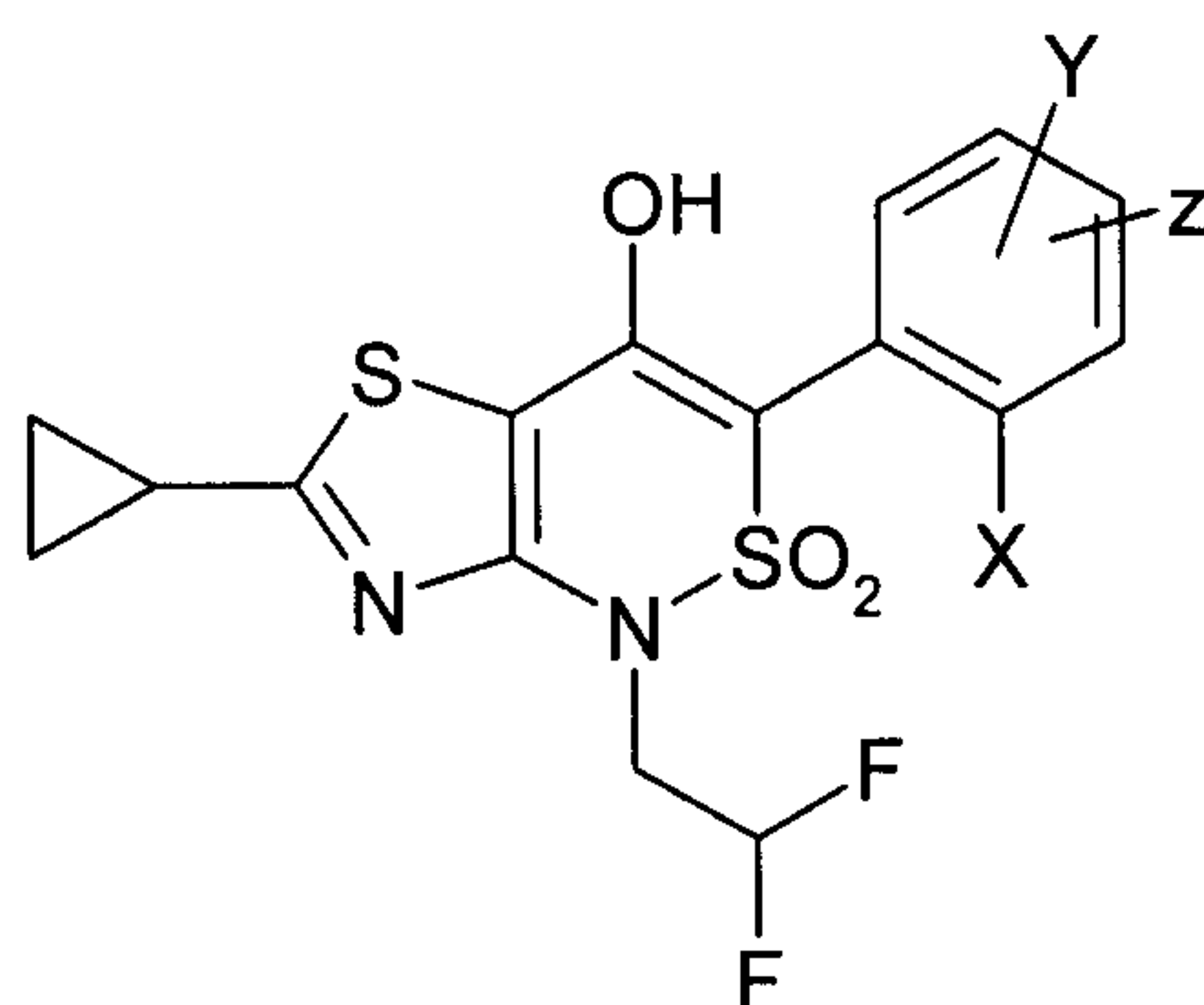


Table 162: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as
5 defined in table 1:

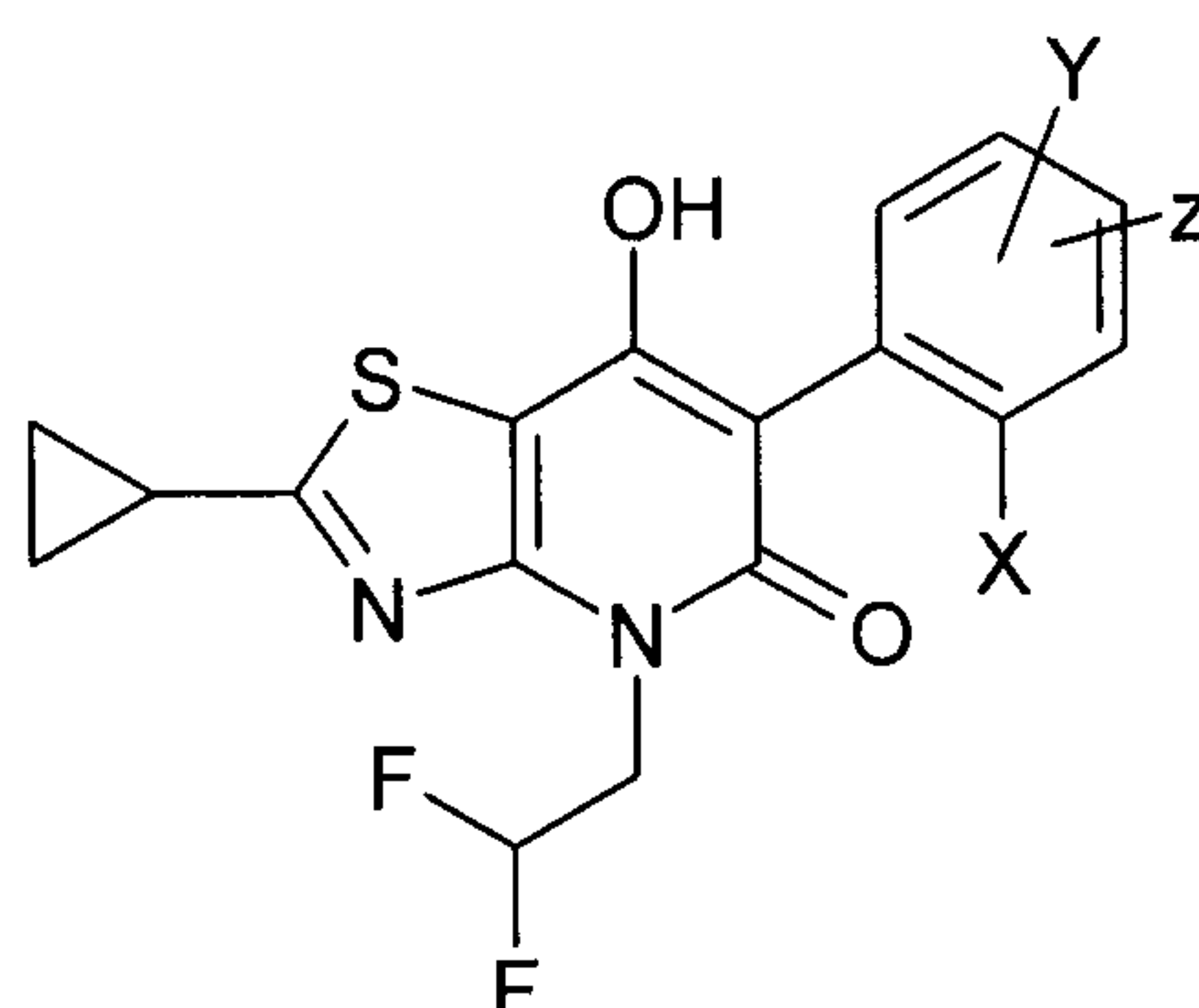
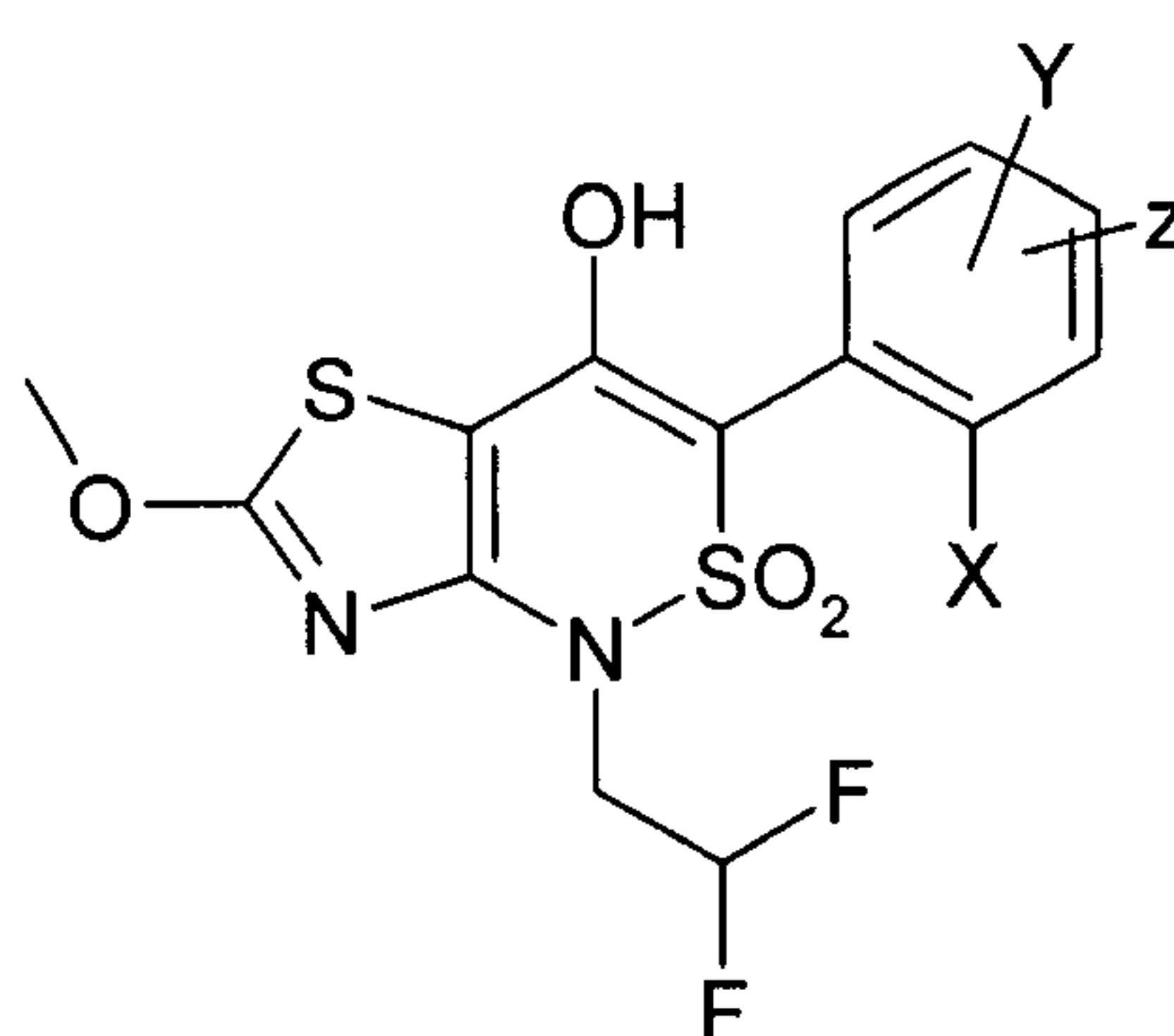


Table 163: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as
defined in table 1:

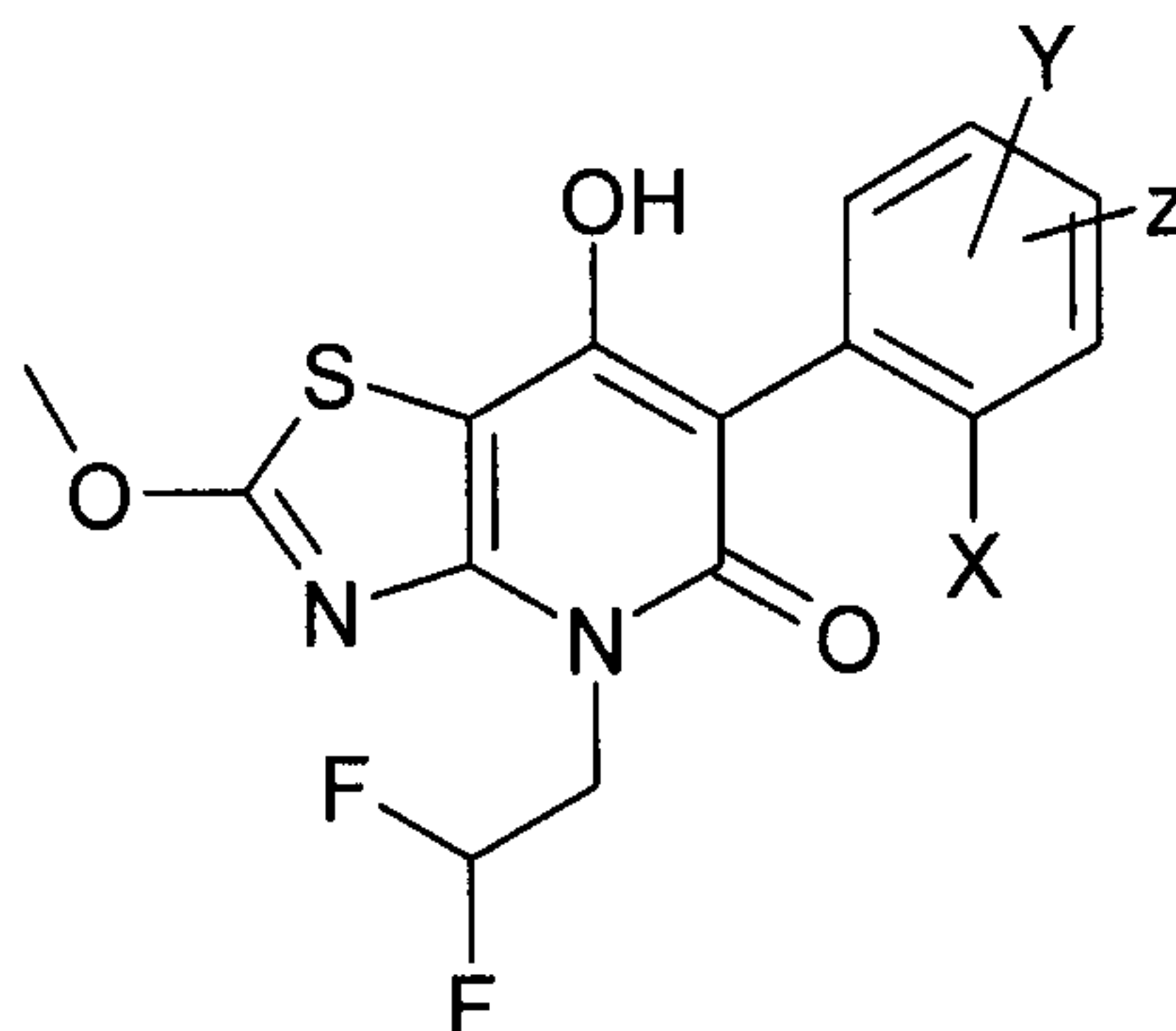


WO 2012/028582

84

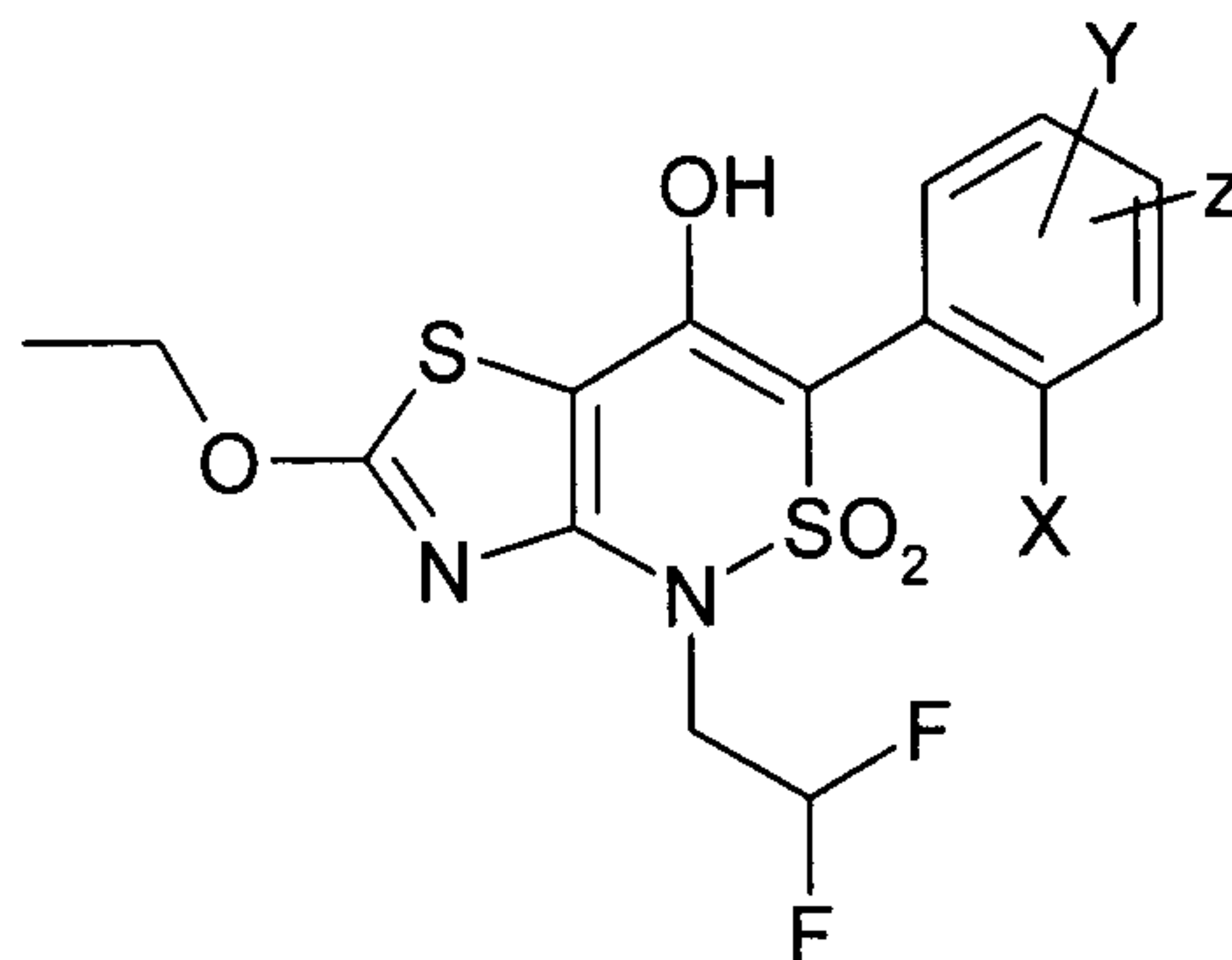
PCT/EP2011/064825

Table 164: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in table 1:



5

Table 165: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:



10

Table 166: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:

WO 2012/028582

85

PCT/EP2011/064825

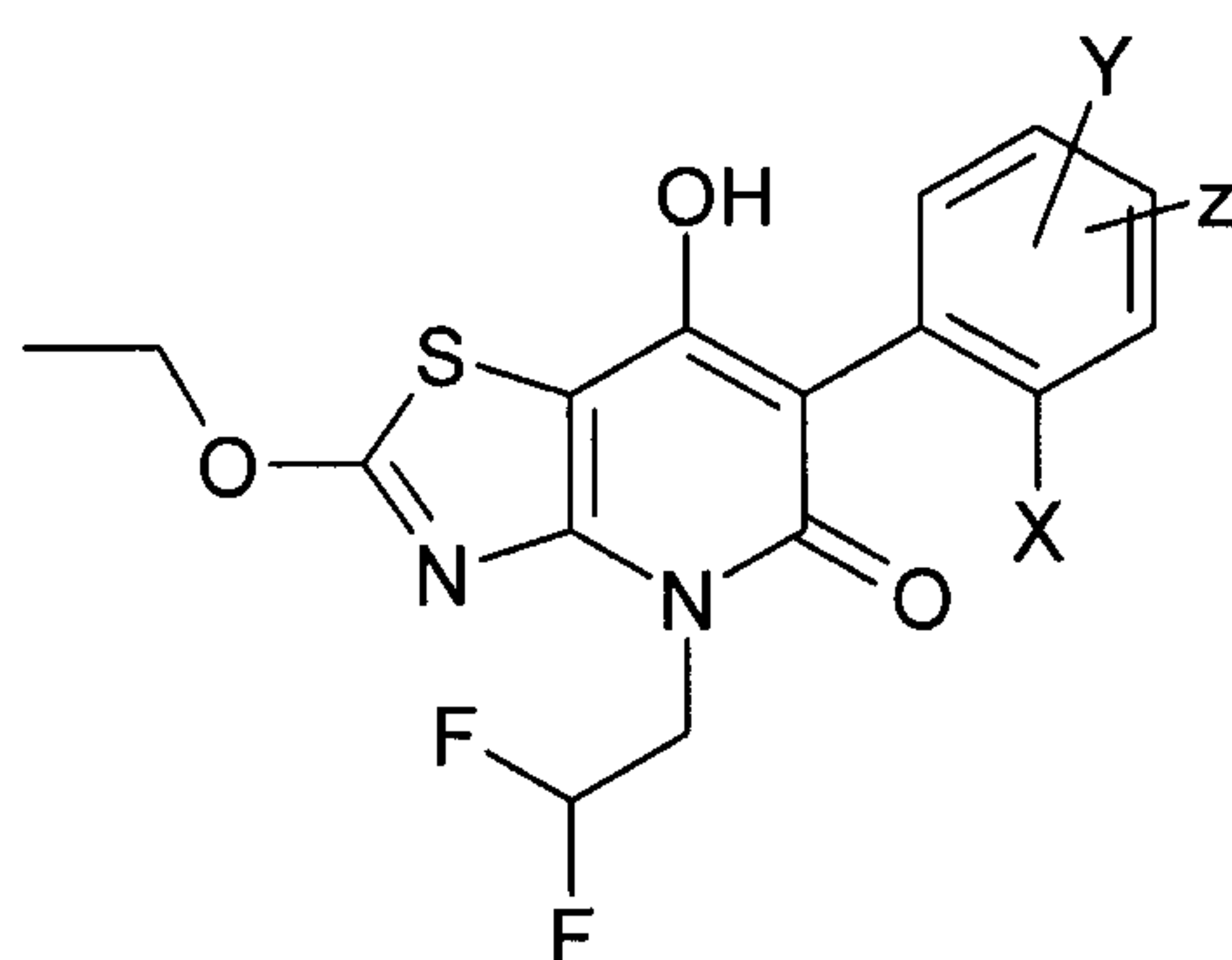
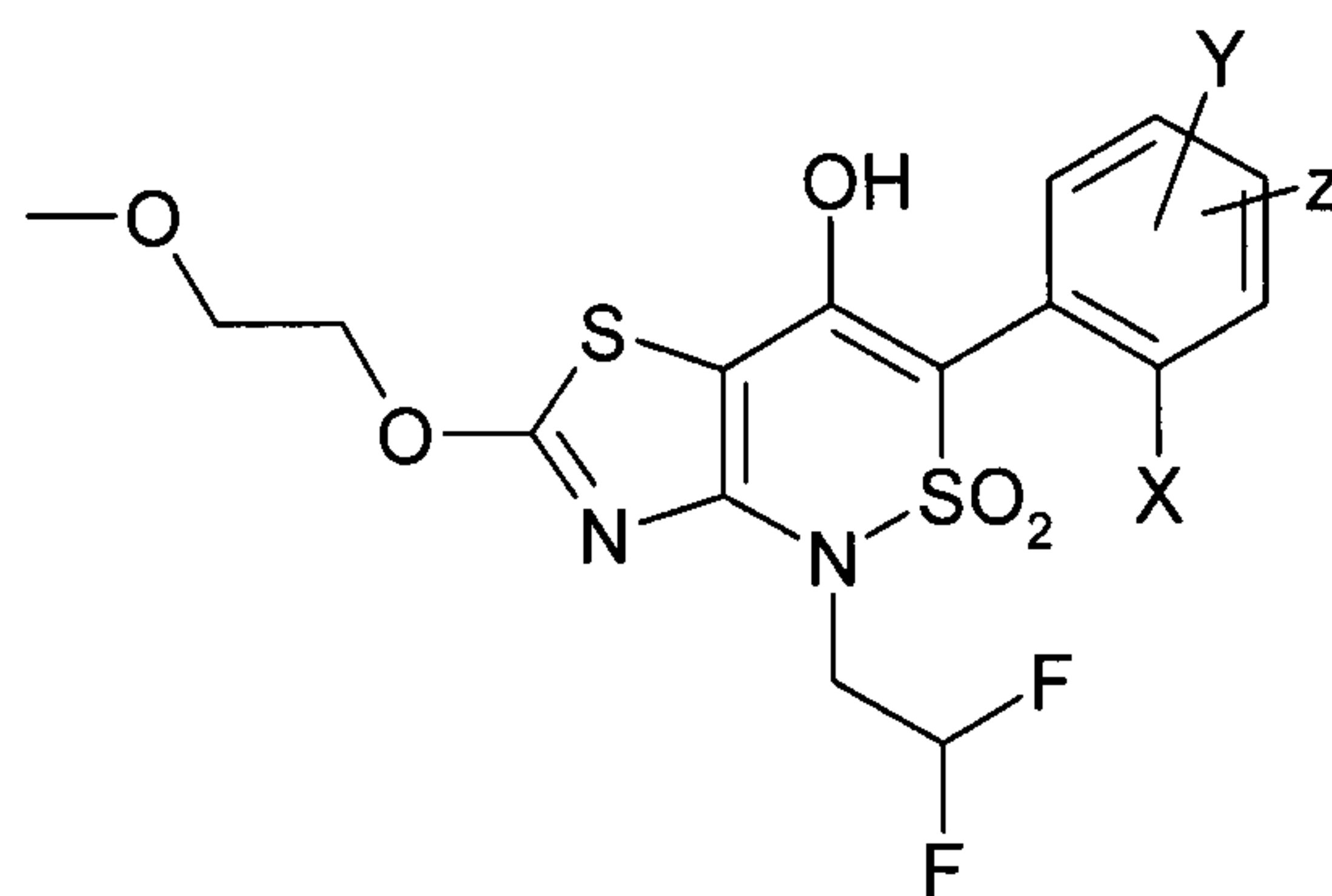
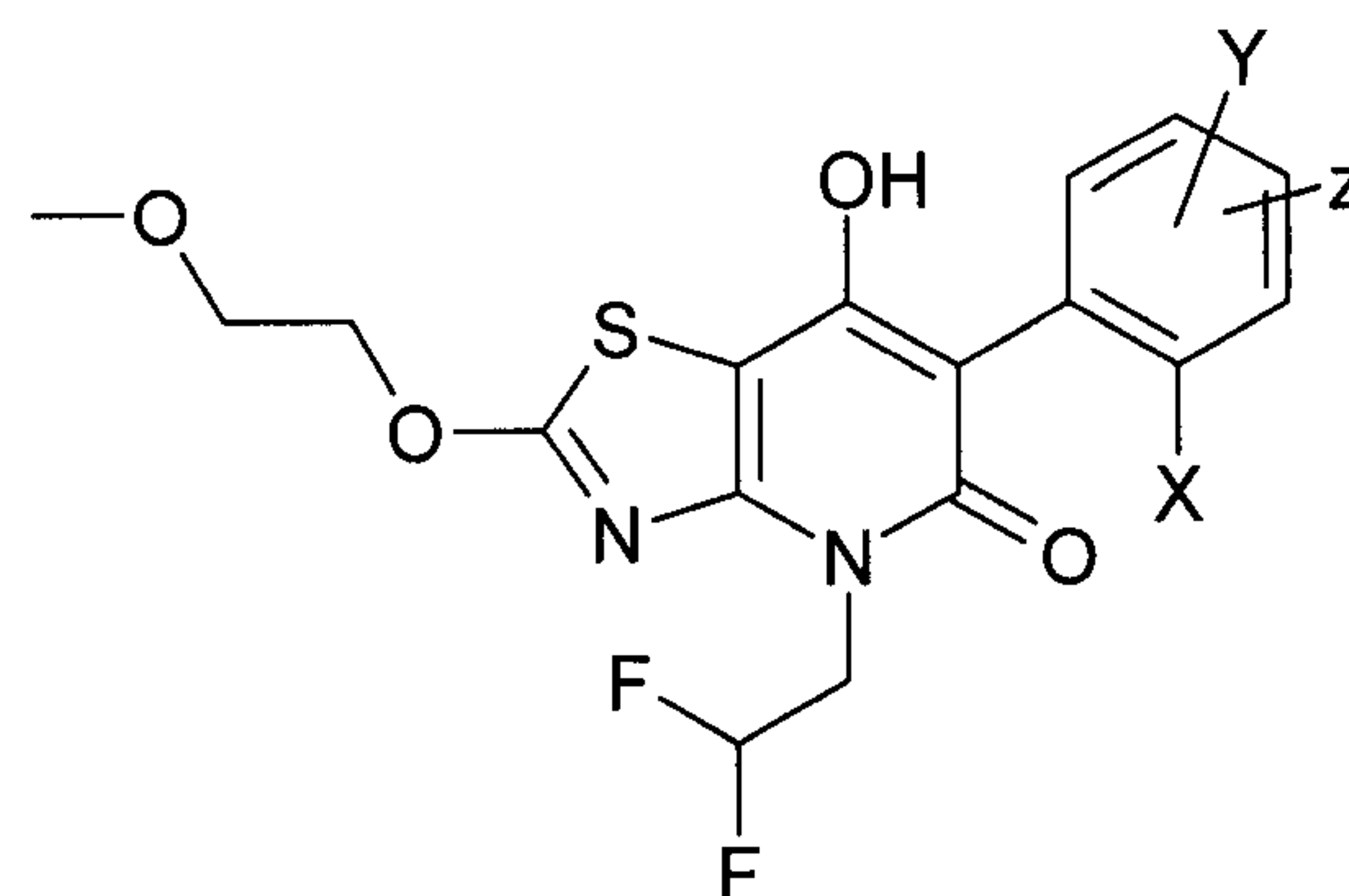


Table 167: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is 2,2-difluoroethyl, A is A2, R^{10} is methoxyethoxy, and X, Y and Z are each as defined in table 1:



5

Table 168: Inventive compounds of the formula (I) in which G is hydrogen, V is $\text{C}=\text{O}$, W is 2,2-difluoroethyl, A is A2, R^{10} is methoxyethoxy, and X, Y and Z are each as defined in table 1:



10

Table 169: Inventive compounds of the formula (I) in which G is hydrogen, V is SO_2 , W is 2,2-difluoroethyl, A is A12, and X, Y and Z are each as defined in table 1:

WO 2012/028582

86

PCT/EP2011/064825

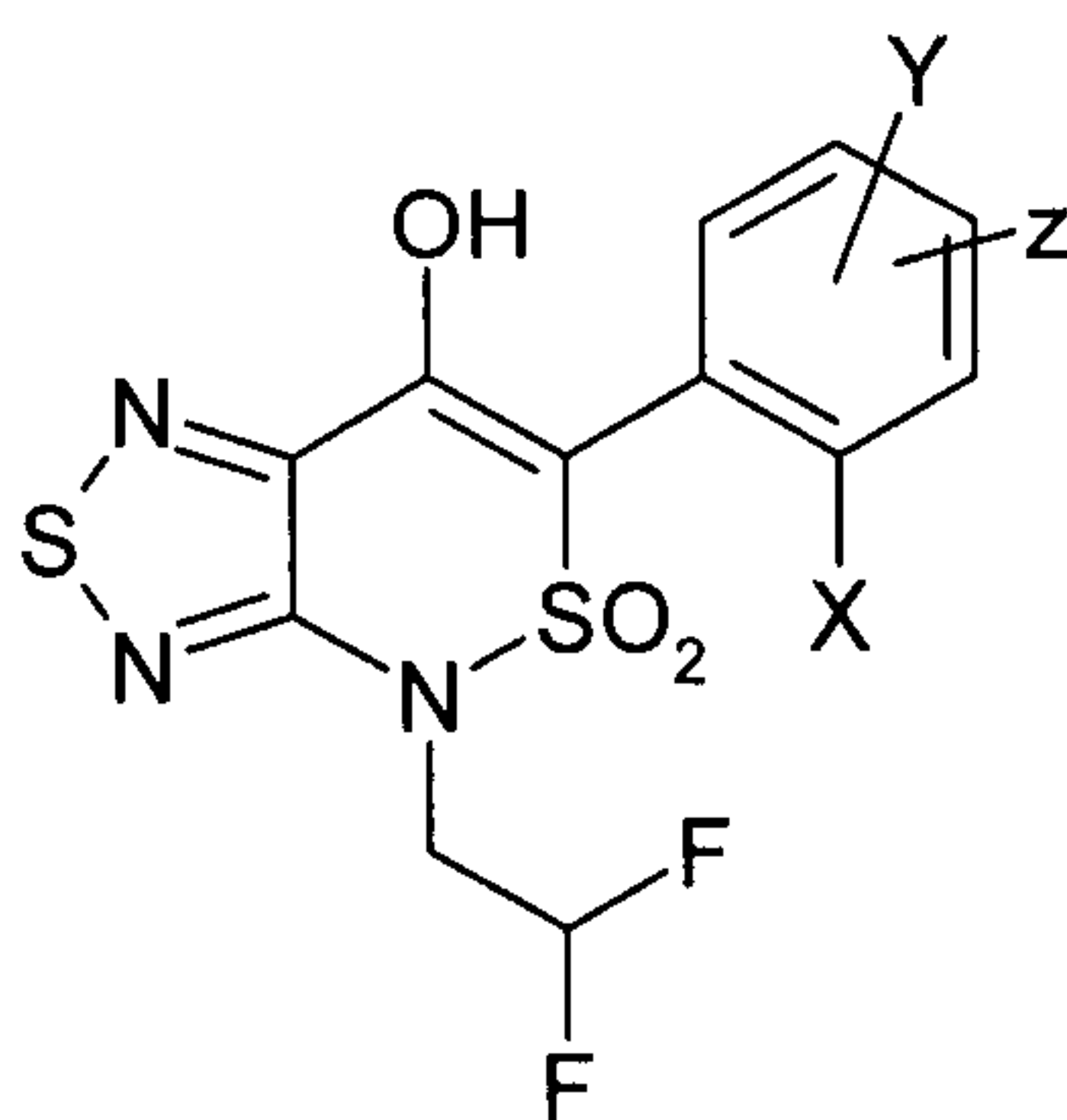
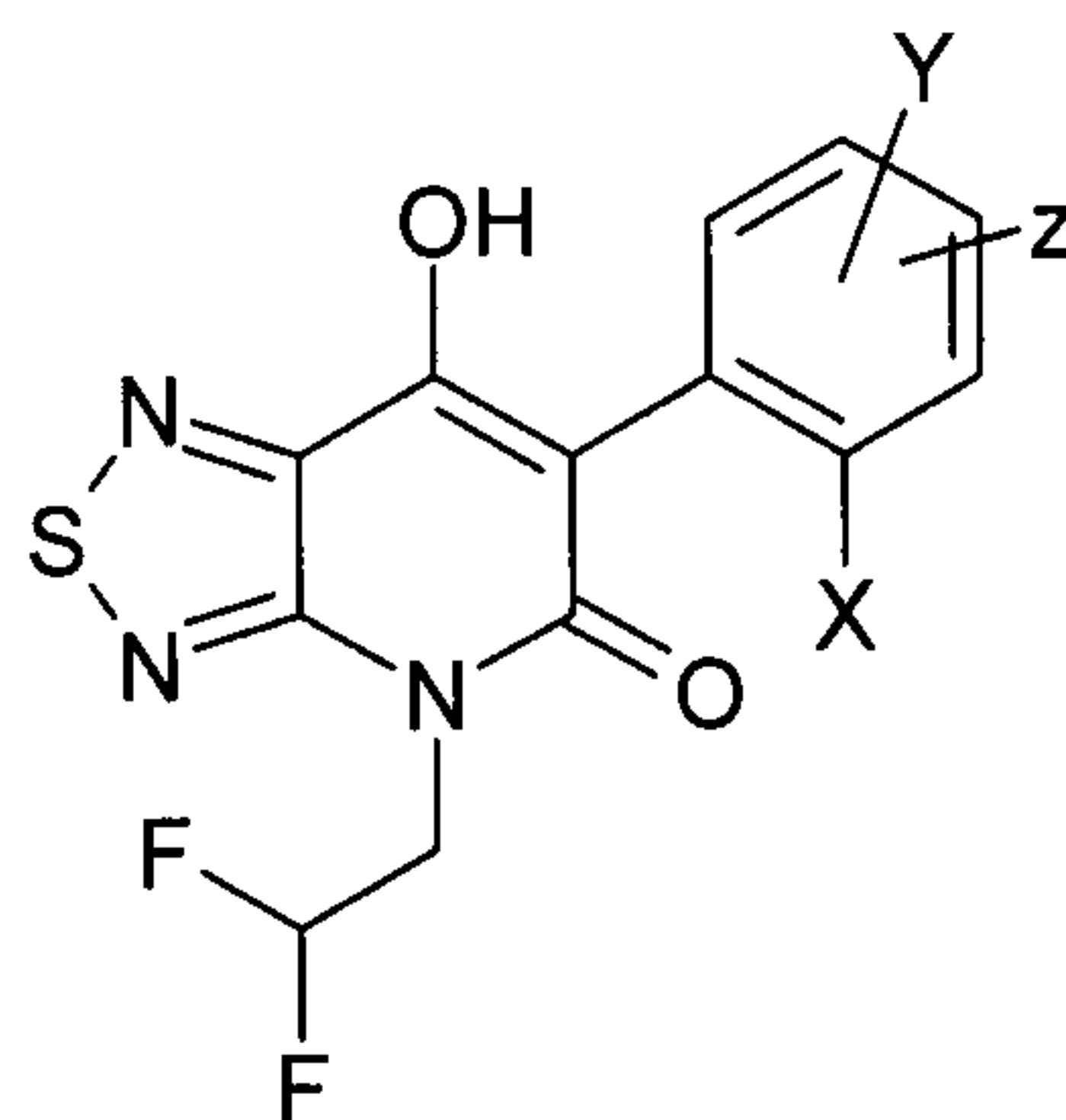
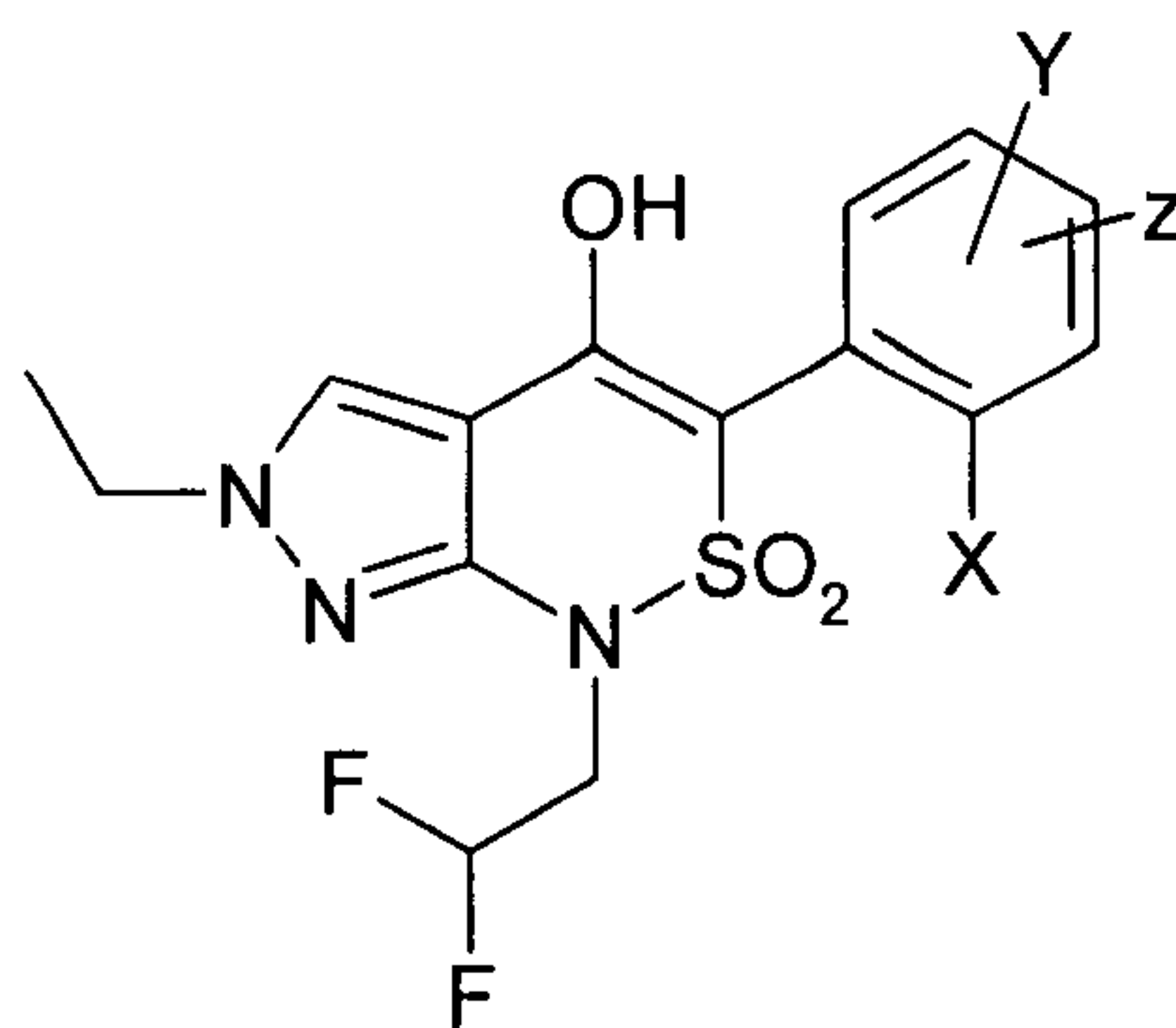


Table 170: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A12, and X, Y and Z are each as defined in table 1:



5

Table 171: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is 2,2-difluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:



10

Table 172: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is 2,2-difluoroethyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

87

PCT/EP2011/064825

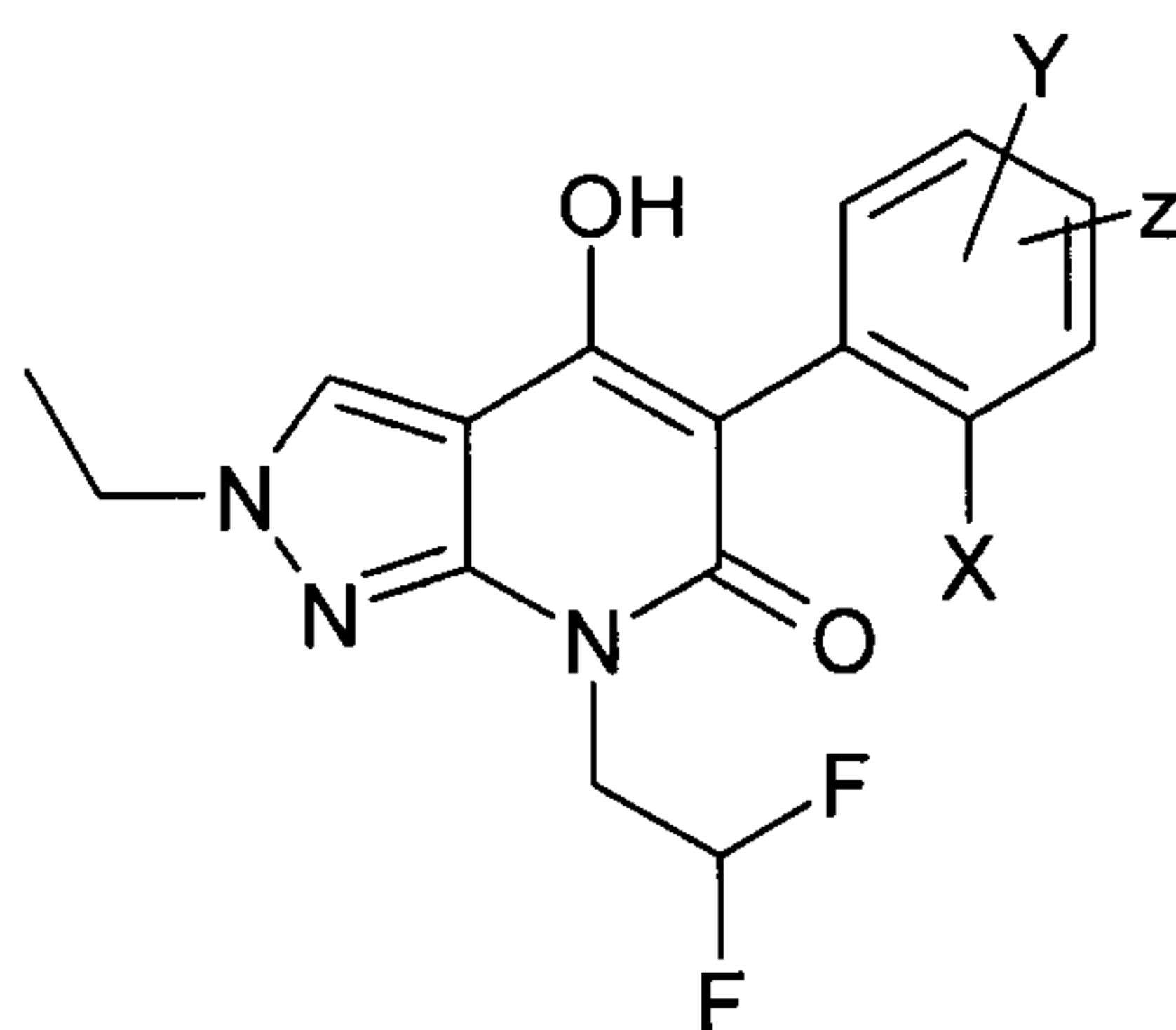
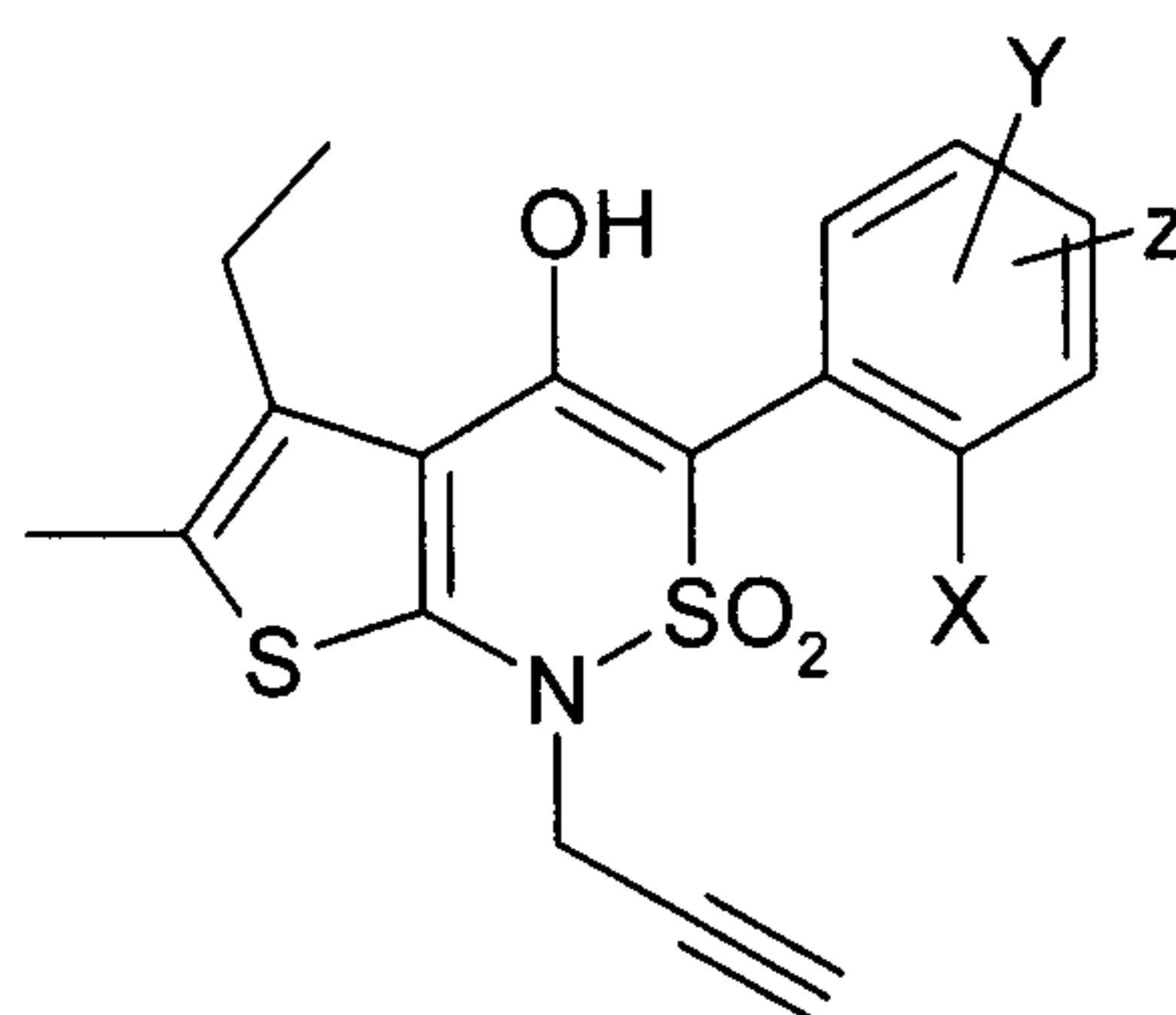
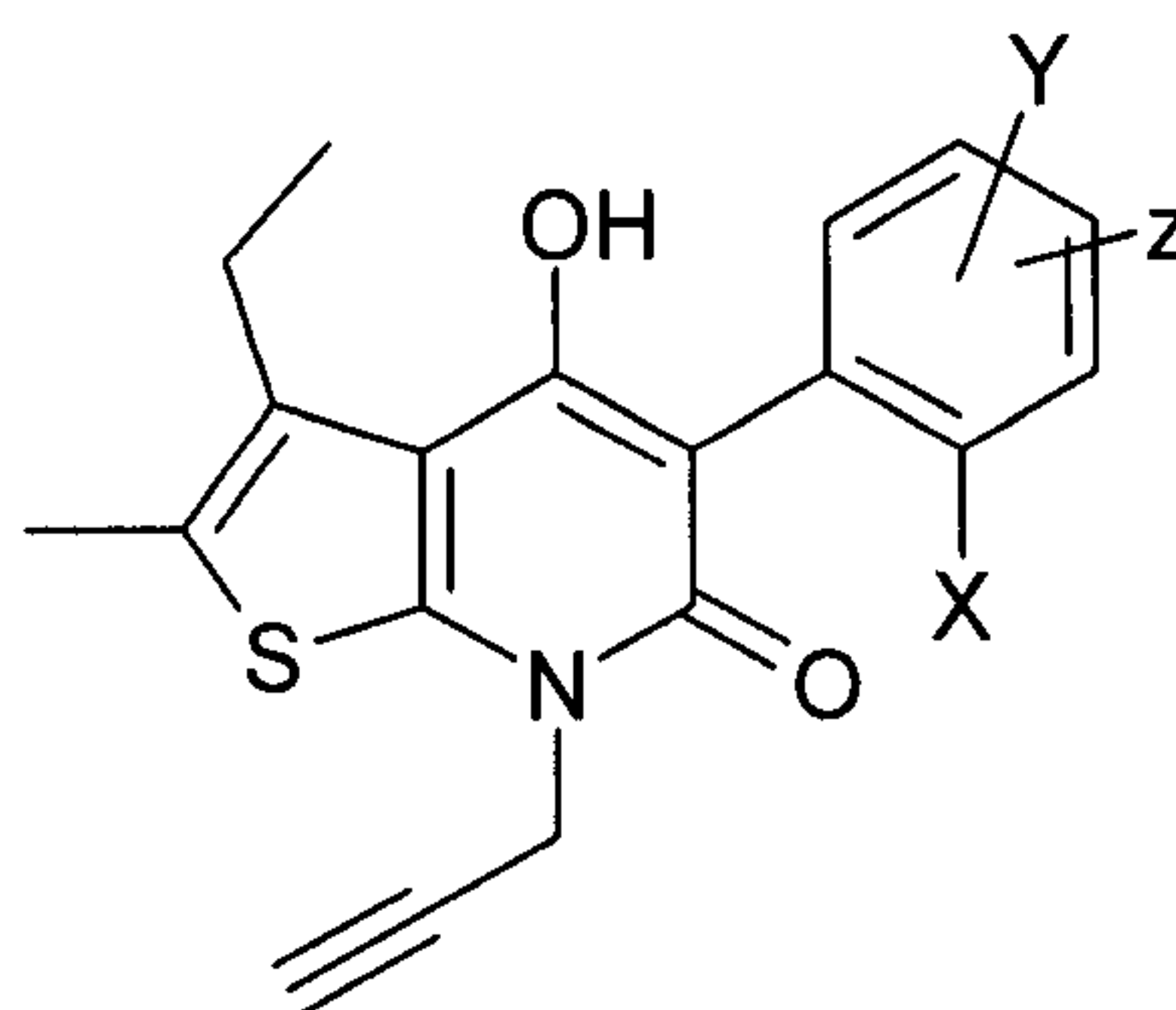


Table 173: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as defined in table 1:



5

Table 174: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 175: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

88

PCT/EP2011/064825

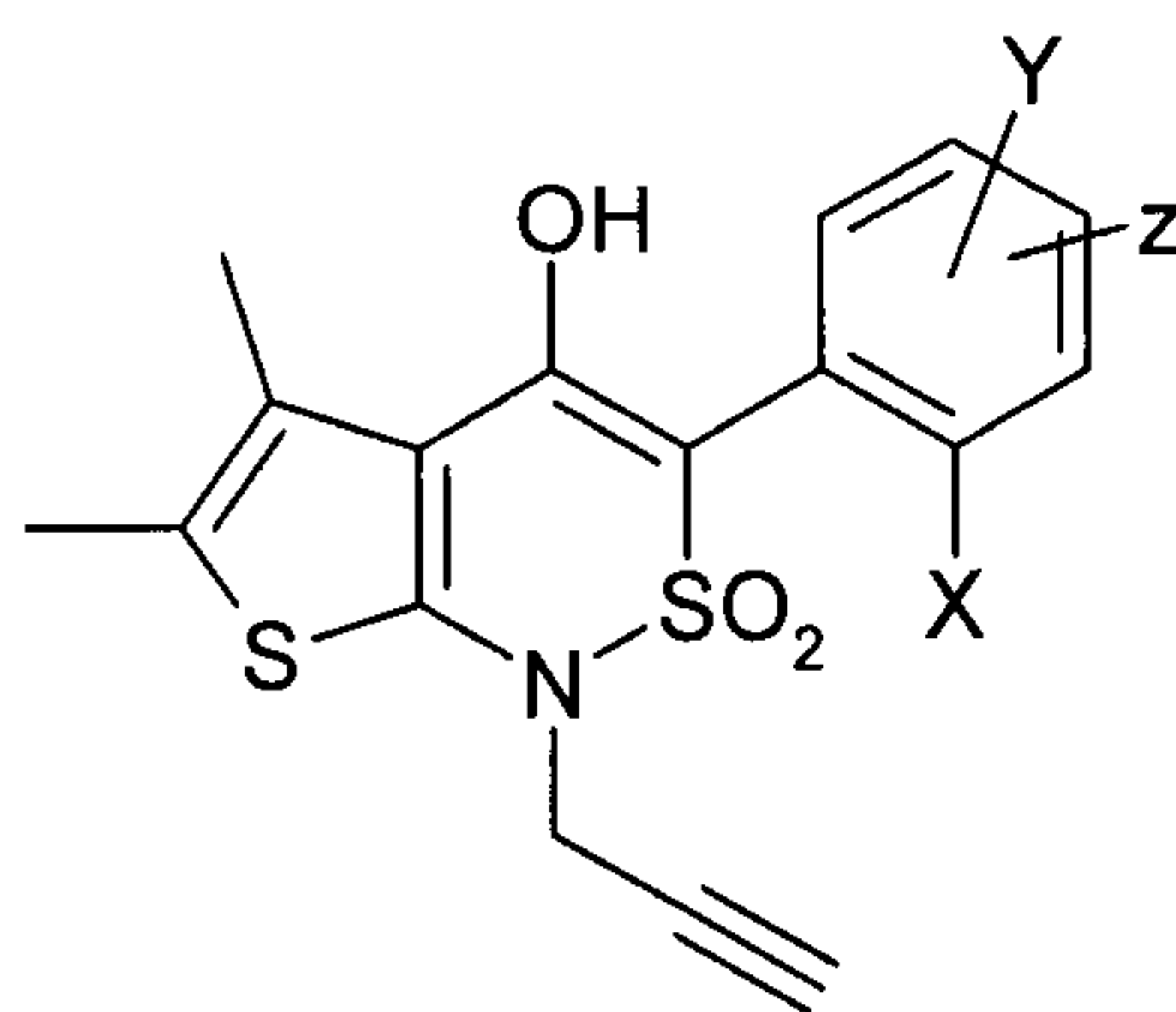


Table 176: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each

5 as defined in table 1:

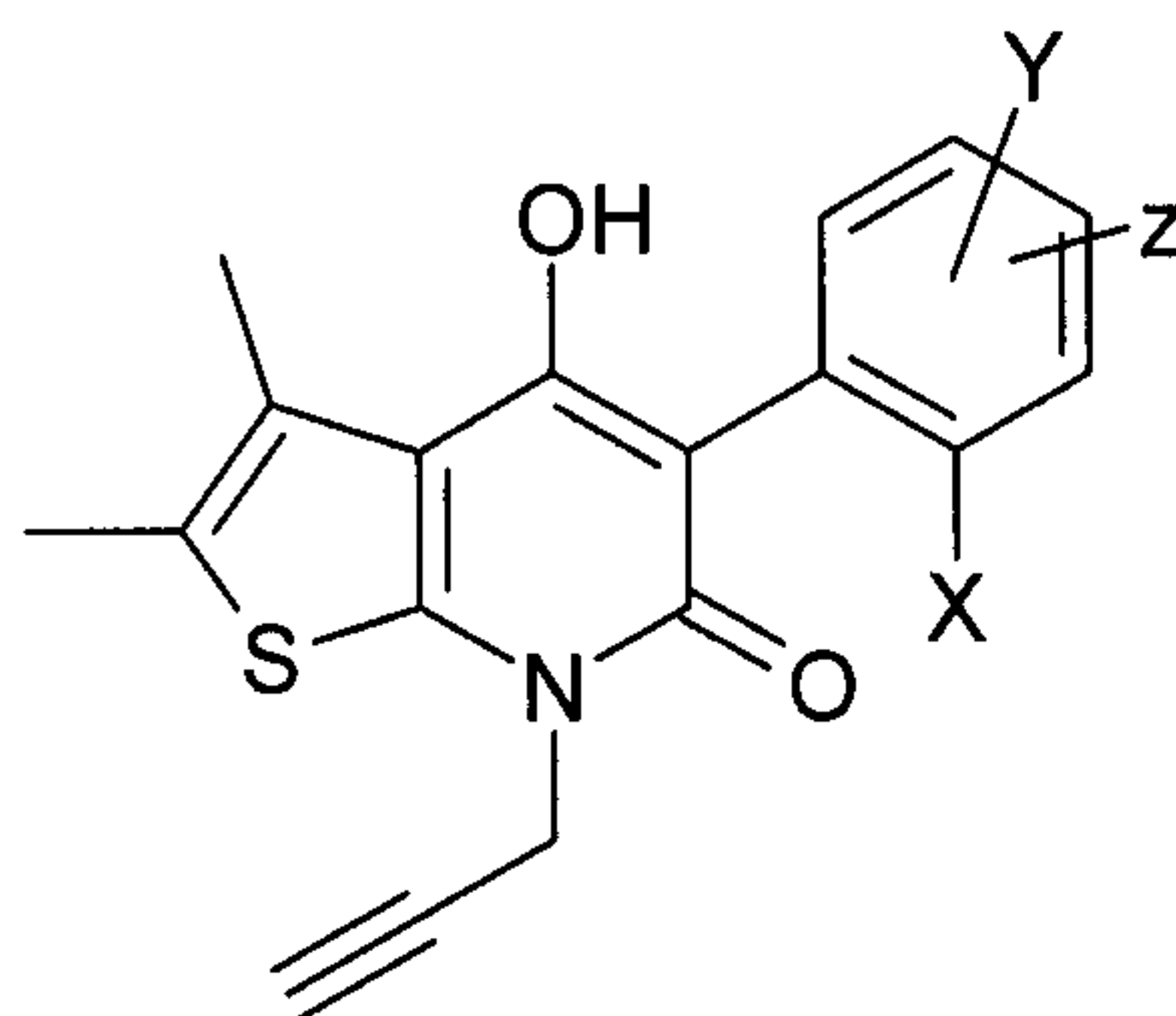


Table 177: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A7, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are

10 each as defined in table 1:

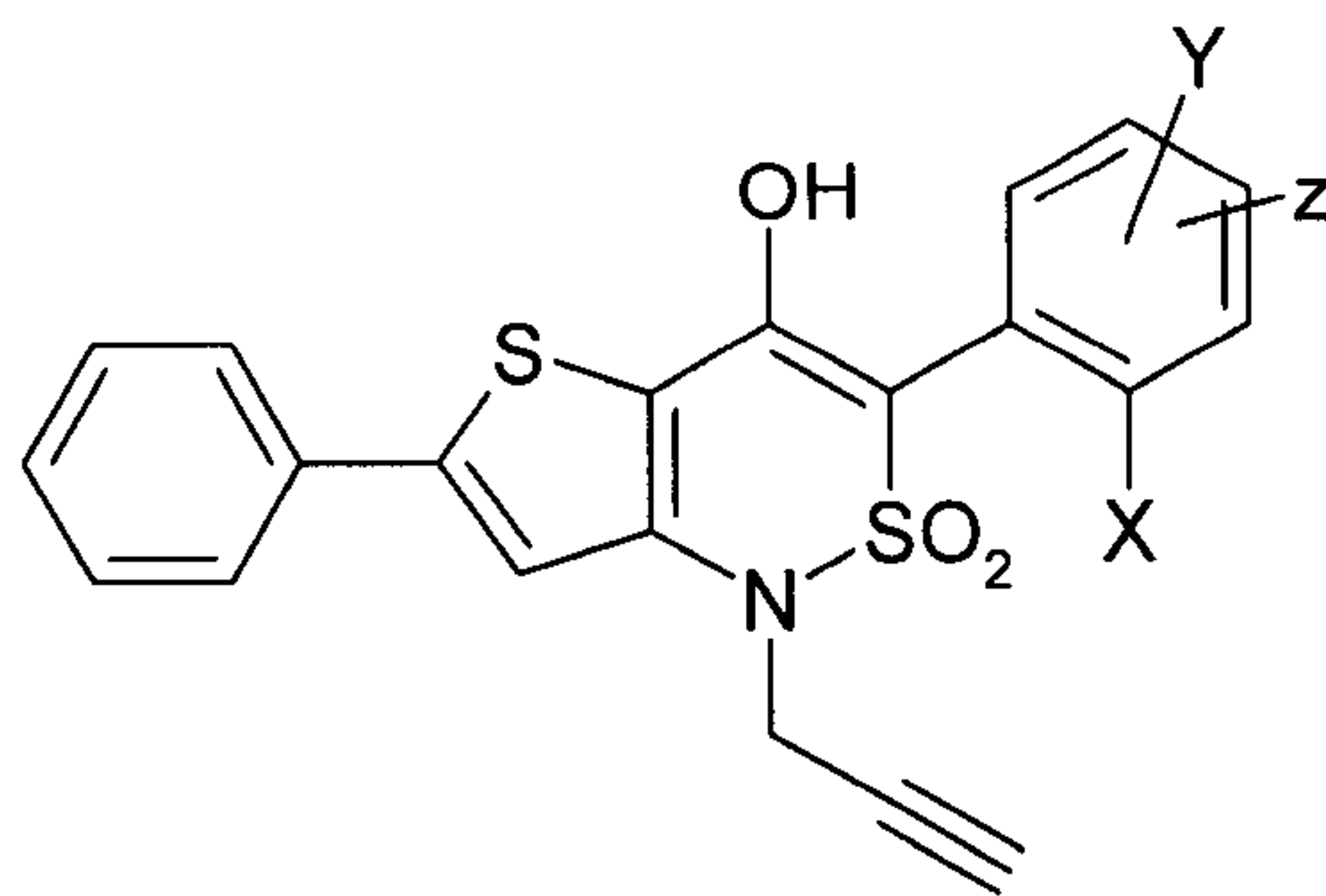


Table 178: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A10, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are

15 each as defined in table 1:

WO 2012/028582

89

PCT/EP2011/064825

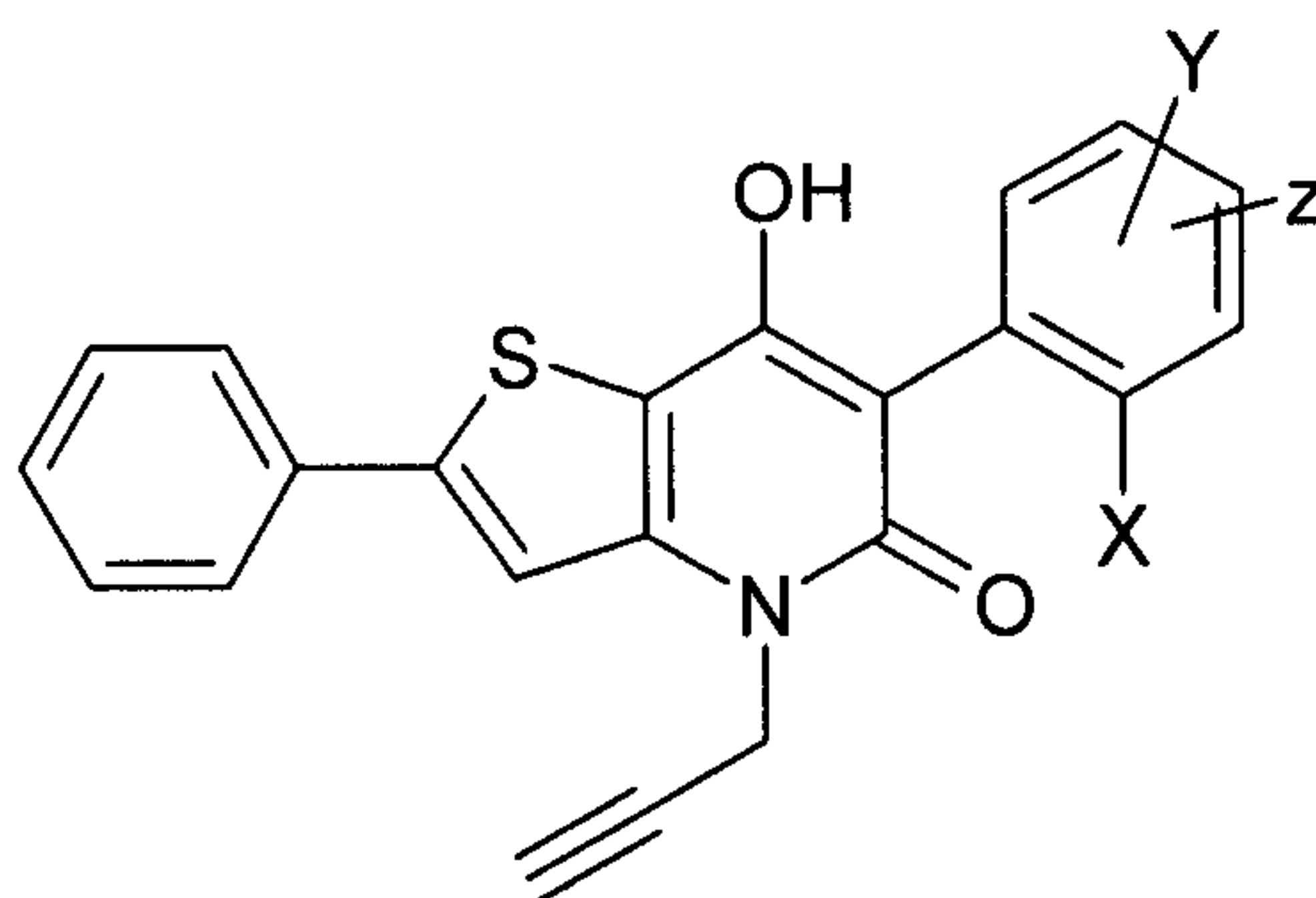
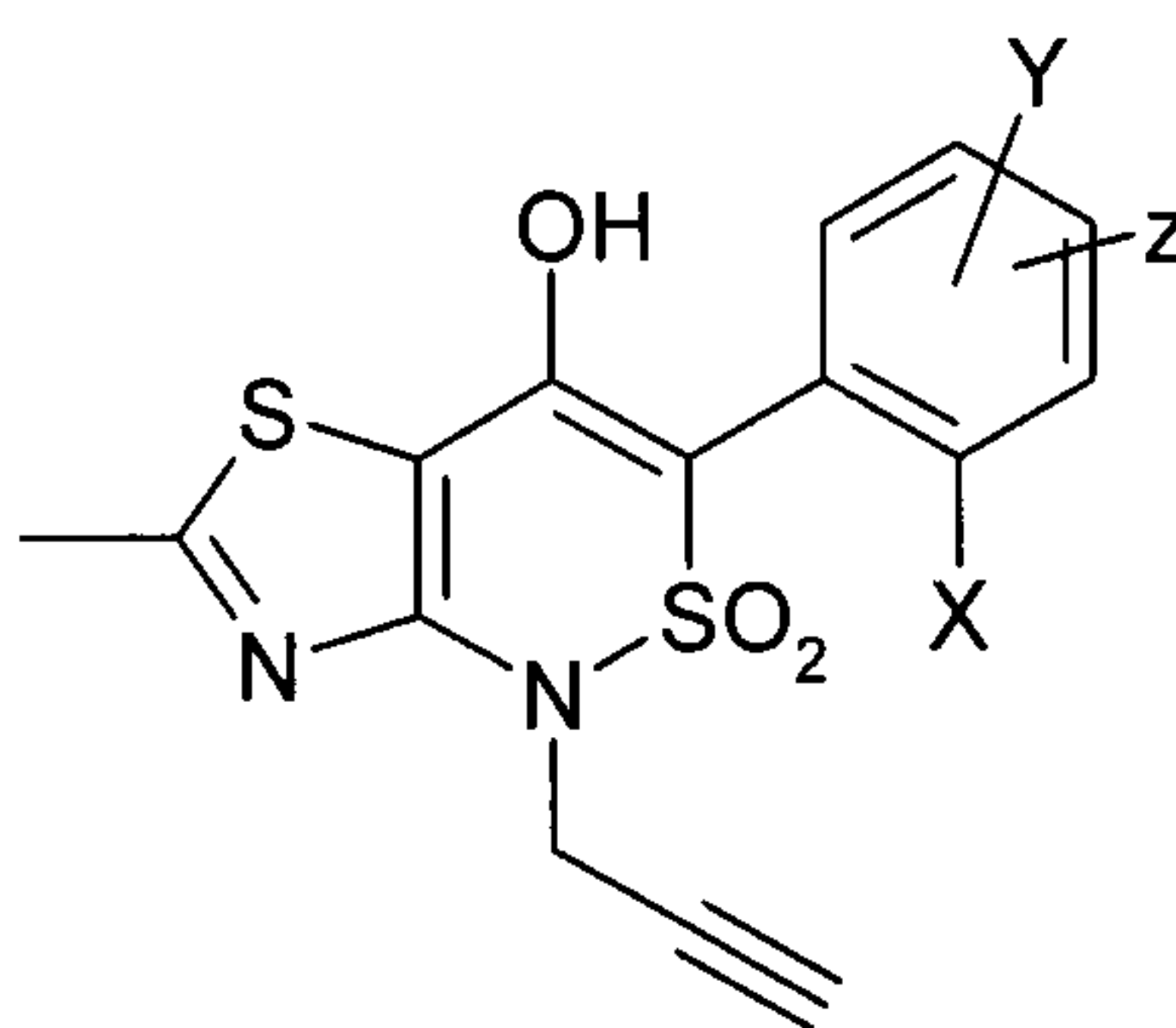
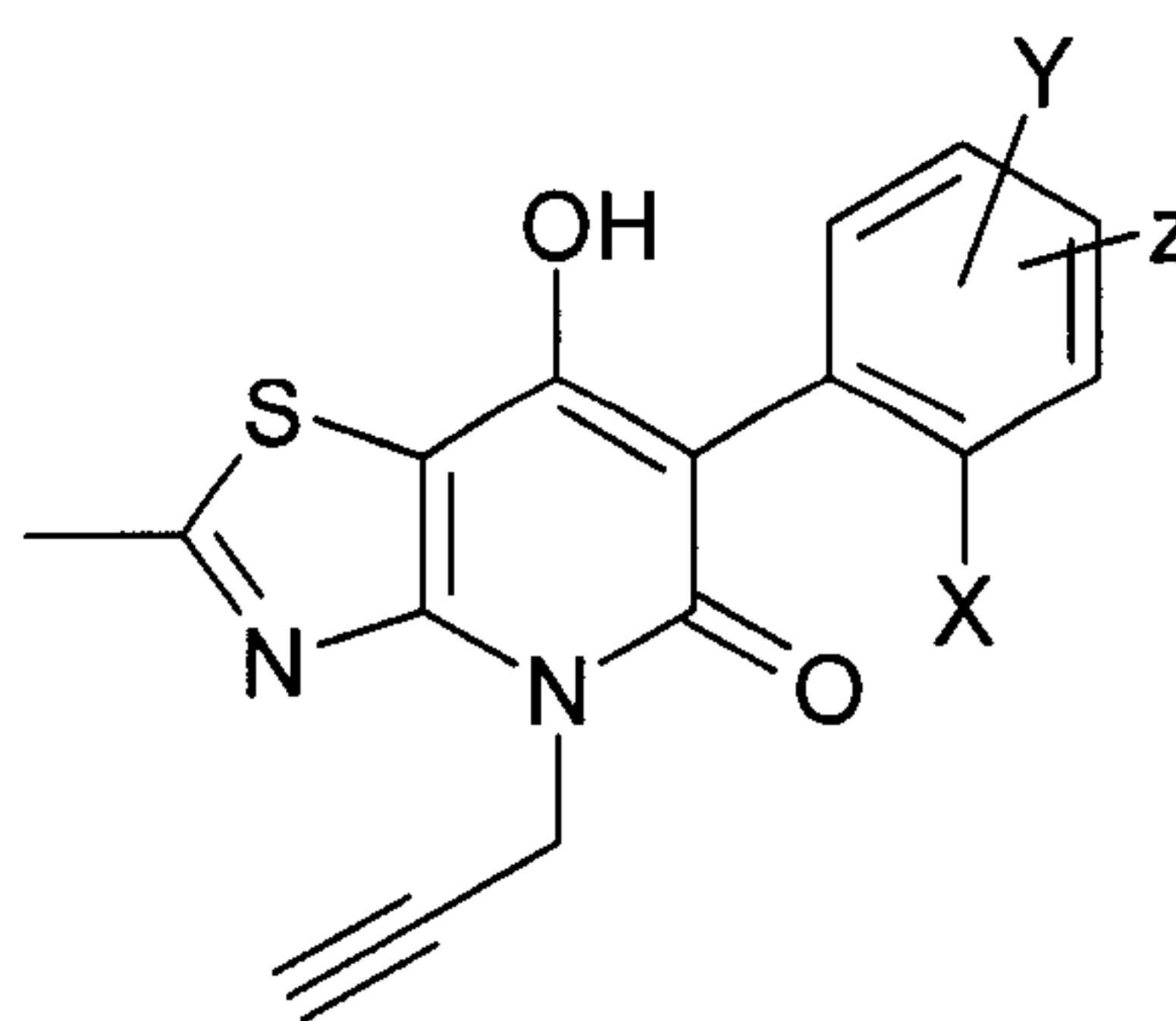


Table 179: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:



5

Table 180: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:



10

Table 181: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

90

PCT/EP2011/064825

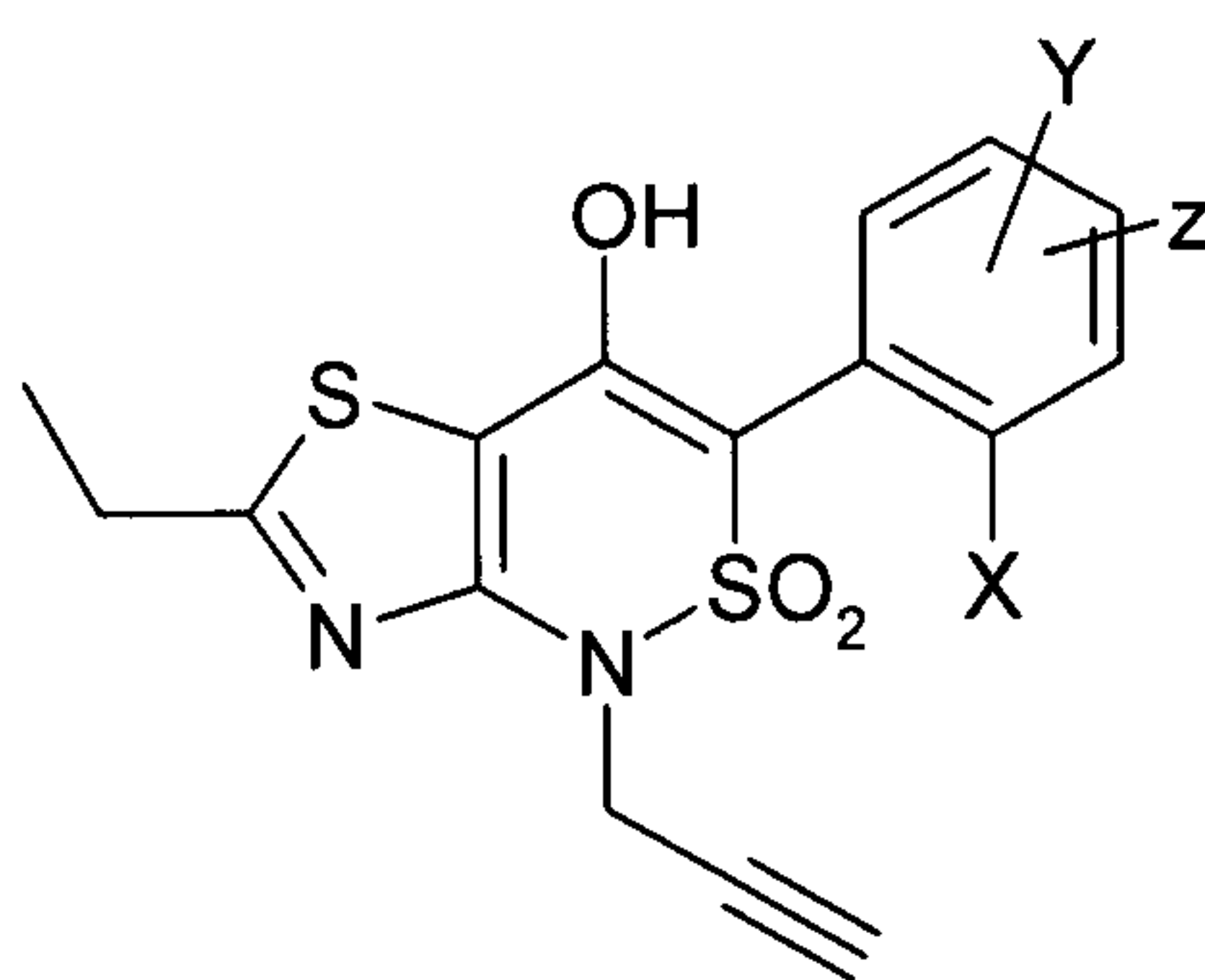


Table 182: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:

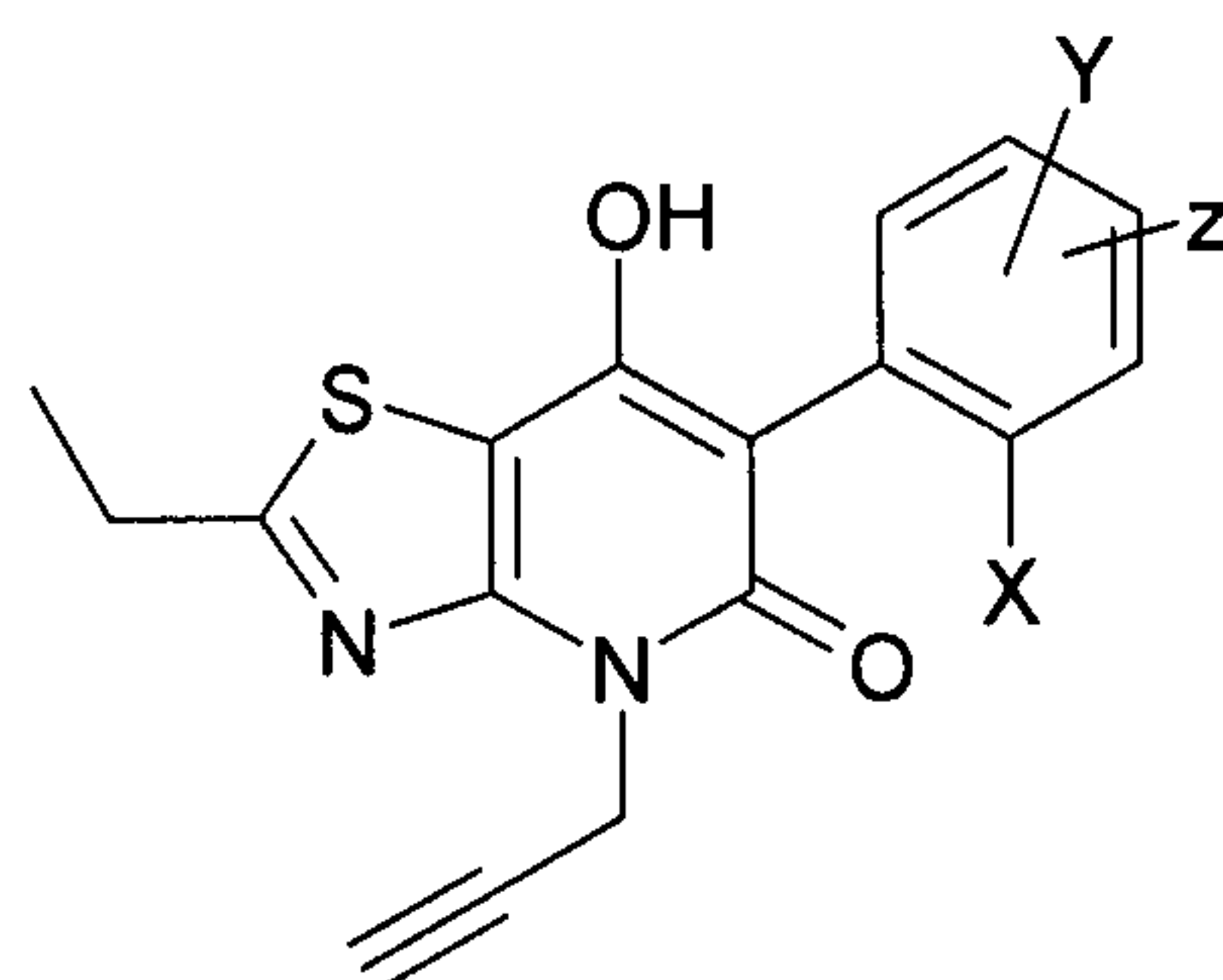


Table 183: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:

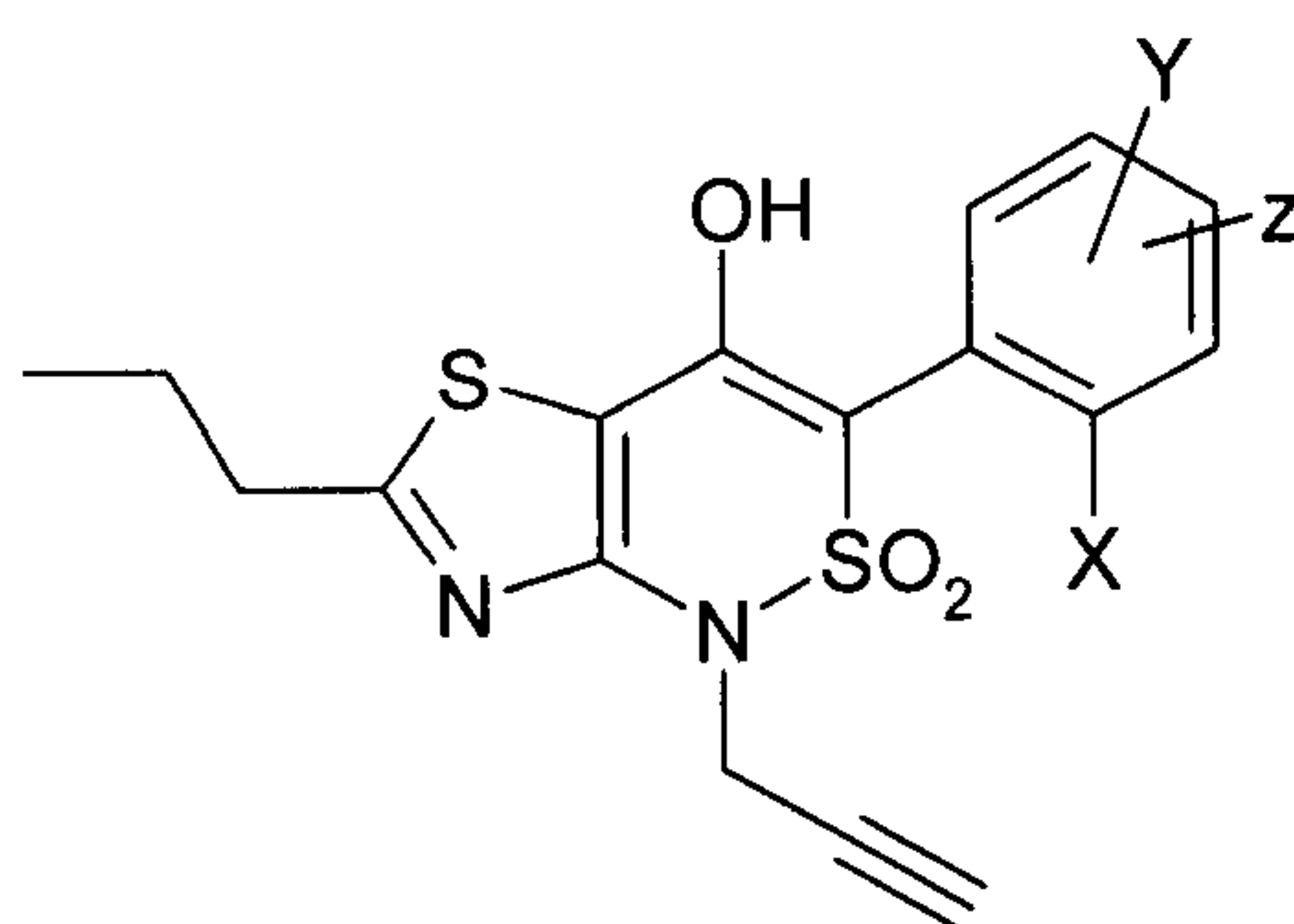


Table 184: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

91

PCT/EP2011/064825

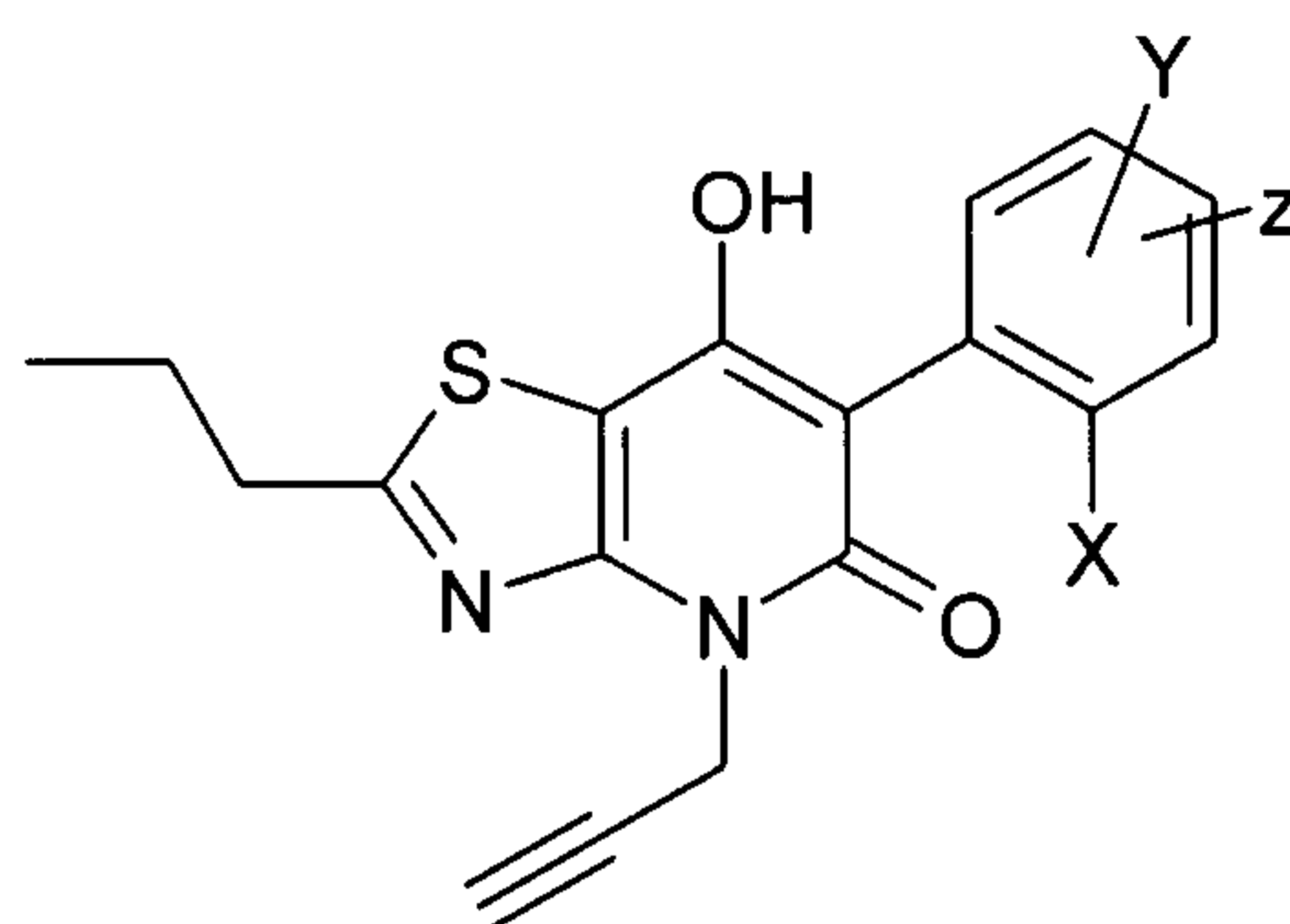
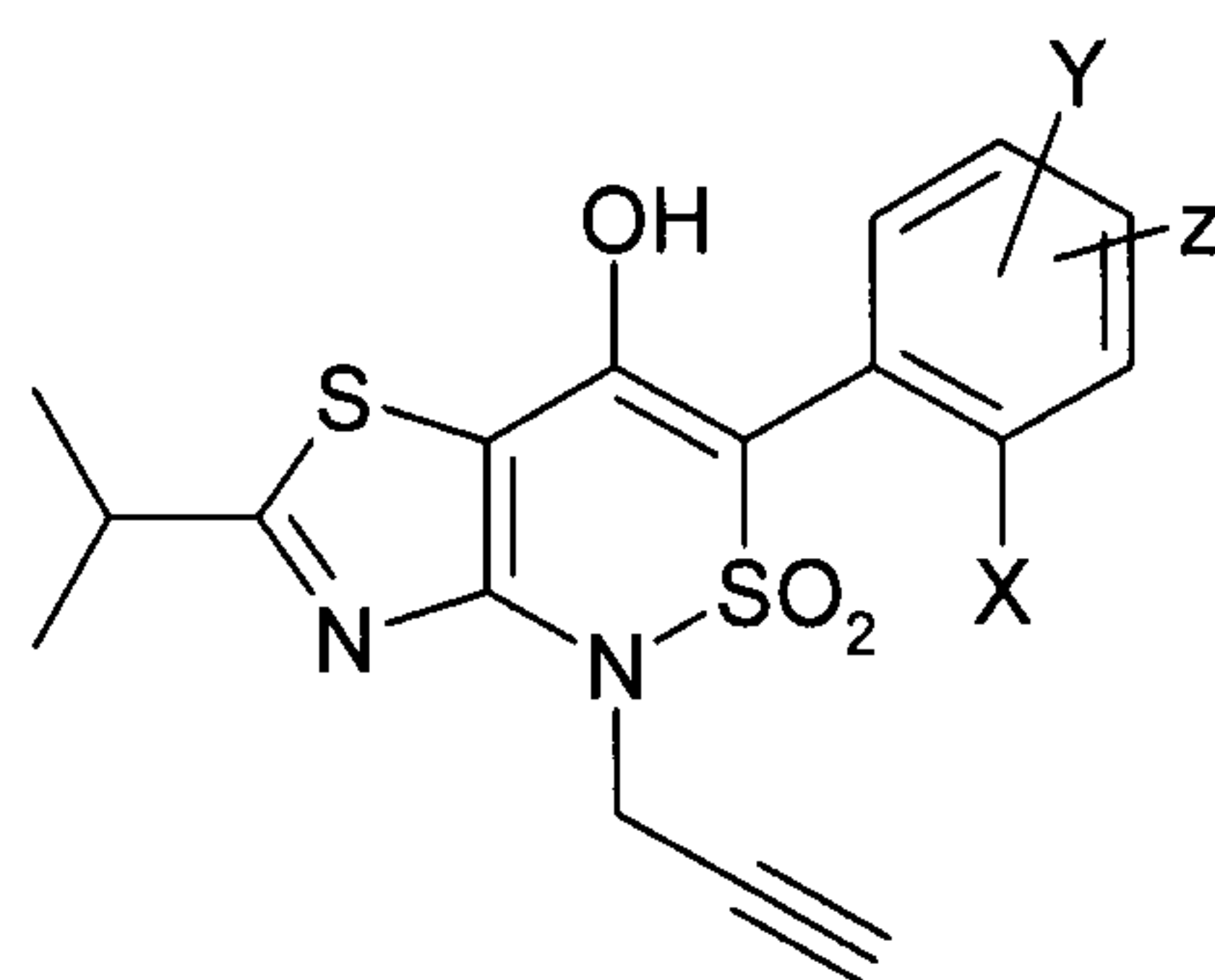
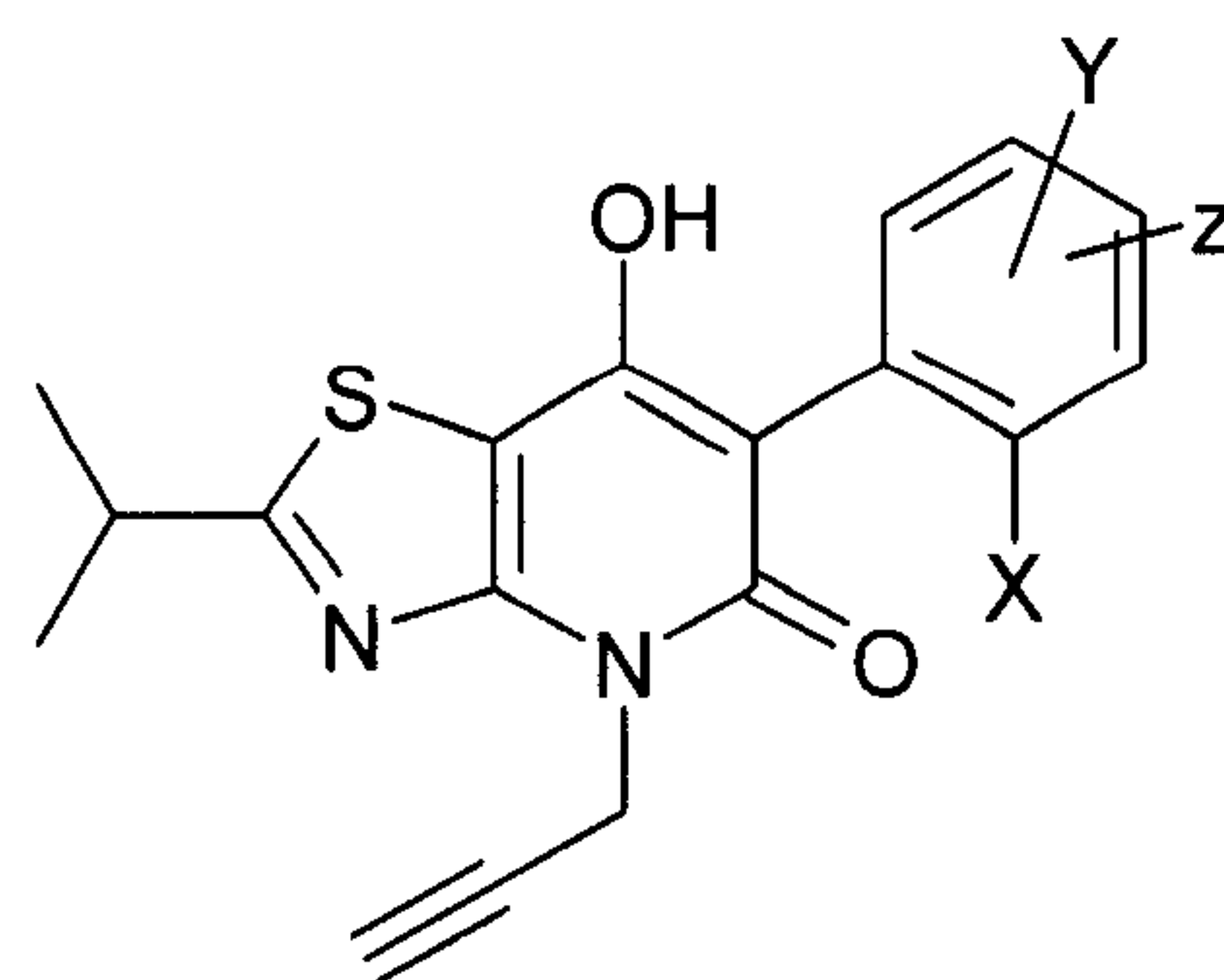


Table 185: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



5

Table 186: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:



10

Table 187: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

92

PCT/EP2011/064825

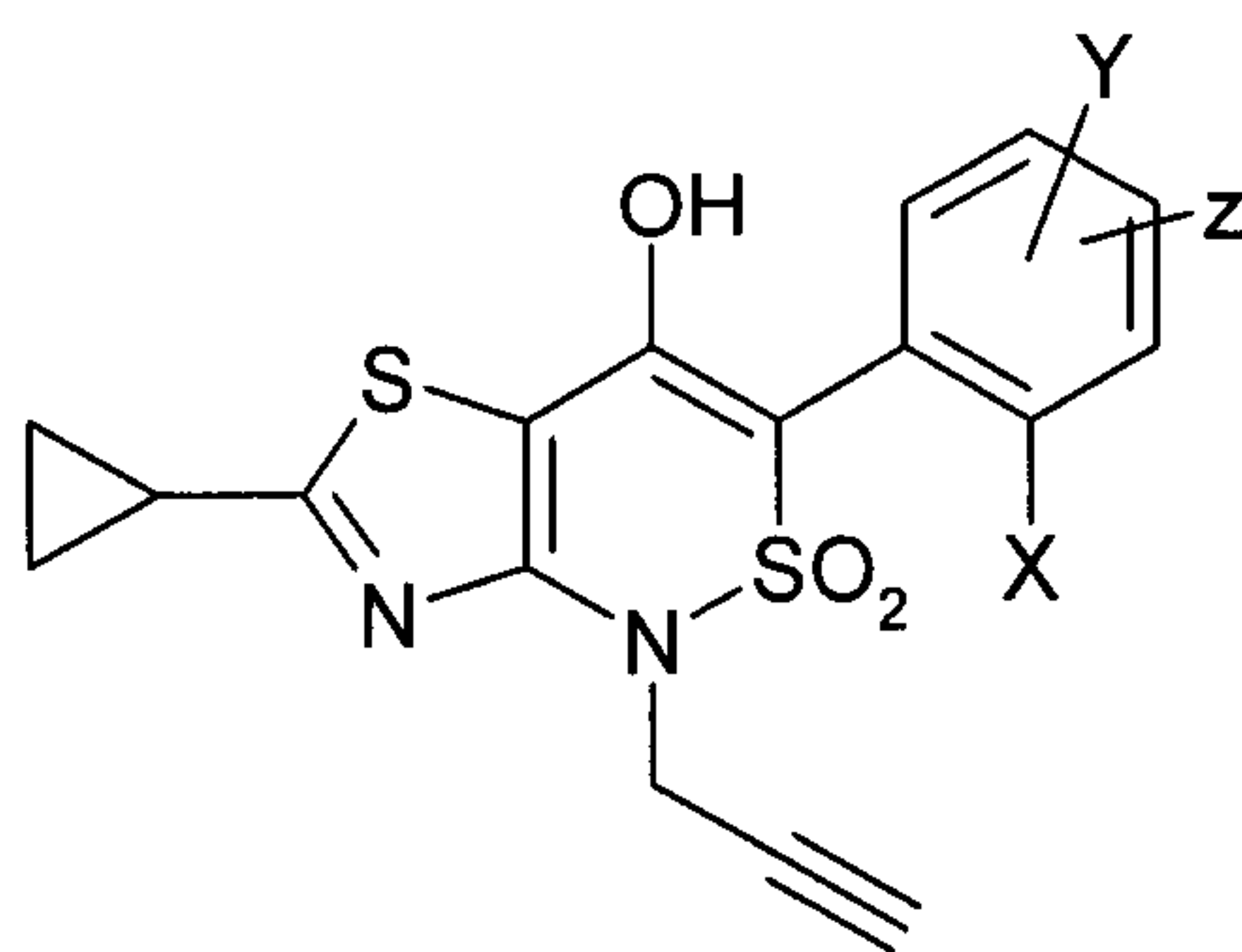


Table 188: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in
5 table 1:

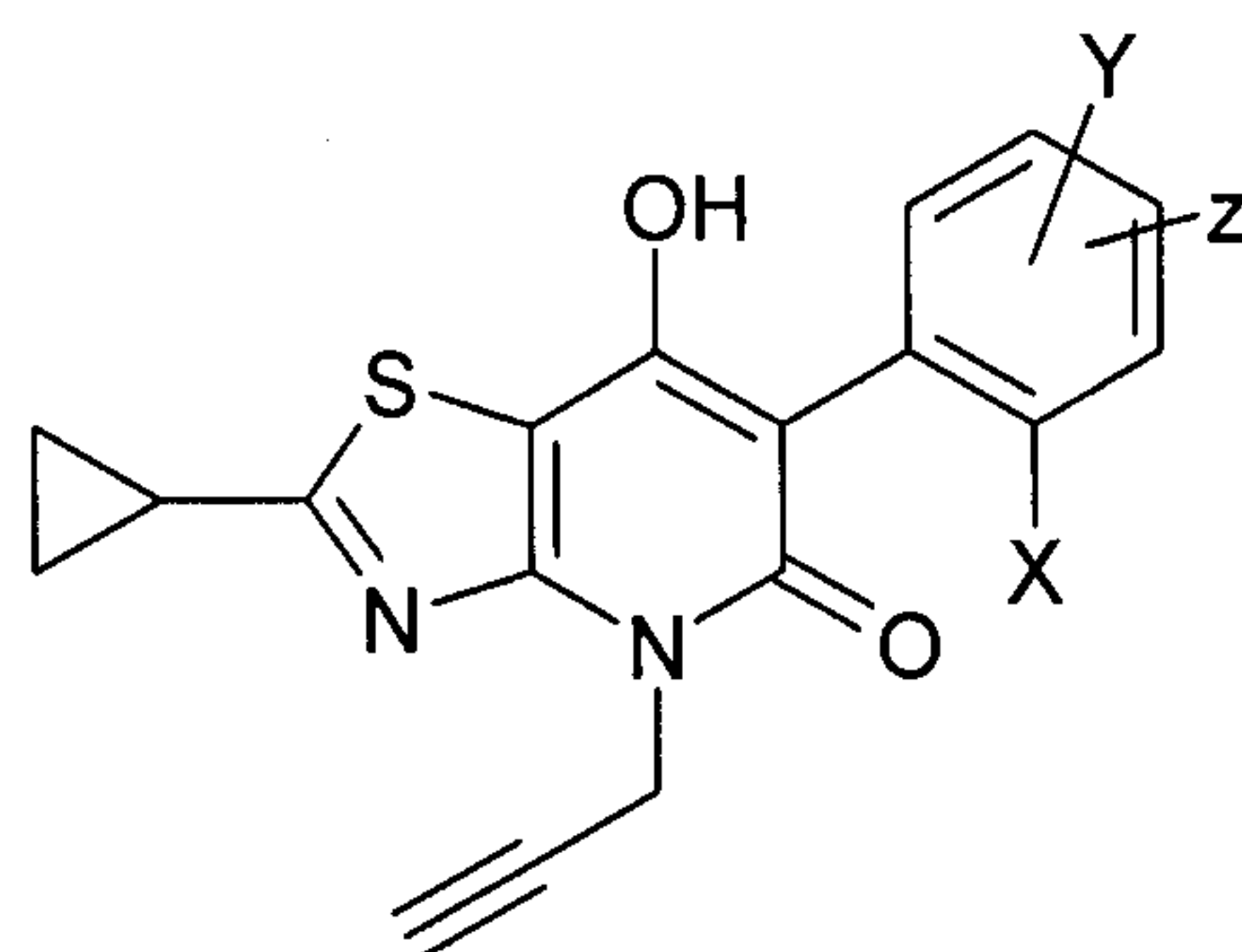
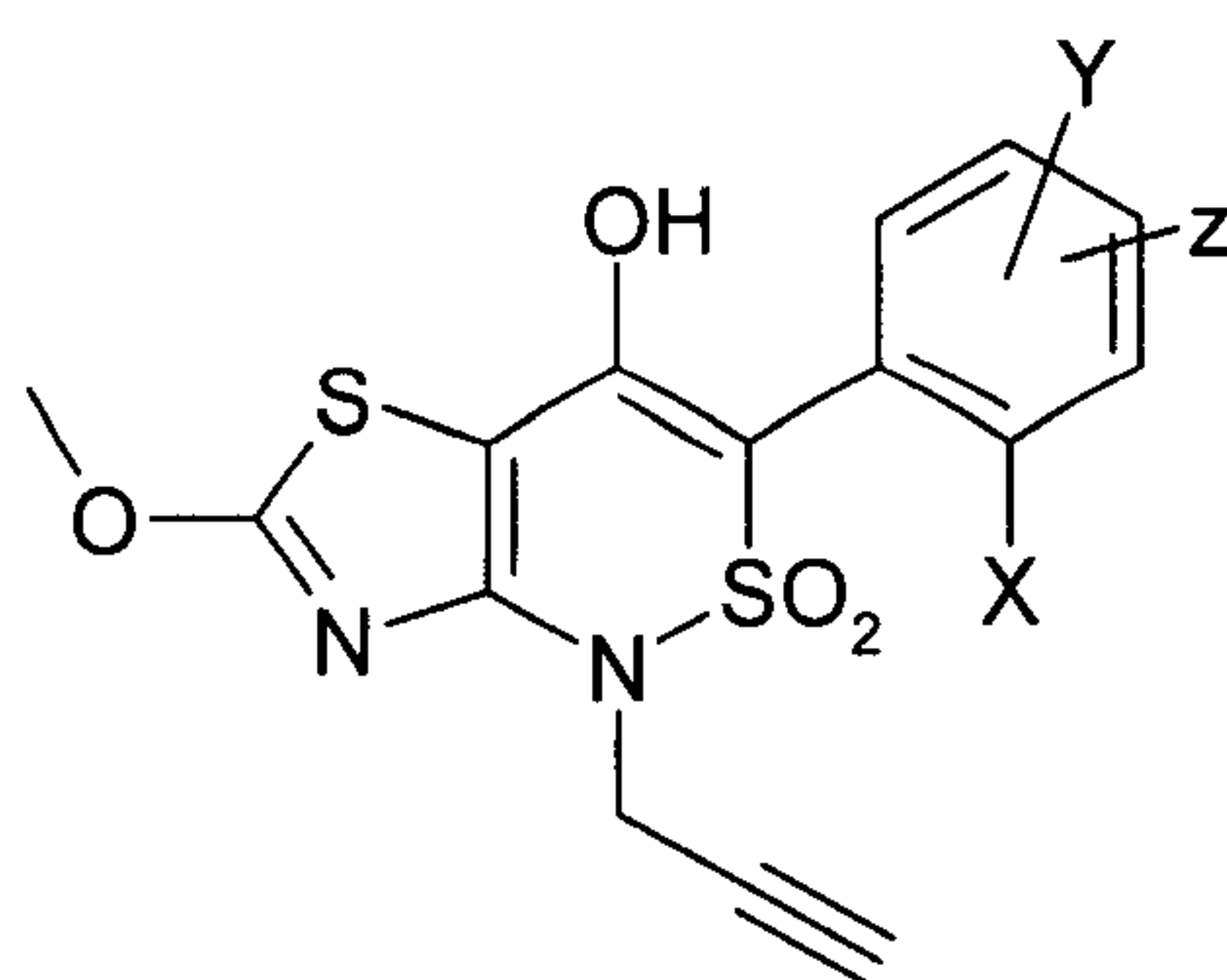


Table 189: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in
table 1:



10

Table 190: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in
table 1:

WO 2012/028582

93

PCT/EP2011/064825

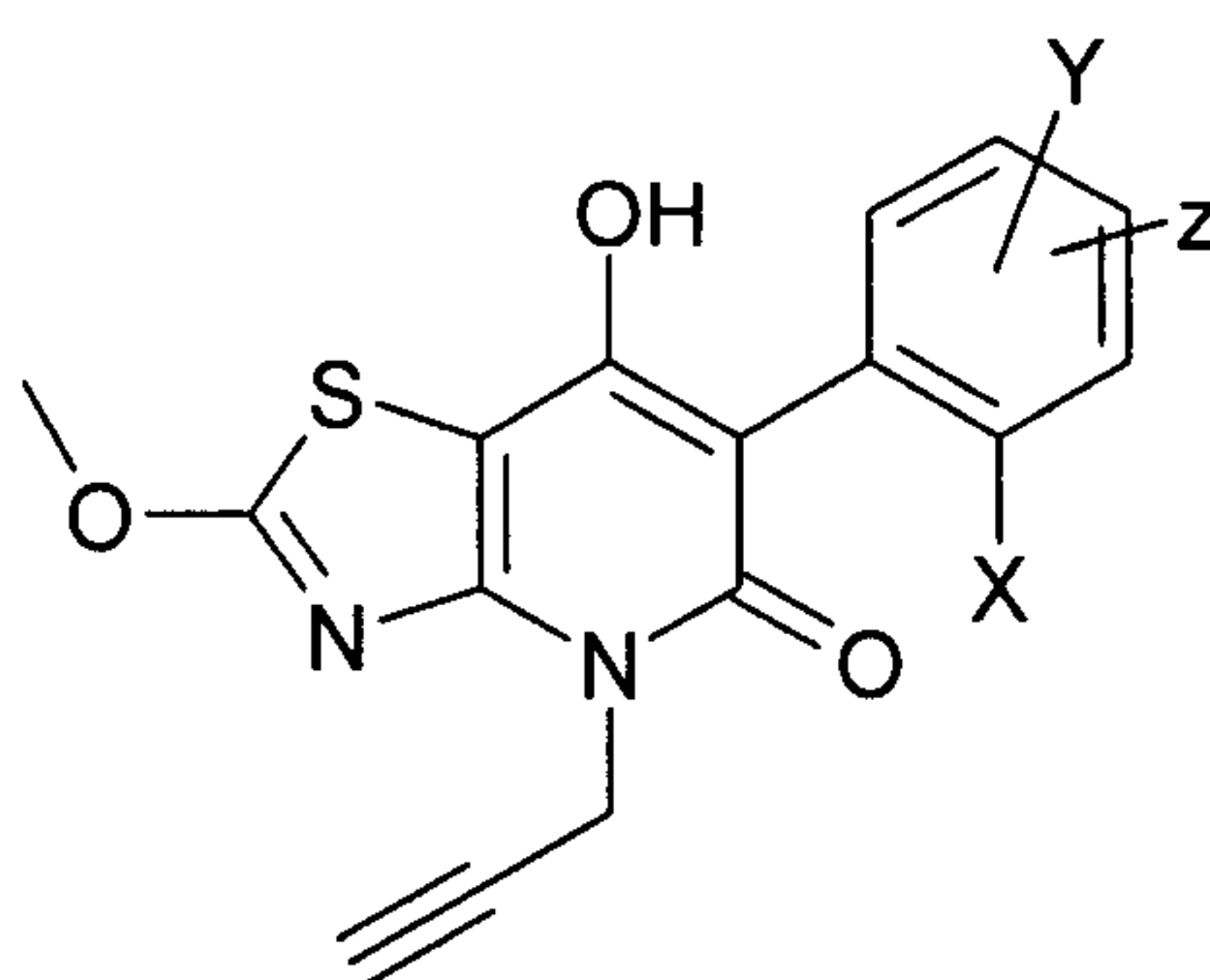


Table 191: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:

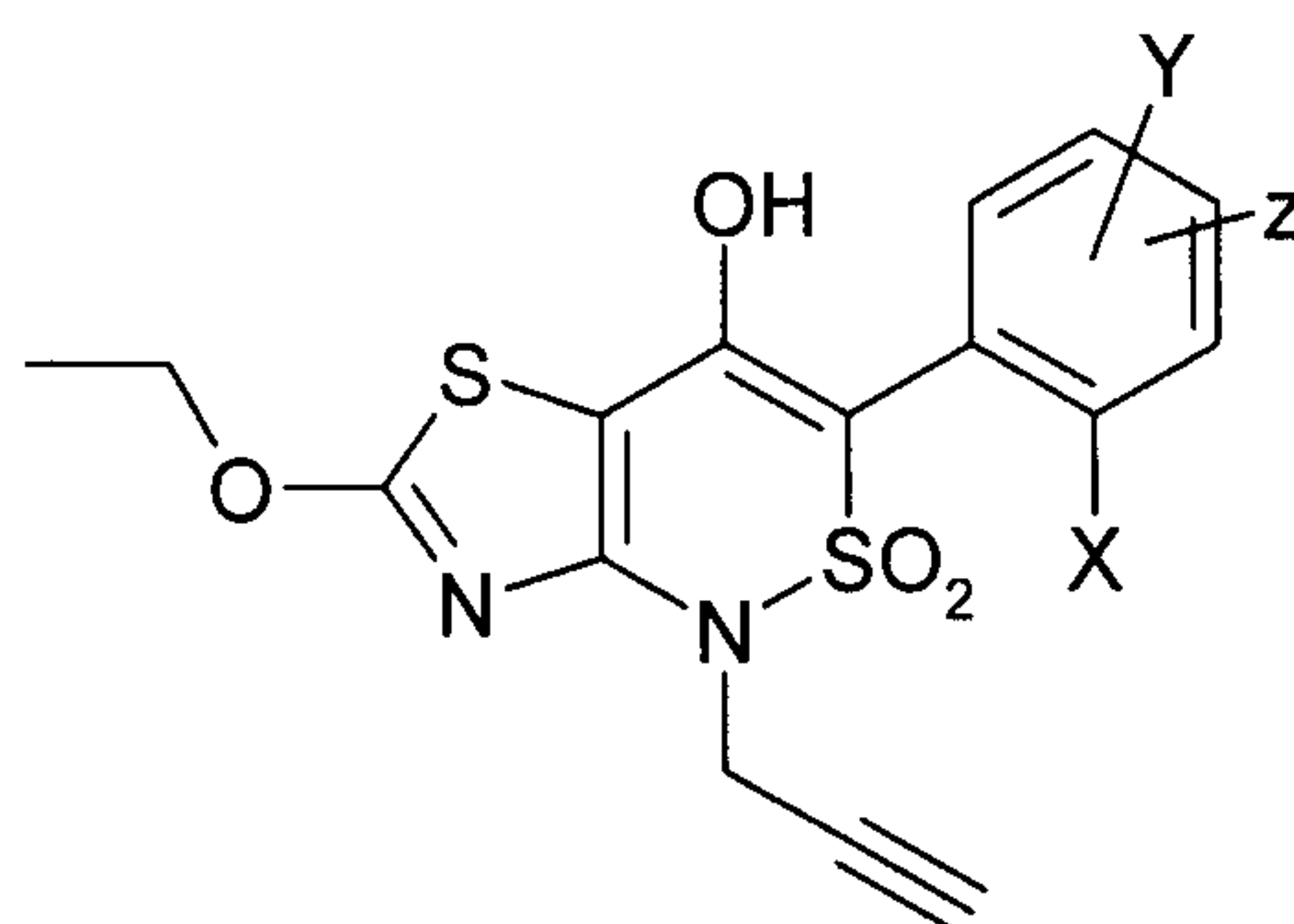
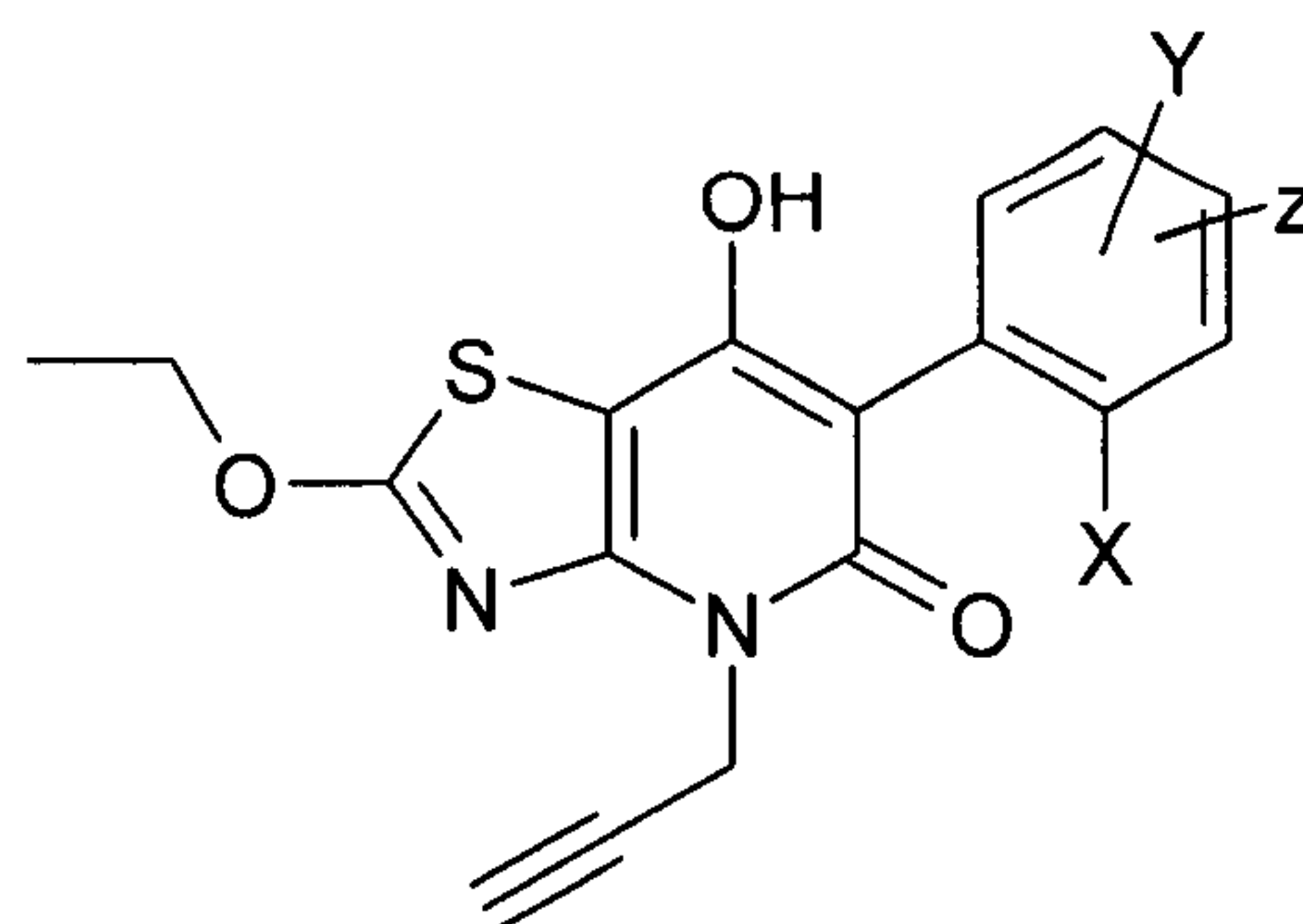


Table 192: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:

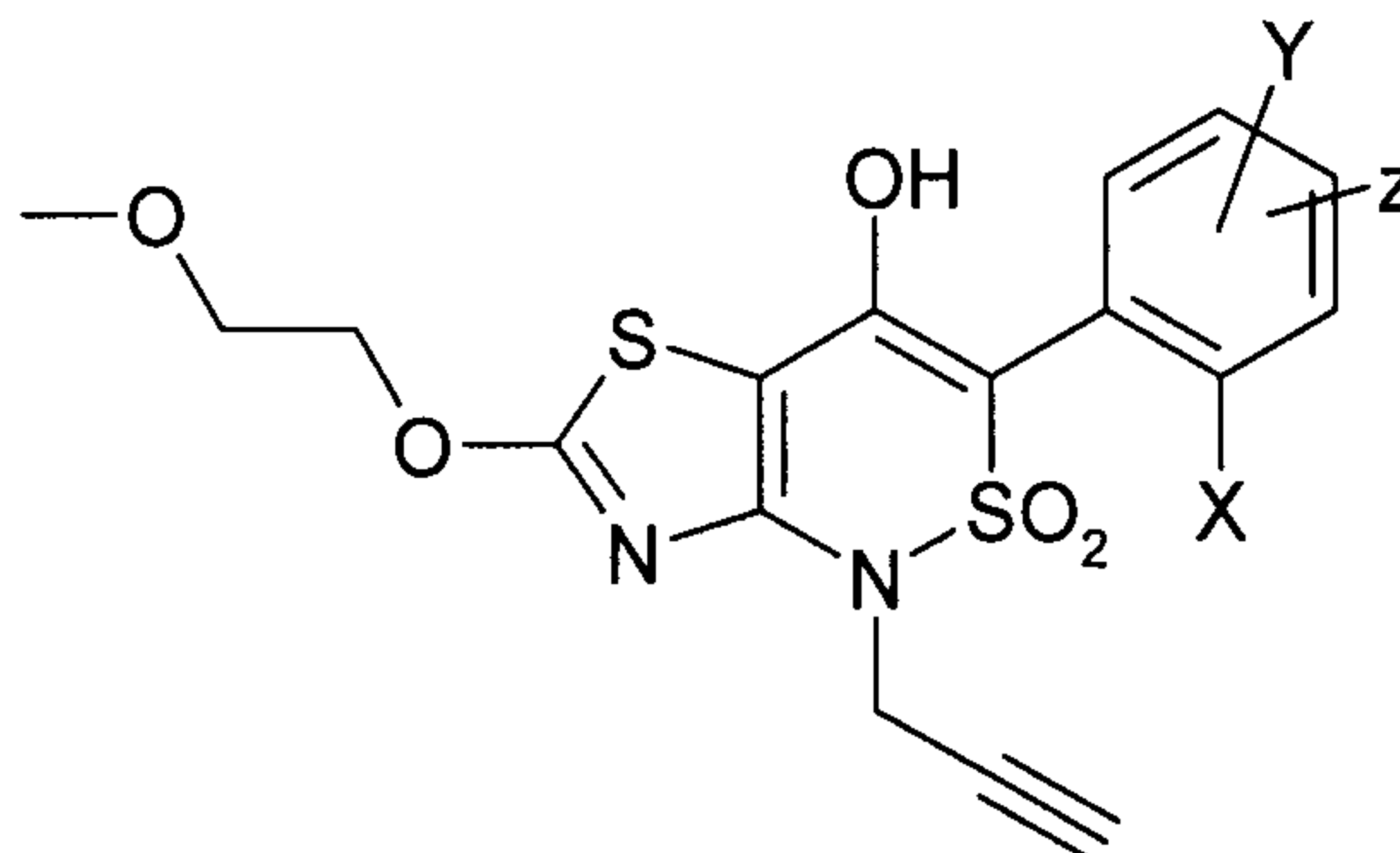


WO 2012/028582

94

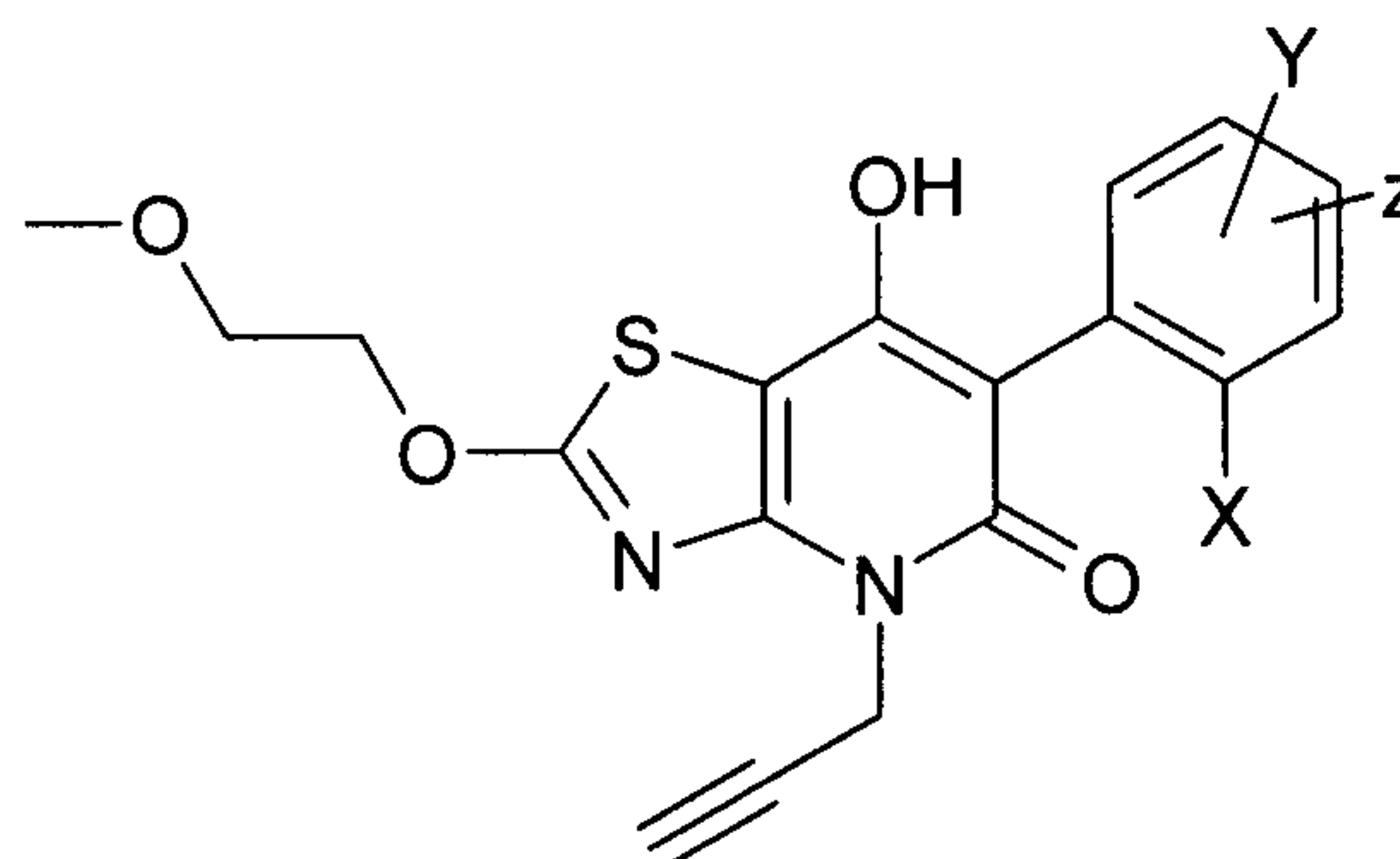
PCT/EP2011/064825

Table 193: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined in table 1:

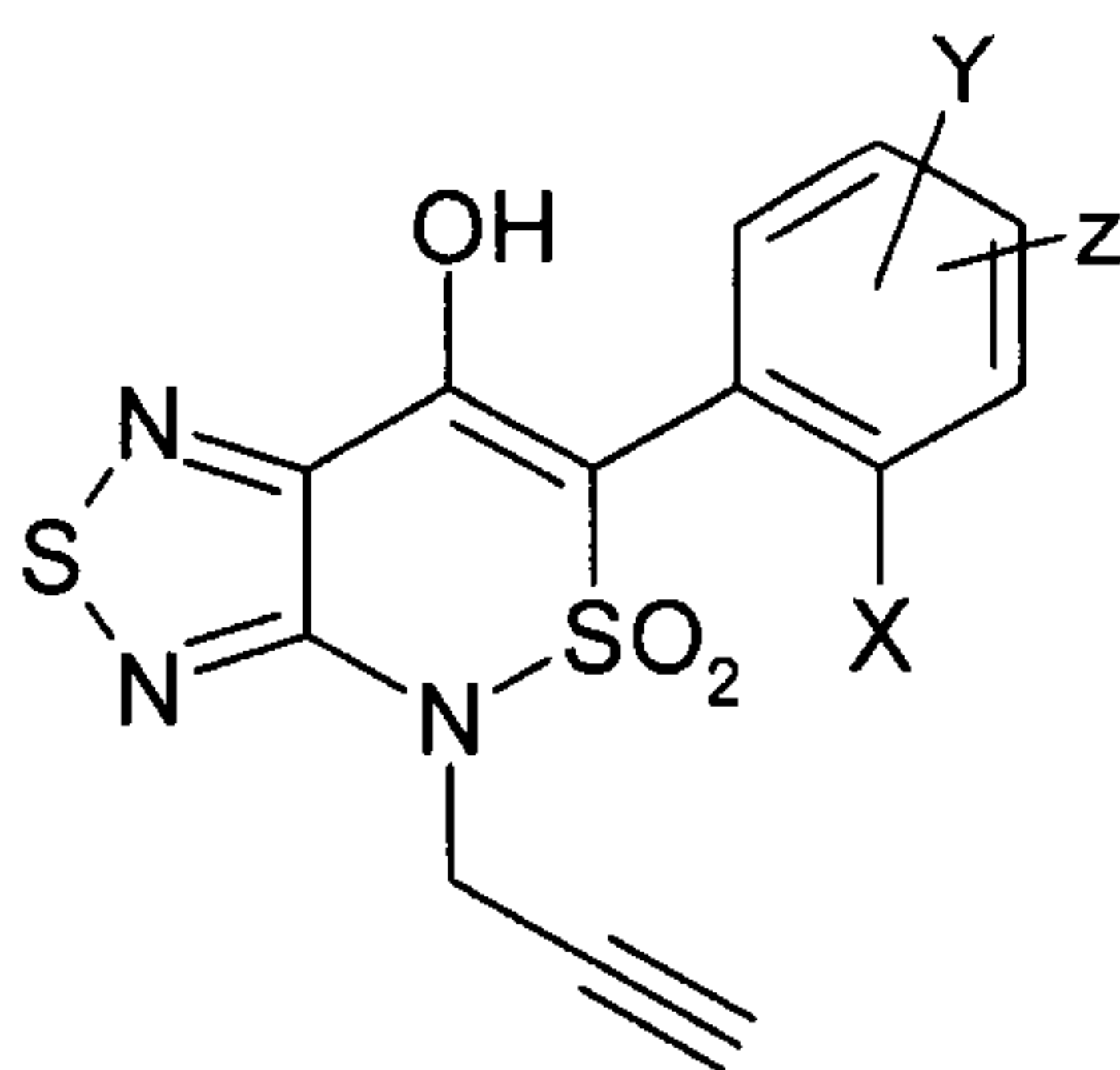


5

Table 194: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined in table 1:



10 Table 195: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A12, and X, Y and Z are each as defined in table 1:



15 Table 196: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A12, and X, Y and Z are each as defined in table 1:

WO 2012/028582

95

PCT/EP2011/064825

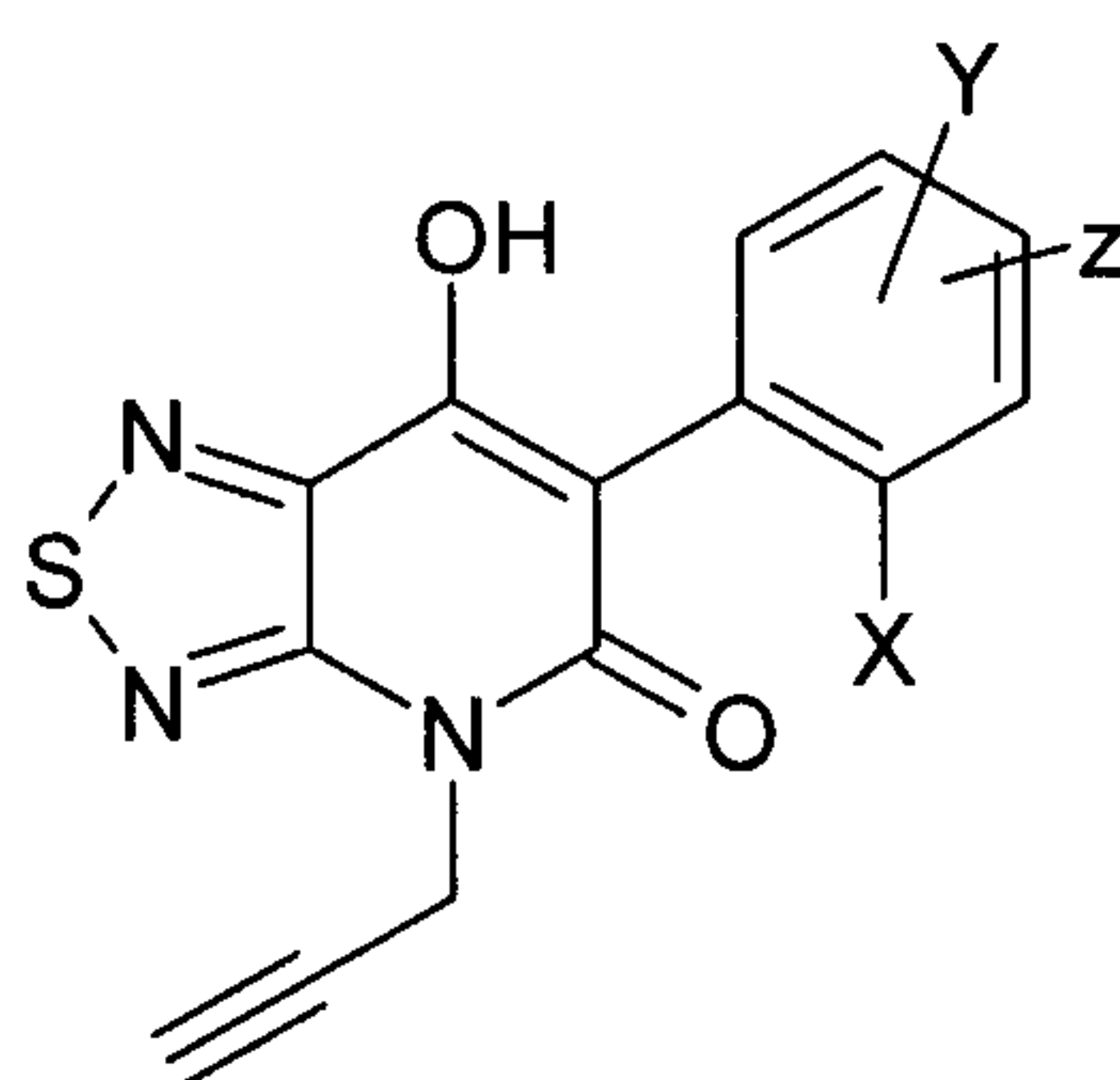
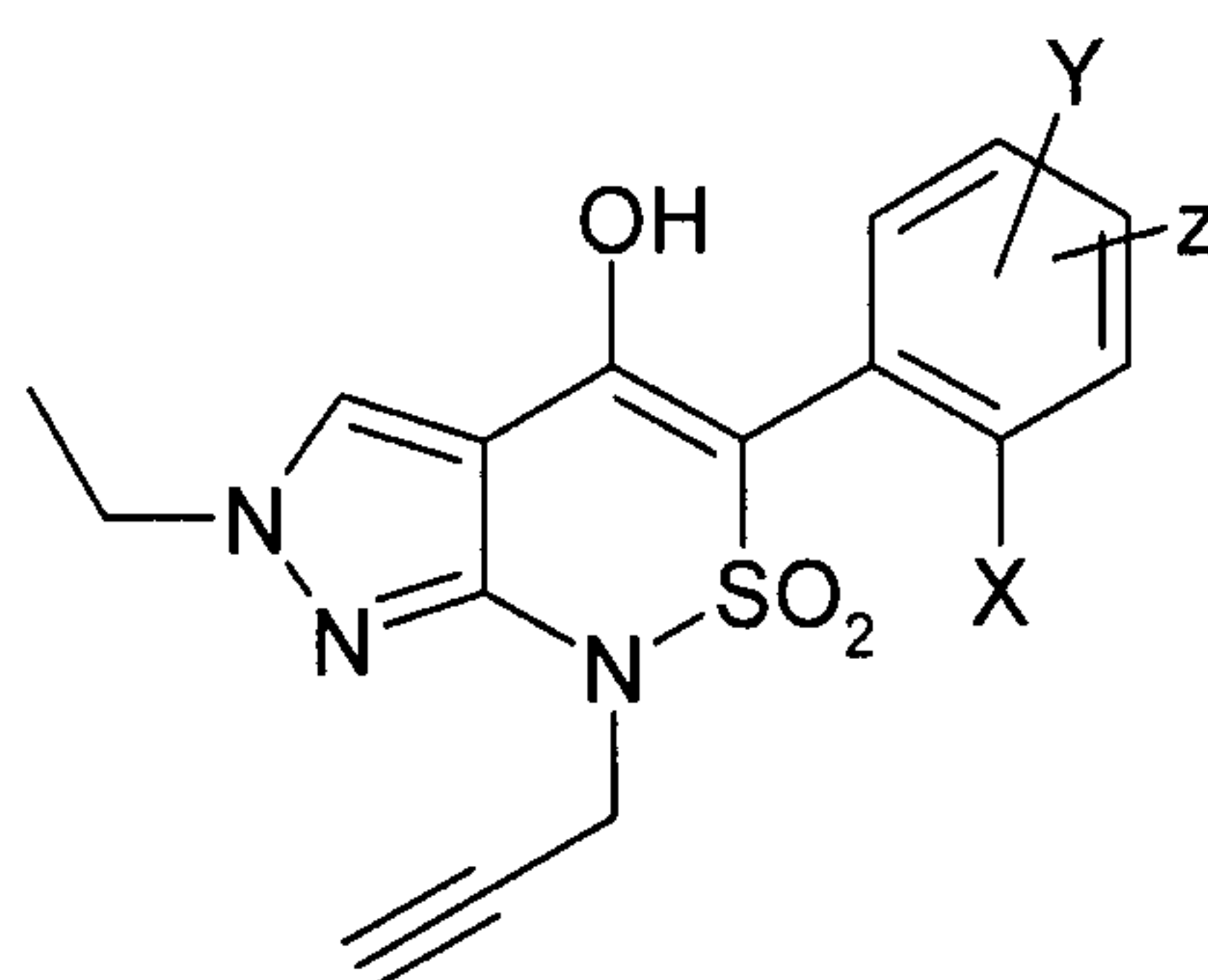
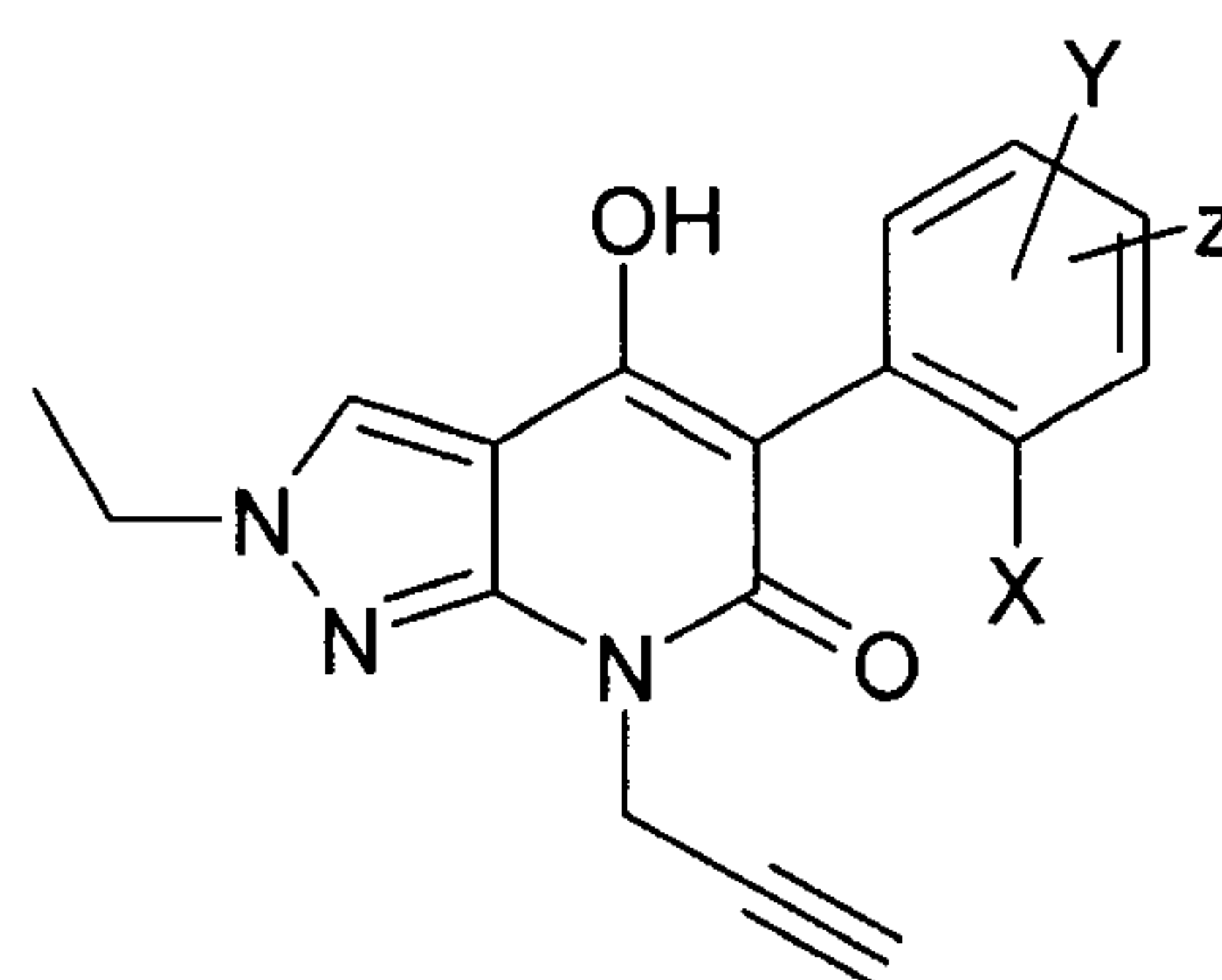


Table 197: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is propynyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:



5

Table 198: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is propynyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:



10

Table 199: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

96

PCT/EP2011/064825

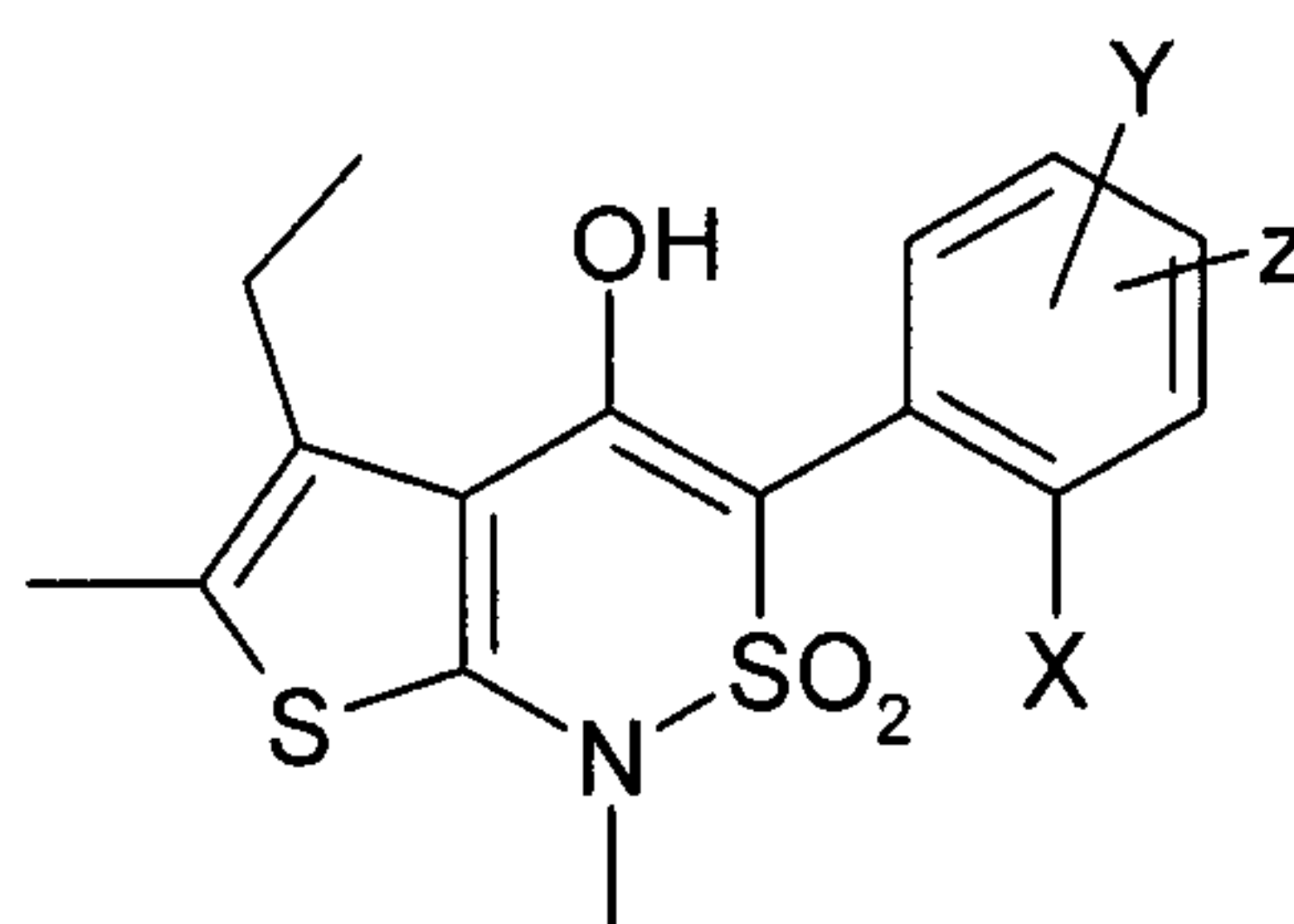


Table 200: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A10, R¹² is ethyl and R¹³ is methyl, and X, Y and Z are each

5 as defined in table 1:

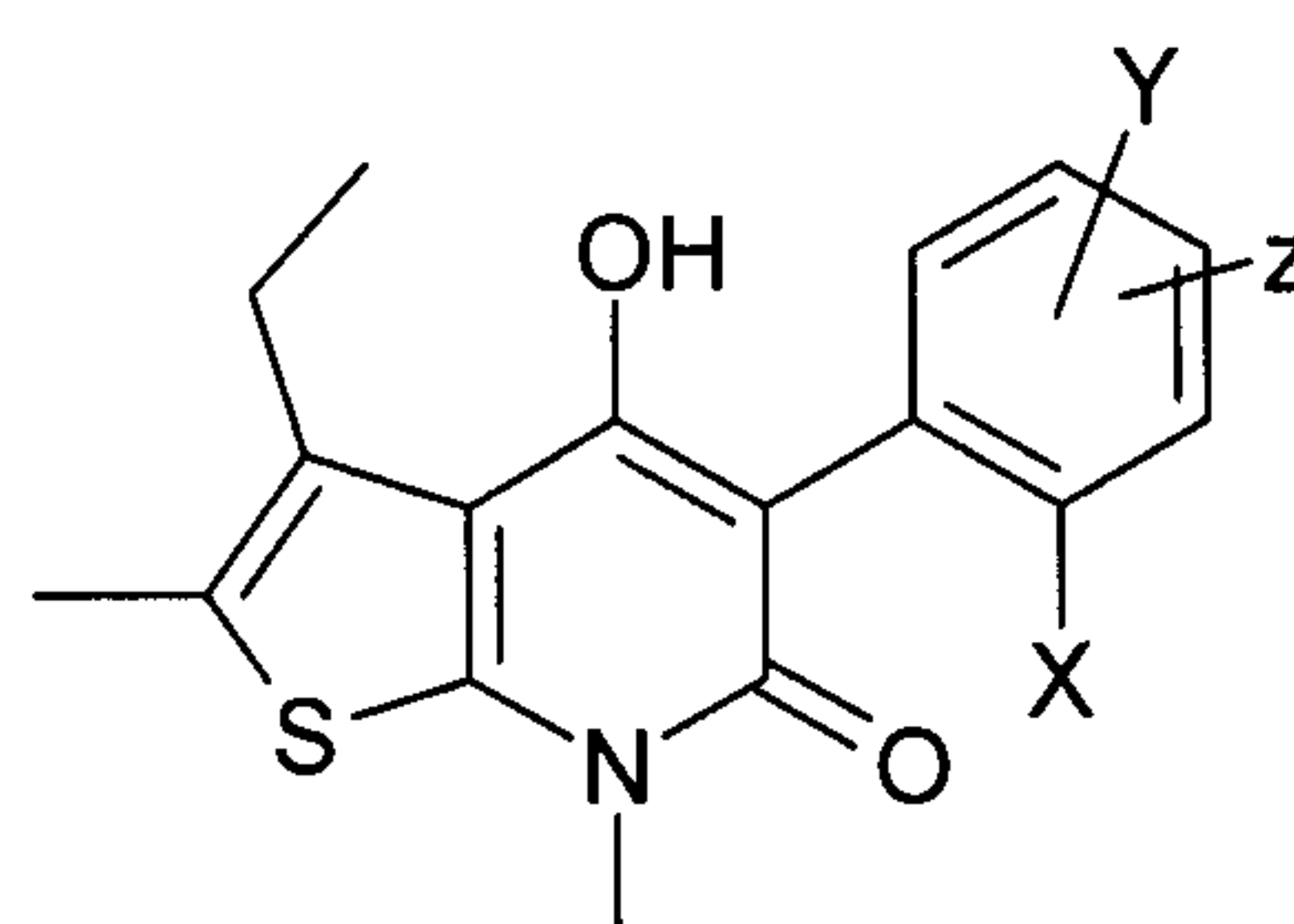
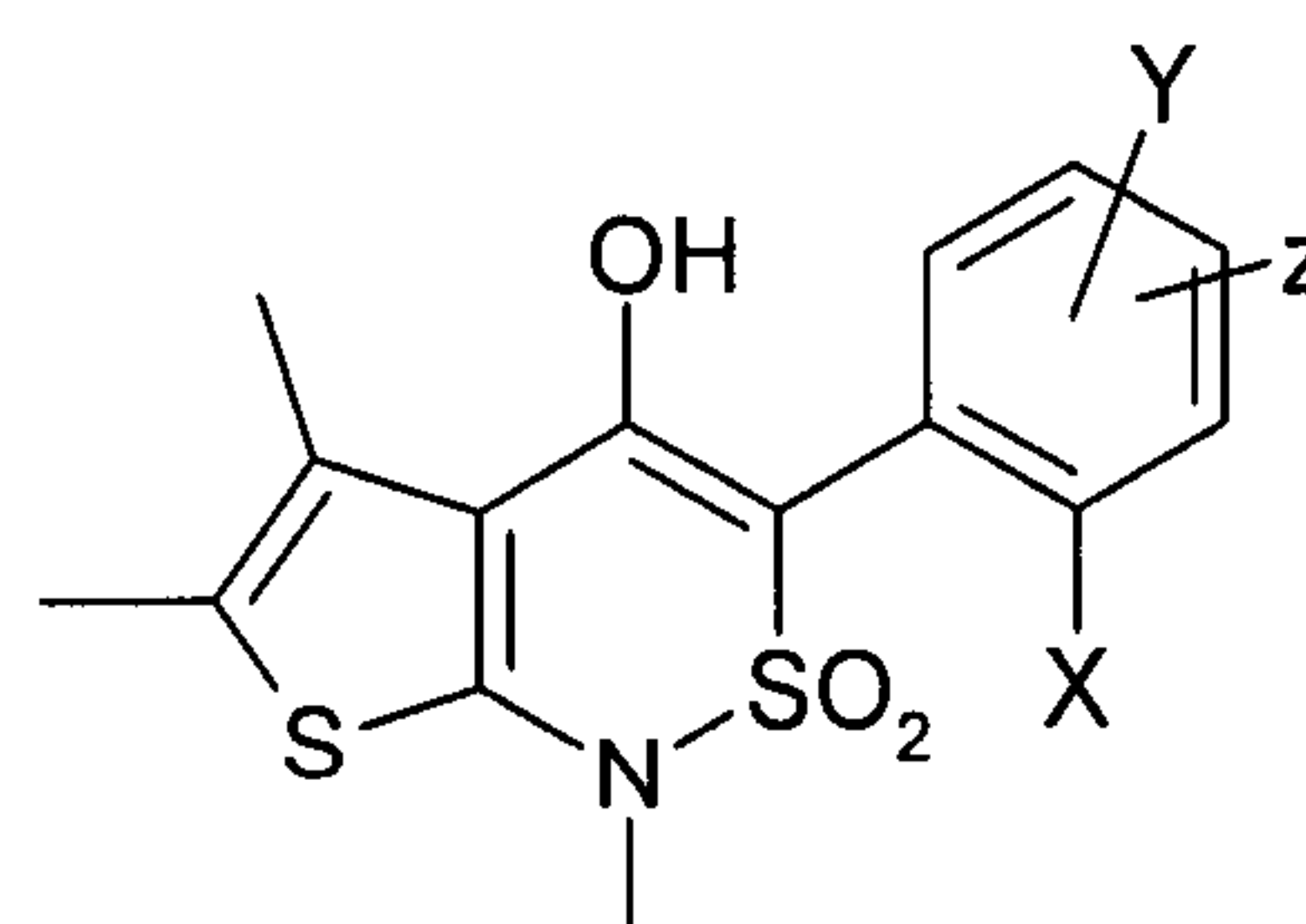


Table 201: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each

as defined in table 1:



10

Table 202: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A10, R¹² and R¹³ are each methyl, and X, Y and Z are each

as defined in table 1:

WO 2012/028582

97

PCT/EP2011/064825

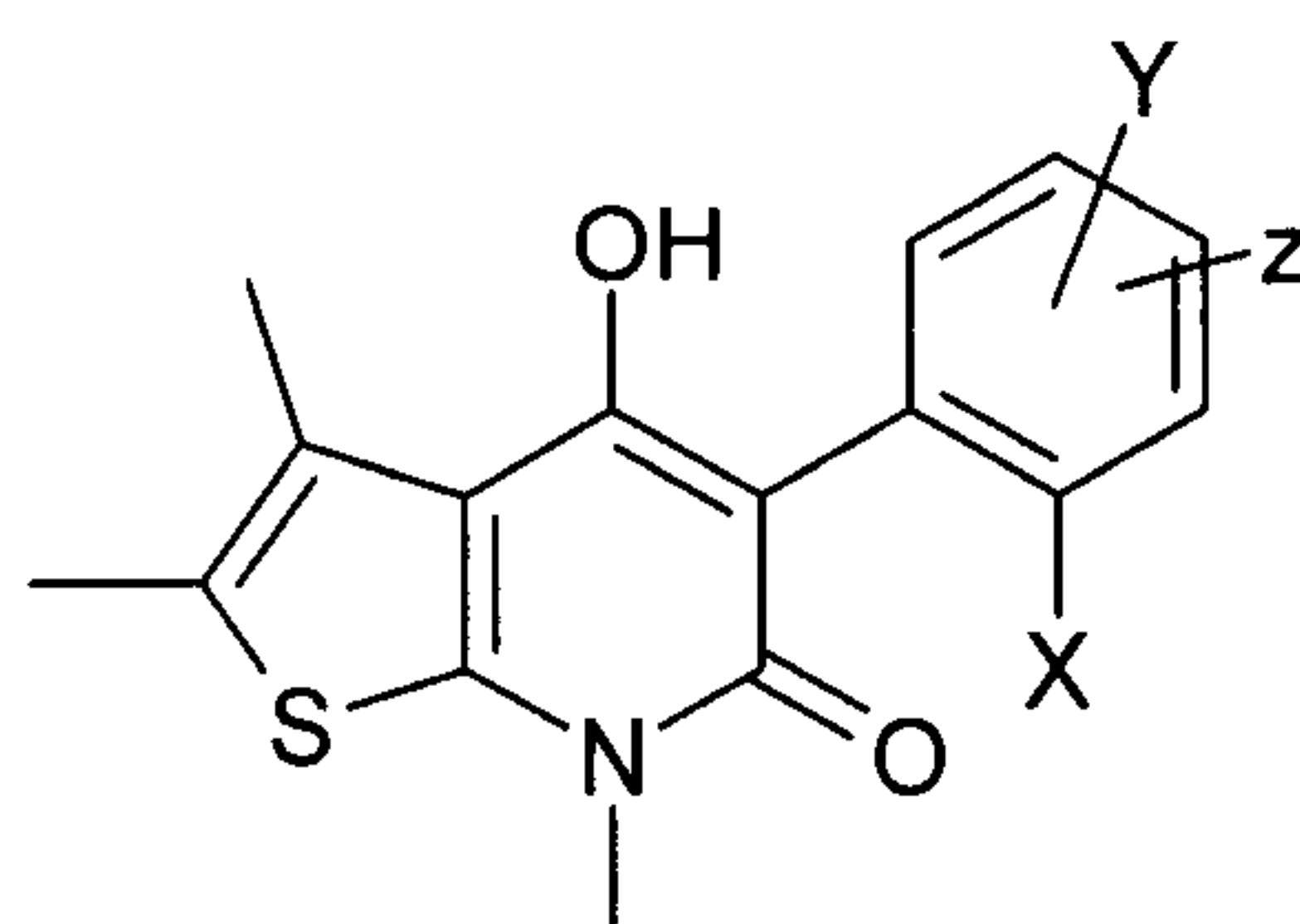
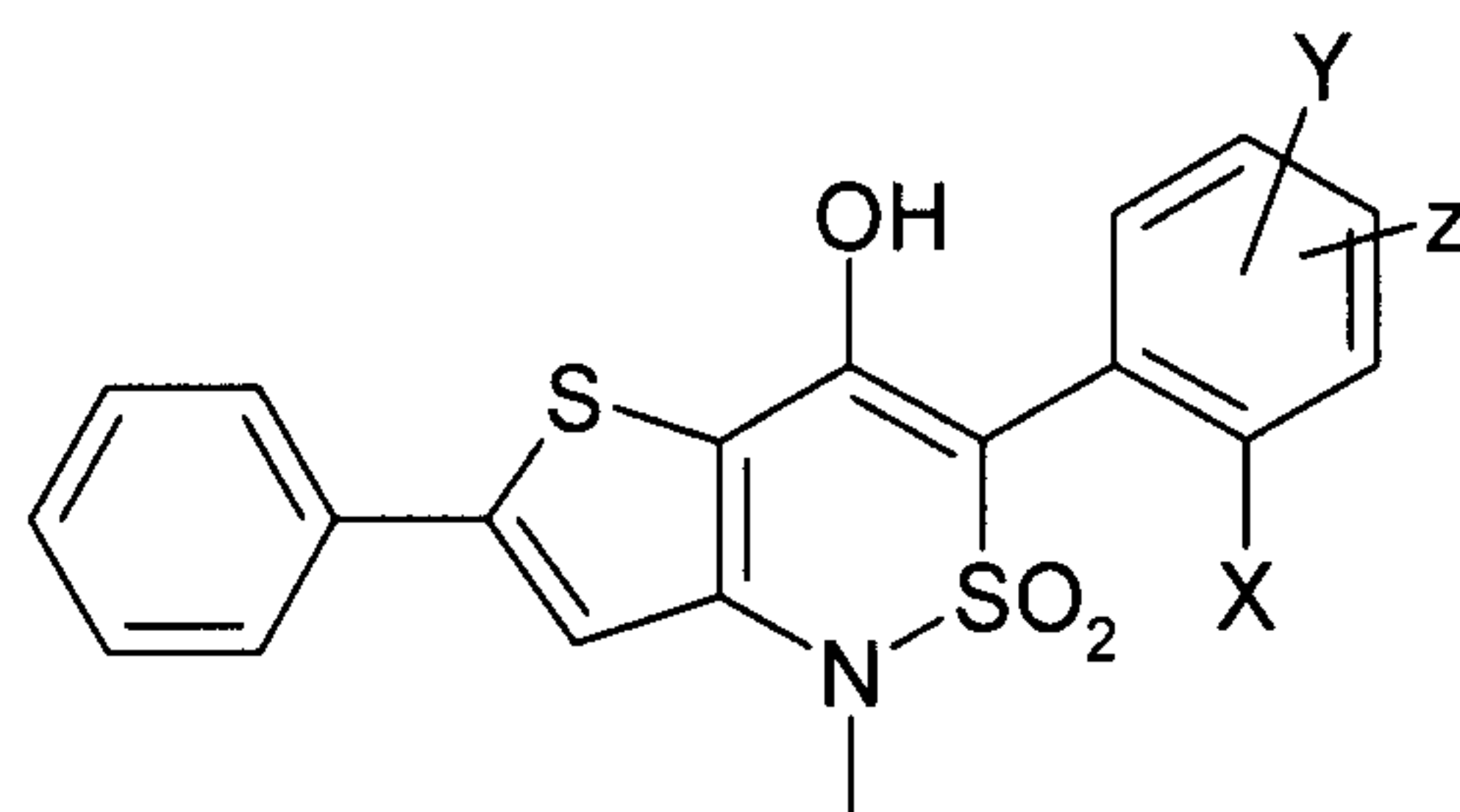
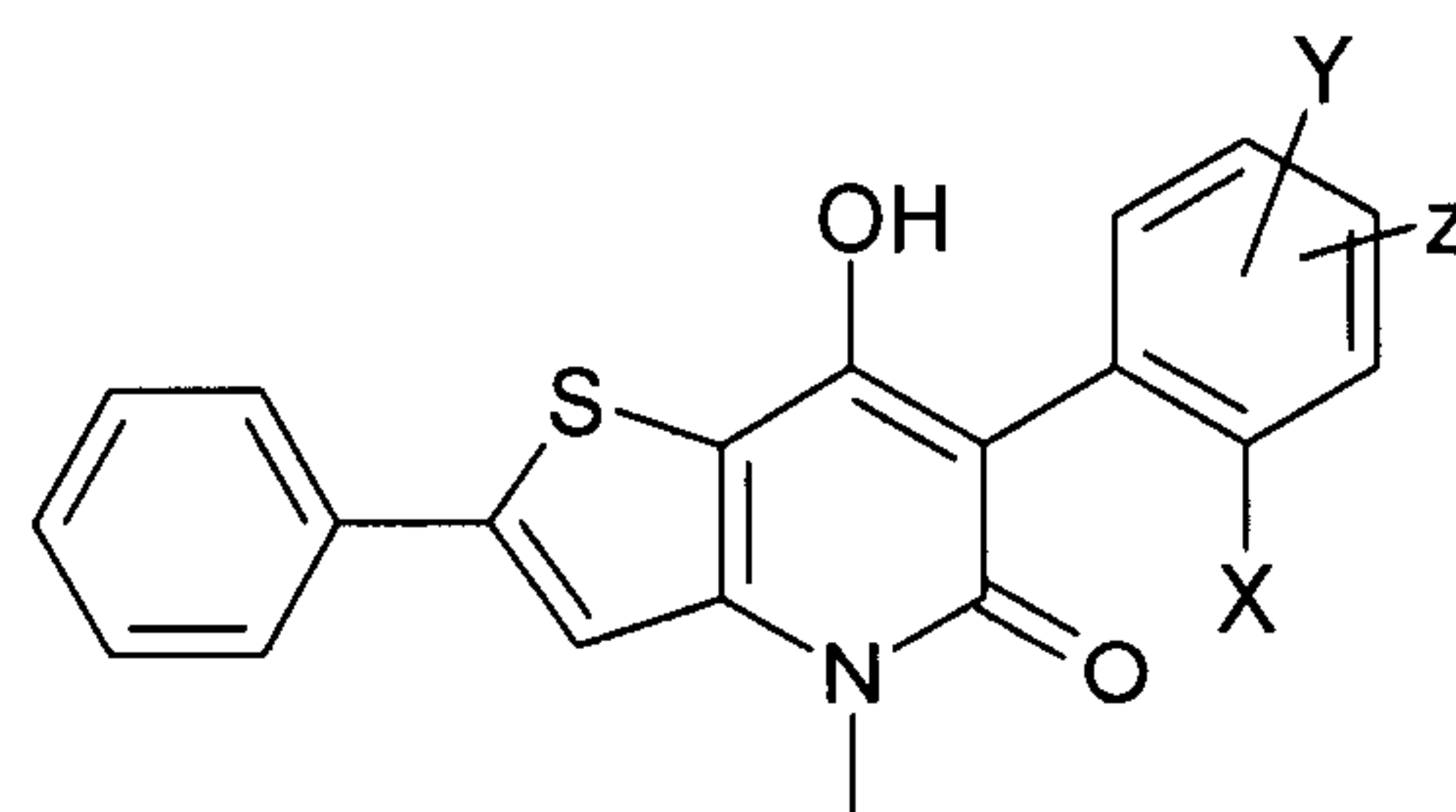


Table 203: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A7, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are each as defined in table 1:



5

Table 204: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A10, R¹² is phenyl and R¹³ is hydrogen, and X, Y and Z are each as defined in table 1:



10

Table 205: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:

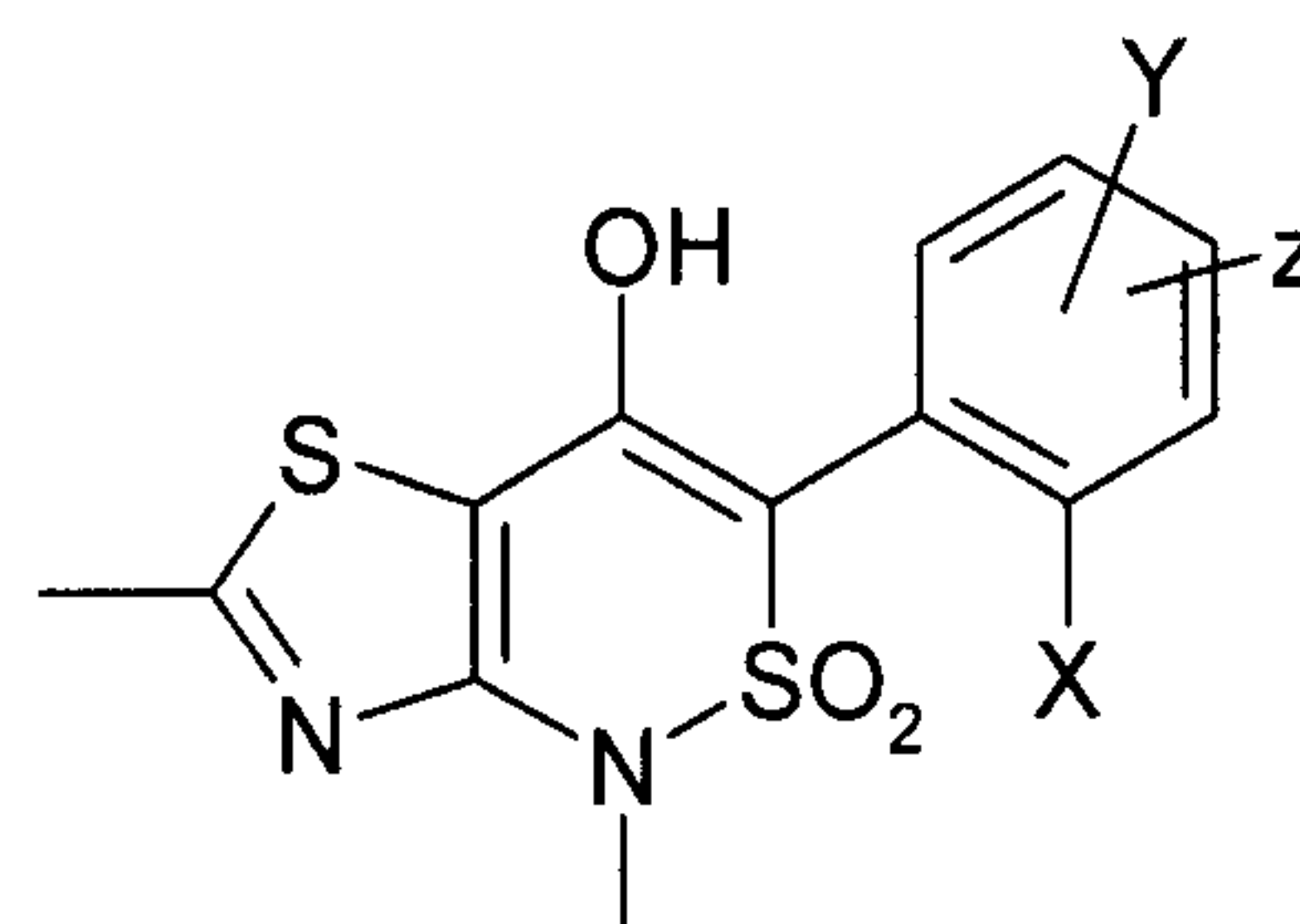
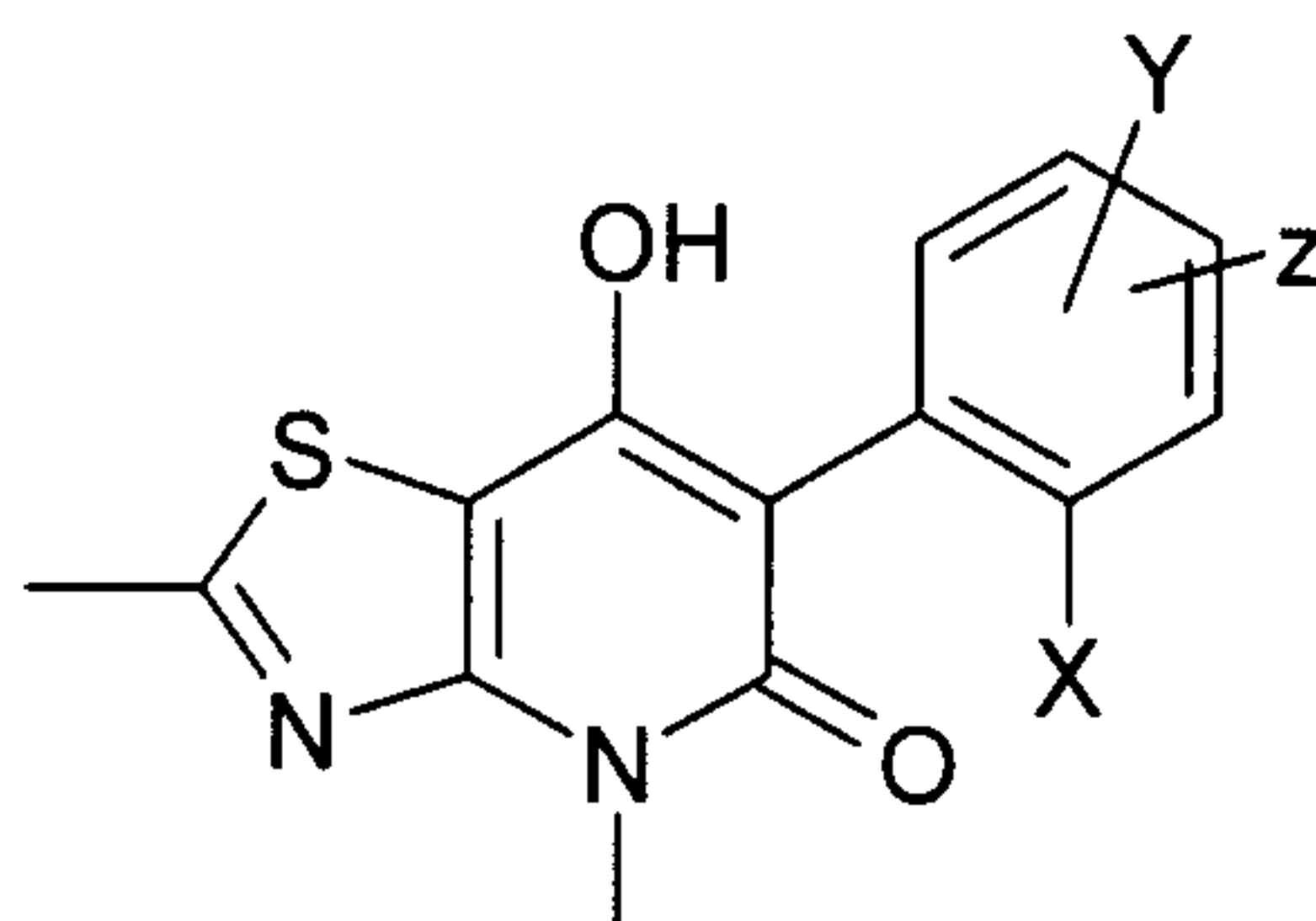
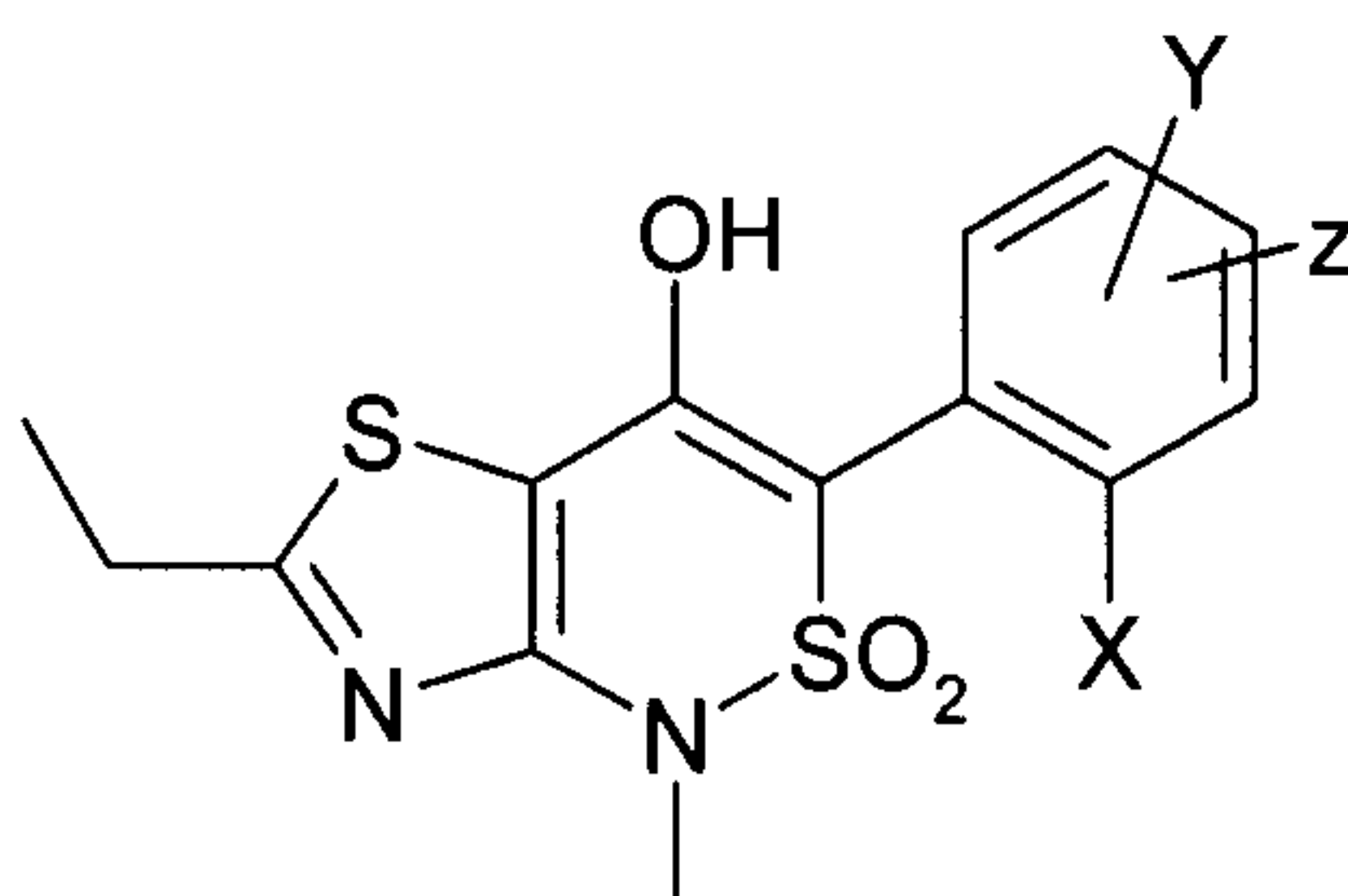


Table 206: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is methyl, and X, Y and Z are each as defined in table 1:

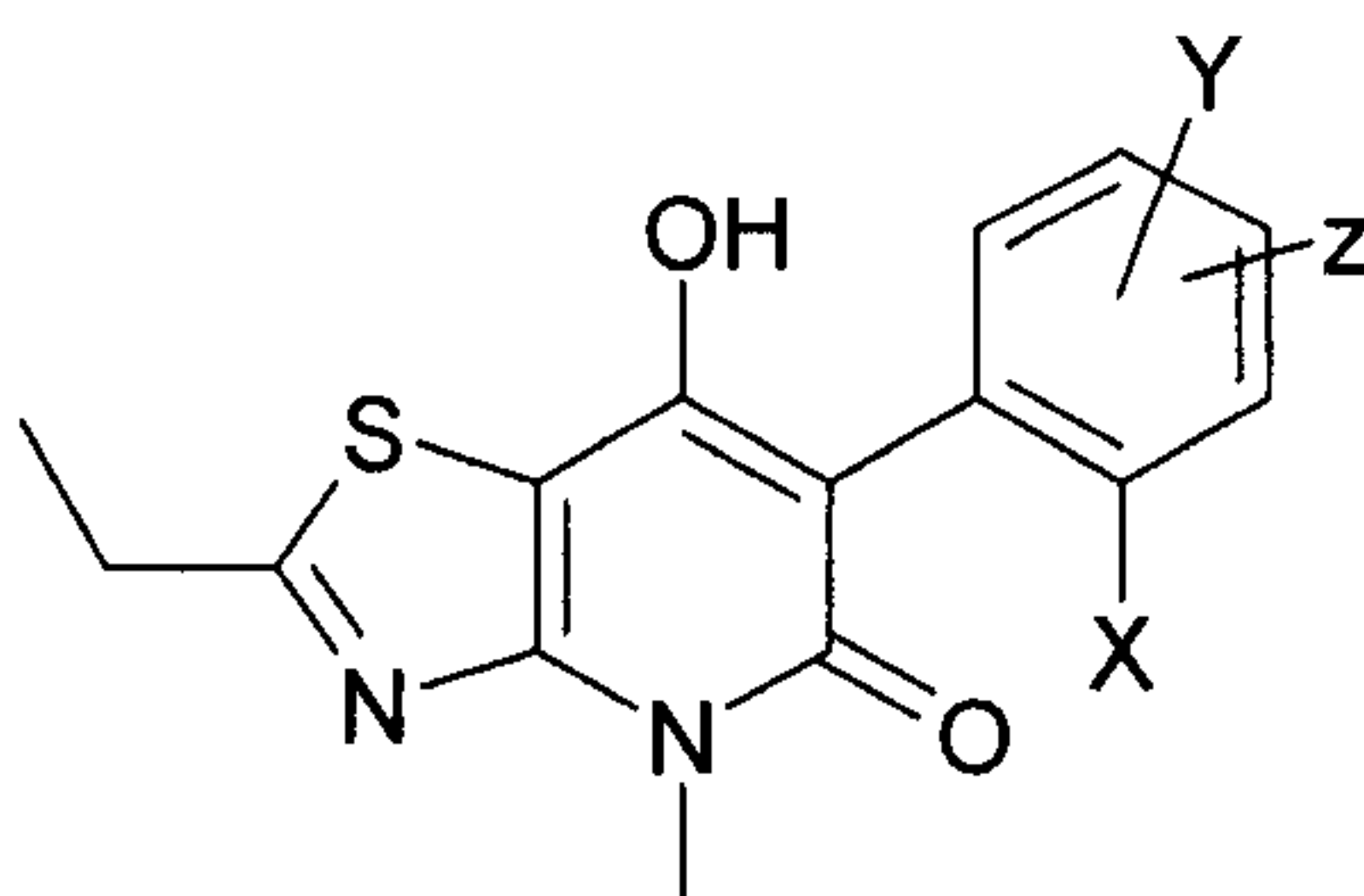


5

Table 207: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:



10 Table 208: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is ethyl, and X, Y and Z are each as defined in table 1:



15 Table 209: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

99

PCT/EP2011/064825

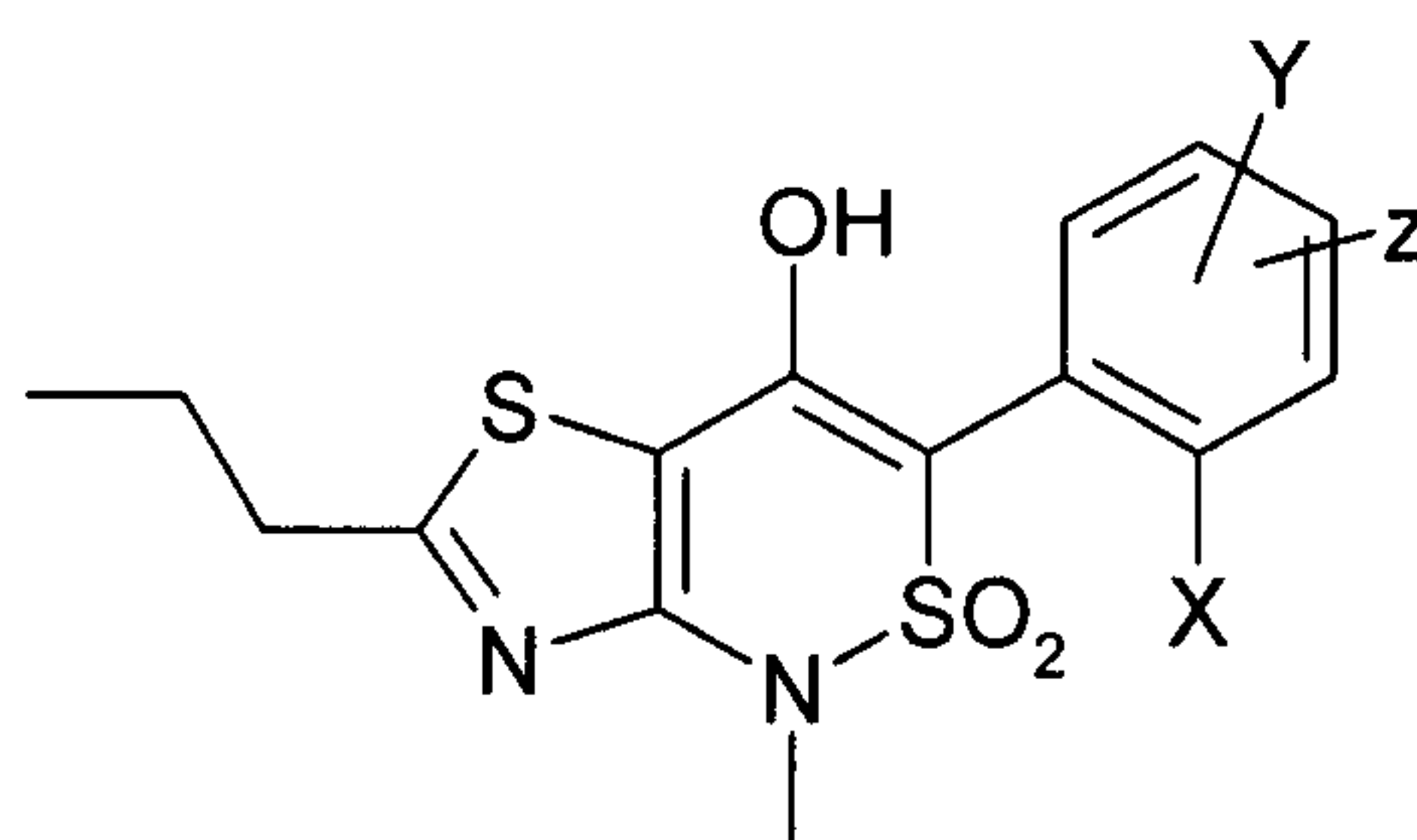


Table 210: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is n-propyl, and X, Y and Z are each as defined in table 1:

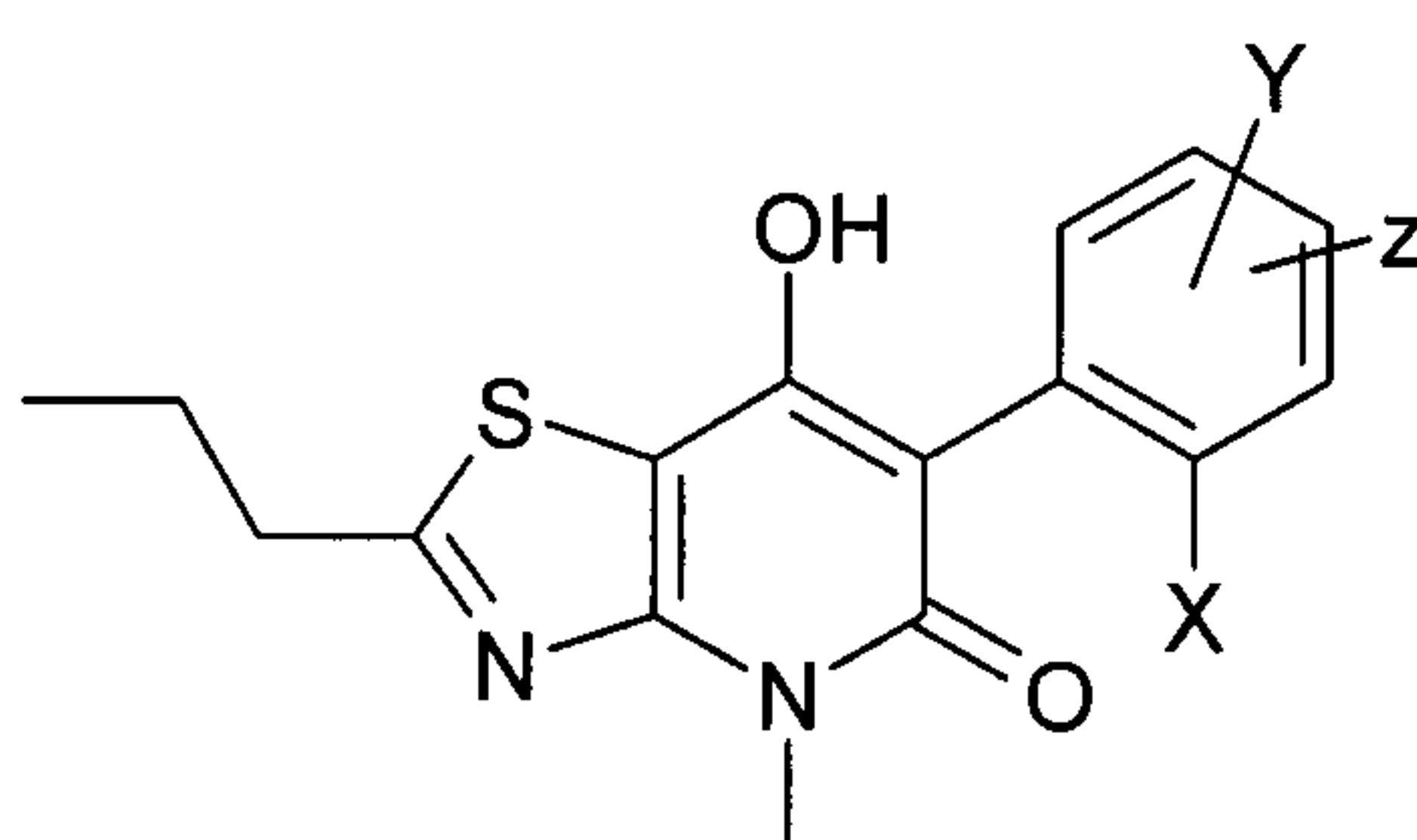


Table 211: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:

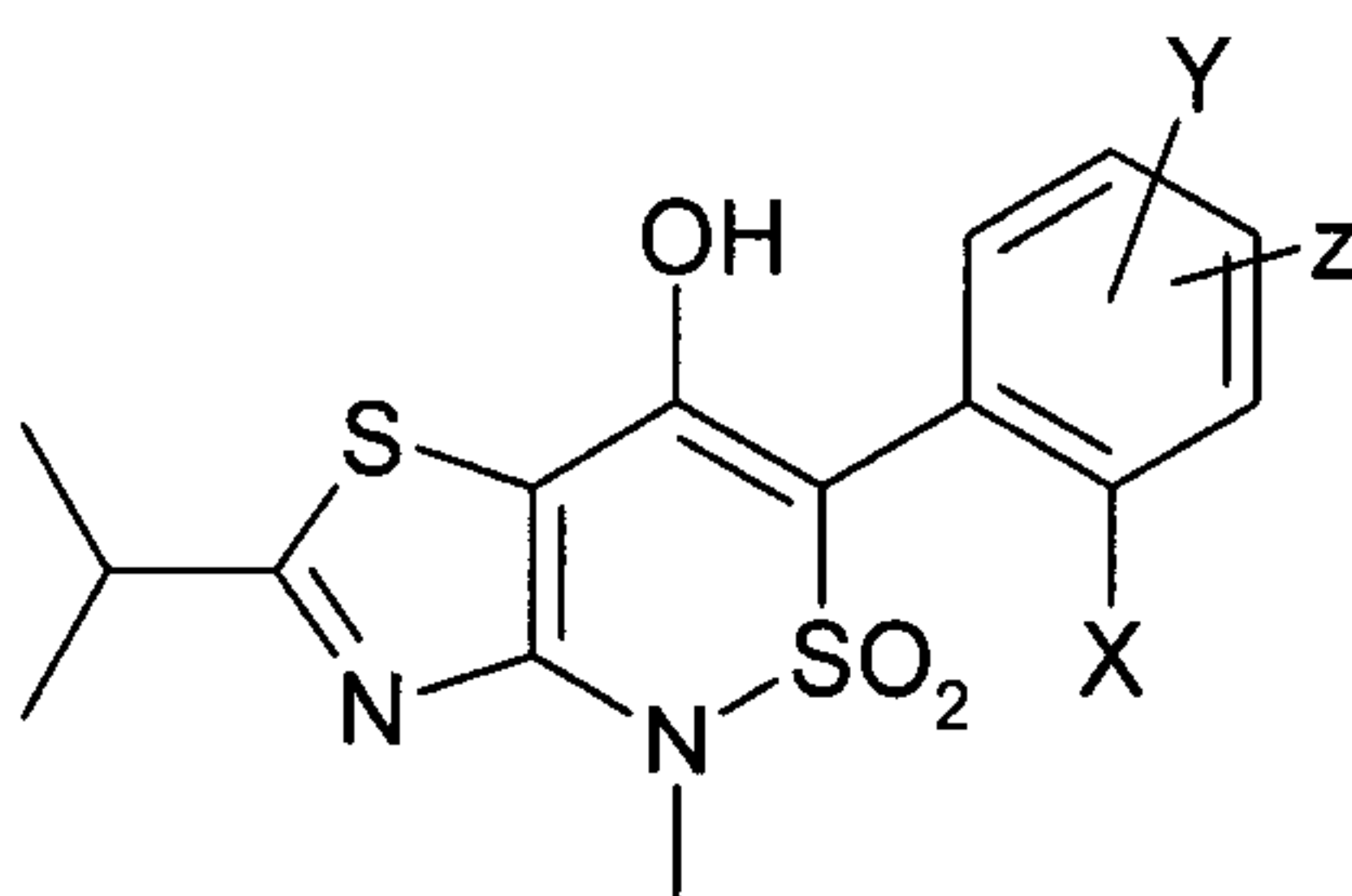


Table 212: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is i-propyl, and X, Y and Z are each as defined in table 1:

WO 2012/028582

100

PCT/EP2011/064825

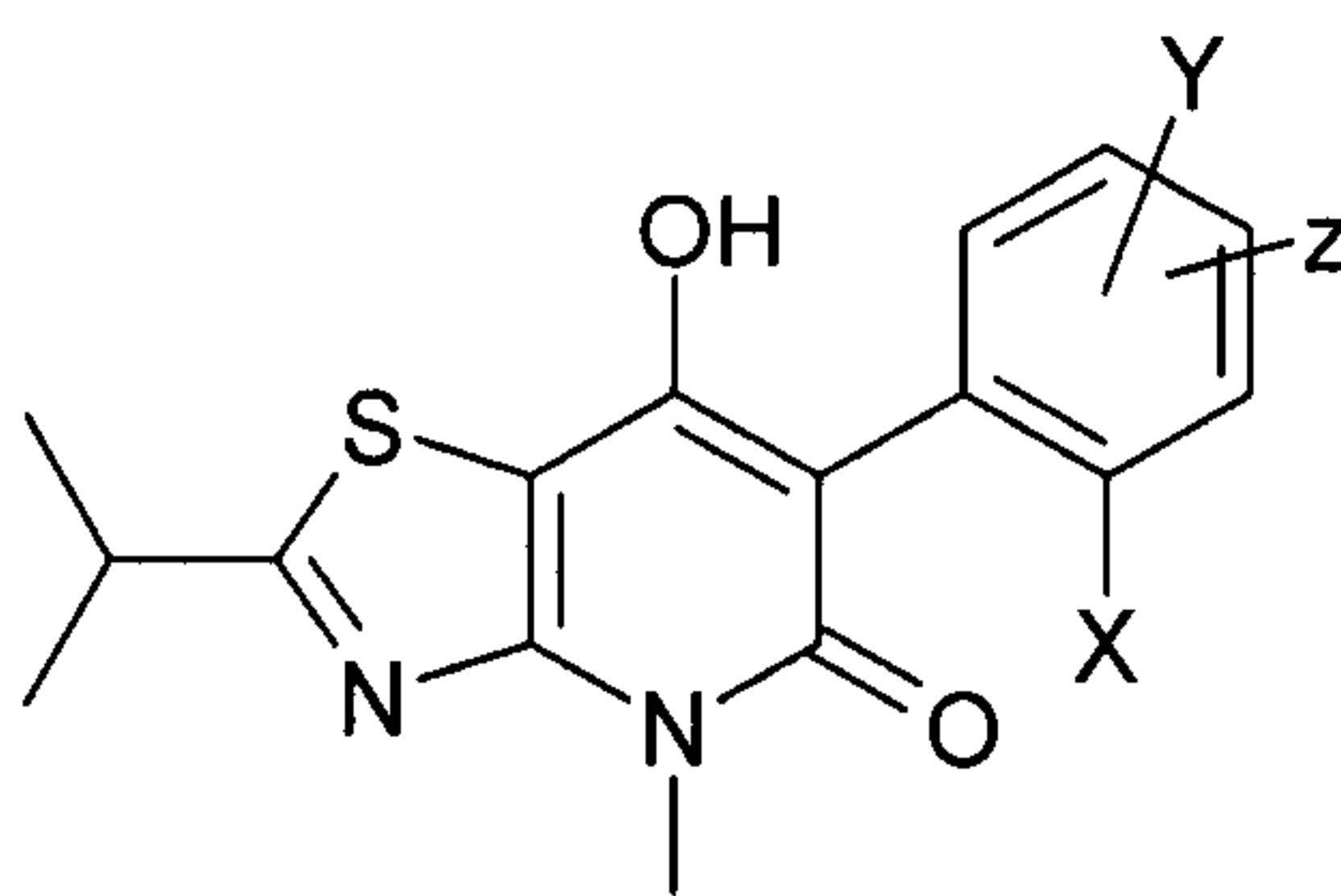
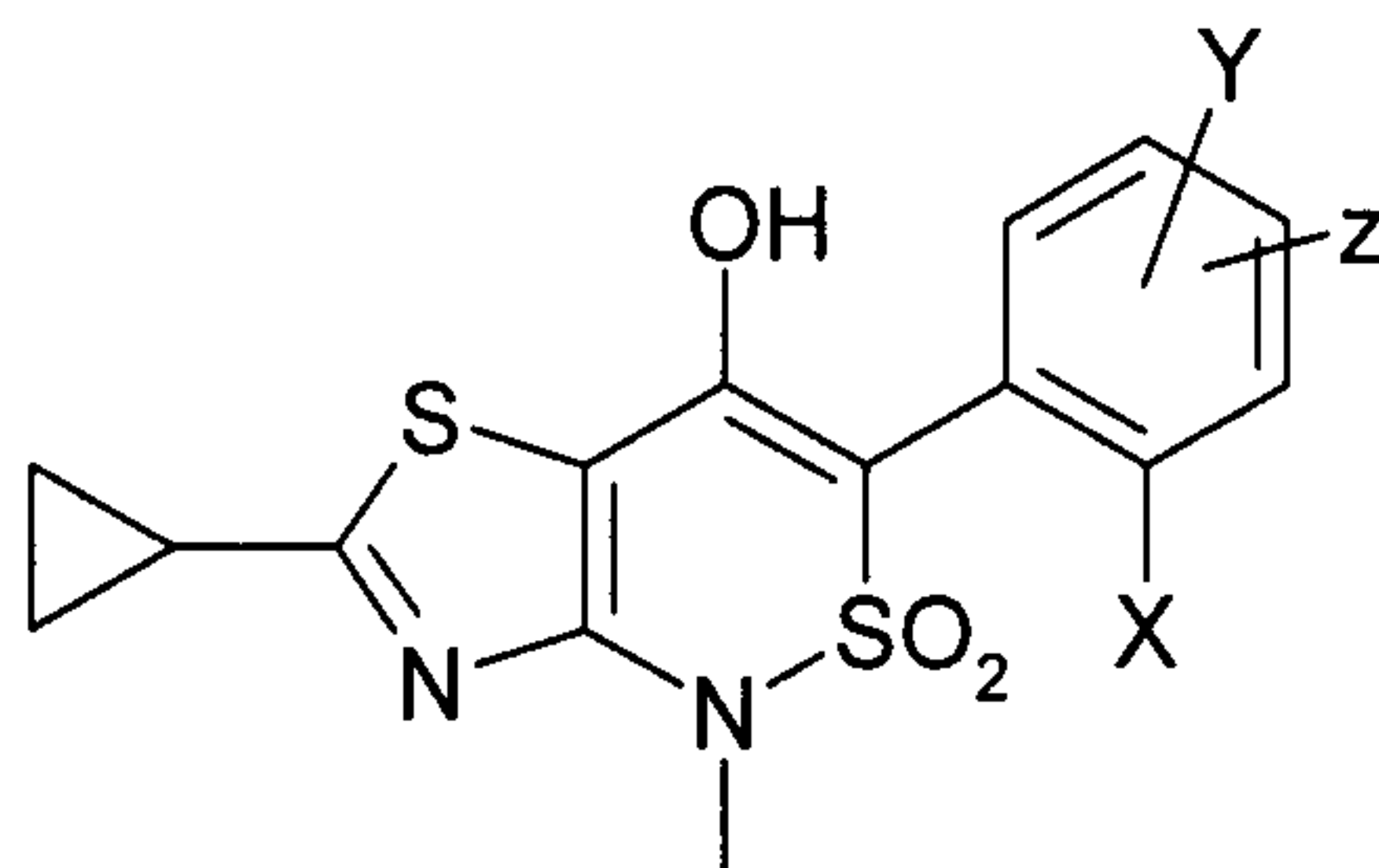
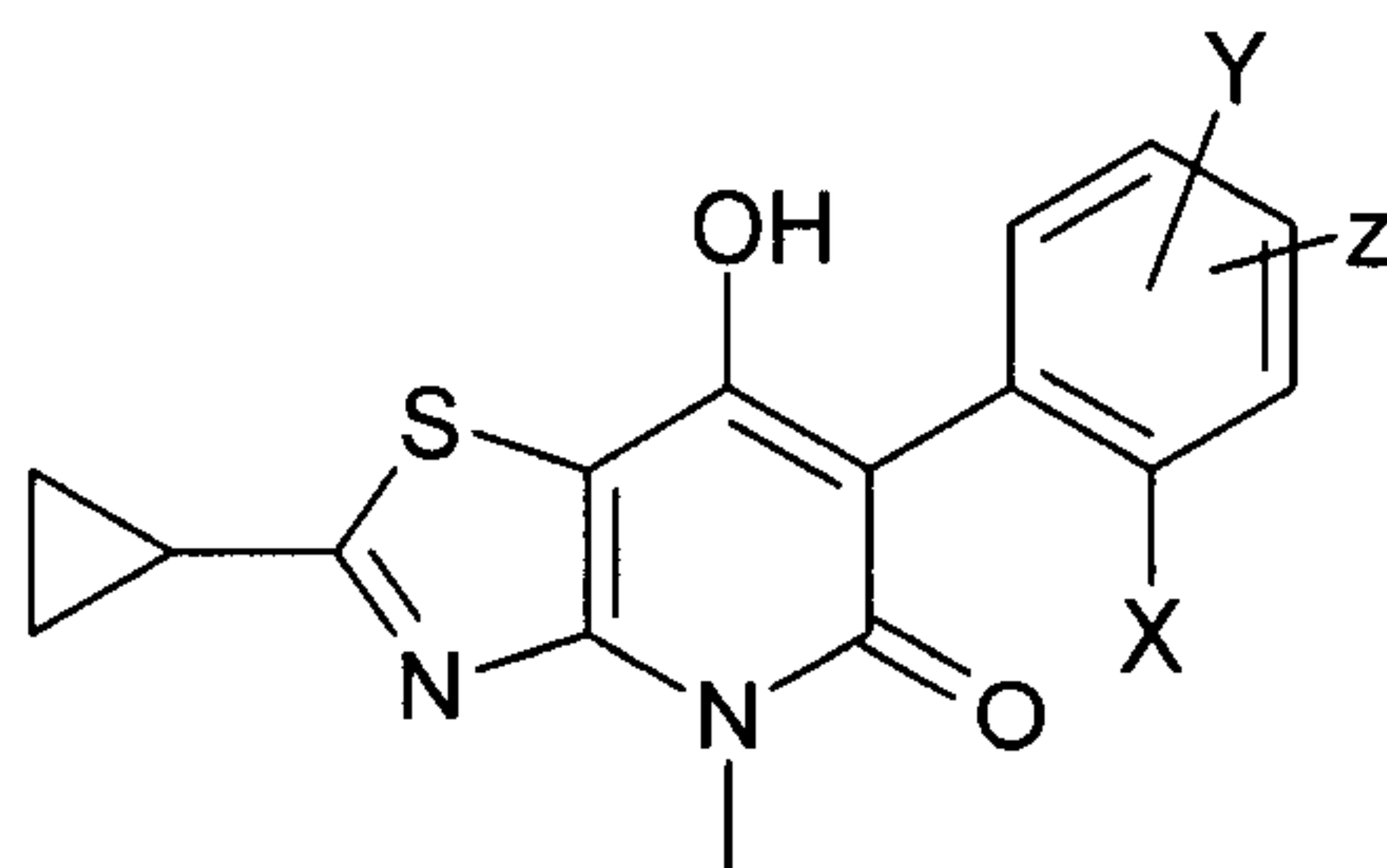


Table 213: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:



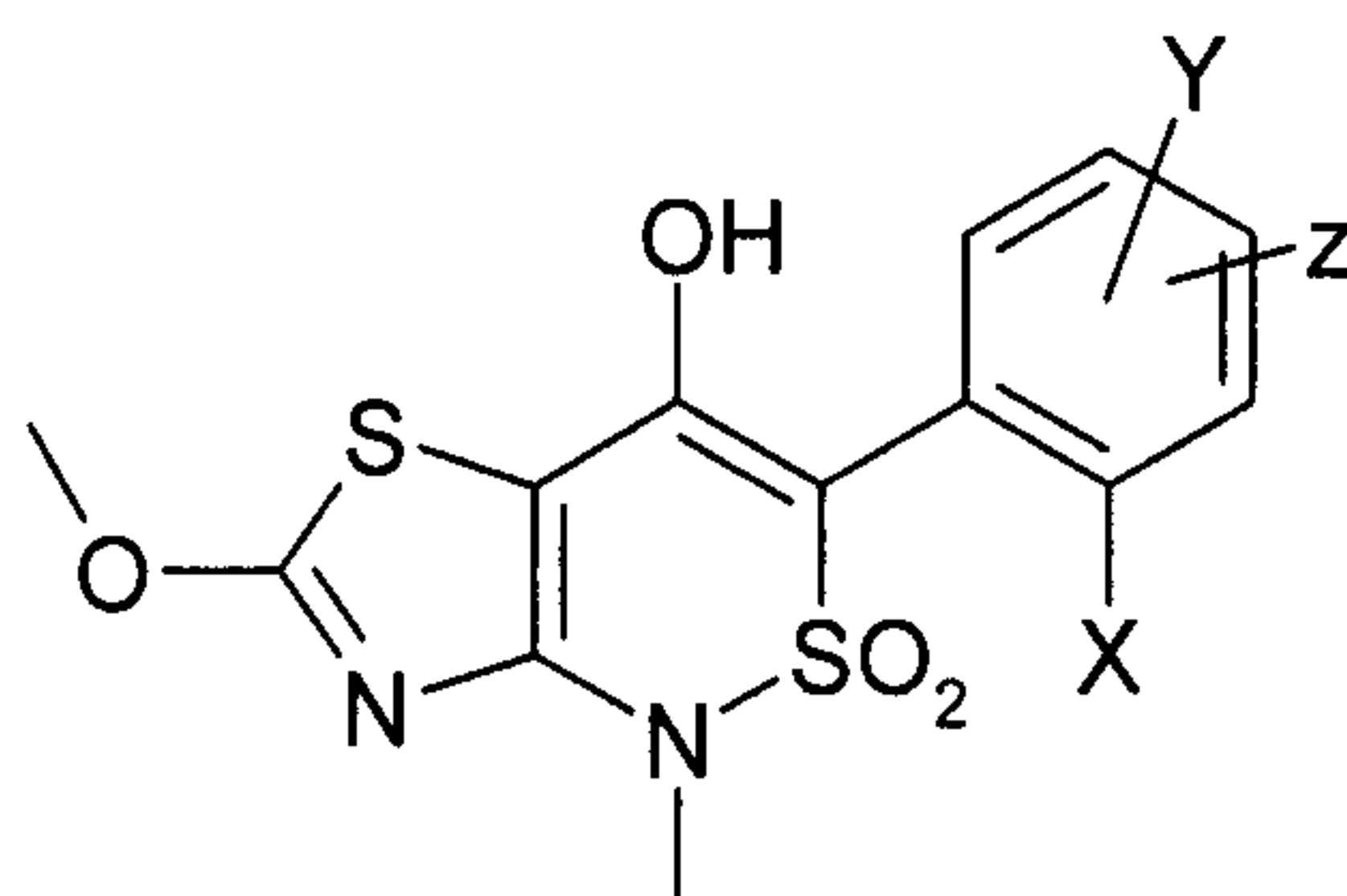
5

Table 214: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is c-propyl, and X, Y and Z are each as defined in table 1:



10

Table 215: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in table 1:

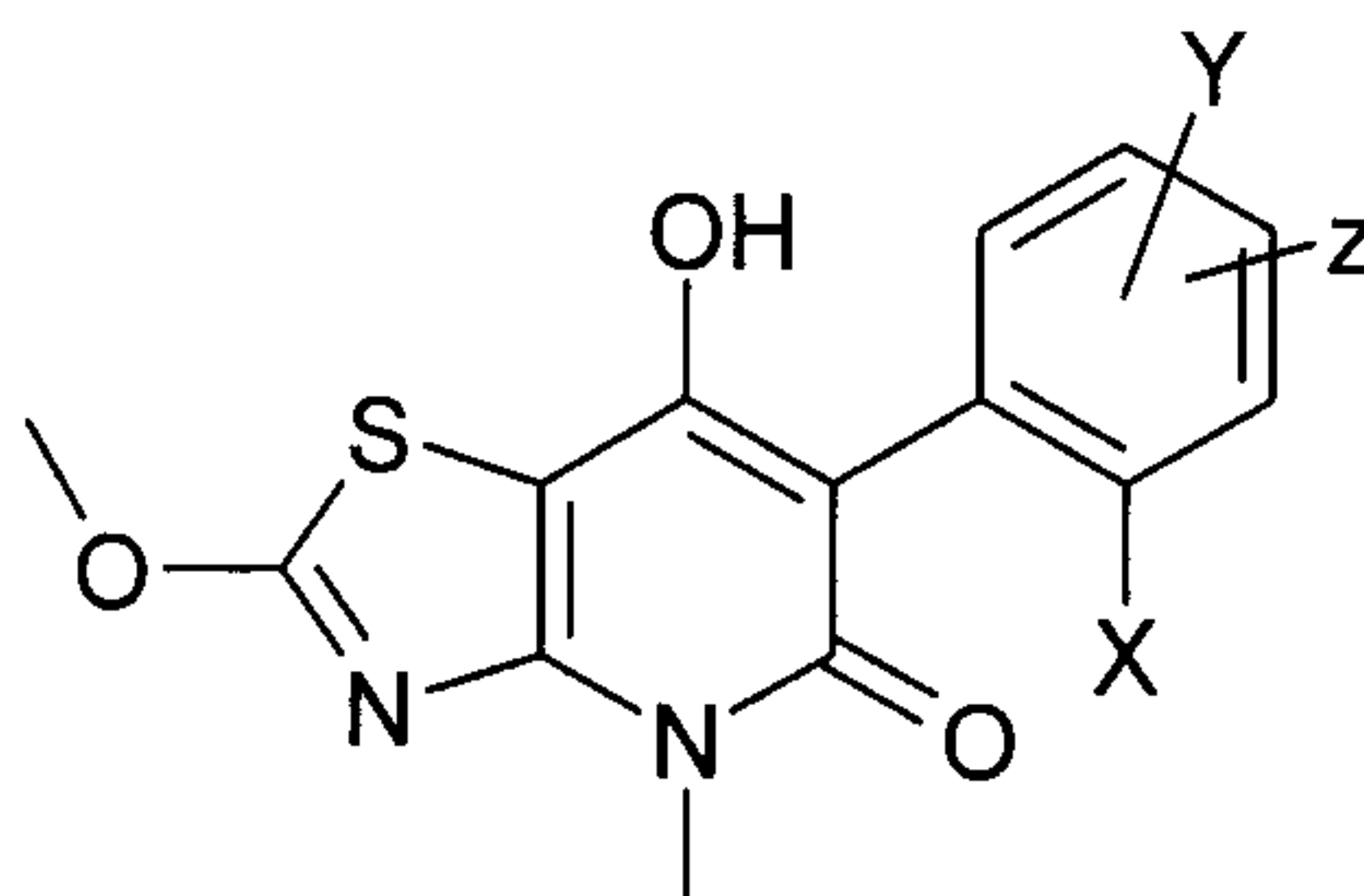


WO 2012/028582

101

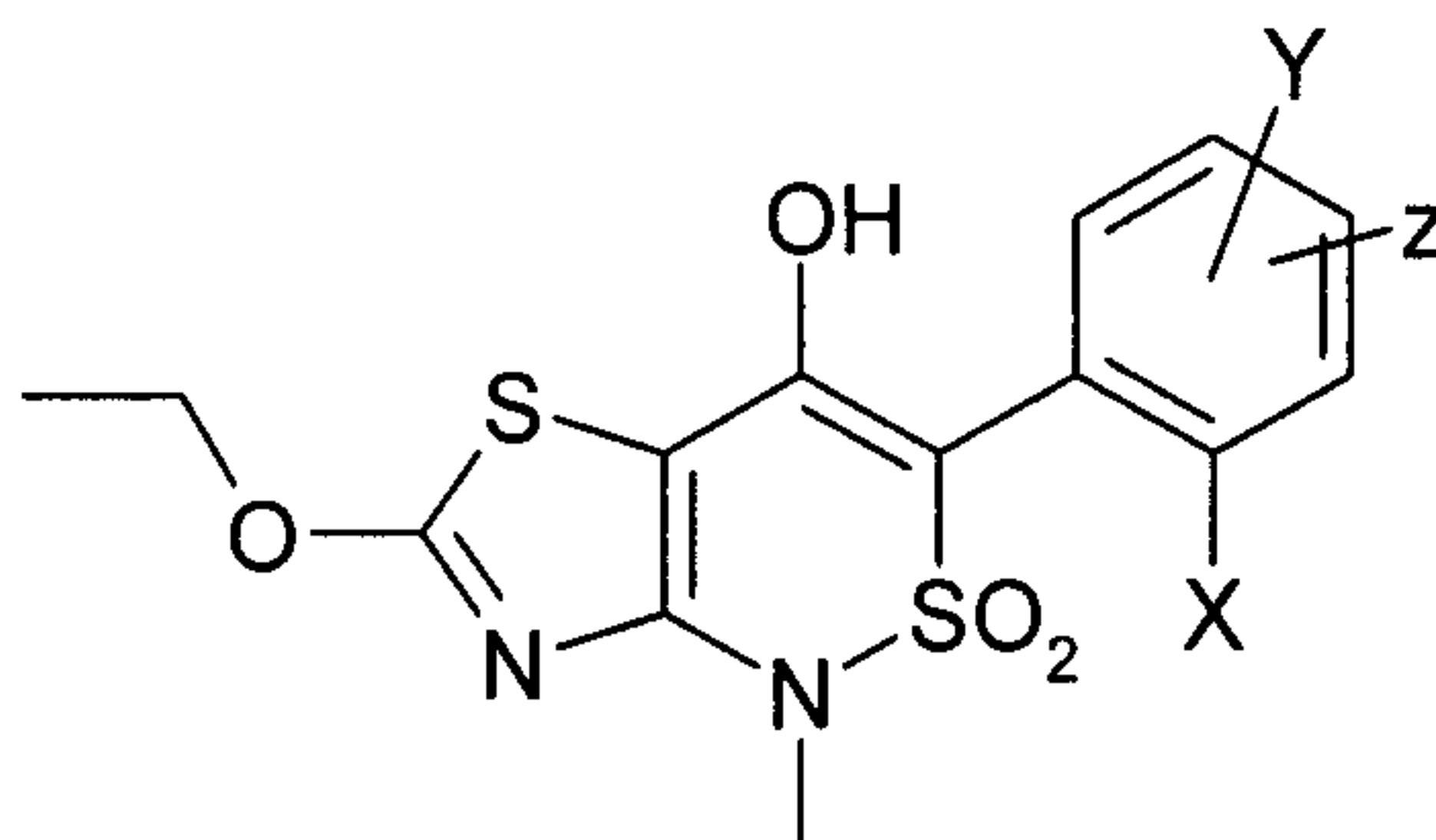
PCT/EP2011/064825

Table 216: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is methoxy, and X, Y and Z are each as defined in table 1:



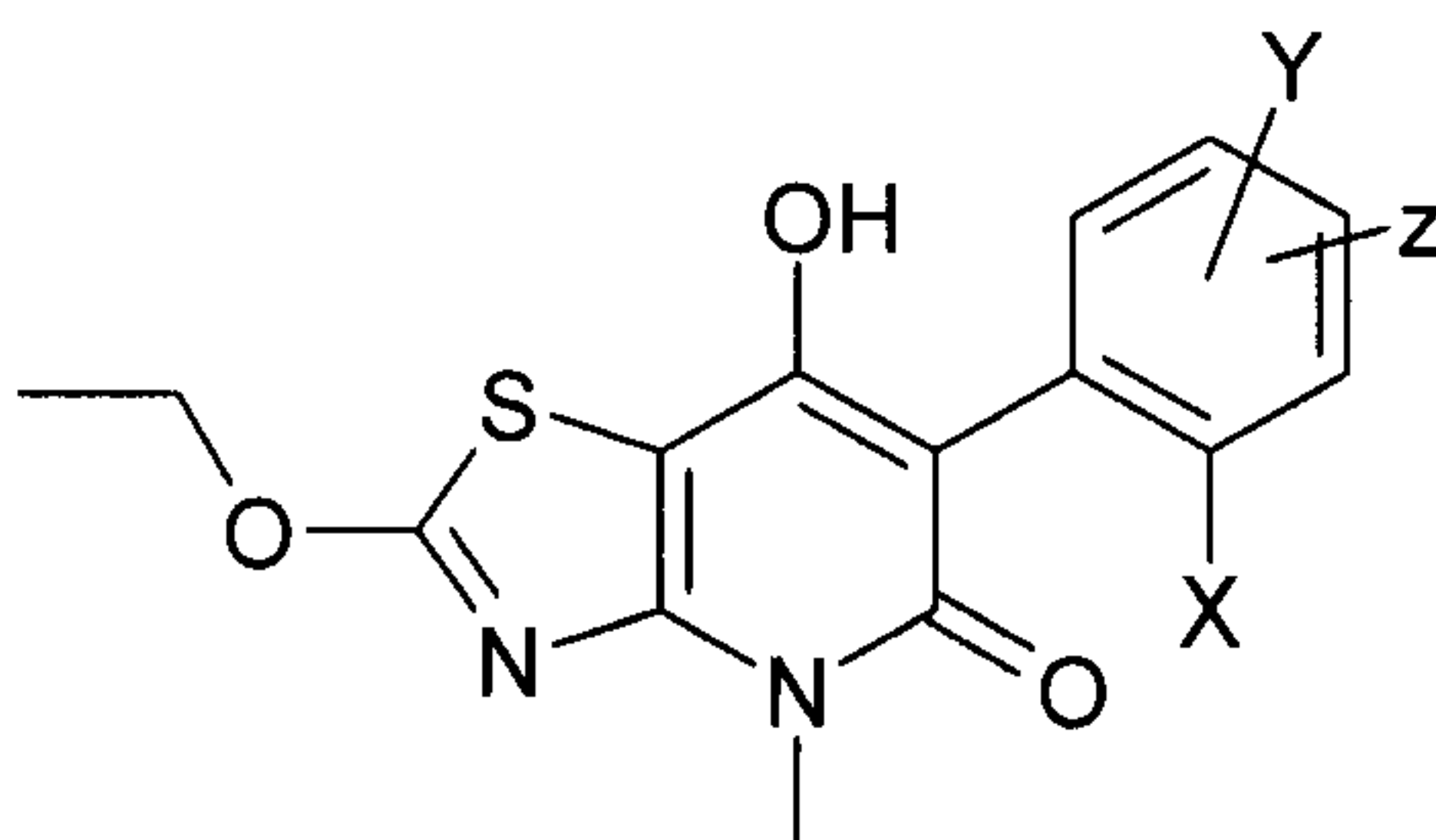
5

Table 217: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:



10

Table 218: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is ethoxy, and X, Y and Z are each as defined in table 1:



15

Table 219: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined in table 1:

WO 2012/028582

102

PCT/EP2011/064825

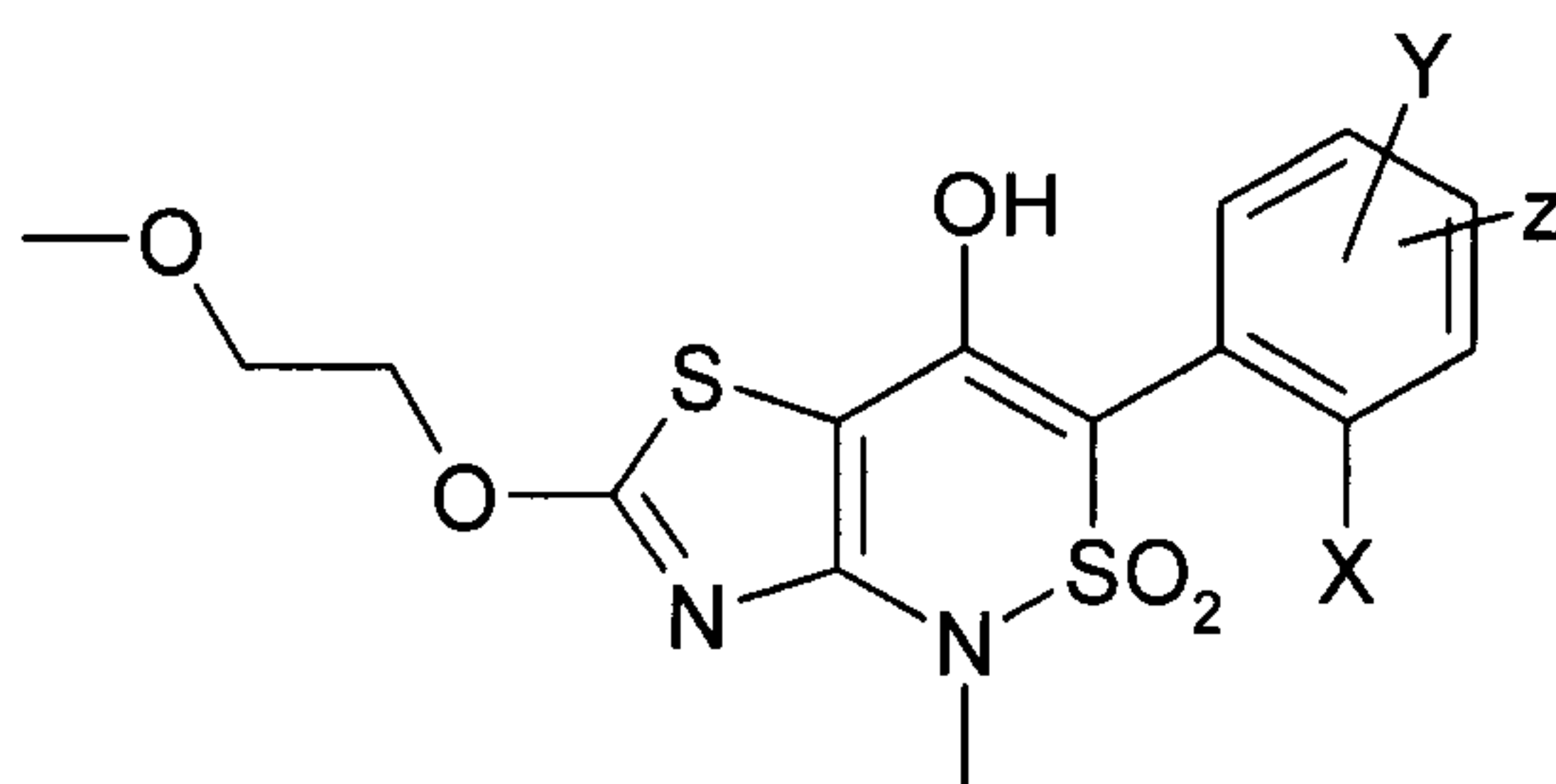


Table 220: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A2, R¹⁰ is methoxyethoxy, and X, Y and Z are each as defined in table 1:

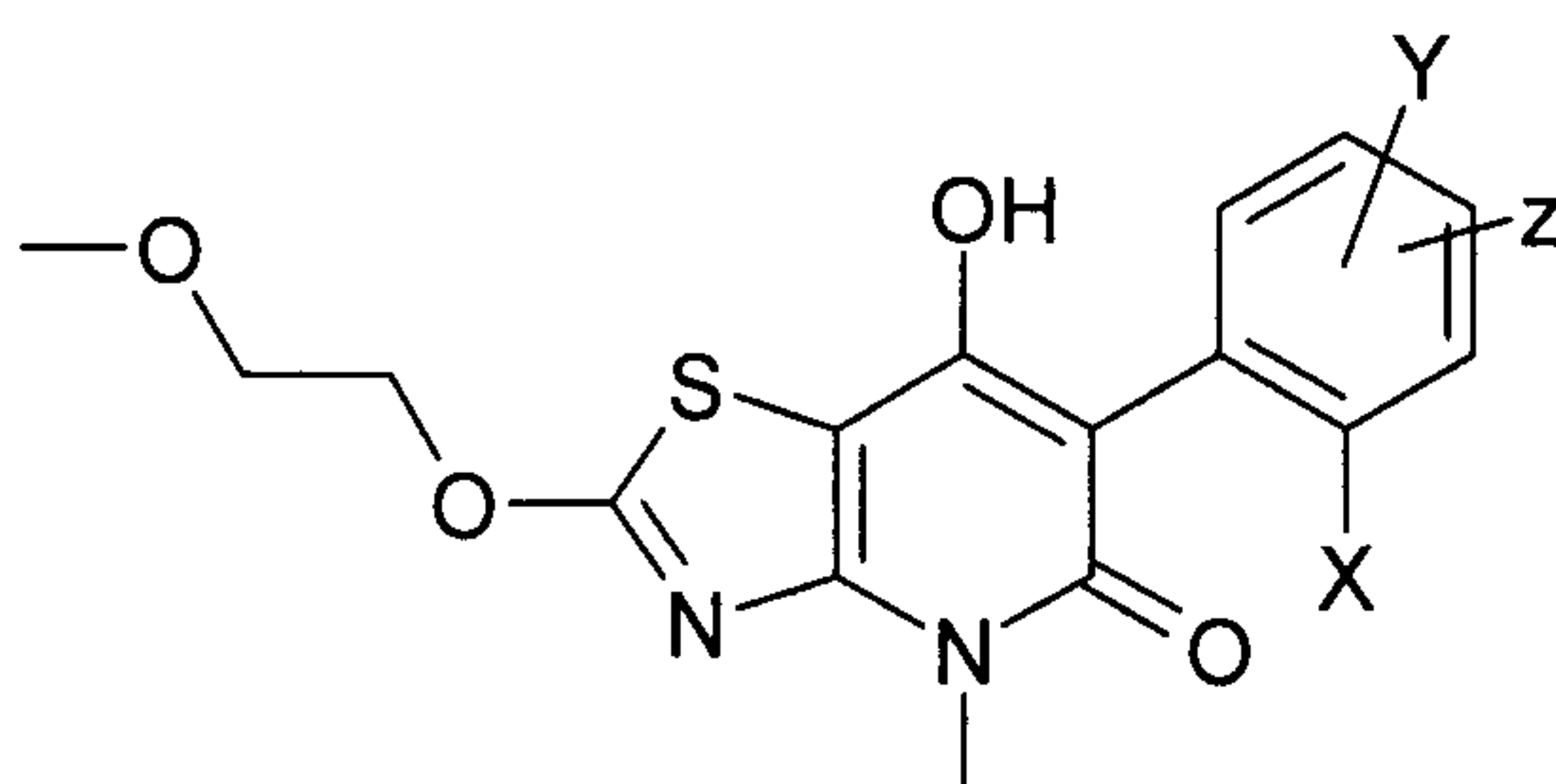
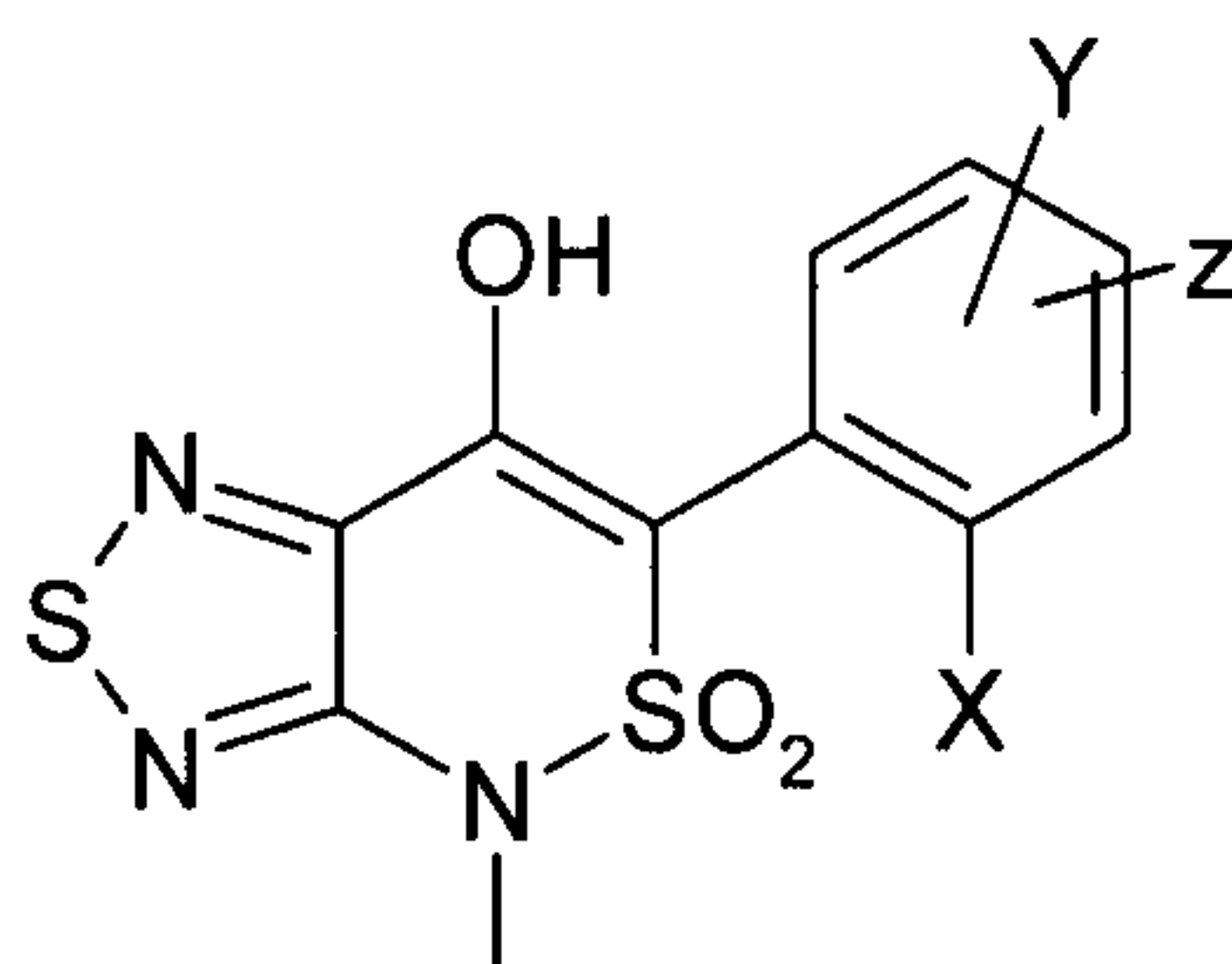
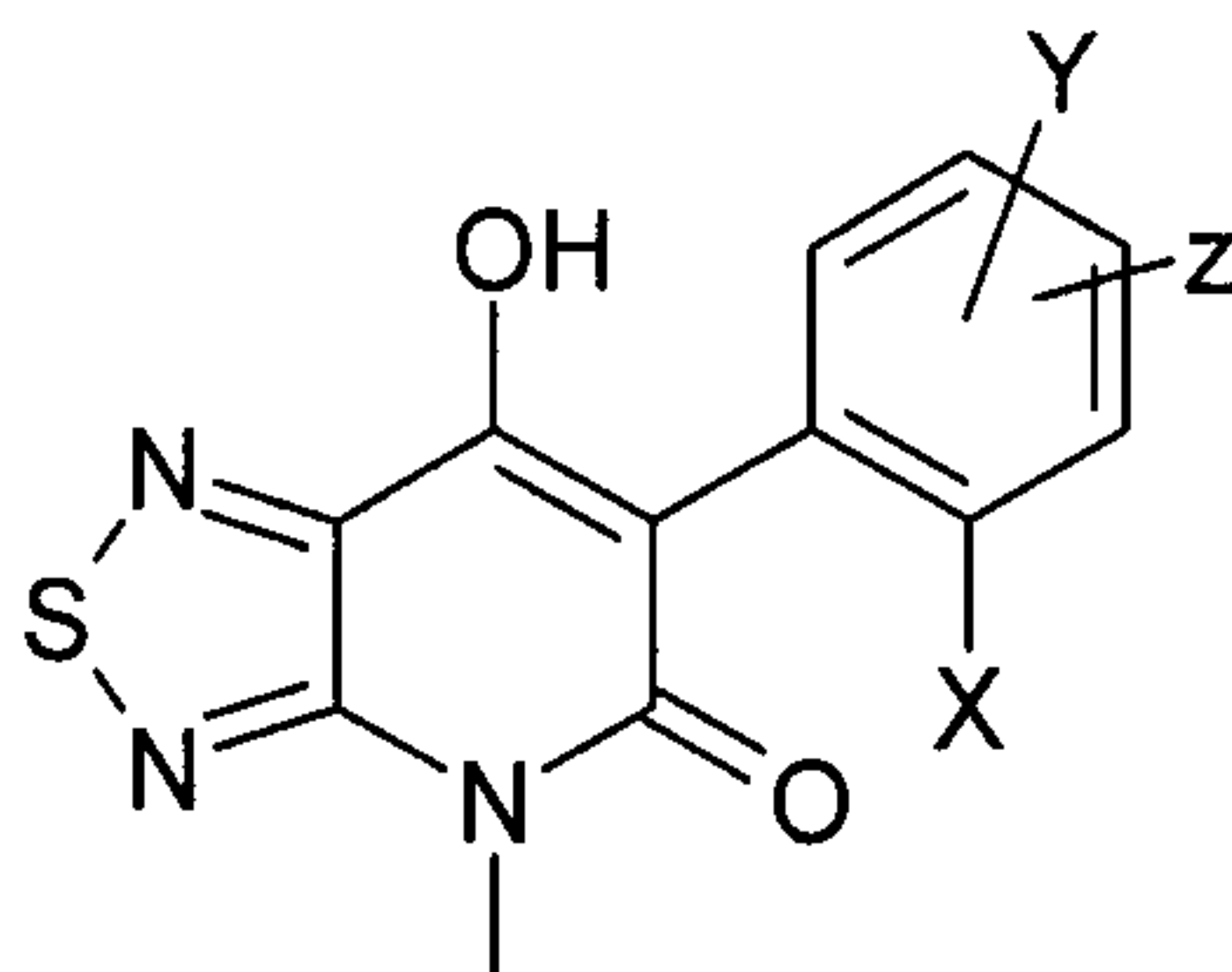


Table 221: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A12, and X, Y and Z are each as defined in table 1:



10

Table 222: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A12, and X, Y and Z are each as defined in table 1:

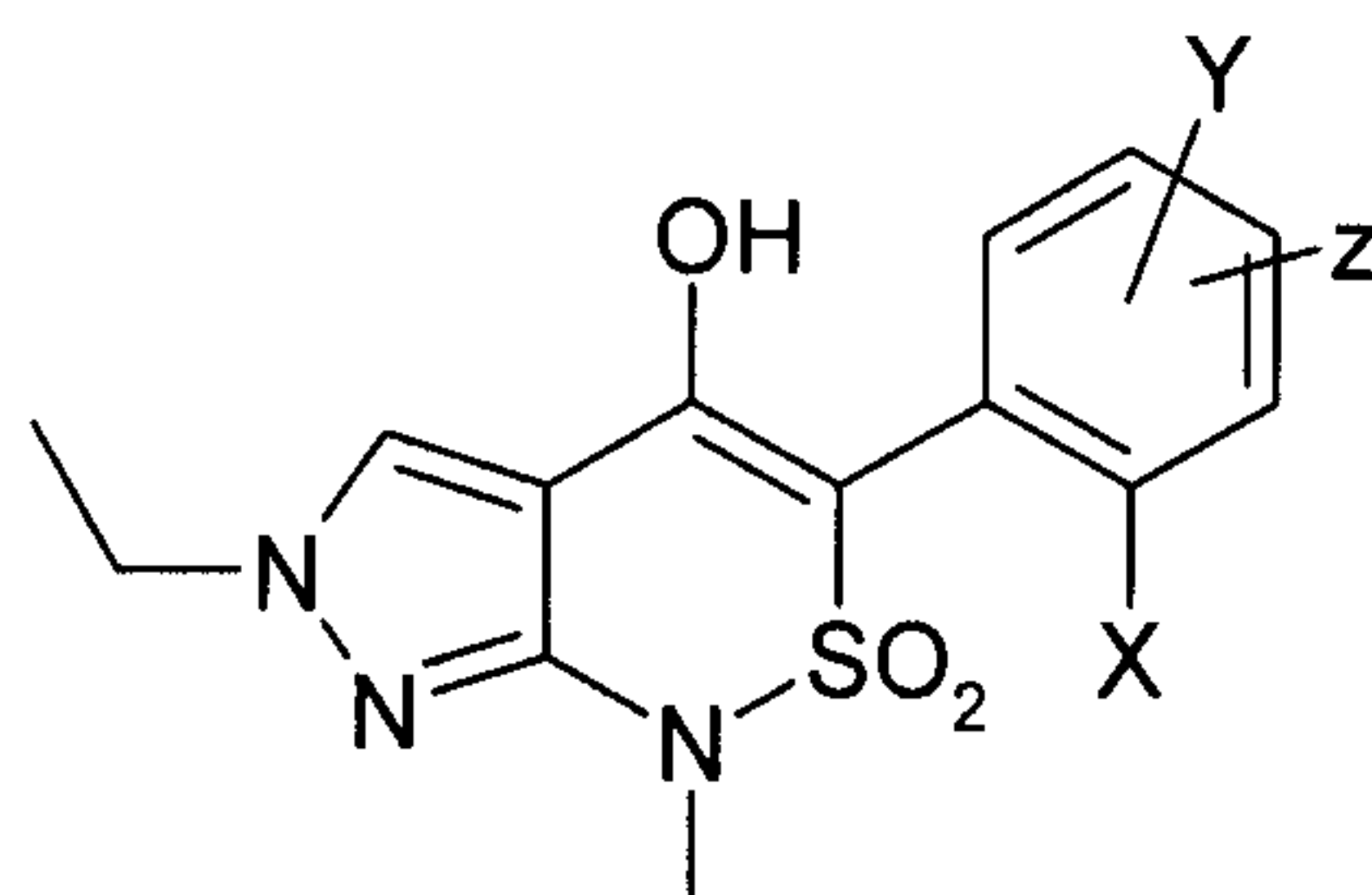


WO 2012/028582

103

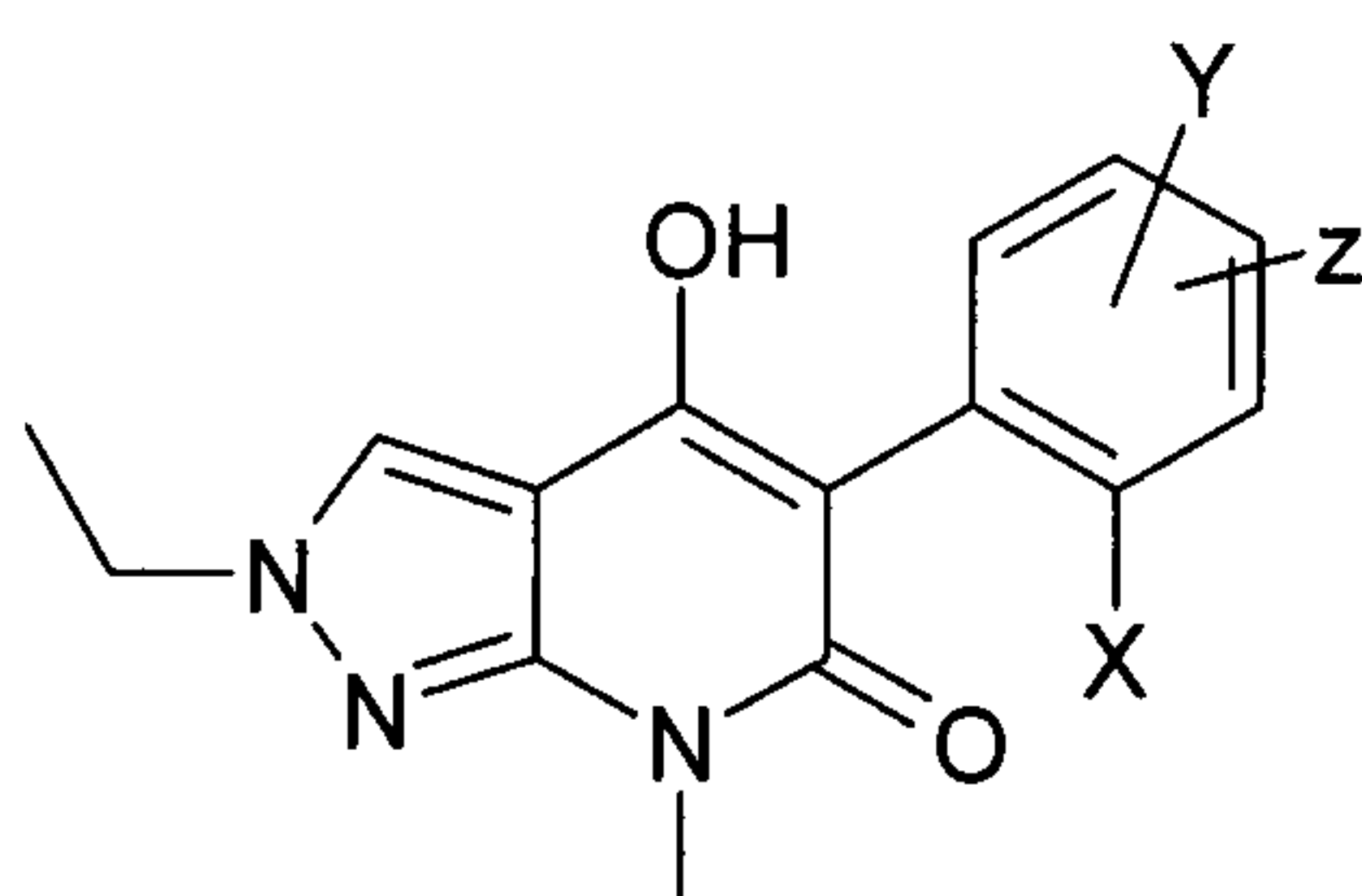
PCT/EP2011/064825

Table 223: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:



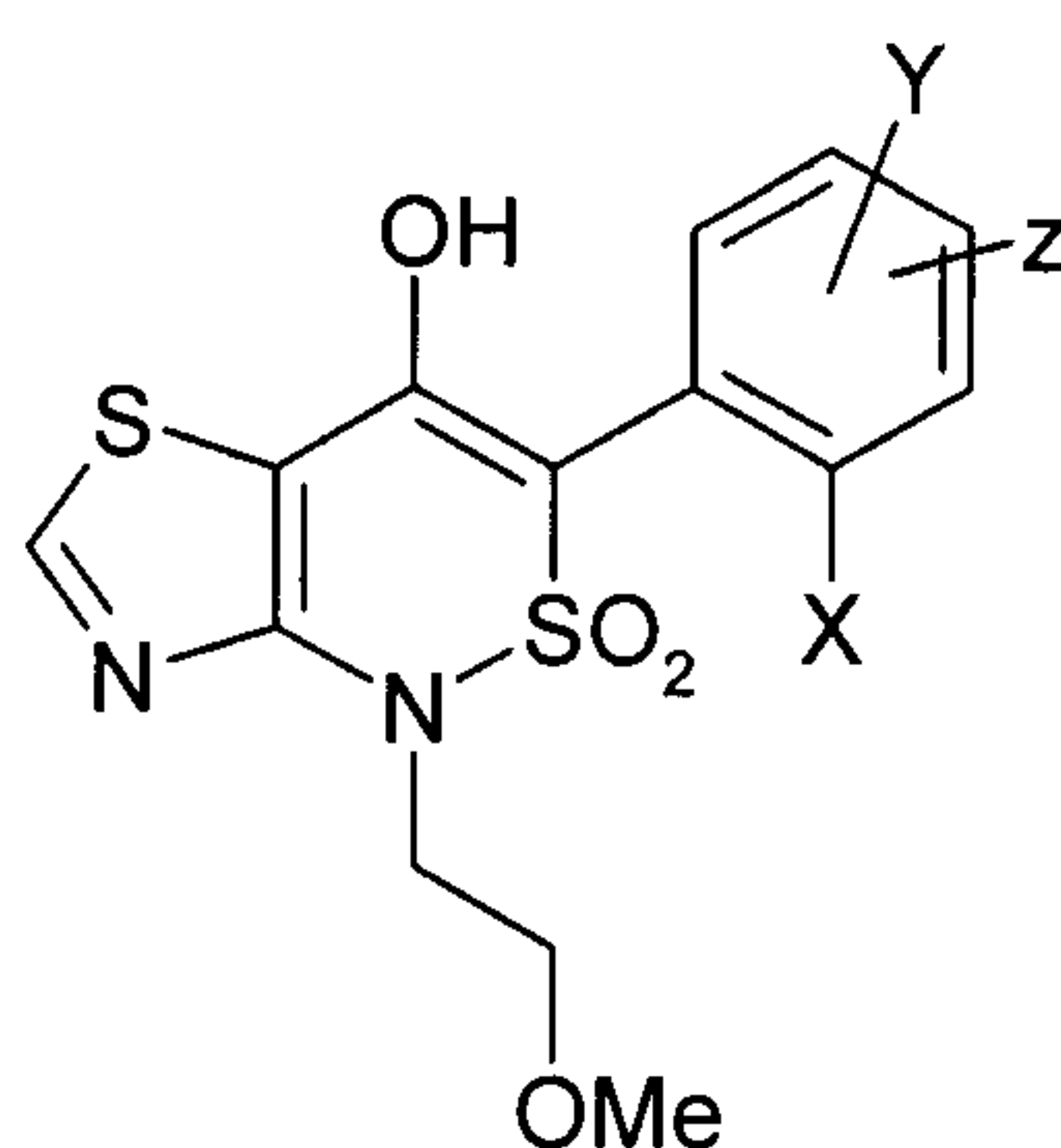
5

Table 224: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methyl, A is A6, R¹² is hydrogen, R¹⁴ is ethyl, and X, Y and Z are each as defined in table 1:



10

Table 225: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methoxyethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



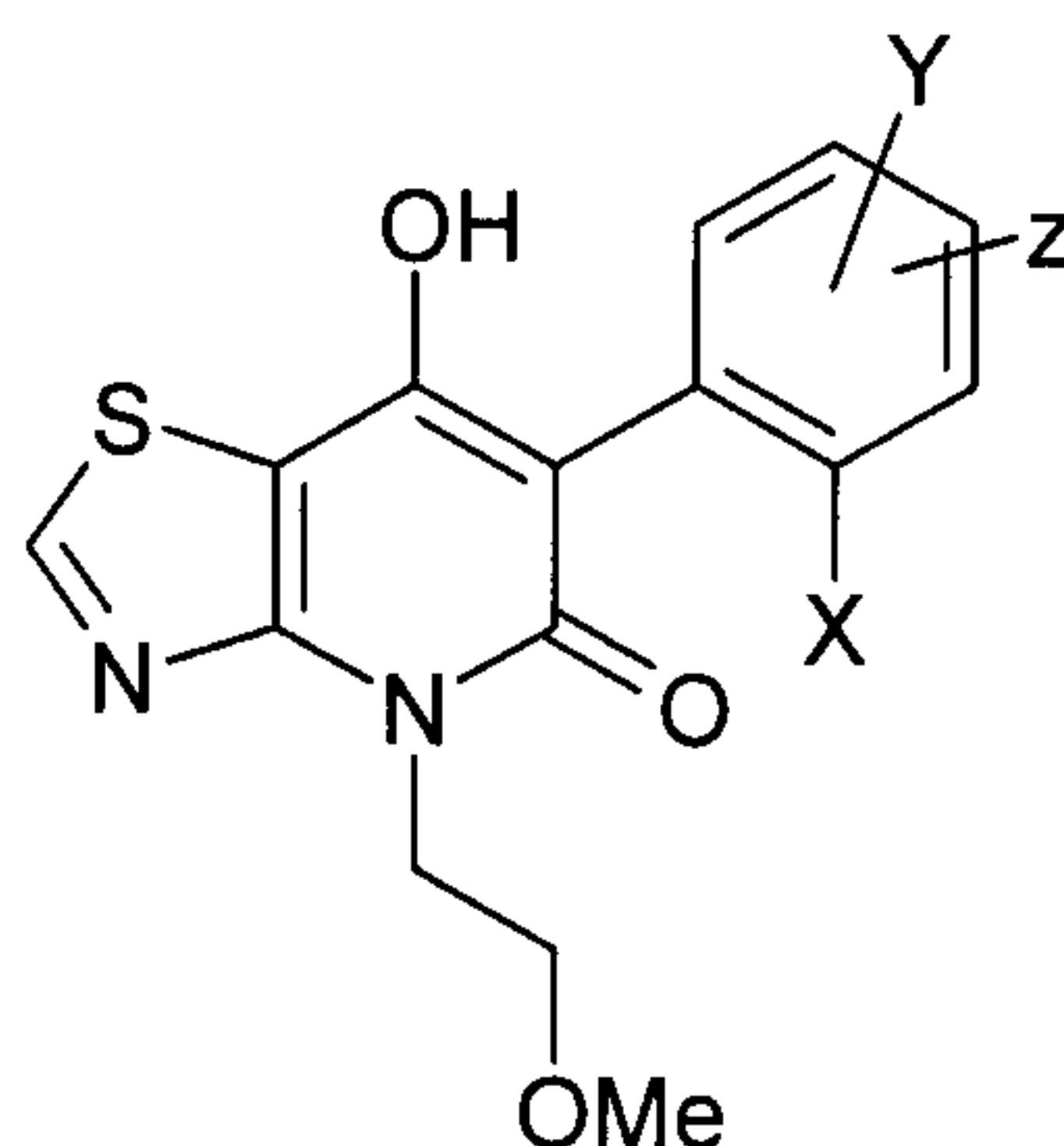
15

WO 2012/028582

104

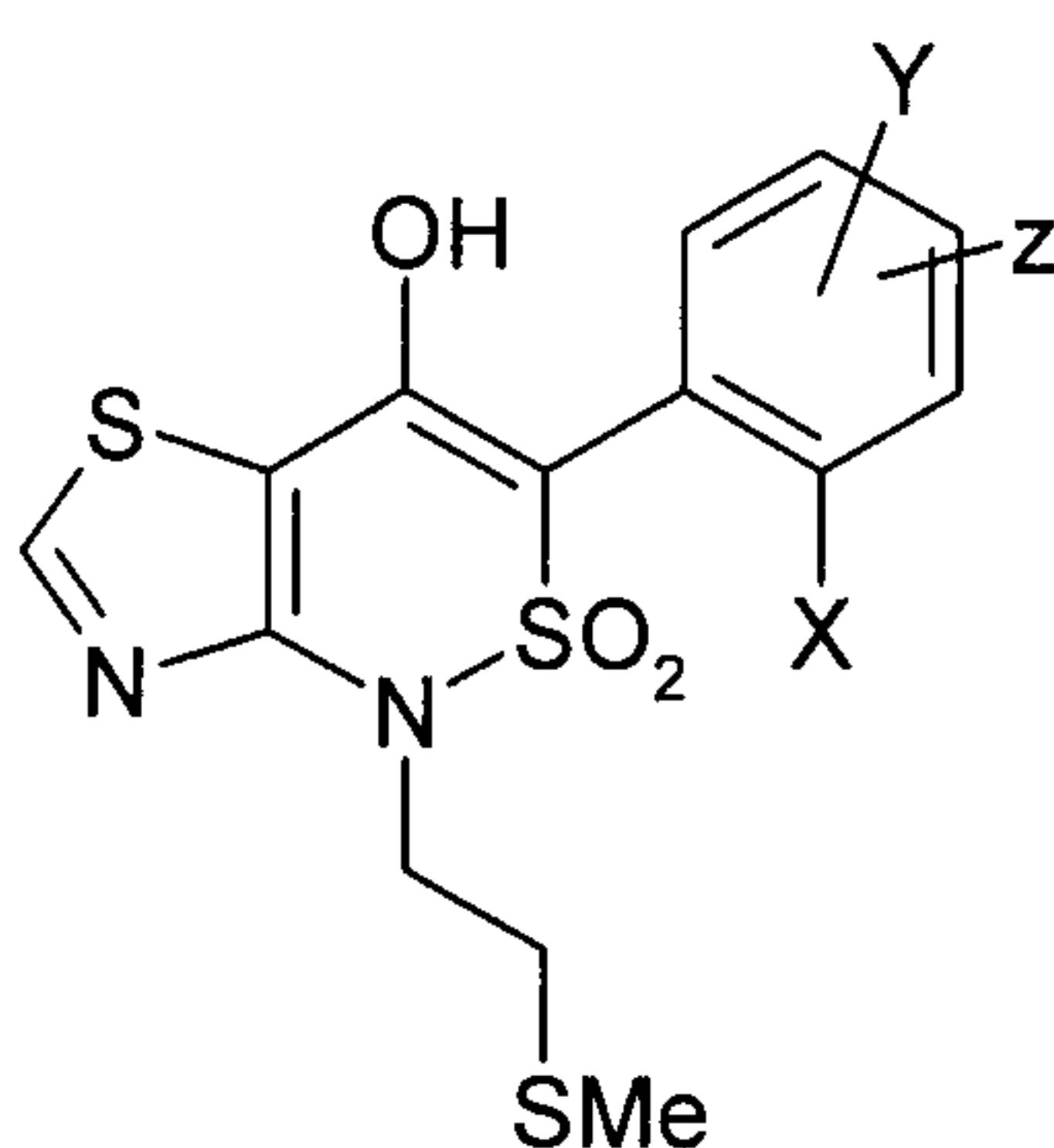
PCT/EP2011/064825

Table 226: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methoxyethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



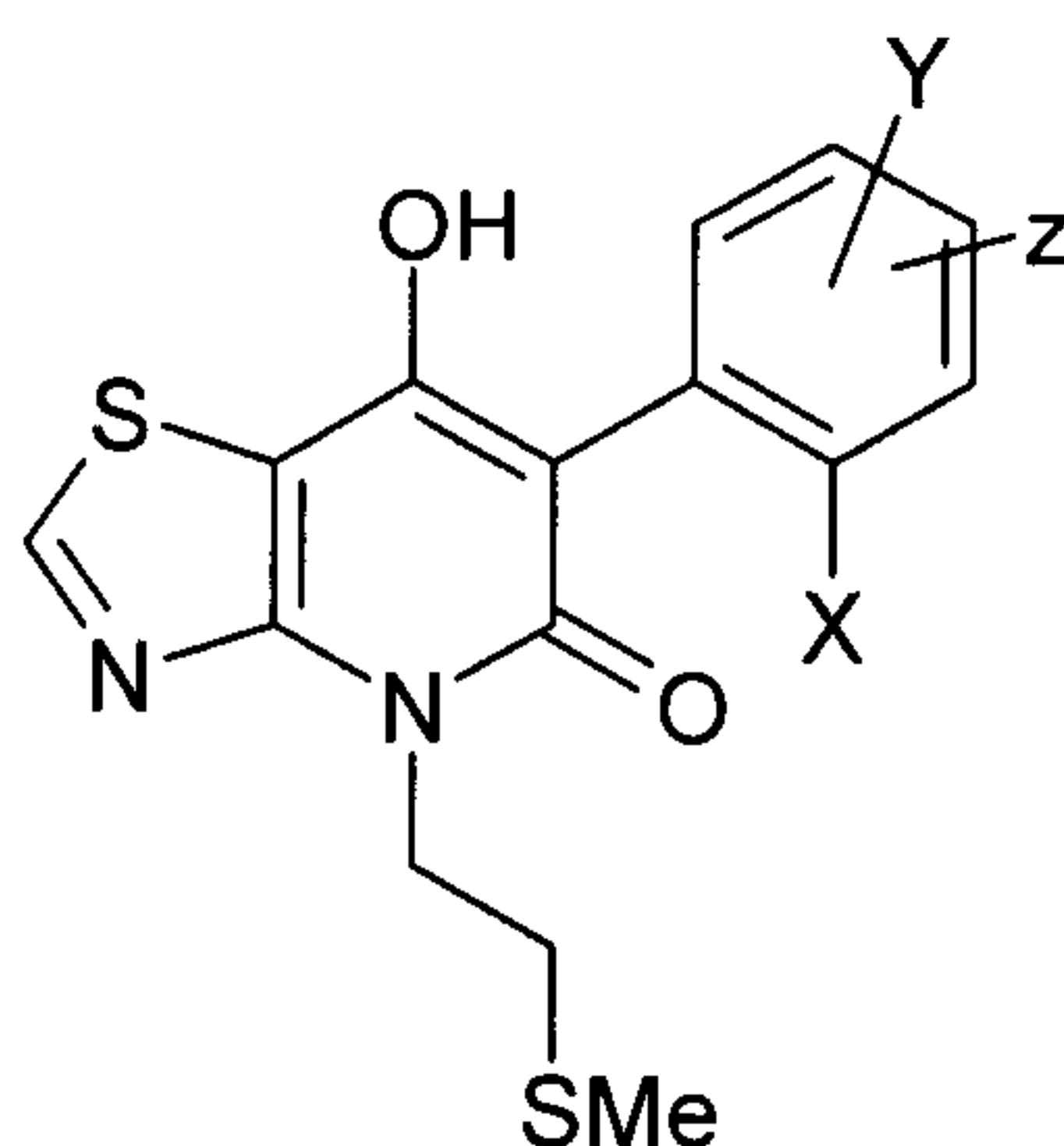
5

Table 227: Inventive compounds of the formula (I) in which G is hydrogen, V is SO₂, W is methylthioethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



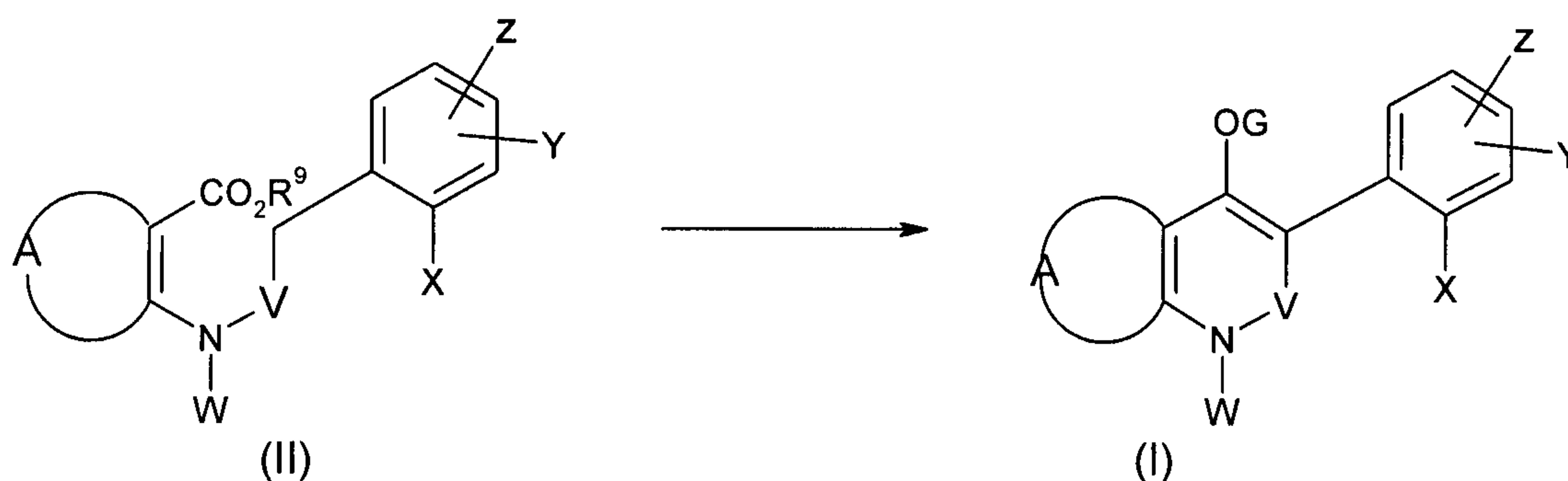
10

Table 228: Inventive compounds of the formula (I) in which G is hydrogen, V is C=O, W is methylthioethyl, A is A2, R¹⁰ is hydrogen, and X, Y and Z are each as defined in table 1:



Inventive compounds of the formula (I) in which G is hydrogen, V is C=O or SO₂, can
 5 be prepared, for example, by the method specified in scheme 1, by base-induced
 condensation reaction of compounds of the formula (II). R⁹ therein is (C₁-C₆)-alkyl,
 especially methyl or ethyl.

Scheme 1



10

Compounds of the formula (II) can be prepared, for example, by the methods
 specified in scheme 1a, by reaction of aminocarboxylic acid derivatives with
 phenylacetic acid derivatives or benzylsulfonic acid derivatives. U therein is a leaving
 group introduced by carboxylic acid activation reagents, such as
 15 carbonyldiimidazole, carbonyldiimides (for example dicyclohexylcarbodiimide),
 phosphorylating reagents (for example POCl₃, BOP-Cl), halogenating agents, for
 example thionyl chloride, oxalyl chloride, phosgene or chloroformic esters. Such
 methods are also known to the person skilled in the art from WO2008/009908 A1
 and WO2008/071918 A1 or WO2009/063180 and documents cited therein.

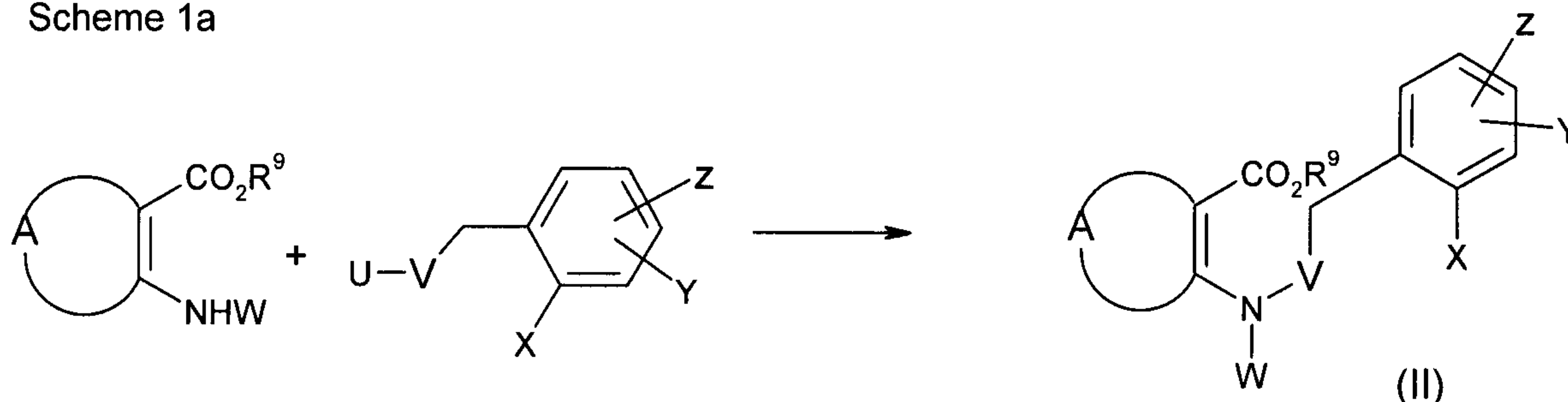
WO 2012/028582

106

PCT/EP2011/064825

Compounds of the formula (II) are novel and likewise form part of the subject matter of the present invention.

Scheme 1a



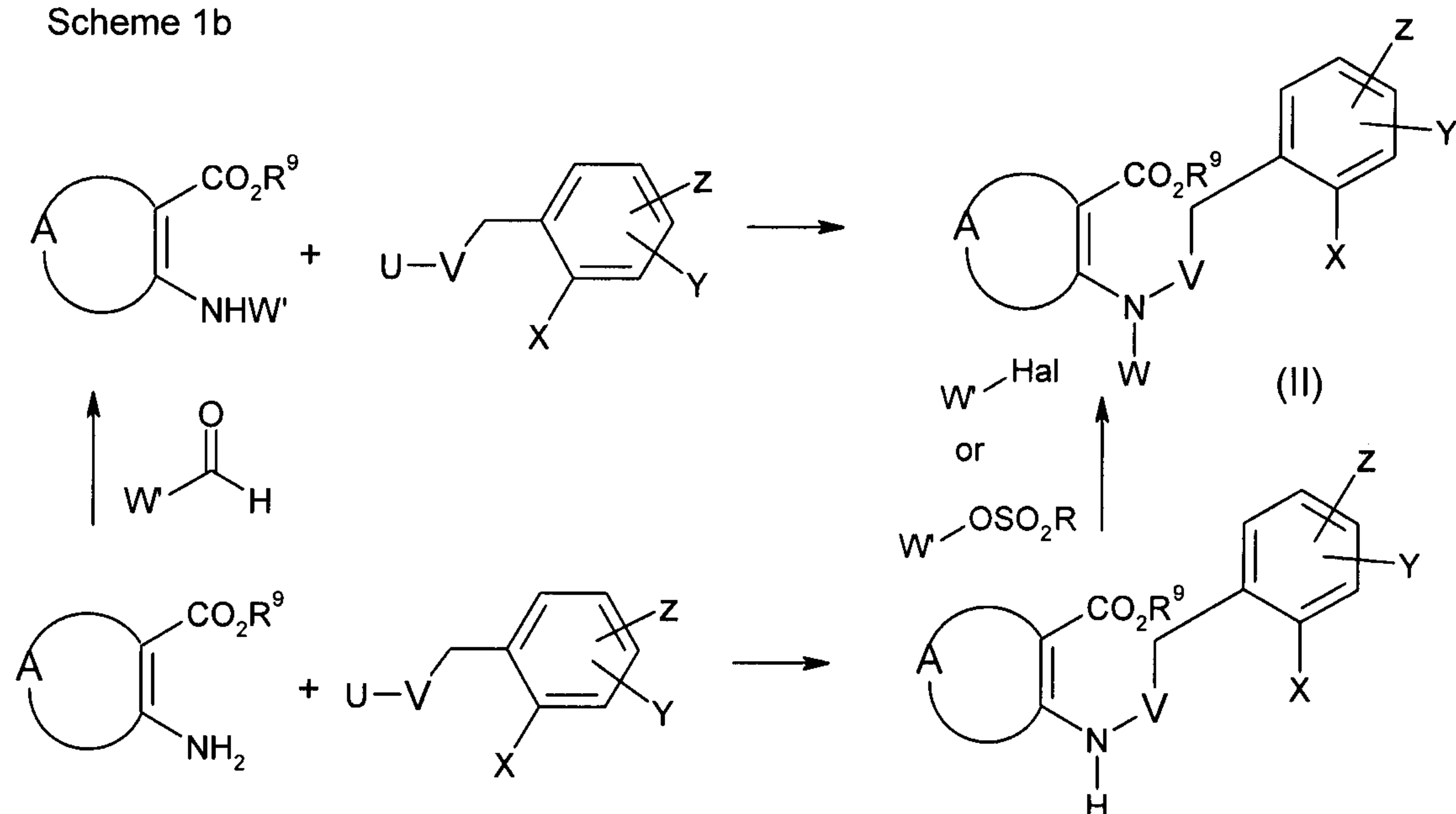
5

The free phenylacetic acids needed to prepare the phenylacetic acid derivatives specified in scheme 1a, i.e. those in which U is hydroxyl and V is C=O, are known or can be prepared by processes known per se and known, for example, from WO 2005/075401, WO 2001/96277, WO 1996/35664 and WO 1996/25395. In the case that W is to be any radical other than hydrogen, a W' radical can be introduced by methods known from the literature, for example by means of reductive amination of a corresponding amino acid ester with an aldehyde, followed by a reduction, for example with sodium cyanoborohydride. W' is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms.

It is additionally known that reactions of W' halides or else corresponding sulfonates with appropriate amino acid esters lead to the desired precursors. Alternatively, it is correspondingly also possible, on completion of condensation of the amino acid ester with the appropriate phenylacetic acid or benzylsulfonic acid, to effect the alkylation with W' halides or sulfonates (see scheme 1b), which then likewise leads to the inventive intermediates II.

20

Scheme 1b

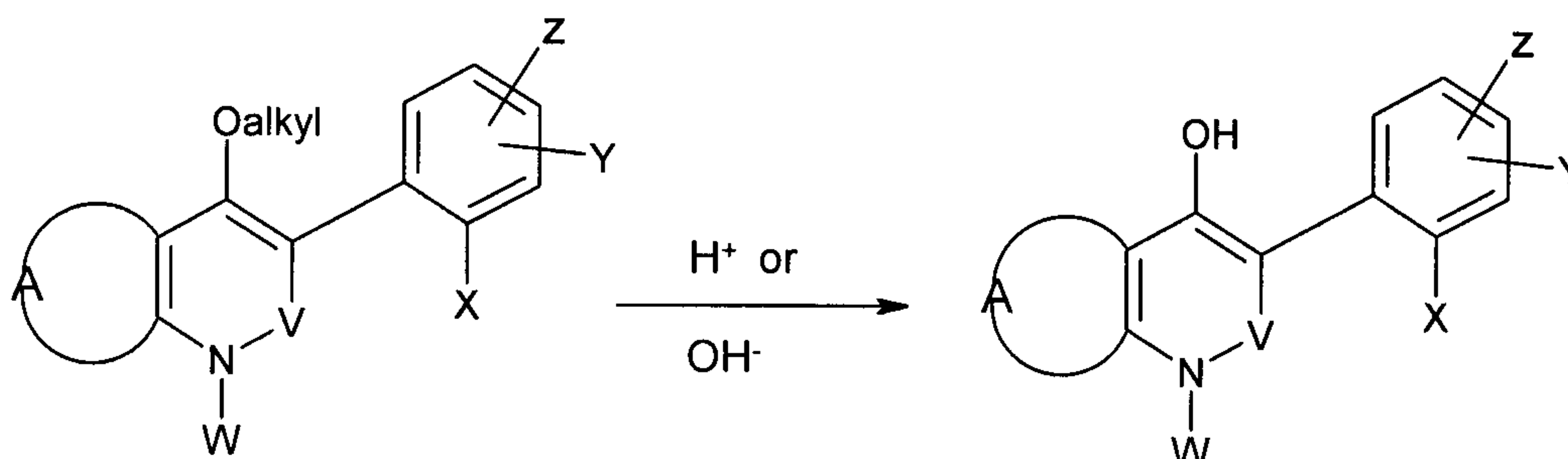


Particular phenylacetic acid derivatives can also be prepared using acetic ester enolates in the presence of palladium catalysts, for example formed from a palladium source (e.g. Pd₂(dba)₃ or Pd(Oac)₂) and a ligand (e.g. (t-Bu)₃P, iMes*HCl or 2'-(N,N-dimethylamino)-2-(dicyclohexylphosphanyl)biphenyl) (WO 2005/048710, J. Am. Chem. Soc. 2002, 124, 12557, J. Am. Chem. Soc. 2003, 125, 11176 or J. Am. Chem. Soc. 2001, 123, 799). In addition, it is possible to convert particular substituted aryl halides under copper catalysis to the corresponding substituted malonic esters (for example described in Org. Lett. 2002, 2, 269, WO 2004/108727), which can be converted to phenylacetic acids by known methods.

The free benzylic sulfonic acids needed for preparation of the benzylic sulfonic acid derivatives specified in scheme 1a, i.e. those in which U is hydroxyl and V is SO₂, are known or can be prepared by processes known per se and known, for example, from WO2009/063180.

Inventive compounds of the formula (I) in which G is hydrogen can also be prepared, for example, by the method specified in scheme 2, by reaction of compounds of the formula (I) in which G is alkyl, preferably methyl, with strong mineral bases such as sodium hydroxide or potassium hydroxide, or in concentrated mineral acids such as hydrobromic acid.

Scheme 2



Inventive compounds of the formula (I) in which G is $C(=O)R^1$, can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the formula (I) in which G is hydrogen with carbonyl halides of the formula $Hal-CO-R^1$ or with carboxylic anhydrides of the formula $R^1-CO-O-CO-R^1$.

Inventive compounds of the formula (I) in which G is $C(=L)MR^2$ can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the formula (I) in which G is hydrogen with a) chloroformic esters or chloroformic thioesters of the formula $R^2-M-COOR^1$ or b) with chloroformyl halides or chlorothioformyl halides.

Inventive compounds of the formula (I) in which G is SO_2R^3 can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the formula (I) in which G is hydrogen, with sulfonyl chlorides of the formula R^3-SO_2-Cl .

Inventive compounds of the formula (I) in which G is $P(=L)R^4R^5$ can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the formula (I) in which G is hydrogen with phosphoryl chlorides of the formula $Hal-P(=L)R^4R^5$.

Inventive compounds of the formula (I) in which G is E can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the formula (I) in which G is hydrogen with metal compounds of the formula $Me(OR^{10})_t$ or with amines. Me therein is a mono- or divalent metal ion, preferably an alkali metal or alkaline earth metal such as lithium, sodium, potassium, magnesium or calcium. The index t

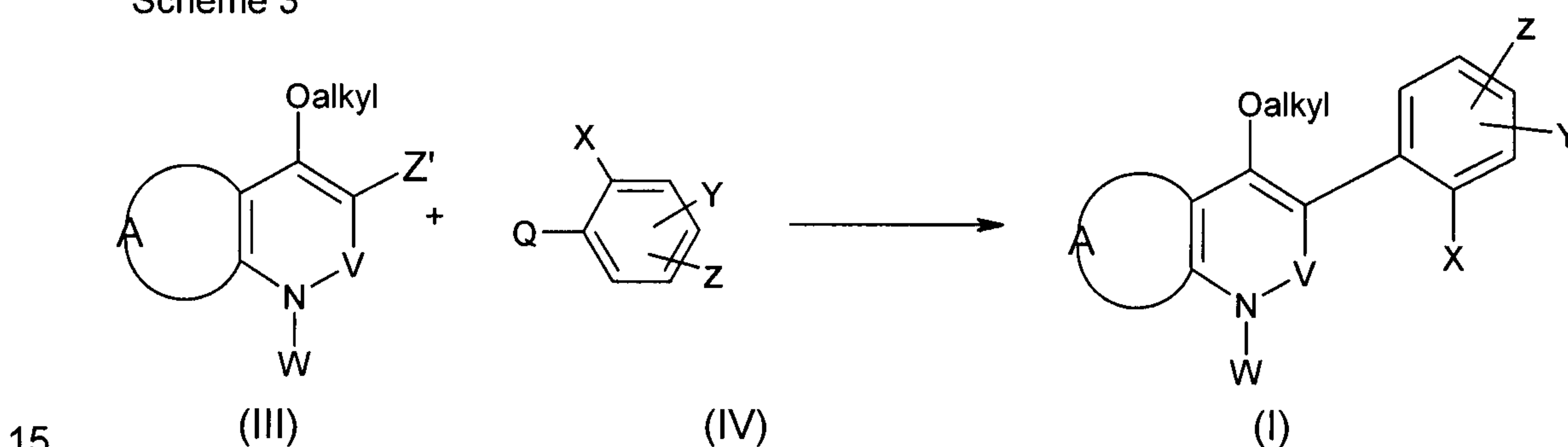
is 1 or 2. An ammonium ion is the NH_4^+ or $\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{R}^{16}\text{N}^+$ group in which R^{13} , R^{14} , R^{15} and R^{16} are preferably each independently (C_1 - C_6)-alkyl or benzyl.

Inventive compounds of the formula (I) in which G is $\text{C}(=\text{L})\text{NR}^6\text{R}^7$ can be prepared, for example, by reactions, known to those skilled in the art, of compounds of the

5 formula (I) in which G is hydrogen with isocyanates or isothiocyanates of the formula $\text{R}^6\text{-N}=\text{C}=\text{L}$ or with carbamoyl chlorides or thiocarbamoyl chlorides of the formula $\text{R}^6\text{R}^7\text{N-C}(=\text{L})\text{Cl}$.

Inventive compounds of the formula (I) in which G is alkyl, preferably methyl, can also be prepared, for example, according to scheme 3, by reactions, known to those
10 skilled in the art, of compounds of the formula (III) with compounds of the formula (IV). Z' therein is bromine or iodine, and Q is a trialkyltin group, a magnesium halide group or preferably a boronic acid or ester thereof. These reactions are typically performed in the presence of a catalyst (e.g. Pd salts or Pd complexes) and in the presence of a base (e.g. sodium carbonate, potassium phosphate).

Scheme 3



Libraries of compounds of the formula (I) and/or salts thereof which can be synthesized by the abovementioned reactions can also be prepared in a parallelized manner, which can be done in a manual, semiautomated or fully automated manner.

20 It is possible, for example, to automate the performance of the reaction, the workup or the purification of the products or intermediates. This is understood overall to mean a procedure as described, for example, by D. Tiebes in Combinatorial Chemistry – Synthesis, Analysis, Screening (editor: Günther Jung), Wiley publishers 1999, on pages 1 to 34.

WO 2012/028582

110

PCT/EP2011/064825

For parallelized reaction performance and workup, it is possible to use a range of commercially available equipment, for example Calypso reaction blocks from Barnstead International, Dubuque, Iowa 52004-0797, USA or reaction stations from Radleys, Shire Hill, Saffron Walden, Essex, CB11 3AZ, England, or MultiPROBE Automated Workstations from Perkin Elmer, Waltham, Massachusetts 02451, USA. For the parallelized purification of compounds of the formula (I) and salts thereof, or of intermediates obtained in the preparation, apparatus available includes chromatography apparatus, for example from ISCO, Inc., 4700 Superior Street, Lincoln, NE 68504, USA.

10

The apparatus detailed leads to a modular procedure, in which the individual steps are automated, but manual operations have to be conducted between the steps. This can be avoided by the use of partly or fully integrated automation systems, in which the particular automation modules are operated, for example, by robots. Such automation systems can be purchased, for example, from Caliper, Hopkinton, MA 01748, USA.

15

The performance of individual or several synthesis steps can be supported by the use of polymer-supported reagents/scavenger resins. The specialist literature describes a multitude of experimental protocols, for example ChemFiles, Vol. 4, No. 1, Polymer-Supported Scavengers and Reagents for Solution-Phase Synthesis (Sigma-Aldrich).

20

In addition to the methods described here, compounds of the formula (I) and salts thereof can be prepared completely or partially by solid phase-supported methods. For this purpose, individual intermediates or all intermediates of the synthesis or of a synthesis matched to the appropriate procedure are bound to a synthesis resin. Solid phase-supported synthesis methods have been described sufficiently in the specialist literature, for example Barry A. Bunin in "The Combinatorial Index", Academic Press publishers, 1998 and Combinatorial Chemistry – Synthesis, Analysis, Screening (editor: Günther Jung), Wiley publishers, 1999. The use of solid phase-supported synthesis methods allows a range of protocols known from the

25

30

WO 2012/028582

111

PCT/EP2011/064825

literature, which can in turn be executed in a manual or automated manner. The reactions can be performed, for example, by means of IRORI technology in microreactors from Nexus Biosystems, 12140 Community Road, Poway, CA92064, USA.

5

Both on the solid phase and in the liquid phase, the performance of individual or several synthesis steps can be supported by the use of microwave technology. The specialist literature describes a range of experimental protocols, for example *Microwaves in Organic and Medicinal Chemistry* (editors: C. O. Kappe and A. Stadler), Wiley publishers, 2005.

10

The preparation by the process described herein affords compounds of the formula (I) and salts thereof in the form of substance libraries. The present invention also provides libraries containing at least two compounds of the formula (I) and salts thereof.

15

The inventive compounds of the formula (I) (and/or salts thereof), referred to hereinafter collectively as "inventive compounds", have excellent herbicidal efficacy against a broad spectrum of economically important mono- and dicotyledonous annual weed plants. The active ingredients also have good control over perennial weed plants which are difficult to control, which produce shoots from rhizomes, rootstocks or other permanent organs.

20

The present invention therefore also provides a method for controlling unwanted plants or for regulating the growth of plants, preferably in crops of plants, in which one or more inventive compound(s) is/are applied to the plants (for example weed plants such as monocotyledonous or dicotyledonous weeds or unwanted crop plants), to the seeds (for example grains, seeds or vegetative propagules such as tubers or shoot parts with buds) or to the area on which the plants grow (for example the area under cultivation). In this context, the inventive compounds can be applied for example pre-planting (if appropriate also by incorporation into the soil), pre-emergence or post-emergence. Examples of individual representatives of the

30

WO 2012/028582

112

PCT/EP2011/064825

monocotyledonous and dicotyledonous weed flora which can be controlled by the inventive compounds will be mentioned, though there is no intention to impose a restriction to particular species mentioned.

- 5 Monocotyledonous weed plants of the genera: Aegilops, Agropyron, Agrostis, Alopecurus, Apera, Avena, Brachiaria, Bromus, Cenchrus, Commelina, Cynodon, Cyperus, Dactyloctenium, Digitaria, Echinochloa, Eleocharis, Eleusine, Eragrostis, Eriochloa, Festuca, Fimbristylis, Heteranthera, Imperata, Ischaemum, Leptochloa, Lolium, Monochoria, Panicum, Paspalum, Phalaris, Phleum, Poa, Rottboellia, 10 Sagittaria, Scirpus, Setaria, Sorghum.

- Dicotyledonous weeds of the genera: Abutilon, Amaranthus, Ambrosia, Anoda, Anthemis, Aphanes, Artemisia, Atriplex, Bellis, Bidens, Capsella, Carduus, Cassia, Centaurea, Chenopodium, Cirsium, Convolvulus, Datura, Desmodium, Emex, 15 Erysimum, Euphorbia, Galeopsis, Galinsoga, Galium, Hibiscus, Ipomoea, Kochia, Lamium, Lepidium, Lindernia, Matricaria, Mentha, Mercurialis, Mullugo, Myosotis, Papaver, Pharbitis, Plantago, Polygonum, Portulaca, Ranunculus, Raphanus, Rorippa, Rotala, Rumex, Salsola, Senecio, Sesbania, Sida, Sinapis, Solanum, Sonchus, Sphenoclea, Stellaria, Taraxacum, Thlaspi, Trifolium, Urtica, Veronica, 20 Viola, Xanthium.

- If the inventive compounds are applied to the soil surface before germination, either the emergence of the weed seedlings is prevented completely or the weeds grow until they have reached the cotyledon stage, but then stop growing and, ultimately, 25 die completely after three to four weeks have passed.

- When the active ingredients are applied post-emergence to the green plant parts, growth stops after the treatment, and the weed plants remain in the growth stage of the time of application or die fully after a certain period of time, so that competition by 30 weeds, which is harmful to the crop plants, is thus eliminated at a very early stage and in a sustained manner.

WO 2012/028582

113

PCT/EP2011/064825

Although the inventive compounds display an outstanding herbicidal activity against monocotyledonous and dicotyledonous weeds, crop plants of economically important crops, for example dicotyledonous crops of the genera *Arachis*, *Beta*, *Brassica*, *Cucumis*, *Cucurbita*, *Helianthus*, *Daucus*, *Glycine*, *Gossypium*, *Ipomoea*, *Lactuca*,
5 *Linum*, *Lycopersicon*, *Nicotiana*, *Phaseolus*, *Pisum*, *Solanum*, *Vicia*, or monocotyledonous crops of the genera *Allium*, *Ananas*, *Asparagus*, *Avena*, *Hordeum*, *Oryza*, *Panicum*, *Saccharum*, *Secale*, *Sorghum*, *Triticale*, *Triticum*, *Zea*, especially *Zea* and *Triticum*, are damaged only to an insignificant extent, if at all, depending on the structure of the particular inventive compound and its application
10 rate. For these reasons, the present compounds are highly suitable for the selective control of unwanted vegetation in plant crops such as agriculturally useful plants or ornamentals.

Moreover, the inventive compounds (depending on their particular structure and the
15 application rate applied) have outstanding growth-regulating properties in crop plants. They engage in the plant's own metabolism in a regulatory manner and can therefore be used for controlled influence of plant constituents and to facilitate harvesting, for example by triggering desiccation and stunted growth. In addition, they are also suitable for general control and inhibition of unwanted vegetative
20 growth without destroying the plants in the process. Inhibition of vegetative growth plays an important role in many monocotyledonous and dicotyledonous crops since, for example, this can reduce or completely prevent lodging.

Owing to their herbicidal and plant growth-regulating properties, the active
25 ingredients can also be used to control weed plants in crops of known genetically modified plants or genetically modified plants which are yet to be developed. The transgenic plants generally feature special advantageous properties, for example resistances to certain pesticides, mainly certain herbicides, resistances to plant diseases or causative organisms of plant diseases, such as certain insects or
30 microorganisms such as fungi, bacteria or viruses. Other special properties relate, for example, to the harvested material with regard to quantity, quality, storability, composition and specific constituents. Thus, transgenic plants with an increased

WO 2012/028582

114

PCT/EP2011/064825

starch content or a modified starch quality or those with a different fatty acid composition of the harvested material are known. Further special properties may be tolerance or resistance to abiotic stressors, for example heat, cold, drought, salt and ultraviolet radiation.

5

Preference is given to employing the inventive compounds of the formula (I) or salts thereof in economically important transgenic crops of useful plants and ornamentals, for example of cereals such as wheat, barley, rye, oats, sorghum and millet, rice, cassava and maize or else crops of sugar beet, cotton, soya bean, oilseed rape,
10 potato, tomato, peas and other vegetables.

The compounds of the formula (I) can preferably be used as herbicides in crops of useful plants which are resistant, or have been made resistant by recombinant means, to the phytotoxic effects of the herbicides.

15

Conventional ways of generating novel plants which have modified properties compared to existing plants are, for example, traditional breeding methods and the generation of mutants. Alternatively, novel plants with modified properties can be generated with the aid of recombinant methods (see, for example, EP 0221044, EP
20 0131624). For example, the following have been described in several cases:

- recombinant modifications of crop plants for the purpose of modifying the starch synthesized in the plants (for example WO 92/011376 A, WO 92/014827 A, WO 91/019806 A),
- transgenic crop plants which are resistant to certain herbicides of the glufosinate
25 type (cf., for example, EP 0242236 A, EP 0242246 A) or of the glyphosate type (WO 92/000377 A) or of the sulfonylurea type (EP 0257993 A, US 5013659), or are resistant to combinations or mixtures of these herbicides by virtue of "gene stacking", such as transgenic crop plants, for example maize or soya with the trade name or the designation OptimumTM GATTM (Glyphosate ALS Tolerant),
- 30 - transgenic crop plants, for example cotton which is capable of producing *Bacillus thuringiensis* toxins (Bt toxins), which make the plants resistant to certain pests (EP 0142924 A, EP 0193259 A),

WO 2012/028582

115

PCT/EP2011/064825

- transgenic crop plants with a modified fatty acid composition (WO 91/013972 A),
- genetically modified crop plants with novel constituents or secondary metabolites, for example novel phytoalexins, which bring about an increased disease resistance (EP 0309862 A, EP 0464461 A),
- 5 - genetically modified plants with reduced photorespiration which feature higher yields and higher stress tolerance (EP 0305398 A),
- transgenic crop plants which produce pharmaceutically or diagnostically important proteins ("molecular pharming"),
- transgenic crop plants which are distinguished by higher yields or better quality,
- 10 - transgenic crop plants which are distinguished by a combination, for example of the abovementioned novel properties ("gene stacking").

A large number of molecular biology techniques by means of which novel transgenic plants with modified properties can be produced are known in principle; see, for
 15 example, I. Potrykus and G. Spangenberg (eds.) Gene Transfer to Plants, Springer Lab Manual (1995), Springer Verlag Berlin, Heidelberg, or Christou, "Trends in Plant Science" 1 (1996) 423-431).

For such recombinant manipulations, it is possible to introduce nucleic acid
 20 molecules into plasmids, which permit a mutagenesis or sequence modification by recombination of DNA sequences. For example, base substitutions can be carried out, part-sequences can be removed, or natural or synthetic sequences may be added with the aid of standard methods. For the bonding of the DNA fragments to one another, it is possible to add adapters or linkers to the fragments; see, for
 25 example, Sambrook et al., 1989, Molecular Cloning, A Laboratory Manual, 2nd ed., Cold Spring Harbor Laboratory Press, Cold Spring Harbor, NY; or Winnacker "Gene und Klone", VCH Weinheim 2nd ed., 1996.

The production of plant cells with a reduced activity for a gene product can be
 30 achieved for example by the expression of at least one corresponding antisense RNA, or of a sense RNA for achieving a cosuppression effect, or by the expression

WO 2012/028582

116

PCT/EP2011/064825

of at least one correspondingly constructed ribozyme which specifically cleaves transcripts of the abovementioned gene product.

To this end, it is possible firstly to use DNA molecules which comprise all of the coding sequence of a gene product, including any flanking sequences which may be present, or else DNA molecules which only comprise parts of the coding sequence, it being necessary for these parts to be long enough to bring about an antisense effect in the cells. It is also possible to use DNA sequences which have a high degree of homology with the coding sequences of a gene product, but which are not entirely identical.

When expressing nucleic acid molecules in plants, the protein synthesized may be localized in any compartment of the plant cell. In order to achieve localization in a particular compartment, however, it is possible for example to link the coding region to DNA sequences which ensure localization in a specific compartment. Such sequences are known to the skilled worker (see, for example, Braun et al., EMBO J. 11 (1992), 3219-3227; Wolter et al., Proc. Natl. Acad. Sci. USA 85 (1988), 846-850; Sonnewald et al., Plant J. 1 (1991), 95-106). The nucleic acid molecules can also be expressed in the organelles of the plant cells.

20

The transgenic plant cells can be regenerated by known techniques to give intact plants. In principle, the transgenic plants may be plants of any plant species, that is to say both monocotyledonous and dicotyledonous plants.

Thus, it is possible to obtain transgenic plants which feature modified properties as the result of overexpression, suppression or inhibition of homologous (= natural) genes or gene sequences or expression of heterologous (= foreign) genes or gene sequences.

The inventive compounds (I) can preferably be used in transgenic crops which are resistant to growth regulators, for example 2,4 D, dicamba, or to herbicides which inhibit essential plant enzymes, for example acetolactate synthases (ALS), EPSP

synthases, glutamine synthases (GS) or hydroxyphenylpyruvate dioxygenases (HPPD), or to herbicides from the group of the sulfonylureas, glyphosates, glufosinates or benzoylisoxazoles and analogous active ingredients, or to any combinations of these active ingredients.

5

The inventive compounds can more preferably be used in transgenic crop plants which are resistant to a combination of glyphosates and glufosinates, glyphosates and sulfonylureas or imidazolinones. It is very particularly preferred to employ the inventive compounds in transgenic crop plants, for example maize or soya, with the trade name or the designation OptimumTM GATTM (Glyphosate ALS Tolerant).

10

When the inventive active ingredients are employed in transgenic crops, effects are frequently observed - in addition to the effects on weed plants which can be observed in other crops - which are specific for the application in the transgenic crop in question, for example a modified or specifically widened spectrum of weeds which can be controlled, modified application rates which may be employed for application, preferably good combinability with the herbicides to which the transgenic crop is resistant, and an effect on growth and yield of the transgenic crop plants.

15

The invention therefore also provides for the use of the inventive compounds of the formula (I) as herbicides for controlling weed plants in transgenic crop plants.

20

The inventive compounds can be used in the form of wettable powders, emulsifiable concentrates, sprayable solutions, dusting products or granules in the customary formulations. The invention therefore also provides herbicidal and plant growth-regulating compositions which comprise the inventive compounds.

25

The inventive compounds can be formulated in various ways according to which biological and/or physicochemical parameters are required. Possible formulations include, for example: wettable powders (WP), water-soluble powders (SP), water-soluble concentrates, emulsifiable concentrates (EC), emulsions (EW) such as oil-in-water and water-in-oil emulsions, sprayable solutions, suspension concentrates

30

WO 2012/028582

118

PCT/EP2011/064825

(SC), oil- or water-based dispersions, oil-miscible solutions, capsule suspensions (CS), dusting products (DP), seed-dressing products, granules for scattering and soil application, granules (GR) in the form of microgranules, spray granules, coated granules and adsorption granules, water-dispersible granules (WG), water-soluble granules (SG), ULV formulations, microcapsules and waxes.

These individual formulation types are known in principle and are described, for example, in: Winnacker-Küchler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hanser Verlag Munich, 4th Ed. 1986; Wade van Valkenburg, "Pesticide Formulations", Marcel Dekker, N.Y., 1973; K. Martens, "Spray Drying" Handbook, 3rd Ed. 1979, G. Goodwin Ltd. London.

The necessary formulation assistants, such as inert materials, surfactants, solvents and further additives, are likewise known and are described, for example, in: Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Darland Books, Caldwell N.J., H.v. Olphen, "Introduction to Clay Colloid Chemistry", 2nd Ed., J. Wiley & Sons, N.Y.; C. Marsden, "Solvents Guide", 2nd Ed., Interscience, N.Y. 1963; McCutcheon's "Detergents and Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte" [Interface-Active Ethylene Oxide Adducts], Wiss. Verlagsgesell., Stuttgart 1976; Winnacker-Küchler, "Chemische Technologie", Volume 7, C. Hanser Verlag Munich, 4th Ed. 1986.

Based on these formulations, it is also possible to prepare combinations with other pesticidally active substances, for example, insecticides, acaricides, herbicides, fungicides, and also with safeners, fertilizers and/or growth regulators, for example in the form of a finished formulation or as a tankmix. Suitable safeners are, for example, mefenpyr-diethyl, cyprosulfamide, isoxadifen-ethyl, cloquintocet-mexyl and dichlormid.

Wettable powders are preparations which can be dispersed uniformly in water and, as well as the active ingredient, apart from a diluent or inert substance, also

WO 2012/028582

119

PCT/EP2011/064825

comprise surfactants of the ionic and/or nonionic type (wetting agents, dispersants), for example polyoxyethylated alkylphenols, polyoxyethylated fatty alcohols, polyoxyethylated fatty amines, fatty alcohol polyglycol ether sulfates, alkanesulfonates, alkylbenzenesulfonates, sodium lignosulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutyl-naphthalenesulfonate or else sodium oleylmethyltauride. To prepare the wettable powders, the active herbicidal ingredients are ground finely, for example in customary apparatus such as hammer mills, blower mills and air-jet mills and simultaneously or subsequently mixed with the formulation assistants.

10

Emulsifiable concentrates are prepared by dissolving the active ingredient in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or else relatively high-boiling aromatics or hydrocarbons or mixtures of the organic solvents with addition of one or more surfactants of the ionic and/or nonionic type (emulsifiers). The emulsifiers used may, for example, be: calcium alkylarylsulfonates such as calcium dodecylbenzenesulfonate, or nonionic emulsifiers such as fatty acid polyglycol esters, alkylaryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide-ethylene oxide condensation products, alkyl polyethers, sorbitan esters, for example sorbitan fatty acid esters, or polyoxyethylene sorbitan esters, for example polyoxyethylene sorbitan fatty acid esters.

15

20

Dusting products are obtained by grinding the active ingredient with finely divided solid substances, for example talc, natural clays such as kaolin, bentonite and pyrophyllite, or diatomaceous earth.

25

Suspension concentrates may be water- or oil-based. They may be prepared, for example, by wet grinding by means of commercial bead mills and optional addition of surfactants as have, for example, already been listed above for the other formulation types.

30

Emulsions, for example oil-in-water emulsions (EW), can be prepared, for example, by means of stirrers, colloid mills and/or static mixers using aqueous organic

WO 2012/028582

120

PCT/EP2011/064825

solvents and optionally surfactants, as have, for example, already been listed above for the other formulation types.

5 Granules can be produced either by spraying the active ingredient onto adsorptive granulated inert material or by applying active ingredient concentrates by means of adhesives, for example polyvinyl alcohol, sodium polyacrylate or else mineral oils, onto the surface of carriers such as sand, kaolinites or of granulated inert material. It is also possible to granulate suitable active ingredients in the manner customary for the production of fertilizer granules – if desired in a mixture with fertilizers.

10

Water-dispersible granules are prepared generally by the customary processes such as spray-drying, fluidized bed granulation, pan granulation, mixing with high-speed mixers and extrusion without solid inert material.

15 For the production of pan, fluidized bed, extruder and spray granules, see, for example, processes in "Spray-Drying Handbook" 3rd ed. 1979, G. Goodwin Ltd., London; J.E. Browning, "Agglomeration", Chemical and Engineering 1967, pages 147 ff; "Perry's Chemical Engineer's Handbook", 5th Ed., McGraw-Hill, New York 1973, pp. 8-57.

20

For further details regarding the formulation of crop protection compositions, see, for example, G.C. Klingman, "Weed Control as a Science", John Wiley and Sons, Inc., New York, 1961, pages 81-96 and J.D. Freyer, S.A. Evans, "Weed Control Handbook", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pages 101-103.

25

The agrochemical formulations contain generally 0.1 to 99% by weight, especially 0.1 to 95% by weight, of inventive compounds.

In wettable powders, the active ingredient concentration is, for example, about 10 to 90% by weight; the remainder to 100% by weight consists of customary formulation constituents. In the case of emulsifiable concentrates, the active ingredient concentration may be about 1 to 90% by weight, preferably 5 to 80% by weight. Dust-type formulations contain 1 to 30% by weight of active ingredient, preferably

30

WO 2012/028582

121

PCT/EP2011/064825

usually 5 to 20% by weight of active ingredient; sprayable solutions contain about 0.05 to 80% by weight, preferably 2 to 50% by weight of active ingredient. In water-dispersible granules, the active ingredient content depends partly on whether the active compound is present in solid or liquid form and which granulation assistants, fillers, etc. are used. In the granules dispersible in water, the content of active ingredient is, for example, between 1 and 95% by weight, preferably between 10 and 80% by weight.

In addition, the active ingredient formulations mentioned optionally comprise the respective customary adhesives, wetting agents, dispersants, emulsifiers, penetrants, preservatives, antifreezes and solvents, fillers, carriers and dyes, defoamers, evaporation inhibitors and agents which influence the pH and the viscosity.

Based on these formulations, it is also possible to prepare combinations with other pesticidally active substances, for example insecticides, acaricides, herbicides, fungicides, and also with safeners, fertilizers and/or growth regulators, for example in the form of a finished formulation or as a tankmix.

Usable combination partners for the inventive compounds in mixture formulations or in tankmixes are, for example, known active ingredients based on inhibition of, for example, acetolactate synthase, acetyl-CoA carboxylase, cellulose synthase, enolpyruvylshikimate-3-phosphate synthase, glutamine synthetase, p-hydroxyphenylpyruvate dioxygenase, phytoendesaturase, photosystem I, photosystem II, protoporphyrinogen oxidase, as described, for example, in Weed Research 26 (1986) 441-445 or "The Pesticide Manual", 15th edition, The British Crop Protection Council and the Royal Soc. of Chemistry, 2009 and literature cited therein. Known herbicides or plant growth regulators which can be combined with the inventive compounds include, for example, the following active ingredients (the compounds are referred to by the common name according to the International Organization for Standardization (ISO) or by the chemical name or by the code number) and always include all use forms, such as acids, salts, esters and isomers

such as stereoisomers and optical isomers. By way of example, one and in some cases even several use forms are mentioned:

acetochlor, acibenzolar, acibenzolar-S-methyl, acifluorfen, acifluorfen-sodium,
 5 aclonifen, alachlor, allidochlor, alloxymid, alloxymid-sodium, ametryn, amicarbazone,
 amidochlor, amidosulfuron, aminocyclopyrachlor, aminopyralid, amitrole,
 ammoniumsulfamat, ancymidol, anilofos, asulam, atrazine, azafenidin, azimsulfuron,
 aziprotryn, beflubutamid, benazolin, benazolin-ethyl, bencarbazone, benfluralin,
 benfuresate, bensulide, bensulfuron, bensulfuron-methyl, bentazone, benzfendizone,
 10 benzobicyclon, benzofenap, benzofluor, benzoylprop, bicyclopyrone, bifenox,
 bilanafos, bilanafos-sodium, bispyribac, bispyribac-sodium, bromacil, bromobutide,
 bromofenoxim, bromoxynil, bromuron, buminafos, busoxinone, butachlor,
 butafenacil, butamifos, butenachlor, butralin, butroxydim, butylate, cafenstrole,
 carbetamide, carfentrazone, carfentrazone-ethyl, chlomethoxyfen, chloramben,
 15 chlorazifop, chlorazifop-butyl, chlorbromuron, chlorbufam, chlorfenac, chlorfenac-
 sodium, chlorfenprop, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron,
 chlorimuron-ethyl, chlormequat chloride, chlornitrofen, chlorophthalim, chlorthal-
 dimethyl, chlorotoluron, chlorsulfuron, cinidon, cinidon-ethyl, cinmethylin,
 cinosulfuron, clethodim, clodinafop, clodinafop-propargyl, clofencet, clomazone,
 20 clomeprop, cloprop, clopyralid, cloransulam, cloransulam-methyl, cumyluron,
 cyanamide, cyanazine, cyclanilide, cycloate, cyclosulfamuron, cycloxydim, cycluron,
 cyhalofop, cyhalofop-butyl, cyperquat, cyprazine, cyprazole, 2,4-D, 2,4-DB,
 daimuron/dymron, dalapon, daminozide, dazomet, n-decanol, desmedipham,
 desmetryn, detosyl pyrazolate (DTP), diallate, dicamba, dichlobenil, dichlorprop,
 25 dichlorprop-P, diclofop, diclofop-methyl, diclofop-P-methyl, diclosulam, diethatyl,
 diethatyl-ethyl, difenoxuron, difenzoquat, diflufenican, diflufenzopyr, diflufenzopyr-
 sodium, dimefuron, dikegulac-sodium, dimefuron, dimepiperate, dimethachlor,
 dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimetrasulfuron,
 dinitramine, dinoseb, dinoterb, diphenamid, dipropetryn, diquat, diquat-dibromide,
 30 dithiopyr, diuron, DNOC, eglinazine-ethyl, endothal, EPTC, esprocarb, ethalfluralin,
 ethametsulfuron, ethametsulfuron-methyl, ethephon, ethidimuron, ethiozin,
 ethofumesate, ethoxyfen, ethoxyfen-ethyl, ethoxysulfuron, etobenzanid, F-5331, i.e.

WO 2012/028582

123

PCT/EP2011/064825

N-[2-chloro-4-fluoro-5-[4-(3-fluoropropyl)-4,5-dihydro-5-oxo-1H-tetrazol-1-yl]phenyl]-ethanesulfonamide, F-7967, i.e. 3-[7-chloro-5-fluoro-2-(trifluoromethyl)-1H-benzimidazol-4-yl]-1-methyl-6-(trifluoromethyl)pyrimidine-2,4(1H,3H)-dione, fenoprop, fenoxaprop, fenoxaprop-P, fenoxaprop-ethyl, fenoxaprop-P-ethyl,

5 fenoxasulfone, fentrazamide, fenuron, flamprop, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, florasulam, fluazifop, fluazifop-P, fluazifop-butyl, fluazifop-P-butyl, fluazolate, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flufenacet (thiafluamide), flufenpyr, flufenpyr-ethyl, flumetralin, flumetsulam, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, fluometuron, fluorodifen,

10 fluoroglycofen, fluoroglycofen-ethyl, flupoxam, flupropacil, flupropanate, flupyrsulfuron, flupyrsulfuron-methyl-sodium, flurenol, flurenol-butyl, fluridone, flurochloridone, fluroxypyr, fluroxypyr-meptyl, flurprimidol, flurtamone, fluthiacet, fluthiacet-methyl, fluthiamide, fomesafen, foramsulfuron, forchlorfenuron, fosamine, furyloxyfen, gibberellic acid, glufosinate, glufosinate-ammonium, glufosinate-P,

15 glufosinate-P-ammonium, glufosinate-P-sodium, glyphosate, glyphosate-isopropylammonium, H-9201, i.e. O-(2,4-dimethyl-6-nitrophenyl) O-ethyl isopropylphosphoramidothioate, halosafen, halosulfuron, halosulfuron-methyl, haloxyfop, haloxyfop-P, haloxyfop-ethoxyethyl, haloxyfop-P-ethoxyethyl, haloxyfop-methyl, haloxyfop-P-methyl, hexazinone, HW-02, i.e. 1-(dimethoxyphosphoryl)ethyl

20 (2,4-dichlorophenoxy)acetate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazethapyr, imazethapyr-ammonium, imazosulfuron, inabenfide, indanofan, indaziflam, indoleacetic acid (IAA), 4-indol-3-ylbutyric acid (IBA), iodosulfuron, iodosulfuron-methyl-sodium,

25 ioxynil, ipfencarbazone, isocarbamid, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole, isoxaflutole, isoxapyrifop, KUH-043, i.e. 3-([5-(difluoromethyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]methyl)sulfonyl)-5,5-dimethyl-4,5-dihydro-1,2-oxazole, karbutilate, ketospiradox, lactofen, lenacil, linuron, maleic hydrazide, MCPA, MCPB, MCPB-methyl, -ethyl and -sodium, mecoprop, mecoprop-sodium,

30 mecoprop-butotyl, mecoprop-P-butotyl, mecoprop-P-dimethylammonium, mecoprop-P-2-ethylhexyl, mecoprop-P-potassium, mefenacet, mefluidide, mepiquat chloride, mesosulfuron, mesosulfuron-methyl, mesotrione, methabenzthiazuron, metam,

WO 2012/028582

124

PCT/EP2011/064825

metamifop, metamitron, metazachlor, metazasulfuron, methazole, methiopyrsulfuron,
 methiozolin, methoxyphenone, methyldymron, 1-methylcyclopropene, methyl
 isothiocyanate, metobenzuron, metobromuron, metolachlor, S-metolachlor,
 metosulam, metoxuron, metribuzin, metsulfuron, metsulfuron-methyl, molinate,
 5 monalide, monocarbamide, monocarbamide dihydrogensulfate, monolinuron,
 monosulfuron, monosulfuron ester, monuron, MT-128, i.e. 6-chloro-N-[(2E)-3-
 chloroprop-2-en-1-yl]-5-methyl-N-phenylpyridazin-3-amine, MT-5950, i.e. N-[3-
 chloro-4-(1-methylethyl)-phenyl]-2-methylpentanamide, NGGC-011, naproanilide,
 napropamide, naptalam, NC-310, i.e. 4-(2,4-dichlorobenzoyl)-1-methyl-5-
 10 benzyloxypyrazole, neburon, nicosulfuron, nipyraclufen, nitralin, nitrofen,
 nitrophenolate sodium (isomer mixture), nitrofluorfen, nonanoic acid, norflurazon,
 orbencarb, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon, oxasulfuron,
 oxaziclomefone, oxyfluorfen, paclobutrazol, paraquat, paraquat dichloride,
 pelargonic acid (nonanoic acid), pendimethalin, pendralin, penoxsulam,
 15 pentanochlor, pentoxazone, perfluidone, pethoxamid, phenisopham, phenmedipham,
 phenmedipham-ethyl, picloram, picolinafen, pinoxaden, piperophos, pirifenop,
 pirifenop-butyl, pretilachlor, primisulfuron, primisulfuron-methyl, probenazole,
 profluazol, procyazine, prodiamine, prifluraline, profoxydim, prohexadione,
 prohexadione-calcium, prohydrojasnone, prometon, prometryn, propachlor, propanil,
 20 propaquizafop, propazine, propham, propisochlor, propoxycarbazone,
 propoxycarbazone-sodium, propyrisulfuron, propyzamide, prosulfalin, prosulfocarb,
 prosulfuron, prynachlor, pyraclonil, pyraflufen, pyraflufen-ethyl, pyrasulfotole,
 pyrazolynate (pyrazolate), pyrazosulfuron, pyrazosulfuron-ethyl, pyrazoxyfen,
 pyribambenz, pyribambenz-isopropyl, pyribambenz-propyl, pyribenzoxim,
 25 pyributicarb, pyridafol, pyridate, pyriftalid, pyriminobac, pyriminobac-methyl,
 pyrimisulfan, pyrithiobac, pyrithiobac-sodium, pyroxasulfone, pyroxsulam, quinclorac,
 quinmerac, quinoclamine, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-
 ethyl, quizalofop-P-tefuryl, rimsulfuron, saflufenacil, secbumeton, sethoxydim,
 siduron, simazine, simetryn, SN-106279, i.e. methyl (2R)-2-({7-[2-chloro-4-
 30 (trifluoromethyl)phenoxy]-2-naphthyl}oxy)propanoate, sulcotrione, sulfallate (CDEC),
 sulfentrazone, sulfometuron, sulfometuron-methyl, sulfosate (glyphosate-trimesium),
 sulfosulfuron, SYN-523, SYP-249, i.e. 1-ethoxy-3-methyl-1-oxobut-3-en-2-yl 5-[2-

WO 2012/028582

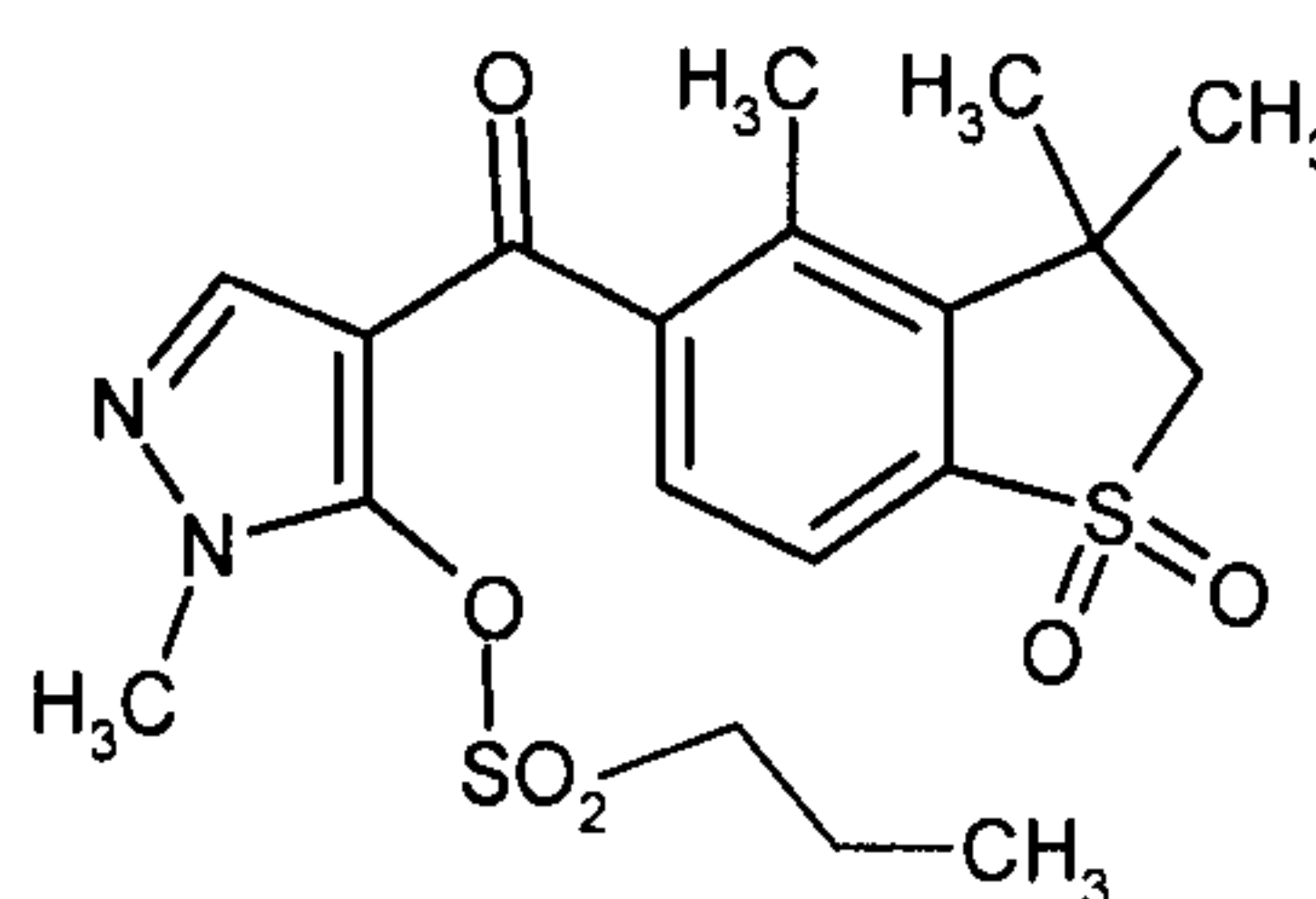
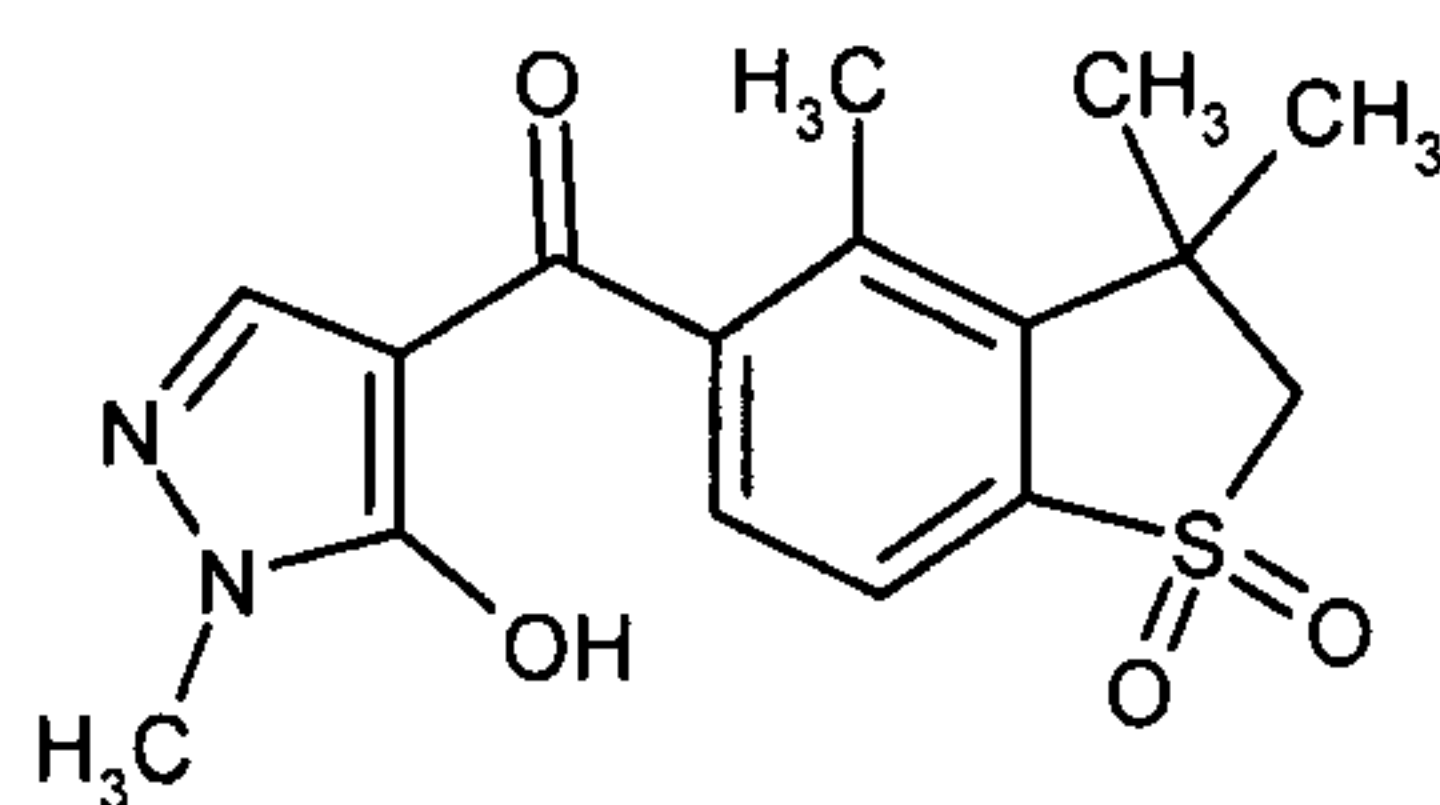
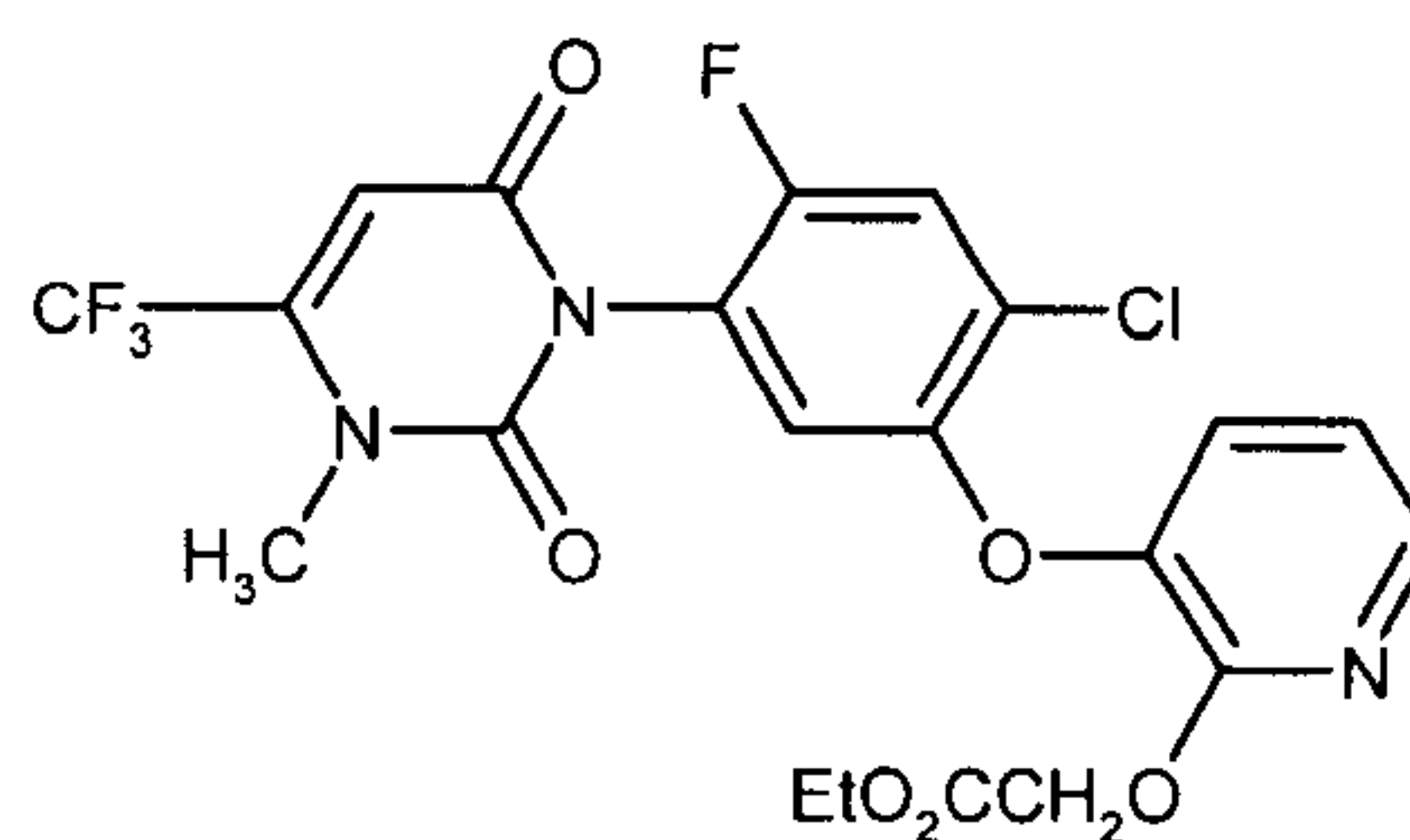
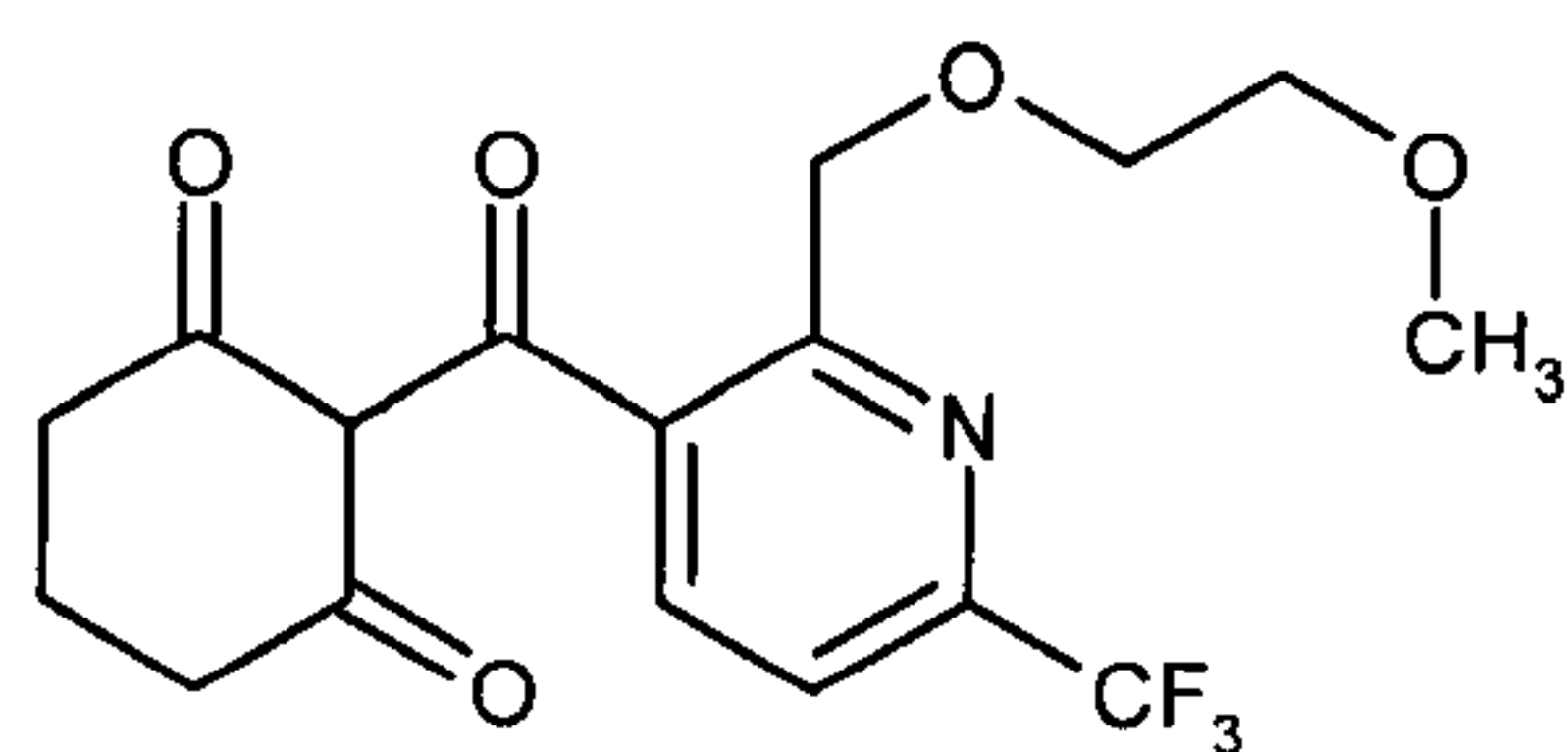
125

PCT/EP2011/064825

chloro-4-(trifluoromethyl)phenoxy]-2-nitrobenzoate, SYP-300, i.e. 1-[7-fluoro-3-oxo-4-(prop-2-yn-1-yl)-3,4-dihydro-2H-1,4-benzoxazin-6-yl]-3-propyl-2-thioxoimidazolidine-4,5-dione, tebutam, tebuthiuron, tecnazene, tefuryltrione, tembotrione, tepraloxydim, terbacil, terbucarb, terbuchlor, terbumeton, terbuthylazine, terbutryn, thenylchlor,

5 thiafluamide, thiazafluron, thiazopyr, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thiobencarb, tiocarbazil, topramezone, tralkoxydim, triallate, triasulfuron, triaziflam, triazofenamide, tribenuron, tribenuron-methyl, trichloroacetic acid (TCA), triclopyr, tridiphane, trietazine, trifloxysulfuron, trifloxysulfuron-sodium, trifluralin, triflusulfuron,

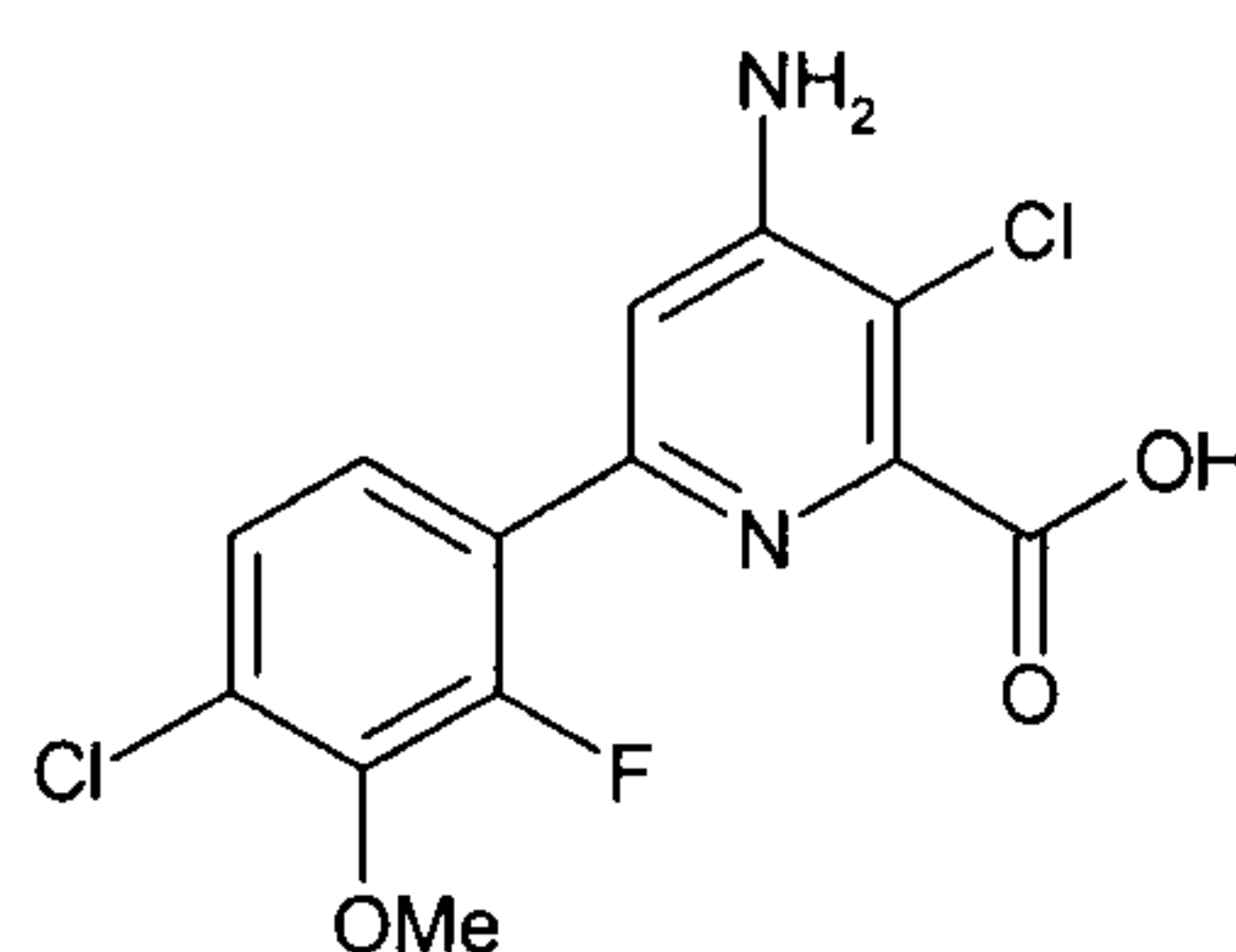
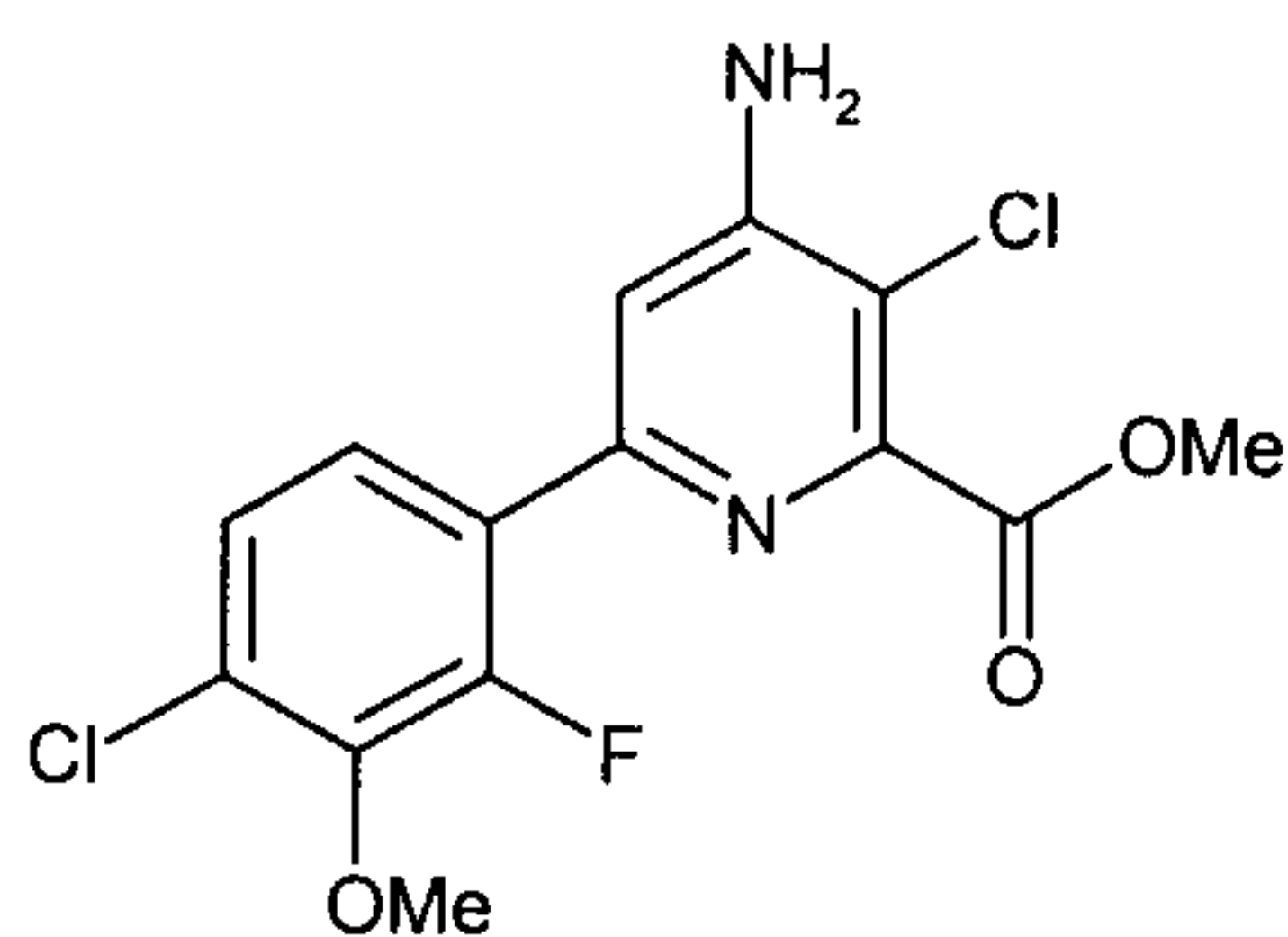
10 triflusulfuron-methyl, trimeturon, trinexapac, trinexapac-ethyl, tritosulfuron, tsitodef, uniconazole, uniconazole-P, vernolate, ZJ-0862, i.e. 3,4-dichloro-N-{2-[(4,6-dimethoxypyrimidin-2-yl)oxy]benzyl}aniline, and the following compounds:



WO 2012/028582

126

PCT/EP2011/064825



For use, the formulations present in commercially available form are optionally diluted in a customary manner, for example in the case of wettable powders, emulsifiable concentrates, dispersions and water-dispersible granules by means of water. Dust formulations, soil granules and granules for broadcasting, and also sprayable solutions, are typically not diluted with any further inert substances before use.

- 10 The required application rate of the compounds of the formula (I) varies with the outside conditions, such as temperature, humidity, the type of herbicide used, among other factors. It can vary within wide limits, for example between 0.001 and 1.0 kg/ha or more of active substance, but is preferably between 0.005 and 750 g/ha.
- 15 The examples which follow illustrate the invention in detail.

A. Chemical examples

1. Preparation of 4-allyl-7-hydroxy-6-mesityl[1,3]thiazolo[4,5-b]pyridin-5(4H)-one (compound No. I-a-2):

1.8 g (5 mmol) of methyl 4-[allyl(mesitylacetyl)amino]-1,3-thiazole-5-carboxylate were initially charged in 5 ml of N,N-dimethylformamide and cooled to 0°C. 1.5 eq of sodium hydride (60%) were added and allowed to warm up gradually to room temperature (RT). After stirring at RT for one hour, 5 ml of water were added and the mixture was acidified to pH 1-2. The precipitate formed was filtered off with suction. 1.5 g of inventive compound I-a-2 were thus obtained.

WO 2012/028582

127

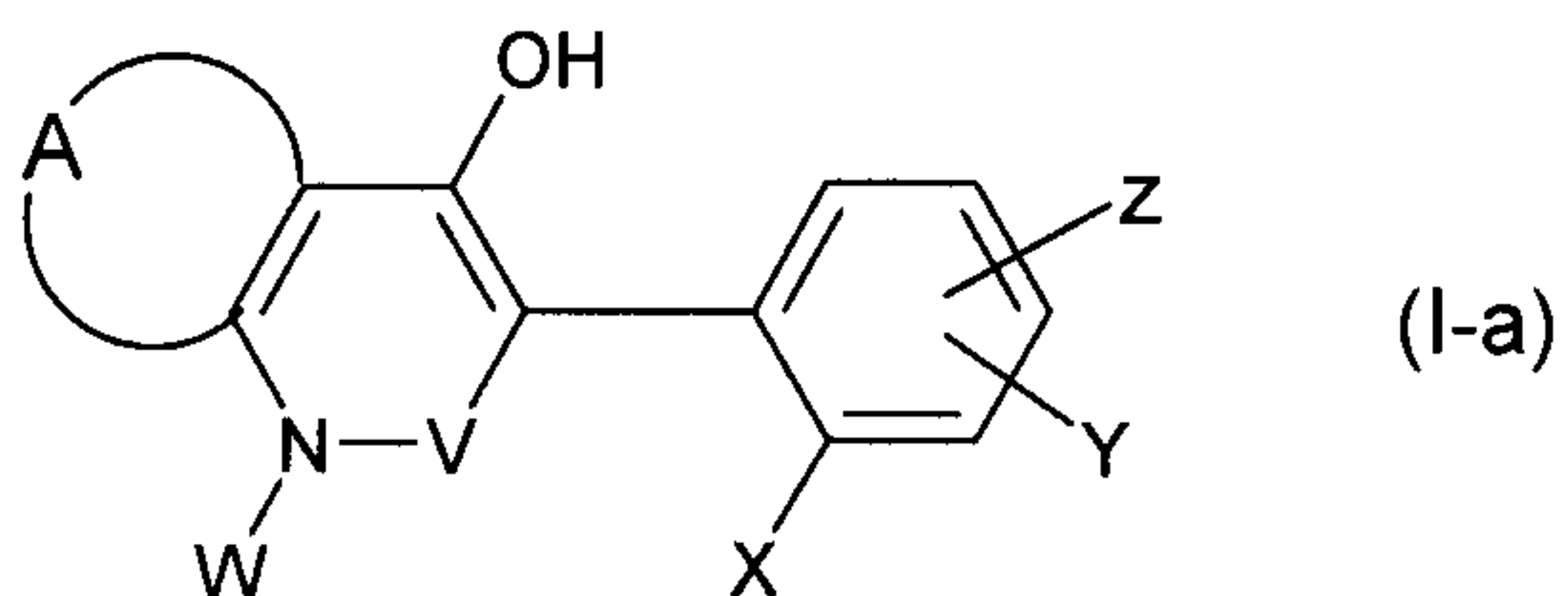
PCT/EP2011/064825

2. Preparation of 1-(2,2-difluoroethyl)-3-(2-iodophenyl)-1H-[1,3]thiazolo[4,5-c][1,2]thiazin-4-ol 2,2-dioxide (compound No. I-a-14)

2.0 g (4 mmol) of methyl 4-[(2,2-difluoroethyl)[(2-iodobenzyl)sulfonyl]amino]-1,3-thiazole-5-carboxylate were dissolved in 25 ml of dimethylformamide and cooled to 0°C, and 143.3 mg (6 mmol) of sodium hydride were added. After addition, the mixture was warmed to RT and stirred for 12 h. Thereafter, the reaction mixture was poured onto 100 ml of water and brought to pH 4-5 with 2N HCl. The precipitate formed was filtered off and washed with water. This gave 1.7 g of compound I-a-14.

10 In analogy to the preparation of compounds No. I-a-2 and No. I-a-14 and according to the general details regarding the preparation, the following compounds of the formula (I-a) are obtained:

Table 229: Inventive compounds of the formula (I) in which G is hydrogen:

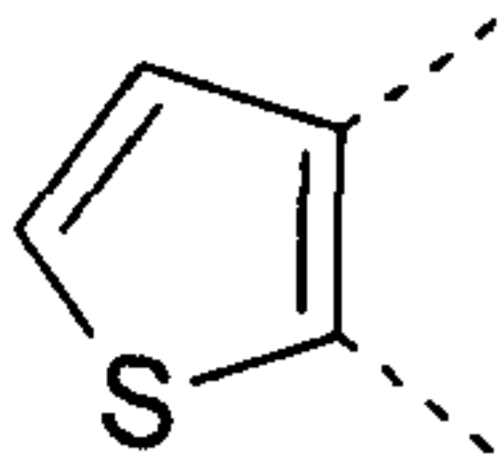
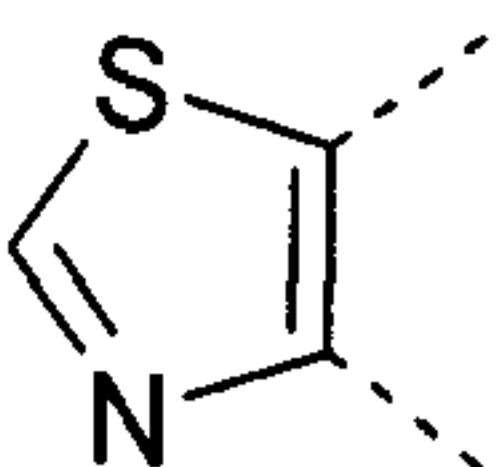
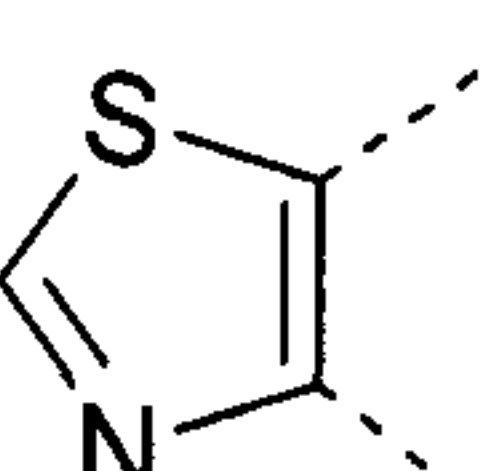
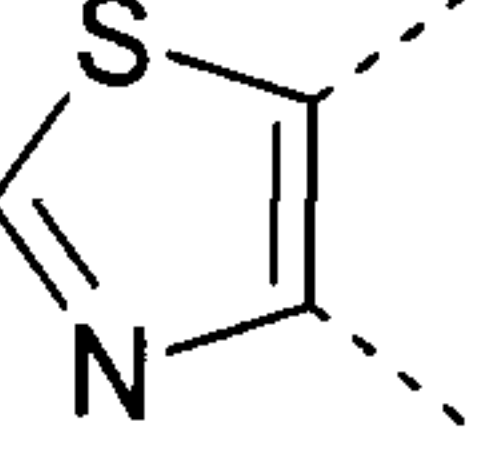
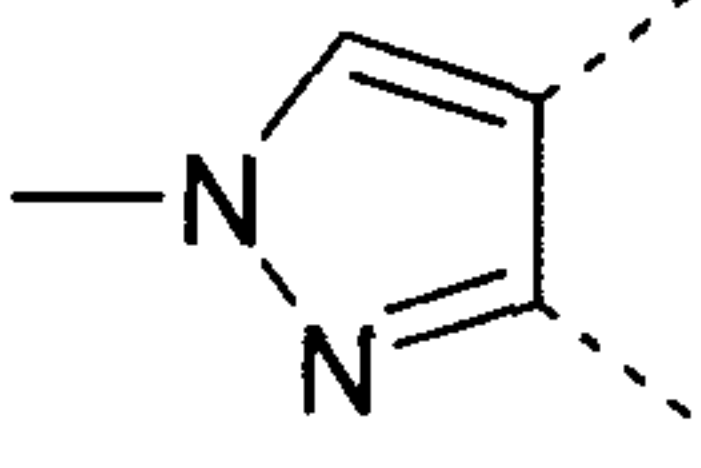
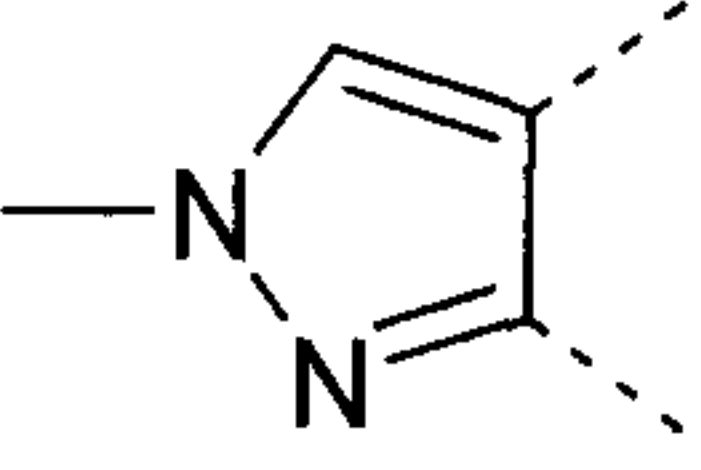
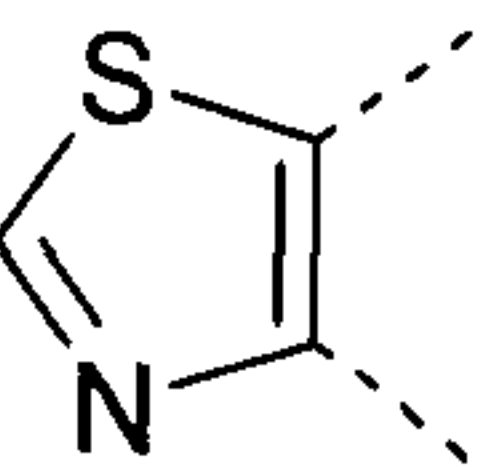
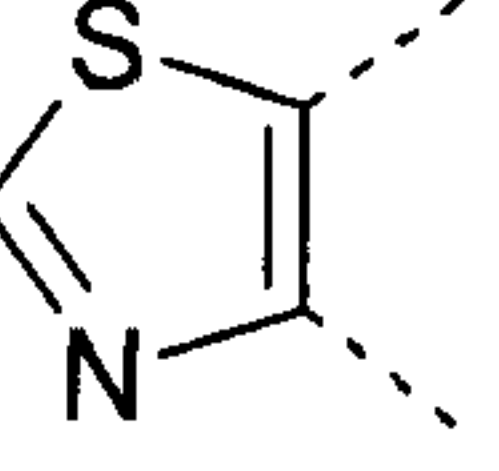
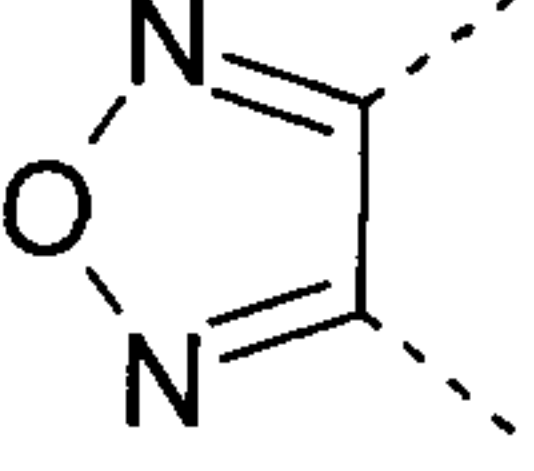


No.	A	V	W	X	Y	Z	Analytical data
I-a-1		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 9.06 (s, 1H); 7.57 (d, 1H); 7.39 (d, 1H); 7.36 (dd, 1H); 6.14 (tt, 1H); 6.00 (s, 1H); 4.53 (m, 2H)
I-a-2		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.6 (bs, 1H), 9.31 (s, 1H), 6.90 (s, 2H), 5.96 (m, 1H), 5.07 (m, 1H), 4.90 (m, 3H), 2.27 (s, 3H), 1.97 (s, 6H)
I-a-3		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.48 (m, 2H); 7.38 (dd, 1H); 7.19 (s, 1H); 6.12 (tt, 1H); 3.94 (m, 2H)
I-a-4		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.34 (bs, 1H), 7.63 (d, 1H), 7.38 (d, 1H), 6.32 (m, 1H), 4.67 (m, 2H), 2.81 (s, 3H), 2.38 (m, 2H), 1.00 (t, 3H)
I-a-5		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	¹ H NMR (400 MHz, CDCl ₃): 7.95 (s, 1H); 7.80 (d, 1H); 7.60 (m, 2H); 7.26 (s, 1H); 6.16 (tt, 1H); 5.61 (s, 1H); 4.27 (m, 2H); 3.93 (s, 3H)
I-a-6		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	¹ H NMR (400 MHz, CDCl ₃): 7.84 (d, 1H); 7.66 (m, 3H); 7.24 (s, 1H); 6.11 (tt, 1H); 3.93 (m, 2H)
I-a-7		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H); 7.55 (d, 1H); 7.33 (m, 2H); 6.15 (tt, 1H); 5.84 (s, 1H); 4.25 (m, 2H); 3.93 (s, 3H)

WO 2012/028582

129

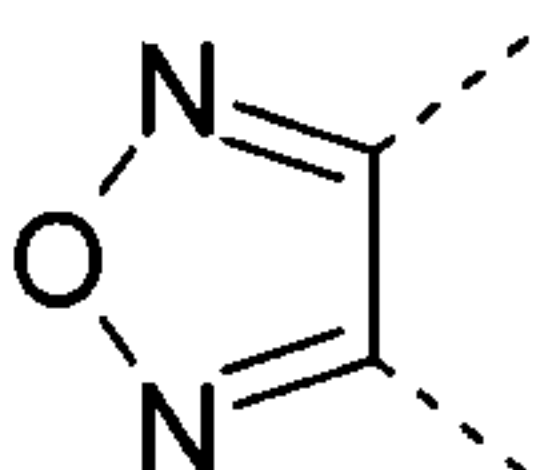
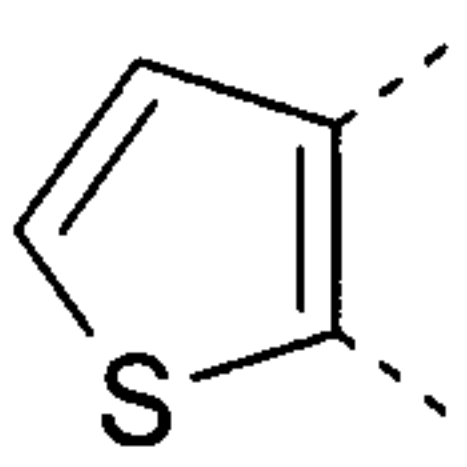
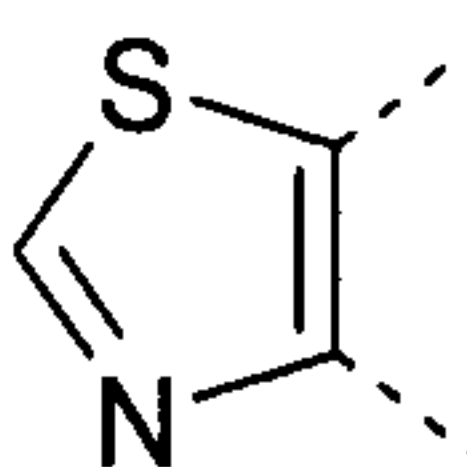
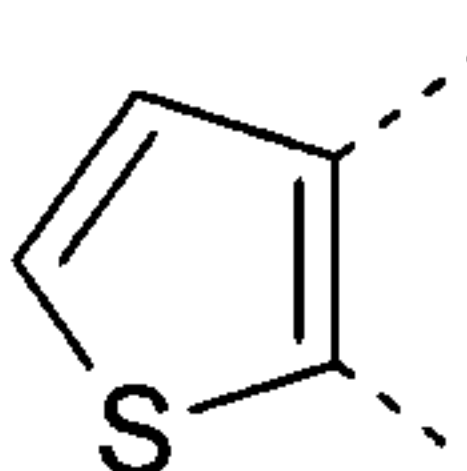
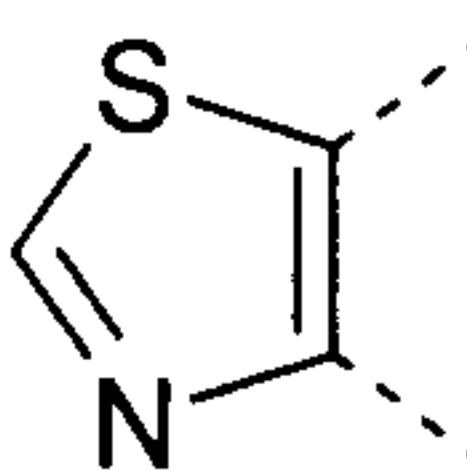
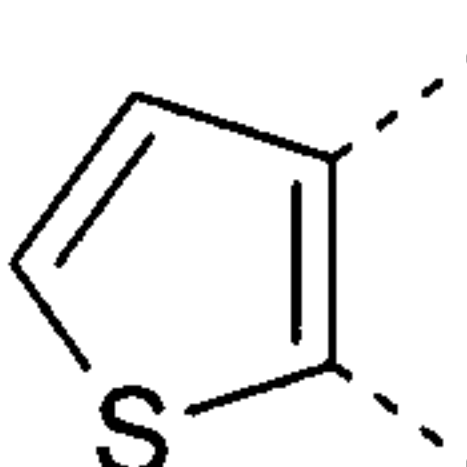
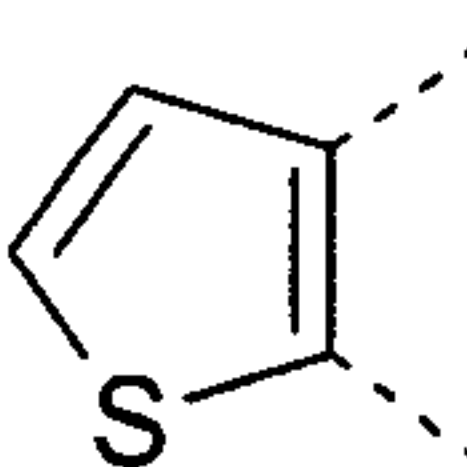
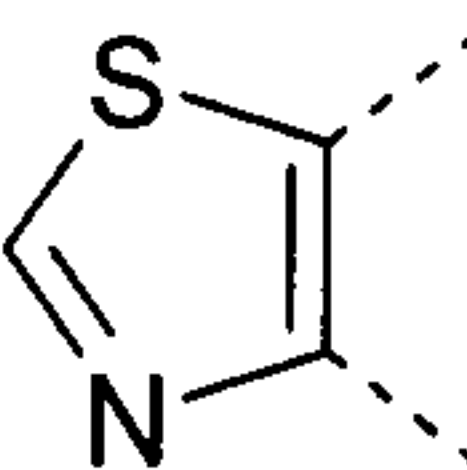
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-8		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 10.8 (bs, 1H), 7.53 (m, 3H), 7.38 (t, 1H), 7.28 (d, 1H), 3.53 (s, 3H)
I-a-9		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 9.05 (s, 1H); 7.50 (d, 1H); 7.43 (d, 1H); 7.37 (t, 1H); 6.24 (s, 1H); 6.20 (tt, 1H); 4.49 (m, 2H)
I-a-10		SO ₂	CH ₂ CF ₃	CF ₃	H	H	¹ H NMR (400 MHz, CDCl ₃): 9.07 (s, 1H); 7.83 (d, 1H); 7.65 (m, 3H); 5.79 (s, 1H); 4.83 (m, 2H)
I-a-11		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	¹ H NMR (400 MHz, CDCl ₃): 9.06 (s, 1H); 7.83 (d, 1H); 7.64 (m, 3H); 6.15 (tt, 1H); 5.75 (s, 1H); 4.52 (m, 2H)
I-a-12		SO ₂	CH ₂ CHF ₂	I	H	H	¹ H NMR (400 MHz, CDCl ₃): 7.97 (m, 2H); 7.39 (m, 2H); 7.14 (m, 1H); 6.15 (tt, 1H); 5.88 (s, 1H); 4.25 (m, 2H); 3.92 (s, 3H)
I-a-13		SO ₂	CH ₂ CF ₃	I	H	H	¹ H NMR (400 MHz, CDCl ₃): 7.98 (m, 2H); 7.39 (m, 2H); 7.13 (m, 1H); 5.92 (s, 1H); 4.54 (q, 2H); 3.94 (s, 3H)
I-a-14		SO ₂	CH ₂ CHF ₂	I	H	H	¹ H NMR (400 MHz, CDCl ₃): 9.06 (s, 1H); 7.99 (d, 1H); 7.42 (m, 2H); 7.18 (m, 1H); 6.14 (tt, 1H); 6.04 (s, 1H); 4.53 (m, 2H)
I-a-15		SO ₂	CH ₂ CF ₃	I	H	H	¹ H NMR (400 MHz, CDCl ₃): 9.06 (s, 1H); 7.99 (d, 1H); 7.43 (m, 2H); 7.18 (m, 1H); 6.09 (s, 1H); 4.82 (m, 2H)
I-a-16		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.48 (m, 2H), 7.38 (t, 1H), 3.58 (s, 3H)

WO 2012/028582

130

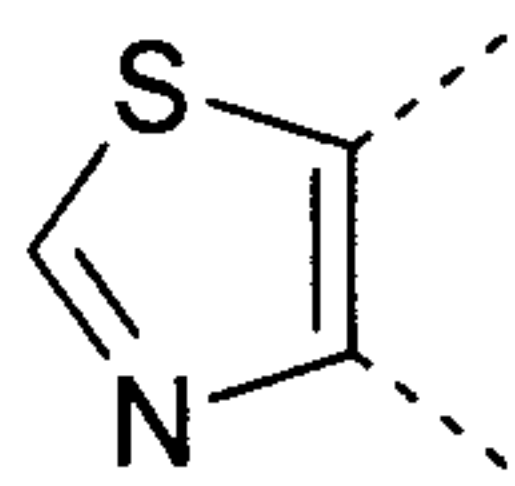
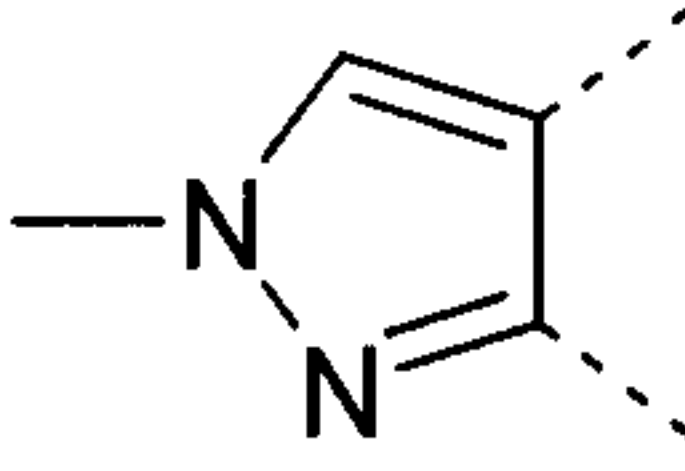
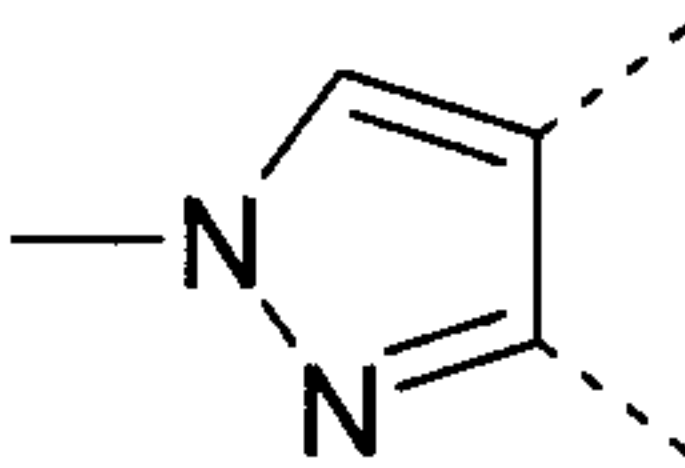
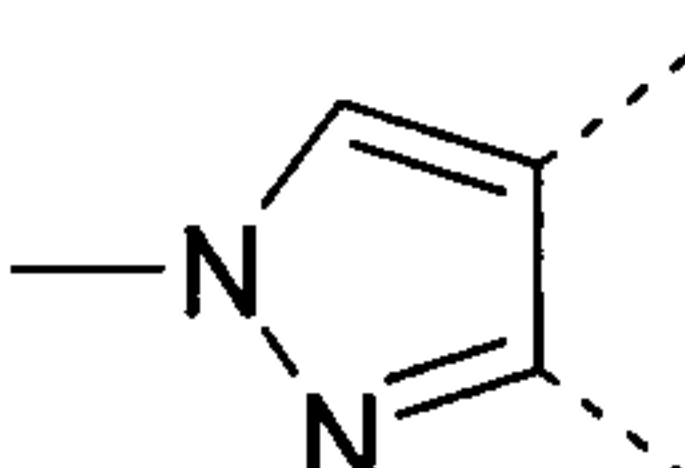
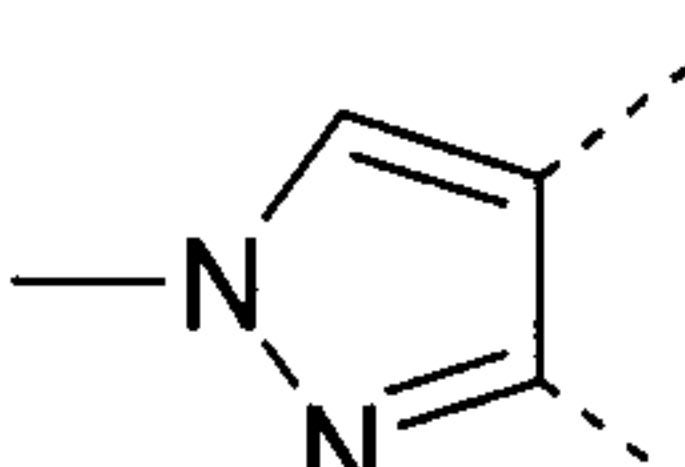
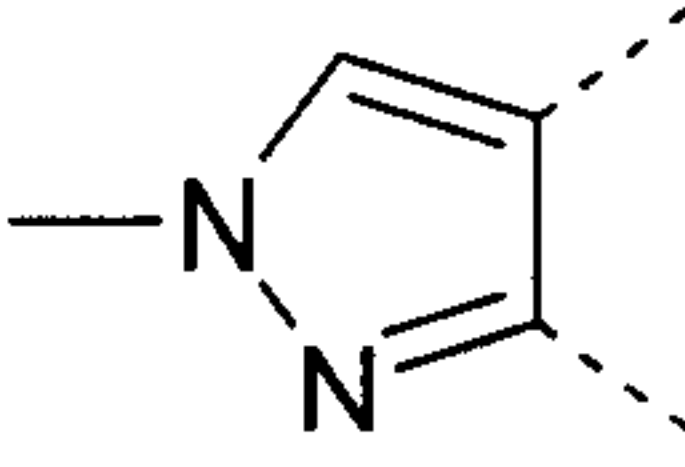
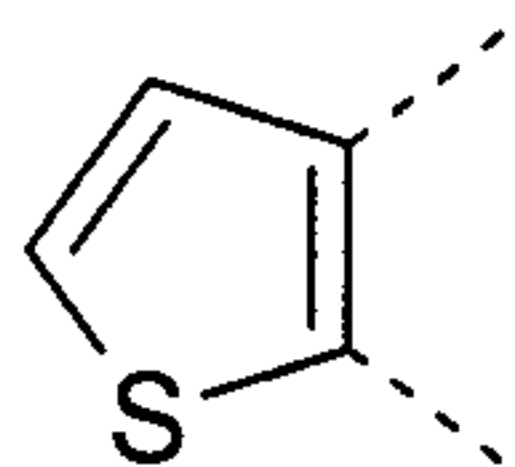
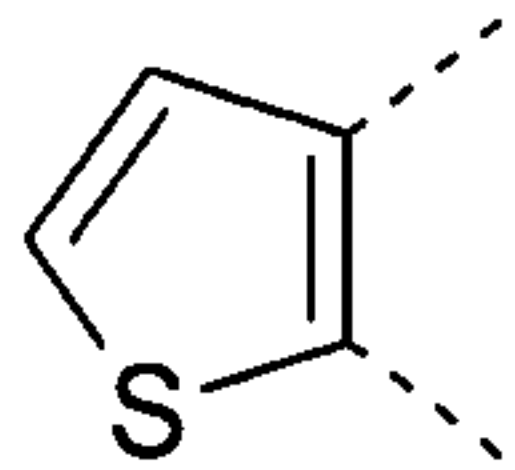
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-17		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 12.00 (s, 1H); 7.80 (d, 1H); 7.55 (dd, 1H); 7.51 (d, 1H); 6.25 (tt, 1H); 3.88 (m, 2H)
I-a-18		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.48 (m, 2H), 7.34 (m, 2H), 6.98 (d, 1H), 5.93 (m, 1H), 5.27 (m, 2H), 4.78 (m, 2H),
I-a-19		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.42 (s, 1H), 7.53 (m, 2H), 7.38 (t, 1H), 3.72 (s, 3H)
I-a-20		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.1 (bs, 1H), 7.45 (d, 1H), 7.24 (d, 1H), 6.88 (s, 2H), 5.89 (m, 1H), 5.19 (m, 1H), 5.05 (m, 1H), 4.68 (m, 2H), 2.26 (s, 3H), 1.96 (s, 6H)
I-a-21		C=O	CH ₂ CF ₃	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.38 (s, 1H), 7.63 (d, 1H), 7.38 (d, 1H), 5.12 (m, 2H), 2.39 (m, 2H), 1.02 (dt, 3H)
I-a-22		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 10.7 (bs, 1H), 7.65 (m, 1H), 7.45 (m, 1H), 7.42 (m, 1H), 7.32 (d, 1H), 7.28 (d, 1H), 5.87 (m, 1H), 5.19 (m, 2H), 4.68 (m, 2H)
I-a-23		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 10.6 (bs, 1H), 7.62 (m, 1H), 7.47 (m, 1H), 7.38 (m, 1H), 7.27 (d, 1H), 5.87 (m, 1H), 5.19 (m, 1H), 5.05 (m, 1H), 4.68 (m, 2H), 2.39 (m, 2H), 1.01 (t, 3H)
I-a-24		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 9.36 (s, 1H), 7.64 (d, 1H), 7.40 (d, 1H), 5.95 (m, 1H), 5.08 (m, 1H), 4.94 (m, 3H), 2.38 (q, 2H), 1.01 (t, 3H)

WO 2012/028582

131

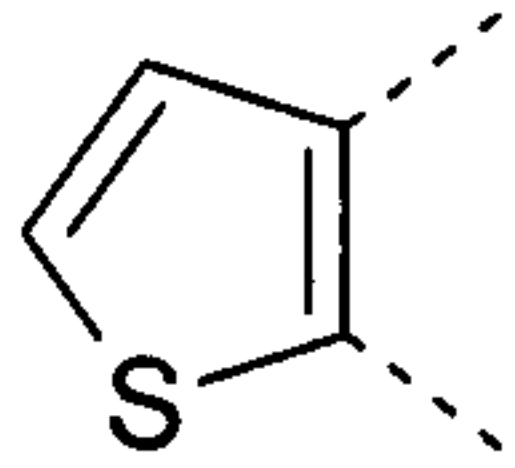
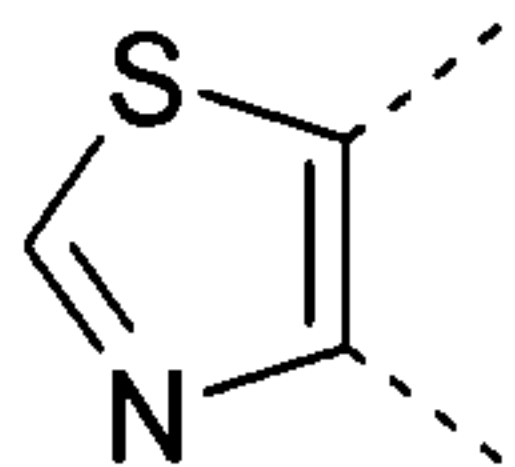
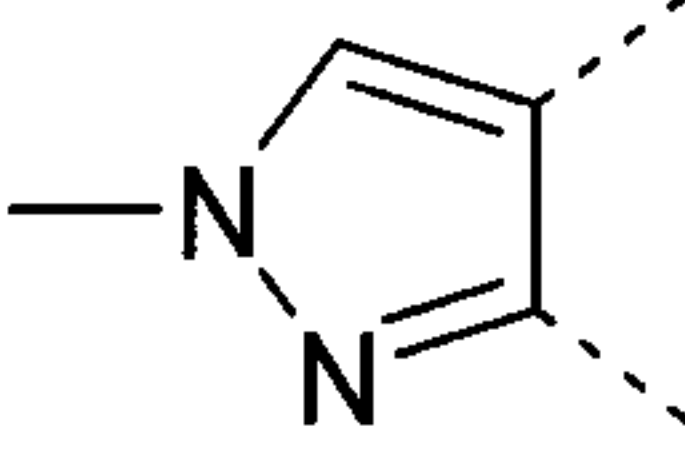
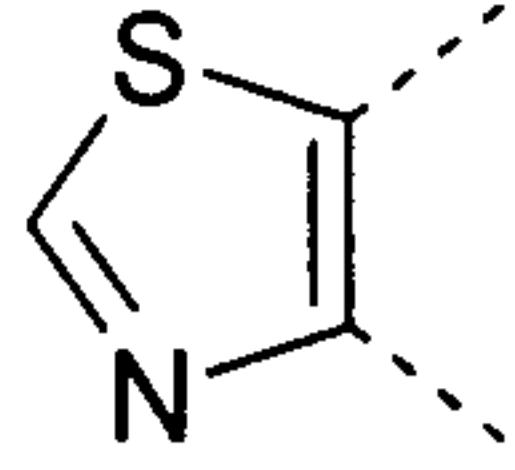
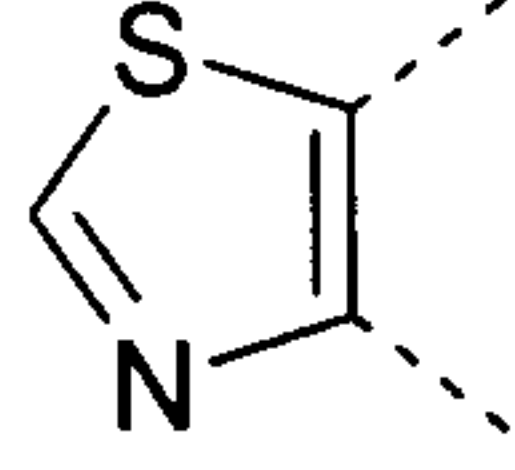
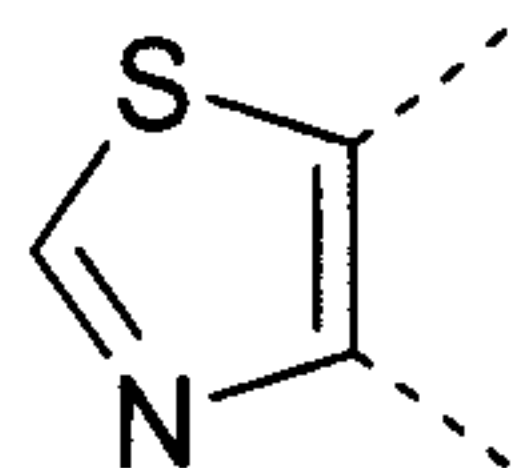
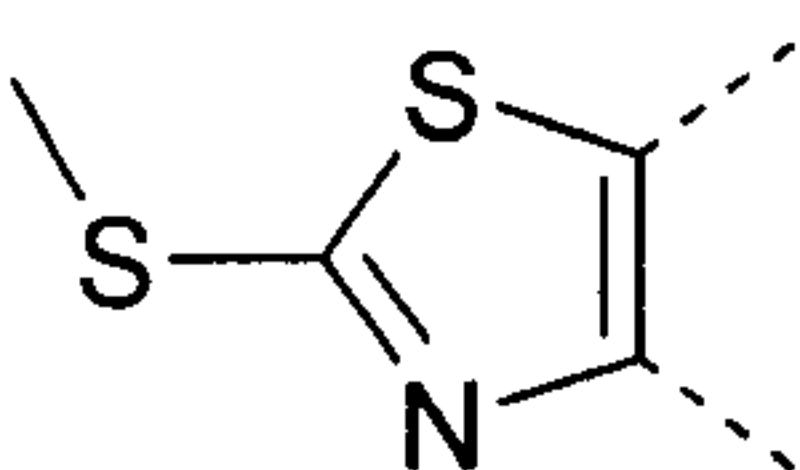
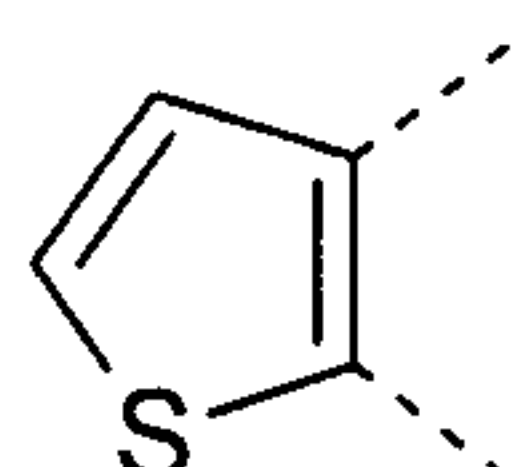
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-25		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.38 (s, 1H), 7.52 (m, 2H), 7.40 (m, 1H), 5.95 (m, 1H), 5.09 (m, 1H), 4.95 (m, 3H)
I-a-26		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.99 (s, 1H); 7.48 (dd, 1H); 7.40 (dd, 1H); 7.33 (t, 1H); 6.22 (tt, 1H); 6.09 (s, 1H); 4.25 (m, 2H); 3.92 (s, 3H)
I-a-27		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 8.18 (s, 1H), 7.62 (d, 1H), 7.38 (dd, 1H), 7.27 (d, 2H), 5.89 (m, 1H), 5.07 (m, 2H), 4.58 (m, 2H), 3.94 (s, 3H)
I-a-28		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	Et	¹ H NMR (400 MHz, d6-DMSO): 8.17 (s, 1H), 7.58 (d, 1H), 7.34 (d, 1H), 5.89 (m, 1H), 5.05 (m, 1H), 4.95 (m, 1H), 4.58 (m, 2H), 3.94 (s, 3H), 2.38 (m, 2H), 0.99 (t, 3H)
I-a-29		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.4 (bs, 1H), 8.13 (s, 1H), 6.84 (d, 2H), 5.89 (m, 1H), 5.05 (m, 1H), 4.94 (m, 1H), 4.60 (m, 2H), 3.93 (s, 3H)
I-a-30		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 8.18 (s, 1H), 7.48 (dd, 2H), 7.34 (dd, 1H), 5.90 (m, 1H), 5.07 (m, 1H), 4.99 (m, 1H), 4.59 (m, 2H), 3.95 (s, 3H)
I-a-31		C=O	CH=CH-OCH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.44 (m, 2H), 7.28 (m, 2H), 6.93 (d, 1H), 6.27 (d, 1H), 5.84 (d, 1H), 3.71 (s, 3H)
I-a-32		C=O	CH=CH-F (Z isomer)	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.85 (dd, 1 H), 7.47 (m, 2H), 7.33 (m, 2H), 6.93 (d, 1H), 6.27 (d, 1H)

WO 2012/028582

132

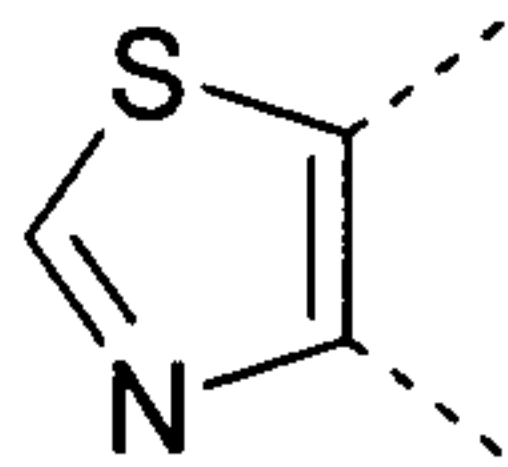
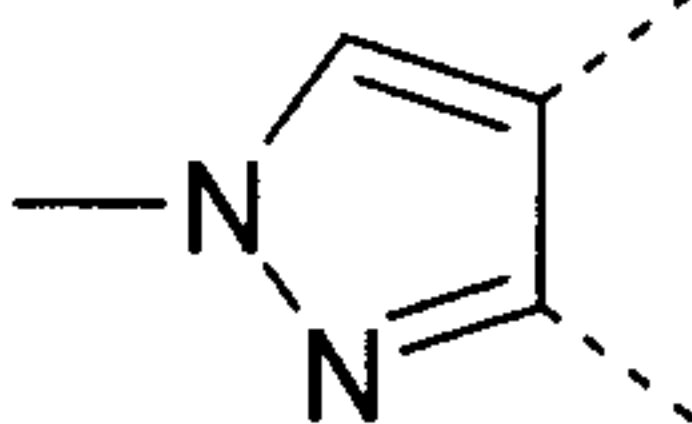
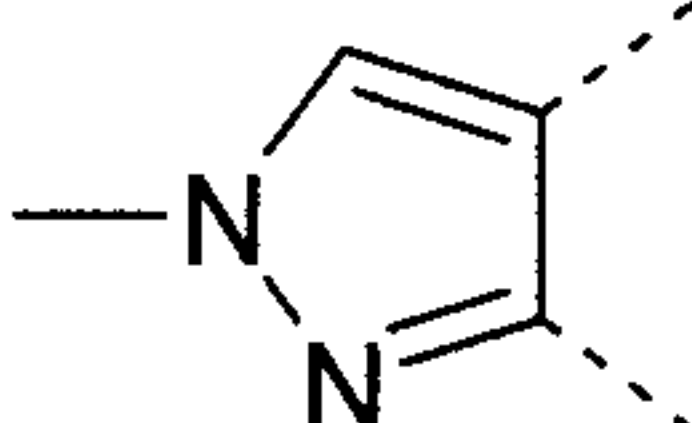
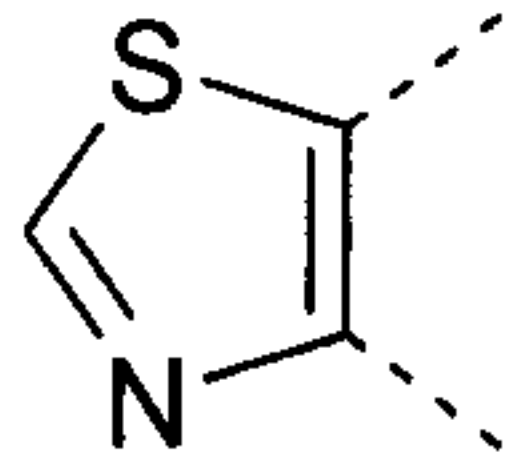
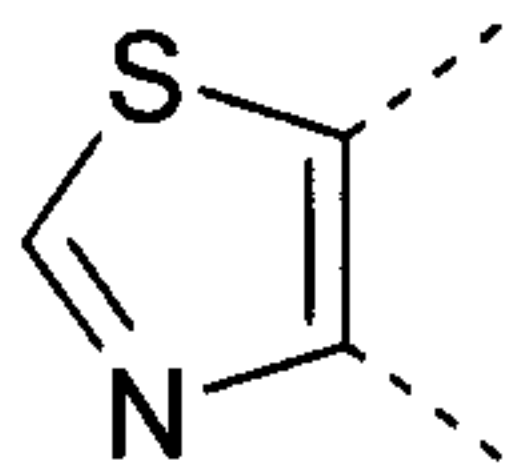
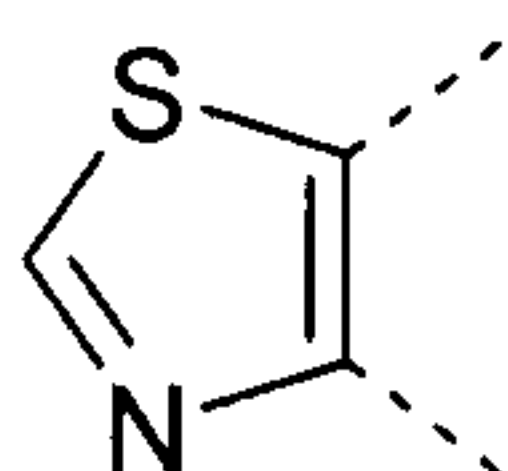
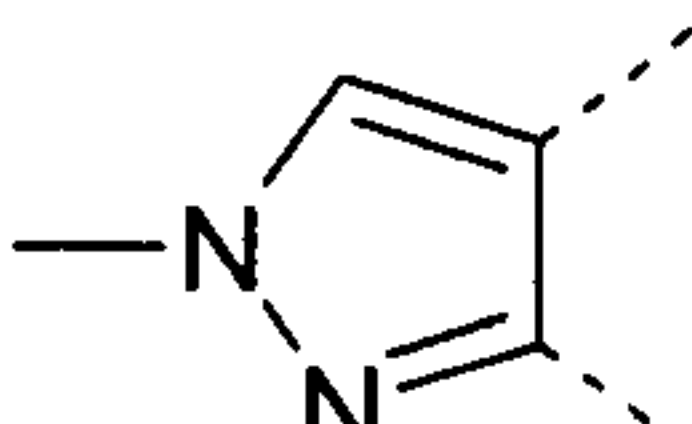
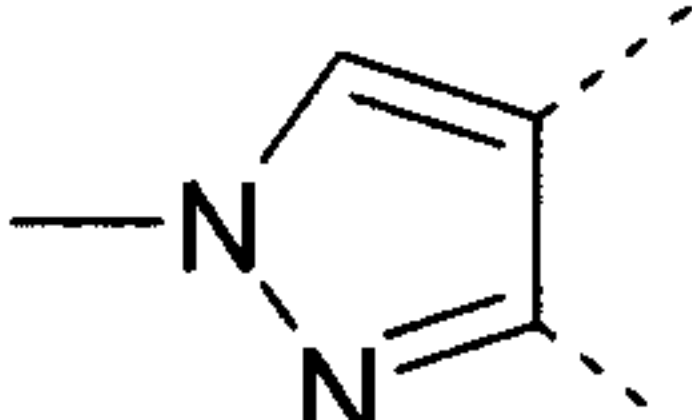
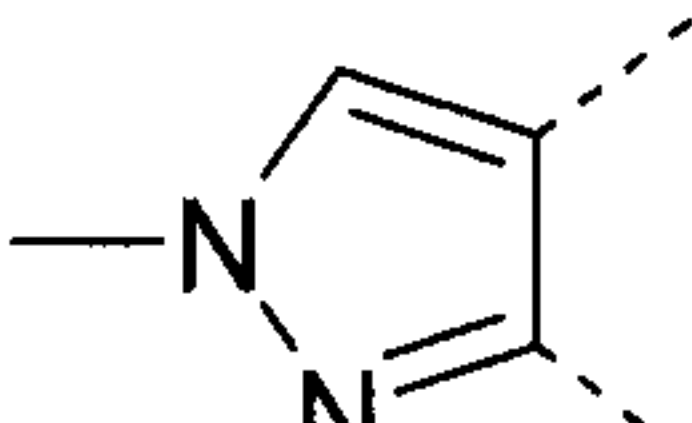
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-33		C=O	CH=CH-F (E isomer)	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.42 (m, 2H), 7.32 (m, 2H), 6.95 (d, 1H), 6.80 (dd, 1H), 6.32 (dd, 1H),
I-a-34		C=O	CH ₂ CHF ₂	Cl	4-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 7.67 (d, 1H), 7.46 (dd, 1H), 7.33 (d, 1H), 6.34 (m, 1H), 4.72 (m, 2H)
I-a-35		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 8.22 (s, 1H), 7.49 (dd, 2H), 7.36 (dd, 1H), 6.31 (m, 1H), 4.42 (m, 2H), 3.97 (s, 3H)
I-a-36		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 7.64 (d, 1H), 7.40 (d, 1H), 6.33 (m, 1H), 4.75 (m, 2H), 2.39 (m, 2H), 1.03 (t, 3H)
I-a-37		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.8 (bs, 1H), 9.36 (s, 1H), 6.90 (s, 2H), 6.35 (m, 1H), 4.75 (m, 2H), 2.18 (s, 3H), 1.97 (s, 6H)
I-a-38		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.42 (s, 1H), 7.53 (d, 2H), 7.41 (t, 1H), 6.34 (m, 1H), 4.75 (m, 2H)
I-a-39		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 7.51 (d, 2H), 7.41 (t, 1H), 6.33 (m, 1H), 4.67 (m, 2H), 2.81 (s, 3H)
I-a-40		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.49 (d, 2H), 7.33 (m, 2H), 7.00 (d, 1H), 6.23 (m, 1H), 4.44 (m, 2H)

WO 2012/028582

133

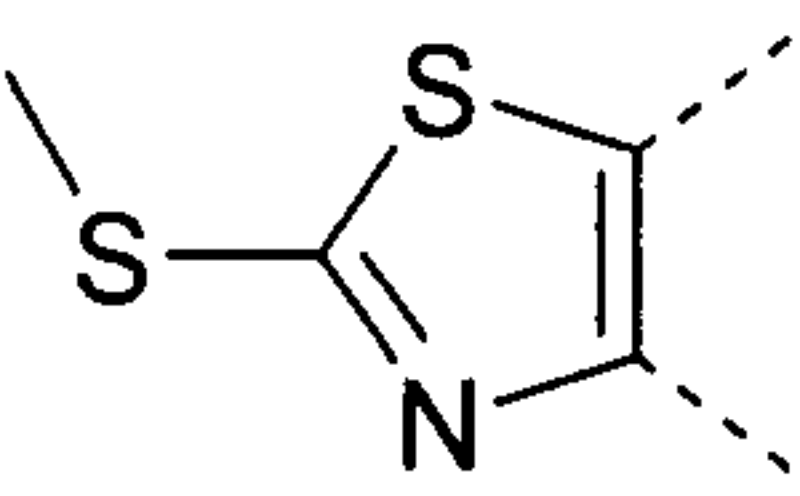
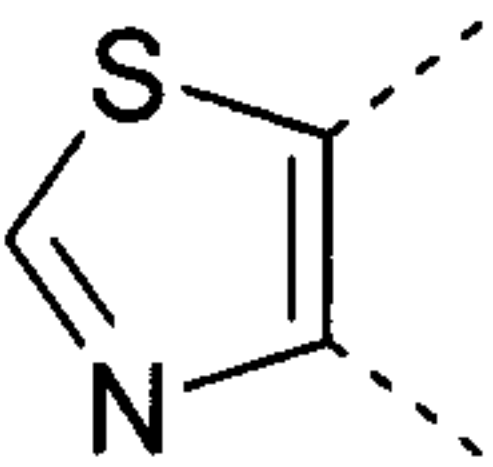
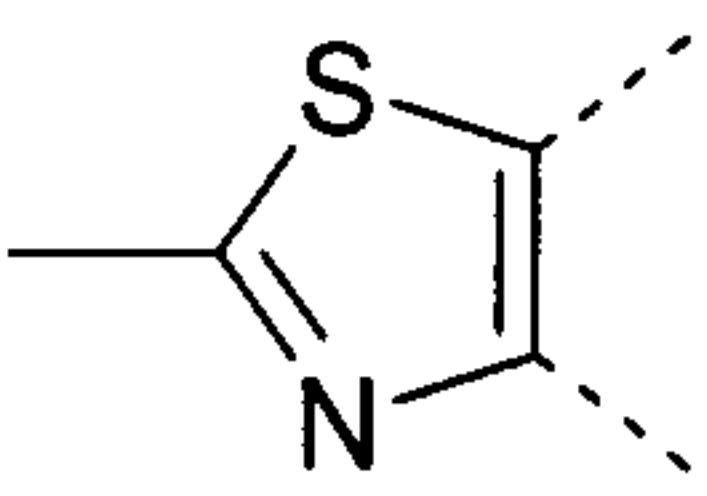
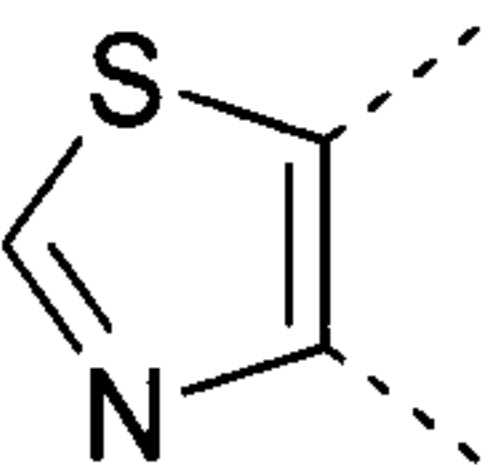
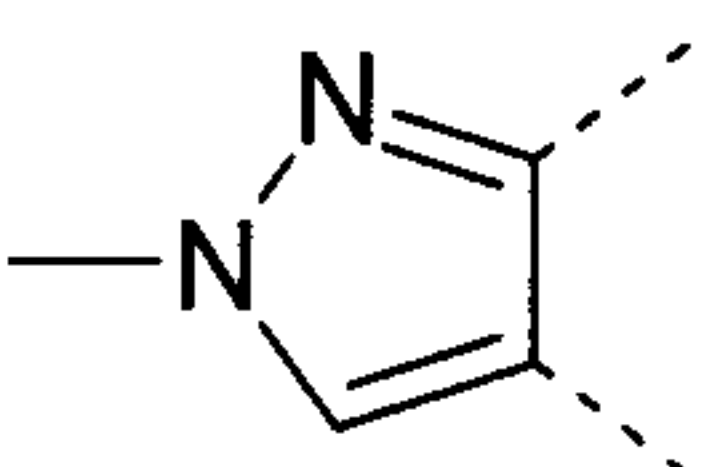
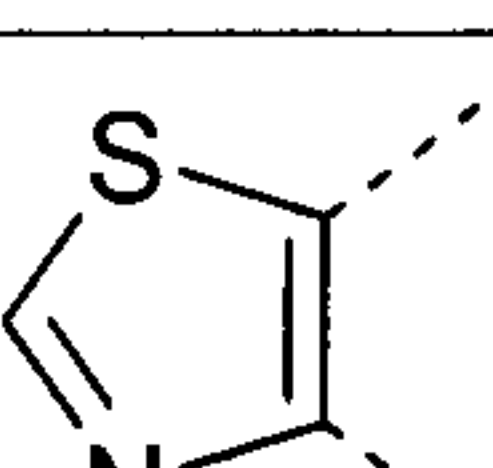
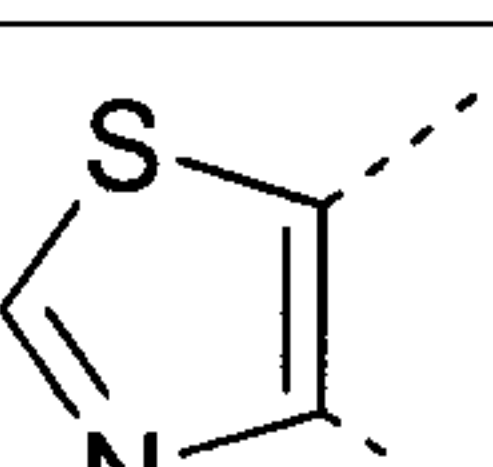
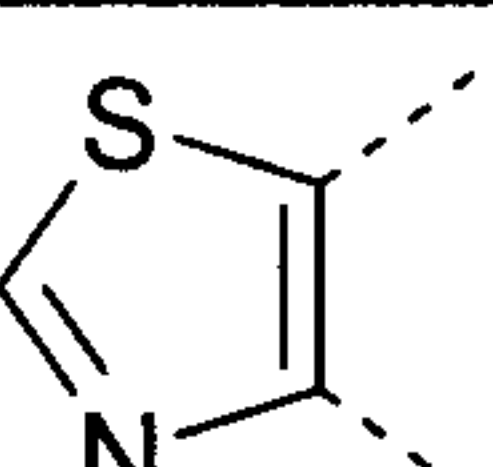
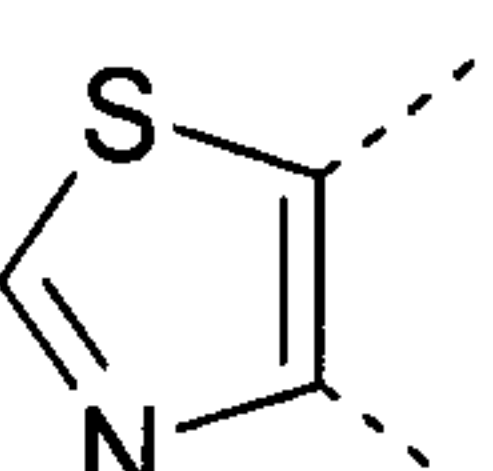
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-41		C=O	CH ₂ CF ₃	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 9.37 (s, 1H), 6.91 (s, 2H), 5.13 (m, 2H), 2.27 (s, 3H), 1.98 (s, 6H)
I-a-42		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.7 (bs, 1H), 8.18 (s, 1H), 6.85 (s, 2H), 6.31 (m, 1H), 4.41 (m, 2H), 3.95 (s, 3H)
I-a-43		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 8.19 (s, 1H), 7.60 (d, 1H), 7.35 (d, 1H), 6.30 (m, 1H), 4.42 (m, 2H), 3.97 (s, 3H)
I-a-44		C=O	CH ₂ C≡CH	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 9.42 (s, 1H), 7.50 (d, 2H), 7.40 (t, 1H), 5.04 (d, 2H), 3.15 (t, 1H)
I-a-45		C=O	CH ₂ CF ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 9.43 (s, 1H), 7.54 (d, 2H), 7.42 (t, 1H), 5.13 (m, 2H)
I-a-46		C=O	CH ₂ C≡CH	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.42 (s, 1H), 7.64 (d, 1H), 7.40 (d, 1H), 5.04 (d, 2H), 3.13 (t, 1H), 2.39 (m, 2H), 1.01 (dt, 3H)
I-a-47		C=O	CH ₂ CF ₃	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 8.20 (s, 1H), 7.60 (d, 1H), 7.36 (d, 1H), 4.80 (m, 2H), 3.96 (s, 3H), 2.38 (m, 2H), 0.99 (t, 3H)
I-a-48		C=O	CH ₂ CF ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 8.22 (s, 1H), 7.49 (d, 2H), 7.36 (t, 1H), 4.80 (m, 2H), 3.97 (s, 3H)
I-a-49		C=O	CH ₂ CF ₃	Me	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.7 (bs, 1H), 8.16 (s, 1H), 6.86 (d, 2H), 4.80 (m, 2H), 3.95 (s, 3H), 2.18 (s, 3H), 1.95 (s, 6H)

WO 2012/028582

134

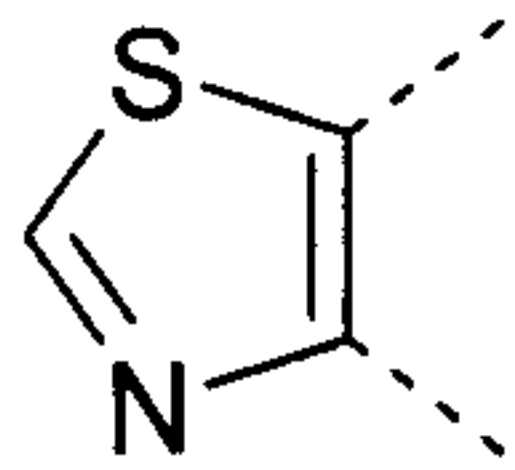
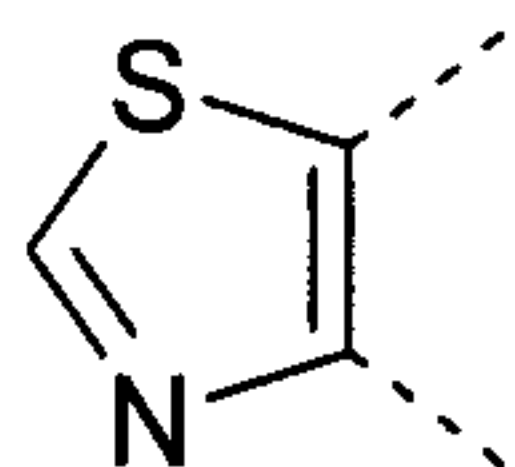
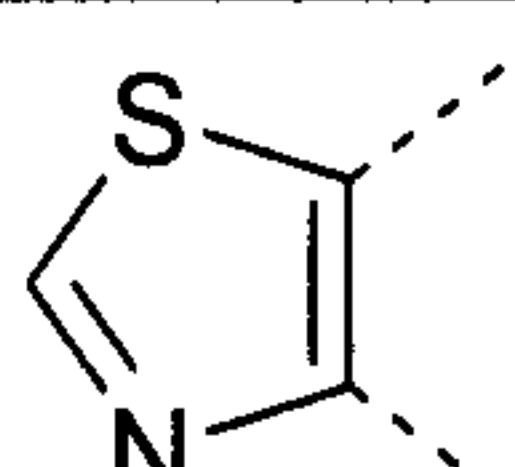
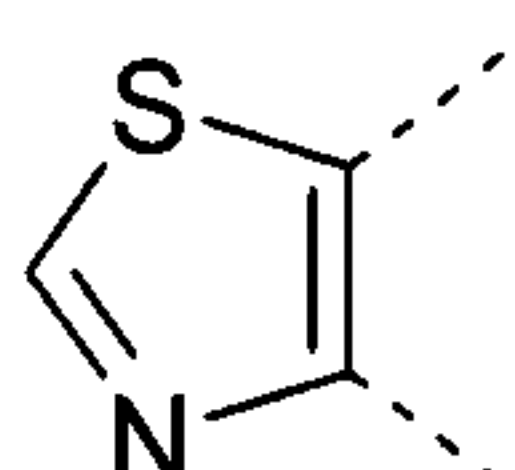
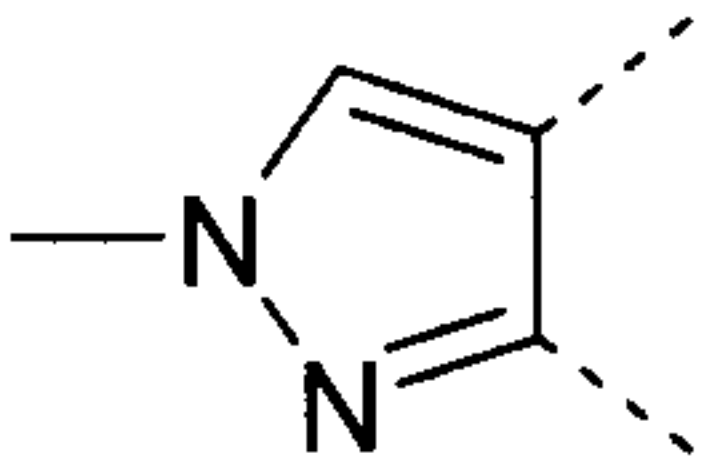
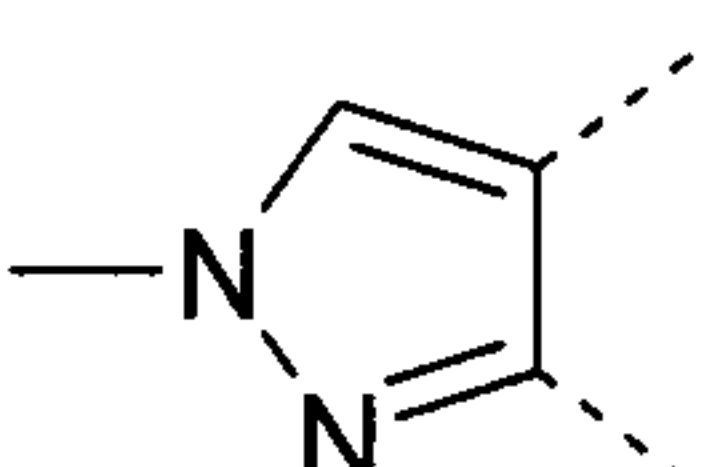
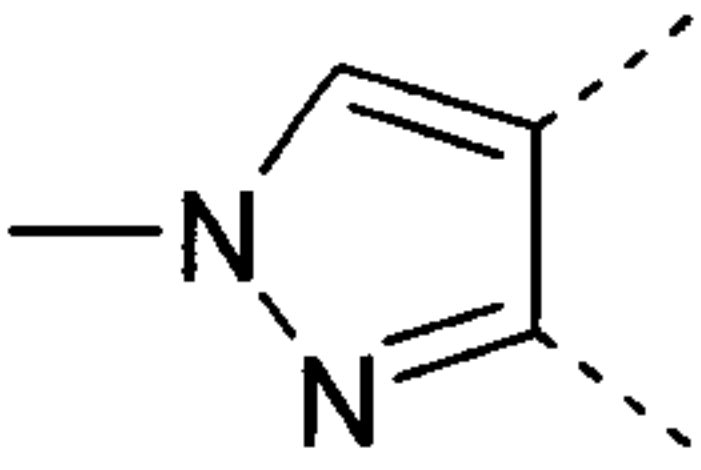
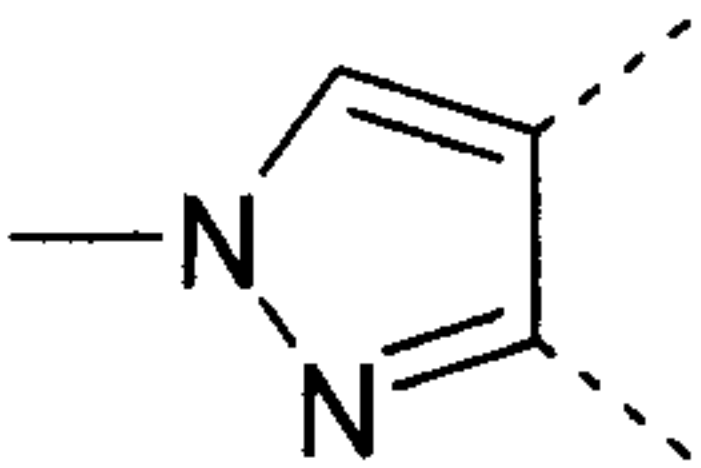
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-50		C=O	$\text{CH}_2\text{C}\equiv\text{CH}$	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 7.51 (d, 2H), 7.39 (t, 1H), 4.97 (d, 2H), 3.16 (t, 1H), 2.85 (s, 3H)
I-a-51		C=O	CH_2CH_3	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.41 (s, 1H), 7.52 (d, 2H), 7.40 (t, 1H), 4.35 (m, 2H), 1.24 (m, 3H)
I-a-52		C=O	$\text{CH}_2\text{C}\equiv\text{CH}$	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 7.52 (d, 2H), 7.40 (t, 1H), 4.98 (d, 2H), 3.14 (t, 1H), 2.83 (s, 3H)
I-a-53		C=O	$\text{CH}_2\text{-c-Pr}$	Cl	6-Cl	H	^1H NMR (400 MHz, d6- CDCl_3): 8.91 (s, 1H), 7.41 (d, 2H), 7.23 (t, 1H), 4.35 (d, 2H), 1.43 (m, 1H), 0.47 (m, 4H)
I-a-54		C=O	CH_2CHF_2	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 8.08 (s, 1H), 7.49 (d, 2H), 7.36 (t, 1H), 6.25 (m, 1H), 4.35 (m, 2H), 4.05 (s, 3H)
I-a-55		C=O	$\text{CH}_2\text{C}\equiv\text{CH}$	Me	3-Br	6-Me	^1H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 9.40 (s, 1H), 7.48 (d, 1H), 7.06 (d, 1H), 5.04 (d, 2H), 3.12 (t, 1H), 2.22 (s, 3H), 1.98 (s, 3H)
I-a-56		C=O	CH_2CHF_2	Cl	4-Cl	6-Et	^1H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 9.39 (s, 1H), 7.49 (d, 1H), 7.35 (d, 1H), 6.33 (m, 1H), 4.74 (m, 2H), 2.39 (m, 2H), 1.03 (m, 3H)
I-a-57		C=O	CH_2CHF_2	Me	3-Br	Me	^1H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 9.39 (s, 1H), 7.48 (d, 1H), 7.06 (d, 1H), 6.35 (m, 1H), 4.74 (m, 2H), 2.10 (s, 3H), 1.98 (s, 3H)
I-a-58		C=O	CH_2CHF_2	Cl	4-Cl	6-Me	^1H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 9.40 (s, 1H), 7.49 (d, 1H), 7.38 (d, 1H), 6.34 (m, 1H), 4.74 (m, 2H), 2.08 (s, 3H)

WO 2012/028582

135

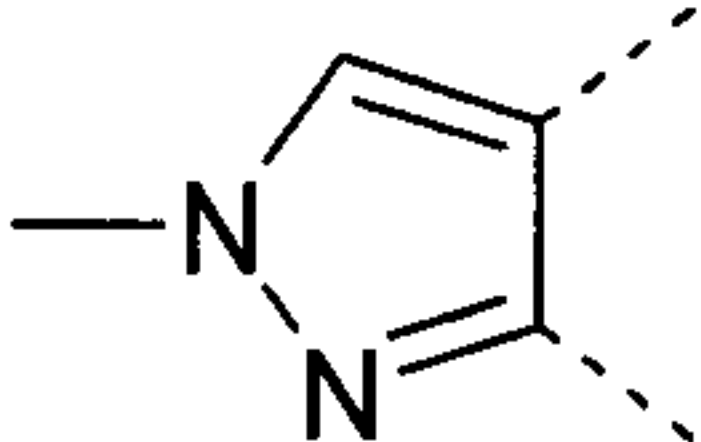
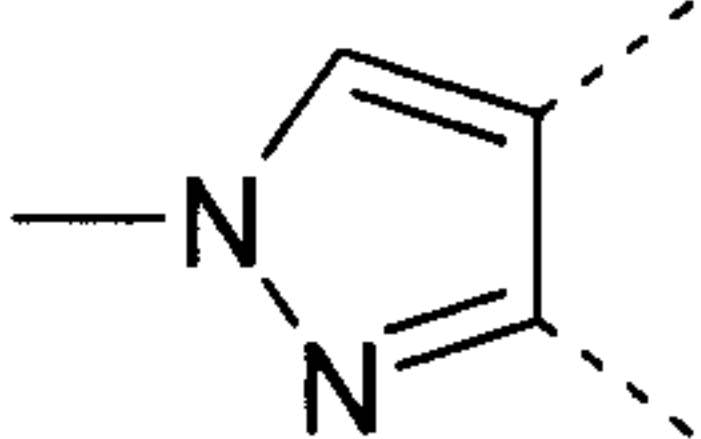
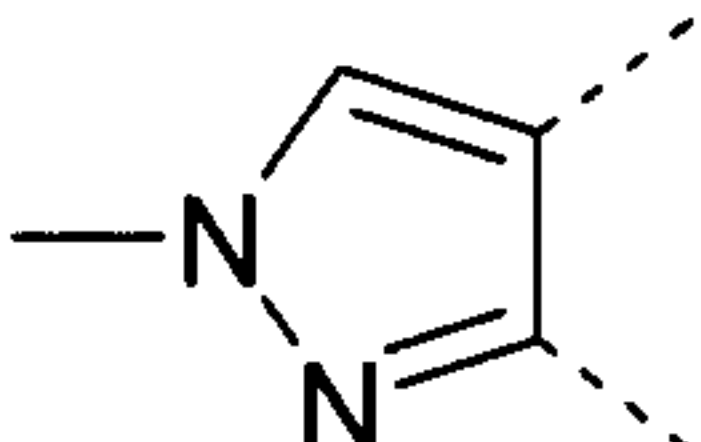
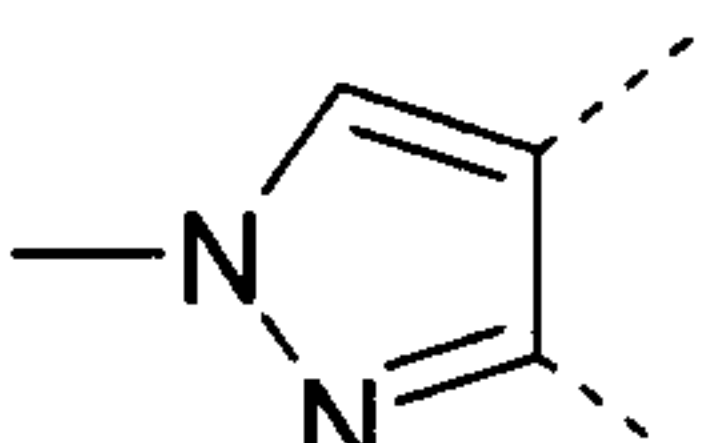
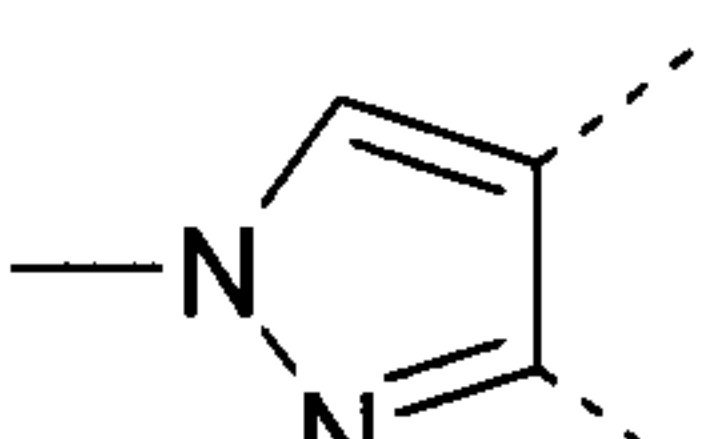
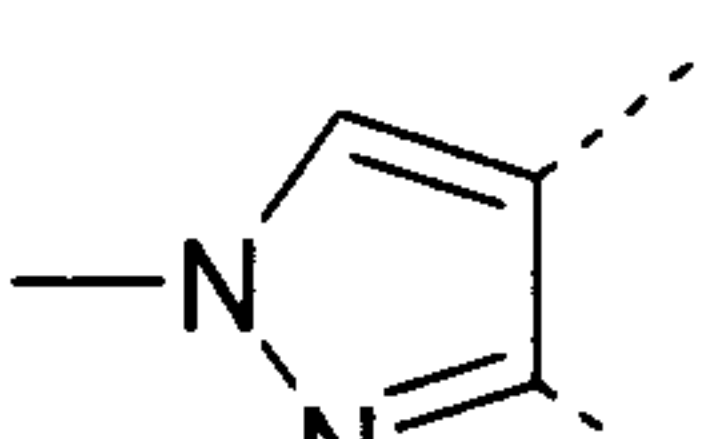
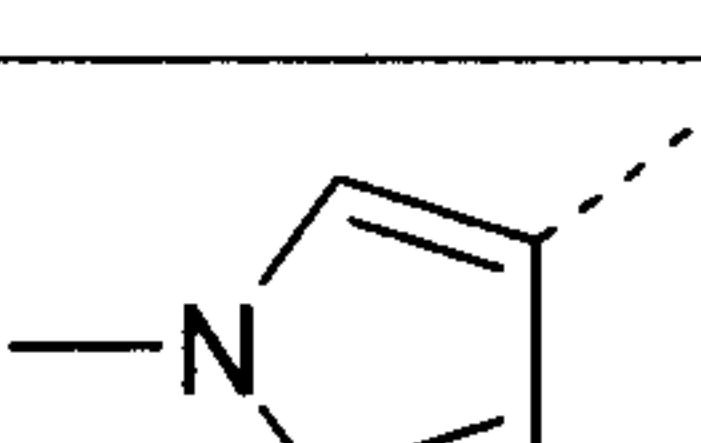
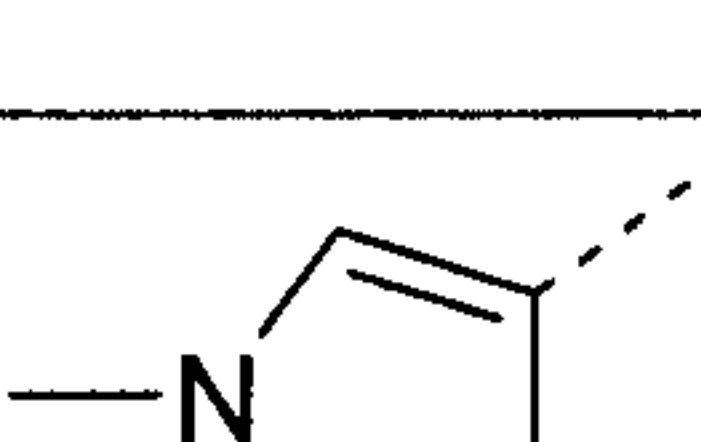
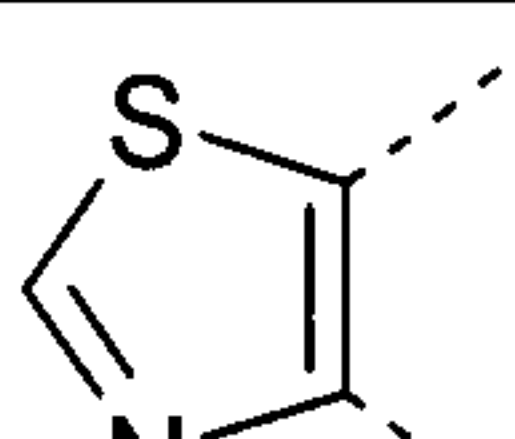
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-59		C=O	$\text{CH}_2\text{C}\equiv\text{CH}$	Cl	4-Cl	6-Me	^1H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.42 (s, 1H), 7.49 (d, 1H), 7.38 (d, 1H), 5.04 (d, 2H), 3.14 (t, 1H), 2.08 (s, 3H)
I-a-60		C=O	CH_2CHF_2	Et	4-Cl	6-OMe	^1H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 9.36 (s, 1H), 6.95 (d, 2H), 6.32 (m, 1H), 4.72 (m, 2H), 3.66 (s, 3H), 2.31 (m, 2H), 0.86 (m, 3H)
I-a-61		C=O	CH_2CHF_2	Cl	4-Br	6-Et	^1H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.41 (s, 1H), 7.62 (d, 1H), 7.50 (d, 1H), 6.33 (m, 1H), 4.74 (m, 2H), 2.38 (m, 2H), 1.01 (m, 3H)
I-a-62		C=O	CH_2CHF_2	Me	4-Cl	6- CF_3	^1H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.40 (s, 1H), 7.75 (d, 1H), 7.67 (d, 1H), 6.31 (m, 1H), 4.73 (m, 2H), 2.08 (s, 3H)
I-a-63		C=O	CH_2CHF_2	Cl	4-Cl	6-Et	^1H NMR (400 MHz, CDCl_3): 7.75 (s, 1H), 7.35 (d, 1H), 7.23 (d, 1H), 6.25 (m, 1H), 4.53 (m, 2H), 4.01 (s, 3H), 2.43 (m, 2H), 1.08 (m, 3H)
I-a-64		C=O	CH_2CF_3	Cl	4-Cl	6-Et	^1H NMR (400 MHz, CDCl_3): 7.78 (s, 1H), 7.31 (d, 1H), 7.20 (d, 1H), 4.82 (m, 2H), 3.98 (s, 3H), 2.40 (m, 2H), 1.06 (m, 3H)
I-a-65		C=O	CH_2CF_3	Cl	4-Cl	6-Me	^1H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 8.22 (s, 1H), 7.46 (d, 1H), 7.36 (d, 1H), 4.80 (m, 2H), 3.97 (s, 3H), 2.06 (s, 3H)
I-a-66		C=O	CH_2CHF_2	Cl	4-Cl	6-Me	^1H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 8.21 (s, 1H), 7.45 (d, 1H), 7.35 (d, 1H), 6.32 (m, 1H), 4.43 (m, 2H), 3.97 (s, 3H), 2.06 (s, 3H)

WO 2012/028582

136

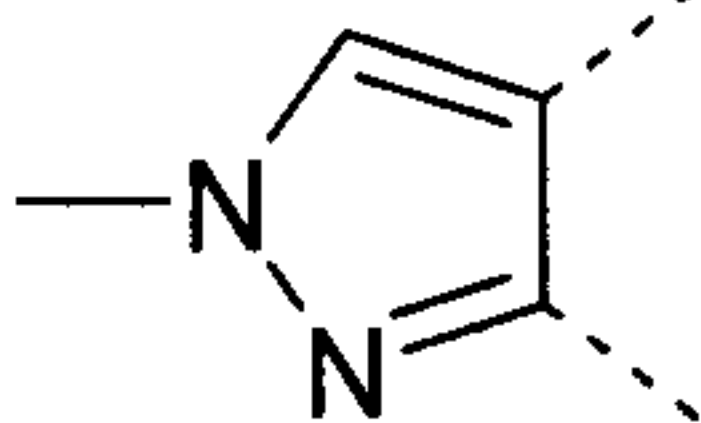
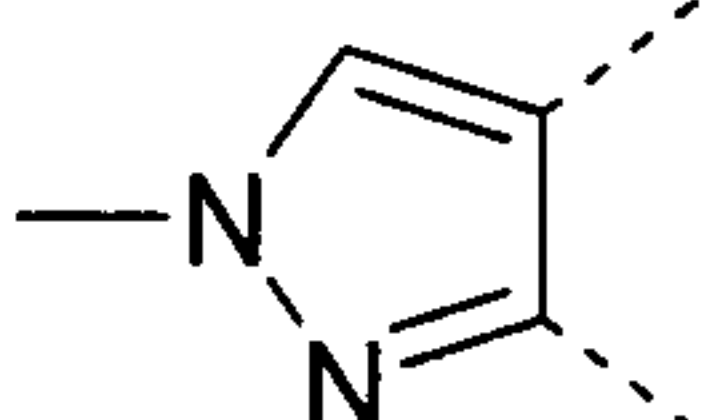
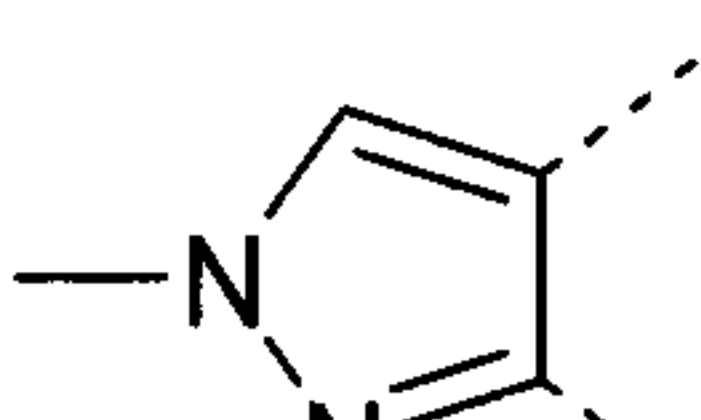
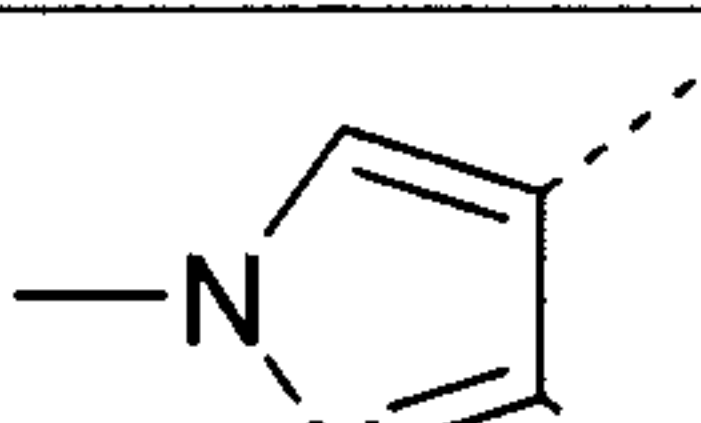
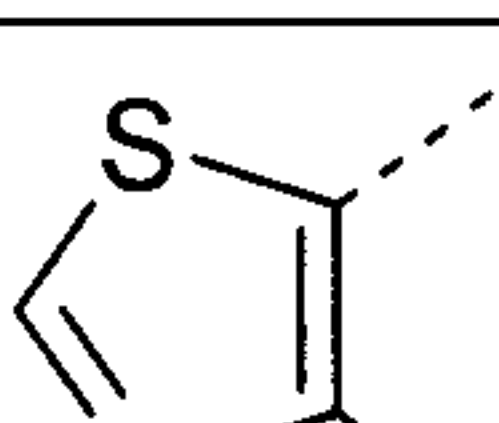
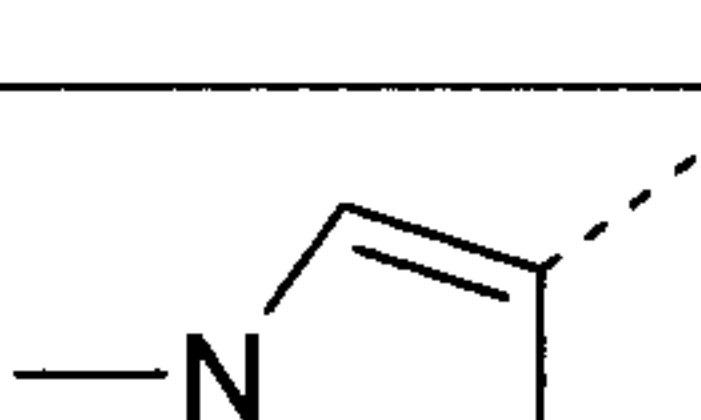
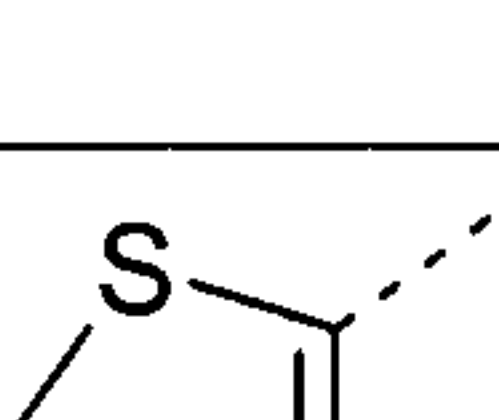
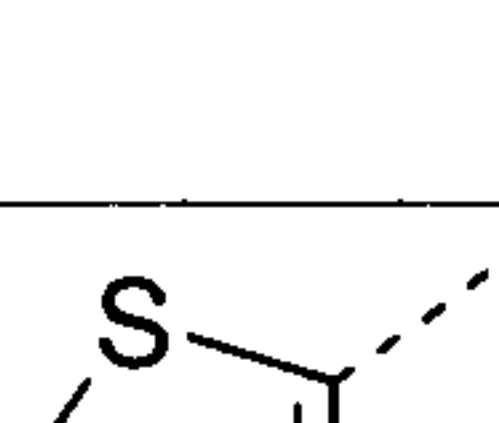
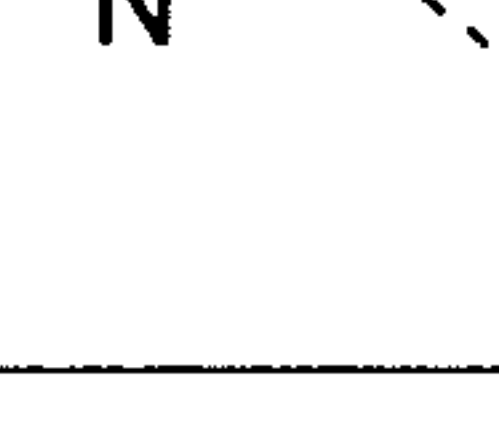
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-67		C=O	CH ₃	Cl	4-Cl	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 8.17 (s, 1H), 7.44 (d, 1H), 7.34 (d, 1H), 3.97 (s, 3H), 3.41 (s, 3H), 2.06 (s, 3H)
I-a-68		C=O	CH ₂ CF ₃	Cl	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 8.23 (s, 1H), 7.78 (d, 1H), 7.48 (d, 1H), 4.80 (m, 2H), 3.97 (s, 3H)
I-a-69		C=O	CH ₃	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.8 (bs, 1H), 8.18 (s, 1H), 7.37 (s, 2H), 3.97 (s, 3H), 3.42 (s, 3H), 2.09 (s, 6H)
I-a-70		C=O	CH ₃	Cl	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 8.18 (s, 1H), 7.75 (d, 1H), 7.45 (d, 1H), 3.97 (s, 3H), 3.41 (s, 3H)
I-a-71		C=O	CH ₂ CF ₃	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 8.22 (s, 1H), 7.38 (s, 2H), 4.82 (m, 2H), 3.97 (s, 3H), 2.09 (s, 6H)
I-a-72		C=O	CH ₂ CHF ₂	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 8.22 (s, 1H), 7.37 (s, 2H), 6.33 (m, 1H), 4.42 (m, 2H), 3.98 (s, 3H), 2.09 (s, 6H)
I-a-73		C=O	CH ₂ CHF ₂	Cl	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 8.22 (s, 1H), 7.77 (d, 1H), 7.46 (d, 1H), 6.31 (m, 1H), 4.41 (m, 2H), 3.97 (s, 3H)
I-a-74		C=O	CH ₃	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 8.16 (s, 1H), 7.45 (d, 1H), 7.32 (d, 1H), 3.97 (s, 3H), 3.41 (s, 3H), 2.35 (m, 2H), 1.00 (m, 3H)
I-a-75		C=O	CH ₂ CHF ₂	Cl	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 9.41 (s, 1H), 7.82 (d, 1H), 7.53 (d, 1H), 6.33 (m, 1H), 4.72 (m, 2H)

WO 2012/028582

137

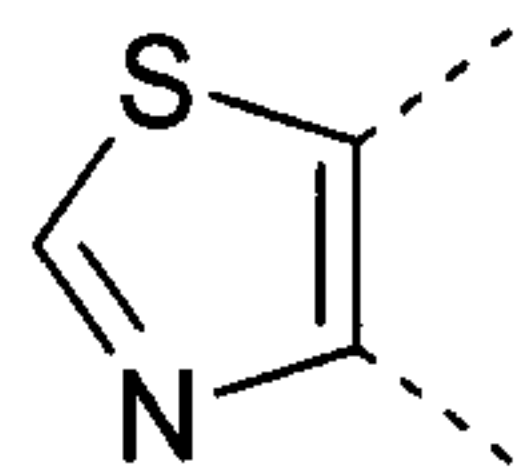
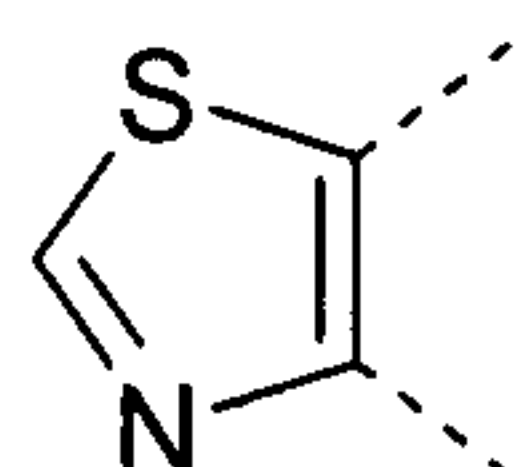
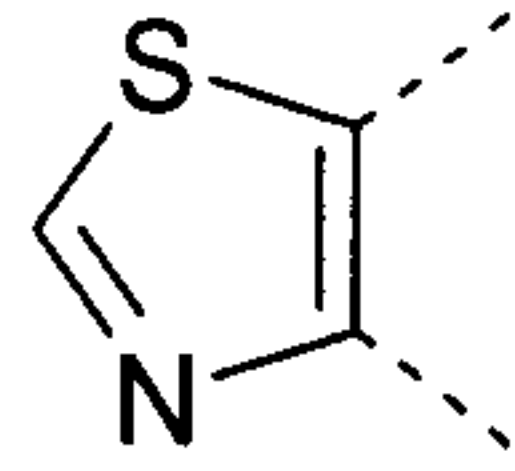
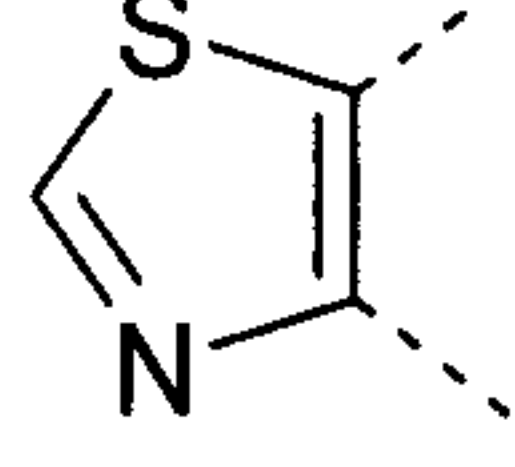
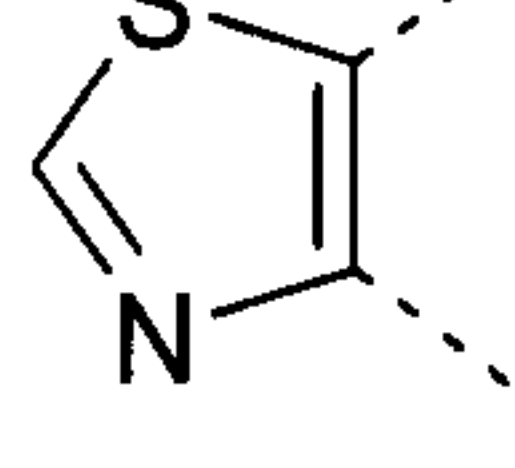
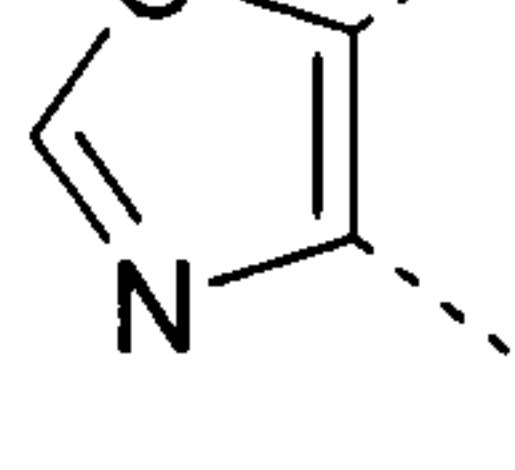
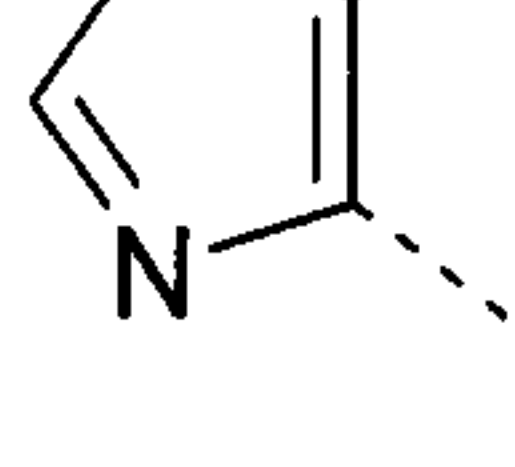
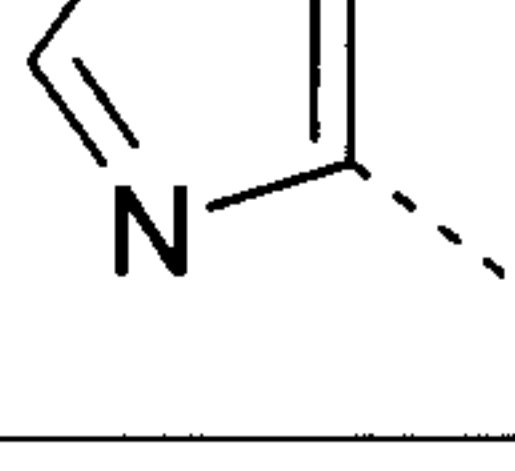
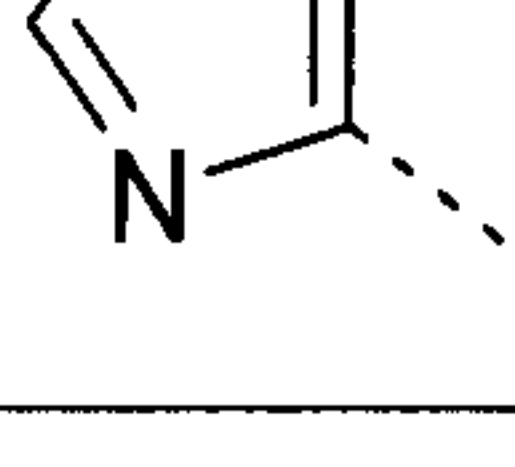
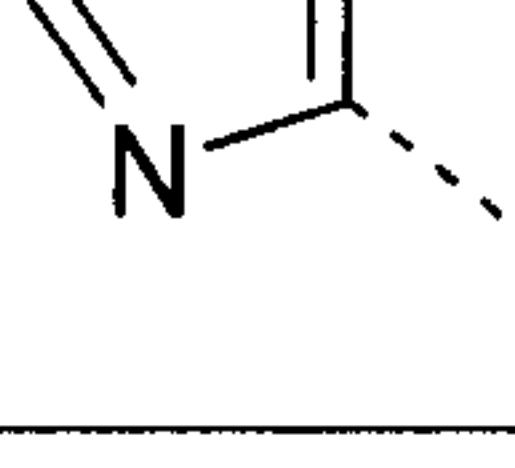
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-76		C=O	CH ₃	F	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 8.17 (s, 1H), 7.25 (m, 2H), 3.97 (s, 3H), 3.40 (s, 3H), 2.22 (s, 3H)
I-a-77		C=O	CH ₂ CHF ₂	F	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 8.19 (s, 1H), 7.24 (m, 2H), 6.33 (m, 1H), 4.39 (m, 2H), 3.97 (s, 3H), 2.22 (s, 3H)
I-a-78		C=O	CH ₂ CF ₃	F	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 8.22 (s, 1H), 7.26 (m, 2H), 6.33 (m, 1H), 4.79 (m, 2H), 3.97 (s, 3H), 2.22 (s, 3H)
I-a-79		C=O	CH ₂ C≡CH	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, CDCl ₃): 7.77 (s, 1H), 7.34 (s, 2H), 4.94 (d, 2H), 4.02 (s, 3H), 2.18 (t, 1H), 2.16 (s, 6H)
I-a-80		C=O	CH ₂ CHF ₂	CF ₃	H	H	¹ H NMR (400 MHz, d6-DMSO): 9.42 (s, 1H), 7.76 (d, 1H), 7.69 (t, 1H), 7.57 (t, 1H), 7.32 (d, 1H), 6.30 (m, 1H), 4.71 (m, 2H)
I-a-81		C=O	CH ₂ C≡CH	F	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 8.20 (s, 1H), 7.25 (m, 2H), 4.73 (m, 2H), 3.99 (s, 3H), 3.10 (t, 1H), 2.22 (s, 3H)
I-a-82		C=O	CH ₃	I	H	H	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 9.37 (s, 1H), 7.91 (d, 1H), 7.42 (t, 1H), 7.21 (d, 1H), 7.09 (t, 1H), 3.69 (s, 3H)
I-a-83		C=O	CH ₂ CHF ₂	Cl	3-c-Pr	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 9.38 (s, 1H), 7.40 (d, 1H), 7.05 (d, 1H), 6.33 (m, 1H), 4.73 (m, 2H), 2.13 (m, 1H), 0.74 (m, 2H), 0.65 (m, 2H)
I-a-84		C=O	CH ₂ CHF ₂	I	H	H	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.40 (s, 1H), 7.92 (d, 1H), 7.43 (t, 1H), 7.24 (d, 1H), 7.10 (t, 1H), 6.33 (m, 1H), 4.74 (m, 2H),

WO 2012/028582

138

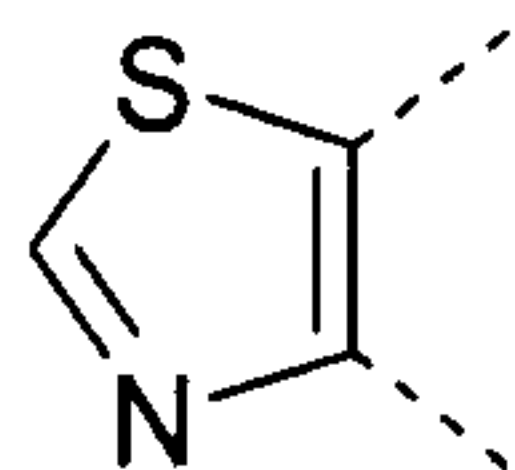
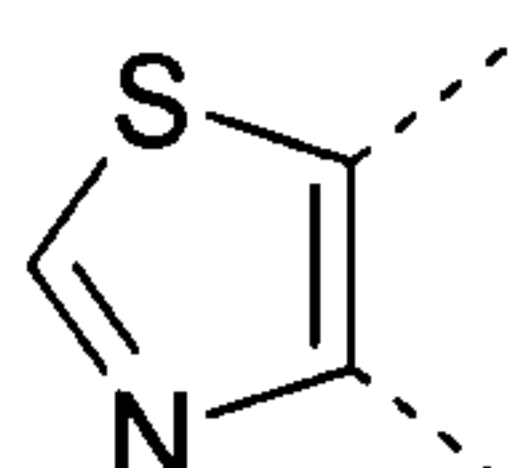
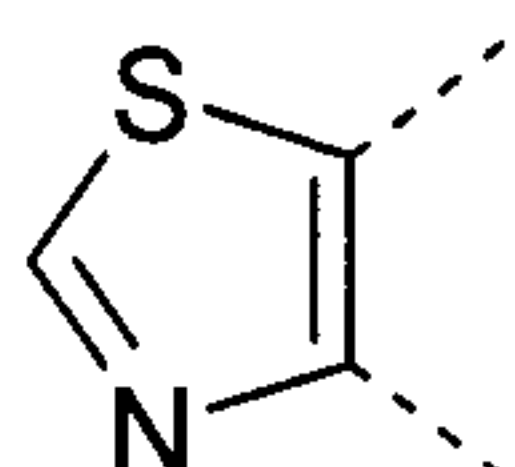
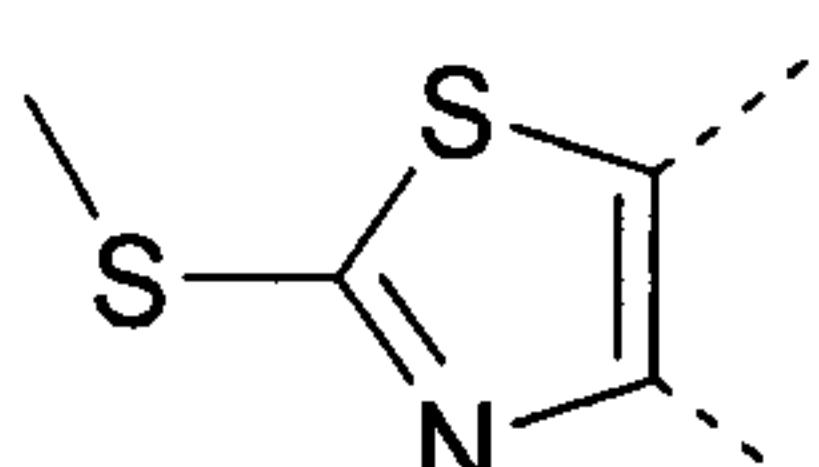
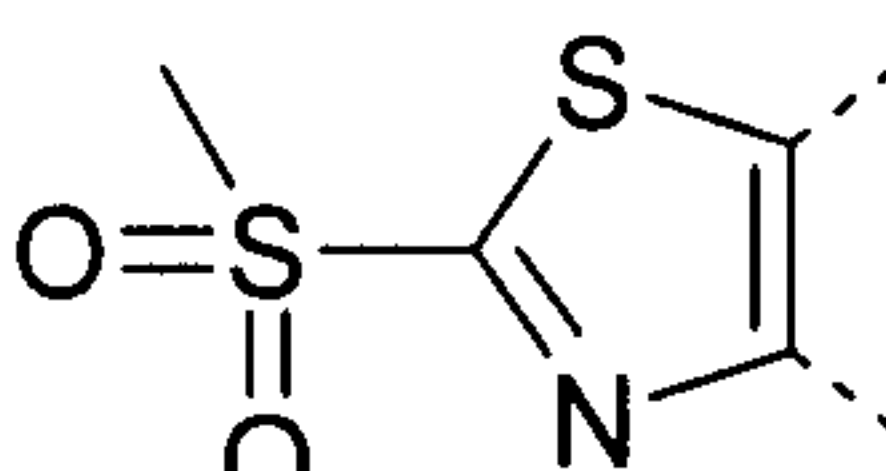
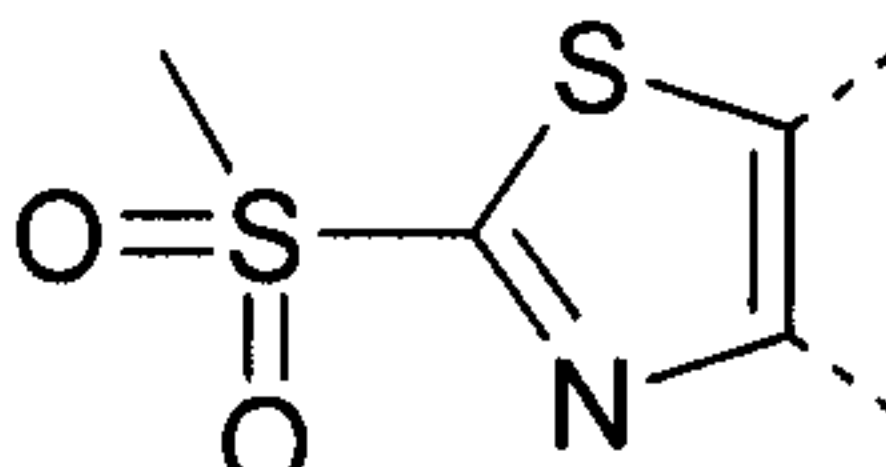
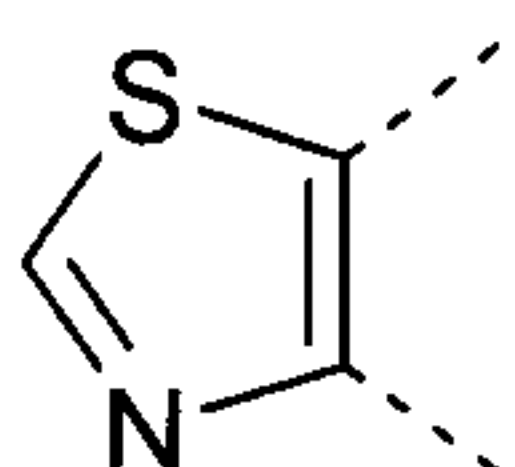
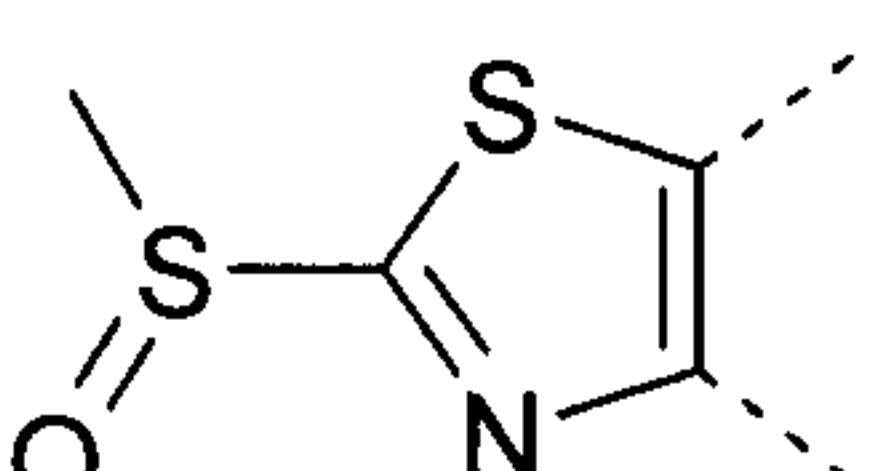
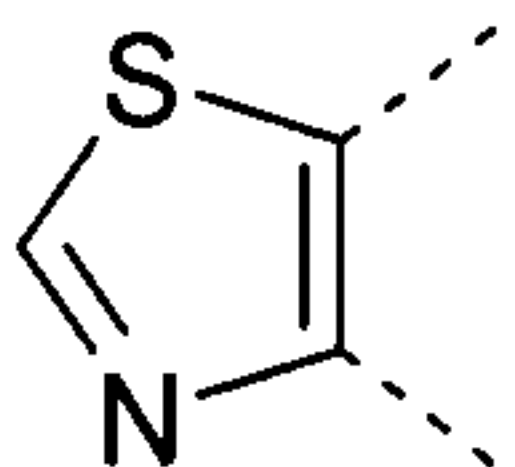
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-85		C=O	CH ₃	CF ₃	H	H	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 9.37 (s, 1H), 7.78 (d, 1H), 7.68 (t, 1H), 7.58 (t, 1H), 7.30 (d, 1H), 3.68 (s, 3H)
I-a-86		C=O	CH ₂ CF ₃	Cl	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.42 (s, 1H), 7.40 (m, 2H), 5.12 (m, 2H), 2.37 (s, 3H)
I-a-87		C=O	CH ₂ CHF ₂	Br	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 9.44 (s, 1H), 8.06 (d, 1H), 7.73 (d, 1H), 6.31 (m, 1H), 4.74 (m, 2H)
I-a-88		C=O	CH ₂ CHF ₂	Cl	3-I	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.42 (s, 1H), 7.98 (d, 1H), 7.31 (d, 1H), 6.33 (m, 1H), 4.73 (m, 2H)
I-a-89		C=O	CH ₂ CHF ₂	Cl	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 9.41 (s, 1H), 7.40 (m, 2H), 6.33 (m, 1H), 4.73 (m, 2H), 2.33 (s, 3H)
I-a-90		C=O	CH ₃	Cl	3-Me	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 9.39 (s, 1H), 7.40 (m, 2H), 3.70 (s, 3H), 2.36 (s, 3H)
I-a-91		C=O	CH ₂ CF ₃	Me	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 9.43 (s, 1H), 7.65 (d, 1H), 7.34 (d, 1H), 5.13 (m, 2H), 2.16 (s, 3H)
I-a-92		C=O	CH ₃	Cl	3-I	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 7.96 (d, 1H), 7.31 (d, 1H), 3.70 (s, 3H)
I-a-93		C=O	CH ₃	Me	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 9.38 (s, 1H), 7.63 (d, 1H), 7.31 (d, 1H), 3.70 (s, 3H), 2.15 (s, 3H)
I-a-94		C=O	CH ₂ CHF ₂	Me	3-Br	6-Cl	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 7.63 (d, 1H), 7.32 (d, 1H), 6.34 (m, 1H), 4.74 (m, 2H), 2.16 (s, 3H)

WO 2012/028582

139

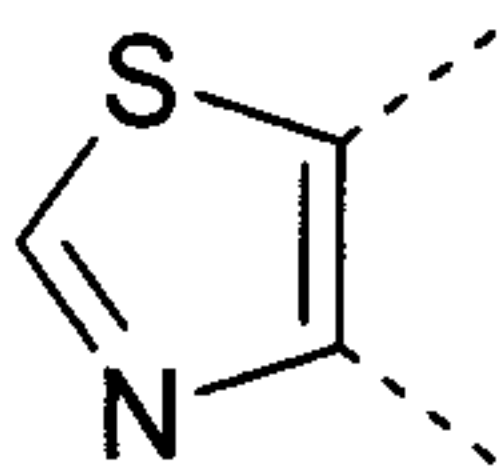
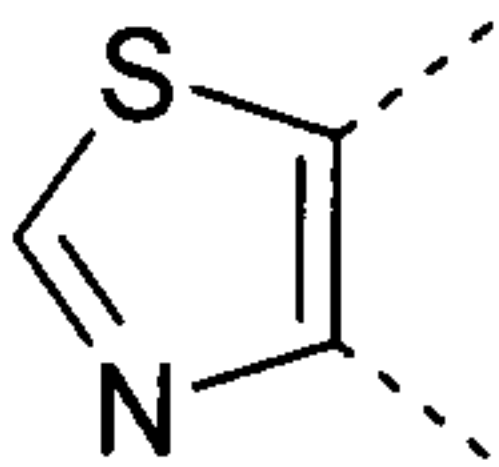
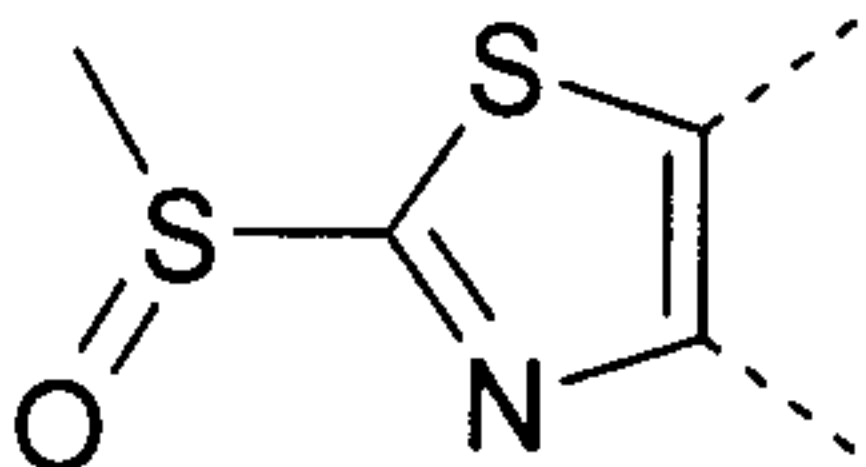
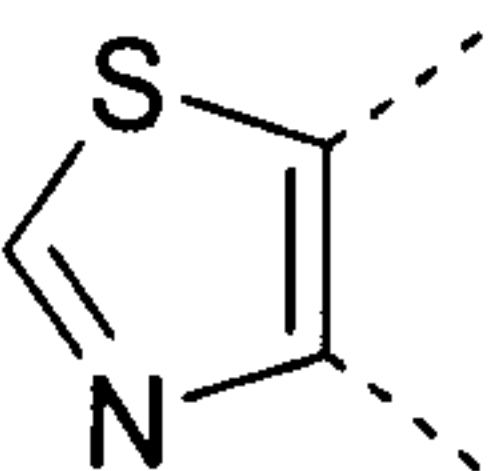
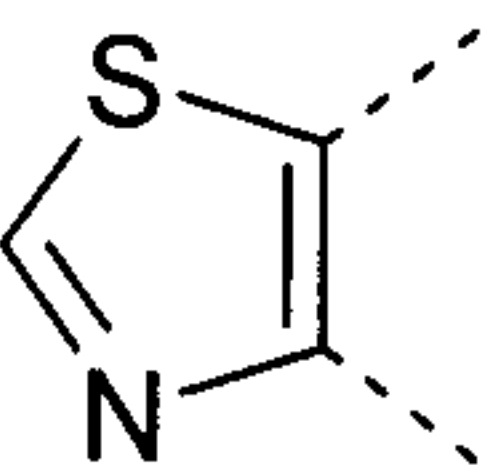
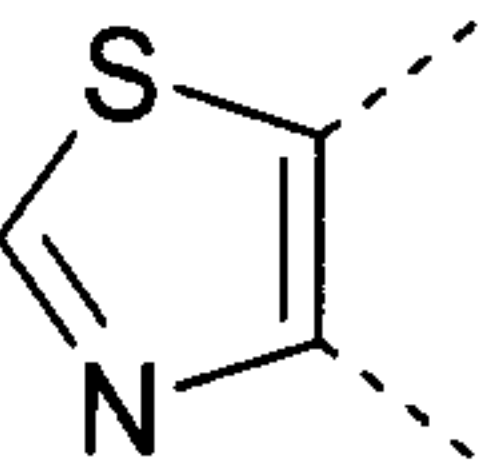
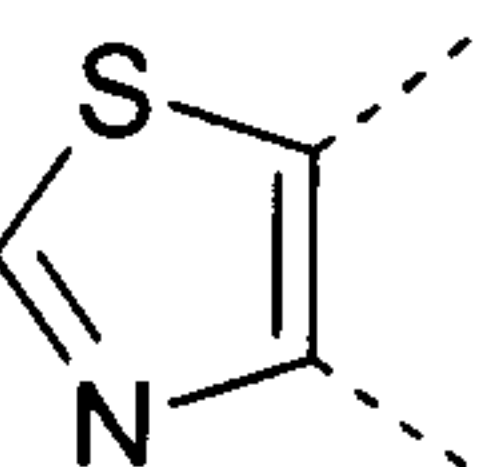
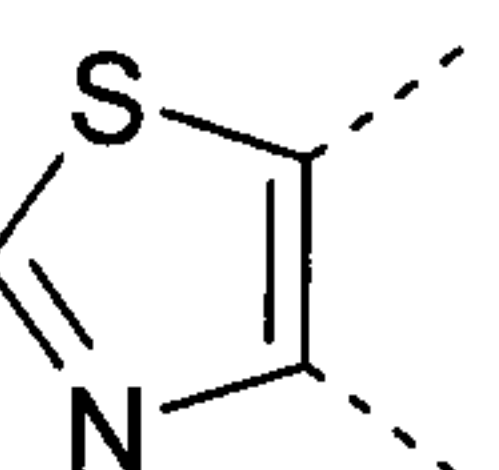
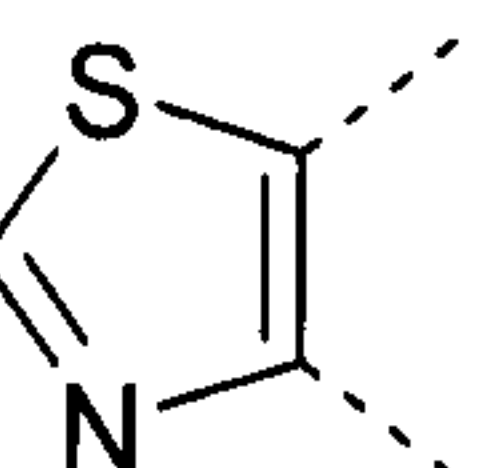
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-95		C=O	CH ₂ CF ₃	Cl	3-I	6-Cl	¹ H NMR (400 MHz, CDCl ₃): 8.96 (s, 1H), 7.82 (d, 1H), 7.14 (t, 1H), 5.13 (m, 2H)
I-a-96		C=O	CH ₂ CHF ₂	Me	4-Br	6-Et	¹ H NMR (400 MHz, d6-DMSO): 9.39 (s, 1H), 7.33 (d, 1H), 7.30 (d, 1H), 6.34 (m, 1H), 4.74 (m, 2H), 2.31 (m, 2H), 2.10 (s, 3H), 0.99 (m, 3H)
I-a-97		C=O	CH ₂ CHF ₂	Me	4-Br	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 9.39 (s, 1H), 7.32 (d, 2H), 6.35 (m, 1H), 4.74 (m, 2H), 2.01 (s, 6H)
I-a-98		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.49 (d, 2H), 7.39 (t, 1H), 3.68 (s, 3H), 2.86 (s, 3H)
I-a-99		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.48 (d, 2H), 7.37 (t, 1H), 6.22 (m, 1H), 4.81 (m, 2H), 3.41 (s, 3H)
I-a-100		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 7.36 (d, 2H), 7.19 (t, 1H), 3.50 (s, 3H), 3.36 (s, 3H)
I-a-101		C=O	CH ₃	Me	4-O-CH ₂ -CF ₃	6-Et	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H), 6.80 (d, 1H), 6.76 (d, 1H), 4.38 (m, 2H), 3.90 (s, 3H), 2.44 (m, 2H), 1.09 (m, 3H)
I-a-102		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 12.0 (bs, 1H), 7.54 (d, 2H), 7.43 (t, 1H), 6.36 (m, 1H), 4.70 (m, 2H), 3.12 (s, 3H)
I-a-103		C=O	CH ₃	Me	4-Br	6-Et	¹ H NMR (400 MHz, d6-DMSO): 10.8 (bs, 1H), 9.36 (s, 1H), 7.32 (d, 1H), 7.29 (d, 1H), 3.70 (s, 3H), 2.31 (m, 2H), 1.98 (s, 3H), 0.98 (t, 3H)

WO 2012/028582

140

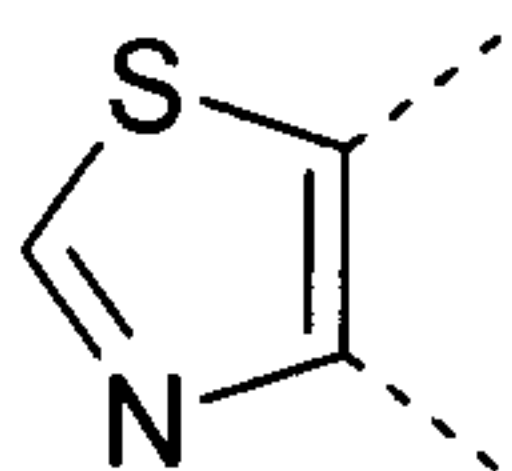
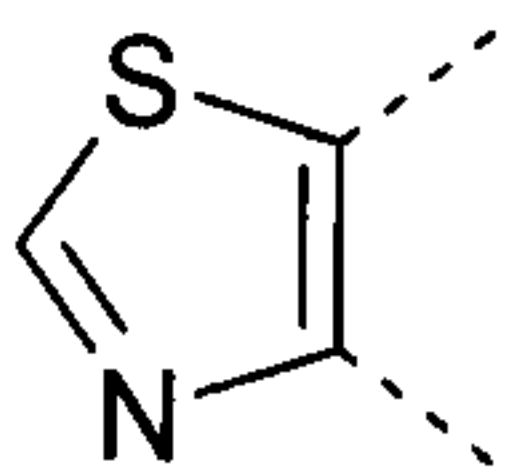
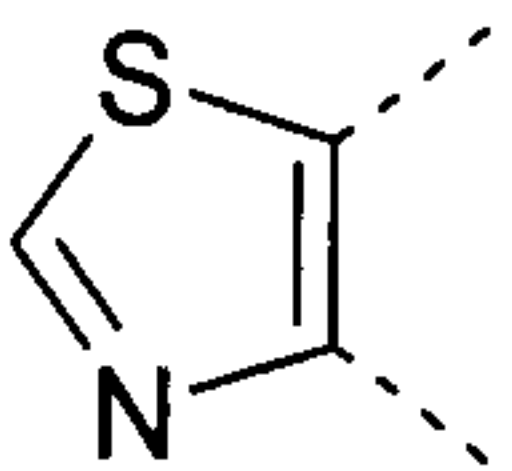
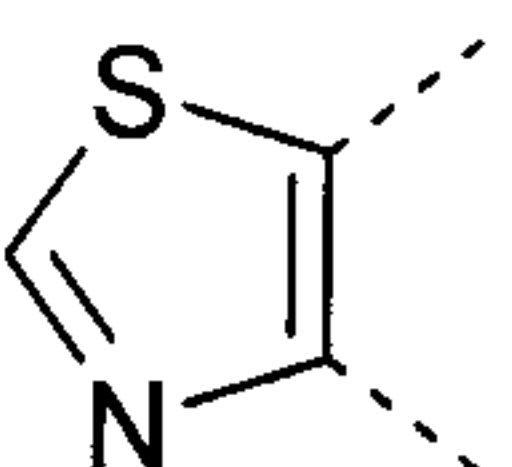
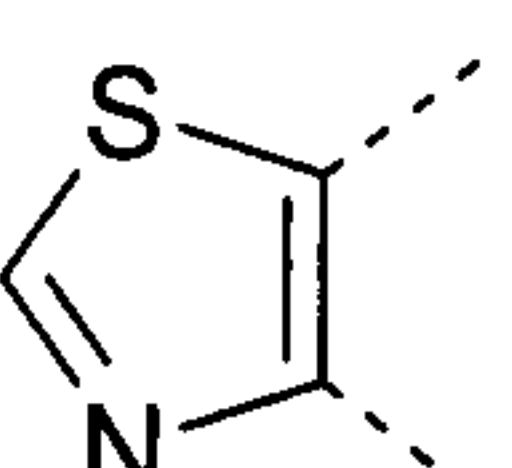
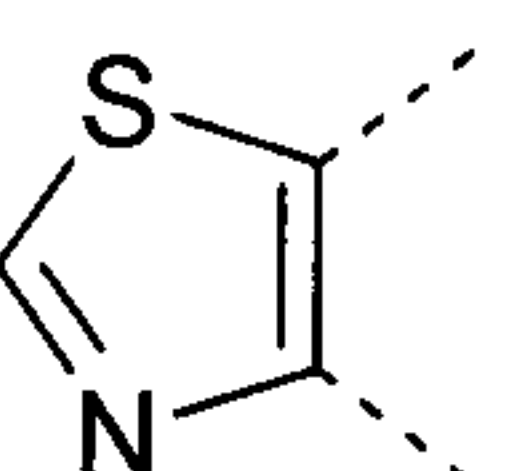
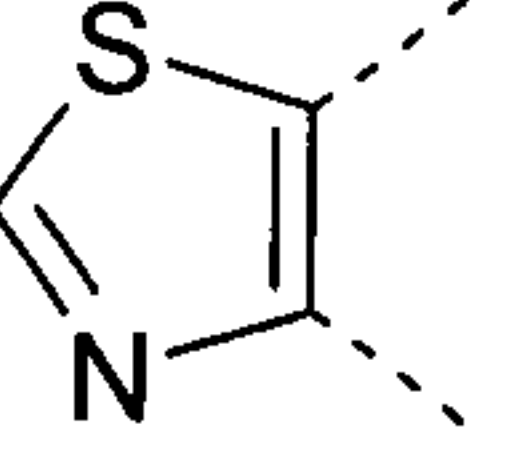
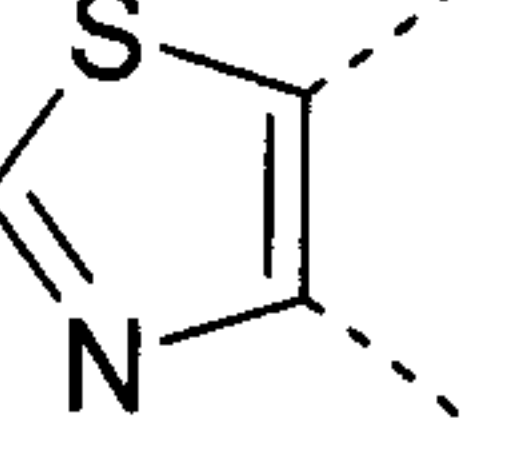
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-104		C=O	CH ₂ CHF ₂	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.40 (s, 1H), 7.41 (s, 2H), 6.36 (m, 1H), 4.75 (m, 2H); 2.11 (s, 6H)
I-a-105		C=O	CH ₂ C≡CH	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.42 (s, 1H), 7.41 (s, 2H), 5.05 (d, 2H), 3.14 (t, 1H); 2.11 (s, 6H)
I-a-106		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 7.53 (d, 2H), 7.42 (t, 1H), 3.67 (s, 3H), 3.12 (s, 3H)
I-a-107		C=O	CH ₃	Me	4-O-CH ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H), 6.78 (s, 2H), 4.37 (m, 2H); 3.90 (s, 3H), 2.13 (s, 6H)
I-a-108		C=O	CH ₃	Me	4-CF ₂ -CF ₃	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 9.38 (s, 1H), 7.40 (s, 2H), 3.71 (s, 3H); 2.10 (s, 6H)
I-a-109		C=O	CH ₂ C≡CH	I	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.42 (s, 1H), 7.81 (d, 1H), 7.39 (d, 1H), 5.04 (d, 2H), 3.12 (t, 1H); 2.37 (m, 2H), 0.99 (m, 3H)
I-a-110		C=O	CH ₂ CHF ₂	I	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.41 (s, 1H), 7.81 (d, 1H), 7.40 (d, 1H), 6.32 (m, 1H), 4.75 (m, 2H), 2.36 (m, 2H), 0.97 (m, 3H)
I-a-111		C=O	CH ₃	I	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 9.38 (s, 1H), 7.80 (d, 1H), 7.39 (d, 1H), 3.70 (s, 3H), 2.36 (m, 2H), 0.99 (m, 3H)
I-a-112		C=O	CH ₂ CHF ₂	F	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.39 (s, 1H), 6.94 (d, 1H), 6.86 (dd, 1H), 6.35 (m, 1H), 4.73 (m, 2H), 2.32 (s, 3H), 2.06 (s, 3H)

WO 2012/028582

141

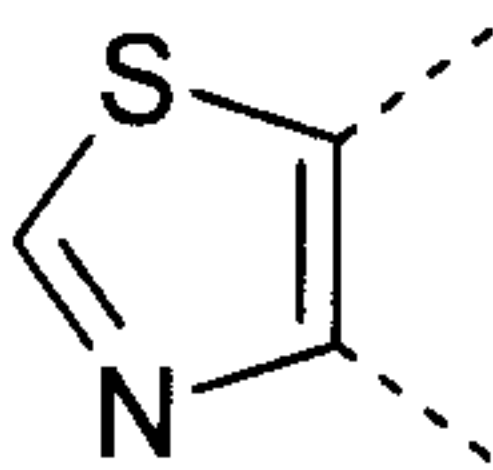
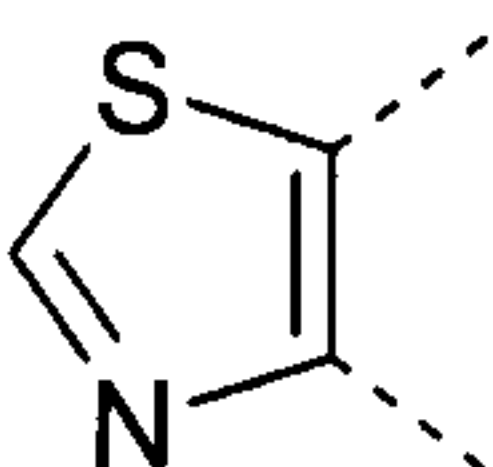
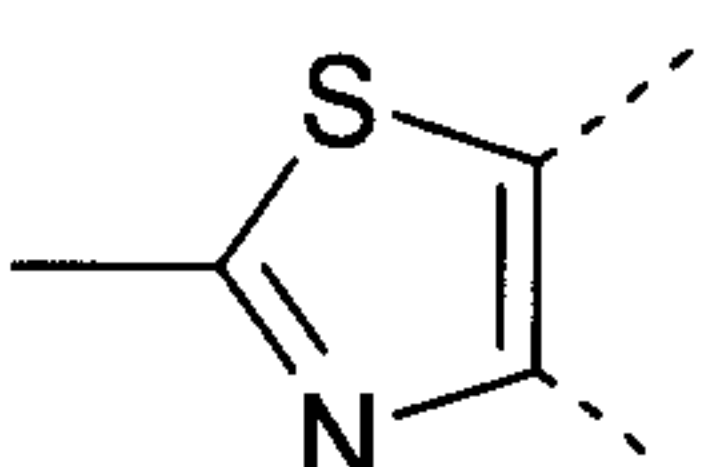
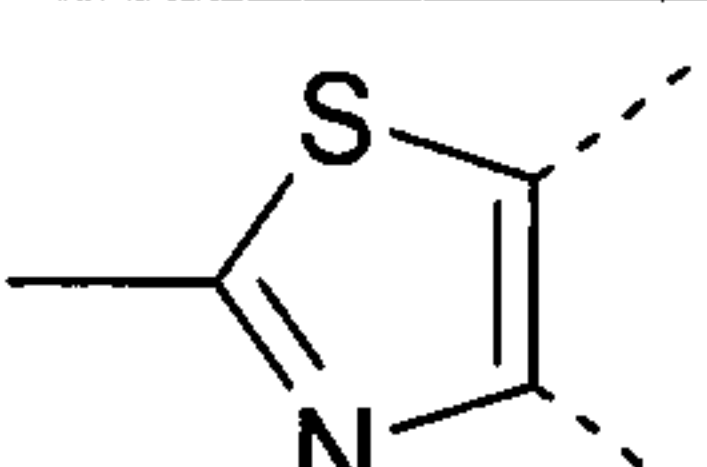
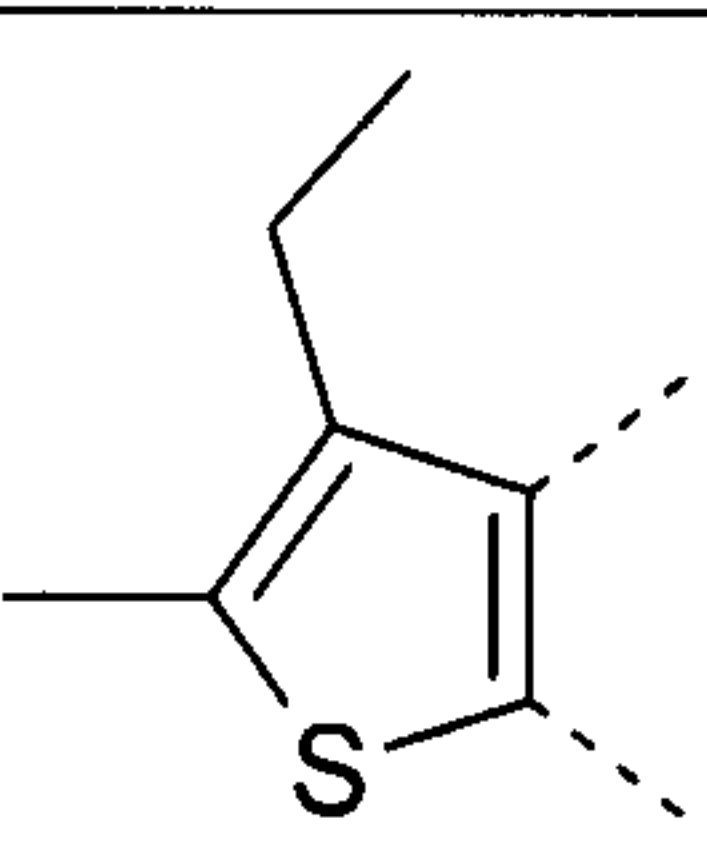
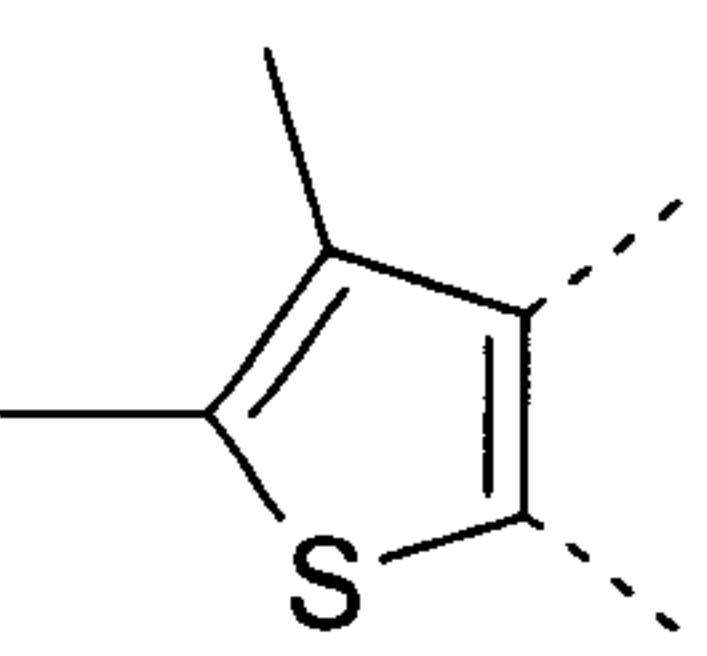
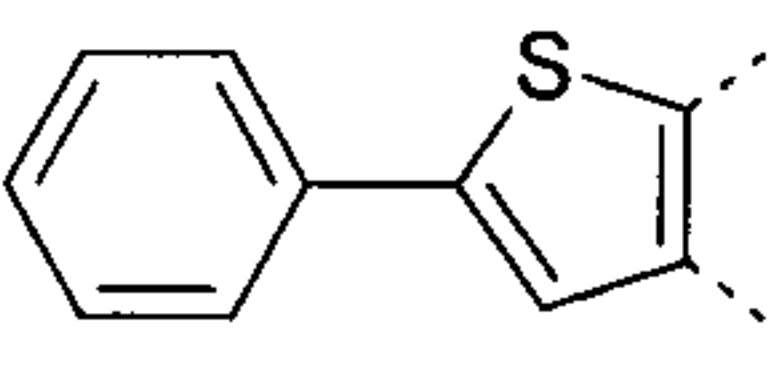
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-113		C=O	CH ₂ CHF ₂	Br	4-Br	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.40 (s, 1H), 7.74 (d, 1H), 7.55 (d, 1H), 6.34 (m, 1H), 4.74 (m, 2H), 2.09 (s, 3H)
I-a-114		C=O	CH ₃	F	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 9.36 (s, 1H), 6.93 (d, 1H), 6.84 (dd, 1H), 3.69 (s, 3H), 2.32 (s, 3H), 2.04 (s, 3H)
I-a-115		C=O	CH ₂ CHF ₂	Br	4-Br	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.40 (s, 1H), 7.75 (d, 1H), 7.52 (d, 1H), 6.33 (m, 1H), 4.74 (m, 2H), 2.39 (m, 2H), 0.98 (m, 3H)
I-a-116		C=O	CH ₂ C≡CH	Br	4-Br	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.42 (s, 1H), 7.76 (d, 1H), 7.52 (d, 1H), 5.04 (d, 2H), 3.13 (t, 1H), 2.36 (m, 2H), 1.00 (m, 3H)
I-a-117		C=O	CH ₂ C≡CH	Br	4-Br	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.42 (s, 1H), 7.75 (d, 1H), 7.55 (d, 1H), 5.04 (d, 2H), 3.13 (t, 1H), 2.08 (s, 3H)
I-a-118		C=O	CH ₃	Br	4-Br	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 9.38 (s, 1H), 7.73 (d, 1H), 7.54 (d, 1H), 3.70 (s, 3H), 2.08 (s, 3H)
I-a-119		C=O	CH ₃	Br	4-Br	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.1 (bs, 1H), 9.38 (s, 1H), 7.74 (d, 1H), 7.51 (d, 1H), 3.70 (s, 3H), 2.37 (m, 2H), 1.00 (t, 3H)
I-a-120		C=O	CH ₂ C≡CH	F	4-Me	6-Me	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.41 (s, 1H), 6.94 (d, 1H), 6.86 (dd, 1H), 5.04 (d, 2H), 3.17 (t, 1H), 2.32 (s, 3H), 2.04 (s, 3H)

WO 2012/028582

142

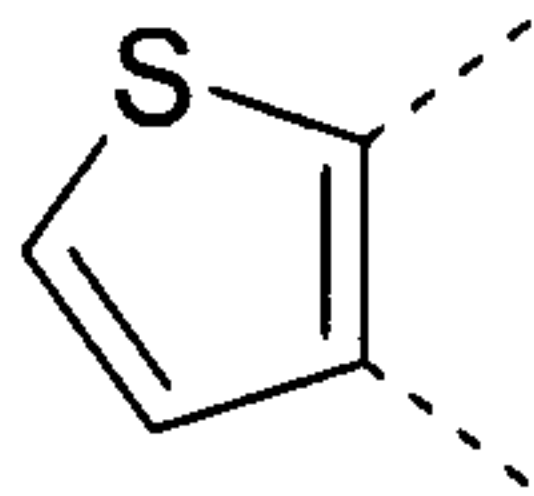
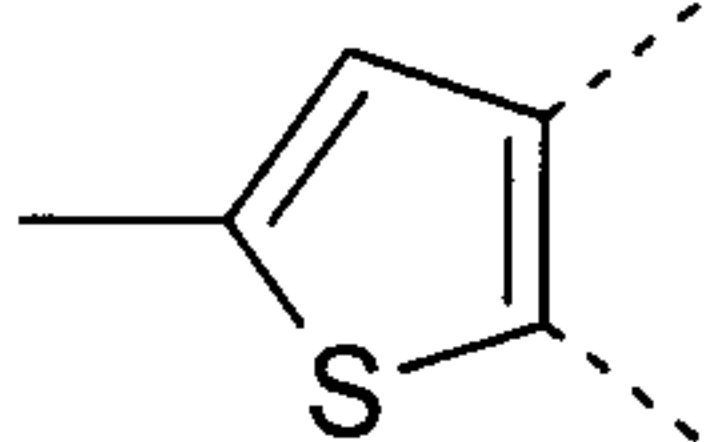
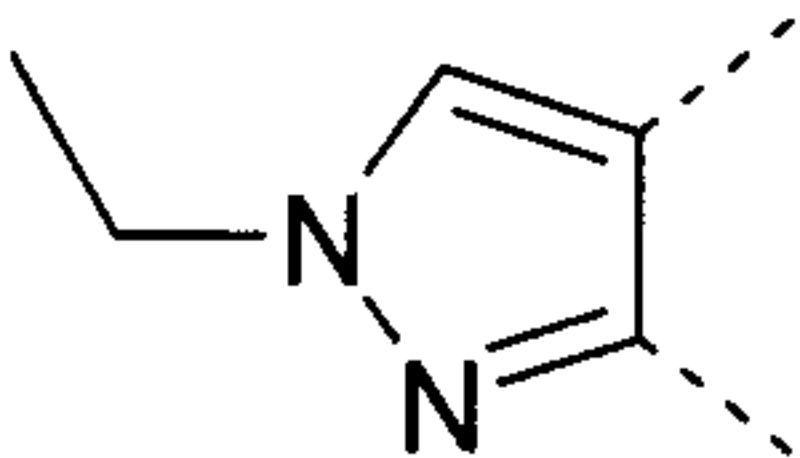
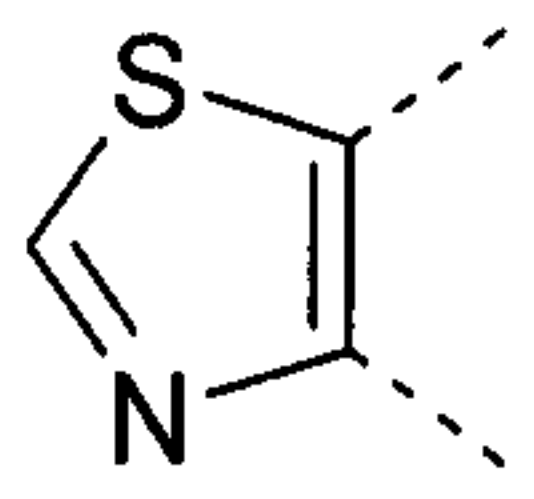
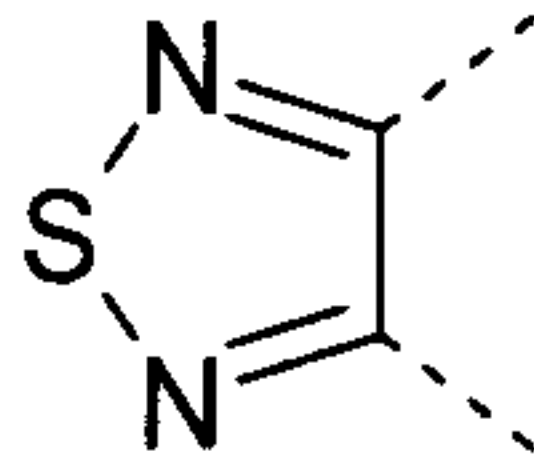
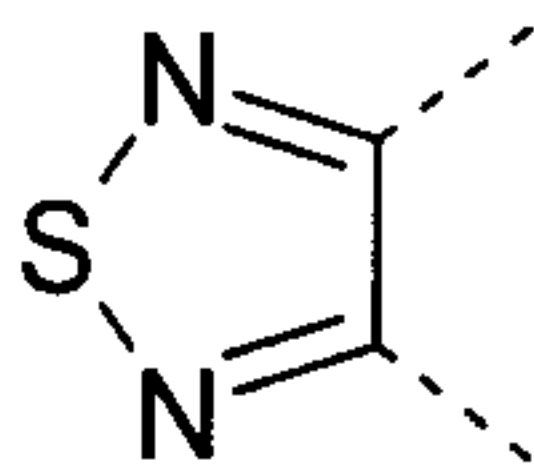
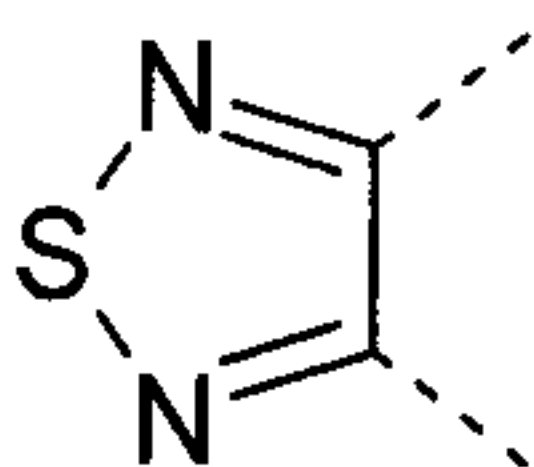
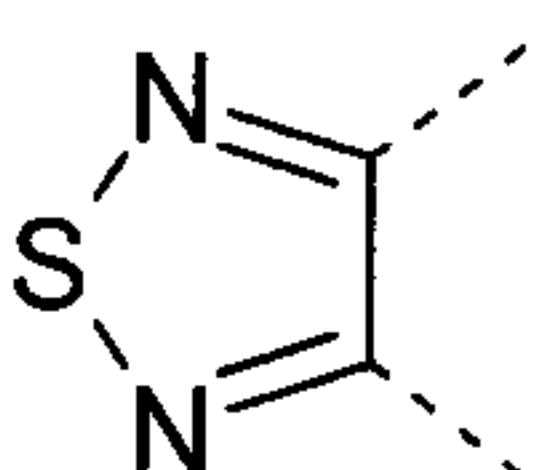
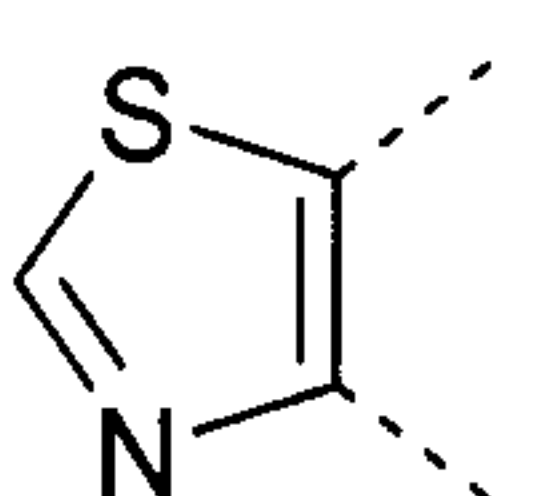
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-121		C=O	CH ₂ CHF ₂	Me	4-(2'-c-Pr)-c-Pr	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H), 6.84 (d, 2H), 6.28 (m, 1H), 4.86 (m, 2H), 2.08 (s, 6H), 1.60 (m, 1H), 1.10 (m, 1H) 0.90 (m, 1H), 0.75 (m, 2H), 0.40 (m, 2H), 0.15 (m, 2H)
I-a-122		C=O	CH ₃	Me	4-(2'-c-Pr)-c-Pr	Me	¹ H NMR (400 MHz, d6-DMSO): 10.6 (bs, 1H), 9.33 (s, 1H), 6.74 (d, 2H), 3.69 (s, 3H), 1.94 (s, 6H), 1.60 (m, 1H), 1.10 (m, 1H) 0.90 (m, 1H), 0.75 (m, 2H), 0.40 (m, 2H), 0.15 (m, 2H)
I-a-123		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 7.52 (d, 2H), 7.39 (t, 1H), 3.65 (s, 3H), 2.81 (s, 3H)
I-a-124		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 7.52 (d, 2H), 7.40 (t, 1H), 6.33 (m, 1H), 4.69 (m, 2H), 2.82 (s, 3H)
I-a-125		C=O	CH ₂ CHF ₂	Cl	4-Br	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.58 (d, 1H); 7.45 (d, 1H); 6.21 (tt, 1H); 5.39 (s, 1H); 4.38 (m, 2H); 2.82 (m, 2H); 2.47 (m, 2H); 2.39 (s, 3H); 1.15 (t, 3H); 1.11 (t, 3H)
I-a-126		C=O	CH ₂ CHF ₂	Cl	4-Br	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.37 (d, 1H); 7.23 (d, 1H); 6.05 (tt, 1H); 4.33 (m, 2H); 4.18 (m, 1H); 3.73 (s, 2H); 3.69 (m, 1H); 2.54 (q, 2H); 2.37 (s, 3H); 2.30 (s, 3H); 1.36 (t, 3H); 1.17 (t, 3H)
I-a-127		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.71 (d, 1H); 7.69 (m, 1H); 7.62 (d, 1H); 7.46 (m, 3H); 7.35 (m, 2H); 6.16 (tt, 1H); 5.64 (s, 1H); 4.57 (m, 2H); 2.51 (m, 2H); 1.13 (t, 3H)

WO 2012/028582

143

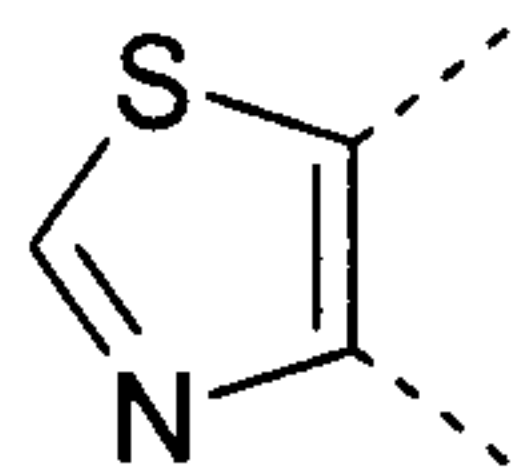
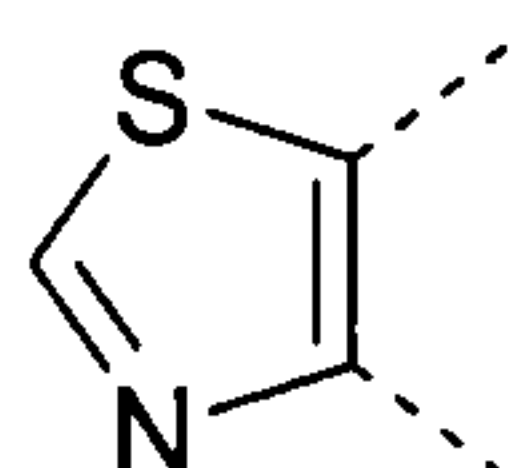
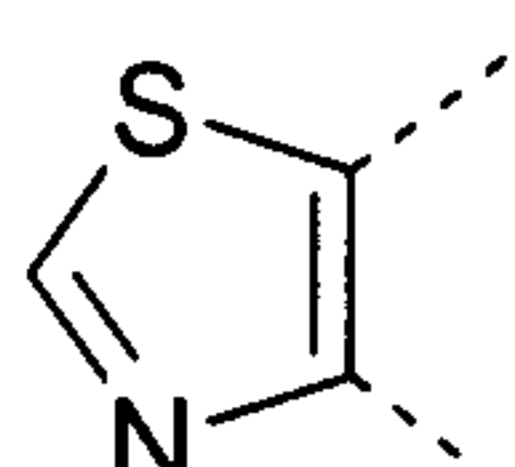
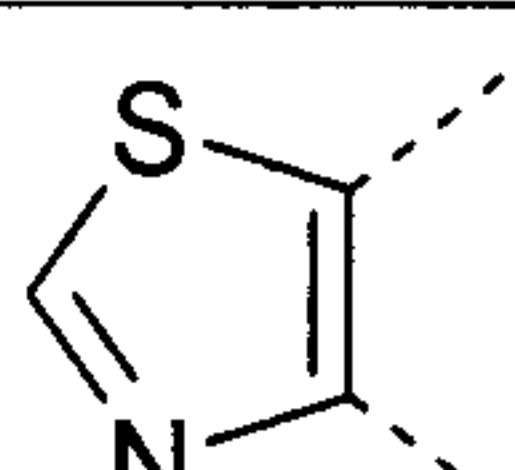
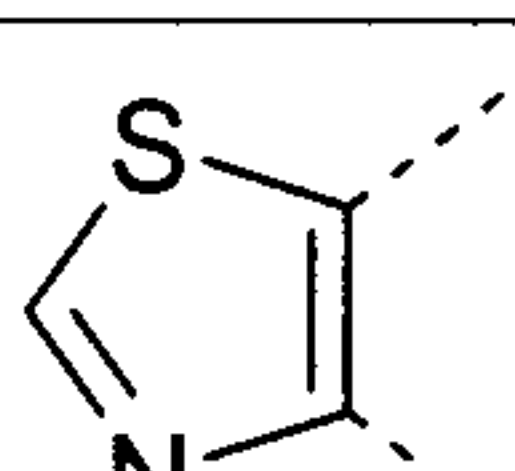
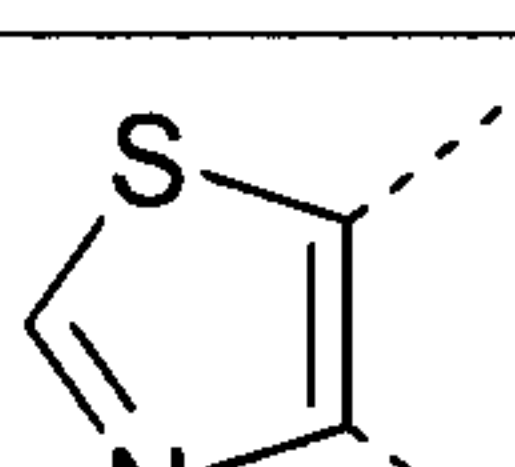
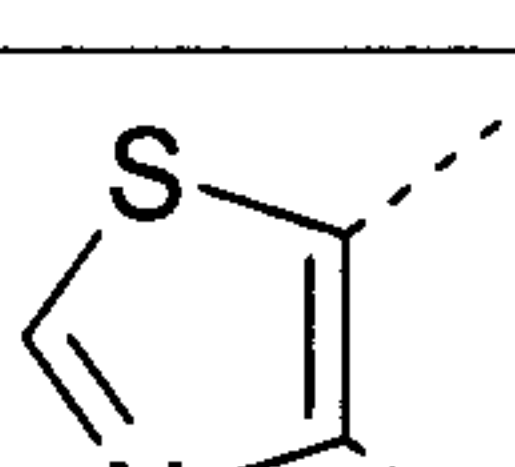
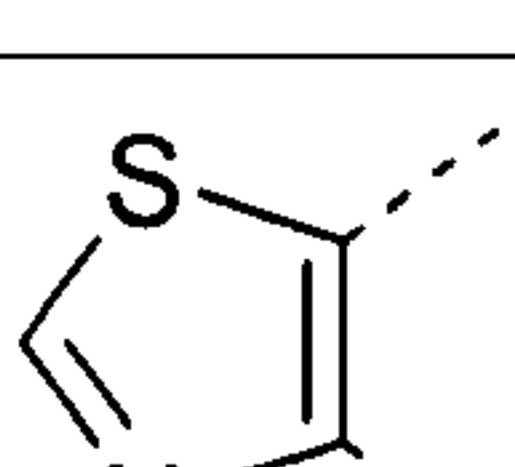
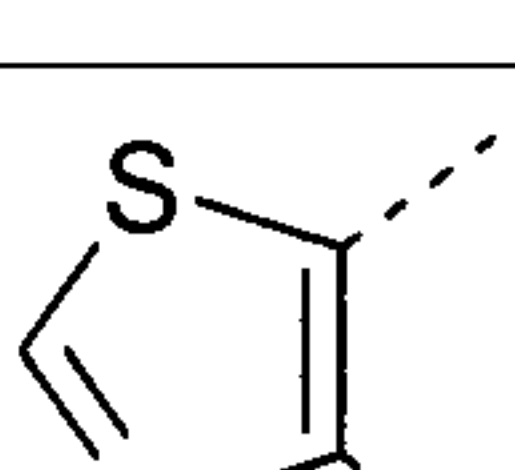
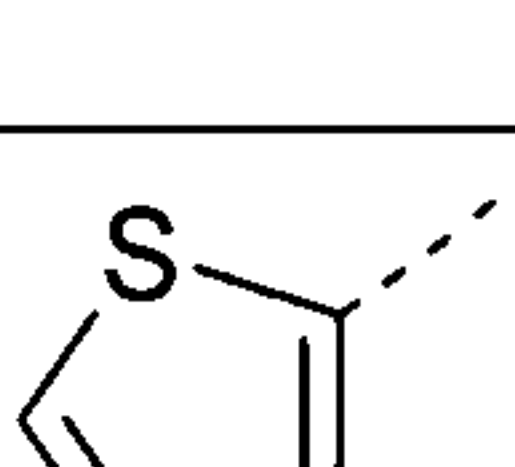
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-128		C=O	CH ₂ CHF ₂	Cl	4-Br	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.47 (d, 1H); 7.40 (d, 1H); 7.33 (d, 1H); 6.92 (d, 1H); 6.12 (tt, 1H); 4.15 (m, 2H); 2.55 (m, 2H); 1.04 (t, 3H)
I-a-129		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.59 (d, 1H); 7.32 (d, 1H); 6.94 (q, 1H); 6.20 (tt, 1H); 5.54 (s, 1H); 4.37 (m, 2H); 2.52 (d, 3H); 2.47 (m, 2H); 1.10 (t, 3H)
I-a-130		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d ₆ -DMSO): 11.11 (s, 1H); 8.24 (s, 1H); 7.60 (d, 1H); 7.36 (d, 1H); 6.31 (tt, 1H); 4.42 (m, 2H); 4.26 (q, 2H); 2.38 (m, 2H); 1.44 (t, 3H); 0.99 (t, 3H)
I-a-131		C=O	CH ₃	Br	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d ₆ -DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 8.05 (d, 1H), 7.71 (d, 1H), 3.69 (s, 3H)
I-a-132		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d ₆ -DMSO): 12.3 (bs, 1H), 7.59 (m, 2H), 7.45 (m, 1H), 6.38 (m, 1H), 4.65 (m, 2H)
I-a-133		C=O	CH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d ₆ -DMSO): 12.3 (bs, 1H), 7.55 (d, 2H), 7.44 (t, 1H), 3.61 (s, 3H)
I-a-134		C=O	CH ₃	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d ₆ -DMSO): 12.0 (bs, 1H), 7.66 (d, 1H), 7.42 (d, 1H), 3.60 (s, 3H), 2.40 (m, 2H), 1.02 (t, 3H)
I-a-135		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.58 (d, 1H), 7.31 (m, 1H), 6.22 (m, 1H), 4.70 (m, 2H), 2.47 (m, 2H), 1.12 (m, 3H)
I-a-136		C=O	CH ₂ CHF ₂	Cl	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d ₆ -DMSO): 11.9 (bs, 1H), 9.44 (s, 1H), 7.94 (d, 1H), 7.70 (d, 1H), 6.31 (m, 1H), 4.74 (m, 2H)

WO 2012/028582

144

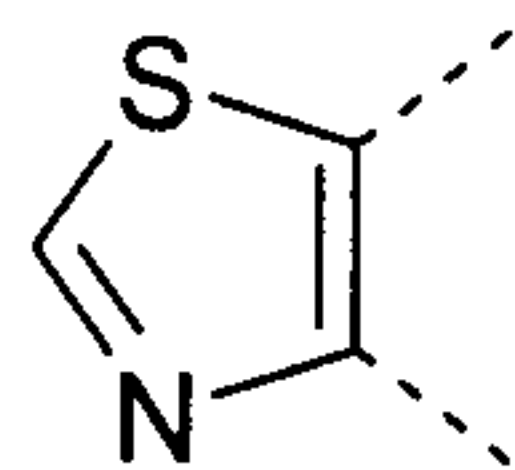
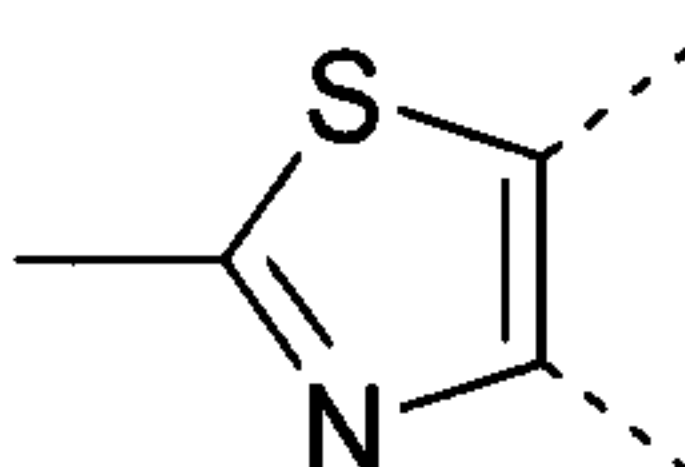
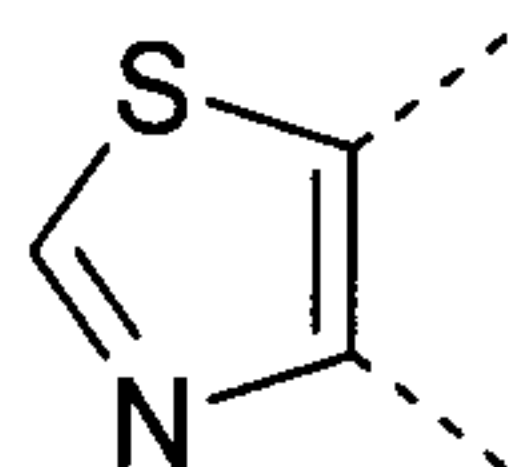
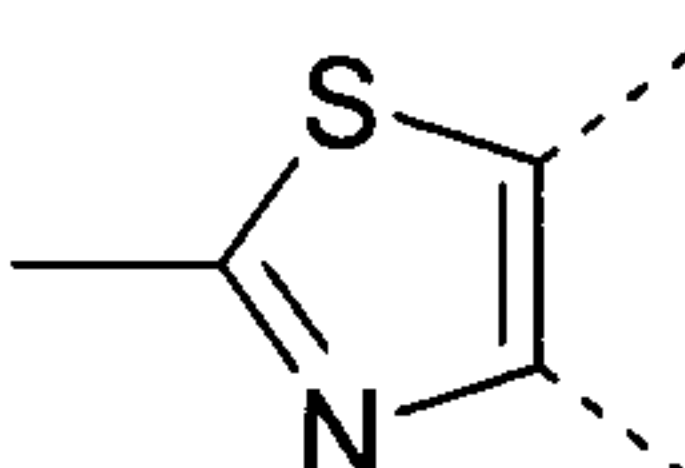
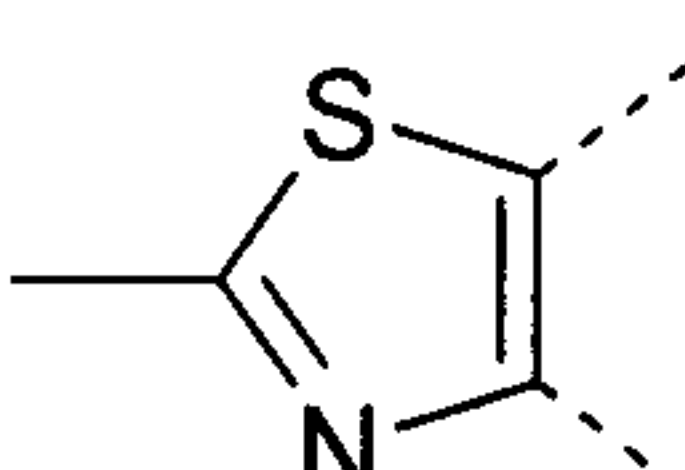
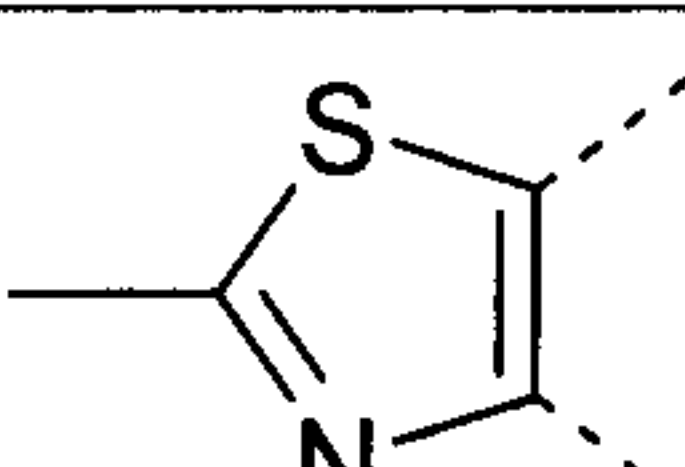
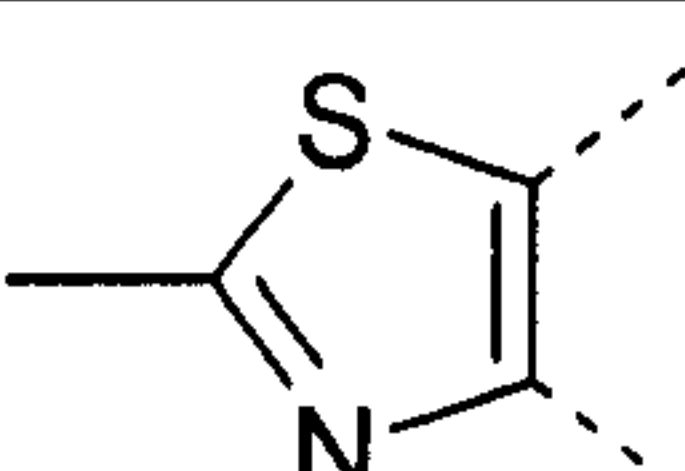
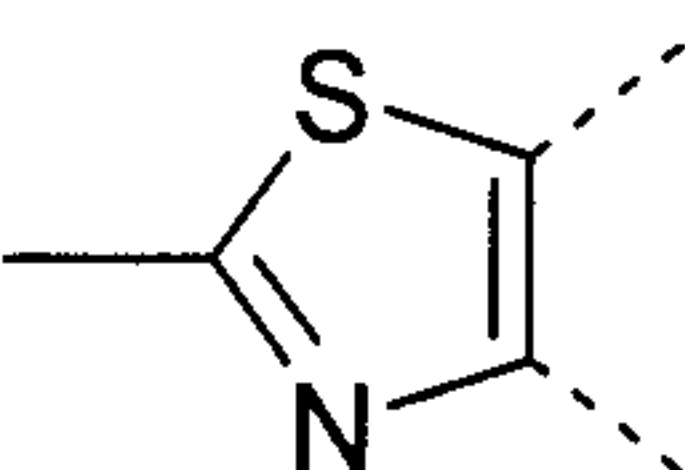
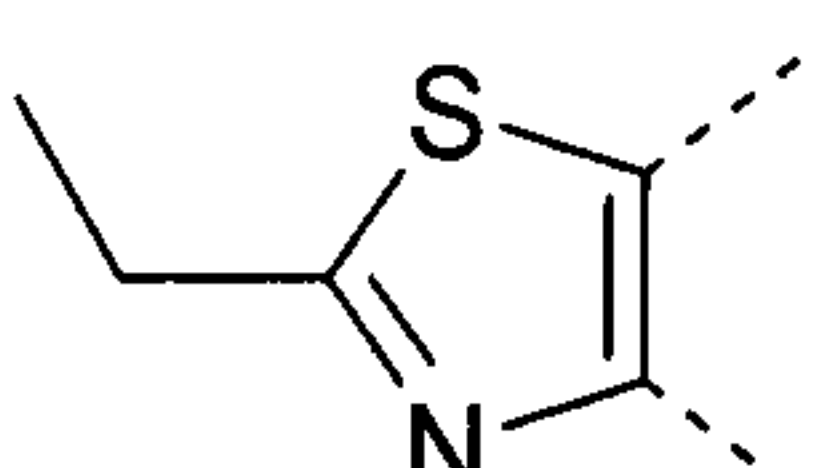
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-137		C=O	CH ₃	Cl	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.42 (s, 1H), 7.93 (d, 1H), 7.69 (d, 1H), 3.69 (s, 3H)
I-a-138		C=O	CH ₂ C≡CH	Br	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.45 (s, 1H), 8.06 (d, 1H), 7.72 (d, 1H), 5.03 (d, 2H), 3.13 (t, 1H)
I-a-139		C=O	CH ₂ C≡CH	Cl	4-Br	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 9.45 (s, 1H), 7.93 (d, 1H), 7.69 (d, 1H), 5.03 (d, 2H), 3.14 (t, 1H)
I-a-140		C=O	CH ₂ CHF ₂	Br	4-Cl	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.9 (bs, 1H), 9.44 (s, 1H), 7.94 (d, 1H), 7.63 (d, 1H), 6.31 (m, 1H), 4.74 (m, 2H)
I-a-141		C=O	CH ₃	Br	4-Cl	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.5 (bs, 1H), 9.41 (s, 1H), 7.94 (d, 1H), 7.62 (d, 1H), 3.69 (s, 3H)
I-a-142		C=O	CH ₂ CHF ₂	CF ₃	6-F	H	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.43 (s, 1H), 7.66 (m, 2H), 7.60 (m, 1H), 6.31 (m, 1H), 4.73 (m, 2H)
I-a-143		C=O	CH ₂ C≡CH	Br	4-Cl	6-OCF ₃	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 9.45 (s, 1H), 7.95 (d, 1H), 7.63 (d, 1H), 5.04 (d, 2H), 3.13 (t, 1H)
I-a-144		C=O	CH ₃	CF ₃	6-F	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.41 (s, 1H), 7.65 (m, 2H), 7.59 (m, 1H), 3.69 (s, 3H)
I-a-145		C=O	CH ₂ CHF ₂	CF ₃	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.8 (bs, 1H), 9.42 (s, 1H), 7.86 (dd, 1H), 7.80 (dd, 1H), 7.63 (t, 1H), 6.30 (m, 1H), 4.73 (m, 2H)
I-a-146		C=O	CH ₂ C≡CH	CF ₃	6-F	H	¹ H NMR (400 MHz, CDCl ₃): 8.96 (s, 1H), 7.57 (dd, 1H), 7.49 (m, 1H), 7.34 (m, 1H), 5.17 (d, 2H), 2.21 (d, 1H)

WO 2012/028582

145

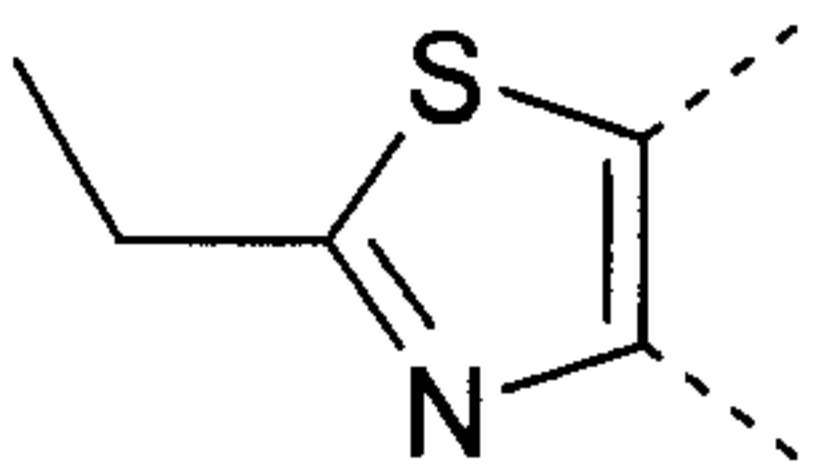
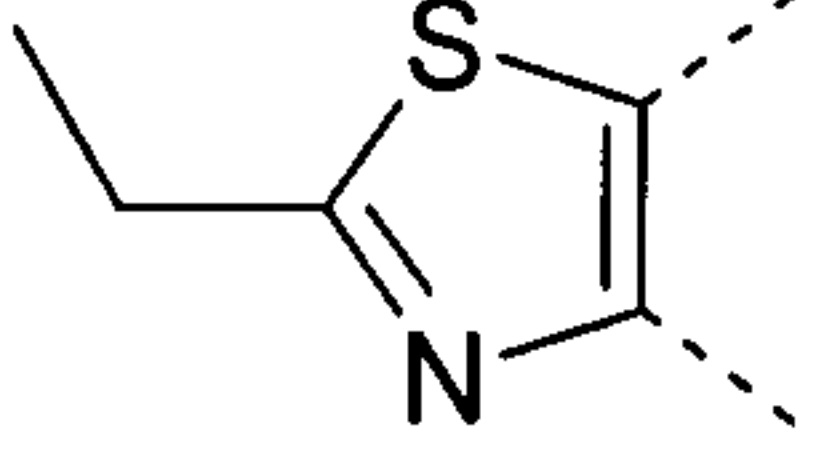
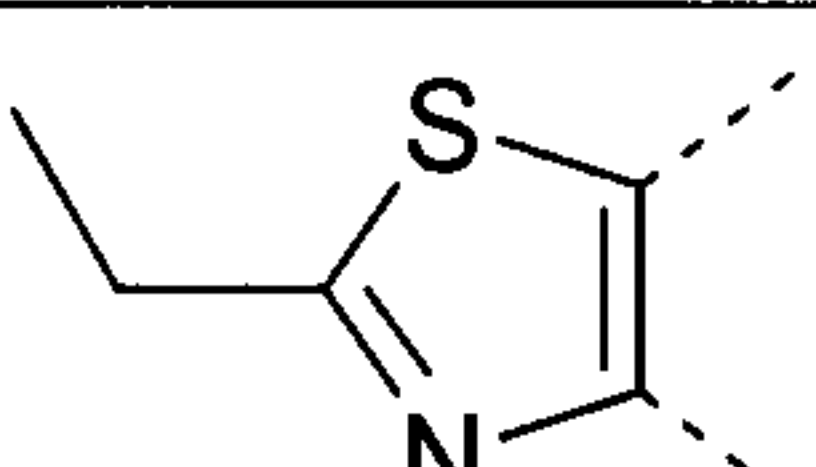
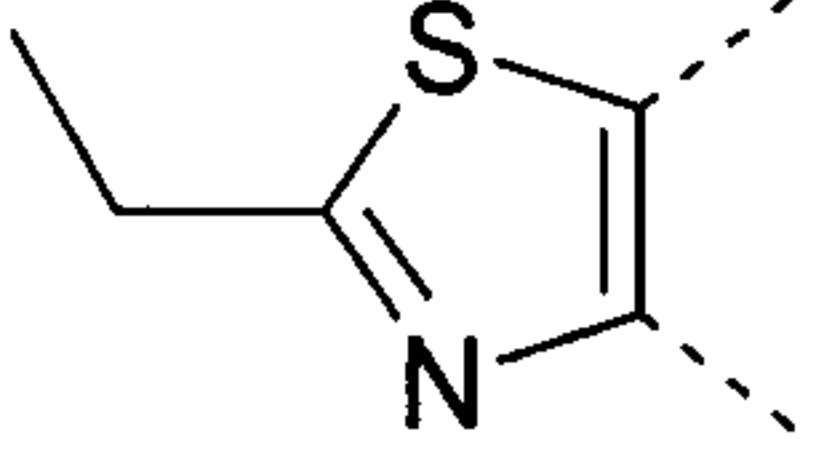
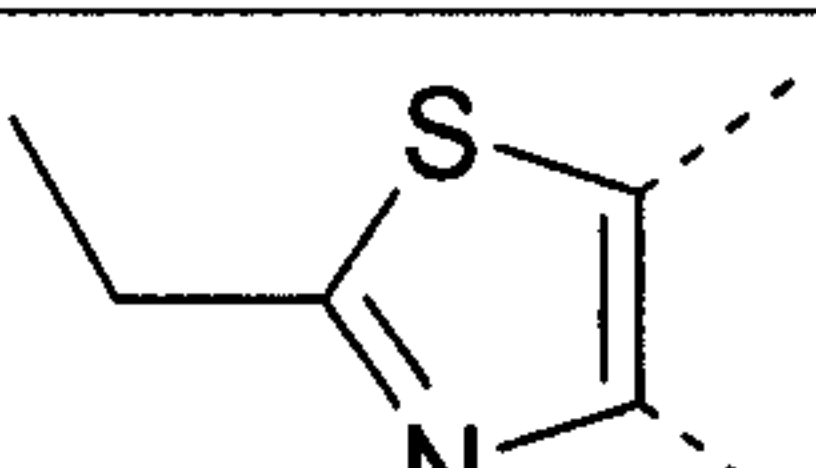
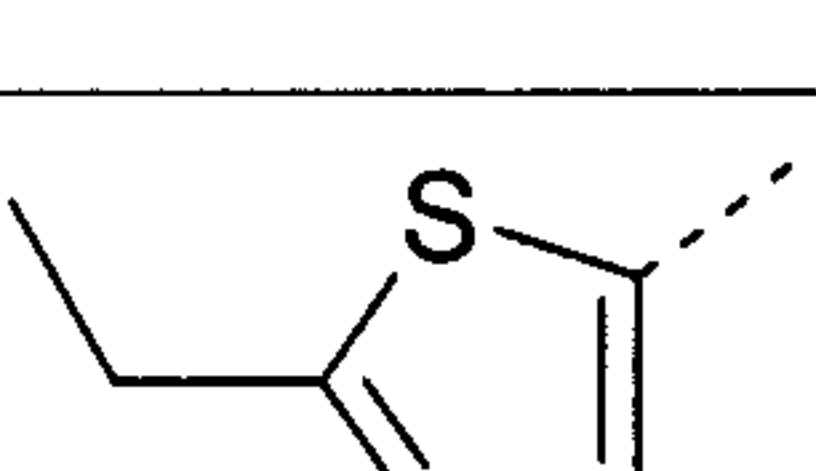
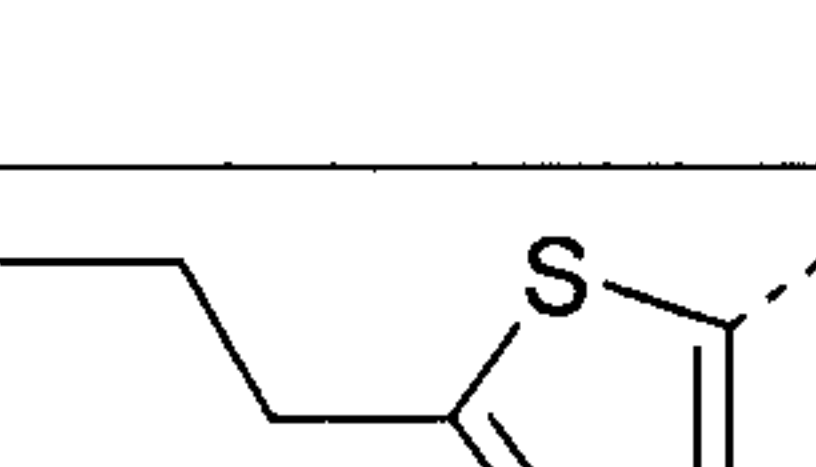
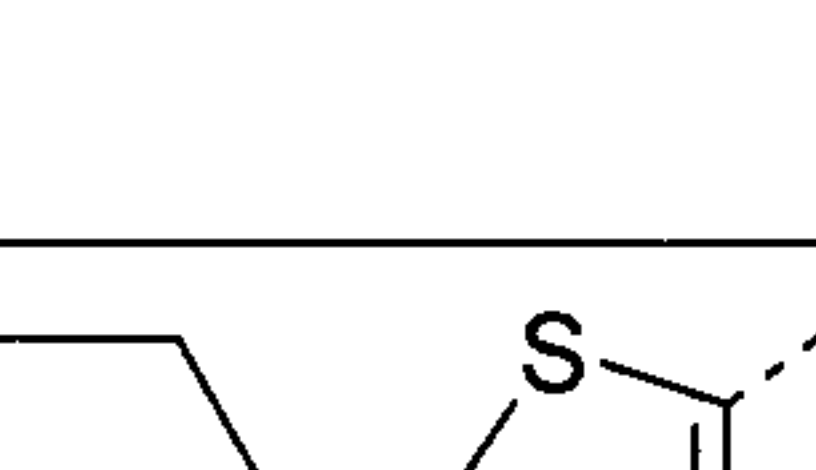
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-147		C=O	CH ₃	CF ₃	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 9.40 (s, 1H), 7.87 (dd, 1H), 7.78 (dd, 1H), 7.62 (m, 1H), 3.68 (s, 3H)
I-a-148		C=O	CH ₂ CHF ₂	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.49 (d, 1H), 7.35 (d, 1H), 6.33 (m, 1H), 4.69 (m, 2H), 2.81 (s, 3H), 2.37 (m, 2H), 1.00 (t, 3H)
I-a-149		C=O	CH ₂ C≡CH	CF ₃	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 8.98 (s, 1H), 7.66 (m, 2H), 7.43 (m, 1H), 7.34 (m, 1H), 5.16 (d, 2H), 2.21 (d, 1H)
I-a-150		C=O	CH ₂ C≡CH	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.36 (d, 1H), 7.25 (d, 1H), 5.17 (dd, 2H), 2.82 (s, 3H), 2.50 (m, 2H), 2.16 (t, 1H), 1.09 (t, 3H)
I-a-151		C=O	CH ₃	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.40 (d, 1H), 7.26 (s, 1H), 3.82 (s, 3H), 2.82 (s, 3H), 2.44 (m, 2H), 1.09 (t, 3H)
I-a-152		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.63 (d, 1H), 7.39 (d, 1H), 6.32 (m, 1H), 4.69 (m, 2H), 2.81 (s, 3H), 2.40 (m, 2H), 1.00 (t, 3H)
I-a-153		C=O	CH ₂ C≡CH	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 7.63 (d, 1H), 7.39 (d, 1H), 4.98 (d, 2H), 2.82 (s, 3H), 3.13 (t, 1H), 2.36 (dq, 2H), 1.00 (dt, 3H)
I-a-154		C=O	CH ₃	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 7.62 (d, 1H), 7.38 (s, 1H), 3.65 (s, 3H), 2.80 (s, 3H), 2.36 (m, 2H), 1.00 (m, 3H)
I-a-155		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 7.51 (d, 2H), 7.40 (t, 1H), 6.33 (m, 1H), 4.69 (m, 2H), 3.12 (q, 2H), 1.37 (t, 3H)

WO 2012/028582

146

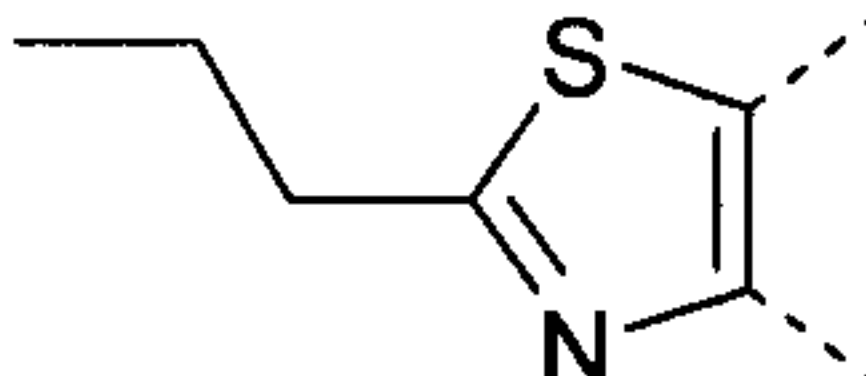
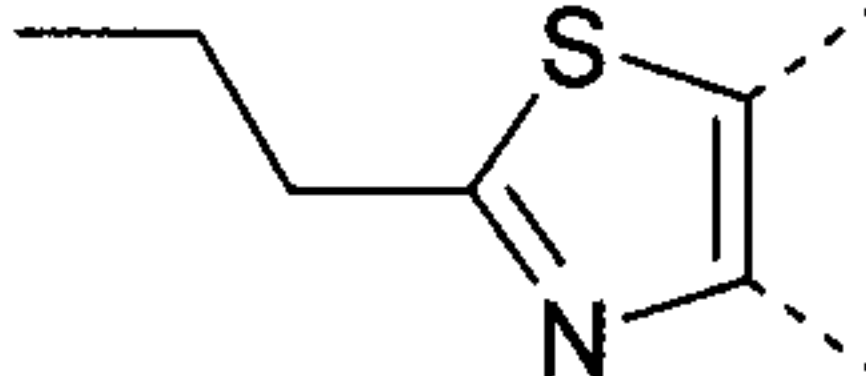
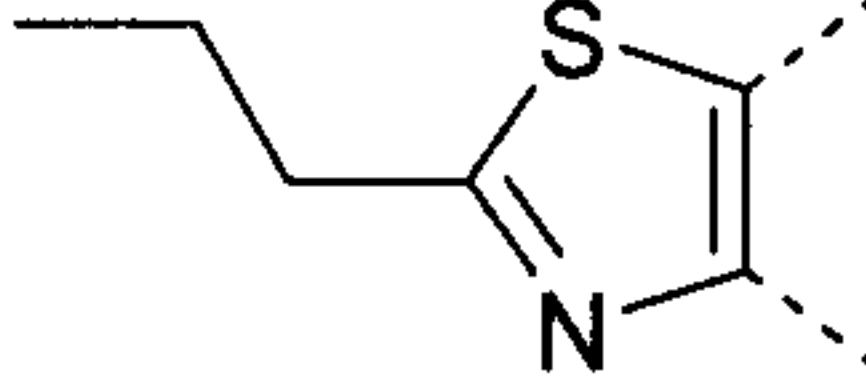
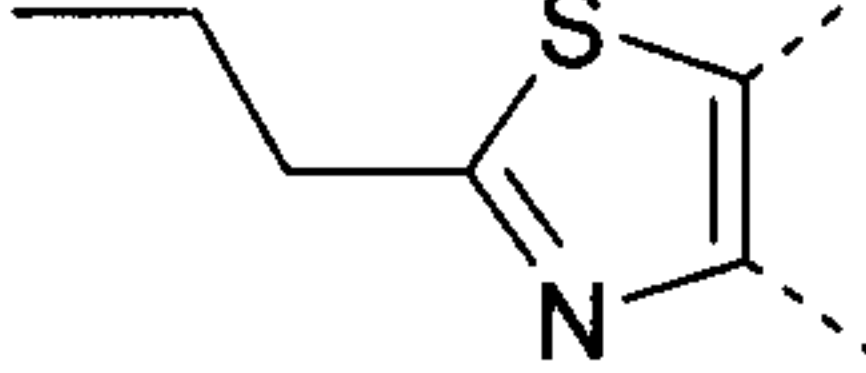
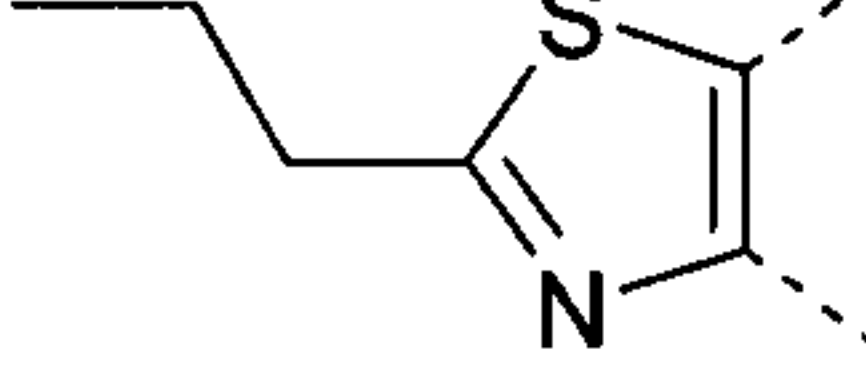
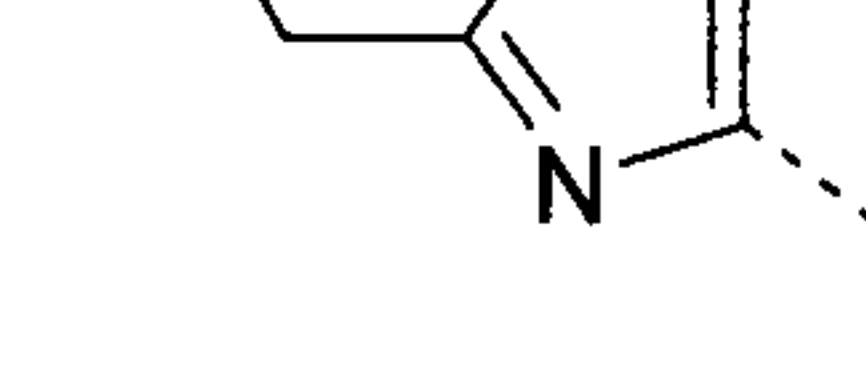
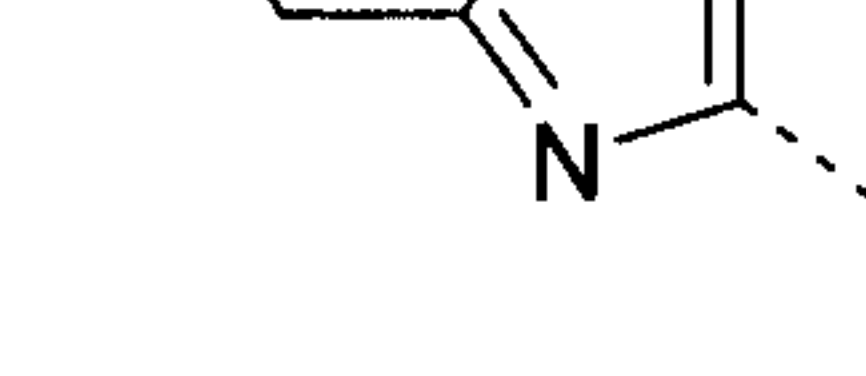
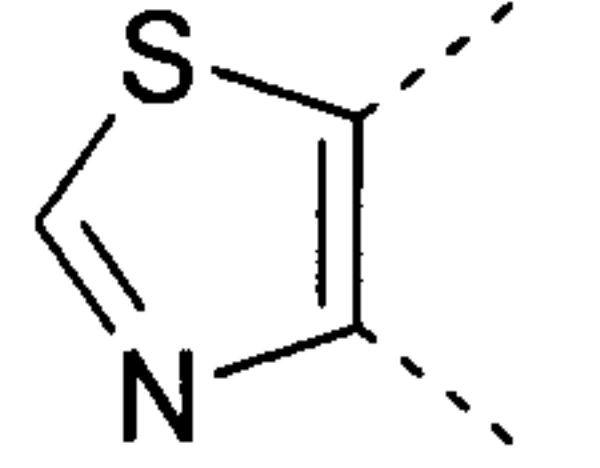
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-156		C=O	$\text{CH}_2\text{C}\equiv\text{CH}$	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 7.52 (d, 2H), 7.40 (t, 1H), 5.00 (d, 2H), 3.14 (m, 2H+1H), 1.38 (t, 3H)
I-a-157		C=O	CH_2CHF_2	Cl	4-Cl	6-Et	^1H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 7.49 (d, 1H), 7.35 (d, 1H), 6.33 (m, 1H), 4.70 (m, 2H), 3.12 (q, 2H), 2.36 (m, 2H), 1.37 (t, 3H), 0.99 (t, 3H)
I-a-158		C=O	CH_3	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.51 (d, 2H), 7.40 (t, 1H), 3.66 (s, 3H), 3.11 (q, 2H), 1.35 (t, 3H)
I-a-159		C=O	CH_3	Cl	4-Cl	6-Et	^1H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 7.49 (d, 1H), 7.36 (d, 1H), 3.67 (s, 3H), 3.12 (q, 2H), 2.38 (m, 2H), 1.35 (t, 3H), 0.99 (t, 3H)
I-a-160		C=O	CH_2CHF_2	Br	4-Cl	6-Et	^1H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.63 (d, 1H), 7.39 (d, 1H), 6.32 (m, 1H), 4.69 (m, 2H), 3.12 (q, 2H), 2.38 (m, 2H), 1.37 (t, 3H), 0.99 (t, 3H)
I-a-161		C=O	CH_3	Br	4-Cl	6-Et	^1H NMR (400 MHz, d6-DMSO): 10.9 (bs, 1H), 7.63 (d, 1H), 7.39 (d, 1H), 3.64 (s, 3H), 3.12 (q, 2H), 2.38 (m, 2H), 1.37 (t, 3H), 1.01 (t, 3H)
I-a-162		C=O	CH_2CHF_2	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 7.52 (d, 2H), 7.40 (t, 1H), 6.33 (m, 1H), 4.69 (m, 2H), 3.08 (t, 2H), 1.77 (q, 2H), 0.97 (t, 3H)
I-a-163		C=O	CH_3	Cl	6-Cl	H	^1H NMR (400 MHz, d6-DMSO): 11.2 (bs, 1H), 7.51 (d, 2H), 7.40 (t, 1H), 3.66 (s, 3H), 3.07 (t, 2H), 1.78 (q, 2H), 0.99 (t, 3H)

WO 2012/028582

147

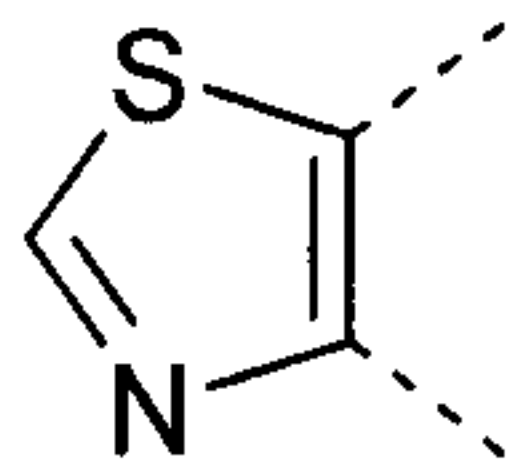
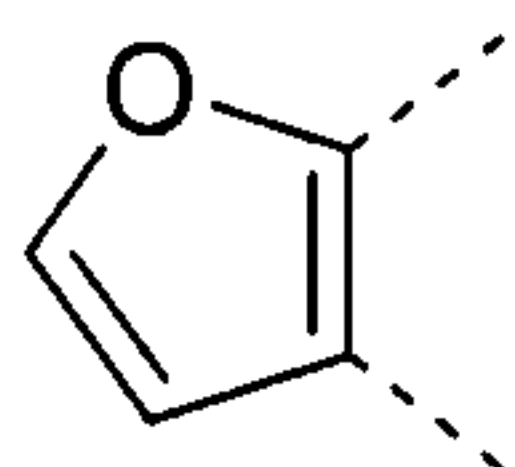
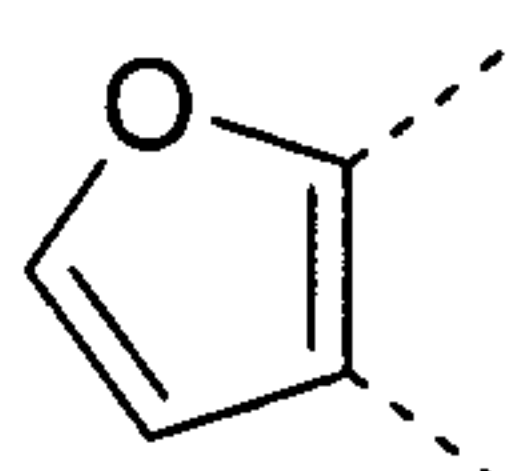
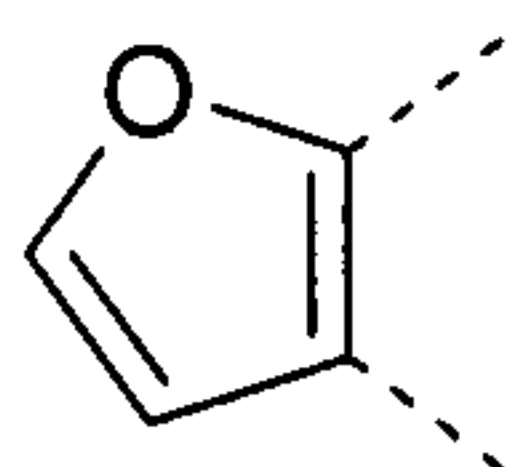
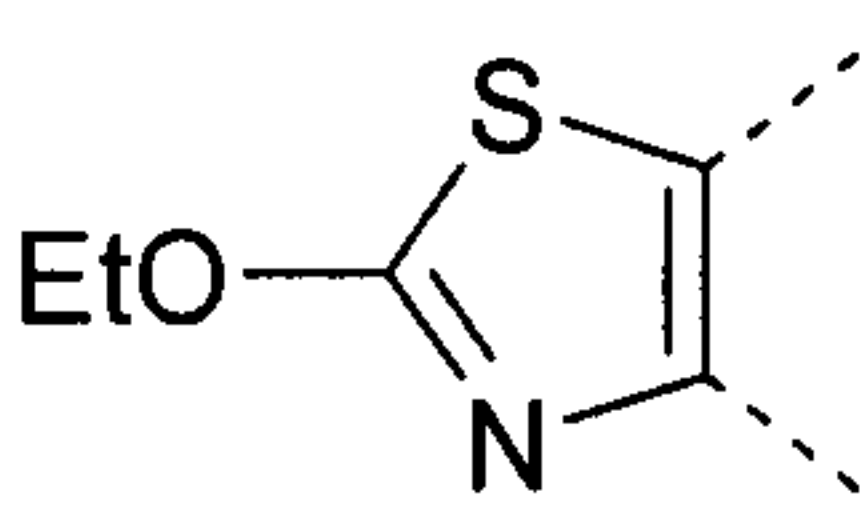
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-164		C=O	CH ₂ CHF ₂	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 7.48 (d, 1H), 7.35 (d, 1H), 6.32 (m, 1H), 4.69 (m, 2H), 3.07 (t, 2H), 2.37 (m, 2H), 1.80 (m, 2H), 0.99 (m, 6H)
I-a-165		C=O	CH ₃	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 7.48 (d, 1H), 7.34 (d, 1H), 3.66 (s, 3H), 3.07 (t, 2H), 2.37 (m, 2H), 1.79 (m, 2H), 1.00 (m, 6H)
I-a-166		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.3 (bs, 1H), 7.63 (d, 1H), 7.39 (d, 1H), 6.32 (m, 1H), 4.70 (m, 2H), 3.07 (t, 2H), 2.38 (m, 2H), 1.79 (m, 2H), 0.98 (m, 6H)
I-a-167		C=O	CH ₃	Br	4-Cl	6-Et	¹ H NMR (400 MHz, d6-DMSO): 11.0 (bs, 1H), 7.62 (d, 1H), 7.38 (d, 1H), 3.70 (s, 3H), 3.07 (t, 2H), 2.37 (m, 2H), 1.79 (m, 2H), 1.00 (m, 6H)
I-a-168		C=O	CH ₂ C≡CH	Cl	6-Cl	H	¹ H NMR (400 MHz, CDCl ₃): 7.33 (d, 1H), 7.14 (m, 1H), 5.17 (dd, 2H), 3.01 (m, 2H), 2.14 (t, 1H), 1.86 (m, 2H), 1.09 (t, 3H)
I-a-169		C=O	CH ₂ C≡CH	Cl	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 1H), 7.26 (d, 1H), 5.18 (dd, 2H), 3.08 (s, 3H), 2.48 (m, 2H), 2.17 (t, 1H), 1.90 (m, 2H), 1.09 (m, 3H)
I-a-170		C=O	CH ₂ C≡CH	Br	4-Cl	6-Et	¹ H NMR (400 MHz, CDCl ₃): 7.58 (d, 1H), 7.31 (d, 1H), 5.18 (dd, 2H), 3.09 (s, 3H), 2.48 (m, 2H), 2.17 (t, 1H), 1.92 (m, 2H), 1.10 (m, 3H)
I-a-171		C=O	CH ₂ CH ₂ -OCH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.40 (s, 1H), 7.53 (d, 2H), 7.41 (t, 1H), 4.50 (t, 2H), 3.64 (t, 2H), 3.25 (s, 3H)

WO 2012/028582

148

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	Analytical data
I-a-172		C=O	CH ₂ CH ₂ -SCH ₃	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 9.42 (s, 1H), 7.53 (d, 2H), 7.42 (t, 1H), 4.51 (m, 2H), 2.82 (m, 2H), 2.13 (s, 3H)
I-a-173		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 8.12 (d, 1H), 7.52 (d, 2H), 7.38 (t, 1H), 7.12 (d, 1H), 6.32 (m, 1H), 4.51 (m, 2H),
I-a-174		C=O	CH ₂ CHF ₂	Br	4-Cl	Et	¹ H NMR (400 MHz, d6-DMSO): 11.6 (bs, 1H), 8.12 (d, 1H), 7.62 (d, 1H), 7.37 (d, 1H), 7.12 (d, 1H), 6.32 (m, 1H), 4.51 (m, 2H), 2.38 (m 2H), 1.00 (t, 3H)
I-a-175		C=O	CH ₂ C≡CH	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.7 (bs, 1H), 8.12 (d, 1H), 7.52 (d, 2H), 7.38 (t, 1H), 7.07 (d, 1H), 4.91 (d, 2H), 3.29 (t, 1H),
I-a-176		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	¹ H NMR (400 MHz, d6-DMSO): 11.4 (bs, 1H), 7.52 (d, 2H), 7.39 (t, 1H), 6.32 (m, 1H), 4.60 (m, 4H), 1.42 (t, 3H)

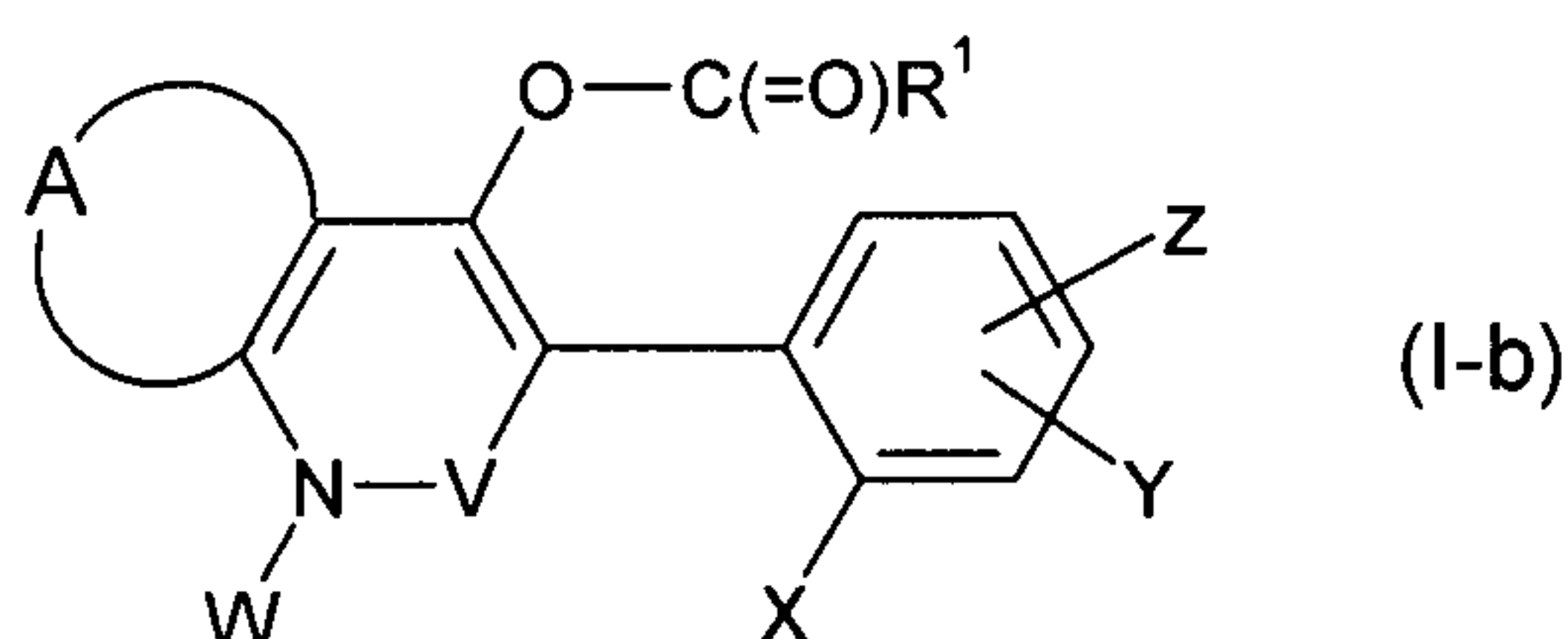
3. Preparation of 7-allyl-5-mesityl-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-4-yl 2-methylpropanoate (compound No. I-b-8):
- 5 1.1 g (3.4 mmol) of inventive compound I-a-20 were initially charged in 10 ml of dichloromethane. 1.1 eq of 2-methylpropionyl chloride and 1.3 eq of triethylamine were added and the mixture was left to stir at RT for 1 h. Subsequently, the mixture was added to water and the phases were separated by means of an extraction
- 10 cartridge. The organic phase thus obtained was concentrated and separated by column chromatography on silica gel (gradient n-heptane / EtOAc 100 : 0 to 50 : 50). This gave 1.1 g of inventive compound I-b-8.

4. Preparation of 3-(2-iodophenyl)-2,2-dioxido-1-(2,2,2-trifluoroethyl)-1H-[1,3]thiazolo[4,5-c][1,2]thiazin-4-yl 2-methylpropanoate (compound No. I-b-32): 150 mg (0.31 mmol) of 3-(2-iodophenyl)-1-(2,2,2-trifluoroethyl)-1H-[1,3]thiazolo[4,5-c][1,2]thiazin-4-ol 2,2-dioxide (compound I-a-15) were dissolved in 5 ml of
- 5 dichloromethane, and 0.04 ml (0.46 mmol) of pyridine was added at RT. The reaction mixture was stirred at RT for 5 min, and then 0.04 ml (0.4 mmol) of 2-methylpropionyl chloride was added and the mixture was stirred at room temperature for a further 4 h. Thereafter, the solvent was removed under reduced pressure and the residue was purified by means of preparative HPLC (C₁₈-SiO₂, gradient
- 10 acetonitrile/water 20:80 to 100:0). This gave 47 mg of compound I-b-32.

In analogy to the examples mentioned (I-b-8 and I-b-32) and according to the general details regarding the preparation, the following compounds of the formula (I-b) are obtained:

15

Table 148: Inventive compounds of the formula (I) in which G is C(=O)R¹:

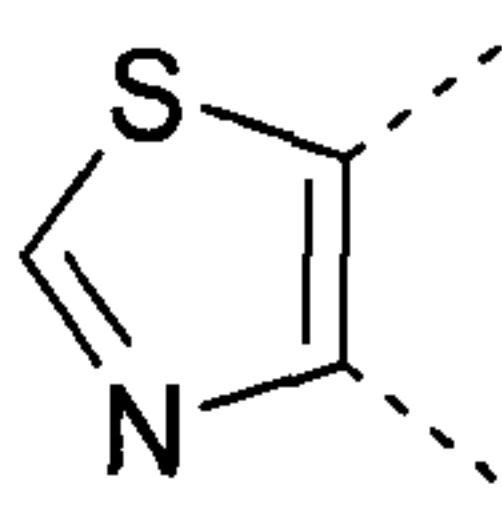
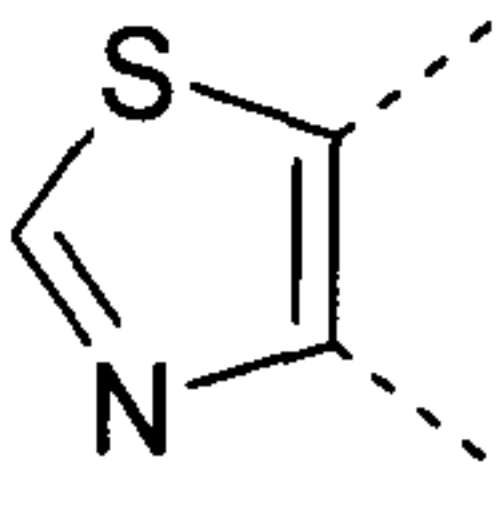
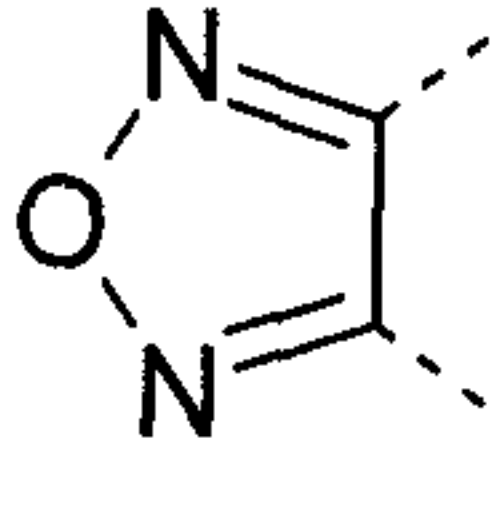
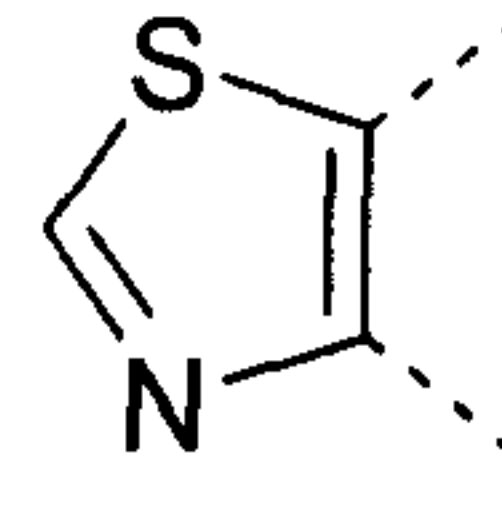
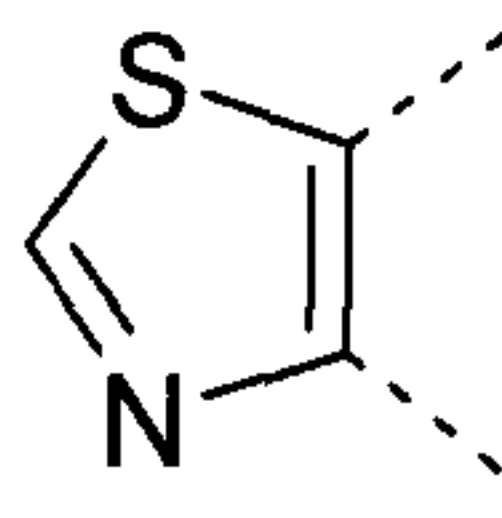
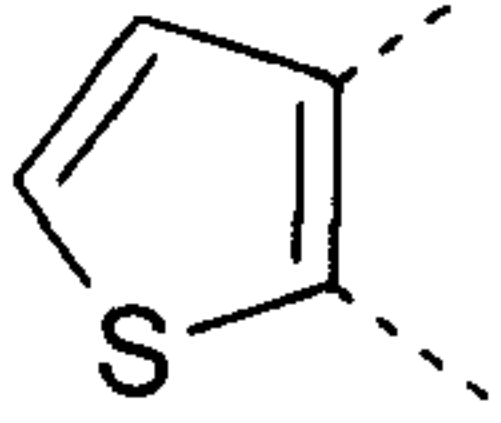
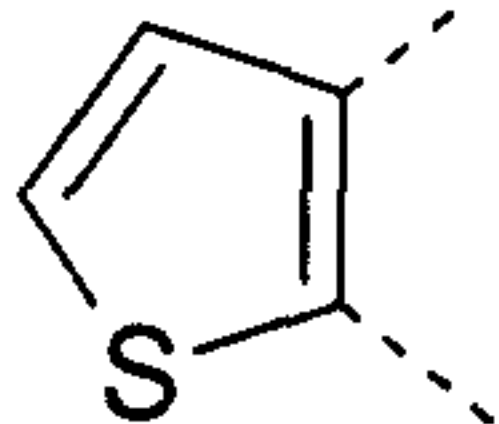


No.	A	V	W	X	Y	Z	R ¹	Analytical data
I-b-1		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.47 (s, 1H); 7.41 (d, 2H); 7.30 (dd, 1H); 6.25 (tt, 1H); 4.30 (td, 2H); 3.93 (s, 3H); 2.57 (m, 1H); 0.98 (d, 6H)
I-b-2		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	i-Bu	¹ H NMR (400 MHz, d ₆ -DMSO): 10.6 (bs, 1H), 9.31 (s, 1H), 6.90 (s, 2H), 5.96 (m, 1H), 5.07 (m, 1H), 4.90 (m, 3H), 2.27 (s, 3H), 1.97 (s, 6H)

WO 2012/028582

150

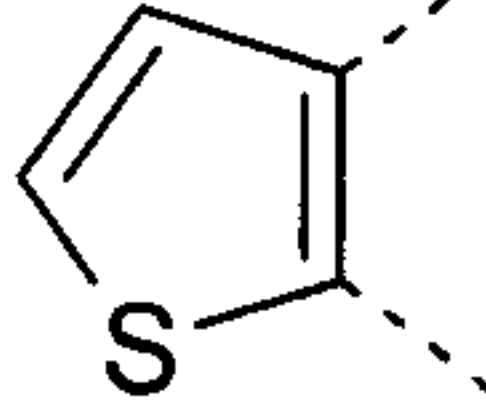
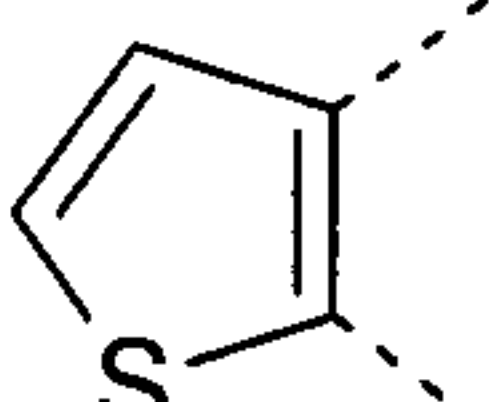
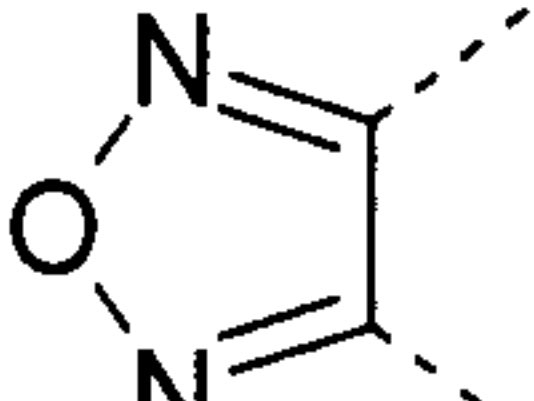
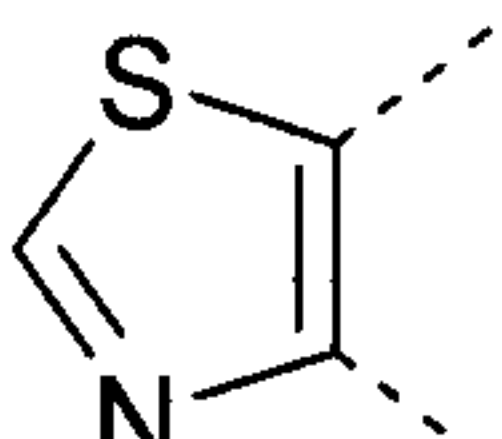
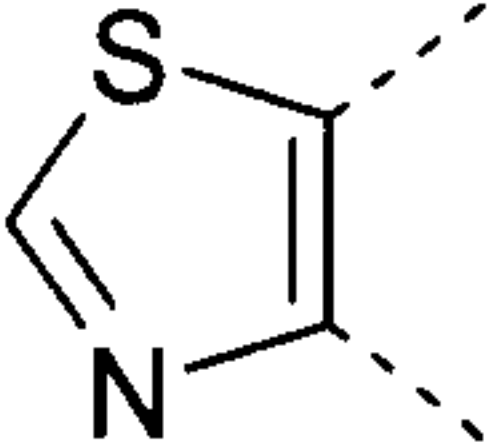
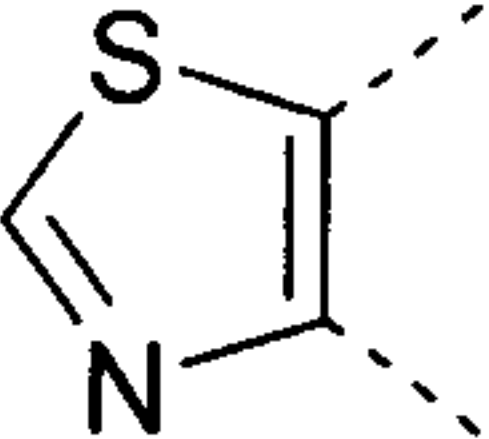
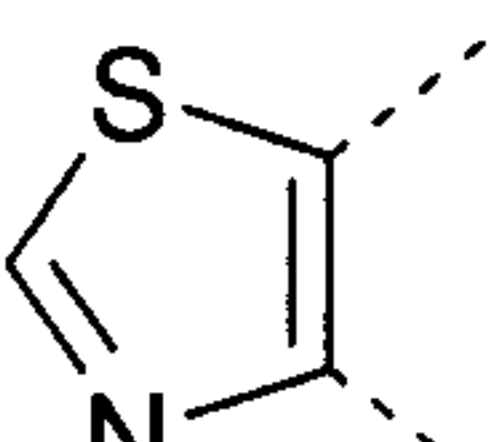
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ¹	Analytical data
I-b-3		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.45 (m, 2H); 7.34 (m, 1H); 6.24 (tt, 1H); 4.55 (m, 2H); 2.59 (m, 1H); 1.00 (d, 6H)
I-b-4		SO ₂	CH ₂ CHF ₂	I	H	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 7.95 (d, 1H); 7.51 (dd, 1H); 7.44 (td, 1H); 7.14 (td, 1H); 6.27 (m, 1H); 4.66 (m, 1H); 4.51 (m, 1H); 2.55 (m, 1H); 0.99 (d, 3H); 0.89 (d, 3H)
I-b-5		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.86 (d, 1H); 7.69 (m, 3H); 6.24 (tt, 1H); 4.04 (m, 2H); 2.76 (m, 1H); 1.28 (d, 6H)
I-b-6		SO ₂	CH ₂ CHF ₂	I	H	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 7.95 (d, 1H); 7.51 (dd, 1H); 7.44 (td, 1H); 7.14 (td, 1H); 6.27 (m, 1H); 4.66 (m, 1H); 4.51 (m, 1H); 2.55 (m, 1H); 0.99 (d, 3H); 0.89 (d, 3H)
I-b-7		C=O	CH ₂ -c-Pr	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H), 7.41 (d, 2H), 7.26 (m, 1H), 4.40 (d, 2H), 2.38 (q, 2H), 1.45 (m, 1H); 1.02 (t, 3H), 0.50 (m, 4H)
I-b-8		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 6.95 (m, 2H), 6.87 (s, 2H), 5.96 (m, 1H), 5.30 (m, 2H), 4.83 (m, 2H), 2.50 (m, 1H), 2.26 (s, 3H), 2.07 (s, 6H), 0.92 (d, 6H)
I-b-9		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.51 (d, 1H), 7.25 (s, 1H), 7.00 (d, 1H), 6.93 (d, 1H), 5.94 (m, 1H), 5.33 (m, 2H), 4.84 (m, 2H), 2.60 (m, 1H), 2.48 (m, 2H), 1.12 (t, 3H), 0.99 (d, 6H)

WO 2012/028582

151

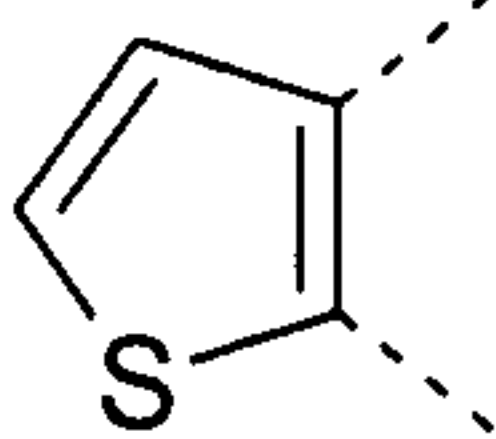
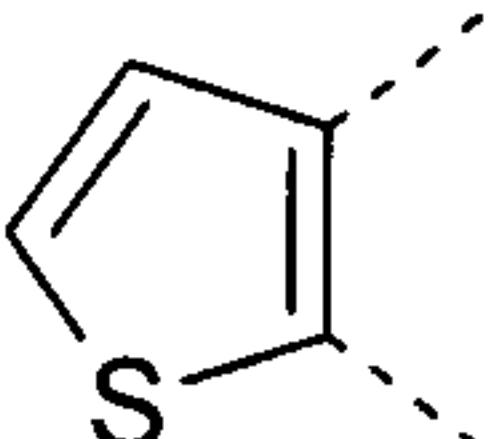
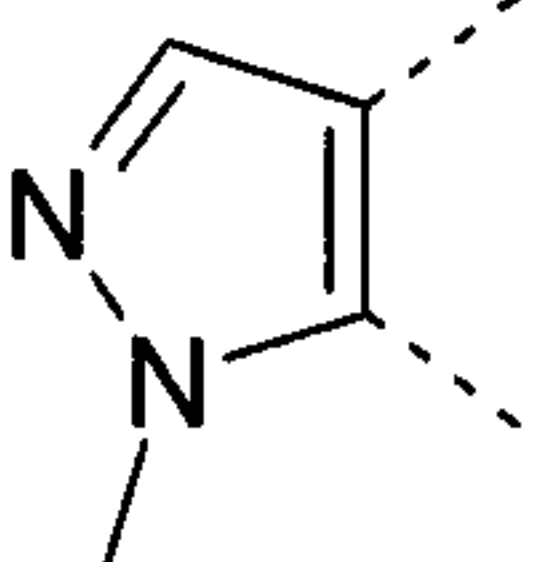
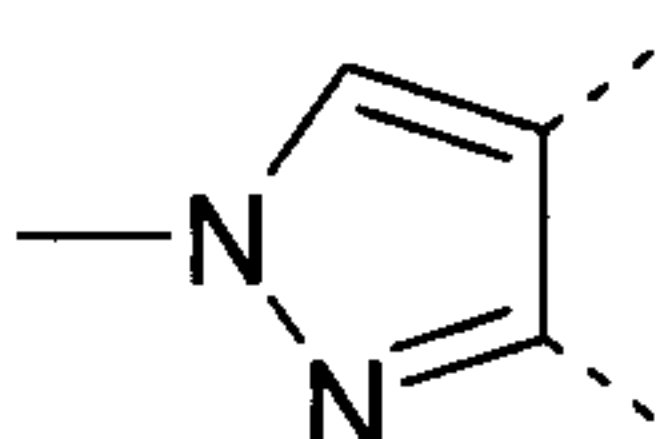
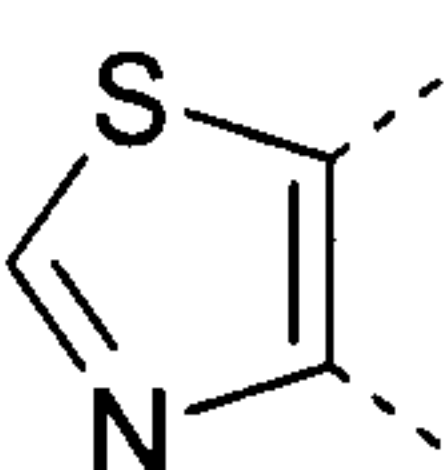
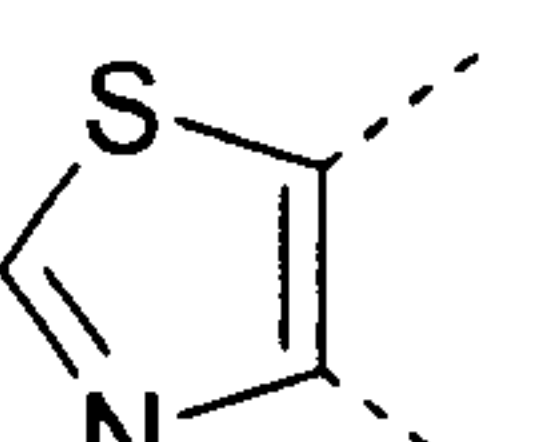
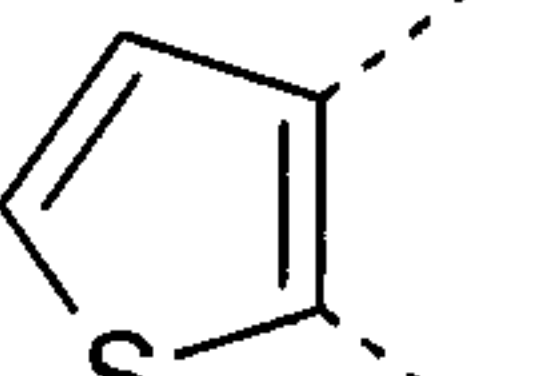
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ¹	Analytical data
I-b-10		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 2H), 7.22 (m, 1H), 7.00 (d, 1H), 6.97 (d, 1H), 5.96 (m, 1H), 5.33 (m, 2H), 4.84 (m, 2H), 2.63 (m, 1H), 0.99 (d, 6H)
I-b-11		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 2H), 7.22 (m, 1H), 7.00 (d, 1H), 6.97 (d, 1H), 5.96 (m, 1H), 5.33 (m, 2H), 4.84 (m, 2H), 2.63 (m, 1H), 0.99 (d, 6H)
I-b-12		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.60 (d, 1H); 7.59 (d, 1H); 7.40 (dd, 1H); 6.23 (tt, 1H); 4.03 (m, 2H); 2.78 (m, 1H); 1.28 (d, 6H)
I-b-13		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H), 7.40 (d, 2H), 7.26 (m, 1H), 6.07 (m, 1H), 5.28 (m, 1H), 5.23 (m, 1H), 5.15 (m, 2H), 2.62 (m, 1H), 1.03 (d, 6H)
I-b-14		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H), 7.52 (d, 1H), 7.26 (d, 1H), 6.05 (m, 1H), 5.28 (m, 1H), 5.22 (m, 1H), 5.14 (m, 2H), 2.59 (m, 1H), 2.46 (m, 2H), 1.12 (t, 3H), 1.00 (d, 6H)
I-b-15		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H), 7.50 (d, 1H), 7.34 (dd, 1H), 7.22 (d, 1H), 6.06 (m, 1H), 5.30 (m, 1H), 5.24 (m, 1H), 5.10 (m, 2H), 2.61 (m, 1H), 1.07 (dd, 6H)
I-b-16		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H), 6.87 (d, 2H), 6.07 (m, 1H), 5.24 (m, 2H), 5.13 (m, 2H), 2.50 (m, 1H), 2.27 (s, 3H), 2.07 (s, 6H), 0.92 (d, 6H)

WO 2012/028582

152

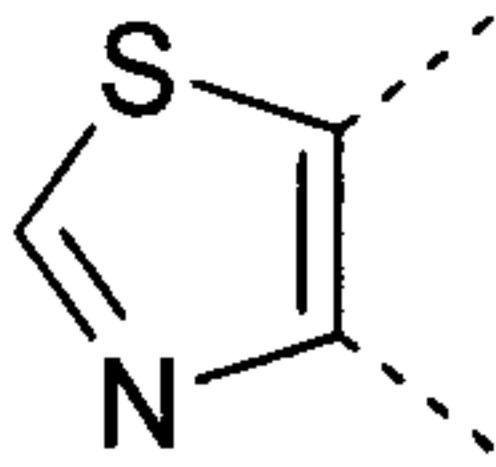
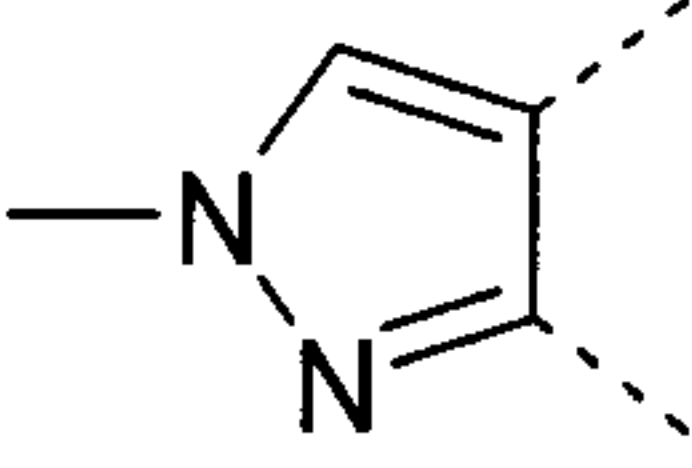
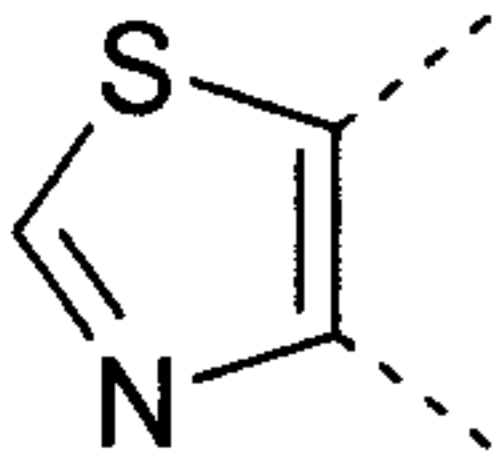
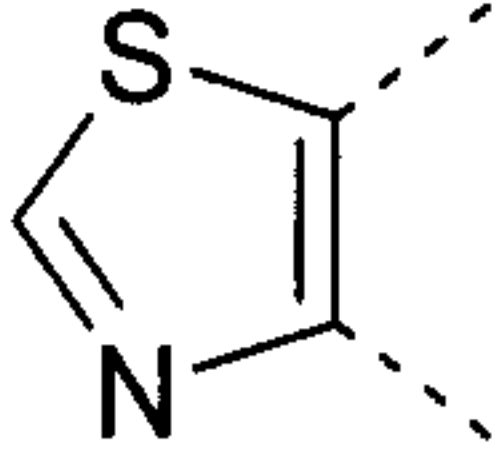
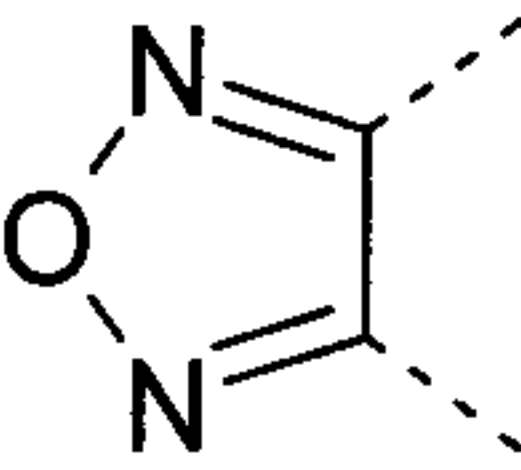
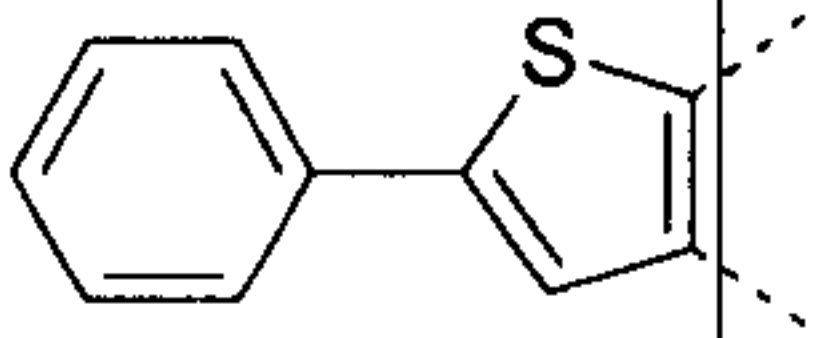
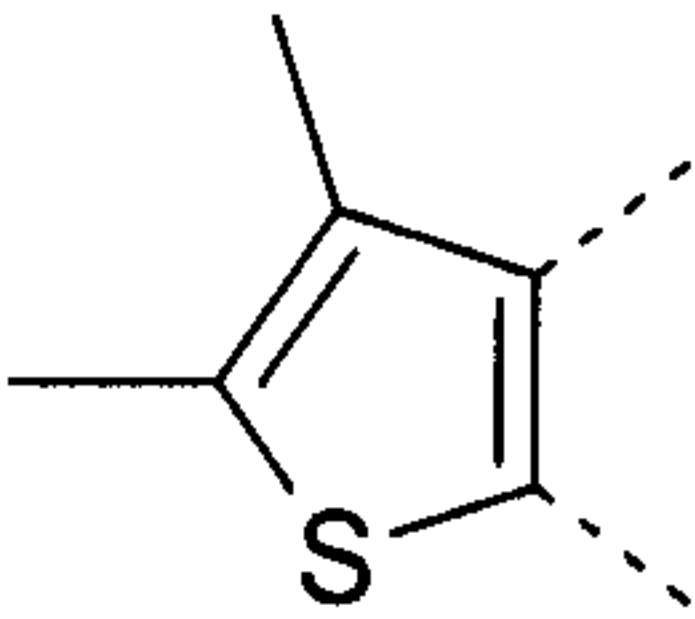
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ¹	Analytical data
I-b-17		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.02 (d, 1H), 6.98 (d, 1H), 6.88 (d, 2H), 6.26 (m, 1H), 4.48 (m, 2H), 2.51 (m, 1H), 2.27 (s, 3H), 2.06 (s, 3H), 0.92 (d, 6H)
I-b-18		C=O	CH ₂ CF ₃	Me	4-Me	6-Me	i-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.02 (d, 1H), 6.95 (d, 1H), 6.87 (d, 2H), 4.85 (m, 2H), 2.52 (m, 1H), 2.26 (s, 3H), 2.06 (s, 3H), 0.92 (d, 6H)
I-b-19		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	t-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.49 (d, 1H), 7.47 (s, 1H), 7.26 (dd, 1H), 7.19 (d, 1H), 6.07 (m, 1H), 5.30 (m, 1H), 5.04 (m, 3H), 4.18 (s, 3H), 1.12 (s, 9H)
I-b-20		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.52 (s, 1H), 7.38 (d, 2H), 7.23 (m, 1H), 6.04 (m, 1H), 5.21 (m, 2H), 4.85 (m, 2H), 3.99 (s, 3H), 2.37 (q, 2H), 1.02 (t, 3H)
I-b-21		C=O	CH=CH(CH ₃)	Cl	6-Cl	H	t-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H), 7.39 (d, 2H), 7.26 (m, 1H), 6.64 (m, 1H), 6.10 (m, 1H), 1.62 (dd, 3H), 1.09 (d, 9H)
I-b-22		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	Et	t-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H), 7.51 (d, 1H), 7.26 (d, 1H), 6.05 (m, 1H), 5.22 (m, 2H), 5.14 (m, 2H), 2.47 (m, 2H), 1.12 (t, 3H), 0.88 (d, 9H)
I-b-23		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	t-Bu	¹ H NMR (400 MHz, CDCl ₃): 7.49 (d, 1H), 7.27 (m, 1H), 7.24 (m, 1H), 7.00 (d, 1H), 6.93 (d, 1H), 5.98 (m, 1H), 5.35 (m, 2H), 4.82 (m, 2H), 1.11 (d, 9H)

WO 2012/028582

153

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ¹	Analytical data
I-b-24		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	t-Bu	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H), 7.39 (d, 2H), 7.25 (m, 1H), 6.08 (m, 1H), 5.24 (m, 2H), 5.15 (d, 2H), 1.09 (d, 9H)
I-b-25		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.49 (s, 1H), 6.87 (s, 2H), 6.30 (m, 1H), 4.58 (m, 2H), 3.99 (s, 3H), 2.27 (s, 3H), 2.25 (q, 2H), 2.05 (s, 6H), 0.90 (t, 3H)
I-b-26		C=O	CH ₂ CHF ₂	Cl	4-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 8.97 (s, 1H), 7.52 (d, 1H), 7.32 (d, 1H), 7.23 (dd, 1H), 6.30 (m, 1H), 4.91 (m, 2H), 2.40 (m, 2H), 1.06 (t, 3H)
I-b-27		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 8.97 (s, 1H), 7.37 (d, 2H), 7.25 (t, 1H), 6.06 (m, 1H), 5.24 (m, 2H), 5.17 (m, 2H), 2.37 (m, 2H), 1.01 (t, 3H)
I-b-28		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.48 (m, 2H); 7.40 (dd, 1H); 6.24 (tt, 1H); 4.05 (m, 2H); 2.78 (m, 1H); 1.28 (d, 6H)
I-b-29		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.66 (m, 2H); 7.52 (d, 1H); 7.45 (m, 3H); 7.36 (s, 1H); 7.27 (s, 1H); 6.19 (tt, 1H); 4.62 (m, 2H); 2.62 (m, 1H); 2.51 (m, 2H); 1.15 (t, 3H); 1.04 (d, 3H); 1.02 (d, 3H)
I-b-30		C=O	CH ₂ CHF ₂	Cl	4-Br	6-Et	i-Pr	¹ H NMR (400 MHz, CDCl ₃): 7.48 (d, 1H); 7.36 (d, 1H); 6.22 (tt, 1H); 4.43 (m, 2H); 2.49 (m, 3H); 2.37 (s, 3H); 2.19 (s, 3H); 1.14 (t, 3H); 0.91 (s, 3H); 0.87 (d, 3H)

5. Preparation of 5-(2,6-dichlorophenyl)-7-(2,2-difluoroethyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-4-yl ethyl carbonate (compound No. I-c-27):

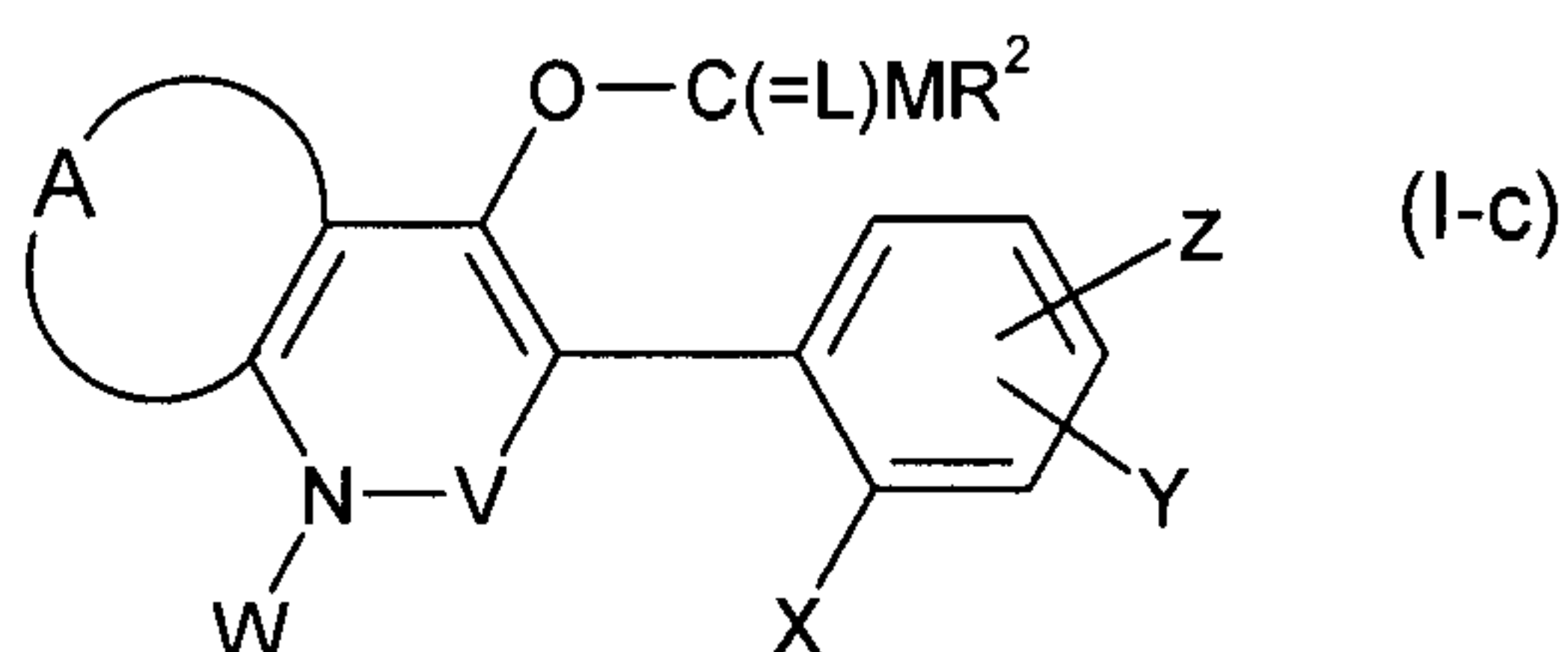
5 0.134 g (0.35 mmol) of inventive compound I-a-40 were initially charged in 5 ml of dichloromethane. 1.3 eq of triethylamine and then 1.1 eq of ethyl chloroformate were added and the mixture was left to stir at RT for 1 h. Subsequently, the mixture was added to water and the phases were separated by means of an extraction cartridge. The organic phase was concentrated and separated by column chromatography on
10 silica gel (gradient n-heptane / EtOAc 100 : 0 to 50 : 50). This gave 0.1 g of inventive compound I-c-27.

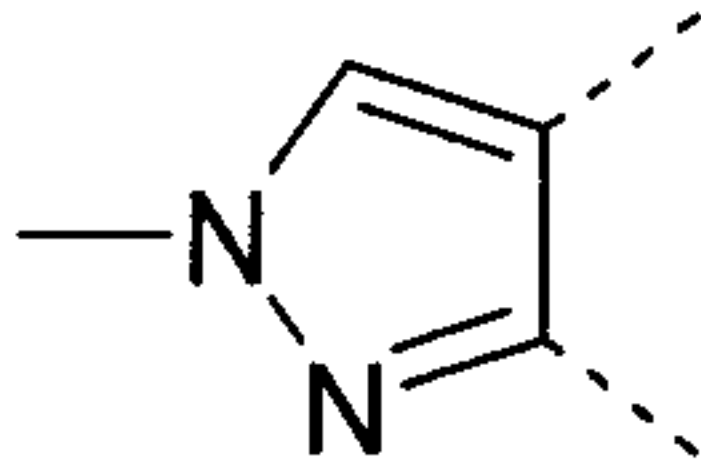
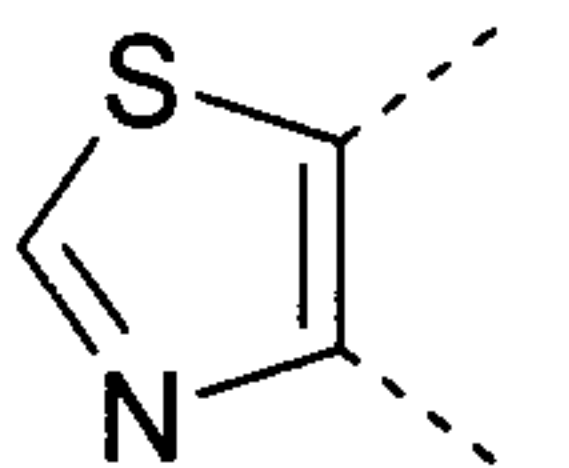
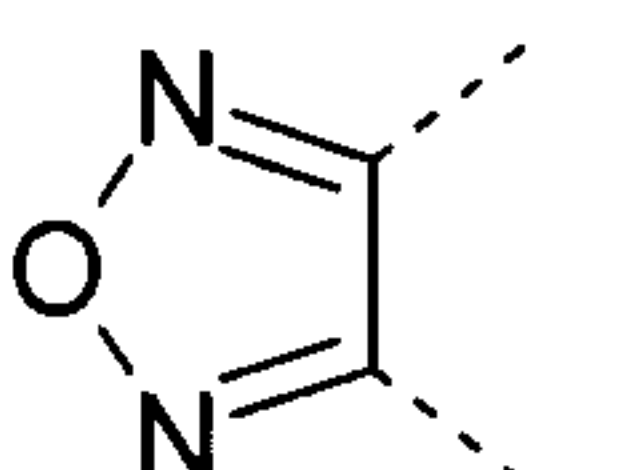
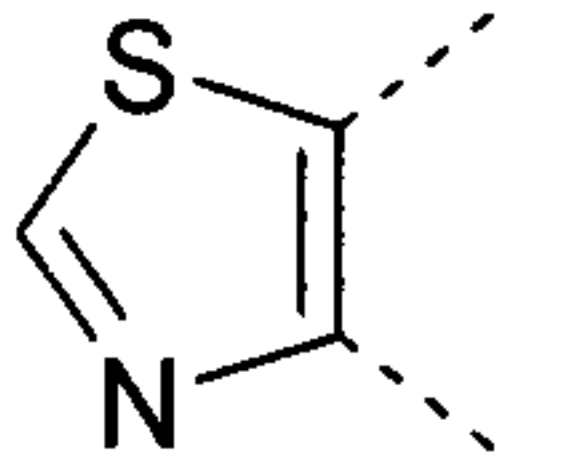
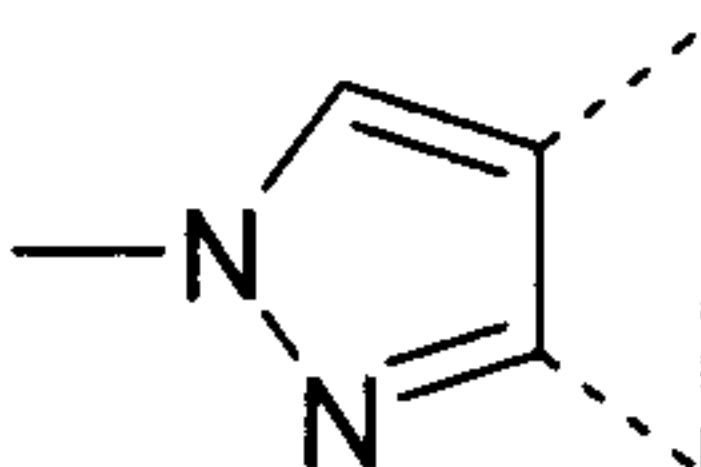
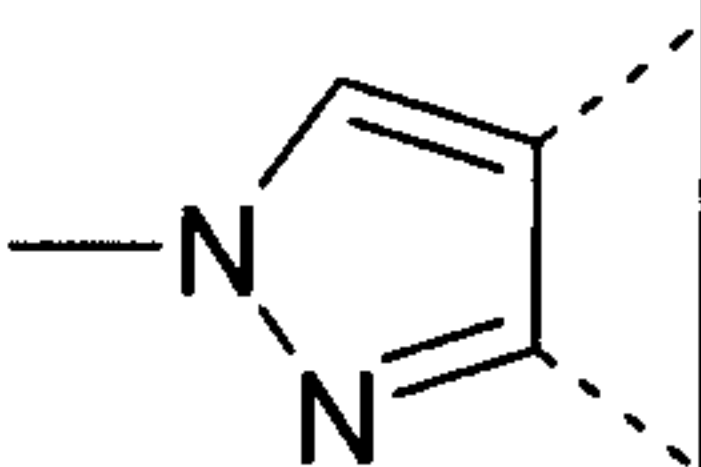
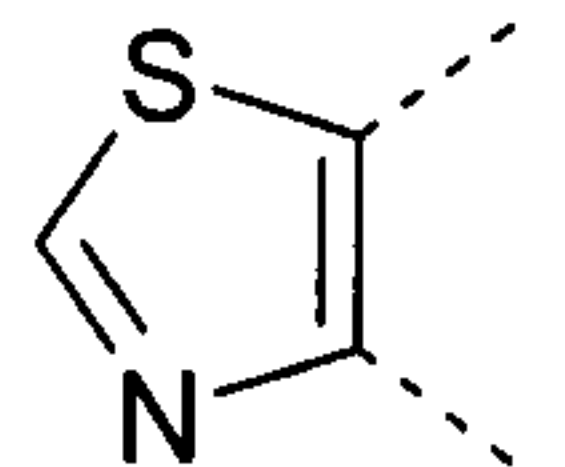
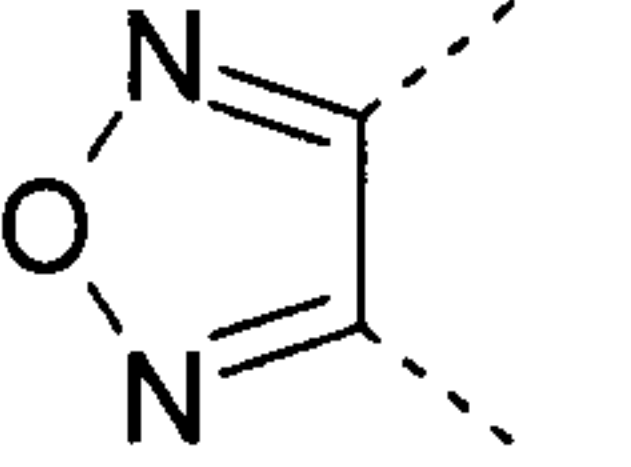
6. Preparation of O-[1-(2,2-difluoroethyl)-3-(2-iodophenyl)-2,2-dioxido-1H-[1,3]thiazolo[4,5-c][1,2]thiazin-4-yl] S-methyl thiocarbonate (compound I-c-16):

15 150 mg (0.3 mmol) of 1-(2,2-difluoroethyl)-3-(2-iodophenyl)-1H-[1,3]thiazolo[4,5-c][1,2]thiazin-4-ol 2,2-dioxide (compound I-a-14) were dissolved in 5 ml of dichloromethane, and 0.04 ml (0.48 mmol) of pyridine was added at RT. The reaction mixture was stirred at RT for 5 min, and then 0.03 ml (0.38 mmol) of methyl chlorothioformate was added and the mixture was stirred at RT for a further 4 h.
20 After removing the solvent under reduced pressure, the residue was purified by column chromatography (SiO₂, gradient ethyl acetate/n-heptane 10:90 to 75:25). This gave 144 mg of compound I-c-16.

In analogy to the examples mentioned (I-c-16 and I-c-27) and according to the
25 general details regarding the preparation, the following compounds of the formula (I-c) are obtained.

Table 149: Inventive compounds of the formula (I) in which G is C(=L)MR²:

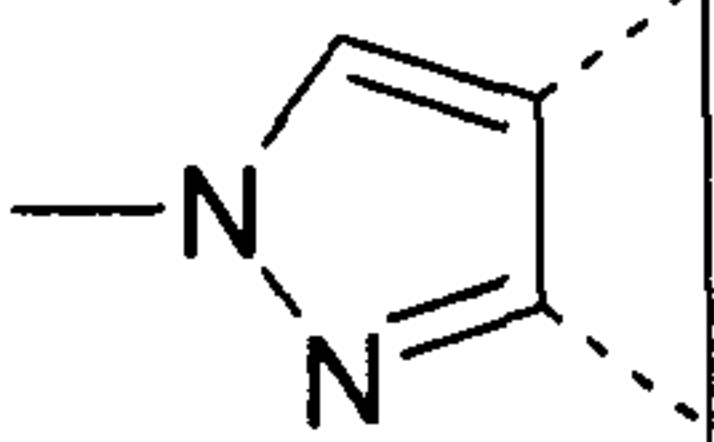
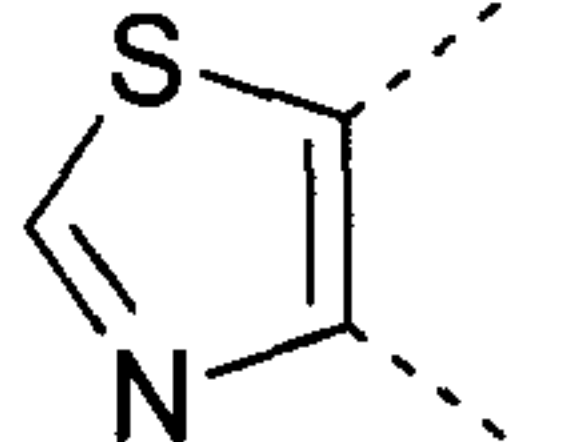
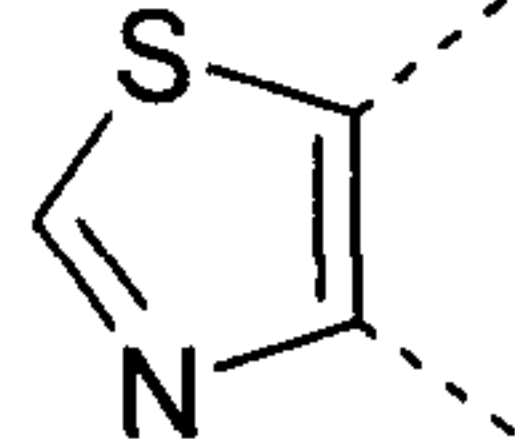
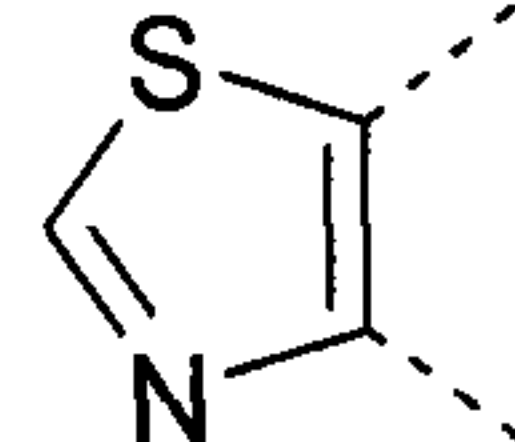
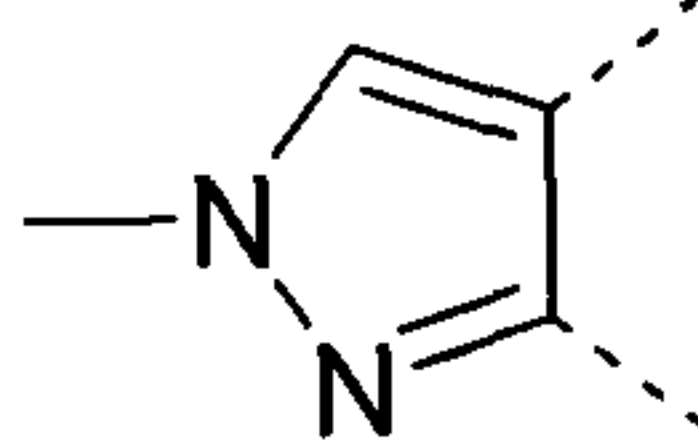
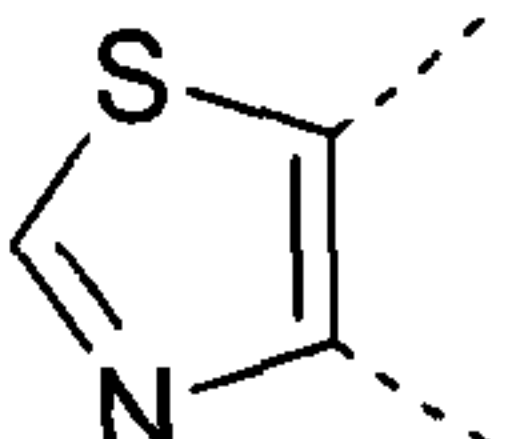
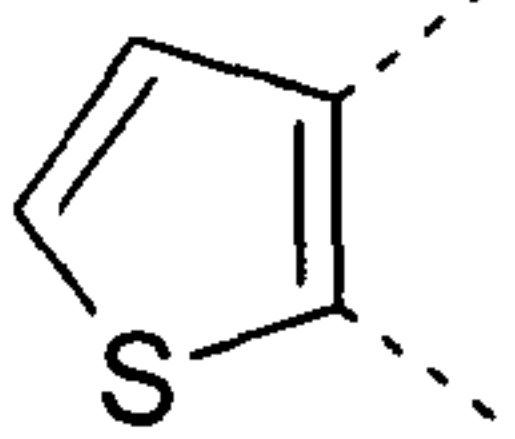
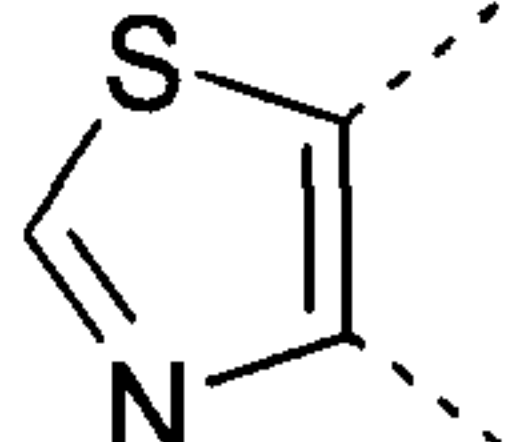


No.	A	V	W	X	Y	Z	L	M	R ²	Analytical data
I-c-1		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 7.56 (s, 1H); 7.43 (d, 2H); 7.31 (dd, 1H); 6.24 (tt, 1H); 4.28 (td, 2H); 3.94 (s, 3H); 2.81 (q, 2H); 1.22 (t, 3H)
I-c-2		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.55 (d, 1H); 7.45 (d, 1H); 7.35 (dd, 1H); 6.17 (tt, 1H); 4.56 (m, 2H); 2.30 (s, 3H)
I-c-3		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.49 (m, 2H); 7.41 (dd, 1H); 6.21 (tt, 1H); 4.03 (m, 2H); 2.42 (s, 3H)
I-c-4		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.54 (d, 1H); 7.45 (d, 1H); 7.35 (dd, 1H); 6.16 (tt, 1H); 4.54 (m, 2H); 2.79 (m, 2H); 1.20 (t, 3H)
I-c-5		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.53 (m, 2H); 7.44 (d, 1H); 7.32 (dd, 1H); 6.20 (tt, 1H); 4.30 (m, 2H); 3.93 (s, 3H); 2.30 (s, 3H)
I-c-6		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 7.53 (m, 2H); 7.44 (d, 1H); 7.32 (dd, 1H); 6.21 (tt, 1H); 4.30 (m, 2H); 3.93 (s, 3H); 2.79 (q, 2H); 1.22 (t, 3H)
I-c-7		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.46 (dd, 2H); 7.35 (dd, 1H); 6.23 (tt, 1H); 4.55 (m, 2H); 2.31 (s, 3H)
I-c-8		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.87 (d, 1H); 7.69 (m, 3H); 6.21 (tt, 1H); 4.02 (m, 2H); 2.42 (s, 3H)

WO 2012/028582

156

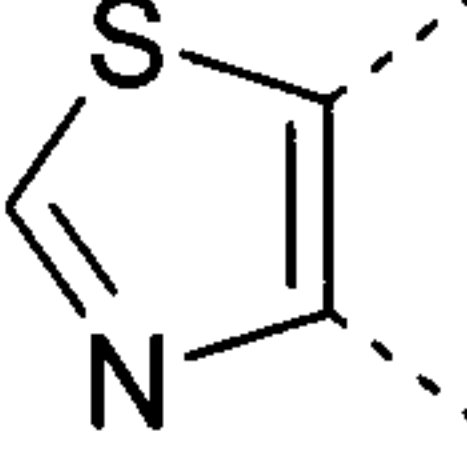
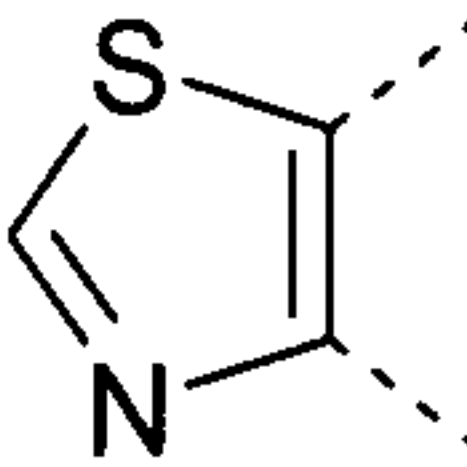
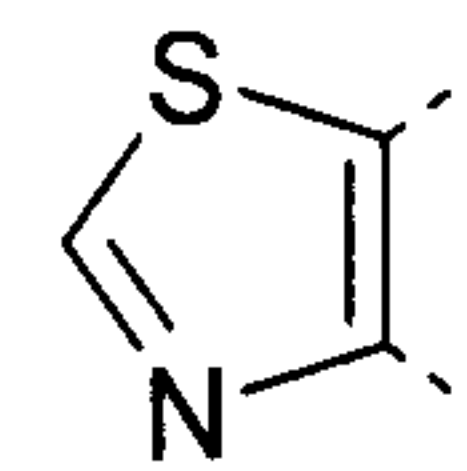
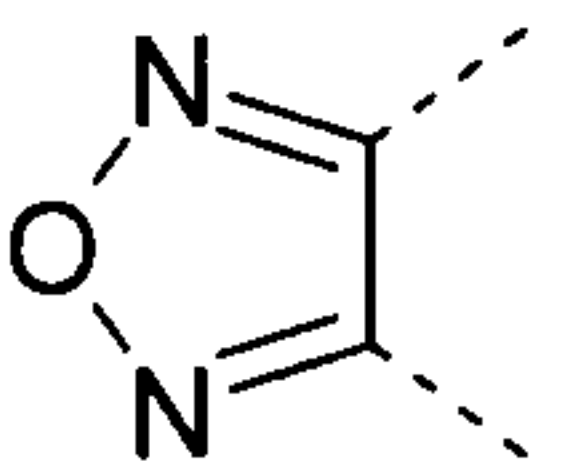
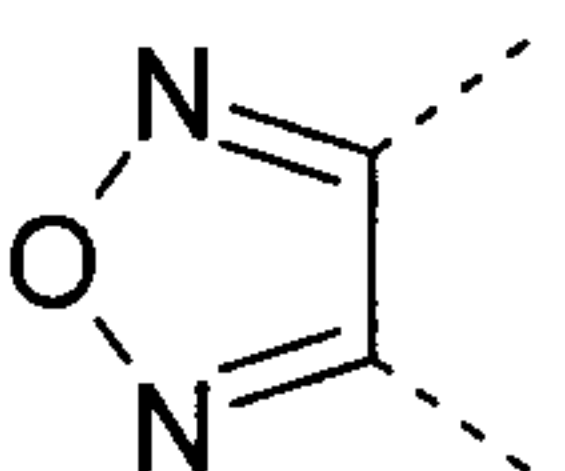
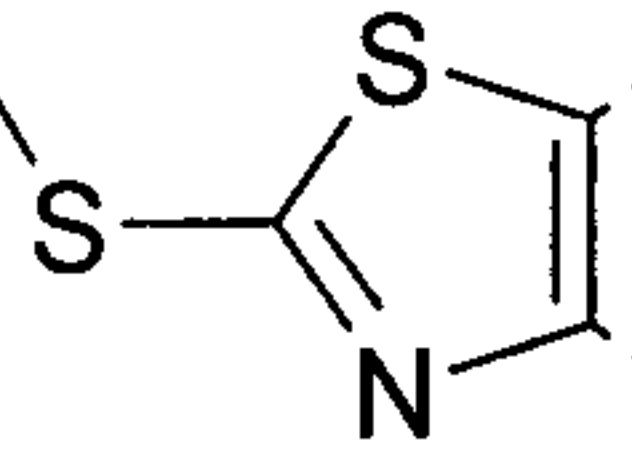
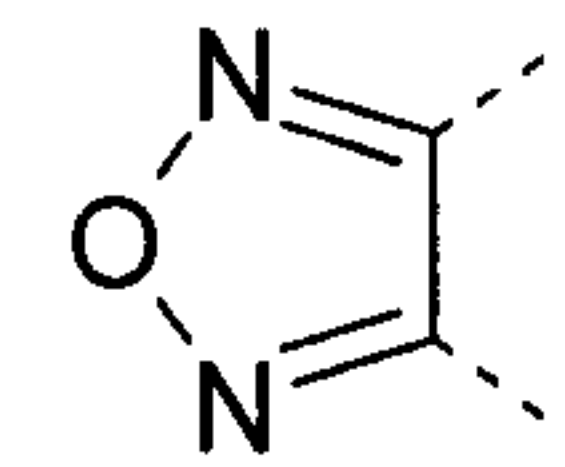
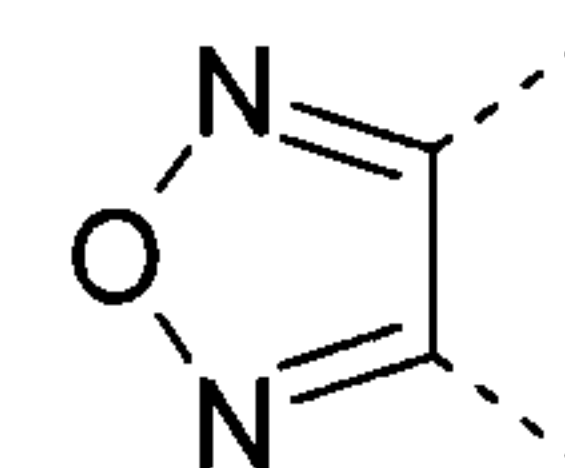
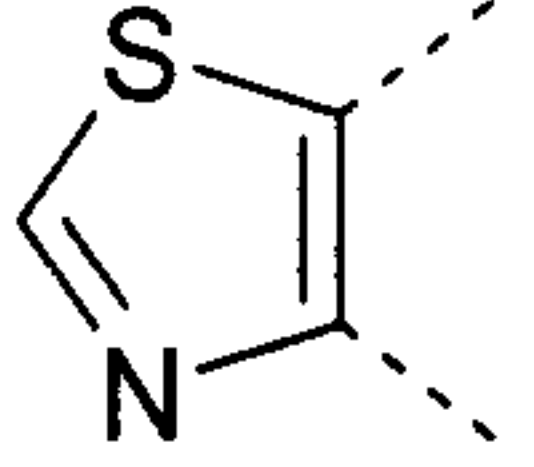
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	L	M	R ²	Analytical data
I-c-9		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.78 (d, 1H); 7.63 (m, 3H); 7.53 (s, 1H); 6.20 (m, 1H); 4.37 (m, 1H); 4.20 (m, 1H); 4.12 (m, 2H); 3.93 (s, 3H); 1.17 (t, 3H)
I-c-10		SO ₂	CH ₂ CF ₃	CF ₃	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.80 (d, 1H); 7.64 (m, 3H); 4.78 (m, 2H); 2.76 (m, 2H); 1.16 (t, 3H)
I-c-11		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.80 (d, 1H); 7.64 (m, 3H); 6.17 (m, 1H); 4.62 (m, 1H); 4.44 (m, 1H); 2.25 (s, 3H)
I-c-12		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.81 (m, 1H); 7.65 (m, 3H); 6.17 (m, 1H); 4.63 (m, 1H); 4.44 (m, 1H); 2.75 (m, 2H); 1.16 (t, 3H)
I-c-13		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (dd, 1H); 7.48 (dd, 1H); 7.45 (s, 1H); 7.41 (td, 1H); 7.11 (td, 1H); 6.27 (tt, 1H); 4.39 (m, 1H); 4.26 (m, 1H); 3.92 (s, 3H); 2.53 (m, 1H); 0.97 (d, 3H); 9.88 (d, 3H)
I-c-14		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H), 7.52 (d, 1H), 7.26 (d, 1H), 6.05 (m, 1H), 5.28 (m, 1H), 5.22 (m, 1H), 5.14 (m, 2H), 2.59 (m, 1H), 2.46 (m, 2H), 1.12 (t, 3H), 1.00 (d, 6H)
I-c-15		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H), 7.50 (d, 1H), 7.34 (dd, 1H), 7.22 (d, 1H), 6.06 (m, 1H), 5.30 (m, 1H), 5.24 (m, 1H), 5.10 (m, 2H), 2.61 (m, 1H), 1.07 (dd, 6H)
I-c-16		SO ₂	CH ₂ CHF ₂	I	H	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.96 (d, 1H); 7.52 (dd, 1H); 7.46 (td, 1H); 7.17 (td, 1H); 6.27 (m, 1H); 4.66 (m, 1H); 4.49 (m, 1H); 2.27 (s, 3H)

WO 2012/028582

157

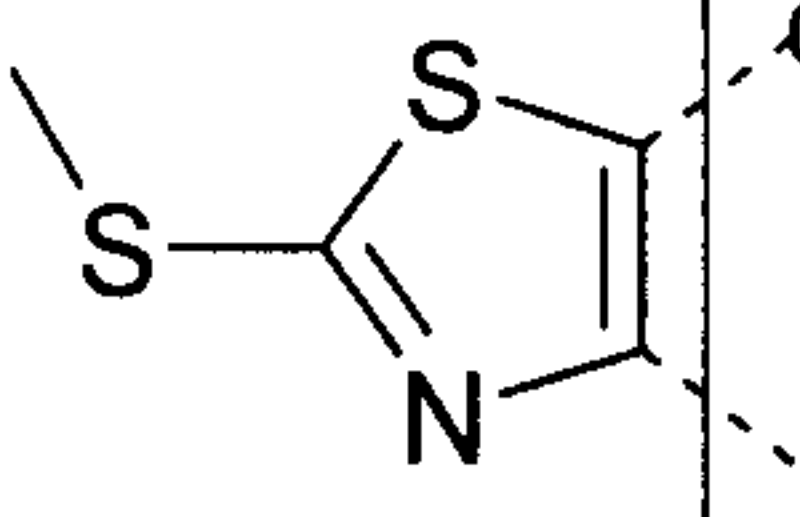
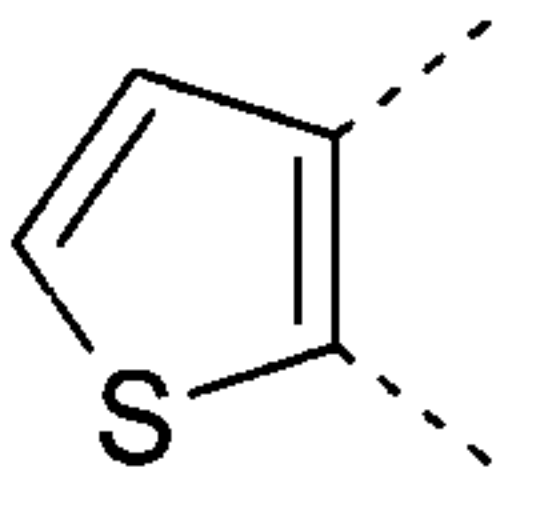
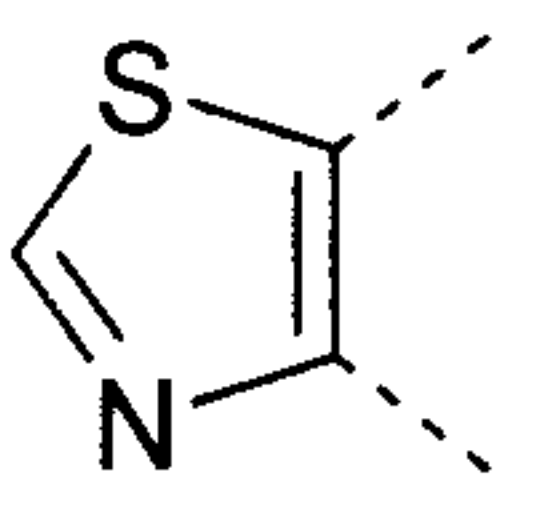
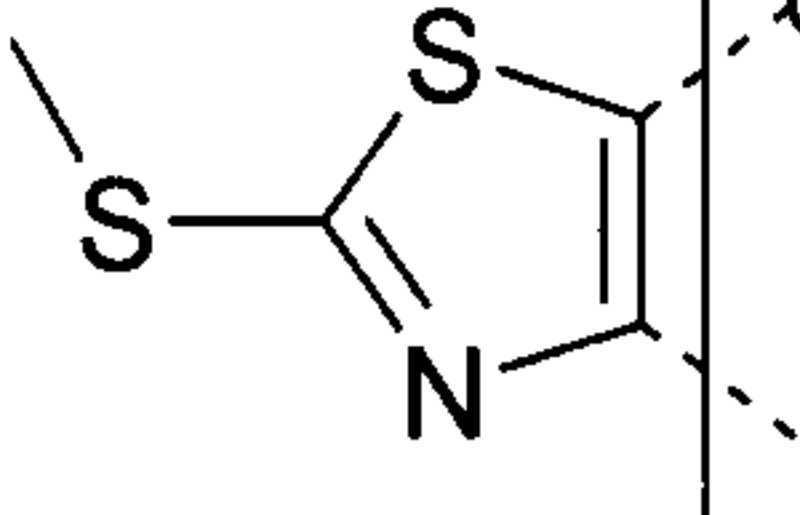
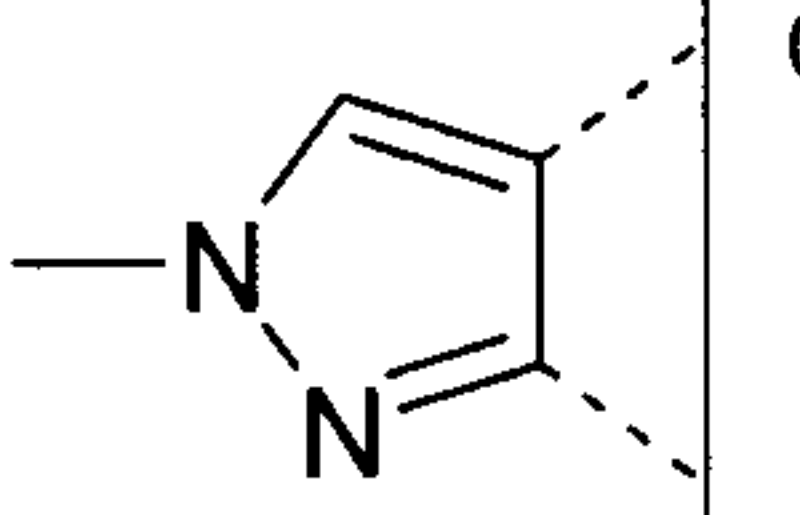
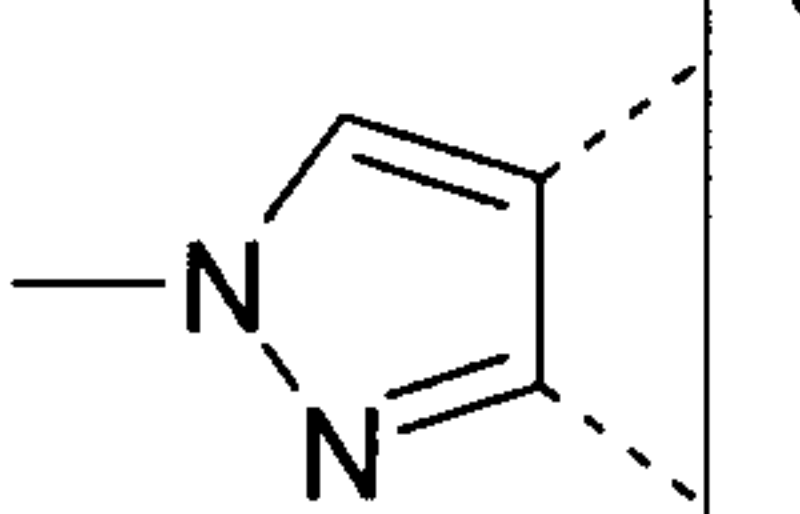
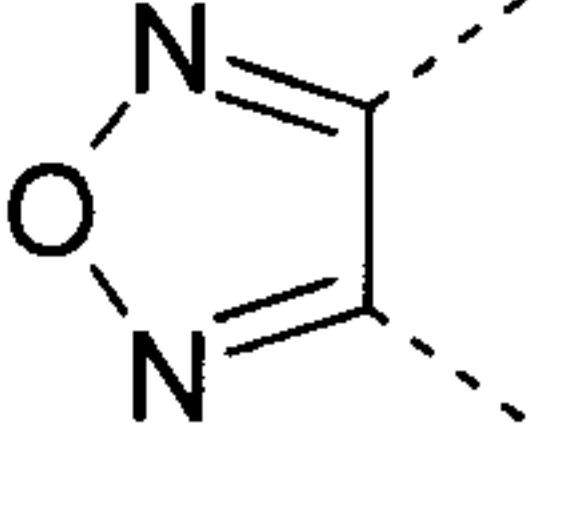
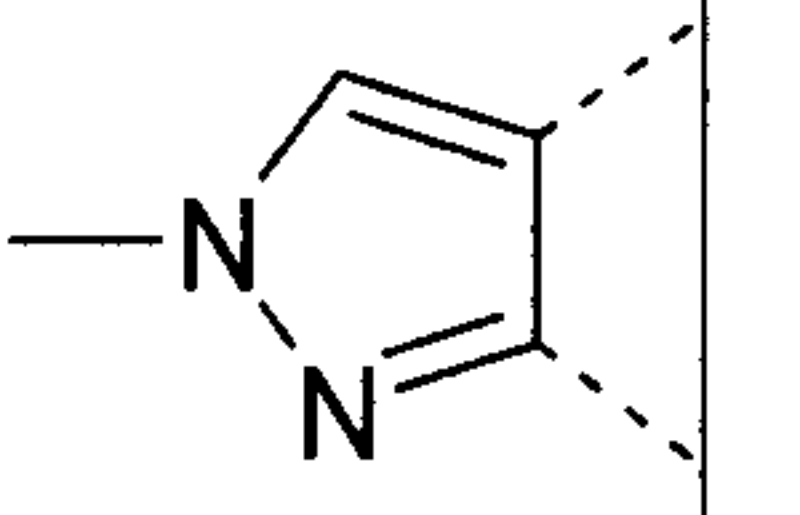
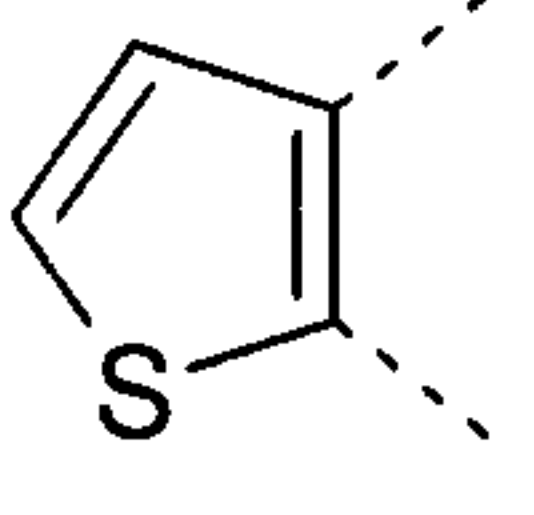
PCT/EP2011/064825

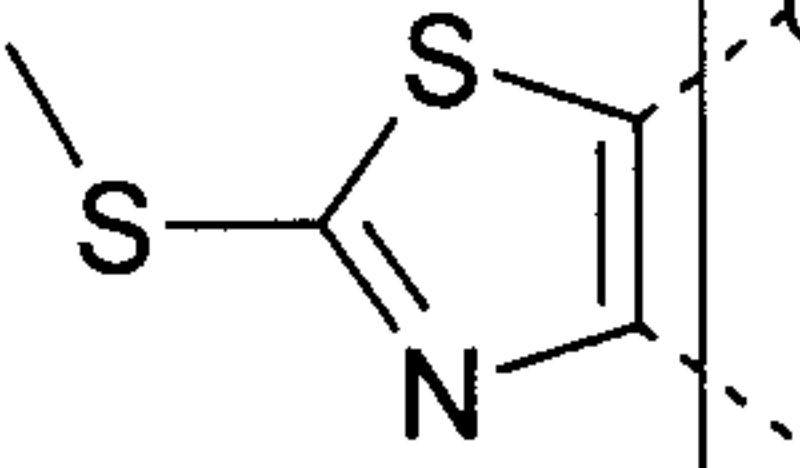
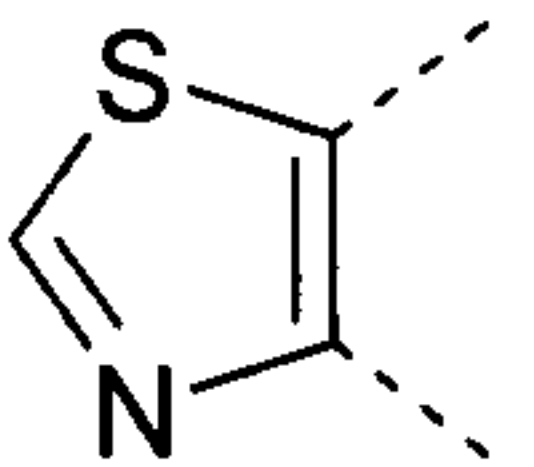
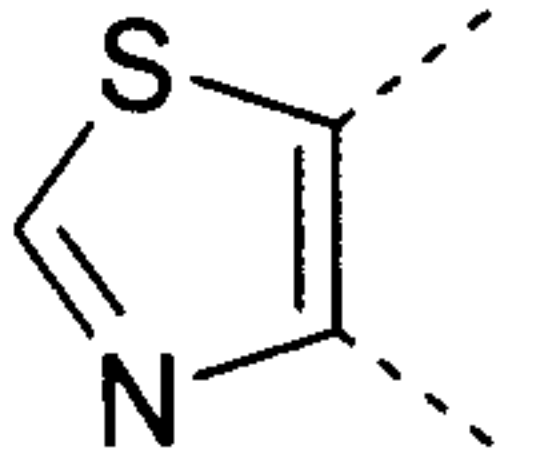
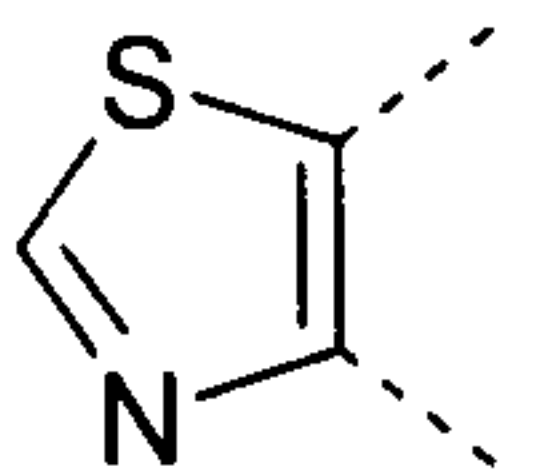
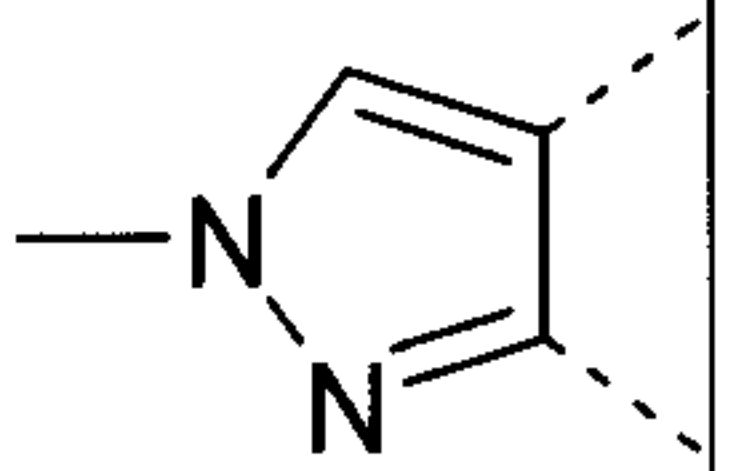
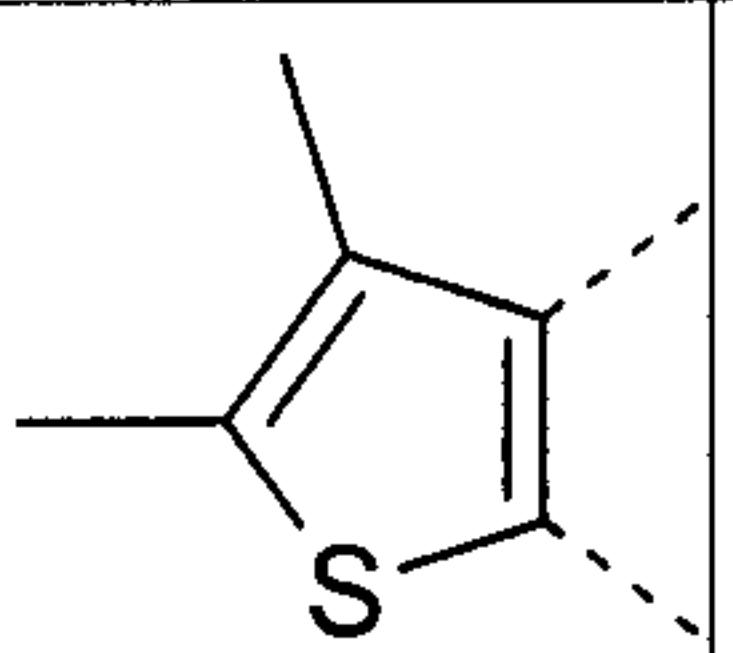
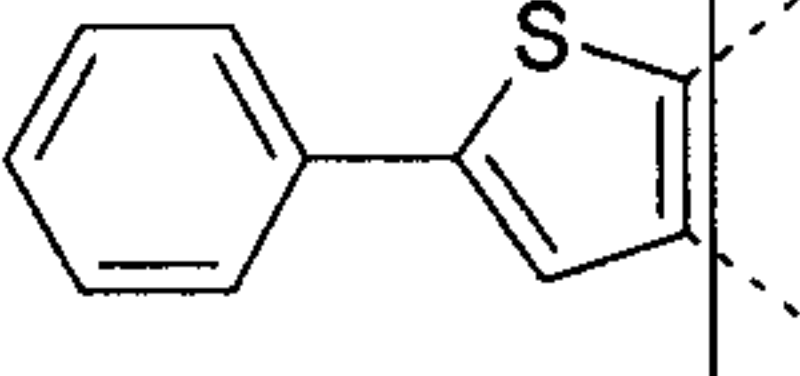
No.	A	V	W	X	Y	Z	L	M	R ²	Analytical data
I-c-17		SO ₂	CH ₂ CHF ₂	I	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.96 (d, 1H); 7.53 (dd, 1H); 7.45 (td, 1H); 7.16 (td, 1H); 6.26 (m, 1H); 4.67 (m, 1H); 4.49 (m, 1H); 2.77 (m, 2H); 1.17 (t, 3H)
I-c-18		SO ₂	CH ₂ CF ₃	I	H	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H); 7.97 (d, 1H); 7.47 (m, 2H); 7.16 (m, 1H); 4.80 (m, 2H); 2.77 (s, 3H)
I-c-19		SO ₂	CH ₂ CF ₃	I	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.95 (d, 1H); 7.49 (dd, 1H); 7.45 (t, 1H); 7.15 (td, 1H); 4.80 (m, 2H); 2.77 (m, 2H); 1.17 (t, 3H)
I-c-20		SO ₂	CH ₂ CHF ₂	CF ₃	H	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 7.87 (d, 1H); 7.69 (m, 3H); 6.21 (tt, 1H); 4.01 (m, 2H); 2.96 (q, 2H); 1.38 (t, 3H)
I-c-21		C=O	CH ₃	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.42 (m, 2H); 7.33 (m, 1H); 7.10 (m, 2H); 4.29 (q, 2H); 3.72 (s, 3H); 1.32 (m, 3H)
I-c-22		C=O	CH ₃	Me	4-Me	6-Me	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 6.89 (s, 2H); 4.06 (q, 2H); 3.88 (s, 3H); 2.78 (s, 3H); 2.28 (s, 3H); 2.08 (s, 6H); 1.11 (t, 3H)
I-c-23		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.60 (m, 2H); 7.40 (dd, 1H); 6.20 (tt, 1H); 4.00 (m, 2H); 2.42 (s, 3H)
I-c-24		SO ₂	CH ₂ CHF ₂	Cl	4-Cl	H	O	S	Et	¹ H NMR (400 MHz, CDCl ₃): 7.59 (m, 2H); 7.40 (dd, 1H); 6.20 (tt, 1H); 4.00 (m, 2H); 2.95 (q, 2H); 1.38 (t, 3H)
I-c-25		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.99 (s, 1H); 7.42 (dd, 2H); 7.32 (m, 1H); 6.30 (m, 1H); 4.93 (m, 2H); 4.24 (m, 2H); 1.26 (m, 3H)

WO 2012/028582

158

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	L	M	R ²	Analytical data
I-c-26		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 6.90 (s, 2H), 6.30 (m, 1H), 4.84 (m, 2H), 4.06 (m, 2H), 2.78 (s, 3H), 2.28 (s, 3H), 2.07 (s, 6H), 1.11 (t, 3H)
I-c-27		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.42 (m, 2H), 7.31 (m, 1H), 7.10 (m, 2H), 6.28 (m, 1H), 4.49 (m, 2H), 4.19 (q, 2H), 1.22 (m, 3H)
I-c-28		C=O	CH ₂ CF ₃	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.98 (s, 1H), 7.42 (dd, 2H), 7.30 (m, 1H), 5.21 (m, 2H), 4.22 (m, 2H), 1.25 (m, 3H)
I-c-29		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.53 (d, 1H), 7.26 (d, 1H), 6.28 (m, 1H), 4.84 (m, 2H), 4.19 (m, 2H), 2.79 (s, 3H), 2.46 (m, 2H), 1.23 (m, 3H), 1.12 (t, 3H)
I-c-30		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.59 (s, 1H), 7.51 (d, 1H), 7.26 (d, 1H), 6.28 (m, 1H), 4.58 (m, 2H), 4.22 (m, 2H), 2.45 (m, 2H), 1.26 (m, 3H), 1.10 (t, 3H)
I-c-31		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.59 (s, 1H), 6.89 (s, 2H), 6.29 (m, 1H), 4.58 (m, 2H), 4.08 (m, 2H), 2.28 (s, 3H), 2.07 (s, 6H), 1.15 (t, 3H)
I-c-32		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.49 (m, 2H); 7.41 (dd, 1H); 6.21 (tt, 1H); 4.03 (m, 2H); 2.42 (s, 3H)
I-c-33		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.56 (s, 1H); 7.43 (m, 2H); 7.32 (dd, 1H); 6.24 (tt, 1H); 4.29 (td, 2H); 3.94 (s, 3H); 2.31 (s, 3H)
I-c-34		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.52 (d, 1H), 7.28 (d, 1H), 7.10 (m, 2H), 6.25 (m, 1H), 4.50 (m, 2H), 4.18 (q, 2H), 2.48 (q, 2H), 1.23 (m,

No.	A	V	W	X	Y	Z	L	M	R ²	Analytical data
										3H), 1.14 (t, 3H)
I-c-35		C=O	CH ₂ CF ₃	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 7.41 (d, 2H), 7.27 (t, 1H), 5.14 (m, 2H), 4.21 (m, 2H), 2.77 (s, 3H), 1.23 (m, 3H)
I-c-36		C=O	CH ₂ C≡CH	Br	4-Cl	6-Et	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 9.01 (s, 1H), 7.52 (d, 1H), 7.28 (d, 1H), 5.28 (m, 2H), 4.21 (m, 2H), 2.47 (m, 2H), 2.24 (t, 1H), 1.23 (m, 3H), 1.12 (t, 3H)
I-c-37		C=O	CH ₂ CH ₃	Cl	6-Cl	H	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.97 (s, 1H), 7.41 (dd, 2H), 7.27 (m, 1H), 4.59 (m, 2H), 4.21 (m, 2H), 1.42 (t, 3H), 1.25 (m, 3H)
I-c-38		C=O	CH ₃	Me	4-Br	6-Et	O	O	Et	¹ H NMR (400 MHz, CDCl ₃): 8.95 (s, 1H), 7.29 (d, 1H), 7.27 (d, 1H), 4.12 (q, 2H), 3.95 (s, 3H), 2.40 (m, 2H), 2.10 (s, 3H), 1.18 (m, 3H), 1.11 (t, 3H)
I-c-39		SO ₂	CH ₂ CHF ₂	I	H	H	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.94 (m, 1H); 7.55 (s, 1H); 7.50 (dd, 1H); 7.43 (td, 1H); 7.14 (td, 1H); 6.27 (tdd, 1H); 4.40 (m, 1H); 4.25 (m, 1H); 3.93 (s, 3H); 2.27 (s, 3H)
I-c-40		C=O	CH ₂ CHF ₂	Cl	4-Br	6-Et	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.48 (br s, 1H); 7.37 (br s, 1H); 6.22 (tt, 1H); 4.42 (m, 2H); 2.45 (m, 2H); 2.38 (s, 3H); 2.24 (s, 6H); 1.14 (t, 3H)
I-c-41		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	O	S	Me	¹ H NMR (400 MHz, CDCl ₃): 7.67 (m, 2H); 7.53 (d, 1H); 7.46 (m, 3H); 7.36 (s, 1H); 7.28 (d, 1H); 6.19 (tt, 1H); 4.62 (m, 2H); 2.49 (m, 2H); 2.32 (s, 3H); 1.15 (t, 3H)

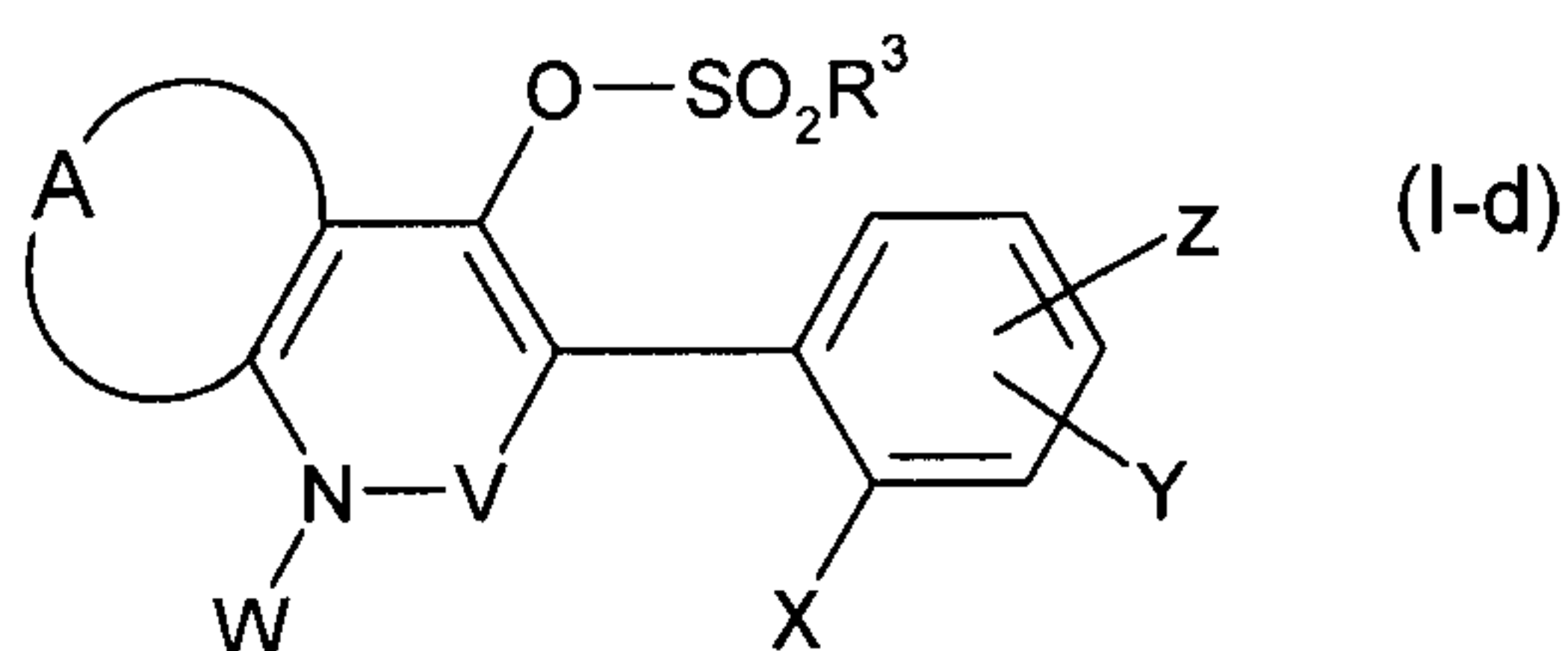
6. Preparation of 4-(2,2-difluoroethyl)-6-mesityl-5-oxo-4,5-dihydro[1,3]-thiazolo[4,5-b]pyridin-7-yl methanesulfonate (I-d-1)

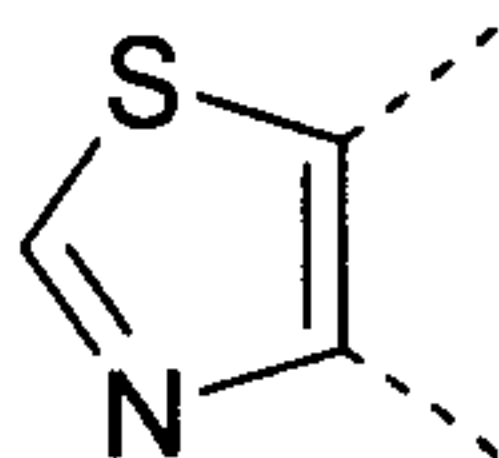
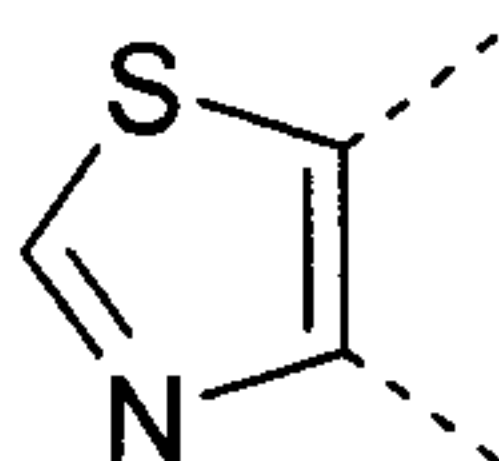
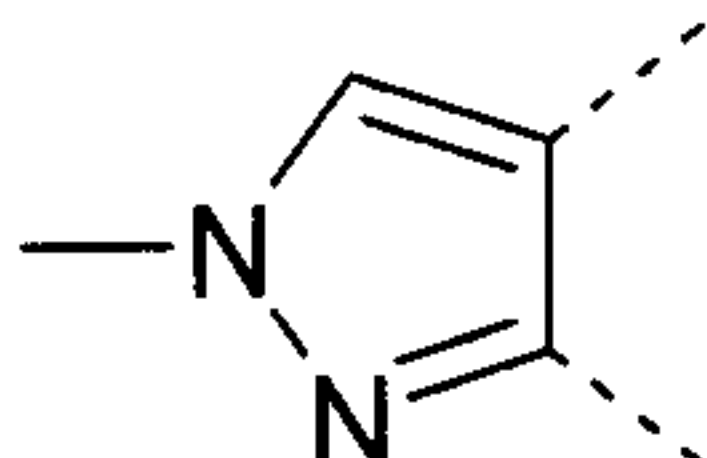
0.2 g (0.57 mmol) of inventive compound 1-a-37 was dissolved in 5 ml of
5 dichloromethane, and first 0.075 g (1.3 eq, 0.1 ml) of triethylamine and then 0.05 g
(1.1 eq, 0.5 ml) of methanesulfonyl chloride were added. The mixture was left to stir
at room temperature for one hour, 10 ml of water were added, and the organic phase
was removed and concentrated under reduced pressure. This gave 0.221 g of
compound I-d-1 as a solid.

10

In analogy to the example mentioned and according to the general details regarding the preparation, the following compounds of the formula (I-d) are obtained.

15 Table 150: Inventive compounds of the formula (I) in which G is SO_2R^3 :

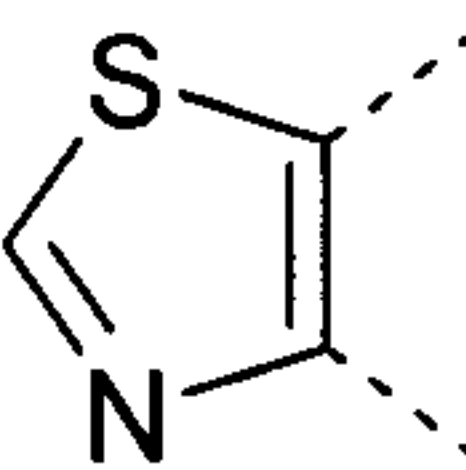
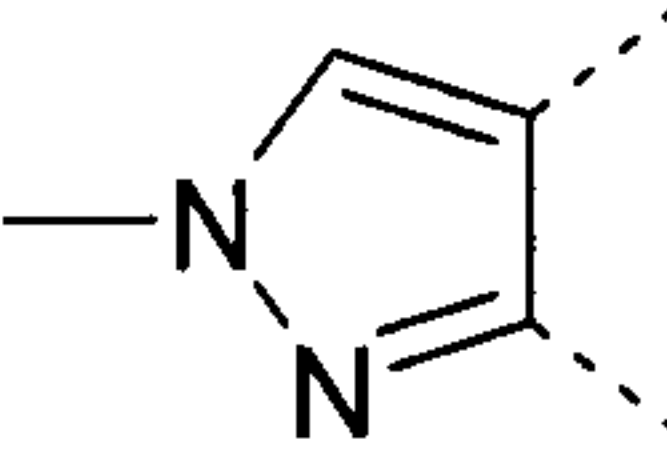
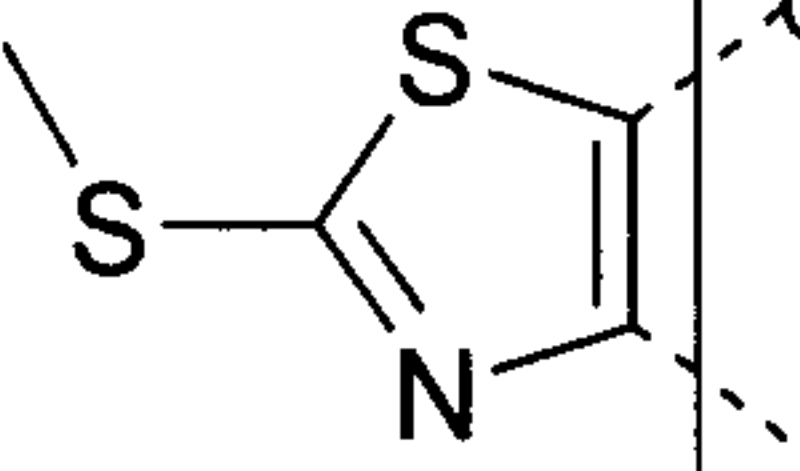
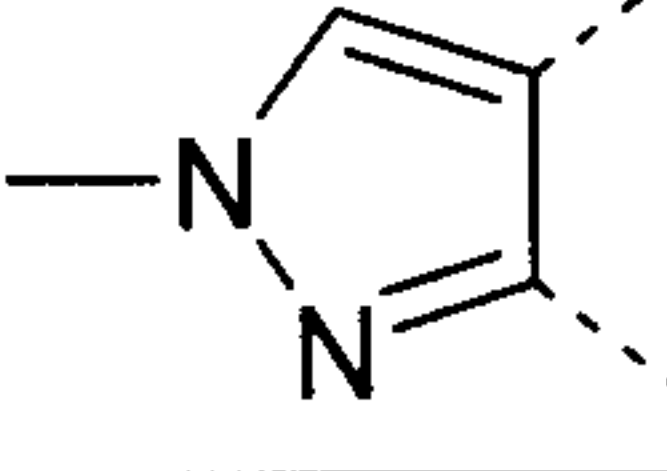


No.	A	V	W	X	Y	Z	R ³	Analytical data
I-d-1		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.97 (s, 1H), 6.88 (s, 2H), 6.30 (m, 1H), 4.91 (m, 2H), 2.43 (s, 3H), 2.30 (s, 3H), 2.14 (s, 6H)
I-d-2		C=O	CH ₂ C≡CH	Me	3-Br	6-Me	4-Me-phenyl	¹ H NMR (400 MHz, CDCl ₃): 9.04 (s, 1H), 7.36 (d, 1H), 7.21 (d, 2H), 7.13 (d, 2H), 5.27 (d, 2H), 2.44 (s, 3H), 2.24 (t, 1H), 2.04 (s, 3H), 2.02 (s, 3H)
I-d-3		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.87 (s, 1H), 7.54 (d, 1H), 7.29 (d, 1H), 6.01 (m, 1H), 5.21 (m, 2H), 4.82 (m, 2H), 4.02 (s, 3H), 2.81 (s, 3H), 2.49 (m, 2H), 1.14 (m, 3H),

WO 2012/028582

161

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ³	Analytical data
I-d-4		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 9.02 (s, 1H), 7.58 (d, 1H), 7.34 (d, 1H), 6.28 (m, 1H), 4.92 (m, 2H), 2.78 (s, 3H), 2.52 (m, 2H), 1.12 (m, 3H)
I-d-5		C=O	CH ₂ CF ₃	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.89 (s, 1H), 7.54 (d, 1H), 7.30 (d, 1H), 4.87 (m, 2H), 4.02 (s, 3H), 2.81 (s, 3H), 2.48 (m, 2H), 1.15 (m, 3H),
I-d-6		C=O	CH ₂ C≡CH	Cl	6-Cl	H	4-Me-phenyl	¹ H NMR (400 MHz, CDCl ₃): 7.42 (d, 1H), 7.18 (d, 2H), 7.12 (m, 3H), 5.21 (d, 2H), 2.81 (s, 3H), 2.43 (s, 3H), 2.23 (t, 1H),
I-d-7		C=O	CH ₂ CF ₃	Cl	6-Cl	H	4-Me-phenyl	¹ H NMR (400 MHz, CDCl ₃): 8.00 (s, 1H), 7.42 (d, 2H), 7.19 (d, 2H), 7.12 (m, 3H), 4.87 (m, 2H), 4.04 (s, 3H), 2.41 (s, 3H)

7. Preparation of sodium 6-(2,6-dichlorophenyl)-4-(2,2-difluoroethyl)-5-oxo-4,5-dihydro[1,3]thiazolo[4,5-b]pyridin-7-olate (compound I-g-1):

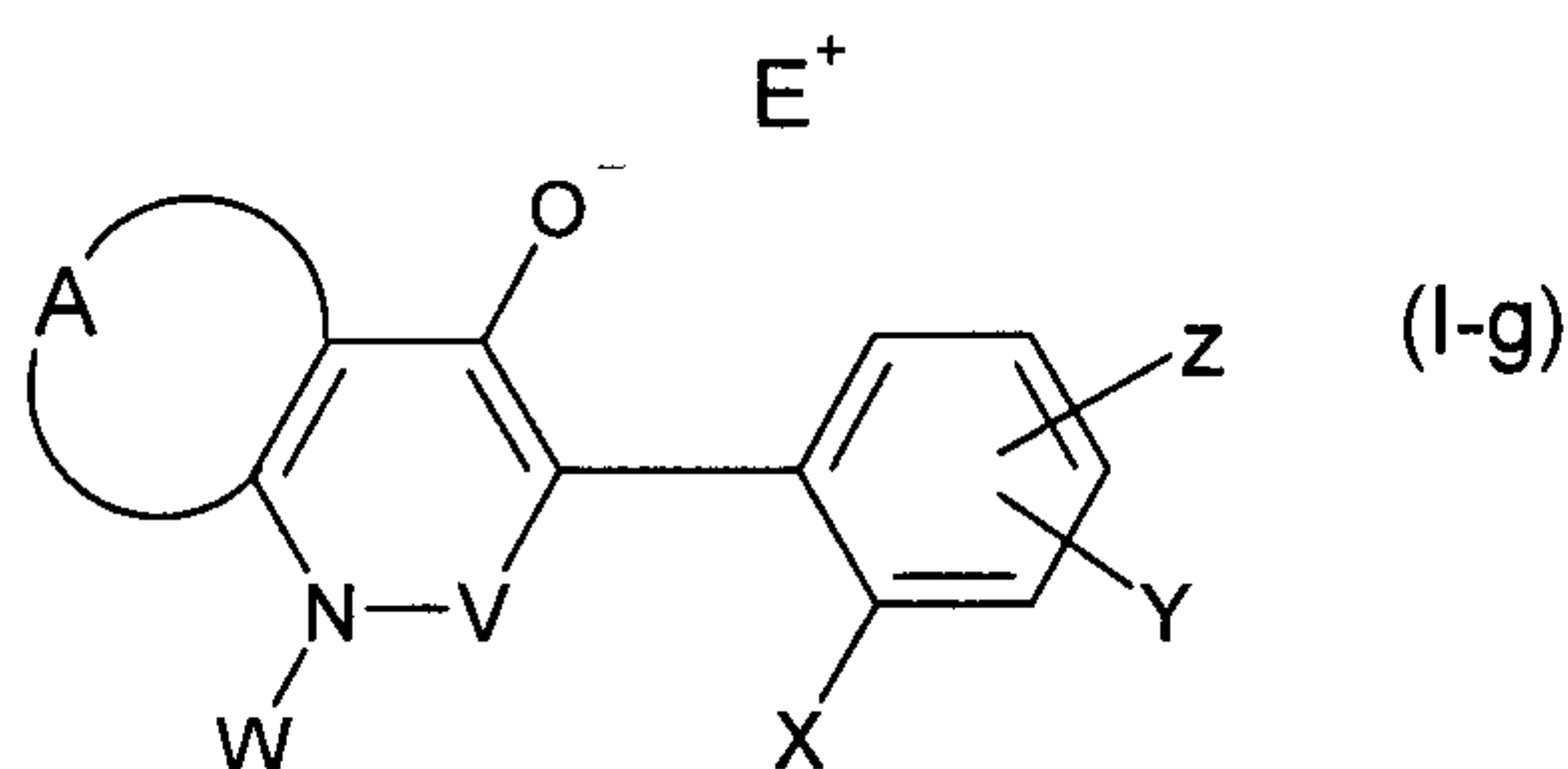
- 5 9.14 mg of sodium (0.39 mmol) were dissolved in 2 ml of MeOH, and a solution of 0.15 g (1.0 eq) of inventive compound I-a-38 in 5 ml of MeOH was added. The mixture was left to stir for 10 min and concentrated to dryness under reduced pressure. This gave compound I-g-1.
- 10 In analogy to the example mentioned and according to the general details regarding the preparation, the following compounds of the formula (I-g) are obtained.

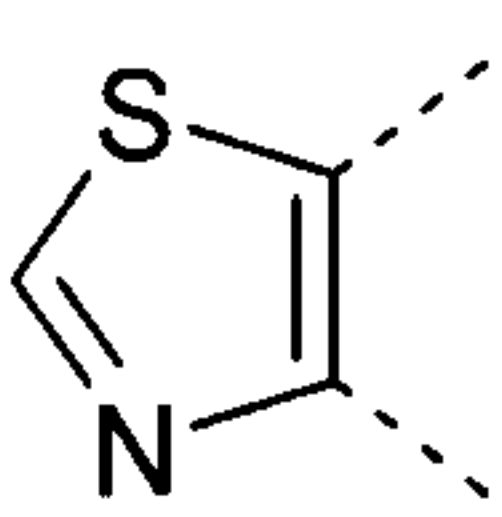
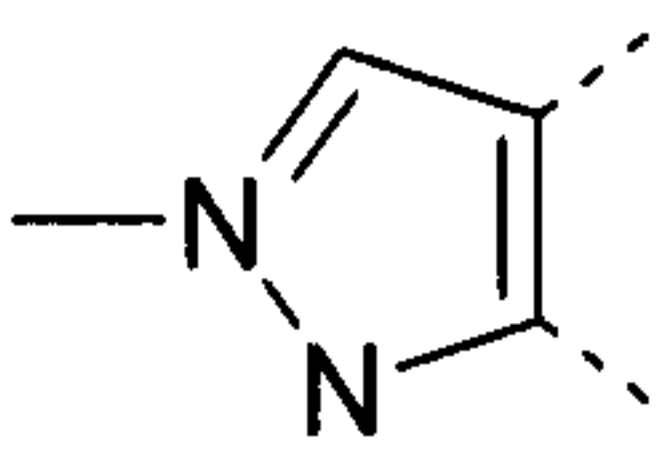
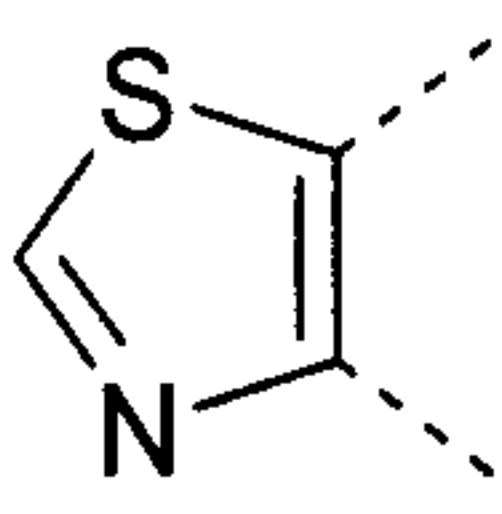
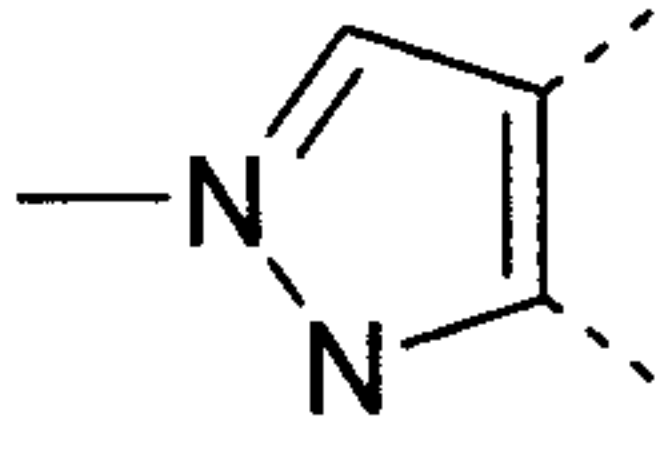
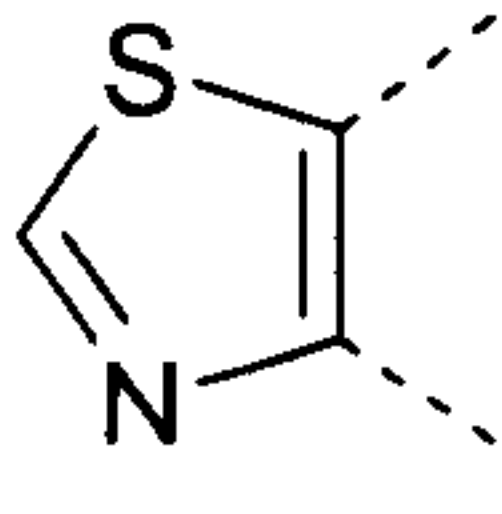
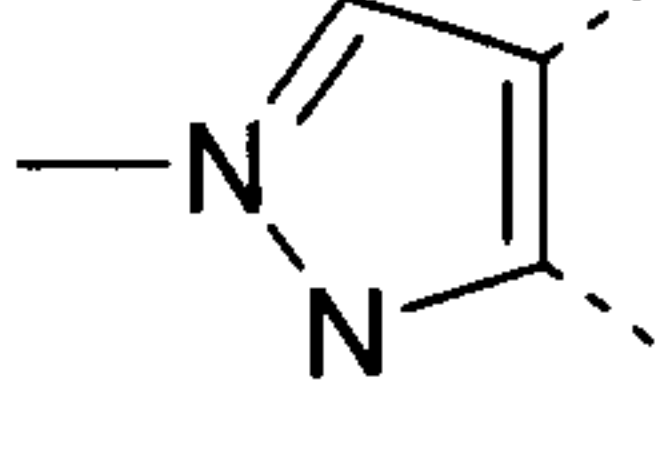
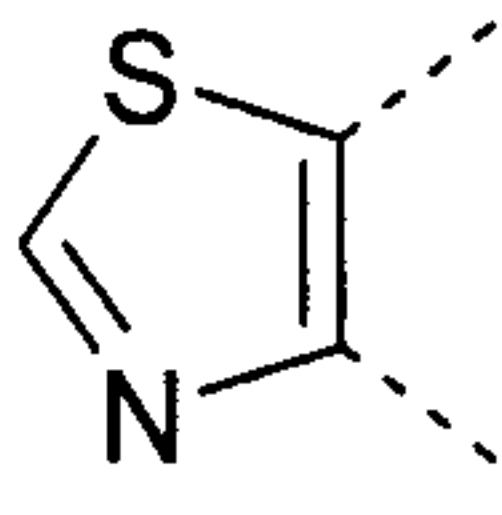
Table 151: Inventive compounds of the formula (I) in which G is E:

WO 2012/028582

162

PCT/EP2011/064825



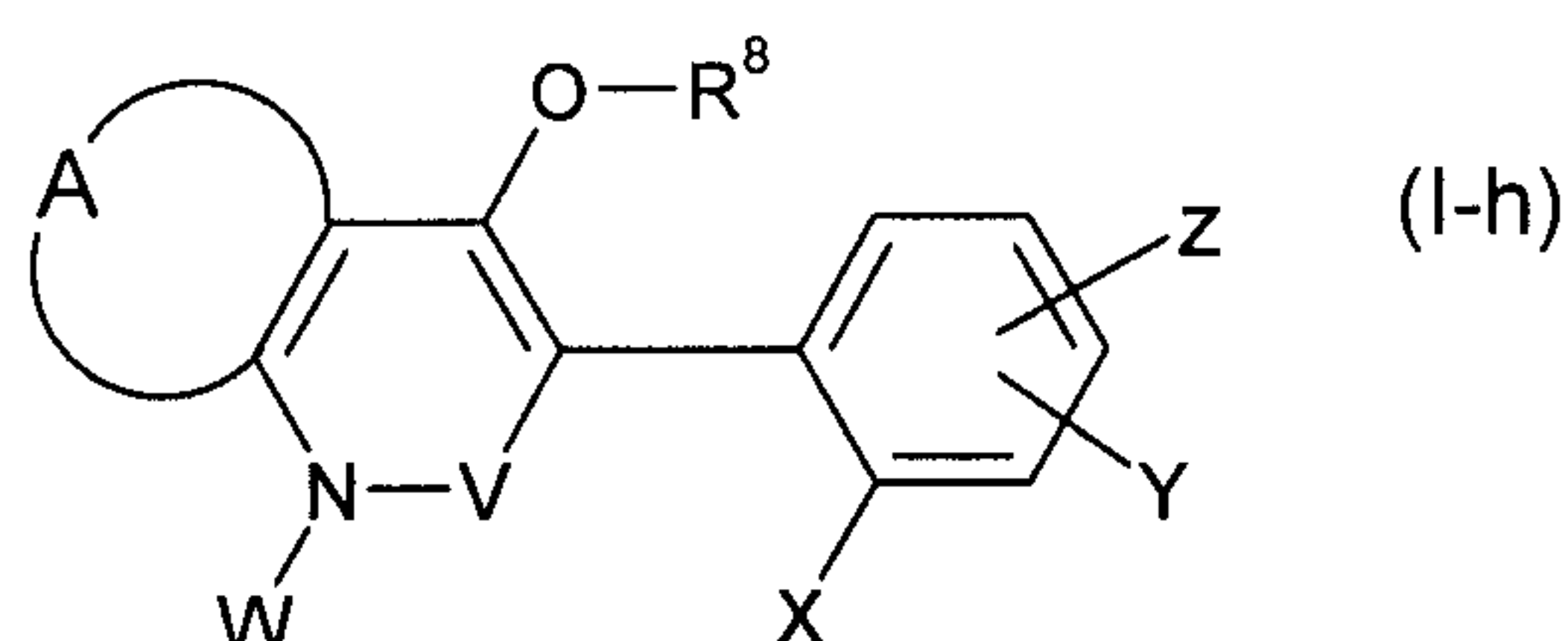
No.	A	V	W	X	Y	Z	E	Analytical data
I-g-1		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	Na ⁺	¹ H NMR (400 MHz, d6-DMSO): 7.35 (d, 2H), 7.18 (t, 1H), 6.22 (m, 1H), 4.53 (m, 2H)
I-g-2		C=O	CH ₂ CH=CH ₂	Cl	4-Cl	H	Na ⁺	¹ H NMR (400 MHz, d6-DMSO): 7.67 (s, 1H), 7.33 (d, 1H), 7.21 (d, 2H), 7.16 (dd, 1H), 5.86 (m, 1H), 4.99 (m, 2H), 4.44 (m, 2H), 3.78 (s, 3H)
I-g-3		C=O	CH ₂ CH ₃	Cl	6-Cl	H	K ⁺	¹ H NMR (400 MHz, d6-DMSO): 8.97 (s, 1H), 7.33 (d, 2H), 7.13 (t, 1H), 4.16 (q, 2H), 1.12 (t, 3H)
I-g-4		C=O	CH ₂ CH=CH ₂	Cl	6-Cl	H	N(Me) ₄ ⁺	¹ H NMR (400 MHz, d6-DMSO): 7.63 (s, 1H), 7.28 (d, 1H), 7.09 (d, 2H), 5.85 (m, 1H), 4.97 (m, 2H), 4.43 (m, 2H), 3.78 (s, 3H), 3.19 (s, 12H)
I-g-5		C=O	CH ₂ CHF ₂	Me	4-Me	6-Me	N(Me) ₄ ⁺	¹ H NMR (400 MHz, d6-DMSO): 6.72 (s, 2H), 4.53 (m, 2H), 3.17 (s, 12H), 2.21 (s, 3H), 1.98 (s, 6H)
I-g-6		C=O	CH ₂ CHF ₂	Br	4-Cl	6-Et	K ⁺	¹ H NMR (400 MHz, d6-DMSO): 7.70 (s, 1H), 7.39 (s, 1H), 7.14 (s, 1H), 6.21 (m, 1H), 4.22 (m, 2H), 3.80 (s, 3H), 2.42 (q, 2H), 0.95 (t, 3H)
I-g-7		C=O	CH ₂ CHF ₂	OMe	4-Cl	6-Et	Na ⁺	¹ H NMR (400 MHz, d6-DMSO): 6.77 (d, 1H), 6.73 (d, 1H), 6.21 (m, 1H), 4.52 (m, 2H), 3.56 (s, 3H), 2.35 (q, 2H), 0.96 (t, 3H)

8. Preparation of 1-(2,2-difluoroethyl)-3-(2-iodophenyl)-4-(prop-2-yn-1-yloxy)-1H-[1,3]thiazolo[4,5-c][1,2]thiazine 2,2-dioxide (compound No. I-h-2)

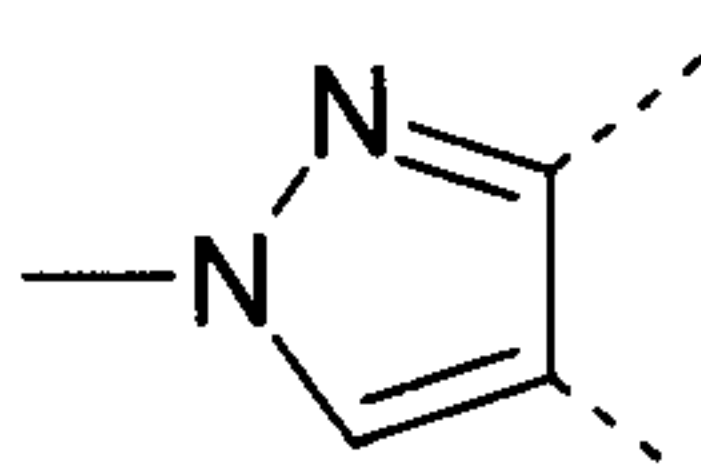
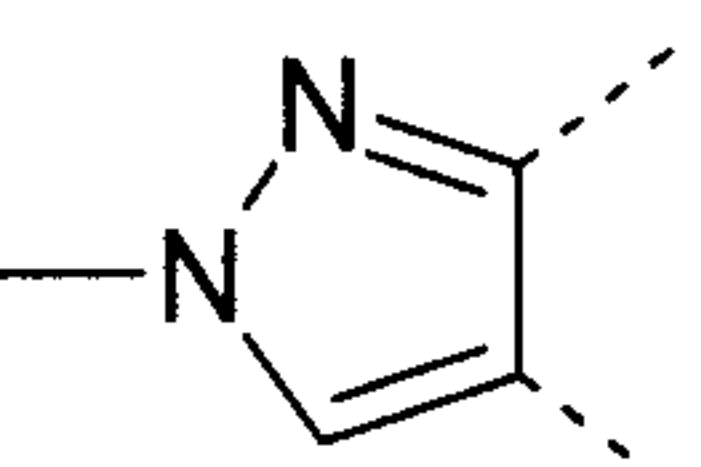
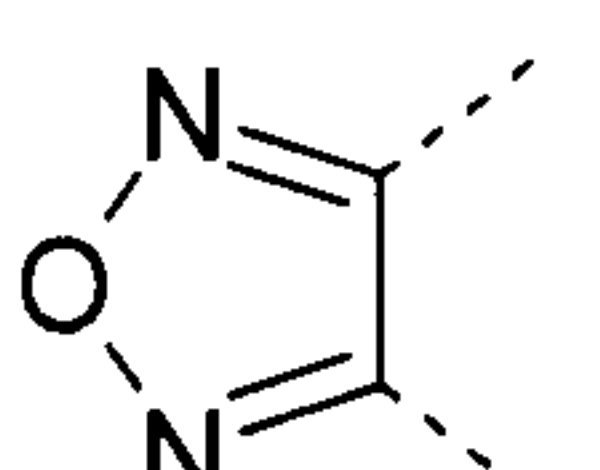
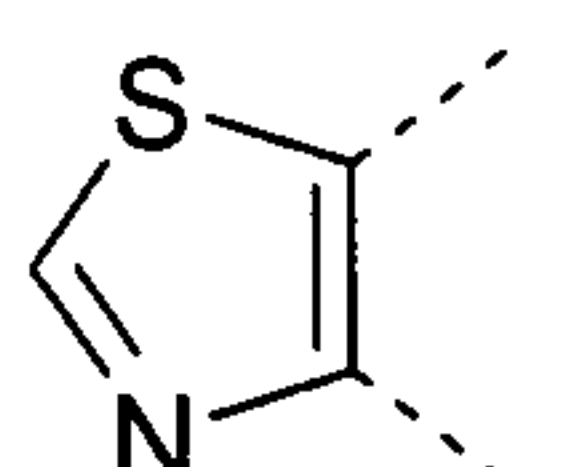
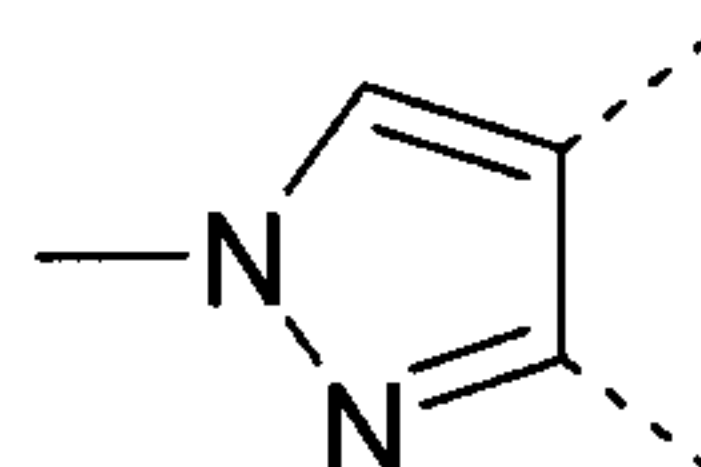
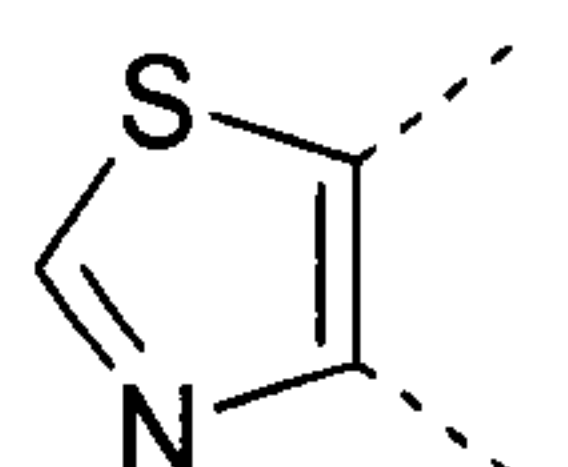
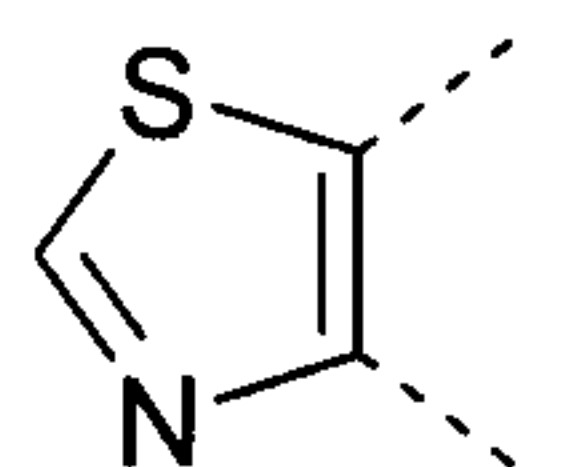
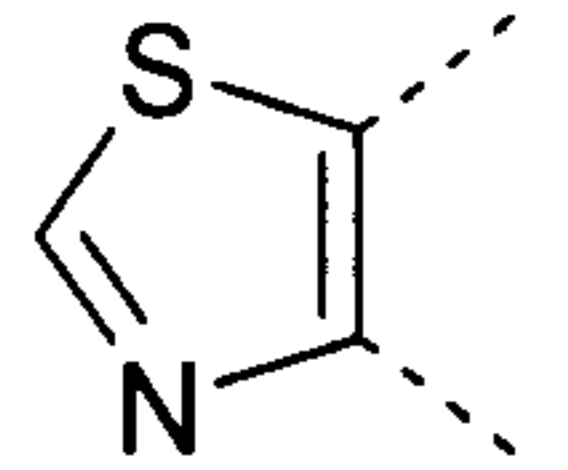
150 mg (0.3 mmol) of 1-(2,2-difluoroethyl)-3-(2-iodophenyl)-1H-[1,3]thiazolo[4,5-
 5 c][1,2]thiazin-4-ol 2,2-dioxide (compound I-a-14) were dissolved in 3 ml of DMF, and
 85 mg of potassium carbonate were added at RT. The reaction mixture was stirred at
 RT for 5 min, and then 0.035 ml (0.46 mmol) of propargyl bromide was added
 dropwise. The reaction mixture was stirred at 90°C for 4 h, then poured onto water
 and extracted repeatedly with ethyl acetate. The combined organic phases were
 10 dried (sodium sulfate) and concentrated to dryness. The residue was purified by
 means of preparative HPLC (C₁₈-SiO₂, gradient acetonitrile/water 20:80 to 100:0).
 This gave 51 mg of compound I-h-3.

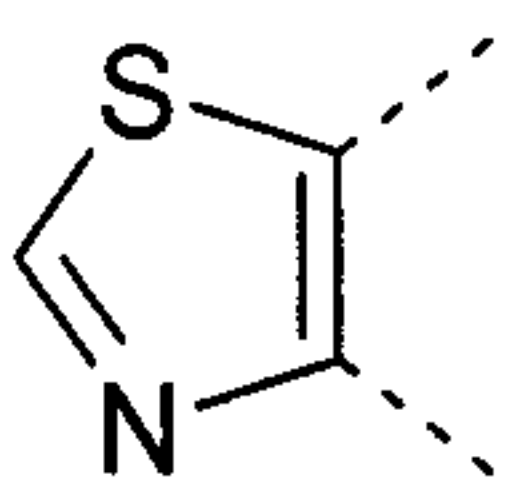
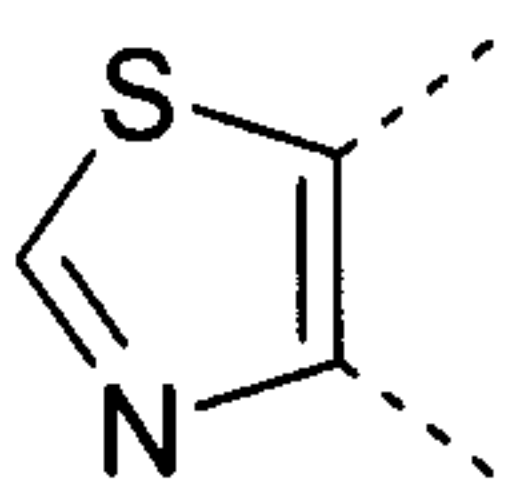
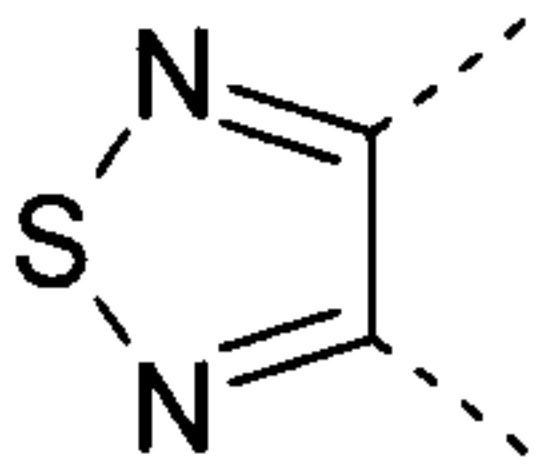
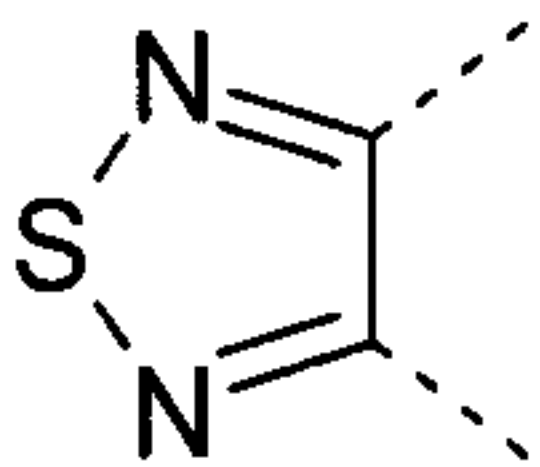
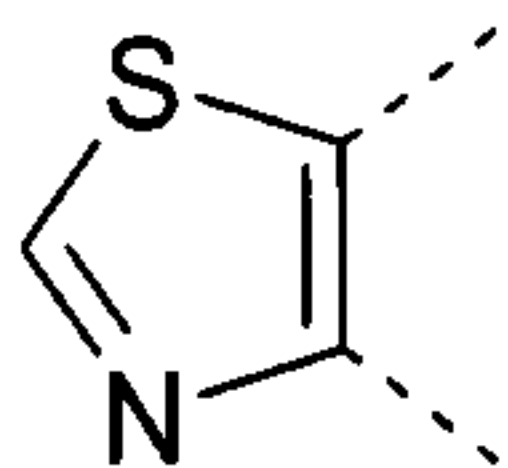
In analogy to example (I-h-2) and according to the general details regarding the
 15 preparation, the following compounds of the formula (I-h) are obtained:

Table 152: Inventive compounds of the formula (I) in which G is R⁸:



No.	A	V	W	X	Y	Z	R ⁸	Analytical data
I-h-1		SO ₂	CH ₂ CF ₃	I	H	H	CH ₂ -C≡CH	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.97 (dd, 1H); 7.67 (dd, 1H); 7.48 (td, 1H); 7.18 (td, 1H); 4.74 (m, 2H); 4.40 (dd, 1H); 4.22 (dd, 1H); 2.56 (t, 1H)
I-h-2		SO ₂	CH ₂ CHF ₂	I	H	H	CH ₂ -C≡CH	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.96 (dd, 1H); 7.72 (dd, 1H); 7.49 (td, 1H); 7.18 (td, 1H); 6.21 (m, 1H); 4.62 (m, 1H); 4.42 (m, 1H); 4.38 (dd, 1H); 4.22 (dd, 1H); 2.56 (t, 1H)

No.	A	V	W	X	Y	Z	R ⁸	Analytical data
I-h-3		SO ₂	CH ₂ CHF ₂	I	H	H	CH ₂ -C≡CH	¹ H NMR (400 MHz, CDCl ₃): 7.94 (dd, 1H); 7.77 (s, 1H); 7.66 (dd, 1H); 7.44 (td, 1H); 7.14 (td, 1H); 6.22 (tt, 1H); 4.32 (m, 1H); 4.33 (m, 1H); 4.19 (m, 1H); 3.94 (s, 3H); 2.55 (t, 1H)
I-h-4		SO ₂	CH ₂ CF ₃	I	H	H	CH ₂ -C≡CH	¹ H NMR (400 MHz, CDCl ₃): 7.94 (dd, 1H); 7.78 (s, 1H); 7.64 (dd, 1H); 7.44 (td, 1H); 7.13 (td, 1H); 4.56 (m, 1H); 4.40 (m, 1H); 4.38 (dd, 1H); 4.28 (dd, 1H); 3.95 (s, 3H); 2.55 (t, 1H)
I-h-5		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	CH ₂ -CH=CH ₂	¹ H NMR (400 MHz, CDCl ₃): 7.42 (d, 2H); 7.30 (m, 1H); 5.94 (m, 2H); 5.27 (m, 6H); 4.76 (m, 2H)
I-h-6		C=O	CH ₂ CHF ₂	Cl	4-Cl	H	CH ₂ CHF ₂	¹ H NMR (400 MHz, CDCl ₃): 8.97 (s, 1H); 7.56 (d, 1H); 7.37 (dd, 1H); 7.26 (d, 1H); 6.27 (m, 1H); 5.84 (m, 1H); 4.86 (m, 2H); 3.90 (m, 2H)
I-h-7		SO ₂	CH ₂ CHF ₂	Cl	6-Cl	H	CH ₂ -C≡CH	¹ H NMR (400 MHz, CDCl ₃): 7.79 (s, 1H); 7.44 (d, 2H); 7.33 (dd, 1H); 6.17 (tt, 1H); 4.41 (d, 2H); 4.21 (td, 2H); 3.95 (s, 3H); 2.53 (t, 1H)
I-h-8		C=O	CH ₂ CHF ₂	CF ₃	H	H	CH ₂ CHF ₂	¹ H NMR (400 MHz, CDCl ₃): 8.95 (s, 1H); 7.82 (d, 1H); 7.67 (t, 1H); 7.58 (t, 1H); 7.41 (d, 1H); 6.25 (m, 1H); 5.77 (m, 1H); 4.85 (m, 2H); 3.88 (m, 2H)
I-h-9		C=O	CH ₃	I	H	H	CH ₃	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.94 (d, 1H); 7.41 (t, 1H); 7.32 (d, 1H); 7.07 (t, 1H); 3.89 (s, 3H); 3.69 (s, 3H)
I-h-10		C=O	CH ₃	CF ₃	H	H	CH ₃	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.78 (d, 1H); 7.62 (t, 1H); 7.51 (t, 1H); 7.36 (d, 1H); 3.88 (s, 3H); 3.71 (s, 3H)

No.	A	V	W	X	Y	Z	R ⁸	Analytical data
I-h-11		C=O	CH ₂ C≡CH	CF ₃	H	H	CH ₂ C≡CH	¹ H NMR (400 MHz, CDCl ₃): 8.98 (s, 1H), 7.78 (d, 1H), 7.65 (t, 1H), 7.54 (t, 1H), 7.46 (d, 1H), 5.23 (m, 2H), 4.43 (m, 2H), 2.51 (m, 1H), 2.22 (m, 1H)
I-h-12		C=O	CH ₂ CF ₃	CF ₃	H	H	CH ₂ CF ₃	¹ H NMR (400 MHz, CDCl ₃): 8.96 (s, 1H), 7.83 (d, 1H), 7.69 (t, 1H), 7.60 (t, 1H), 7.41 (d, 1H), 5.13 (m, 2H), 3.93 (m, 2H)
I-h-13		C=O	CH ₃	Cl	6-Cl	H	CH ₃	¹ H NMR (400 MHz, CDCl ₃): 7.42 (d, 2H), 7.29 (t, 1H), 4.27 (s, 3H), 3.78 (s, 3H)
I-h-14		C=O	CH ₂ CHF ₂	Cl	6-Cl	H	CH ₂ CHF ₂	¹ H NMR (400 MHz, CDCl ₃): 7.44 (d, 2H), 7.32 (t, 1H), 6.23 (m, 1H), 5.95 (m, 1H), 4.94 (m, 2H), 4.73 (m, 2H)
I-h-15		C=O	CH ₂ -CH ₂ -SCH ₃	Cl	6-Cl	H	CH ₂ -CH ₂ -SCH ₃	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H), 7.42 (d, 2H), 7.27 (d, 1H), 4.69 (t, 2H), 4.04 (t, 2H), 2.93 (t, 2H), 2.67 (t, 2H), 2.21 (s, 3H), 2.01 (s, 3H)

9. Preparation of compound No. II-1:

0.5 g (1.45 mmol) of methyl 4-[(2,6-dichlorophenyl)acetyl]amino}-1,3-thiazole-5-carboxylate were dissolved in 10 ml of acetonitrile, and 0.46 g (2.5 eq) of dimethyl sulfate and 0.44 g of potassium carbonate were added. The mixture was heated to boiling under reflux for 2.5 h and freed of the solvent under reduced pressure, and the residue was taken up in 10 ml of water. After addition of 10 ml of dichloromethane, the phases were separated using an extraction cartridge and the organic phase was concentrated. Chromatographic purification on silica gel (gradient EtOAc : n-heptane 1:9 to 1:1) gave 0.40 g of inventive compound II-1.

10. Preparation of compound No. II-25:

WO 2012/028582

166

PCT/EP2011/064825

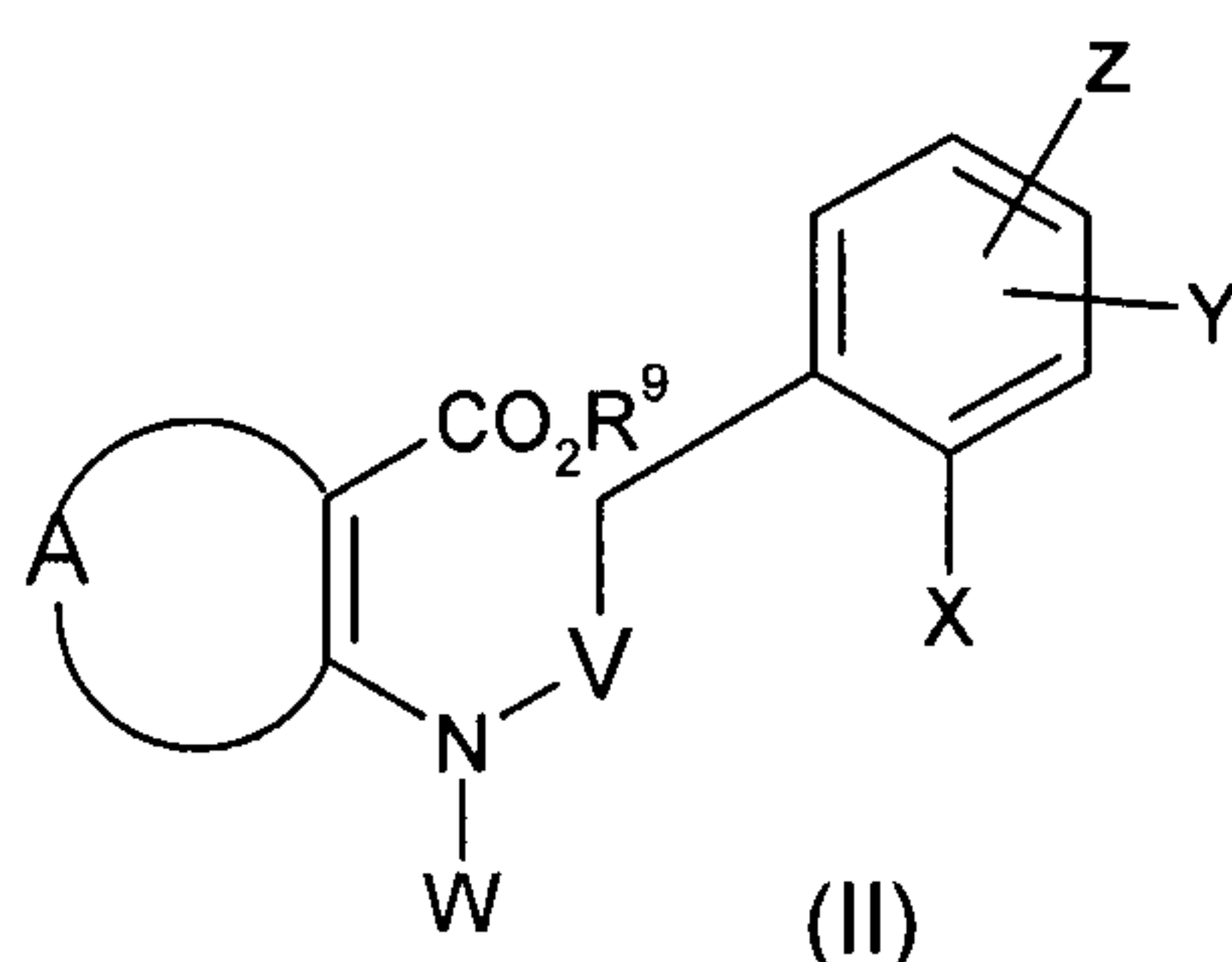
0.75 g (2.17 mmol) of methyl 2-[(2,6-dichlorophenyl)acetyl]amino}thiophene-3-carboxylate was dissolved in 7 ml of THF, and 0.1 g of sodium hydride (60%) was added. The mixture was left to stir for 10 min and then 0.7 g (1.5 eq) of 2,2-trifluoromethyl difluoromethanesulfonate in 3 ml of THF was added dropwise within 10 min. Subsequently, the mixture was heated to boiling for 2 h and freed of the solvent. The residue formed was partitioned between 10 ml of water and 10 ml of dichloromethane, and the two phases were separated using an extraction cartridge. The organic phase was concentrated. Chromatographic purification on silica gel (gradient EtOAc : n-heptane 1:9 to 1:1) gave 0.74 g of inventive compound II-25.

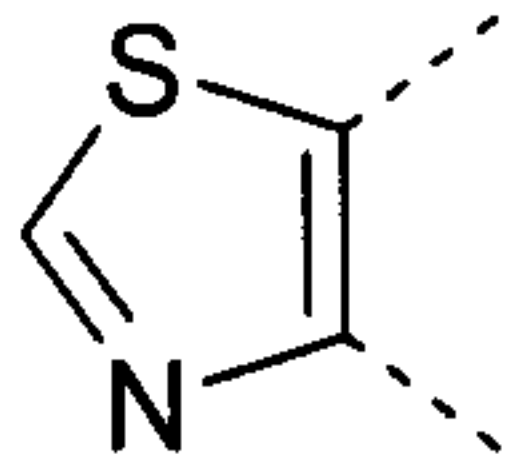
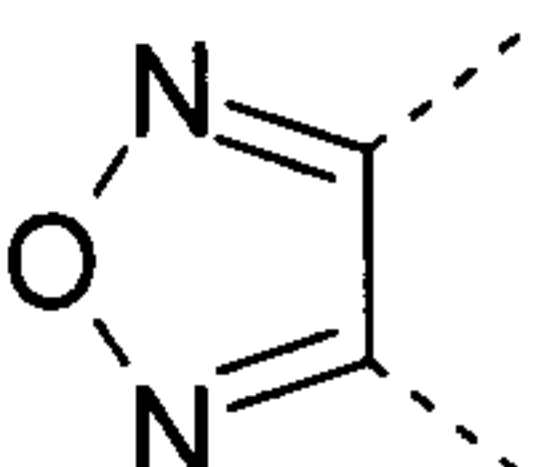
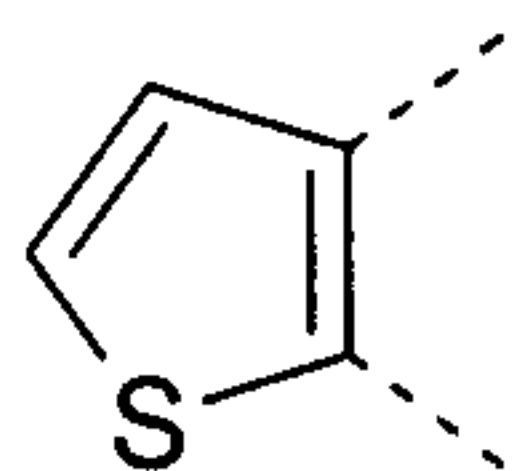
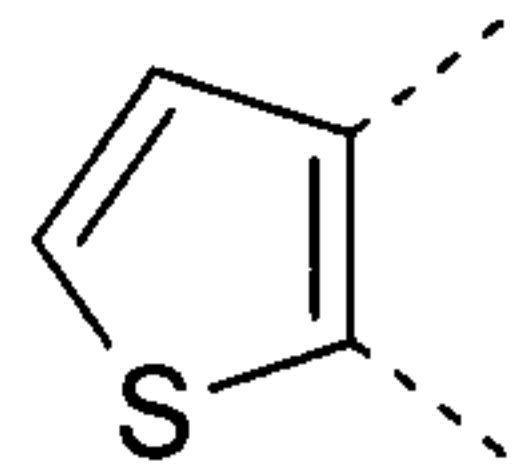
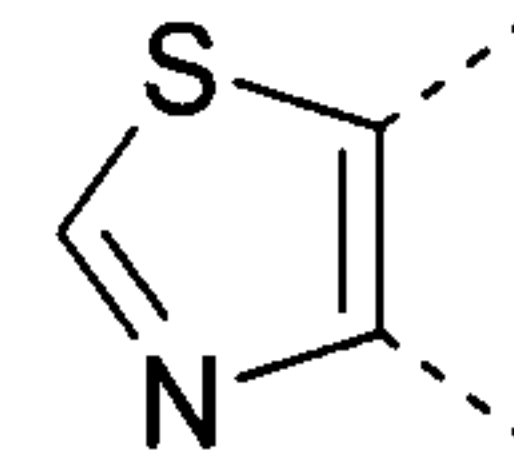
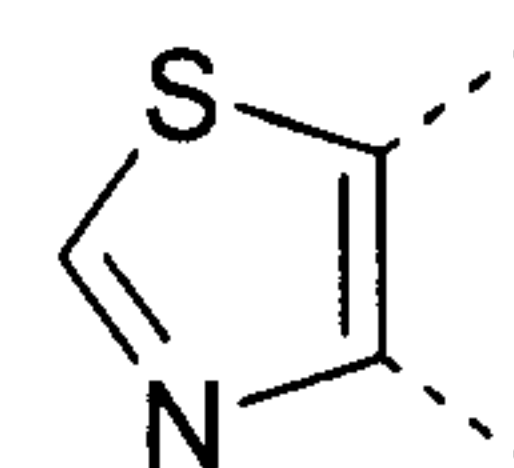
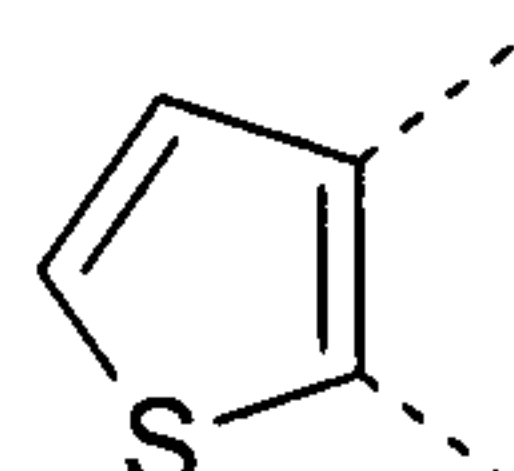
11. Preparation of compound No. II-42:

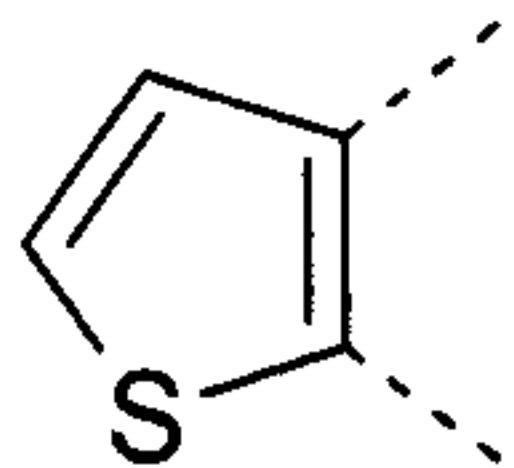
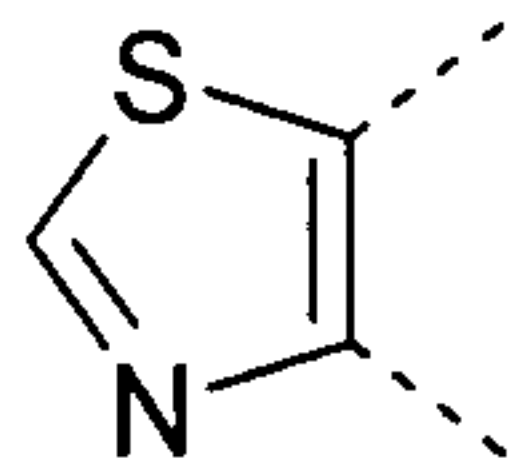
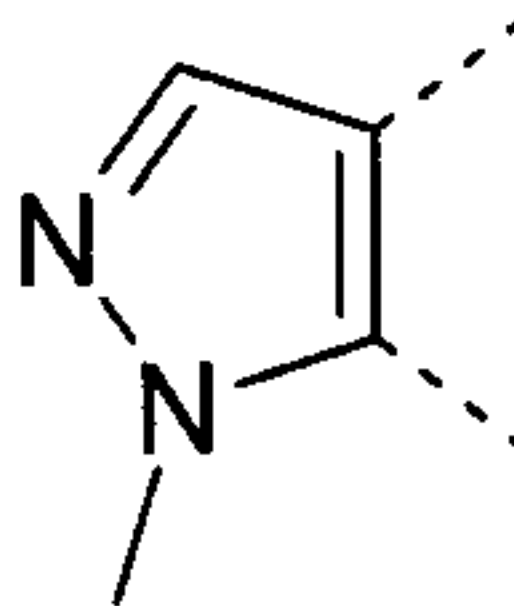
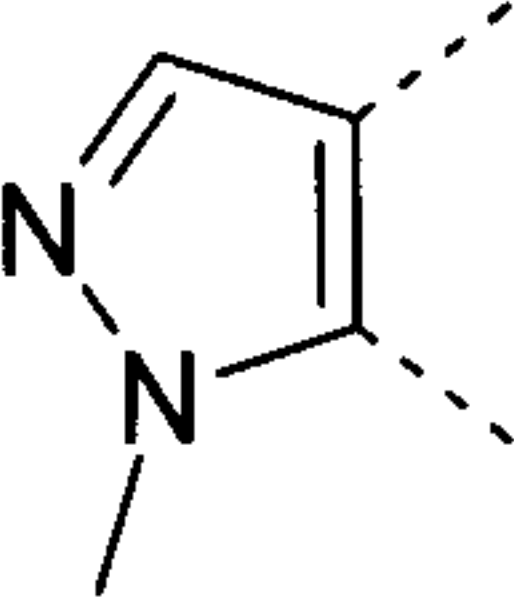
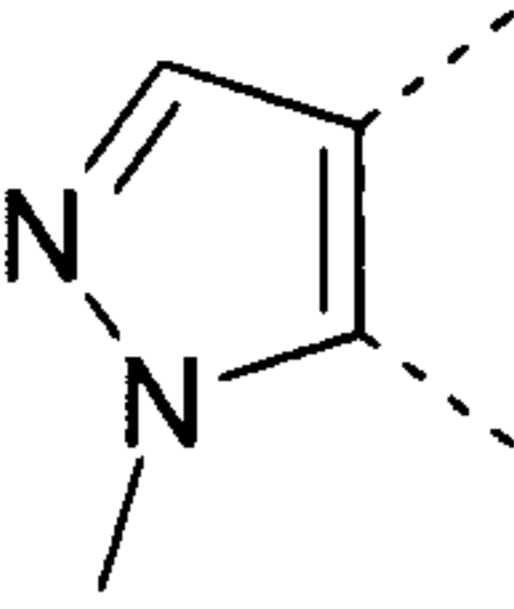
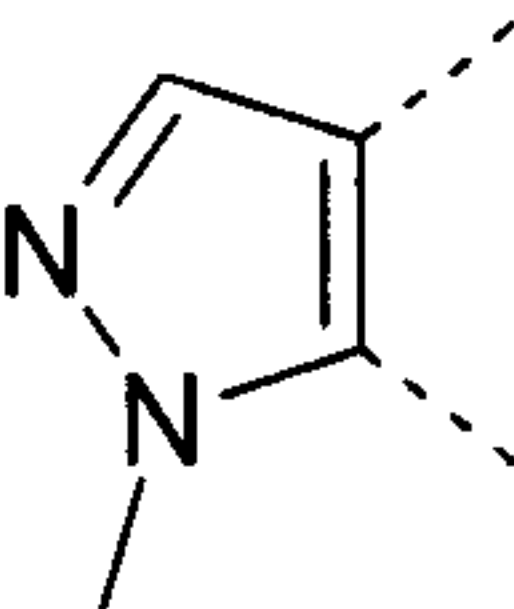
2.0 g (9.16 mmol) of methyl 4-amino-2-methylthio-1,3-thiazole-5-carboxylate were initially charged in 40 ml of dioxane and, at 70°C, a solution of 1.98 g (1.1 eq) of mesitylacetyl chloride in 10 ml of dioxane was added dropwise. The mixture was heated to boiling under reflux until no further evolution of gas was observed. After removing the solvent under reduced pressure, the mixture was taken up in 50 ml of dichloromethane and washed with 5% NaHCO₃ solution, and the organic phase was removed and dried with sodium sulfate. After removing the solvent, the mixture was taken up in 1:10 ethyl acetate/n-heptane and the precipitate formed was filtered off. This gave 2.7 g of inventive compound II-42.

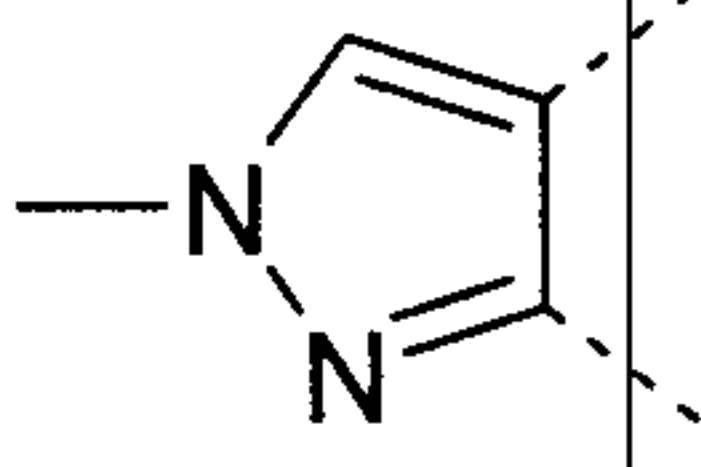
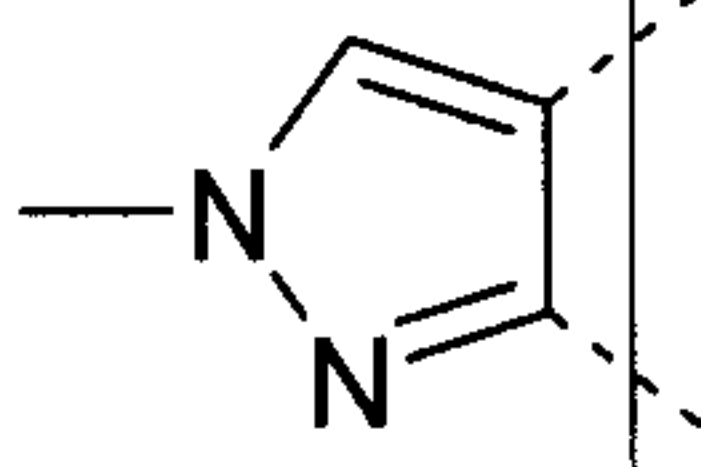
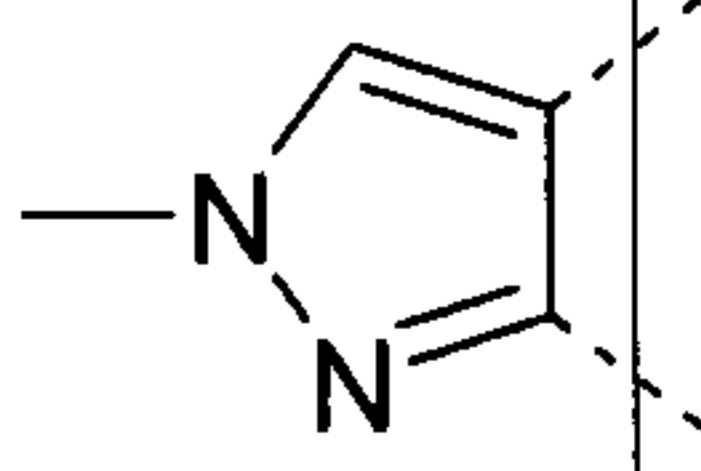
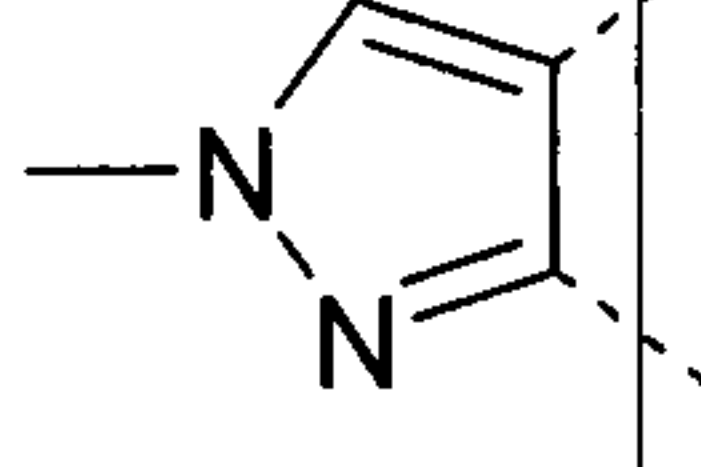
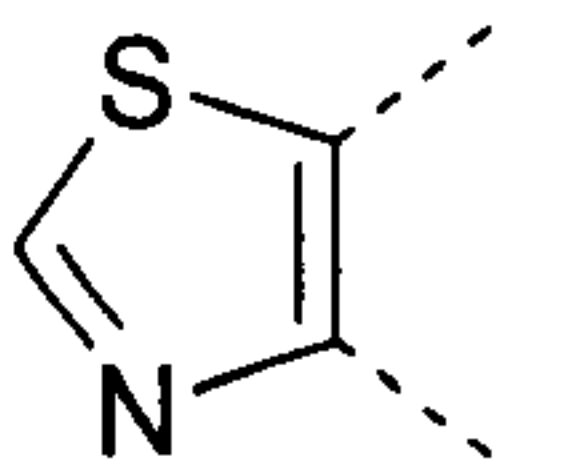
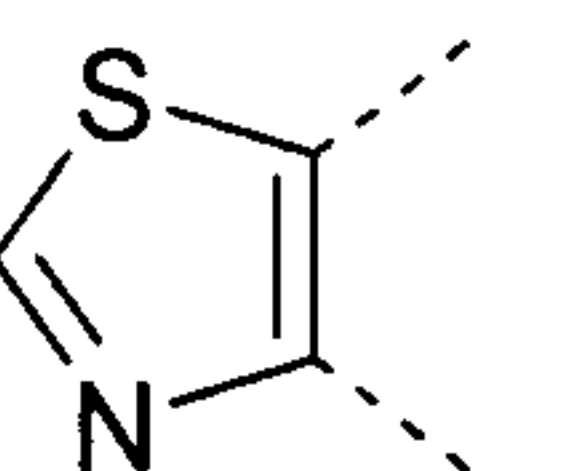
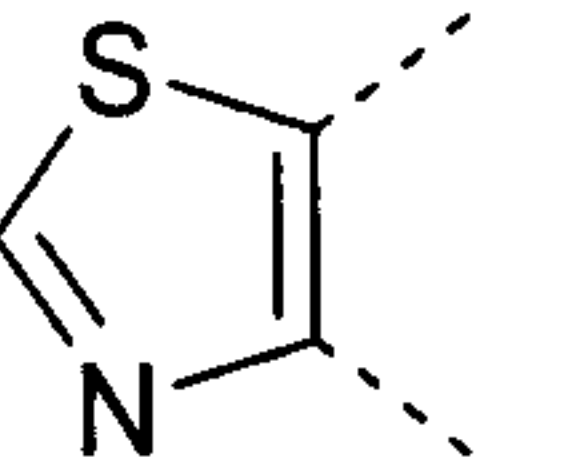
In analogy to the above examples and according to the general details regarding the preparation, the following compounds of the formula (II) are obtained:

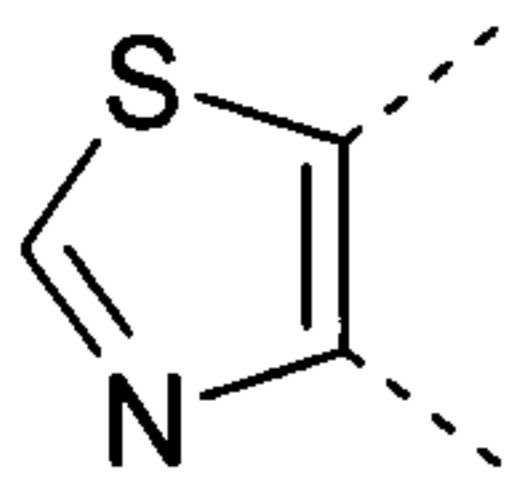
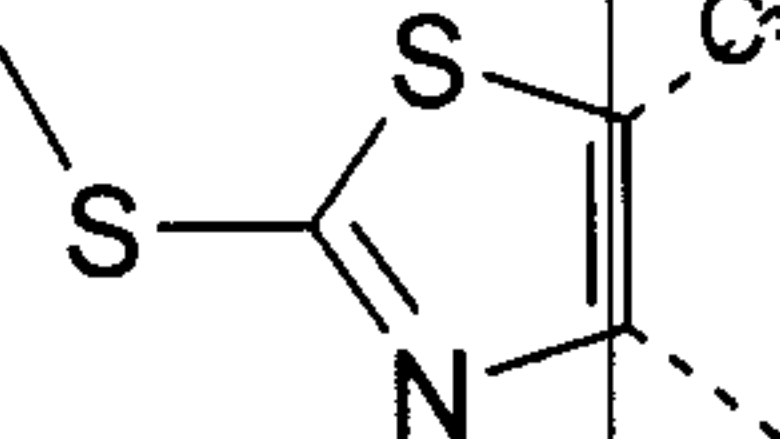
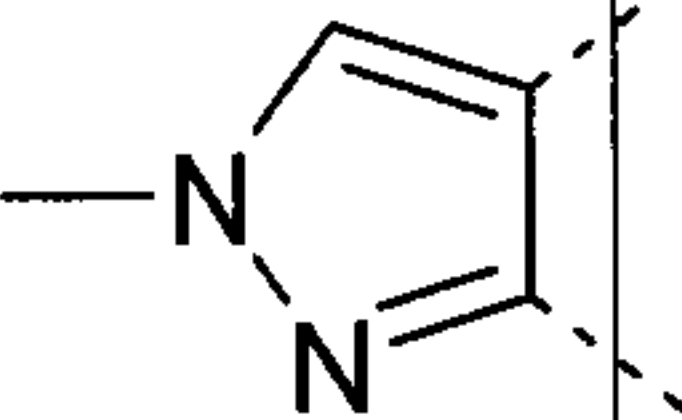
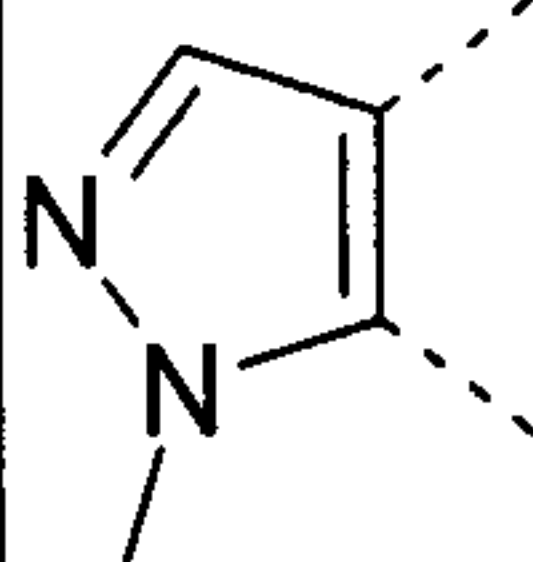
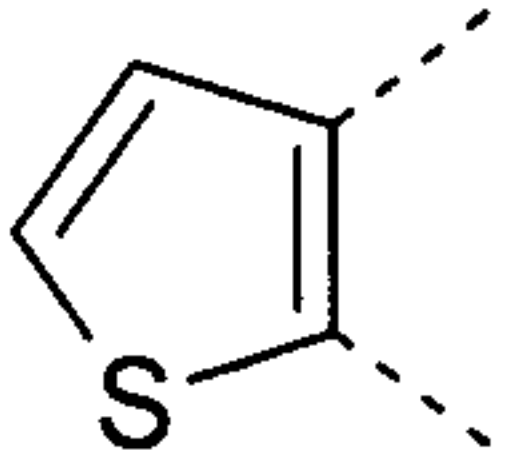
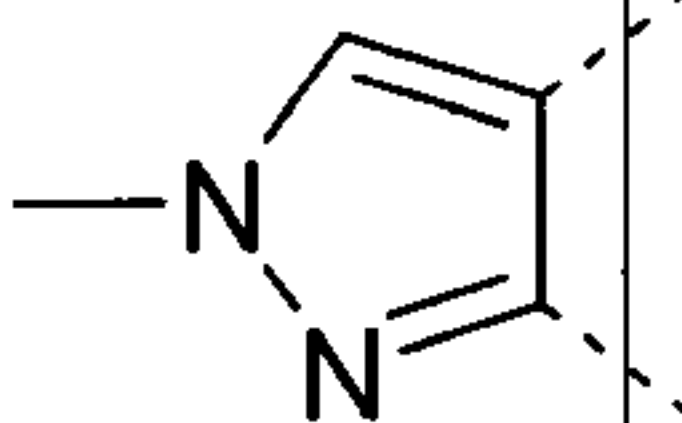
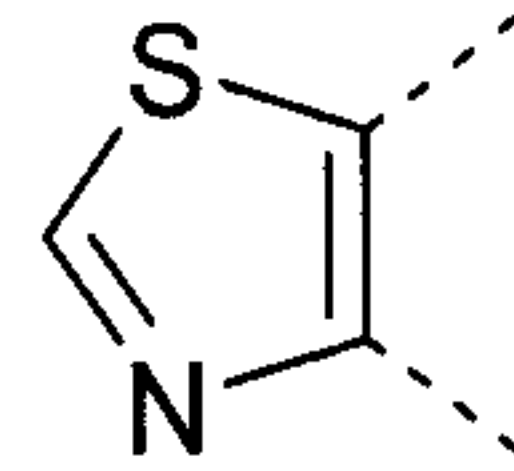
Table 153: Inventive compounds of the formula (II)



No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-1		C=O	Me	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H), 7.32 (m, 2H), 7.10 (m, 1H), 3.93 (s, 3H), 3.82 (bs, 2H), 3.31 (bs, 3H)
II-2		C=O	Me	Cl	6-Cl	H	Me	m.p.: 121 – 124°C
II-3		C=O	CH ₂ - CH=CH ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.47 (d, 1H), 7.22 (d, 3H), 7.10 (m, 1H), 5.87 (m, 1H), 5.12 (m, 2H), 4.60 (m, 1H), 4.05 (m, 1H), 3.89 (s, 3H), 3.87 (dd, 2H)
II-4		C=O	CH ₂ - CH=CH ₂	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 7.43 (d, 1H), 7.22 (d, 1H), 6.82 (s, 2H), 5.87 (m, 1H), 5.09 (m, 2H), 4.60 (m, 1H), 4.03 (m, 1H), 3.87 (s, 3H), 3.48 (dd, 2H)
II-5		C=O	CH ₂ - CH=CH ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H), 7.27 (m, 2H), 7.10 (m, 1H), 5.87 (m, 1H), 5.07 (m, 2H), 4.43 (m, 2H), 3.94 (s, 3H), 3.81 (bs, 2H)
II-6		C=O	CH ₂ - CH=CH ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H), 7.38 (d, 1H), 7.12 (d, 1H), 5.85 (m, 1H), 5.07 (m, 2H), 4.43 (m, 2H), 3.94 (s, 3H), 3.65 (bs, 2H)
II-7		C=O	CH ₂ - CH=CH ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.45 (d, 1H), 7.40 (d, 1H), 7.23 (d, 1H), 7.12 (m, 1H), 5.86 (m, 1H), 5.13 (m, 2H), 4.58 (m, 1H), 4.04 (m, 1H), 3.89 (s, 3H), 3.71 (d, 2H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-8		C=O	CH ₂ - CH=CH ₂	Cl	4-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.40 (d, 1H), 7.32 (d, 1H), 7.18 (m, 3H), 5.84 (m, 1H), 5.10 (m, 2H), 4.59 (m, 1H), 4.03 (m, 1H), 3.83 (s, 3H), 3.63 (dd, 2H)
II-9		C=O	CH ₂ - CH=CH ₂	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H), 6.79 (s, 2H), 5.85 (m, 1H), 5.07 (m, 2H), 4.41 (m, 2H), 3.92 (s, 3H), 3.42 (bs, 2H)
II-10		C=O	CH ₂ - CH=CH ₂	Cl	4-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H), 7.35 (d, 1H), 7.19 (dd, 1H), 7.14 (d, 1H), 5.82 (m, 1H), 5.11 (m, 2H), 4.39 (m, 1H), 4.28 (m, 2H), 4.12 (m, 1H), 3.67 (s, 3H), 3.47 (dd, 2H), 1.33 (m, 3H)
II-11		C=O	CH ₂ - CH=CH ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.01 (s, 1H), 7.29 (d, 2H), 7.15 (t, 1H), 5.83 (m, 1H), 5.13 (m, 2H), 4.44 (m, 1H), 4.15 (m, 1H), 3.87 (s, 3H), 3.87 (d, 1H), 3.49 (d, 1H)
II-12		C=O	CH ₂ - CH=CH ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 8.01 (s, 1H), 7.41 (d, 1H), 7.15 (dd, 1H), 7.14 (d, 1H), 5.85 (m, 1H), 5.11 (m, 2H), 4.44 (m, 1H), 4.38 (m, 1H), 4.27 (m, 1H), 4.12 (m, 1H), 3.88 (s, 3H), 3.64 (d, 1H), 3.40 (d, 1H), 2.59 (m, 2H), 1.36 (t, 3H), 1.15 (t, 3H)
II-13		C=O	CH ₂ - CH=CH ₂	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 8.00 (s, 1H), 6.82 (s, 2H), 5.84 (m, 1H), 5.10 (m, 2H), 4.54 (m, 1H), 4.38 (m, 1H), 4.27 (m, 1H), 4.02 (m, 1H), 3.72 (s, 3H), 3.42 (d, 1H), 3.17 (d, 1H), 2.24 (s, 3H), 2.14 (s, 6H)

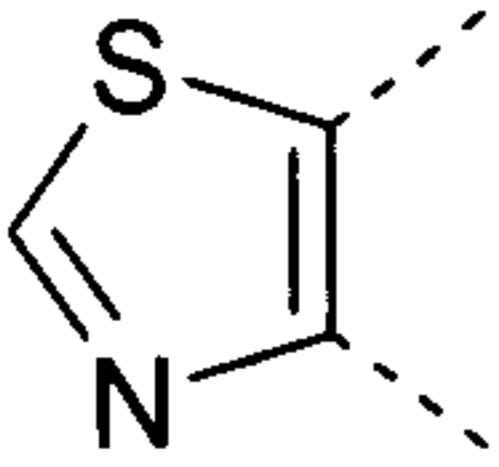
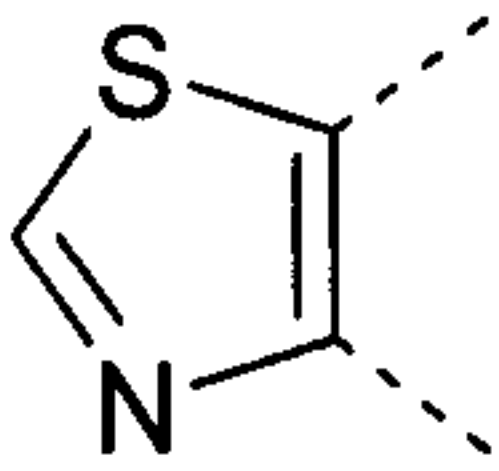
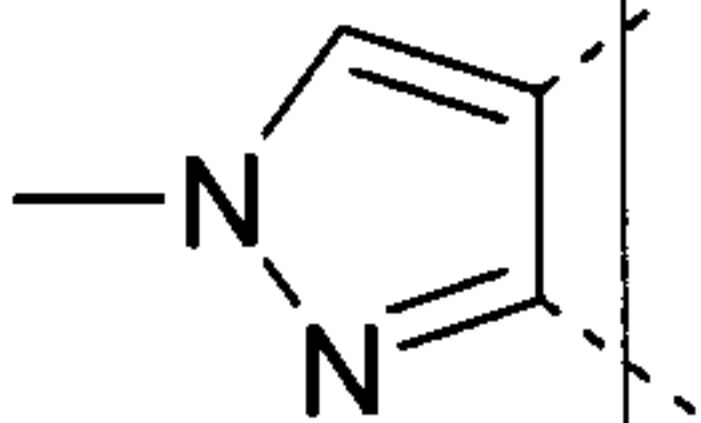
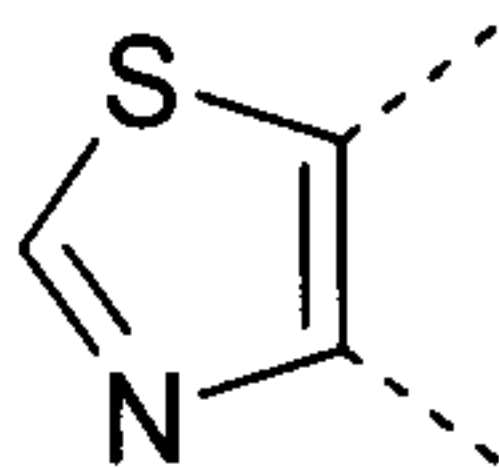
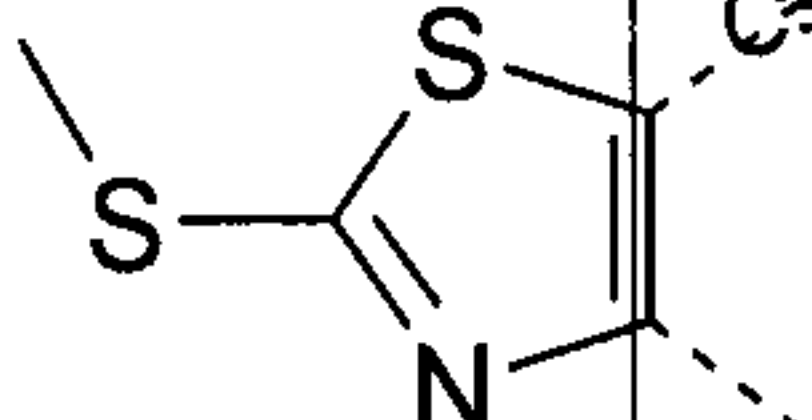
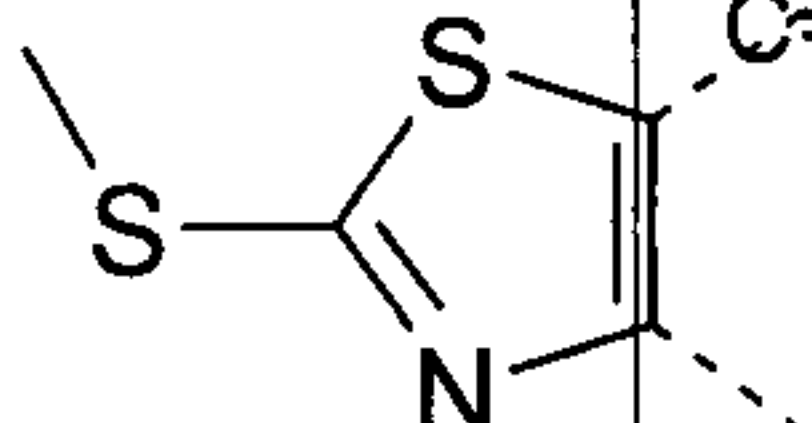
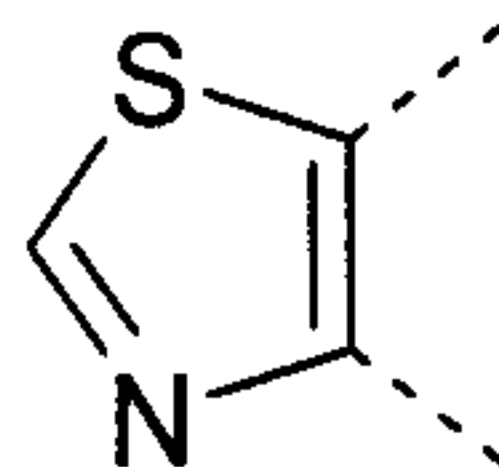
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-14		C=O	CH ₂ -CH=CH ₂	Cl	4-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.86 (s, 1H), 7.28 (d, 1H), 7.20 (dd, 1H), 7.14 (d, 1H), 5.85 (m, 1H), 5.09 (m, 2H), 4.31 (m, 2H), 4.22 (m, 2H), 3.89 (s, 3H), 3.62 (s, 2H), 1.31 (t, 3H)
II-15		C=O	CH ₂ -CH=CH ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (s, 1H), 7.26 (d, 2H), 7.09 (dd, 1H), 5.87 (m, 1H), 5.11 (m, 2H), 4.31 (m, 4H), 3.93 (s, 3H), 3.81 (s, 2H), 1.35 (t, 3H)
II-16		C=O	CH ₂ -CH=CH ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (s, 1H), 7.38 (d, 1H), 7.10 (d, 1H), 5.86 (m, 1H), 5.11 (m, 2H), 4.29 (m, 4H), 3.93 (s, 3H), 3.66 (s, 2H), 2.60 (m, 2H), 1.34 (dt, 3H), 1.14 (t, 3H)
II-17		C=O	CH ₂ -CH=CH ₂	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.90 (s, 1H), 6.78 (s, 2H), 5.87 (m, 1H), 5.10 (m, 2H), 4.29 (m, 4H), 3.92 (s, 3H), 3.43 (s, 2H), 2.21 (s, 3H), 2.16 (s, 6H), 1.34 (dt, 3H)
II-18		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H), 7.27 (d, 2H), 7.11 (t, 1H), 6.10 (m, 1H), 4.11 (m, 2H), 3.95 (s, 3H), 3.86 (s, 2H)
II-19		C=O	CH ₂ -CHF ₂	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H), 6.80 (s, 2H), 6.09 (m, 1H), 4.09 (m, 2H), 3.93 (s, 3H), 3.46 (s, 2H), 2.22 (s, 3H), 2.16 (s, 6H)
II-20		C=O	CH ₂ -CHF ₂	Cl	4-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.85 (s, 1H), 7.31 (d, 1H), 7.17 (d, 2H), 6.08 (m, 1H), 4.09 (m, 2H), 3.88 (s, 3H), 3.64 (s, 2H)

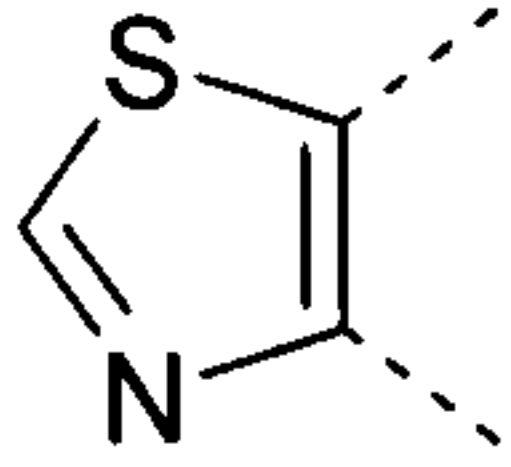
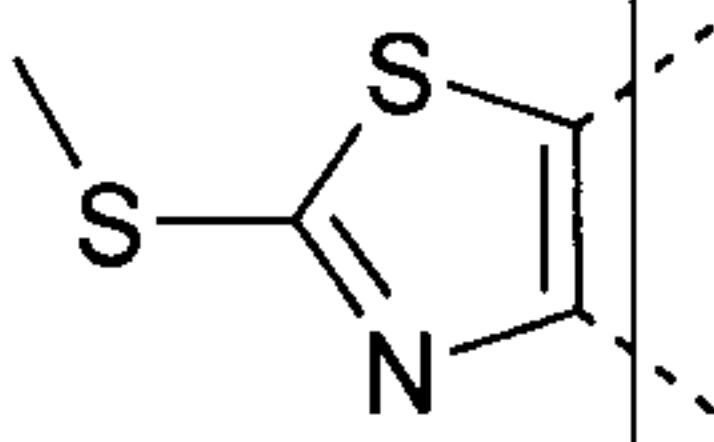
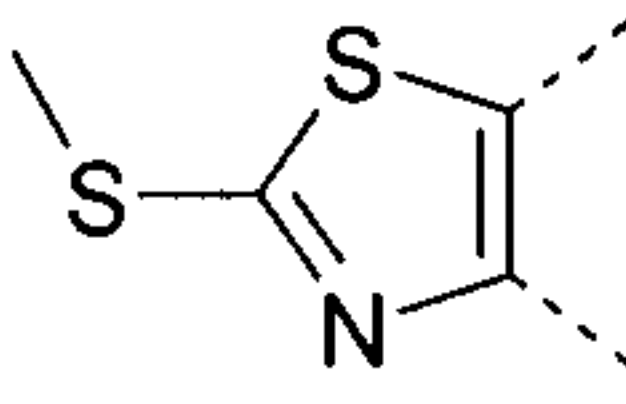
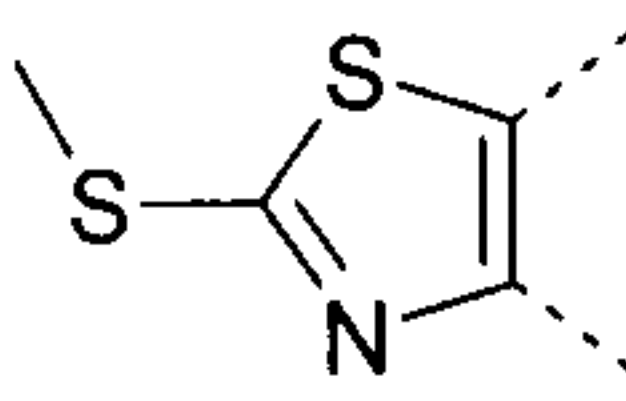
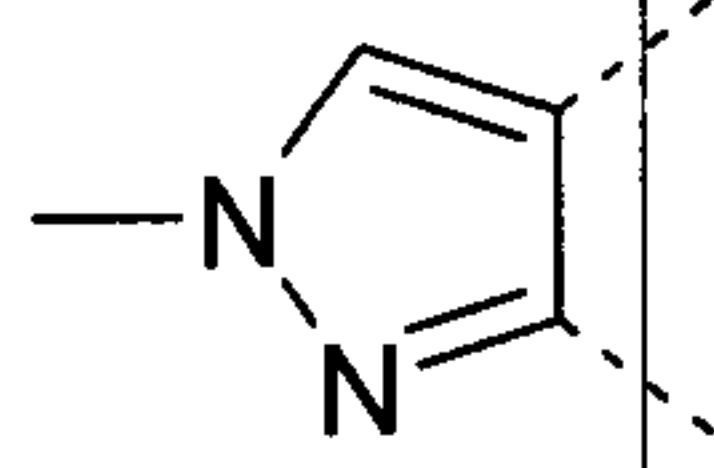
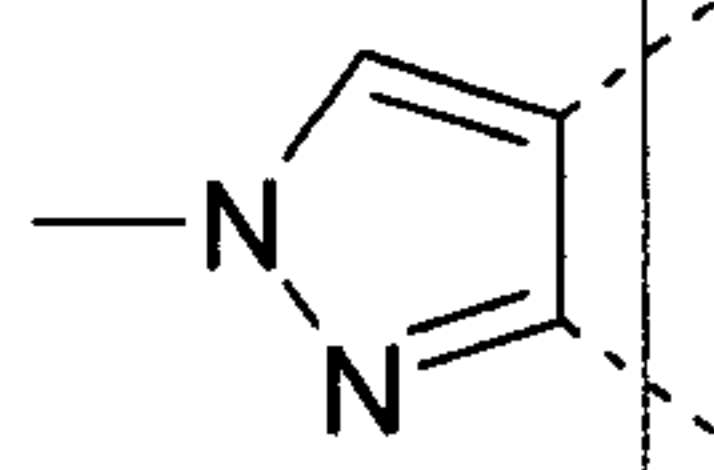
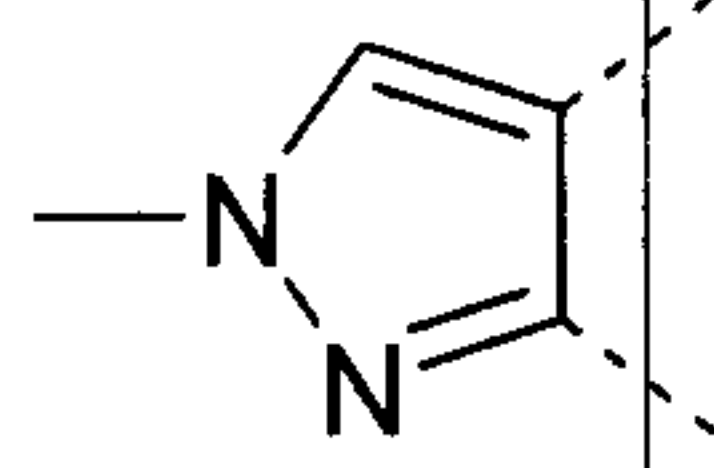
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-21		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H), 7.39 (d, 1H), 7.13 (d, 2H), 6.08 (m, 1H), 4.11 (m, 2H), 3.94 (s, 3H), 3.68 (s, 2H), 2.60 (m, 2H), 1.16 (t, 3H)
II-22		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.27 (d, 2H), 7.11 (t, 1H), 6.08 (m, 1H), 4.36 (m, 2H), 4.07 (m, 2H), 3.94 (s, 2H), 2.68 (s, 3H), 1.36 (t, 3H)
II-23		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H), 7.26 (d, 2H), 7.11 (dd, 1H), 6.08 (m, 1H), 4.31 (m, 2H), 4.05 (m, 2H), 3.94 (s, 3H), 3.85 (s, 2H), 1.34 (t, 3H)
II-24		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, d6-DMSO): 8.04 (s, 1H), 7.48 (d, 2H), 7.32 (t, 1H), 6.23 (m, 1H), 5.13 (m, 2H), 4.23 (m, 4H), 3.89 (s, 3H), 3.87 (d, 1H), 3.54 (d, 1H), 1.28 (t, 3H)
II-25		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.45 (d, 1H), 7.28 (m, 3H), 7.14 (m, 1H), 6.11 (m, 1H), 4.23 (m, 2H), 3.90 (s, 3H), 3.87 (m, 2H)
II-26		C=O	CH ₂ -CHF ₂	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.91 (s, 1H), 6.75 (s, 2H), 6.07 (m, 1H), 4.29 (m, 2H), 4.01 (broad, 3H), 3.94 (s, 3H), 3.46 (s, 2H), 1.34 (t, 3H)
II-27		C=O	CH ₂ -C≡CH	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H), 7.27 (d, 2H), 7.11 (t, 1H), 4.67 (d, 2H), 3.95 (s, 3H), 3.84 (s, 2H), 2.14 (t, 1H)

WO 2012/028582

171

PCT/EP2011/064825

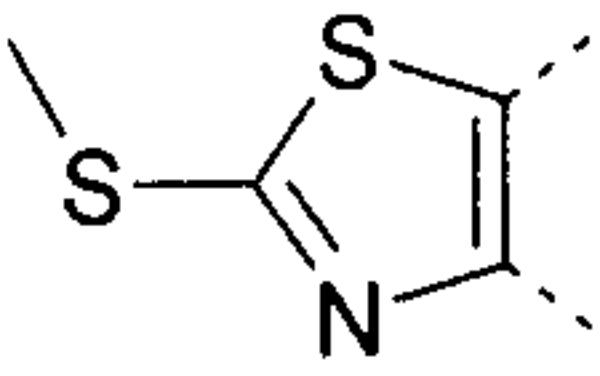
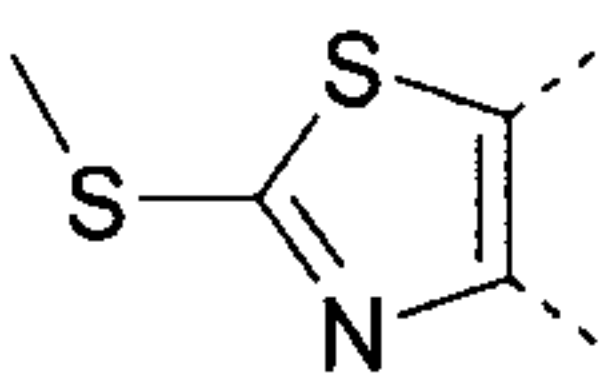
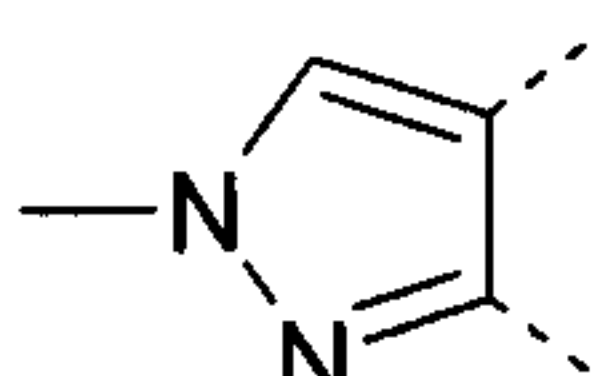
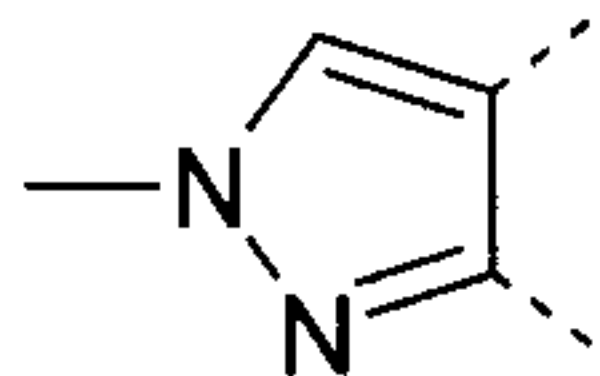
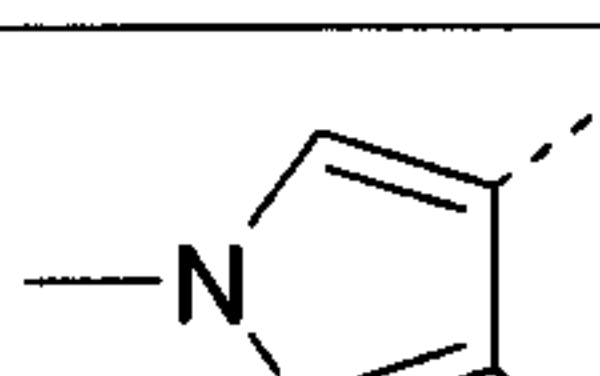
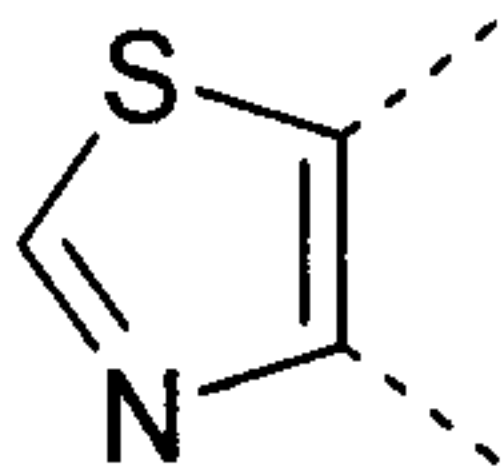
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-28		C=O	CH ₂ -CF ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H), 7.28 (d, 2H), 7.13 (t, 1H), 4.51 (q, 2H), 3.96 (s, 3H), 3.86 (s, 2H)
II-29		C=O	CH ₂ -CF ₃	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H), 6.80 (s, 2H), 4.48 (q, 2H), 3.94 (s, 3H), 3.47 (s, 2H), 2.26 (s, 3H), 2.14 (s, 6H)
II-30		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H), 7.39 (d, 1H), 7.12 (d, 1H), 6.06 (m, 1H), 4.31 (m, 2H), 4.05 (m, 2H), 3.96 (s, 3H), 3.69 (s, 2H), 2.58 (q, 2H), 1.35 (t, 3H), 1.14 (t, 3H)
II-31		C=O	CH ₂ -CF ₃	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H), 7.39 (d, 1H), 7.13 (d, 1H), 4.51 (q, 2H), 3.95 (s, 3H), 3.65 (s, 2H), 2.60 (m, 2H), 1.20 (m, 3H)
II-32		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 1H), 7.12 (d, 1H), 6.06 (m, 1H), 4.35 (m, 2H), 4.07 (m, 2H), 3.77 (s, 2H), 2.70 (s, 3H), 2.61 (m, 2H), 1.37 (t, 3H), 1.16 (t, 3H)
II-33		C=O	CH ₂ -CHF ₂	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 6.79 (s, 2H), 6.07 (m, 1H), 4.35 (m, 2H), 4.05 (m, 2H), 3.54 (s, 2H), 2.70 (s, 3H), 2.27 (s, 3H), 2.17 (s, 6H), 1.39 (m, 3H)
II-34		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H), 7.38 (d, 1H), 7.12 (d, 1H), 4.68 (s, 2H), 3.94 (s, 3H), 3.67 (s, 2H), 2.61 (m, 2H), 2.14 (s, 1H), 1.16 (m, 3H)

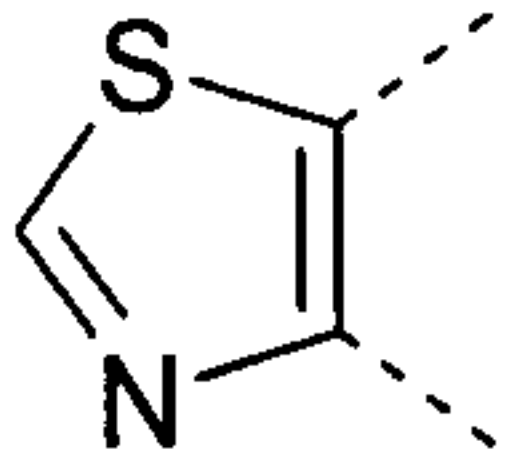
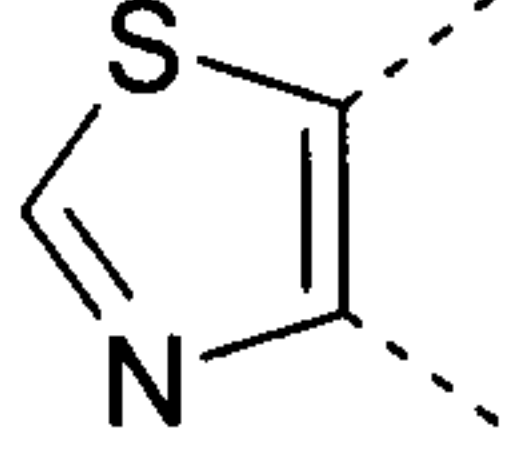
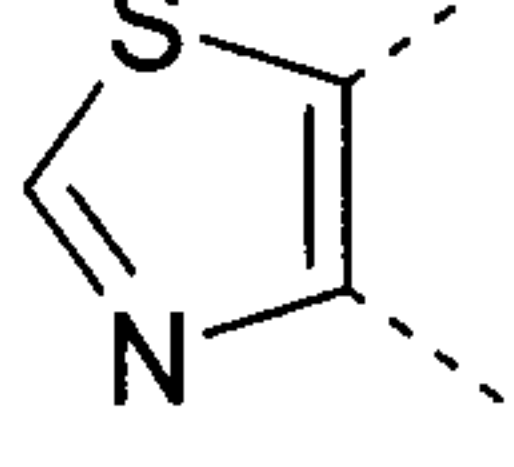
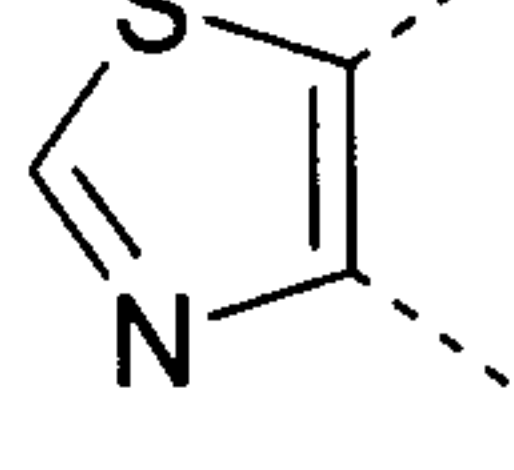
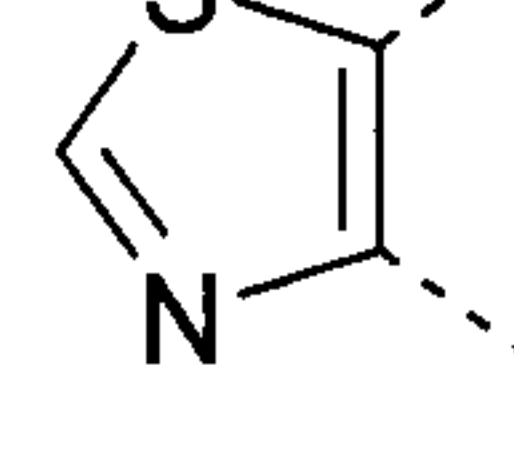
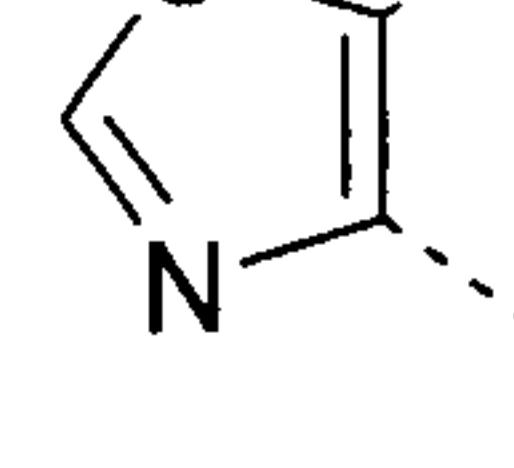
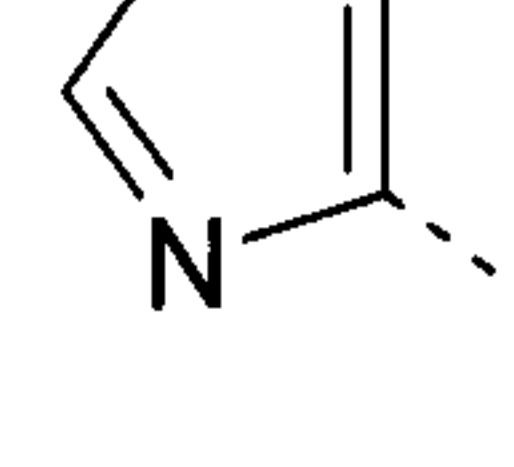
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-35		C=O	CH ₂ -C≡CH	Me	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H), 6.79 (s, 2H), 4.64 (s, 2H), 3.92 (s, 3H), 3.46 (s, 2H), 2.22 (s, 3H), 2.16 (s, 1H), 2.13 (s, 6H)
II-36		C=O	CH ₂ -CF ₃	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.27 (d, 2H), 7.11 (t, 1H), 4.46 (q, 2H), 4.37 (m, 2H), 3.95 (s, 2H), 2.71 (s, 3H), 1.37 (t, 3H)
II-37		C=O	CH ₂ -CF ₃	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 1H), 7.12 (d, 1H), 4.45 (q, 2H), 4.36 (m, 2H), 3.78 (s, 2H), 2.71 (s, 3H), 2.61 (m, 2H), 1.37 (t, 3H), 1.16 (t, 3H)
II-38		C=O	CH ₂ -CF ₃	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 6.79 (s, 2H), 4.43 (q, 2H), 4.35 (m, 2H), 3.58 (s, 2H), 2.71 (s, 3H), 2.22 (s, 3H), 2.17 (s, 6H), 1.36 (t, 3H)
II-39		C=O	CH ₂ -CF ₃	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H), 7.27 (d, 2H), 7.12 (dd, 1H), 4.42 (q, 2H), 4.31 (m, 2H), 3.96 (s, 3H), 3.86 (s, 2H), 1.34 (t, 3H)
II-40		C=O	CH ₂ -CF ₃	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H), 7.38 (d, 1H), 7.12 (d, 1H), 4.41 (q, 2H), 4.30 (m, 2H), 3.96 (s, 3H), 3.70 (s, 2H), 2.58 (q, 2H), 1.34 (t, 3H), 1.15 (t, 3H)
II-41		C=O	CH ₂ -CF ₃	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (s, 1H), 6.79 (s, 2H), 4.40 (m, 2H), 4.29 (m, 2H), 3.96 (s, 3H), 3.46 (s, 2H), 2.22 (s, 3H), 2.14 (s, 6H), 1.33 (t, 3H)

WO 2012/028582

173

PCT/EP2011/064825

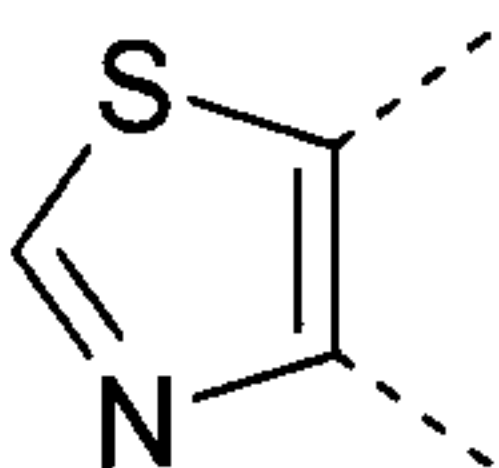
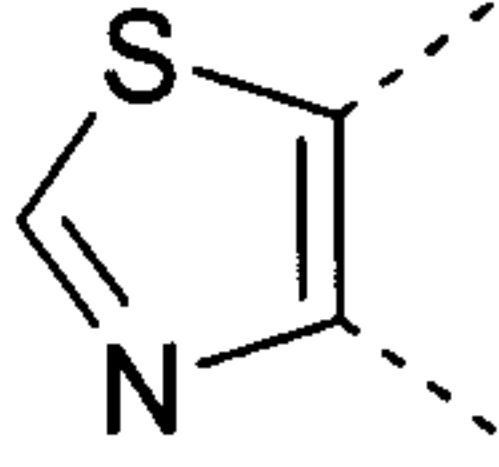
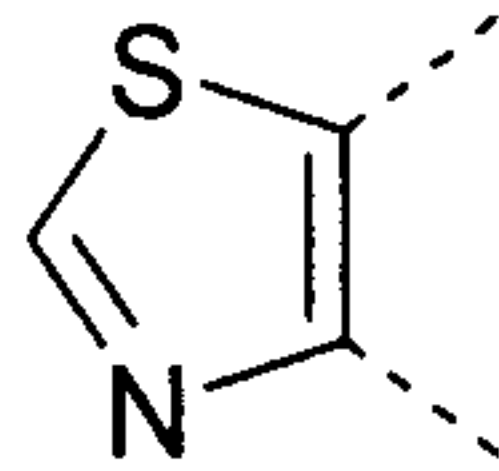
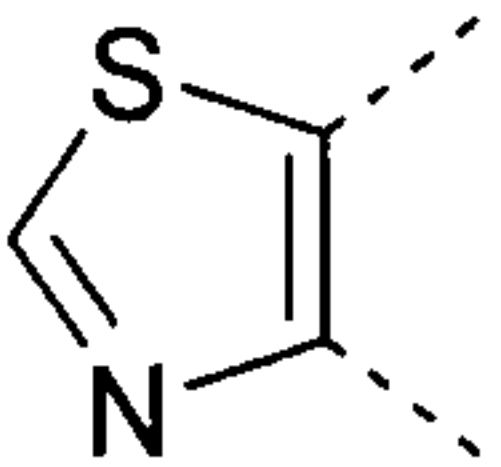
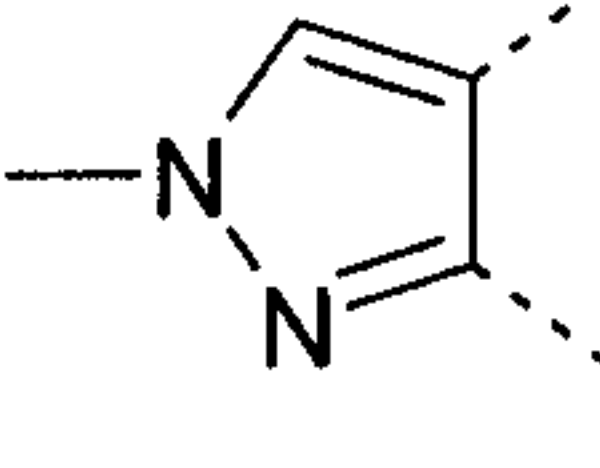
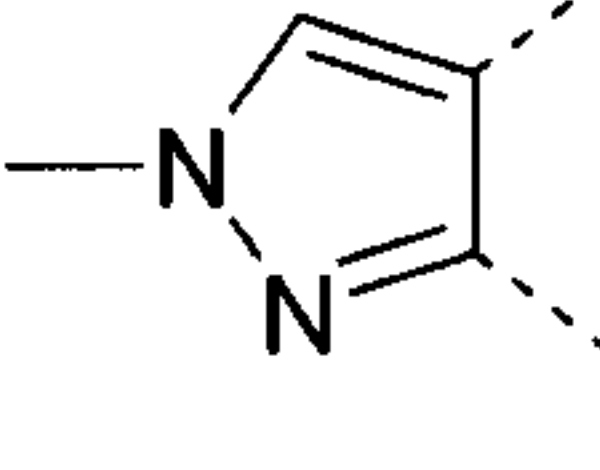
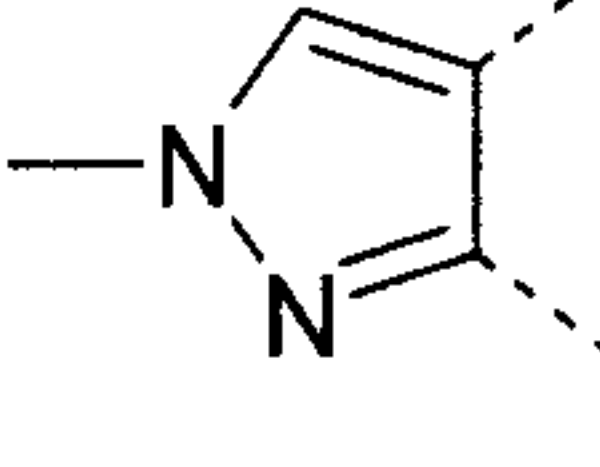
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-42		C=O	CH ₂ -C≡CH	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.10 (dd, 1H); 4.63 (d, 2H); 4.35 (q, 2H); 3.92 (br s, 2H); 2.70 (s, 3H); 2.14 (br s, 1H); 1.37 (t, 3H)
II-43		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.12 (d, 1H); 4.61 (d, 2H); 4.35 (q, 2H); 3.76 (br s, 2H); 2.71 (s, 3H); 2.62 (q, 2H); 2.14 (br s, 1H); 1.37 (t, 3H); 1.16 (t, 3H)
II-44		C=O	CH ₂ -C≡CH	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 6.78 (s, 2H); 4.60 (d, 2H); 4.34 (q, 2H); 3.54 (br s, 2H); 2.71 (s, 3H); 2.22 (s, 3H); 2.17 (s, 6H); 2.13 (br s, 1H); 1.36 (t, 3H)
II-45		C=O	CH ₂ -C≡CH	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.95 (s, 1H); 7.25 (m, 2H); 7.14 (t, 1H); 4.59 (d, 2H); 4.29 (q, 2H); 3.96 (s, 3H); 3.84 (s, 2H); 2.15 (t, 1H); 1.34 (t, 3H)
II-46		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H); 7.37 (d, 1H); 7.11 (d, 1H); 4.59 (d, 2H); 4.29 (q, 2H); 3.97 (s, 3H); 3.69 (s, 2H); 2.60 (q, 2H); 2.15 (t, 1H); 1.34 (t, 3H); 1.14 (t, 3H)
II-47		C=O	CH ₂ -C≡CH	Me	4-Me	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.92 (s, 1H); 6.77 (s, 2H); 4.57 (br s, 2H); 4.28 (q, 2H); 3.95 (s, 3H); 3.46 (s, 2H); 2.21 (s, 3H); 2.15 (s, 6H); 2.14 (t, 1H); 1.33 (t, 3H)
II-48		C=O	CH ₂ -c-Pr	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.26 (m, 2H); 7.10 (t, 1H); 3.94 (s, 3H); 3.81 (s, 2H); 3.71 (d, 2H); 0.98 (m, 1H); 0.37 (m, 2H); 0.03 (m, 2H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-49		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.18 (s, 1H); 7.06 (d, 1H); 4.65 (d, 2H); 3.93 (s, 3H); 3.64 (s, 2H); 2.27 (s, 3H); 2.15 (br s, 1H)
II-50		C=O	CH ₂ -C≡CH	Me	3-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H); 7.32 (d, 1H); 6.83 (d, 1H); 4.64 (s, 2H); 3.94 (s, 3H); 3.56 (s, 2H); 2.30 (s, 3H); 2.16 (s, 4H)
II-51		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H); 7.20 (br s, 1H); 7.09 (d, 1H); 6.07 (tt, 1H); 4.10 (td, 2H); 3.94 (s, 3H); 3.65 (s, 2H); 2.59 (q, 2H); 1.16 (t, 3H)
II-52		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.19 (s, 1H); 7.07 (s, 1H); 6.08 (tt, 1H); 4.10 (m, 2H); 3.94 (s, 3H); 3.65 (s, 2H); 2.27 (s, 3H)
II-53		C=O	CH ₂ -CHF ₂	Me	3-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H); 7.33 (d, 1H); 6.84 (d, 1H); 6.08 (tt, 1H); 4.09 (td, 2H); 3.95 (s, 3H); 3.57 (s, 2H); 2.29 (s, 3H); 2.15 (s, 3H)
II-54		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H); 7.20 (d, 1H); 7.08 (d, 1H); 4.66 (d, 2H); 3.94 (s, 3H); 3.63 (s, 2H); 2.60 (q, 2H); 2.14 (br s, 1H); 1.16 (t, 3H)
II-55		C=O	CH ₂ -C≡CH	Me	4-Cl	6-CF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.96 (s, 1H); 7.46 (s, 1H); 7.36 (s, 1H); 4.64 (d, 2H); 3.95 (s, 3H); 3.58 (s, 2H); 2.31 (s, 3H); 2.14 (br s, 1H)

WO 2012/028582

175

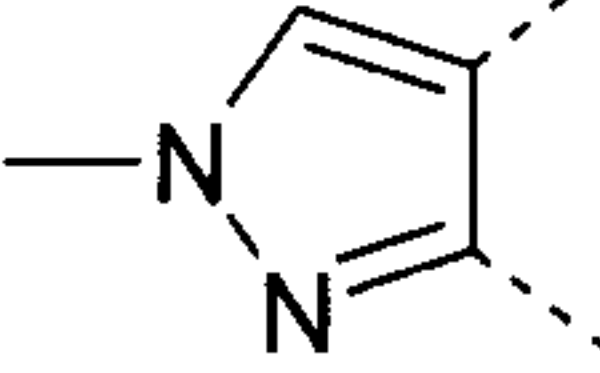
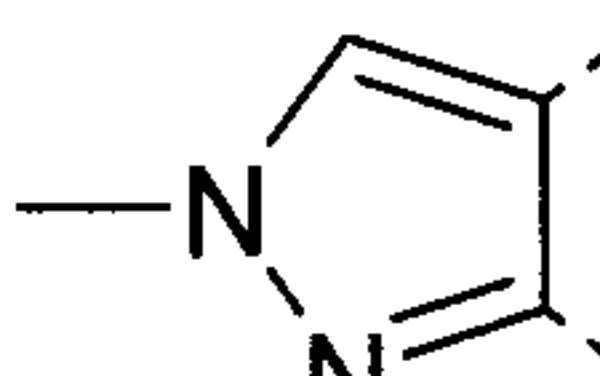
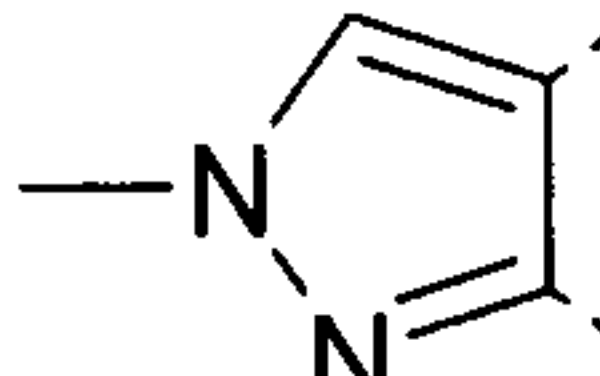
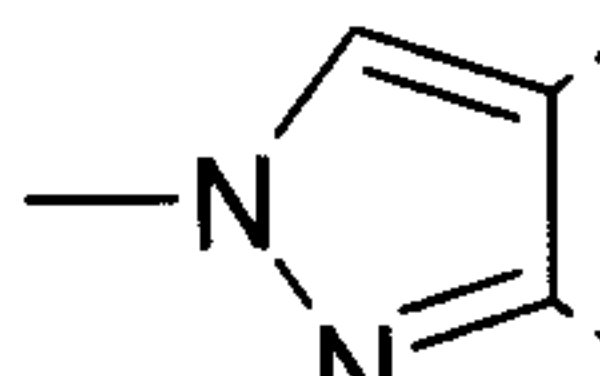
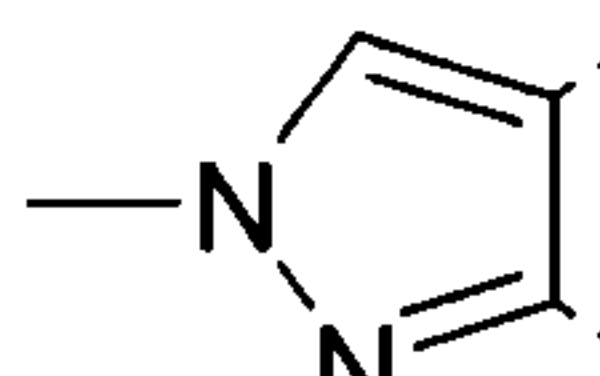
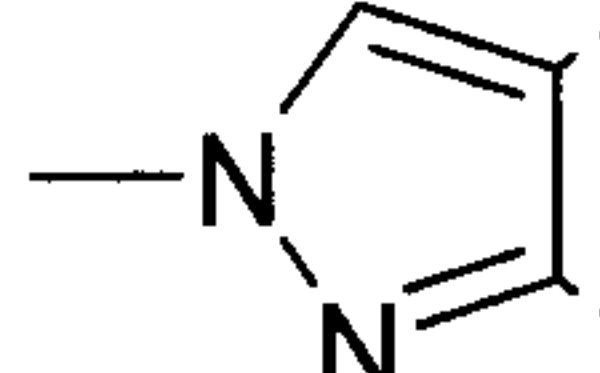
PCT/EP2011/064825

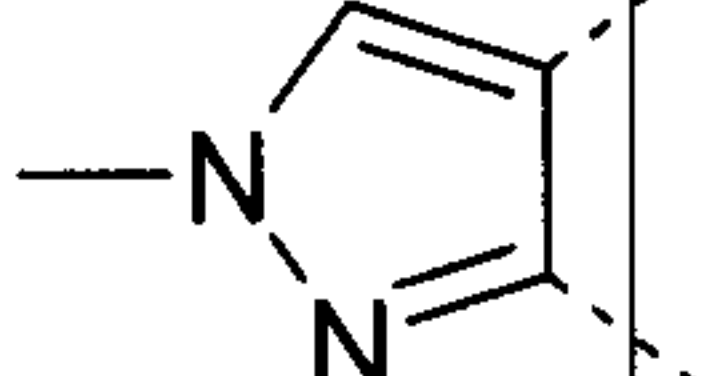
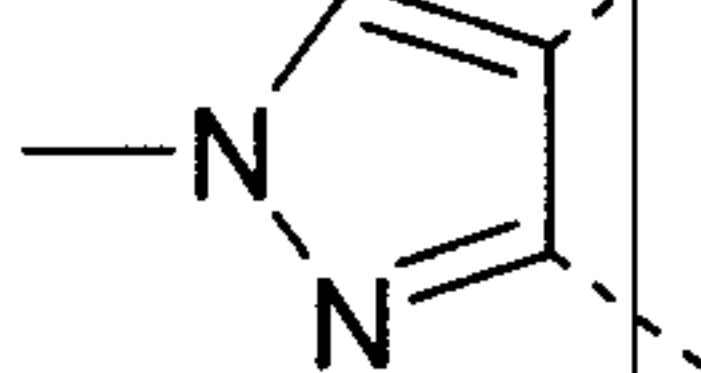
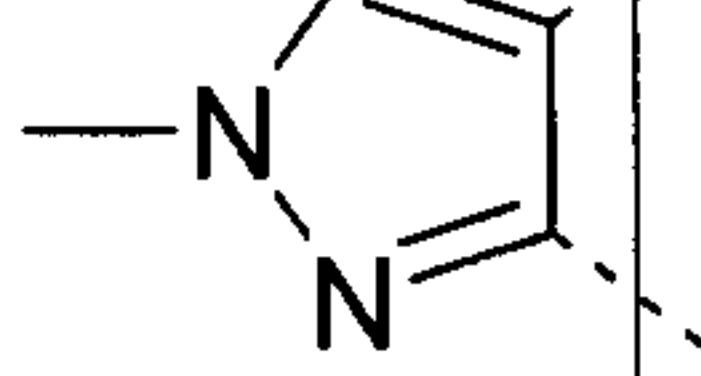
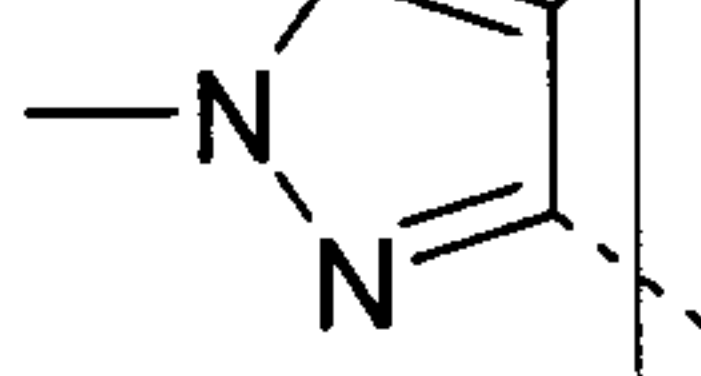
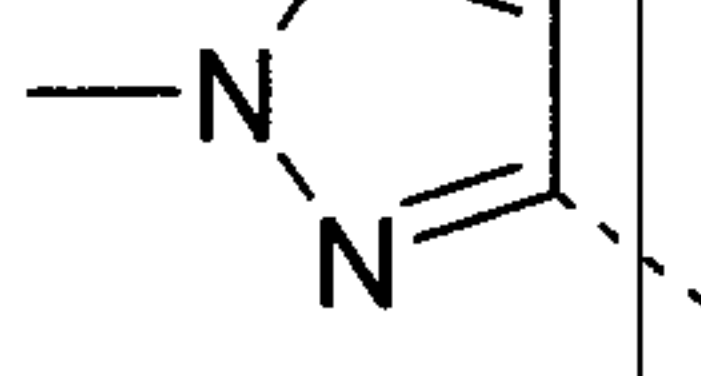
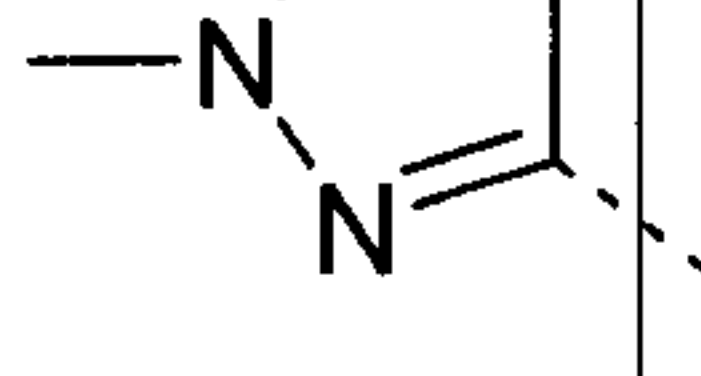
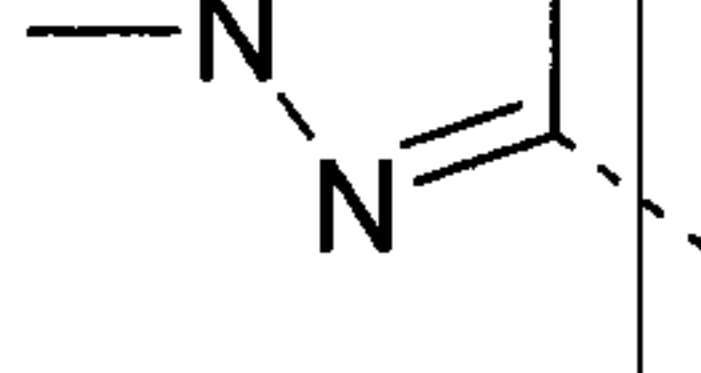
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-56		C=O	CH ₂ -CHF ₂	Me	4-Cl	6-CF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H); 7.47 (d, 1H); 7.37 (s, 1H); 6.05 (tt, 1H); 4.10 (td, 2H); 3.95 (s, 3H); 3.60 (s, 2H); 2.30 (s, 3H)
II-57		C=O	CH ₂ -C≡CH	Et	4-Cl	6-OMe	Me	¹ H NMR (400 MHz, CDCl ₃): 8.82 (s, 1H); 6.77 (d, 1H); 6.60 (d, 1H); 4.63 (d, 2H); 3.92 (s, 3H); 3.70 (s, 3H); 3.52 (s, 2H); 2.54 (q, 2H); 2.12 (br s, 1H); 1.14 (t, 3H)
II-58		C=O	CH ₂ -CHF ₂	Et	4-Cl	6-OMe	Me	¹ H NMR (400 MHz, CDCl ₃): 8.78 (s, 1H); 6.77 (d, 1H); 6.60 (d, 1H); 6.08 (tt, 1H); 4.07 (td, 2H); 3.93 (s, 3H); 3.70 (s, 3H); 3.53 (s, 2H); 2.53 (q, 2H); 1.14 (t, 3H)
II-59		C=O	CH ₂ -C≡CH	Cl	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H); 7.35 (d, 1H); 7.23 (d, 1H); 4.65 (d, 2H); 3.93 (s, 3H); 3.63 (s, 2H); 2.60 (q, 2H); 2.14 (br s, 1H); 1.16 (t, 3H)
II-60		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H); 7.19 (d, 1H); 7.06 (d, 1H); 4.58 (s, 2H); 4.29 (q, 2H); 3.97 (s, 3H); 3.64 (s, 2H); 2.58 (q, 2H); 2.16 (t, 1H); 1.34 (t, 3H); 1.15 (t, 3H)
II-61		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H); 7.21 (d, 1H); 7.07 (d, 1H); 6.06 (tt, 1H); 4.31 (q, 2H); 4.02 (td, 2H); 3.95 (s, 3H); 3.65 (s, 2H); 2.57 (q, 2H); 1.34 (t, 3H); 1.15 (t, 3H)
II-62		C=O	CH ₃	Cl	4-Cl	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.92 (s, 1H); 7.17 (d, 1H); 7.04 (s, 1H); 4.28 (q, 2H); 3.93 (s, 3H); 3.62 (s, 2H); 3.24 (s, 3H); 2.25 (s,

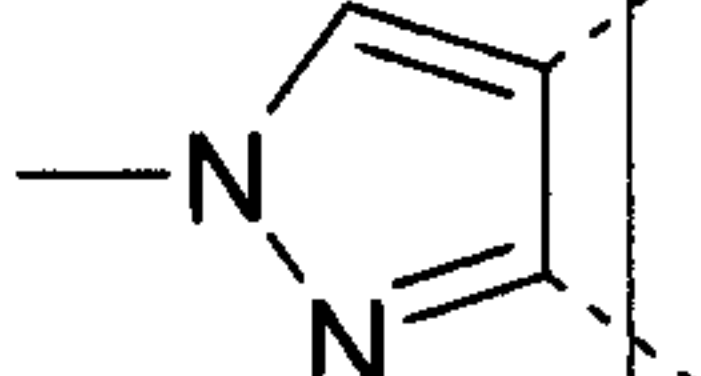
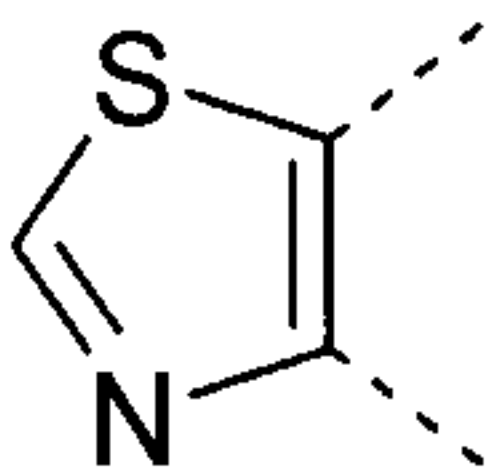
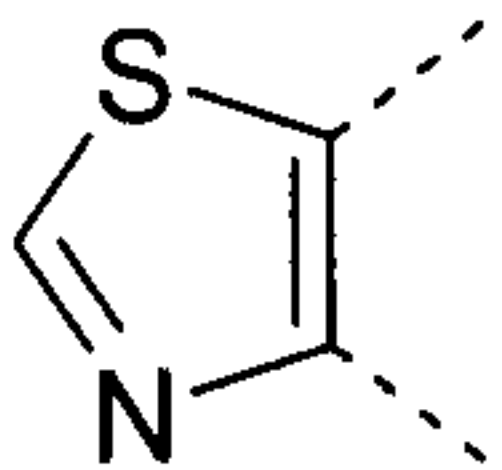
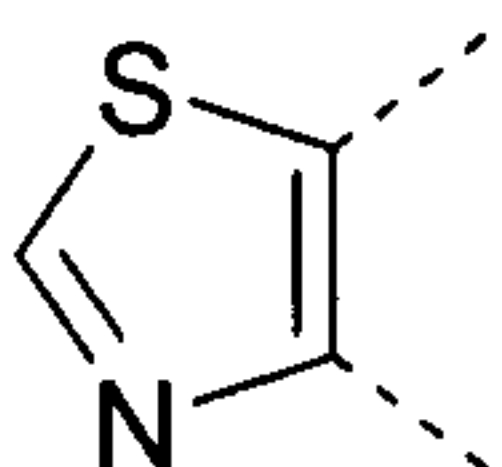
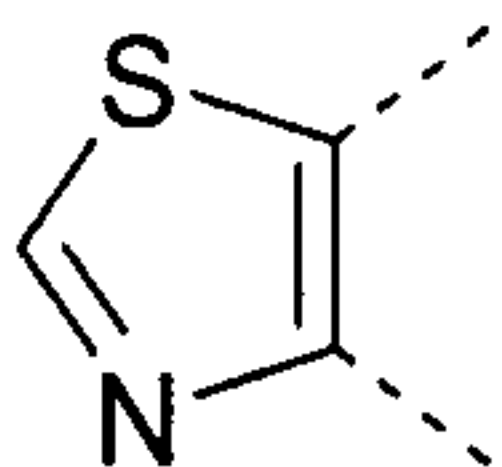
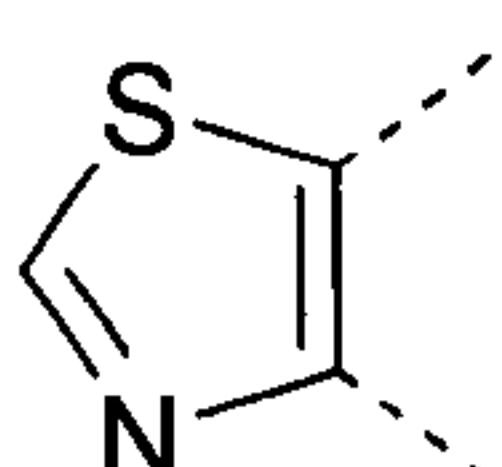
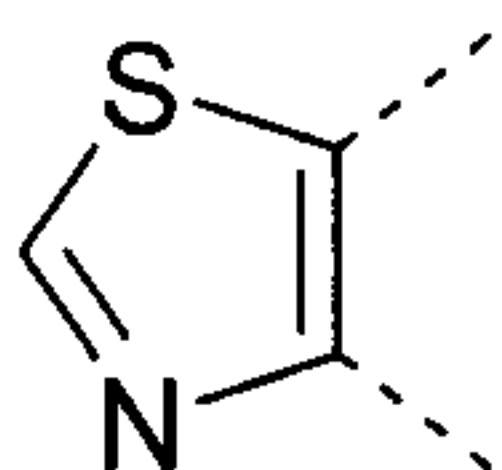
WO 2012/028582

176

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
								3H); 1.33 (t, 3H)
II-63		C=O	CH ₂ -CF ₃	Cl	4-Cl	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (s, 1H); 7.19 (s, 1H); 7.05 (s, 1H); 6.06 (tt, 1H); 4.29 (q, 2H); 4.02 (br s, 2H); 3.95 (s, 3H); 3.66 (s, 2H); 2.24 (s, 3H); 1.34 (t, 3H)
II-64		C=O	CH ₃	Cl	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.95 (s, 1H); 7.19 (d, 1H); 7.06 (d, 1H); 4.40 (br s, 1H); 4.30 (q, 2H); 3.96 (s, 3H); 3.67 (s, 2H); 2.24 (s, 3H); 1.34 (t, 3H)
II-65		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H); 7.18 (d, 1H); 7.04 (s, 1H); 4.57 (br s, 2H); 4.28 (q, 2H); 3.96 (s, 3H); 3.65 (s, 2H); 2.25 (s, 3H); 2.16 (t, 1H); 1.33 (t, 3H)
II-66		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H); 7.19 (d, 1H); 7.06 (d, 1H); 4.29 (q, 2H); 3.94 (s, 3H); 3.62 (s, 2H); 3.24 (s, 3H); 2.58 (q, 2H); 1.34 (t, 3H); 1.15 (t, 3H)
II-67		C=O	CH ₂ -CHF ₂	Me	4-CF ₂ -CF ₃	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.92 (s, 1H); 7.19 (s, 2H); 6.07 (tt, 1H); 4.31 (q, 2H); 4.02 (br s, 1H); 3.95 (s, 3H); 3.56 (s, 2H); 2.26 (s, 6H); 1.35 (t, 3H)
II-68		C=O	CH ₂ -CHF ₂	Cl	3-Br	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.95 (s, 1H); 7.47 (d, 1H); 7.16 (d, 1H); 6.08 (tt, 1H); 4.31 (q, 2H); 4.03 (br t, 2H); 3.96 (s, 3H); 3.92 (s, 2H); 3.41 (br t, 1H); 1.35 (t, 3H)

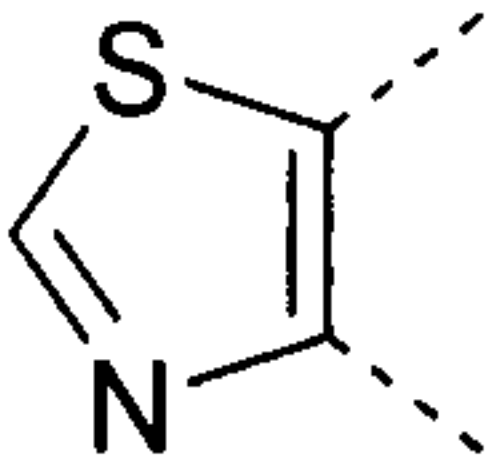
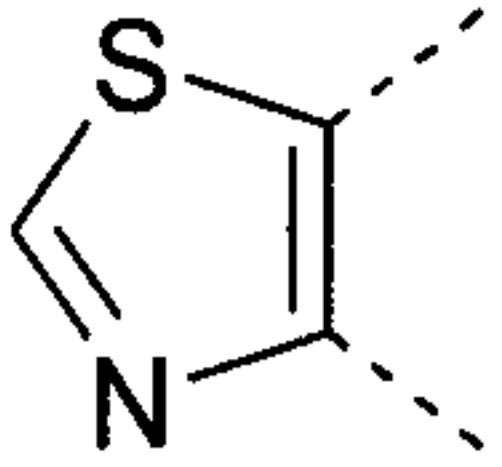
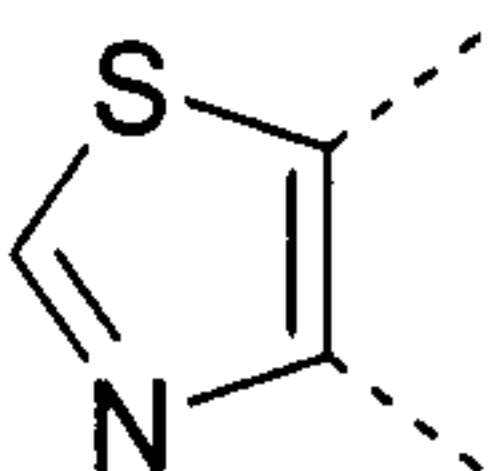
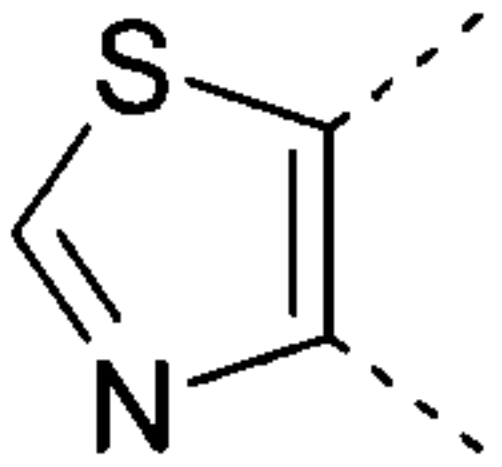
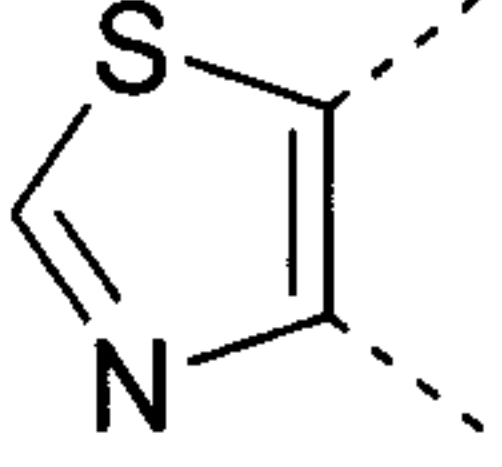
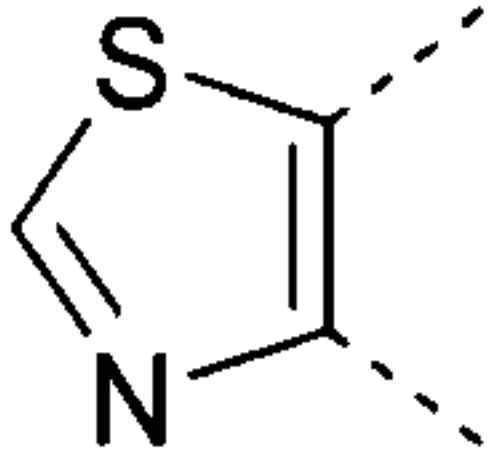
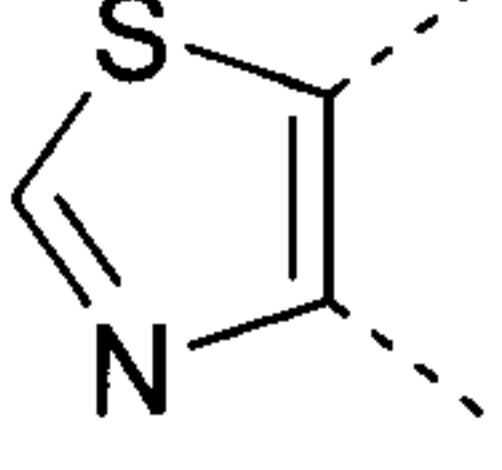
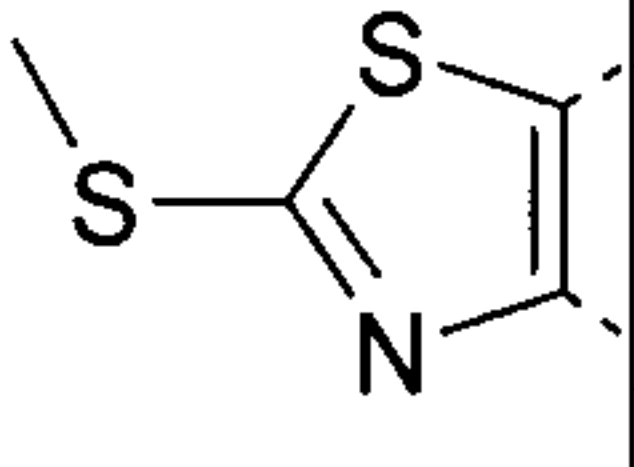
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-69		C=O	CH ₂ -CF ₃	Me	4-CF ₂ -CF ₃	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.94 (s, 1H); 7.19 (s, 2H); 4.40 (br s, 2H); 4.31 (q, 2H); 3.96 (s, 3H); 3.57 (s, 2H); 2.25 (s, 6H); 1.34 (t, 3H)
II-70		C=O	CH ₃	Me	4-CF ₂ -CF ₃	6-Me	Et	¹ H NMR (400 MHz, CDCl ₃): 7.91 (s, 1H); 7.17 (s, 2H); 4.30 (q, 2H); 3.93 (s, 3H); 3.52 (s, 2H); 3.24 (s, 3H); 2.26 (s, 6H); 1.34 (t, 3H)
II-71		C=O	CH ₂ -CF ₃	Cl	3-Br	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.97 (s, 1H); 7.47 (d, 1H); 7.16 (d, 1H); 4.42 (br s, 2H); 4.31 (q, 2H); 3.97 (s, 3H); 3.93 (s, 2H); 1.35 (t, 3H)
II-72		C=O	CH ₃	Cl	3-Br	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.95 (s, 1H); 7.44 (d, 1H); 7.14 (d, 1H); 4.30 (q, 2H); 3.94 (s, 3H); 3.88 (s, 2H); 3.25 (s, 3H); 1.34 (t, 3H)
II-73		C=O	CH ₂ -C≡CH	Cl	3-Br	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.96 (s, 1H); 7.45 (d, 1H); 7.14 (d, 1H); 4.59 (br s, 2H); 4.30 (q, 2H); 3.97 (s, 3H); 3.91 (s, 2H); 2.17 (t, 1H); 1.34 (t, 3H)
II-74		C=O	CH ₃	F	3-Me	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.90 (s, 1H); 6.98 (m, 2H); 4.29 (q, 2H); 3.92 (s, 3H); 3.64 (s, 2H); 3.24 (s, 3H); 2.20 (s, 3H); 1.33 (t, 3H)
II-75		C=O	CH ₂ -C≡CH	F	3-Me	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.92 (s, 1H); 6.99 (m, 2H); 4.57 (d, 2H); 4.28 (q, 2H); 3.95 (s, 3H); 3.68 (s, 2H); 2.20 (d, 3H); 2.15 (t, 1H); 1.33 (t, 3H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-76		C=O	CH ₂ -CF ₃	F	3-Me	6-Cl	Et	¹ H NMR (400 MHz, CDCl ₃): 7.93 (s, 1H); 7.00 (m, 2H); 4.41 (br q, 2H); 4.30 (q, 2H); 3.95 (s, 3H); 3.70 (s, 2H); 2.20 (d, 3H); 1.34 (t, 3H)
II-77		C=O	CH ₃	I	H	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.82 (s, 1H); 7.74 (d, 1H); 7.28 (m, 2H); 6.88 (t, 1H); 3.85 (s, 3H); 3.68 (br s, 2H); 3.32 (s, 3H)
II-78		C=O	CH ₂ -CHF ₂	Cl	3-c-Pr	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 7.16 (d, 1H); 6.80 (d, 1H); 6.11 (tt, 1H); 4.12 (td, 2H); 3.95 (s, 3H); 3.89 (s, 2H); 2.10 (m, 1H); 0.99 (m, 2H); 0.63 (m, 2H)
II-79		C=O	CH ₂ -CHF ₂	Cl	3-Br	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.47 (d, 1H); 7.16 (d, 1H); 6.09 (tt, 1H); 4.11 (td, 2H); 3.95 (s, 3H); 3.91 (s, 2H)
II-80		C=O	CH ₂ -C≡CH	CF ₃	H	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.58 (d, 1H); 7.49 (t, 1H); 7.41 (d, 1H); 7.33 (t, 1H); 4.65 (d, 2H); 3.90 (s, 3H); 3.68 (br s, 2H); 2.16 (br s, 1H)
II-81		C=O	CH ₃	Cl	3-Me	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 7.16 (d, 1H); 7.05 (d, 1H); 3.94 (s, 3H); 3.82 (s, 2H); 3.32 (s, 3H); 2.32 (s, 3H)
II-82		C=O	CH ₂ -CHF ₂	Cl	3-Me	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 7.17 (d, 1H); 7.06 (d, 1H); 6.10 (tt, 1H); 4.11 (td, 2H); 3.95 (s, 3H); 3.87 (s, 2H); 2.32 (s, 3H)

WO 2012/028582

179

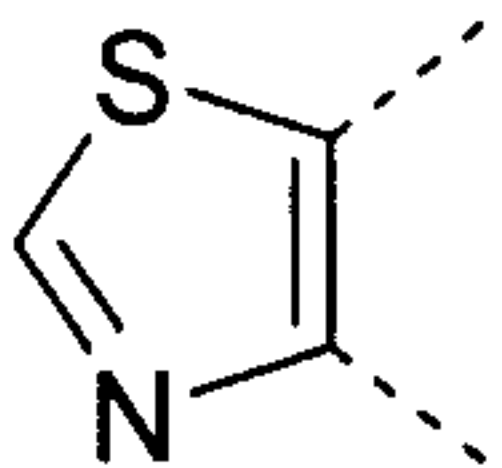
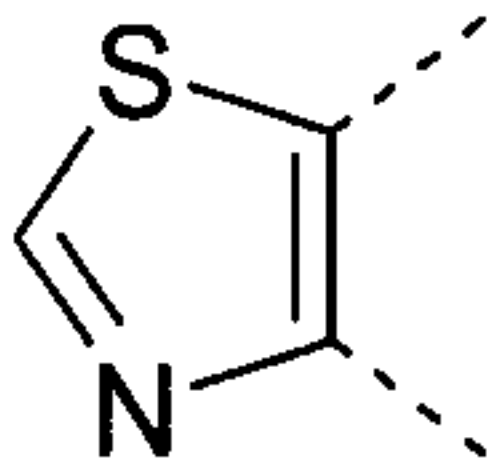
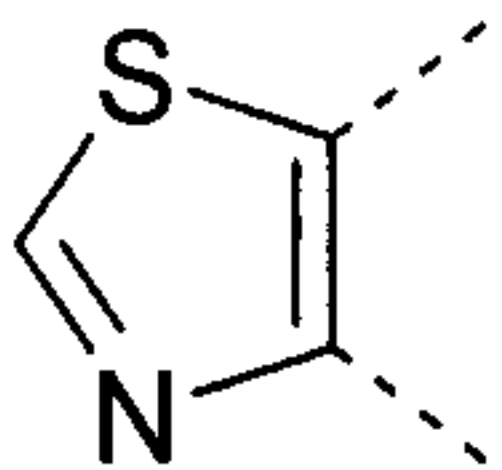
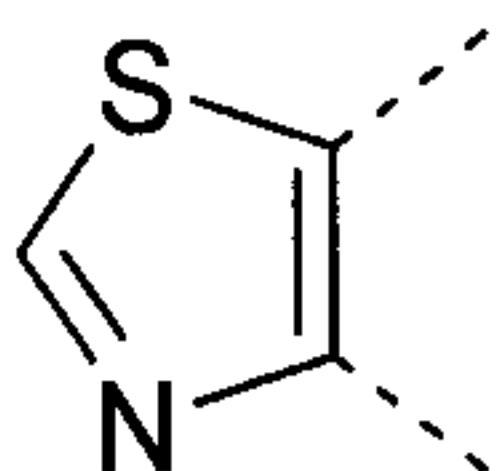
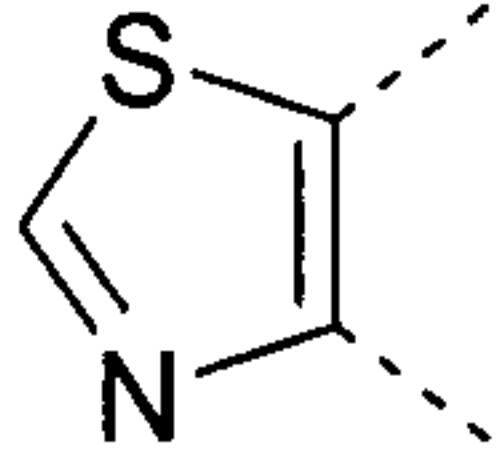
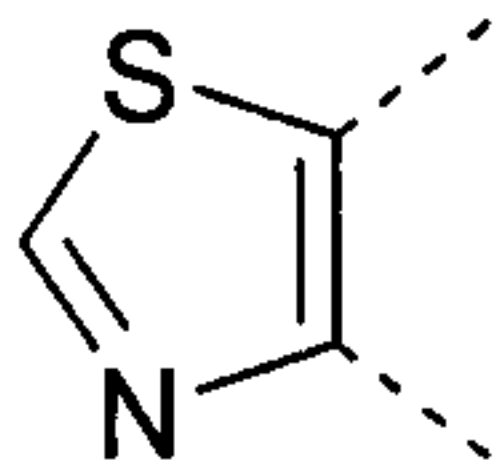
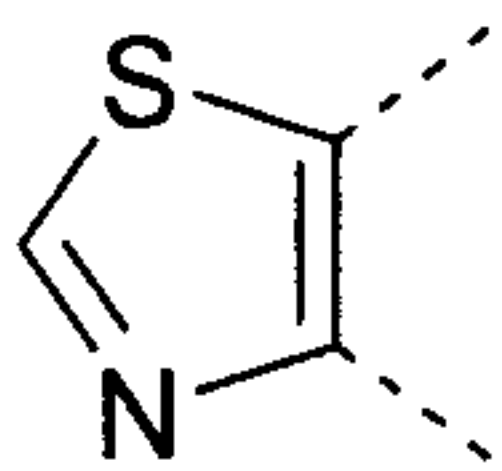
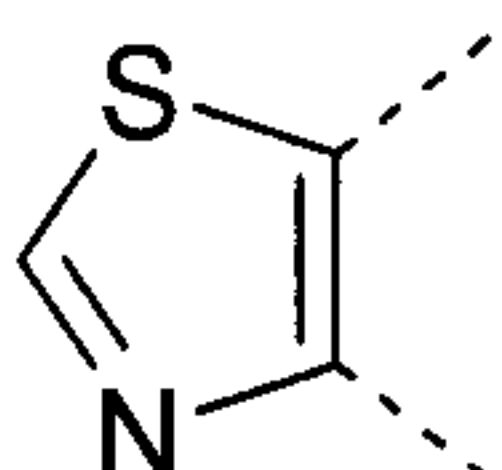
PCT/EP2011/064825

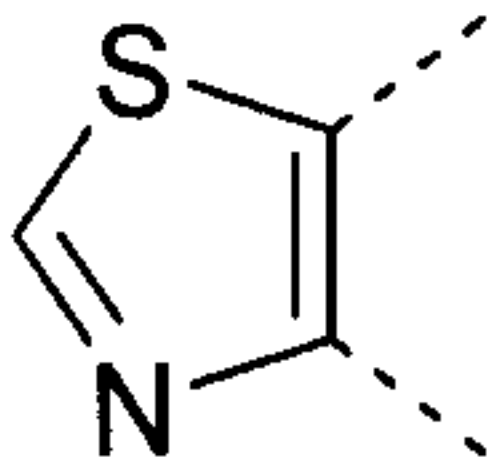
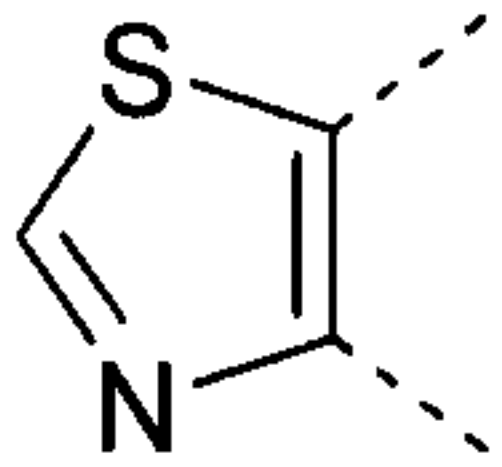
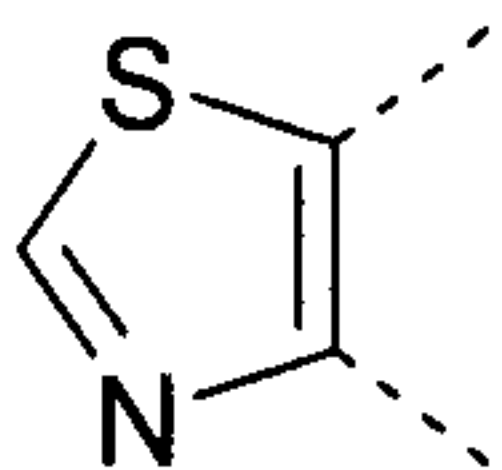
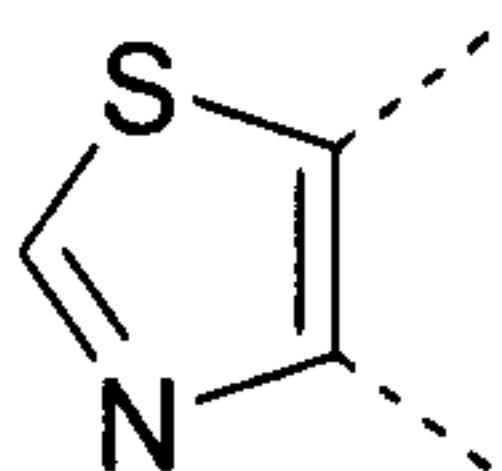
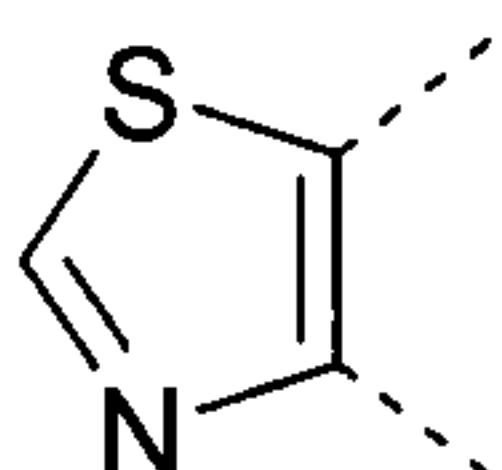
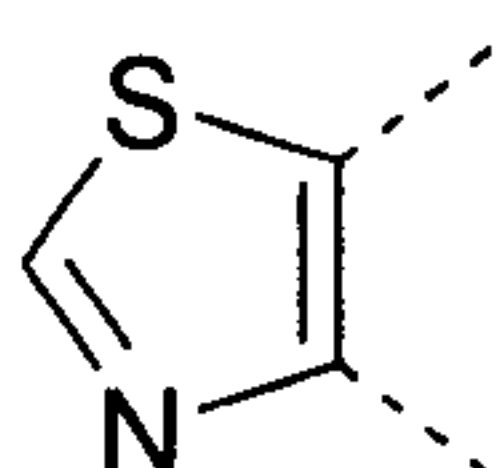
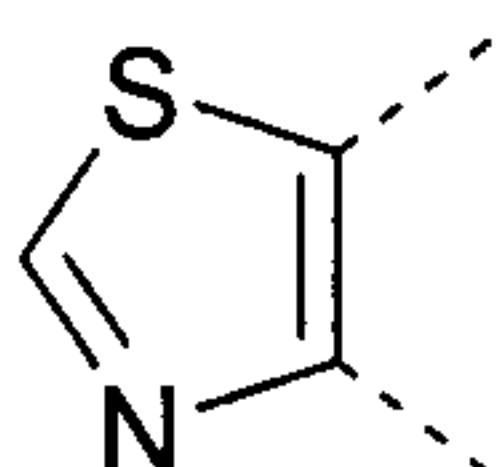
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-83		C=O	CH ₂ -CHF ₂	Cl	3-I	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.69 (d, 1H); 7.01 (d, 1H); 6.09 (tt, 1H); 4.11 (td, 2H); 3.95 (s, 5H)
II-84		C=O	CH ₂ -CF ₃	Me	3-Br	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H); 7.38 (d, 1H); 7.05 (d, 1H); 4.49 (q, 2H); 3.95 (s, 3H); 3.79 (s, 2H); 2.38 (s, 3H)
II-85		C=O	CH ₂ -CHF ₂	Me	3-Br	6-Cl	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.38 (d, 1H); 7.04 (d, 1H); 6.08 (tt, 1H); 4.10 (td, 2H); 3.94 (s, 3H); 3.78 (s, 2H); 2.38 (s, 3H)
II-86		C=O	CH ₂ -CHF ₂	Me	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.14 (s, 2H); 6.08 (tt, 1H); 4.08 (td, 2H); 3.95 (s, 3H); 3.45 (s, 2H); 2.48 (q, 2H); 2.17 (s, 3H); 1.10 (t, 3H)
II-87		C=O	CH ₃	Me	4-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.12 (s, 2H); 3.93 (s, 3H); 3.40 (s, 2H); 3.29 (s, 3H); 2.17 (s, 6H)
II-88		C=O	CH ₃	Me	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.13 (s, 2H); 3.94 (s, 3H); 3.41 (s, 2H); 3.29 (s, 3H); 2.49 (m, 2H); 2.18 (s, 3H); 1.11 (t, 3H)
II-89		C=O	CH ₂ -CHF ₂	Me	4-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H); 7.13 (s, 2H); 6.08 (tt, 1H); 4.08 (td, 2H); 3.94 (s, 3H); 3.45 (s, 2H); 2.16 (s, 6H)
II-90		C=O	CH ₃	Cl	6-Cl	H	Et	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.10 (t, 1H); 4.35 (q, 2H); 3.88 (s, 2H); 3.27 (s, 3H); 2.70 (s, 3H); 1.36 (t, 3H)

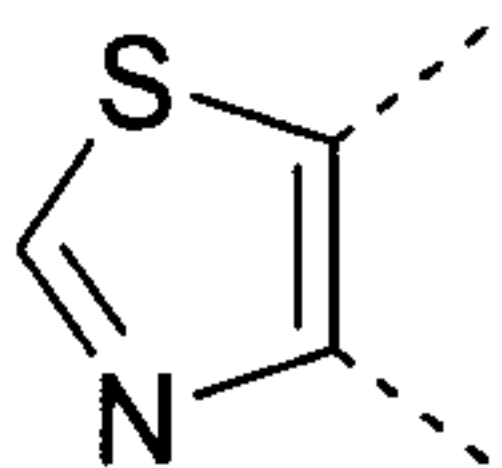
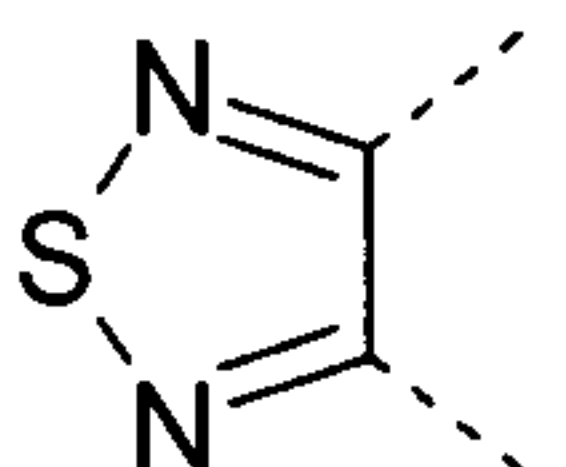
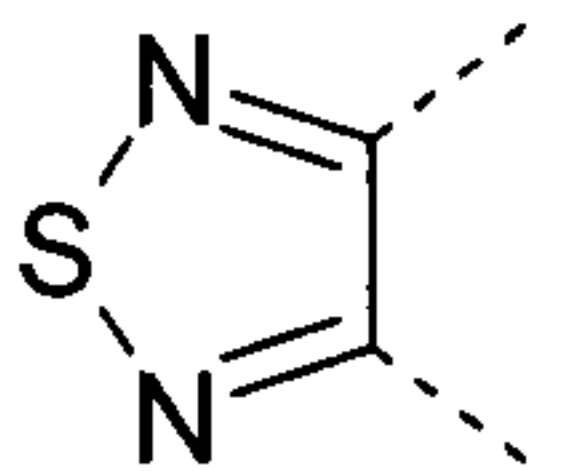
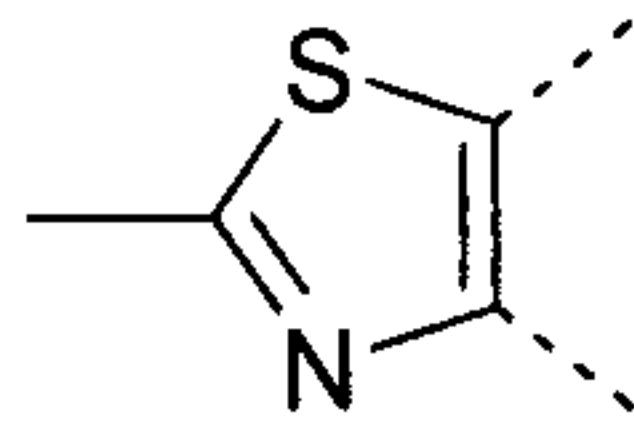
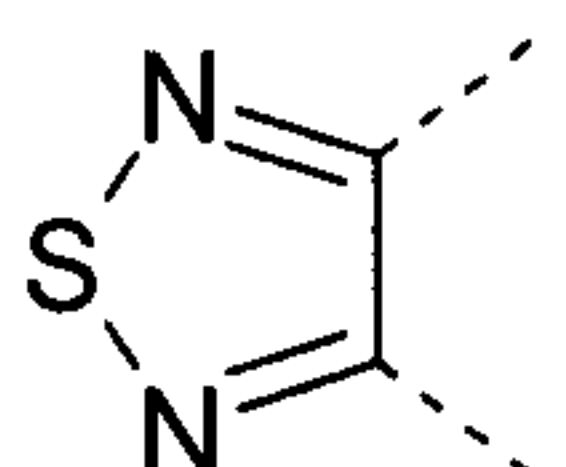
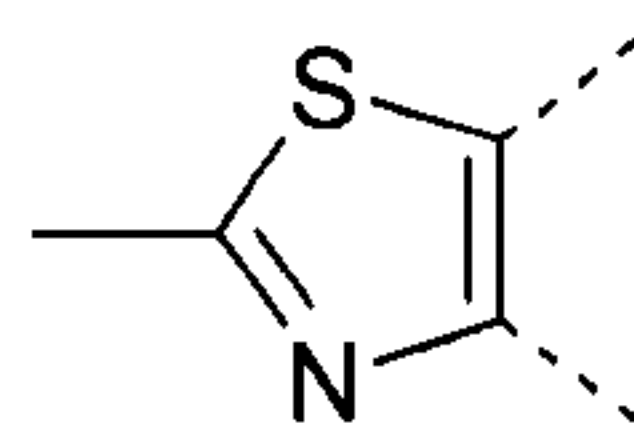
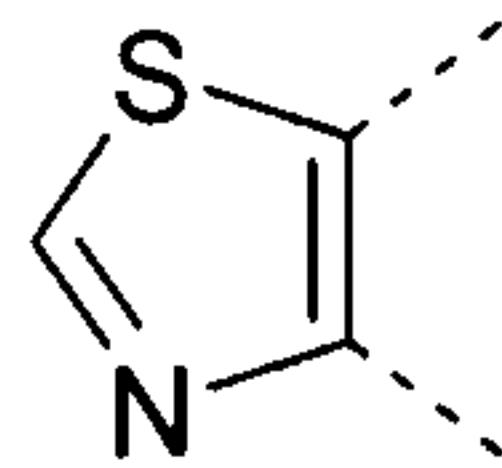
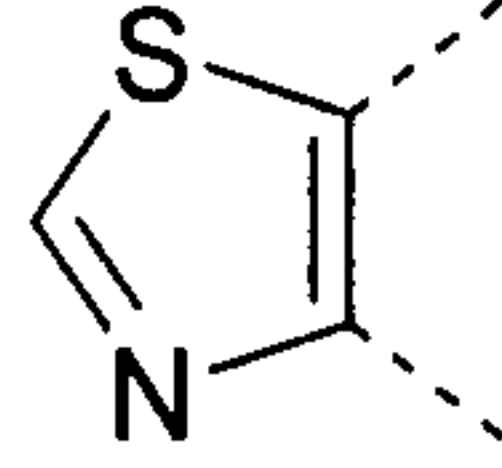
WO 2012/028582

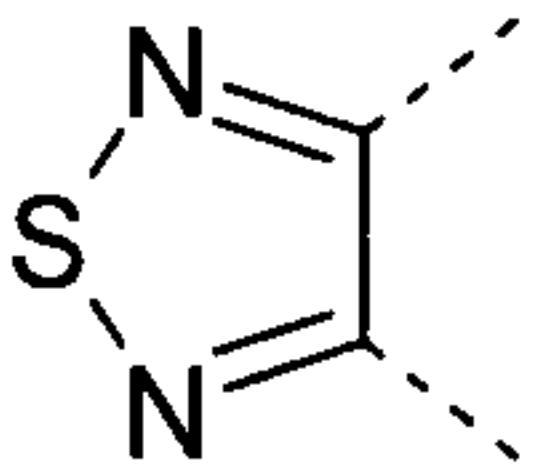
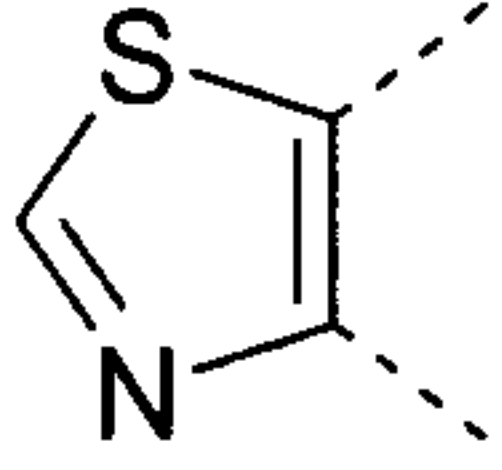
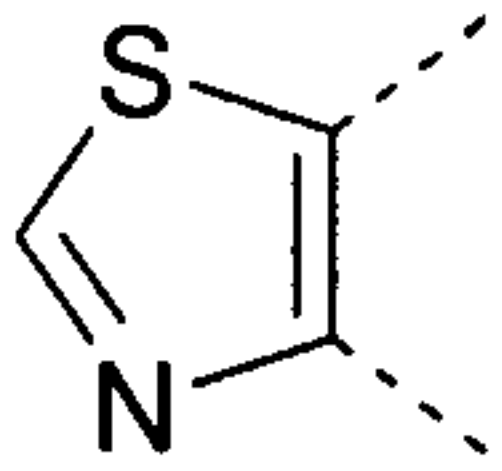
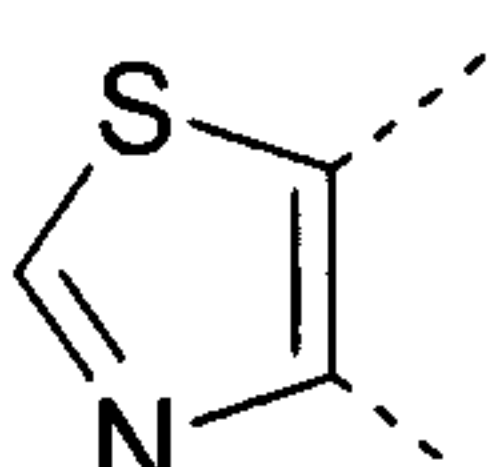
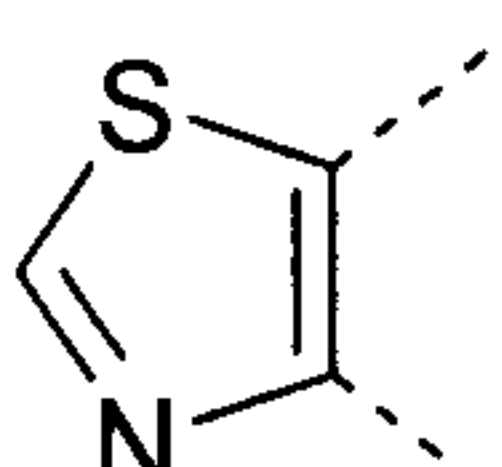
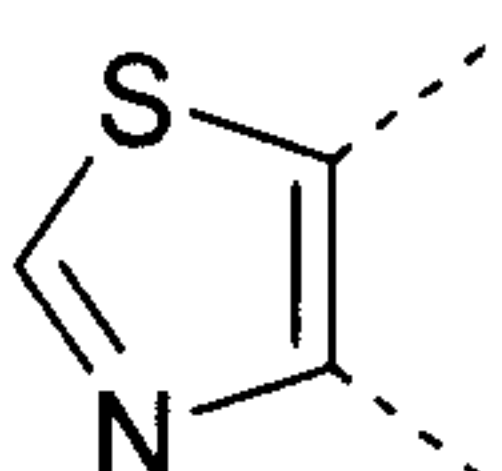
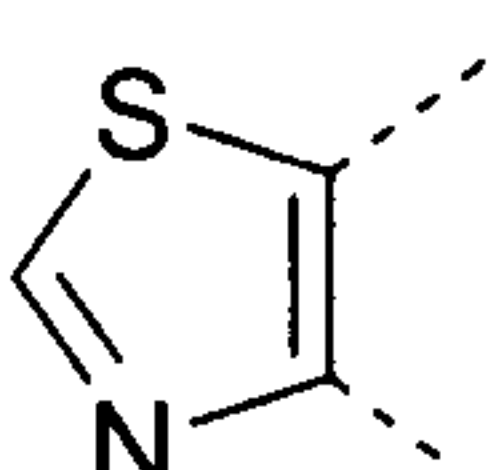
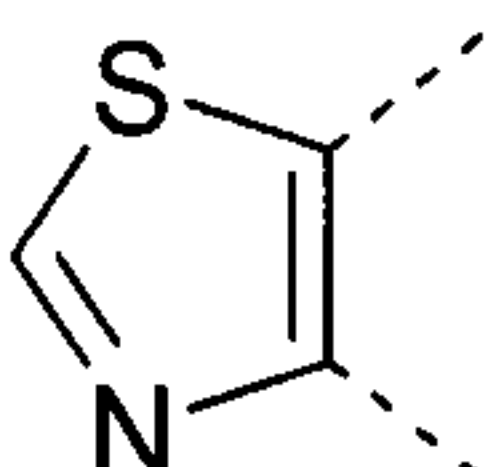
180

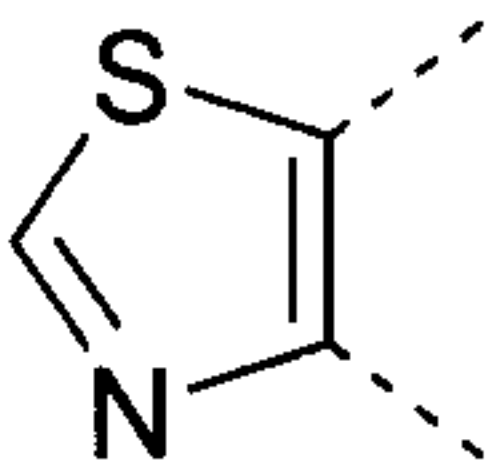
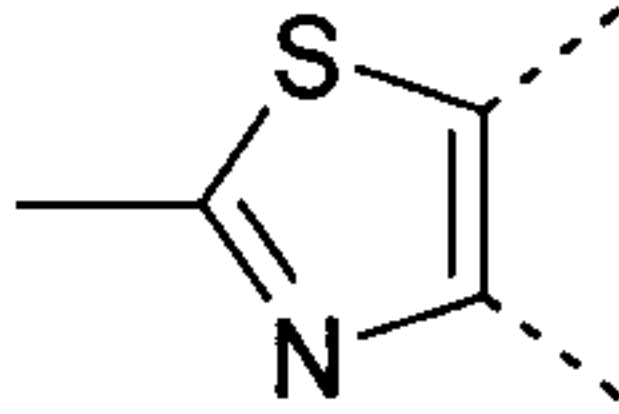
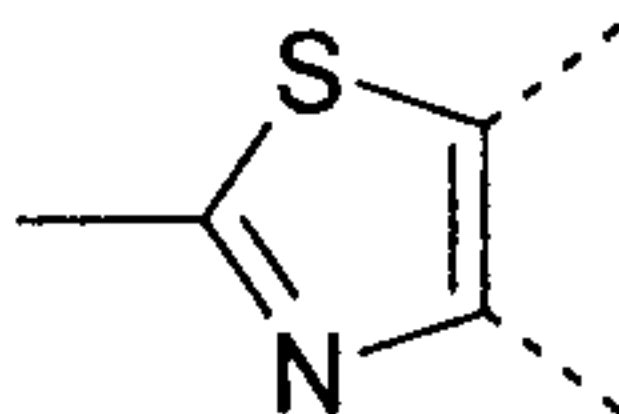
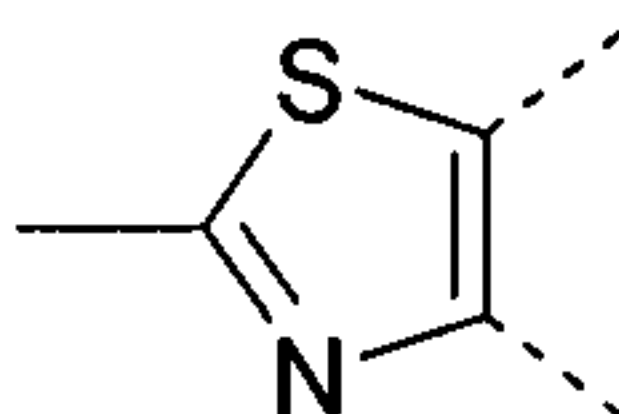
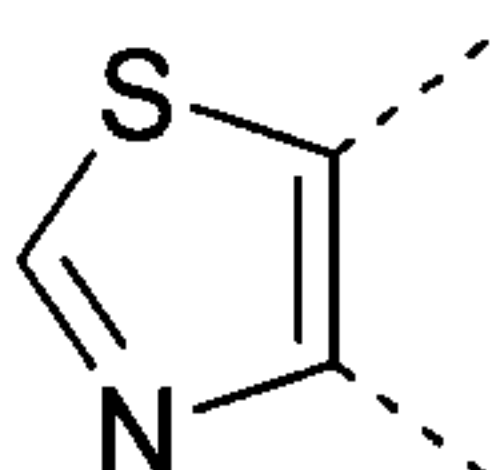
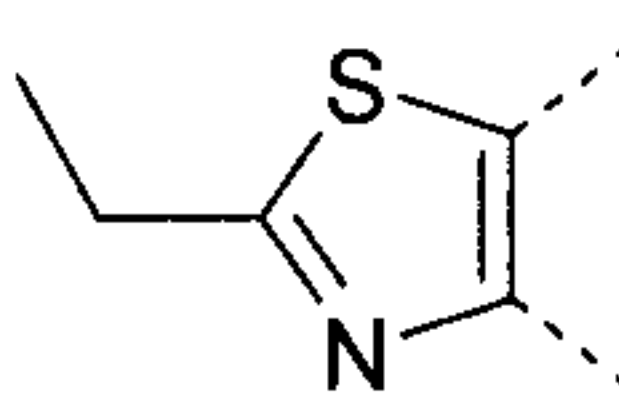
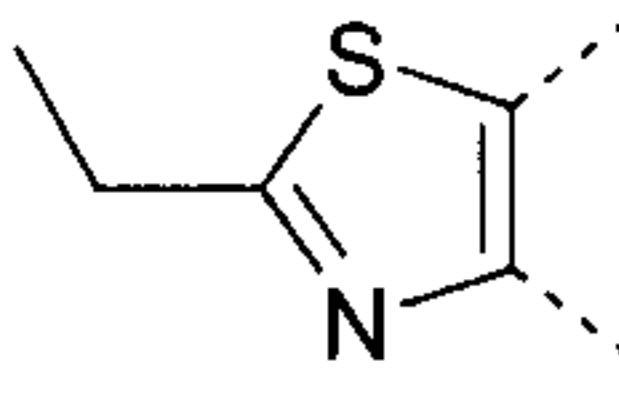
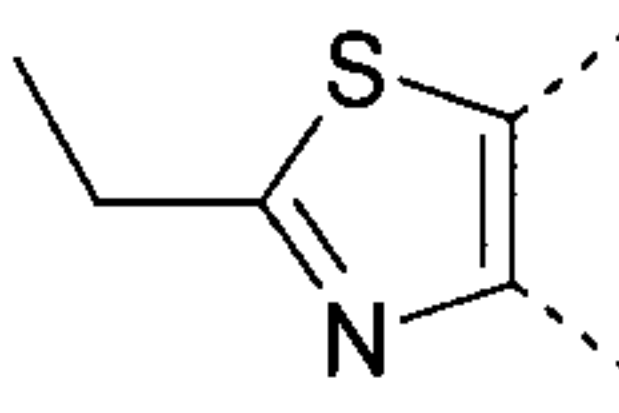
PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-91		C=O	CH ₂ -CHF ₂	Me	4-CF ₂ -CF ₃	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.19 (s, 2H); 6.08 (tt, 1H); 4.09 (td, 2H); 3.94 (s, 3H); 3.56 (s, 2H); 2.25 (s, 6H)
II-92		C=O	CH ₃	I	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.90 (s, 1H); 7.65 (d, 1H); 7.15 (d, 1H); 3.94 (s, 3H); 3.68 (s, 2H); 3.32 (s, 3H); 2.61 (q, 2H); 1.15 (t, 3H)
II-93		C=O	CH ₂ -CHF ₂	I	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H); 7.65 (d, 1H); 7.16 (d, 1H); 6.08 (tt, 1H); 4.12 (td, 2H); 3.95 (s, 3H); 3.72 (s, 2H); 2.61 (q, 2H); 1.15 (t, 3H)
II-94		C=O	CH ₂ -C≡CH	Me	4-CF ₂ -CF ₃	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.19 (s, 2H); 4.65 (d, 2H); 3.93 (s, 3H); 3.55 (s, 2H); 2.27 (s, 6H); 2.15 (br s, 1H)
II-95		C=O	CH ₃	Me	4-CF ₂ -CF ₃	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.18 (s, 2H); 3.93 (s, 3H); 3.52 (s, 2H); 3.31 (s, 3H); 2.27 (s, 6H)
II-96		C=O	CH ₂ -C≡CH	I	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.94 (s, 1H); 7.65 (d, 1H); 7.15 (d, 1H); 4.67 (d, 2H); 3.94 (s, 3H); 3.71 (s, 2H); 2.62 (q, 2H); 2.14 (br s, 1H); 1.15 (t, 3H)
II-97		C=O	CH ₃	F	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.81 (s, 1H); 6.73 (s, 1H); 6.59 (d, 1H); 3.89 (s, 3H); 3.51 (s, 2H); 3.28 (s, 3H); 2.24 (s, 3H); 2.20 (s, 3H)
II-98		C=O	CH ₂ -CHF ₂	F	4-Me	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.81 (s, 1H); 6.74 (s, 1H); 6.60 (d, 1H); 6.09 (tt, 1H); 4.07 (td, 2H); 3.91 (s, 3H); 3.54 (s, 2H); 2.24 (s, 3H); 2.20 (s, 3H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-99		C=O	CH ₂ -CHF ₂	Br	4-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.54 (d, 1H); 7.26 (m, 1H); 6.08 (tt, 1H); 4.10 (td, 2H); 3.94 (s, 3H); 3.68 (s, 2H); 2.28 (s, 3H)
II-100		C=O	CH ₃	Br	4-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.52 (br s, 1H); 7.25 (d, 1H); 3.93 (s, 3H); 3.64 (s, 2H); 3.30 (s, 3H); 2.29 (s, 3H)
II-101		C=O	CH ₃	Br	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.89 (s, 1H); 7.53 (m, 1H); 7.26 (m, 1H); 3.93 (s, 3H); 3.64 (s, 2H); 3.31 (s, 3H); 2.61 (q, 2H); 1.16 (s, 3H)
II-102		C=O	CH ₂ -CHF ₂	Br	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.53 (d, 1H); 7.27 (d, 1H); 6.08 (tt, 1H); 4.11 (td, 2H); 3.94 (s, 3H); 3.67 (s, 2H); 2.60 (q, 2H); 1.16 (t, 3H)
II-103		C=O	CH ₂ -C≡CH	Br	4-Br	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H); 7.53 (d, 1H); 7.27 (d, 1H); 4.66 (d, 2H); 3.94 (s, 3H); 3.66 (s, 2H); 2.61 (q, 2H); 2.14 (br s, 1H); 1.16 (t, 3H)
II-104		C=O	CH ₂ -C≡CH	Br	4-Br	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.92 (s, 1H); 7.51 (m, 1H); 7.25 (m, 1H); 4.65 (d, 2H); 3.93 (s, 3H); 3.66 (s, 2H); 2.29 (s, 3H); 2.15 (br s, 1H)
II-105		C=O	CH ₂ -CHF ₂	Me	4-(2'-c-Pr)-c-Pr	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 6.64 (br s, 2H); 6.09 (br t, 1H); 4.07 (br t, 2H); 3.93 (s, 3H); 3.44 (s, 2H); 2.14 (s, 6H); 1.53 (m, 1H); 1.07 (m, 1H); 0.89 (m, 1H); 0.73 (m, 1H); 0.67 (m, 1H); 0.38 (m, 2H); 0.12 (m, 2H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-106		C=O	CH ₃	Me	4-(2'-c-Pr)-c-Pr	6-Me	Me	¹ H NMR (400 MHz, CDCl ₃): 8.86 (s, 1H); 6.63 (br s, 2H); 3.92 (s, 3H); 3.41 (br s, 2H); 3.28 (s, 3H); 2.15 (s, 6H); 1.53 (m, 1H); 1.07 (m, 1H); 0.89 (m, 1H); 0.73 (m, 1H); 0.66 (m, 1H); 0.38 (m, 2H); 0.12 (m, 2H)
II-107		C=O	CH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.30 (m, 2H); 7.16 (m, 1H); 4.29 - 3.27 (m, 8H)
II-108		C=O	CH ₃	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.42 (br s, 1H); 7.15 (br s, 1H); 4.11 - 3.26 (m, 8H); 2.63 (m, 2H); 1.21 (m, 3H)
II-109		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (d, 2H); 7.11 (dd, 1H); 6.09 (tt, 1H); 4.07 (td, 2H); 3.91 (s, 3H); 3.90 (s, 2H); 2.70 (s, 3H)
II-110		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.40 (br s, 1H); 7.14 (br s, 1H); 6.07 (br t, 1H); 4.12 (m, 2H); 4.04 (s, 3H); 3.60 (s, 2H); 2.60 (q, 2H); 1.17 (t, 3H)
II-111		C=O	CH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (d, 2H); 7.10 (t, 1H); 3.90 (s, 3H); 3.84 (s, 2H); 3.28 (s, 3H); 2.71 (s, 3H)
II-112		C=O	CH ₃	Br	4-Br	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.65 (d, 1H); 7.35 (br s, 1H); 3.93 (s, 3H); 3.65 (s, 2H); 3.31 (s, 3H)
II-113		C=O	CH ₂ -CHF ₂	Br	4-Br	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.66 (d, 1H); 7.35 (m, 1H); 6.07 (tt, 1H); 4.10 (td, 2H); 3.94 (s, 3H); 3.70 (s, 2H)

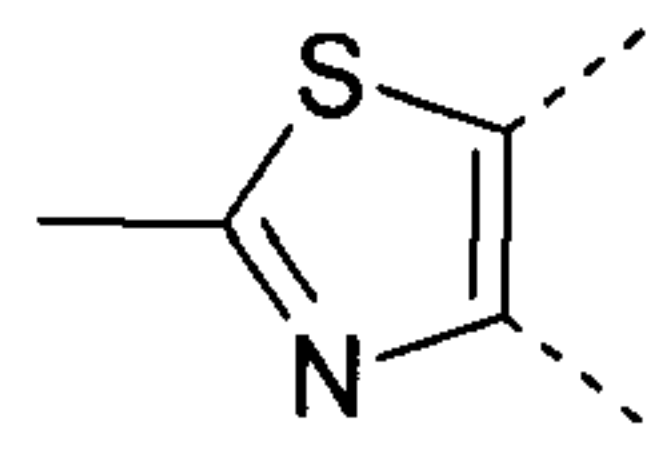
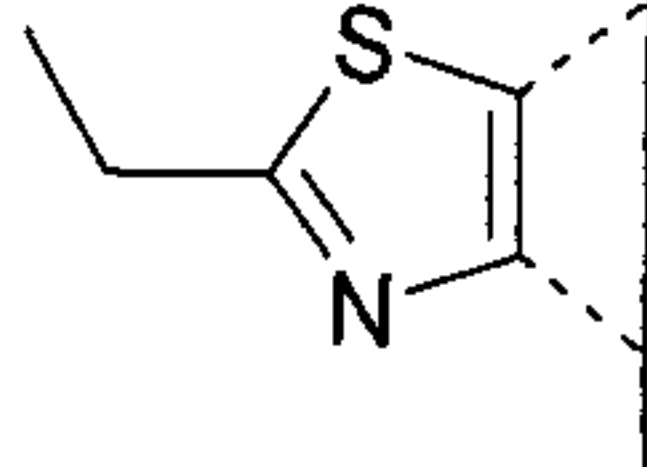
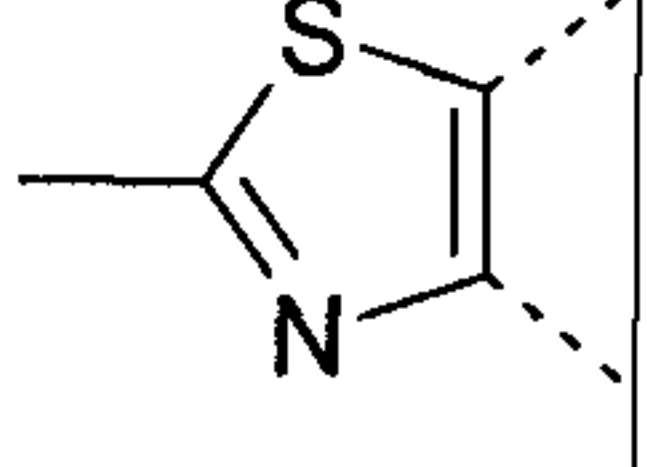
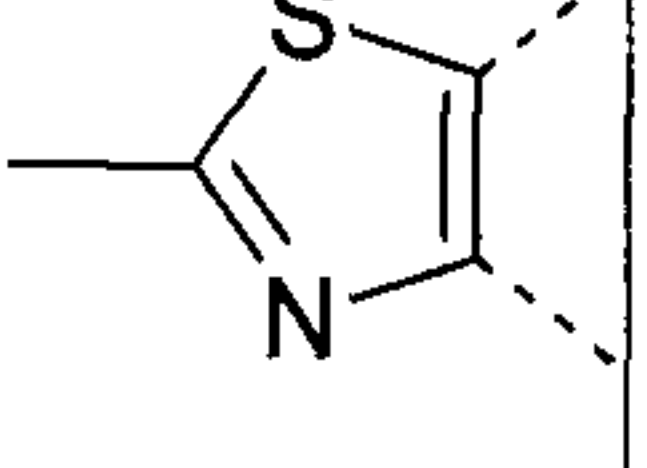
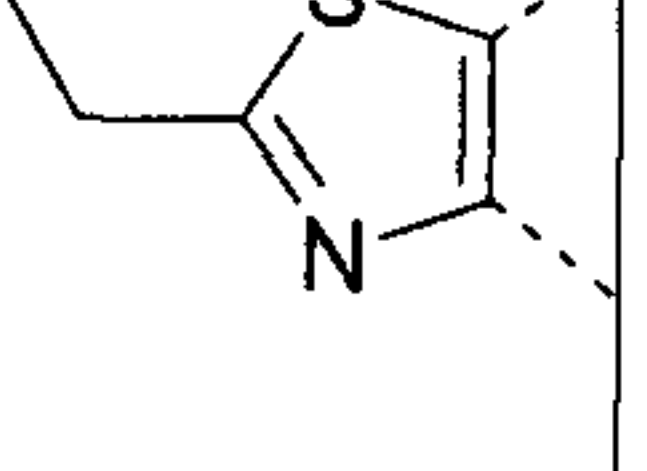
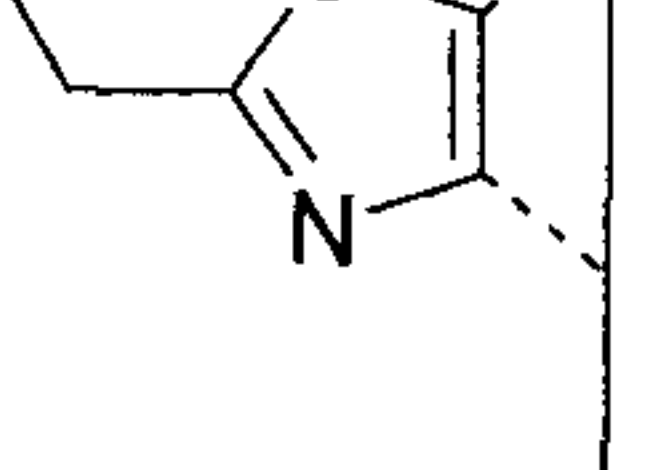
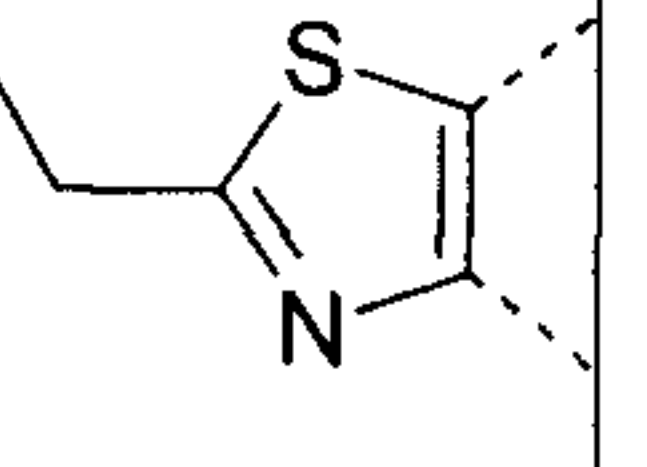
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-114		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.41 (br s, 1H); 7.15 (br s, 1H); 4.70 (br s, 2H); 3.99 (br s, 3H); 3.61 (br s, 2H); 2.63 (m, 2H); 2.17 (br s, 1H); 1.19 (br s, 3H)
II-115		C=O	CH ₃	Cl	4-Br	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.48 (d, 1H); 7.34 (d, 1H); 3.93 (s, 3H); 3.62 (s, 2H); 3.30 (s, 3H)
II-116		C=O	CH ₂ -CHF ₂	Cl	4-Br	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H); 7.48 (d, 1H); 7.31 (m, 1H); 6.07 (tt, 1H); 4.09 (td, 2H); 3.94 (s, 3H); 3.67 (s, 2H)
II-117		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.51 (d, 1H); 7.22 (m, 1H); 6.08 (tt, 1H); 4.10 (td, 2H); 3.94 (s, 3H); 3.71 (s, 2H)
II-118		C=O	CH ₃	Br	4-Cl	6-OCF ₃	Me	¹ H NMR (400 MHz, CDCl ₃): 8.88 (s, 1H); 7.51 (d, 1H); 7.21 (br s, 1H); 3.93 (s, 3H); 3.66 (s, 2H); 3.31 (s, 3H)
II-119		C=O	CH ₂ -CHF ₂	F	6-CF ₃	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H); 7.44 (d, 1H); 7.37 (q, 1H); 7.26 (m, 1H); 6.07 (tt, 1H); 4.11 (td, 2H); 3.96 (s, 3H); 3.68 (s, 2H)
II-120		C=O	CH ₂ -C≡CH	F	6-CF ₃	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.95 (s, 1H); 7.43 (d, 1H); 7.35 (q, 1H); 7.25 (t, 1H); 4.65 (d, 2H); 3.95 (s, 3H); 3.65 (s, 2H); 2.14 (br s, 1H)
II-121		C=O	CH ₃	F	6-CF ₃	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.91 (s, 1H); 7.43 (d, 1H); 7.35 (q, 1H); 7.25 (t, 1H); 3.95 (s, 3H); 3.63 (s, 2H); 3.31 (s, 3H)

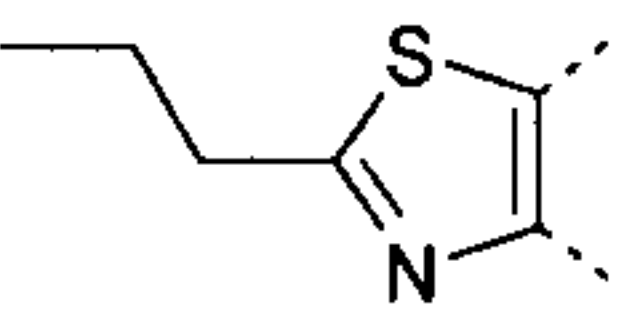
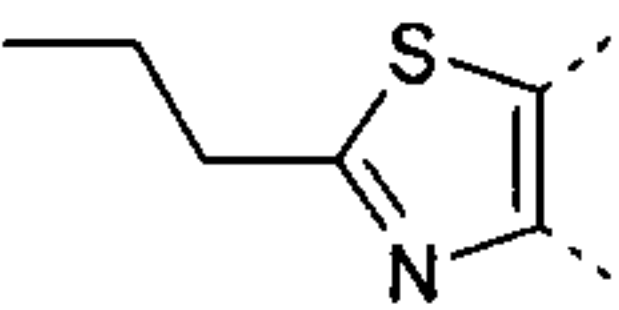
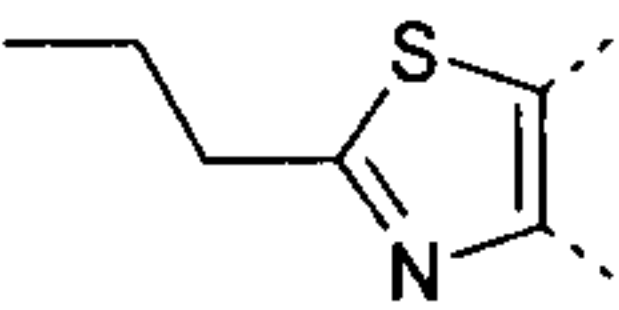
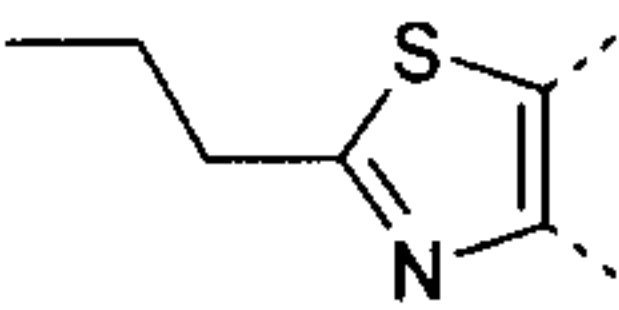
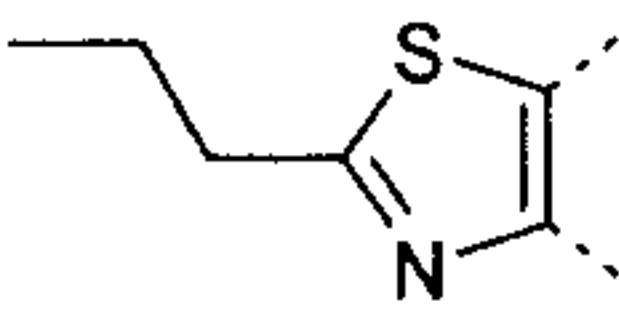
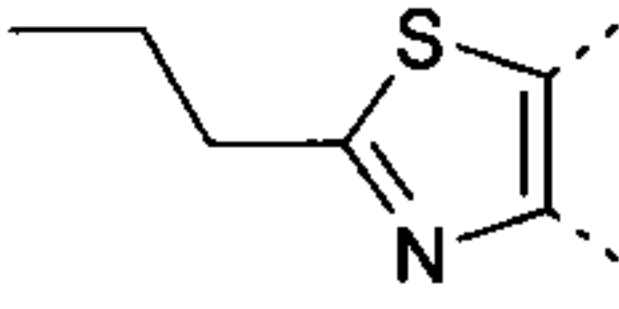
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-122		C=O	CH ₂ -CHF ₂	Cl	6-CF ₃	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.95 (s, 1H); 7.58 (dd, 2H); 7.33 (t, 1H); 6.07 (tt, 1H); 4.12 (td, 2H); 3.96 (s, 3H); 3.80 (s, 2H)
II-123		C=O	CH ₃	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.19 (d, 1H); 7.07 (d, 1H); 3.89 (s, 3H); 3.65 (s, 2H); 3.26 (s, 3H); 2.72 (s, 3H); 2.60 (q, 2H); 1.16 (t, 3H)
II-124		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.19 (d, 1H); 7.08 (d, 1H); 4.61 (d, 1H); 3.89 (s, 3H); 3.68 (s, 2H); 2.75 (s, 3H); 2.60 (q, 2H); 2.14 (br s, 1H); 1.16 (t, 3H)
II-125		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.20 (d, 1H); 7.08 (d, 1H); 6.06 (tt, 1H); 4.06 (td, 2H); 3.90 (s, 3H); 3.69 (s, 2H); 2.73 (s, 3H); 2.58 (q, 2H); 1.16 (t, 3H)
II-126		C=O	CH ₃	Cl	6-CF ₃	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.93 (s, 1H); 7.57 (dd, 1H); 7.31 (t, 1H); 3.96 (s, 3H); 3.76 (s, 2H); 3.32 (s, 3H)
II-127		C=O	CH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.09 (t, 1H); 3.90 (s, 3H); 3.84 (s, 2H); 3.29 (s, 3H); 3.01 (q, 2H); 1.41 (t, 3H)
II-128		C=O	CH ₂ -C≡CH	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.10 (dd, 1H); 4.64 (d, 2H); 3.90 (s, 3H); 3.87 (s, 2H); 3.04 (q, 2H); 2.13 (br s, 1H); 1.42 (t, 3H)
II-129		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.20 (d, 1H); 7.08 (d, 1H); 6.06 (tt, 1H); 4.07 (td, 2H); 3.90 (s, 3H); 3.69 (s, 2H); 3.03 (q, 2H); 2.59 (q, 2H); 1.42 (t, 3H); 1.16 (t, 3H)

WO 2012/028582

185

PCT/EP2011/064825

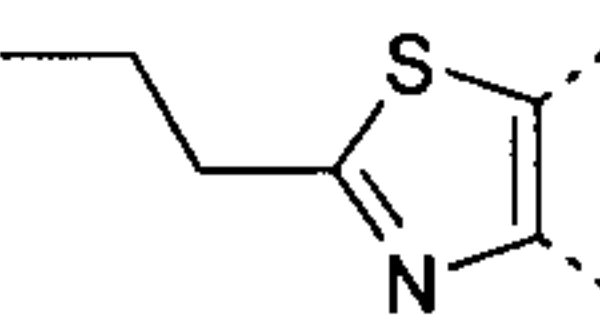
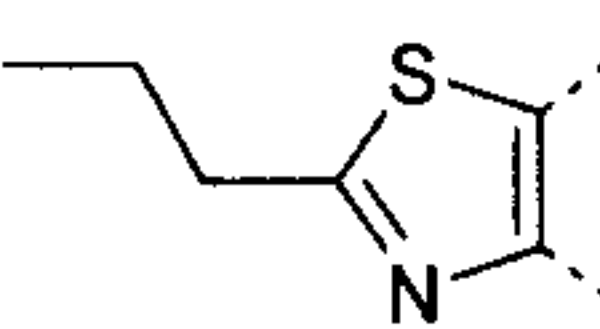
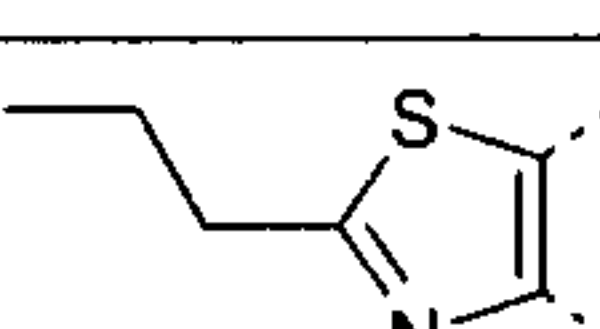
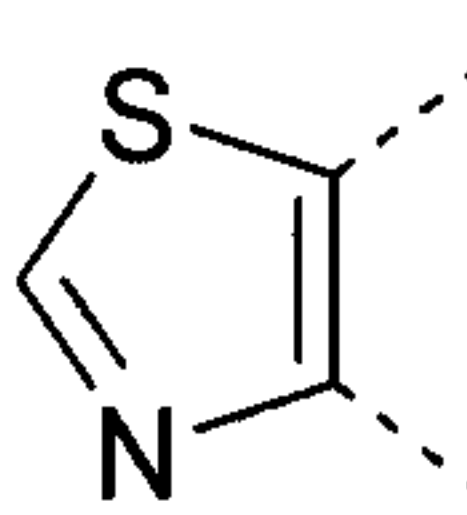
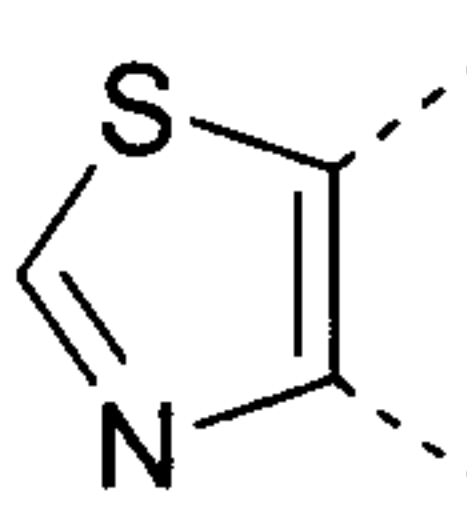
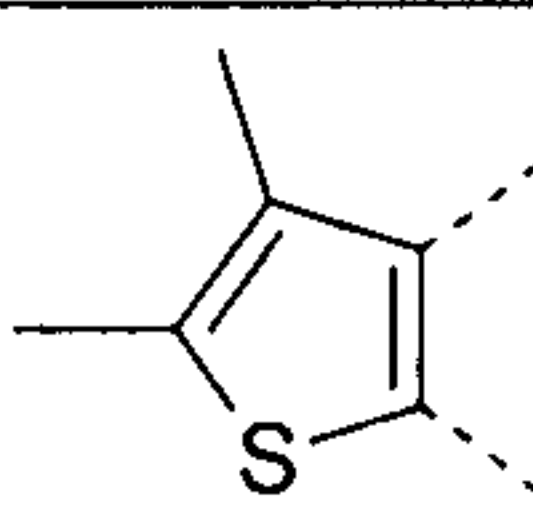
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-130		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.12 (d, 1H); 4.62 (d, 2H); 3.89 (s, 3H); 3.72 (s, 2H); 2.75 (s, 3H); 2.61 (q, 2H); 2.14 (br s, 1H); 1.16 (t, 3H)
II-131		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.11 (dd, 1H); 6.09 (tt, 1H); 4.08 (td, 2H); 3.91 (s, 3H); 3.89 (s, 2H); 3.00 (q, 2H); 1.40 (t, 3H)
II-132		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.12 (d, 1H); 6.06 (tt, 1H); 4.07 (td, 2H); 3.90 (s, 3H); 3.73 (s, 2H); 2.74 (s, 3H); 2.60 (q, 2H); 1.16 (t, 3H)
II-133		C=O	CH ₃	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.11 (d, 1H); 3.89 (s, 3H); 3.69 (s, 2H); 3.27 (s, 3H); 2.73 (s, 3H); 2.61 (q, 2H); 1.16 (t, 3H)
II-134		C=O	CH ₃	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.19 (d, 1H); 7.07 (d, 1H); 3.89 (s, 3H); 3.65 (s, 2H); 3.27 (s, 3H); 3.02 (q, 2H); 2.60 (q, 2H); 1.42 (t, 3H); 1.16 (t, 3H)
II-135		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.12 (d, 1H); 4.63 (d, 2H); 3.90 (s, 3H); 3.71 (s, 2H); 3.05 (q, 2H); 2.62 (q, 2H); 2.13 (br s, 1H); 1.43 (t, 3H); 1.16 (t, 3H)
II-136		C=O	CH ₃	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.11 (d, 1H); 3.90 (s, 3H); 3.68 (s, 2H); 3.28 (s, 3H); 3.03 (q, 2H); 2.61 (q, 2H); 1.42 (t, 3H); 1.16 (t, 3H)

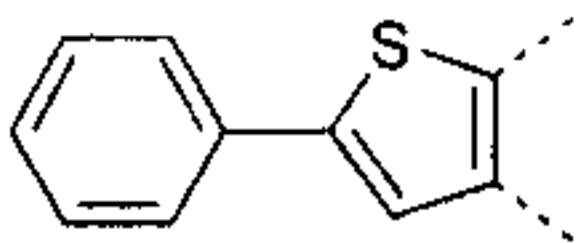
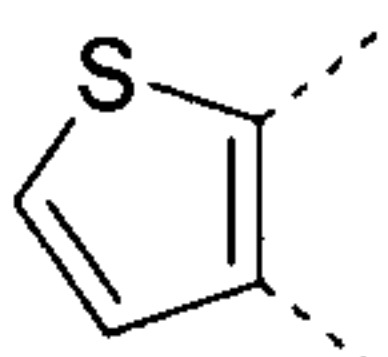
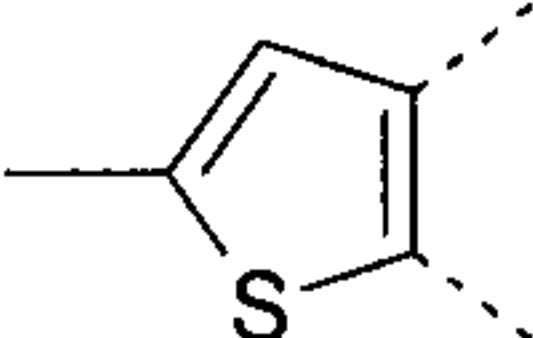
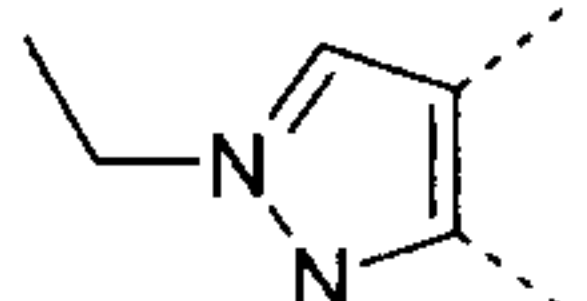
No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-137		C=O	CH ₂ -CHF ₂	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.11 (dd, 1H); 6.09 (tt, 1H); 4.09 (td, 2H); 3.91 (s, 3H); 3.88 (s, 2H); 2.95 (t, 2H); 1.83 (sxt, 2H); 1.04 (t, 3H)
II-138		C=O	CH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.10 (dd, 1H); 3.90 (s, 3H); 3.83 (s, 2H); 3.29 (s, 3H); 2.96 (t, 2H); 1.85 (sxt, 2H); 1.05 (t, 3H)
II-139		C=O	CH ₂ -CHF ₂	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.20 (d, 1H); 7.08 (d, 1H); 6.06 (tt, 1H); 4.07 (td, 2H); 3.90 (s, 3H); 3.68 (s, 2H); 2.97 (t, 2H); 2.59 (q, 2H); 1.85 (sxt, 2H); 1.16 (t, 3H); 1.04 (t, 3H)
II-140		C=O	CH ₂ -C≡CH	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 7.26 (m, 2H); 7.10 (dd, 1H); 4.64 (d, 2H); 3.90 (s, 3H); 3.86 (s, 2H); 2.98 (t, 2H); 2.12 (br s, 1H); 1.85 (sxt, 2H); 1.04 (t, 3H)
II-141		C=O	CH ₂ -C≡CH	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.19 (d, 1H); 7.07 (d, 1H); 4.63 (d, 2H); 3.89 (s, 3H); 3.67 (s, 2H); 2.99 (t, 2H); 2.60 (q, 2H); 2.12 (br s, 1H); 1.86 (sxt, 2H); 1.16 (t, 3H); 1.04 (t, 3H)
II-142		C=O	CH ₃	BrI	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.11 (d, 1H); 3.90 (s, 3H); 3.67 (s, 2H); 3.28 (s, 3H); 2.97 (t, 2H); 2.61 (q, 2H); 1.85 (sxt, 2H); 1.16 (t, 3H); 1.05 (t, 3H)

WO 2012/028582

187

PCT/EP2011/064825

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-143		C=O	CH ₃	Cl	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.19 (d, 1H); 7.07 (d, 1H); 3.89 (s, 3H); 3.64 (s, 2H); 3.27 (s, 3H); 2.96 (t, 2H); 2.60 (q, 2H); 1.85 (sxt, 2H); 1.16 (t, 3H); 1.05 (t, 3H)
II-144		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.39 (d, 1H); 7.13 (d, 1H); 6.06 (tt, 1H); 4.08 (td, 2H); 3.90 (s, 3H); 3.72 (s, 2H); 2.98 (t, 2H); 2.60 (q, 2H); 1.85 (sxt, 2H); 1.16 (t, 3H); 1.05 (t, 3H)
II-145		C=O	CH ₂ -C≡CH	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.38 (d, 1H); 7.12 (d, 1H); 4.64 (d, 2H); 3.90 (s, 3H); 3.71 (s, 2H); 2.99 (t, 2H); 2.61 (q, 2H); 2.12 (br s, 1H); 1.84 (sxt, 2H); 1.16 (t, 3H); 1.04 (t, 3H)
II-146		C=O	CH ₂ -CH ₂ -SCH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.87 (s, 1H), 7.26 (d, 2H), 7.11 (t, 1H), 3.95 (m, 5H), 3.79 (s, 2H), 2.76 (t, 2H), 2.11 (s, 3H)
II-147		C=O	CH ₂ -CH ₂ -OCH ₃	Cl	6-Cl	H	Me	¹ H NMR (400 MHz, CDCl ₃): 8.85 (s, 1H), 7.26 (d, 2H), 7.10 (t, 1H), 4.00 (t, 2H), 3.97 (s, 3H), 3.82 (s, 2H), 3.60 (t, 2H), 3.21 (s, 3H)
II-148		C=O	CH ₂ -CHF ₂	Cl	4-Br	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.37 (d, 1H); 7.23 (d, 1H); 6.05 (tt, 1H); 4.33 (m, 2H); 4.18 (m, 1H); 3.73 (s, 2H); 3.69 (m, 1H); 2.54 (q, 2H); 2.37 (s, 3H); 2.30 (s, 3H); 1.36 (t, 3H); 1.17 (t, 3H)

No.	A	V	W	X	Y	Z	R ⁹	Analytical data
II-149		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.64 (m, 2H); 7.45 (m, 3H); 7.39 (d, 1H); 7.27 (s, 1H); 7.12 (d, 1H); 6.14 (br tt, 1H); 4.37 (m, 3H); 3.71 (br s, 2H); 3.66 (m, 1H); 2.59 (q, 2H); 1.40 (t, 3H); 1.17 (t, 3H)
II-150		C=O	CH ₂ -CHF ₂	Cl	4-Br	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.62 (d, 1H); 7.36 (d, 1H); 7.24 (d, 1H); 7.11 (d, 1H); 6.09 (br tt, 1H); 4.25 (m, 1H); 3.92 (s, 3H); 3.63 (m, 1H); 3.55 (d, 2H); 2.55 (q, 2H); 1.16 (t, 3H)
II-151		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Me	¹ H NMR (400 MHz, CDCl ₃): 7.41 (d, 1H); 7.13 (d, 1H); 7.09 (q, 1H); 6.07 (tt, 1H); 4.15 (m, 1H); 3.87 (s, 3H); 3.76 (m, 3H); 2.56 (q, 2H); 2.49 (d, 3H); 1.16 (t, 3H)
II-152		C=O	CH ₂ -CHF ₂	Br	4-Cl	6-Et	Et	¹ H NMR (400 MHz, CDCl ₃): 7.98 (s, 1H); 7.39 (d, 1H); 7.12 (d, 1H); 6.06 (tt, 1H); 4.30 (q, 2H); 4.20 (q, 2H); 4.03 (m, 2H); 3.68 (m, 2H); 2.58 (q, 2H); 1.55 (t, 3H); 1.35 (t, 3H); 1.14 (t, 3H)

Illustrative preparation of the precursors:

- 5 12. Preparation of methyl 4-{(2,2-difluoroethyl)[(2-iodobenzyl)sulfonyl]amino}-1,3-thiazole-5-carboxylate:
- 2.1 g (4.8 mmol) of methyl 4-[(2-iodobenzyl)sulfonyl]amino}-1,3-thiazole-5-carboxylate were dissolved in 20 ml of acetonitrile, and 0.9 ml (5.3 mmol) of N,N-diisopropylethylamine was added at RT. The reaction mixture was stirred at RT for
- 10 5 min and then a solution of 1.54 g (7.2 mmol) of difluoroethyl trifluoromethane-

WO 2012/028582

189

PCT/EP2011/064825

sulfonate in 5 ml of acetonitrile was added dropwise within 10 min. The reaction mixture was stirred at RT for 12 h and then concentrated to dryness. The residue was purified by means of preparative HPLC (C₁₈-SiO₂, gradient acetonitrile/water 20:80 to 100:0). This gave 2.09 g of methyl 4-((2,2-difluoroethyl)((2-iodobenzyl)sulfonyl)amino)-1,3-thiazole-5-carboxylate.

¹H NMR (400 MHz, CDCl₃): 8.82 (s, 1H); 7.90 (br d, 1H); 7.63 (dd, 1H); 7.35 (td, 1H); 7.04 (td, 1H); 5.96 (tt, 1H); 4.81 (s, 2H); 4.14 (td, 1H); 3.92 (s, 3H).

13. Preparation of methyl 4-((2-iodobenzyl)sulfonyl)amino)-1,3-thiazole-5-carboxylate:

2 g (12.64 mmol) of methyl 4-amino-1,3-thiazole-5-carboxylate were dissolved together with 5.1 ml of NEt₃ (63.2 mmol) in 20 ml of dioxane, and 4.4 g (13.9 mmol) of (2-iodophenyl)methanesulfonyl chloride were added at room temperature. The reaction mixture was stirred at RT for 5 h. Then 40 ml of water were added and the mixture was extracted repeatedly with ethyl acetate. The combined organic phases were washed with 2N HCl, dried and concentrated. The residue was purified by means of column chromatography (SiO₂, gradient ethyl acetate/n-heptane 10:90 to 75:25). This gave 4.3 g of methyl 4-((2-iodobenzyl)sulfonyl)amino)-1,3-thiazole-5-carboxylate.

¹H NMR (400 MHz, CDCl₃): 9.01 (br s, 1H); 8.81 (s, 1H); 7.87 (d, 1H); 7.51 (d, 1H); 7.36 (t, 1H); 7.04 (td, 1H); 5.06 (s, 2H); 3.88 (s, 3H).

B. Formulation examples

1. Dusting product

A dusting product is obtained by mixing 10 parts by weight of a compound of the formula (I) and 90 parts by weight of talc as an inert substance and comminuting the mixture in a hammer mill.

2. Dispersible powder

A readily water-dispersible wettable powder is obtained by mixing 25 parts by weight of a compound of the formula (I), 64 parts by weight of kaolin-containing quartz as an

WO 2012/028582

190

PCT/EP2011/064825

inert substance, 10 parts by weight of potassium lignosulfonate and 1 part by weight of sodium oleoylmethyltauride as a wetting agent and dispersant, and grinding the mixture in a pinned-disk mill.

5 3. Dispersion concentrate

A readily water-dispersible dispersion concentrate is obtained by mixing 20 parts by weight of a compound of the formula (I), 6 parts by weight of alkylphenol polyglycol ether (®Triton X 207), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range, for example, about 255 to 10 above 277°C) and grinding the mixture in a ball mill to a fineness of less than 5 microns.

4. Emulsifiable concentrate

An emulsifiable concentrate is obtained from 15 parts by weight of a compound of the formula (I), 75 parts by weight of cyclohexanone as a solvent and 10 parts by weight of oxethylated nonylphenol as an emulsifier.

5. Water-dispersible granules

Water-dispersible granules are obtained by mixing 20 75 parts by weight of a compound of the formula (I),
 10 " calcium lignosulfonate,
 5 " sodium laurylsulfate,
 3 " polyvinyl alcohol and
 7 " kaolin,
 25 grinding the mixture in a pinned-disk mill and granulating the powder in a fluidized bed by spraying on water as a granulating liquid.

Water-dispersible granules are also obtained by homogenizing and precomminuting 25 parts by weight of a compound of the formula (I),

30 5 " sodium 2,2'-dinaphthylmethane-6,6'-disulfonate,
 2 " sodium oleoylmethyltauride,
 1 " polyvinyl alcohol,

WO 2012/028582

191

PCT/EP2011/064825

17 " calcium carbonate and

50 " water

in a colloid mill, then grinding the mixture in a bead mill and atomizing and drying the resulting suspension in a spray tower by means of a one-substance nozzle.

5

C. Biological examples

1. Pre-emergence herbicidal action against weed plants and compatibility with crop plants

- 10 Seeds or rhizome sections of mono- and dicotyledonous weed plants are set out in pots of 9 to 13 cm in diameter in sandy loam soil, and are covered with soil. The herbicides, formulated as emulsifiable concentrates or dusting products, are applied to the surface of the covering earth in different doses in the form of aqueous dispersions or suspensions or emulsions, at a water application rate of 300 to 800
- 15 l/ha (converted). The pots are then maintained under glass under optimum conditions for the further cultivation of the plants. After the trial plants have stood for 3 to 4 weeks under glass under optimum growth conditions, the effect of the inventive compounds is scored visually. For example, compounds No. Ia-26, I-c-4, I-a-9, I-a-8, I-a-66, I-g-5, I-a-90, I-a-96 and I-b-13 at an application rate of 1280 grams
- 20 per hectare each exhibit an at least 80% effect against *Veronica persica*. Compounds No. I-a-13, I-a-65, I-a-74 and I-b-14 at an application rate of 1280 grams per hectare each exhibit an at least 80% effect against *Echinoa crus galli*, *Lolium multiflorum* and *Setaria viridis*. Compounds No. I-a-75, I-a-89, I-a-94, I-a-97 and I-c-38 at an application rate of 320 grams per hectare each exhibit an at least 80%
- 25 effect against *Stellaria media* and *Veronica persica*, and at the same time do not exhibit any damage in corn. Compounds No. I-a-2, I-a-28 and I-a-43 at an application rate of 320 grams per hectare each exhibit an at least 80% effect against *Setaria viridis*, and at the same time do not exhibit any damage in oilseed rape. Compounds No. I-a-36, I-b-22 and I-a-44 at an application rate of 320 grams per
- 30 hectare each exhibit an at least 80% effect against *Lolium multiflorum*, and at the same time do not exhibit any damage in corn.

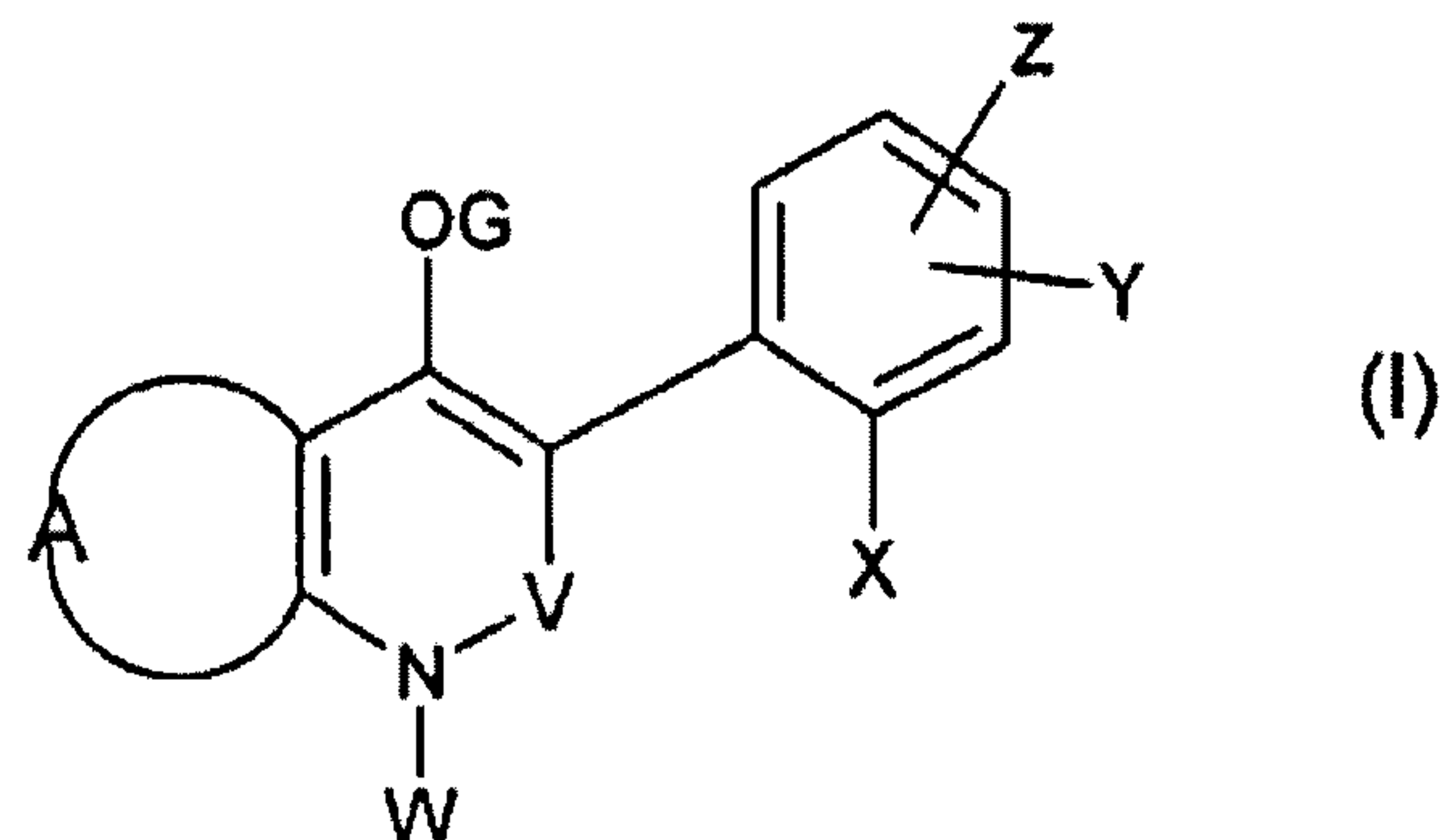
2. Post-emergence herbicidal action against weed plants and compatibility with crop plants

Seeds of monocotyledonous and dicotyledonous weed plants are set out in cardboard pots in sandy loam soil, covered with soil, and cultivated under glass under good growth conditions. Two to three weeks after sowing, the trial plants are treated at the three-leaf stage. The inventive compounds, formulated as wettable powders or as emulsifiable concentrates, are sprayed onto the surface of the green parts of the plants, at a water application rate of 600 to 800 l/ha (converted). After the trial plants have stood for 3 to 4 weeks under glass under optimum growth conditions, the effect of the inventive compounds is scored visually. For example, compounds No. I-a-26, I-a-38 and I-b-1 at an application rate of 1280 grams per hectare each exhibit an at least 80% effect against *Amaranthus retroflexus*, *Lolium multiflorum* and *Stellaria media*.

Compounds No. I-a-26, I-a-9, I-a-75, I-a-88, I-a-89, I-a-94, I-a-8 and I-b-13 at an application rate of 1280 grams per hectare each exhibit an at least 80% effect against *Matricaria inodora* and *Fallopia convolvulus*. Compounds No. I-g-5, I-h-11, I-a-83, I-a-86 and I-a-93 at an application rate of 320 grams per hectare each exhibit an at least 80% effect against *Stellaria media* and *Veronica persica*, and at the same time do not exhibit any damage in corn. Compounds No. I-a-36, I-a-37 and I-a-44 at an application rate of 320 grams per hectare each exhibit an at least 80% effect against *Matricaria inodora*, *Fallopia convolvulus*, *Stellaria media* and *Veronica persica*, and at the same time do not exhibit any damage in corn.

CLAIMS:

1. A ketosultam or diketopyridine of the formula (I) or salt thereof



in which

- 5 A is a fused-on saturated or unsaturated five-membered heterocycle substituted by m radicals from the group consisting of R^{10} , R^{11} , R^{12} , R^{13} and R^{14} ;
- V is C(=O) or S(O)₂;
- m is 0, 1 or 2;
- n is 0, 1, 2 or 3;
- 10 G is hydrogen, C(=O)R¹, C(=L)MR², SO₂R³, P(=L)R⁴R⁵, C(=L)NR⁶R⁷, E or R⁸;
- E is a metal ion equivalent or an ammonium ion;
- L is oxygen or sulfur;
- M is oxygen or sulfur;
- R¹ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl each substituted by n halogen atoms,
- 15 a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n

radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, (C₃-C₆)-cycloalkyl, phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryl-oxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- 5 R² is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl each substituted by n halogen atoms, or (C₃-C₆)-cycloalkyl, phenyl or benzyl substituted by n radicals in each case from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- 10 R³, R⁴ and R⁵ are each independently (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, N-(C₁-C₆)-alkylamino, N,N-di-(C₁-C₆)-alkylamino, (C₁-C₄)-alkylthio, (C₂-C₄)-alkenyl or (C₃-C₆)-cycloalkylthio each substituted by n halogen atoms, or phenyl, benzyl, phenoxy or phenylthio substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

- 15 R⁶ and R⁷ are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₂-C₆)-alkenyl, (C₁-C₆)-alkoxy or (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, phenyl or benzyl substituted by in each case n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, or R⁶ and R⁷ form, together with the nitrogen atom to which they are bonded, a 3- to 20 6-membered ring containing 2 to 5 carbon atoms and 0 or 1 oxygen or sulfur atom;

- R⁸ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, 25 (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

5 R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
10 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,
15

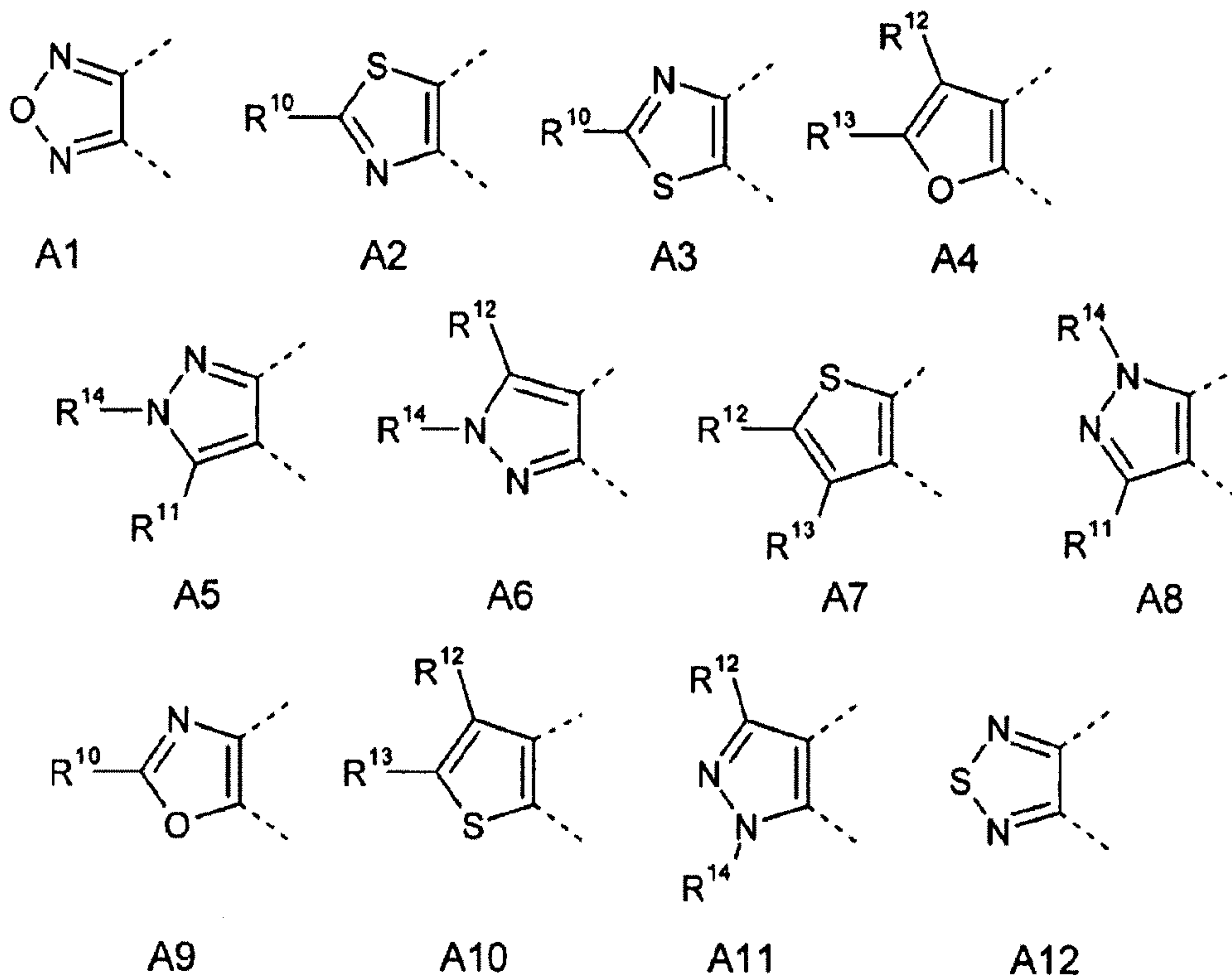
alternatively R¹⁴ is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;
20

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms, and

25 X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, or halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl.

2. A ketosultam or diketopyridine as claimed in claim 1, in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



5

V is $C(=O)$ or $S(O)_2$;

n is 0, 1, 2 or 3;

G is hydrogen, $C(=O)R^1$, $C(=L)MR^2$, SO_2R^3 , $P(=L)R^4R^5$, $C(=L)NR^6R^7$, E or R^8 ;

E is a metal ion equivalent or an ammonium ion;

10 L is oxygen or sulfur;

M is oxygen or sulfur;

R¹ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or (C₁-C₄-alkylthio-(C₁-C₆)-alkyl each substituted by n halogen atoms,

5 a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, (C₃-C₆)-cycloalkyl, phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

10 R² is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl each substituted by n halogen atoms, or (C₃-C₆)-cycloalkyl, phenyl or benzyl substituted by n radicals in each case from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

15 R³, R⁴ and R⁵ are each independently (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, N-(C₁-C₆)-alkylamino, N,N-di-(C₁-C₆)-alkylamino, (C₁-C₄)-alkylthio, (C₂-C₄)-alkenyl or (C₃-C₆)-cycloalkylthio each substituted by n halogen atoms, or phenyl, benzyl, phenoxy or phenylthio substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

20 R⁶ and R⁷ are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₂-C₆)-alkenyl, (C₁-C₆)-alkoxy or (C₁-C₄-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms, phenyl or benzyl substituted by in each case n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, or R⁶ and R⁷ form, together with the nitrogen atom to which they are bonded, a 3- to 25 6-membered ring containing 2 to 5 carbon atoms and 0 or 1 oxygen or sulfur atom;

R⁸ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl substituted by n halogen atoms,

(C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

a fully saturated 3- to 6-membered ring consisting of 3 to 5 carbon atoms and 1 to 3 heteroatoms from the group of oxygen, sulfur and nitrogen and substituted by n

5 radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, phenyl, phenyl-(C₁-C₄)-alkyl, heteroaryl, phenoxy-(C₁-C₄)-alkyl or heteroaryloxy-(C₁-C₄)-alkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-
10 alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl,
15 (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, or halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

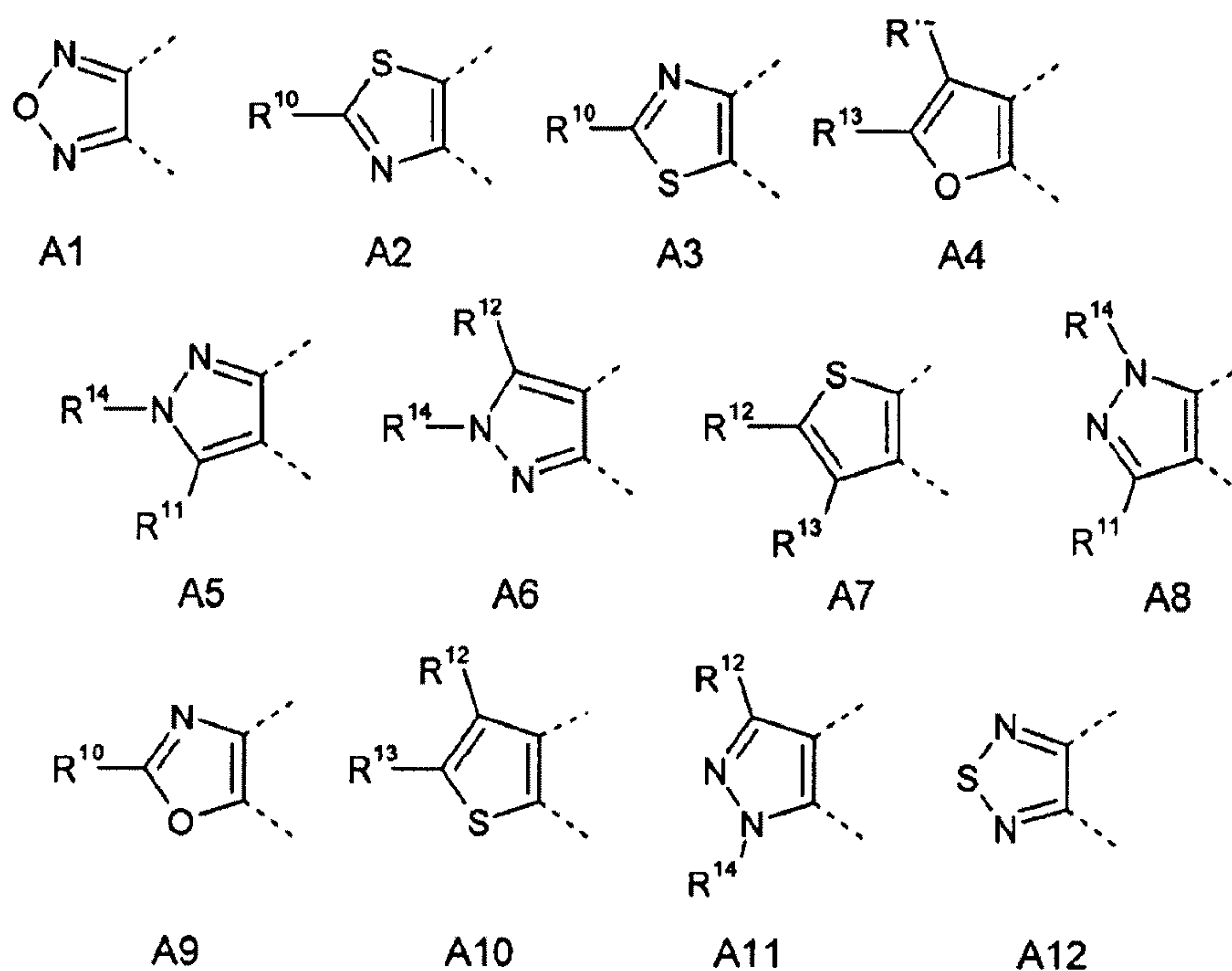
R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-
20 (C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-
25 alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,

alternatively R^{14} is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

3. A ketosultam or diketopyridine as claimed in claim 1 or 2, in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



10 V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

G is hydrogen;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl,

(C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl,
5 (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, or halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

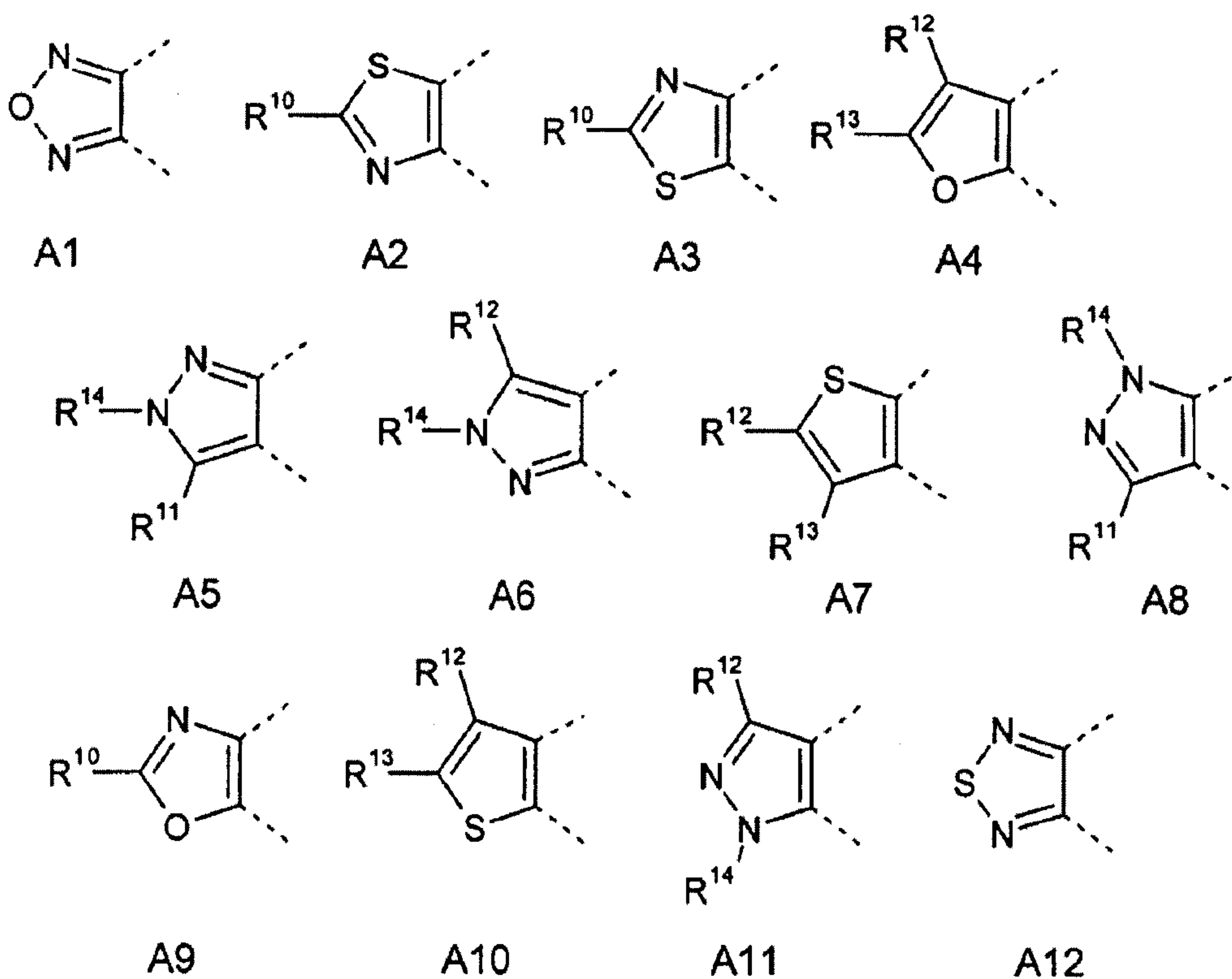
R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-
10 (C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms or (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl,
15 each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,

alternatively R¹⁴ is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n
20 radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

4. A ketosultam or diketopyridine as claimed in claim 1 or 2, in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which
25 the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

G is C(=O)R¹;

5 R¹ is (C₁-C₆)-alkyl each substituted by n halogen atoms;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

10 R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

R^{11} R^{12} R^{13} are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or

5 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

R^{14} is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,

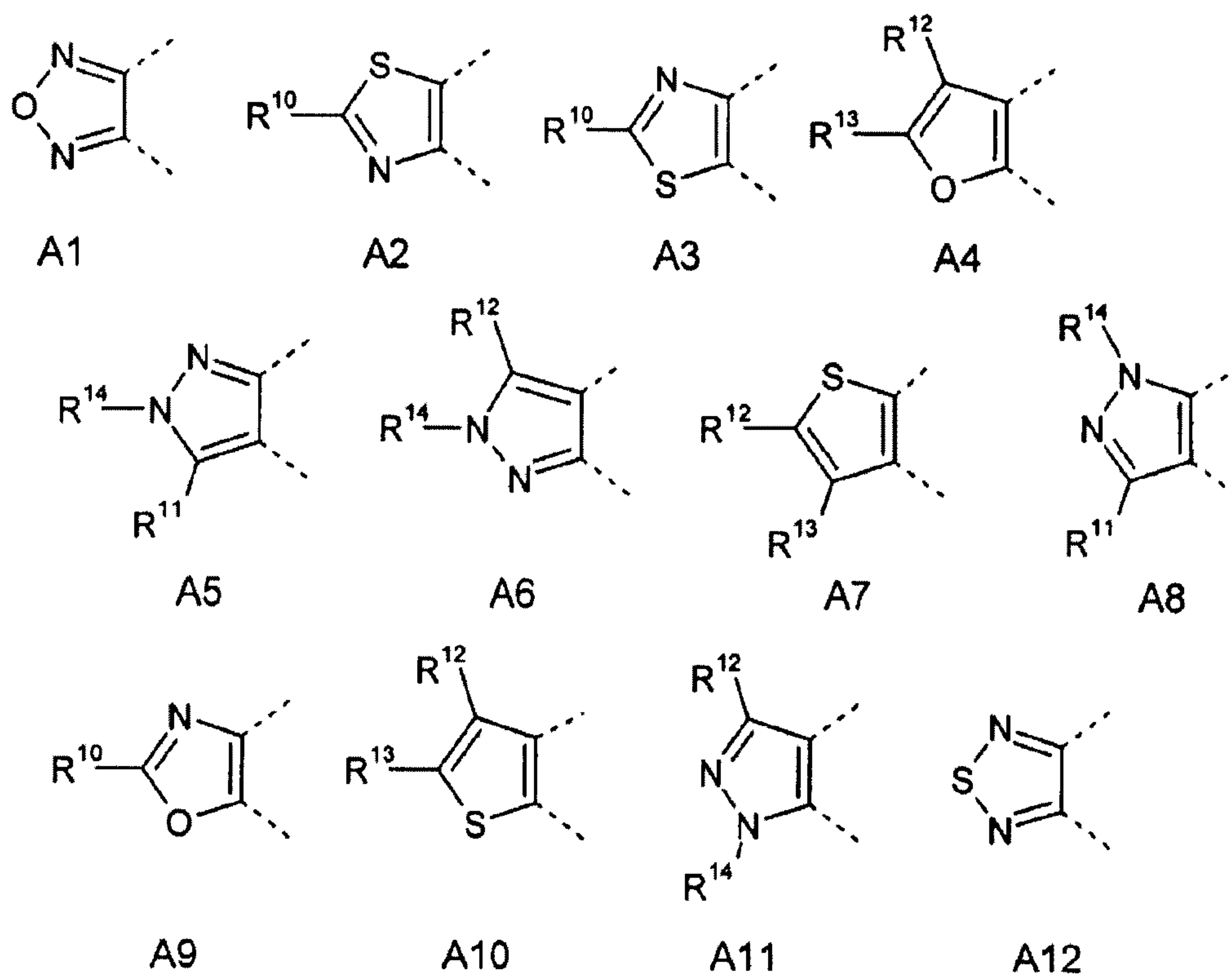
10

alternatively R^{14} is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

15

5. A ketosultam or diketopyridine as claimed in claim 1 or 2, in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

G is C(=L)MR²;

5 L is oxygen;

M is oxygen or sulfur;

R² is (C₁-C₆)-alkyl each substituted by n halogen atoms;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl,

10 (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms and

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, or halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

5 R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

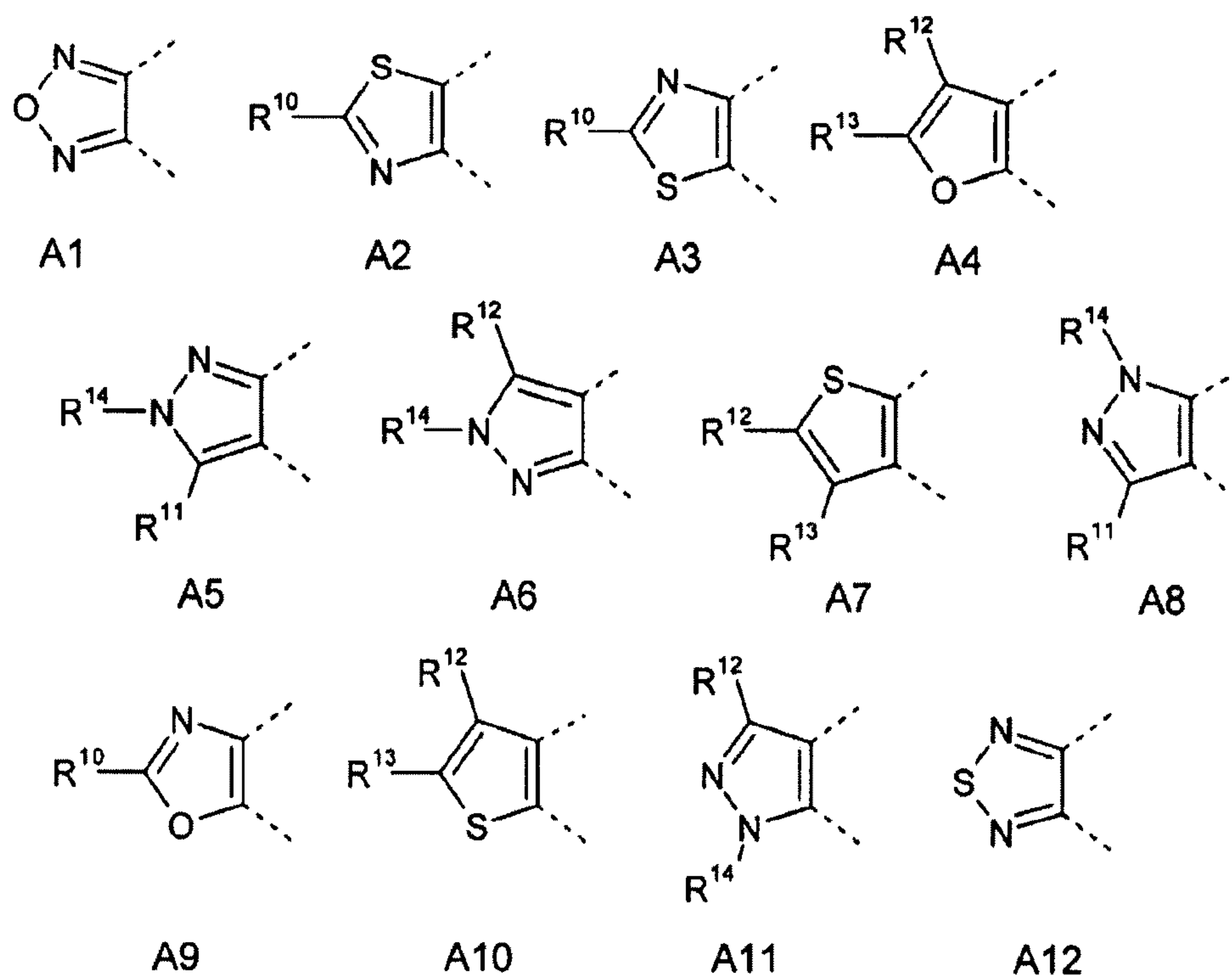
R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or
10 (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,
15

alternatively R¹⁴ is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.
20

6. A ketosultam or diketopyridine as claimed in claim 1 or 2, in which

A is one of the five-membered heterocycles A1 to A12 shown below, in which the broken lines mean the bond to the adjacent pyridine or ketosultam ring,



V is C(=O) or S(O)₂;

n is 0, 1, 2 or 3;

G is R⁸;

5 R⁸ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl substituted by n halogen atoms;

W is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₄)-alkylsulfonyl-(C₁-C₆)-alkyl or (C₃-C₆)-cycloalkyl-(C₁-C₆)-alkyl each substituted by n halogen atoms;

X, Y and Z are each independently hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, halogen, cyano, nitro, halo-(C₁-C₆)-alkyl, halo-(C₁-C₆)-alkoxy, (C₃-C₆)-cycloalkyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, or halo-(C₁-C₆)-alkoxy-(C₁-C₆)-alkyl;

R¹⁰ is hydrogen, or (C₁-C₆)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms;

R¹¹, R¹² and R¹³ are each independently hydrogen, halogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-
5 (C₁-C₄)-alkyl, di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio, (C₁-C₄)-alkylsulfinyl or (C₁-C₄)-alkylsulfonyl substituted by n halogen atoms, or (C₃-C₆)-cycloalkyl substituted by n radicals from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy, and

R¹⁴ is hydrogen, or (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₄)-
10 alkoxy-(C₁-C₆)-alkyl, (C₁-C₄)-alkylthio-(C₁-C₄)-alkyl or di-(C₁-C₄)-alkoxy-(C₁-C₆)-alkyl, each of which is substituted by n halogen atoms, or (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl or (C₁-C₆)-alkylsulfonyl,

alternatively R¹⁴ is (C₃-C₆)-cycloalkyl, (C₃-C₆)-cycloalkylcarbonyl, (C₃-C₆)-
15 cycloalkoxycarbonyl or (C₃-C₆)-cycloalkylsulfonyl, each of which is substituted by n radicals selected from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy.

7. A herbicidal composition, comprising a herbicidally active content of at least one compound of the formula (I) as claimed in any one of claims 1 to 6, in a mixture
20 with formulation assistants.

8. The herbicidal composition as claimed in claim 7 comprising at least one further pesticidally active substance from the group of insecticides, acaricides, herbicides, fungicides, safeners and growth regulators.

9. The herbicidal composition as claimed in claim 8 comprising a safener.

25 10. The herbicidal composition as claimed in claim 8 or 9 comprising a further herbicide.

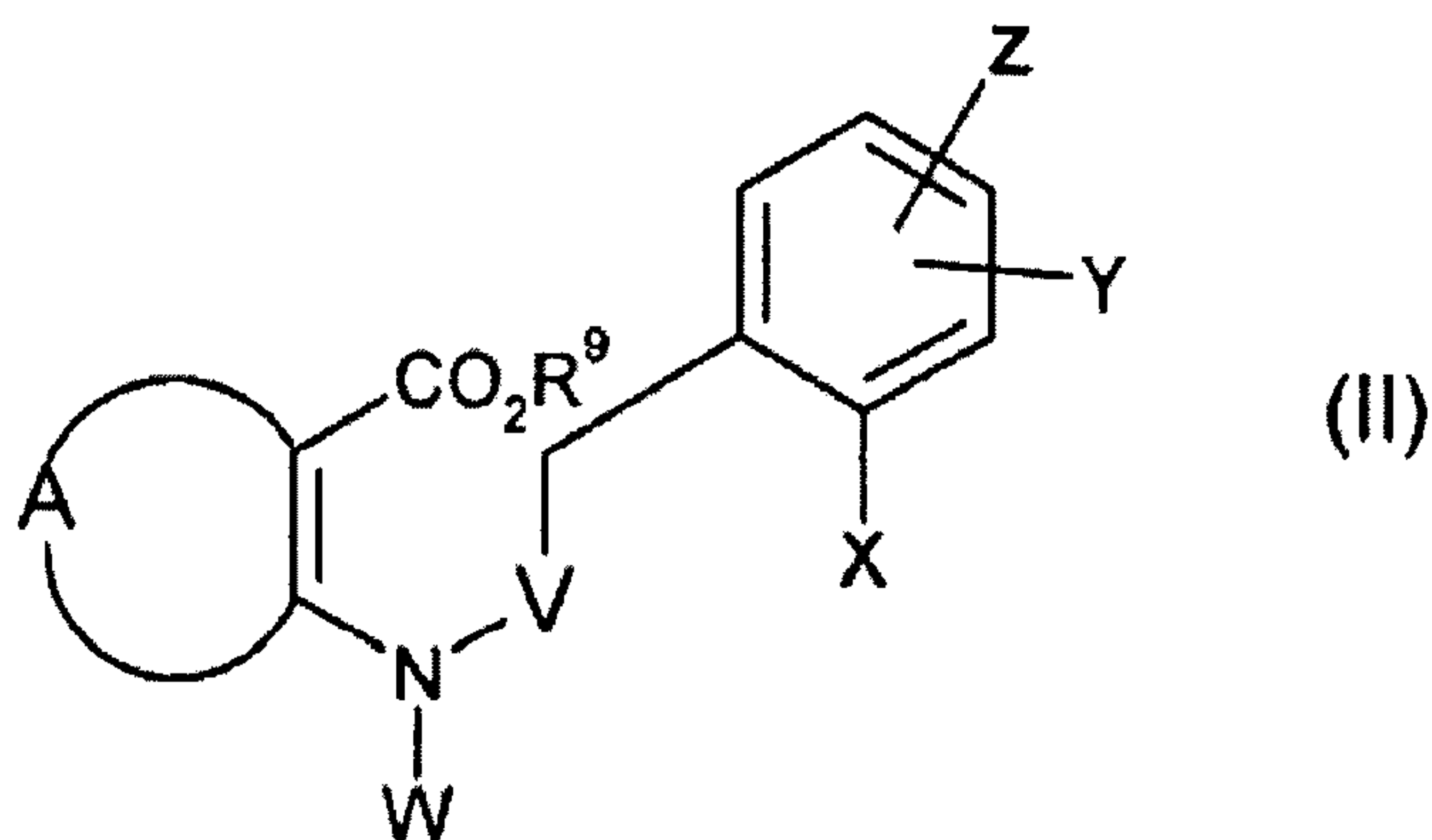
11. A method for controlling unwanted plants, which comprises applying an effective amount of at least one compound of the formula (I) as claimed in any one of claims 1 to 6 or of a herbicidal composition as claimed in any one of claims 7 to 10 to the plants or to the site of unwanted plant growth.

5 12. The use of compounds of the formula (I) as claimed in any one of claims 1 to 6 or of a herbicidal composition as claimed in any one of claims 7 to 10 for control of unwanted plants.

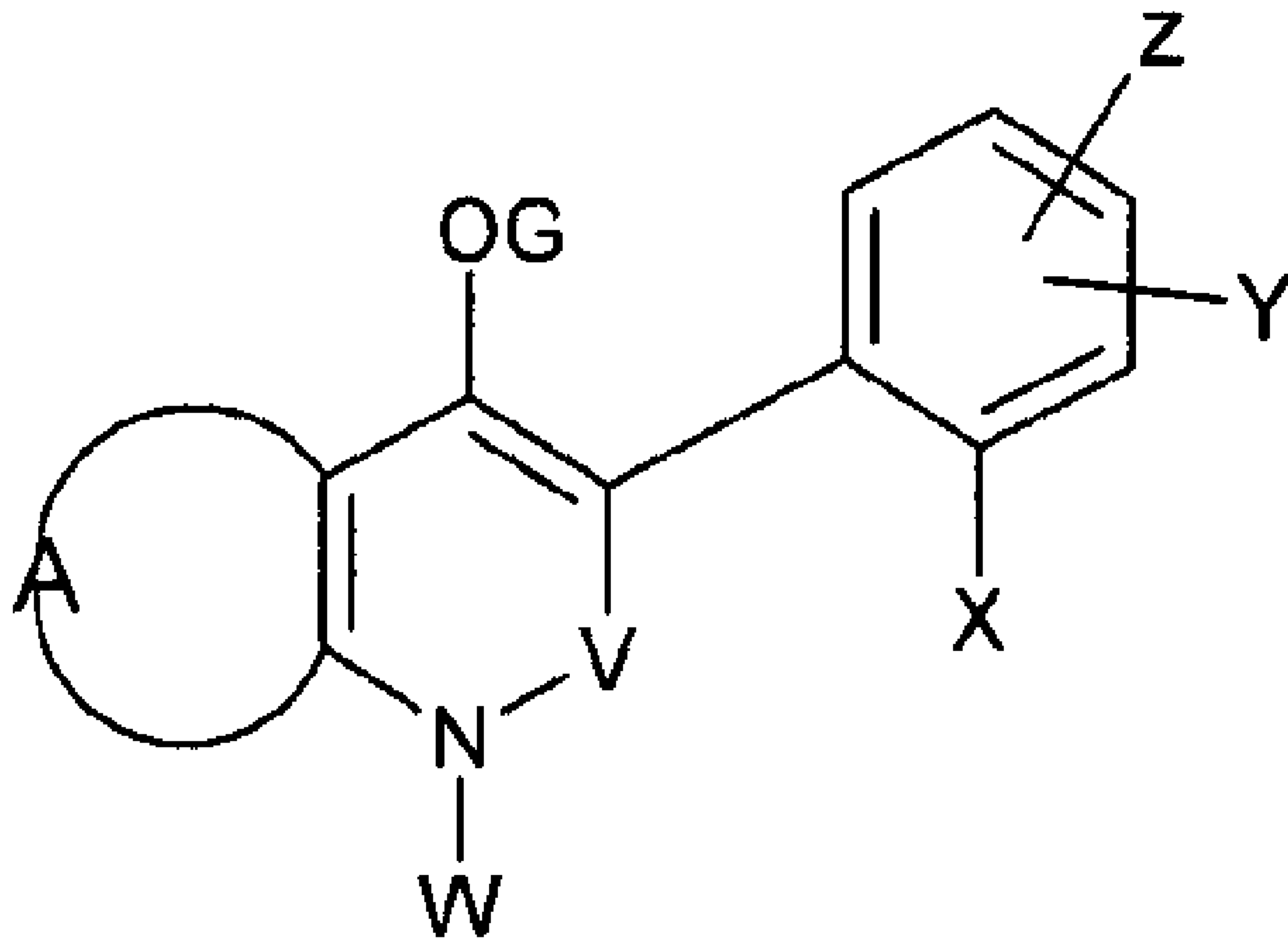
13. The use as claimed in claim 12, wherein the compounds of the formula (I) are used for control of unwanted plants in crops of useful plants.

10 14. The use as claimed in claim 13, wherein the useful plants are transgenic useful plants.

15. A compound of the formula (II)



15 in which R⁹ is (C₁-C₃)alkyl and the remaining substituents are as defined in any one of claims 1 to 6.



(I)