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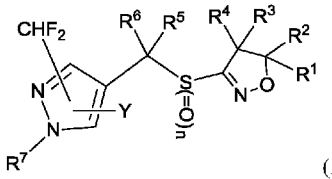
(54) Title: ISOXAZOLINE DERIVATIVES AND THEIR USES IN AGRICULTURE

(57) Abstract: A compound of formula (I) is provided, it can be used in agriculture, particularly used as herbicide for controlling unwanted plants.



(I)

WO 2020/168997 A1

ISOXAZOLINE DERIVATIVES AND THEIR USES IN AGRICULTURE

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] This application claims priority to Chinese Patent Application Serial No. 201910122994.7, filed with the State Intellectual Property Office of China on February 18, 2019, which is hereby incorporated by reference in its entirety and for all purposes as if specifically and fully set forth herein.

FIELD

[0002] The invention provides a novel isoxazoline derivative and preparation method thereof; composition containing the compound and uses thereof in agriculture.

BACKGROUND

[0003] Isoxazoline compounds are a class of compounds with excellent biological activities, and their herbicidal activities have been described in literatures such as WO 2002062770, WO 2003000686 and WO 2003010165.

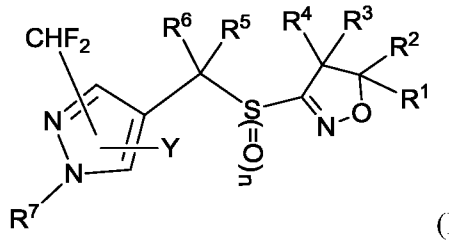
[0004] However, the isoxazoline compounds used as active ingredients known from the above cited documents have disadvantages in use, for example, (a) no or only insufficient herbicidal effect on ruderal plants, (b) too narrow spectrum of ruderal plant to be controlled, or (c) too low selectivity for useful crops.

[0005] Therefore, there is a need to provide a chemical active ingredient that can be advantageously used as an herbicide or plant growth regulator.

SUMMARY OF THE INVENTION

[0006] The present invention provides a novel isoxazoline compound having excellent herbicidal activity and excellent selectivity between crops and weeds.

[0007] In one aspect, provided herein is a compound having Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof:



(I);

wherein,

Y is alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy or haloalkynyloxy;

each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, alkenyl or alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

n is 0, 1 or 2;

R^7 is alkyl, haloalkyl, aryl, arylalkyl, aryl-C(=O)-, aryl-$S(=O)_m$-, heteroaryl, heteroarylalkyl, heteroaryl-C(=O)-, heteroaryl-$S(=O)_m$-, cycloalkyl, cycloalkylalkyl, cycloalkyl-C(=O)-, cycloalkyl-$S(=O)_m$-, heterocyclyl, heterocyclylalkyl, heterocyclyl-C(=O)- or heterocyclyl-$S(=O)_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each m is independently 0, 1 or 2;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-8} alkyl, haloC_{1-8} alkyl, C_{1-8} alkyl-C(=O)-, haloC_{1-8} alkyl-C(=O)-, C_{2-8} alkenyl, haloC_{2-8} alkenyl, C_{2-8} alkynyl, haloC_{2-8} alkynyl, C_{1-8} alkoxy, haloC_{1-8} alkoxy, C_{1-8} alkylamino, C_{1-8} alkylthio, haloC_{1-8} alkylamino, haloC_{1-8} alkylthio, C_{6-14} aryl, C_{6-14} aryloxy, C_{1-9} heteroaryl or C_{1-9} heteroaryloxy;

with the proviso that:

when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^1 is methyl, R^2 is not chloromethyl; or,

when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^2 is methyl, R^1 is not chloromethyl.

[0008] In some embodiments, Y is C_{1-6} alkoxy, C_{1-6} alkoxy-C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, haloC_{1-6} alkoxy, haloC_{2-6} alkenyloxy or haloC_{2-6} alkynyloxy;

each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, C_{2-6} alkenyl or C_{2-6} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

R^7 is C_{1-6} alkyl, haloC_{1-6} alkyl, C_{6-14} aryl, C_{6-14} aryl-C_{1-6} alkyl, C_{6-14} aryl-C(=O)-, C_{6-14} aryl-$S(=O)_m$-, C_{1-9} heteroaryl, C_{1-9} heteroaryl-C_{1-6} alkyl, C_{1-9} heteroaryl-C(=O)-, C_{1-9} heteroaryl-$S(=O)_m$-, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl-C_{1-6} alkyl, C_{3-8} cycloalkyl-C(=O)-, C_{3-8} cycloalkyl-$S(=O)_m$-, C_{2-10} heterocyclyl, C_{2-10} heterocyclyl-C_{1-6} alkyl, C_{2-10} heterocyclyl-C(=O)- or C_{2-10} heterocyclyl-$S(=O)_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{1-6} alkyl-C(=O)-, haloC_{1-6} alkyl-C(=O)-, C_{2-6} alkenyl, haloC_{2-6} alkenyl, C_{2-6} alkynyl, haloC_{2-6} alkynyl, C_{1-6} alkoxy, haloC_{1-6} alkoxy, C_{1-6} alkylamino, C_{1-6} alkylthio, haloC_{1-6} alkylamino, haloC_{1-6} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

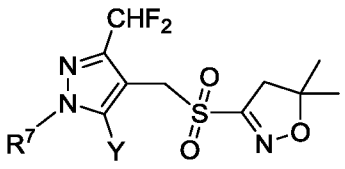
[0009] In other embodiments, each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 6-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino,

nitro, cyano, hydroxy, carboxy, C_{1-3} alkyl, haloC_{1-3} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 6-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, or C_{2-4} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 6-membered ring.

[0010] In some embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof,



(Ia);

wherein:

Y and R^7 are as defined herein.

In some embodiments, Y is C_{1-4} alkoxy, C_{1-4} alkoxy-C_{1-4} alkoxy, C_{2-4} alkenyloxy, C_{2-4} alkynyloxy, haloC_{1-4} alkoxy, haloC_{2-4} alkenyloxy or haloC_{2-4} alkynyloxy.

[0011] In other embodiments, Y is $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OCH_2OCH_3$, $-OCH_2CH_2OCH_3$, $-OCH_2CH_2CH_2OCH_3$, $-OCH_2OCH_2CH_3$, $-OCH_2CH_2OCH_2CH_3$, $-OCH_2F$, $-OCHF_2$, $-OCF_3$, $-OCH_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CH_3$, $-OCH_2CH_2CF_3$, $-O-CH=CH_2$, $-O-CH_2CH=CH_2$, $-O-C\equiv CH$, $-OC\equiv CCH_3$ or $-O-CH_2-C\equiv CH$.

[0012] In some embodiments, R^7 is C_{1-4} alkyl, haloC_{1-4} alkyl, C_{6-10} aryl, C_{6-10} aryl-C_{1-4} alkyl, C_{6-10} aryl-C(=O)-, C_{6-10} aryl-S(=O)$_m$-, C_{1-6} heteroaryl, C_{1-6} heteroaryl-C_{1-4} alkyl, C_{1-6} heteroaryl-C(=O)-, C_{1-6} heteroaryl-S(=O)$_m$-, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl, C_{3-6} cycloalkyl-C(=O)-, C_{3-6} cycloalkyl-S(=O)$_m$-, C_{2-6} heterocyclyl, C_{2-6} heterocyclyl-C_{1-4} alkyl, C_{2-6} heterocyclyl-C(=O)- or C_{2-6} heterocyclyl-S(=O)$_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{1-4} alkyl-C(=O)-, haloC_{1-4} alkyl-C(=O)-, C_{2-4} alkenyl, haloC_{2-4} alkenyl, C_{2-4} alkynyl, haloC_{2-4} alkynyl, C_{1-4} alkoxy, haloC_{1-4} alkoxy, C_{1-4} alkylamino, C_{1-4} alkylthio, haloC_{1-4} alkylamino, haloC_{1-4} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

In other embodiments, R^7 is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH(CH_3)_2$, $-CH_2F$, $-CHF_2$, $-CH_2Cl$, $-CH_2Br$, $-CF_3$, $-CH_2CF_3$, $-CH_2CH_2F$, $-CH_2CH_2Cl$, $-CH_2CH_2Br$, $-CH_2CHF_2$, $-CH_2CH_2CF_3$, $-CH_2CH_2CH_2F$, $-CH_2CH_2CH_2Cl$, $-CH_2CH_2CH_2Br$, $-CHFCH_2CH_3$, $-CHClCH_2CH_3$, cyclopropylmethyl, phenyl, 3-fluorophenyl, 2,4-difluorophenyl or phenylsulfonyl.

[0013] In another aspect, the invention provides a composition comprising a compound disclosed herein, and further comprising at least one additional component required for the formulation.

[0014] In some embodiments, the composition disclosed herein is a composition for weed control.

[0015] In another aspect, the invention provides the use of the compound or the composition comprising the compound described herein in agriculture.

[0016] Furthermore, the invention provides the use of the compound or the composition comprising the compound described herein for plant disease control.

[0017] In some embodiments, the invention provides the use of the compound or the composition comprising the compound described herein in agriculture for weed control.

[0018] In other embodiments, provided herein is the use of the compound or the composition comprising the compound described herein as an herbicide.

[0019] In other embodiments, provided herein is the use of the compound or the composition comprising the compound described herein as a pre-emergent herbicide.

[0020] In other embodiments, provided herein is the use of the compound or the composition comprising the compound described herein as a post-emergent herbicide.

[0021] In some embodiments, the invention provides the use of the compound or the composition comprising the compound described herein for controlling unwanted plants.

[0022] In another aspect, the invention provides a method for controlling unwanted plants comprising applying an effective amount of the compound or the composition comprising the compound described herein to a plant, a plant seed, soil in which or on which the plant grows, or a cultivation area.

[0023] In another aspect, the invention provides a method for controlling growth of weed in a growing field of useful plant comprising applying an effective amount of the compound or the composition comprising the compound described herein to a plant, a plant seed, soil in which or

on which the plant grows, or a cultivation area.

[0024] Furthermore, the weed comprises broadleaf weed and grass weed.

[0025] Still furthermore, the broadleaf weed is *abutilon theophrastis*, *amaranthus retroflexus* or *eclipta prostrata*; and the grass weed is *digitaria sanguinalis*, *echinochloa crusgalli* or *setaria viridis*.

[0026] Still furthermore, the useful plant is cotton, oilseed rape, soybean or peanut.

[0027] The compounds having Formula (I) or Formula (Ia) may exist in different stereoisomers or optical isomers or tautomers. The present invention encompasses all such isomers and tautomers, as well as mixtures thereof in various ratios.

[0028] Any asymmetric atom (e.g., carbon or the like) of the compound(s) disclosed herein can be present in racemic or enantiomerically enriched, for example the (*R*)-, (*S*)- or (*R*,*S*)-configuration.

[0029] The foregoing merely summarizes certain aspects disclosed herein and is not intended to be limiting in nature. These aspects and other aspects and embodiments are described more fully below.

DETAILED DESCRIPTION OF THE INVENTION

DEFINITIONS AND GENERAL TERMINOLOGY

[0030] Reference will now be made in detail to certain embodiments disclosed herein, examples of which are illustrated in the accompanying structures and formulas. The invention is intended to cover all alternatives, modifications, and equivalents that may be included within the scope disclosed herein as defined by the claims. One skilled in the art will recognize many methods and materials similar or equivalent to those described herein, which could be used in the practice disclosed herein. Described herein is in no way limited to the methods and materials. In the event that one or more of the incorporated literatures, patents, and similar materials differs from or contradicts this application, including but not limited to defined terms, term usage, described techniques, or the like, this application controls.

[0031] It is further appreciated that certain features of the invention, which are, for clarity, described in the context of separate embodiments, can also be provided in combination in a single embodiment. Conversely, various features of the invention which are, for brevity, described in the context of a single embodiment, can also be provided separately or in any

suitable subcombination.

[0032] Unless otherwise defined, all technical and scientific terms used herein have the same meaning as is commonly understood by one skilled in the art to which this invention belongs. All patents and publications referred to herein are incorporated by reference in their entirety.

[0033] As used herein, the following definitions shall be applied unless otherwise indicated. For purposes disclosed herein, the chemical elements are identified in accordance with the Periodic Table of the Elements, CAS version, and the Handbook of Chemistry and Physics, 75 thEd. 1994. Additionally, general principles of organic chemistry are described in "Organic Chemistry", Thomas Sorrell, University Science Books, Sausalito: 1999, and "March's Advanced Organic Chemistry", by Michael B. Smith and Jerry March, John Wiley & Sons, New York: 2007, all of which are incorporated herein by reference in their entireties.

[0034] The grammatical articles "a", "an" and "the", as used herein, are intended to include "at least one" or "one or more" unless otherwise indicated herein or clearly contradicted by the context. Thus, the articles are used herein to refer to one or more than one (i.e. at least one) of the grammatical objects of the article. By way of example, "a component" means one or more components, and thus, possibly, more than one component is contemplated and may be employed or used in an implementation of the described embodiments.

[0035] The term "comprise" is an open expression, it means comprising the contents disclosed herein, but don't exclude other contents.

[0036] "Stereoisomers" refers to compounds which have identical chemical constitution, but differ with regard to the arrangement of the atoms or groups in space. Stereoisomers include enantiomer, diastereomers, conformer (rotamer), geometric (cis/trans) isomer, atropisomer, etc.

[0037] "Enantiomers" refer to two stereoisomers of a compound which are non-superimposable mirror images of one another.

[0038] "Diastereomer" refers to a stereoisomer with two or more centers of chirality and whose molecules are not mirror images of one another. Diastereomers have different physical properties e.g. , melting point, boiling point, spectral properties and reactivity. Mixture of diastereomers may separate under high resolution analytical procedures such as electrophoresis and chromatography such as HPLC.

[0039] Stereochemical definitions and conventions used herein generally follow Parker *et al.*, *McGraw-Hill Dictionary of Chemical Terms* (1984) McGraw-Hill Book Company, New York

and Eliel *et al.*, *Stereochemistry of Organic Compounds*, John Wiley & Sons, Inc., New York, 1994. all of which are incorporated herein by reference.

[0040] Many organic compounds exist in optically active forms, i.e., they have the ability to rotate the plane of plane-polarized light. In describing an optically active compound, the prefixes *D* and *L*, or *R* and *S*, are used to denote the absolute configuration of the molecule about its chiral center(s). The prefixes d and l or (+) and (-) are employed to designate the sign of rotation of plane-polarized light by the compound, wherein the compound with the prefix (-) or l means levorotatory. The compound with the prefix (+) or d means dextrorotatory. A specific stereoisomer may be referred to as an enantiomer, and a mixture of such stereoisomers is called an enantiomeric mixture. A 50:50 mixture of enantiomers is referred to as a racemic mixture or a racemate, which may occur where there has been no stereoselection or stereospecificity in a chemical reaction or process.

[0041] As described herein, compounds disclosed herein may optionally be substituted with one or more substituents, such as are illustrated generally below, or as exemplified by particular classes, subclasses, and species of the invention. It will be appreciated that the phrase "optionally substituted" is used interchangeably with the phrase "substituted or unsubstituted". In general, the term "substituted" refers to the replacement of one or more hydrogen radicals in a given structure with the radical of a specified substituent. Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group. When more than one position in a given structure can be substituted with more than one substituent selected from a specified group, the substituent may be either the same or different at each position. Substituents described herein include, but are not limited to, deuterium, fluorine, chlorine, bromine, iodine, cyano, hydroxy, nitro, amino, carboxy, alkyl, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyalkylamino, aryloxy, heteroaryloxy, heterocyclyloxy, arylalkoxy, heteroarylalkoxy, heterocyclylalkoxy, cycloalkylalkoxy, alkylamino, alkylaminoalkyl, alkylaminoalkylamino, cycloalkylamino, cycloalkylalkylamino, alkylthio, haloalkyl, haloalkoxy, hydroxy-substituted alkyl, hydroxy-substituted alkylamino, cyano-substituted alkyl, cyano-substituted alkoxy, cyano-substituted alkylamino, amino-substituted alkyl, alkylcarbonyl, heteroalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, aryl, arylalkyl, arylamino, heteroaryl, heteroarylalkyl, heteroarylamino, amido, sulfonyl, aminosulfonyl and the like.

[0042] In addition, it should be specified that the descriptions of the description of "each...is independently", "each (of)...and...is independently" and "...is independently" in the invention can be used interchangeably herein. It should have a general understanding that it can be expressed both in different groups in which same symbols expressed specific options do not affect each other and the same groups in which same symbols expressed specific options do not affect each other.

[0043] At various places in the present specification, substituents of compounds disclosed herein are disclosed in groups or in ranges. It is specifically intended that the invention include each and every individual subcombination of the members of such groups and ranges. For example, the term "C_1-C_6 alkyl" or "C_{1-6} alkyl" is specifically intended to individually disclose methyl, ethyl, C_3 alkyl, C_4 alkyl, C_5 alkyl, and C_6 alkyl.

[0044] The term "alkyl" or "alkyl group" refers to a saturated linear or branched-chain monovalent hydrocarbon radical of 1 to 20 carbon atoms, wherein the alkyl radical may be optionally substituted with one or more substituents described herein. Unless otherwise specified, the alkyl group contains 1-20 carbon atoms. In some embodiments, the alkyl group contains 1-12 carbon atoms. In other embodiments, the alkyl group contains 1-10 carbon atoms. In some embodiments, the alkyl group contains 1-8 carbon atoms. In other embodiments, the alkyl group contains 1-6 carbon atoms. In other embodiments, the alkyl group contains 1-4 carbon atoms. In still other embodiments, the alkyl group contains 1-3 carbon atoms.

[0045] Some non-limiting examples of the alkyl group include, methyl (Me, $-CH_3$), ethyl (Et, $-CH_2CH_3$), *n*-propyl (*n*-Pr, $-CH_2CH_2CH_3$), isopropyl (*i*-Pr, $-CH(CH_3)_2$), *n*-butyl (*n*-Bu, $-CH_2CH_2CH_2CH_3$), isobutyl (*i*-Bu, $-CH_2CH(CH_3)_2$), sec-butyl (*s*-Bu, $-CH(CH_3)CH_2CH_3$), *tert*-butyl (*t*-Bu, $-C(CH_3)_3$), *n*-pentyl ($-CH_2CH_2CH_2CH_2CH_3$), 2-pentyl ($-CH(CH_3)CH_2CH_2CH_3$), 3-pentyl ($-CH(CH_2CH_3)_2$), 2-methyl-2-butyl ($-C(CH_3)_2CH_2CH_3$), 3-methyl-2-butyl ($-CH(CH_3)CH(CH_3)_2$), 3-methyl-l-butyl ($-CH_2CH_2CH(CH_3)_2$), 2-methyl-l-butyl ($-CH_2CH(CH_3)CH_2CH_3$), *n*-hexyl ($-CH_2CH_2CH_2CH_2CH_2CH_3$), 2-hexyl ($-CH(CH_3)CH_2CH_2CH_2CH_3$), 3-hexyl ($-CH(CH_2CH_3)(CH_2CH_2CH_3)$), 2-methyl-2-pentyl ($-C(CH_3)_2CH_2CH_2CH_3$), 3-methyl-2-pentyl ($-CH(CH_3)CH(CH_3)CH_2CH_3$), 4-methyl-2-pentyl ($-CH(CH_3)CH_2CH(CH_3)_2$), 3-methyl-3-pentyl ($-C(CH_3)(CH_2CH_3)_2$), 2-methyl-3-pentyl ($-CH(CH_2CH_3)CH(CH_3)_2$), 2,3-dimethyl-2-butyl ($-C(CH_3)_2CH(CH_3)_2$), 3,3-dimethyl-2-butyl ($-CH(CH_3)C(CH_3)_3$, *n*-heptyl and *n*-octyl, *etc.*

[0046] The term "alkenyl" refers to a linear or branched-chain monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one site of unsaturation, i.e., a carbon-carbon, sp^2 double bond, wherein the alkenyl radical may be optionally substituted with one or more substituents described herein, and includes radicals having "cis" and "trans" orientations, or alternatively, "E" and "Z" orientations. In some embodiments, the alkenyl group contains 2-10 carbon atoms. In other embodiments, the alkenyl group contains 2-8 carbon atoms. In some embodiments, the alkenyl group contains 2-6 carbon atoms. In other embodiments, the alkenyl group contains 2-4 carbon atoms. Examples of alkenyl includes, but are not limited to, vinyl (-CH=CH_2), allyl (-CH_2CH=CH_2), propenyl (CH_3-CH=CH-), -CH_2CH_2CH=CH_2, -CH_2CH=$CHCH_3$, -$CH_2CH_2CH_2$CH=CH_2, -CH_2CH_2CH=$CHCH_3$, -$CH_2CH_2CH_2$CH=$CHCH_3$, etc.

[0047] The term "alkynyl" refers to a linear or branched monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one site of unsaturation, i.e., a carbon-carbon, sp triple bond, wherein the alkynyl radical may be optionally substituted with one or more substituents described herein. In some embodiments, the alkynyl group contains 2-10 carbon atoms; in some embodiments, the alkynyl group contains 2-8 carbon atoms; in other embodiments, the alkynyl group contains 2-6 carbon atoms; and in still other embodiments, the alkynyl group contains 2-4 carbon atoms. Examples of such alkynyl groups include, but are not limited to, -C≡CH, -C ≡ CCH_3, -CH_2-C ≡ CH, -CH_2-C ≡ CCH_3, -CH_2CH_2-C ≡ CH, -CH_2-C ≡ CCH_2CH_3, -CH_2CH_2-C≡CCH_2CH_3, and the like.

[0048] The term "alkenyloxy" refers to an alkenyl group attached to the parent molecular moiety via an oxygen atom, wherein the alkenyl group is as defined herein.

[0049] The term "alkynyloxy" refers to an alkynyl group attached to the parent molecular moiety via an oxygen atom, wherein the alkynyl group is as defined herein. Examples of such groups include, but are not limited to, -O-C≡CH, -O-C≡CCH_3, -O-CH_2-C≡CH, and the like.

[0050] The term "alkoxy" refers to an alkyl group attached to the parent molecular moiety via an oxygen atom, wherein the alkyl group is as defined herein. Unless otherwise specified, the alkoxy group contains 1-12 carbon atoms. In some embodiments, the alkoxy group contains 1-10 carbon atoms. In other embodiments, the alkoxy group contains 1-8 carbon atoms. In some embodiments, the alkoxy group contains 1-6 carbon atoms. In other embodiments, the alkoxy group contains 1-4 carbon atoms. In still other embodiments, the alkoxy group contains 1-3 carbon atoms. The alkoxy group may be optionally substituted with one or more substituents

disclosed herein.

[0051] Some non-limiting examples of the alkoxy group include methoxy (MeO, -OCH_3), ethoxy (EtO, -OCH_2CH_3), 1-propoxy (*n*-PrO, *n*-propoxy, -$OCH_2CH_2CH_3$), 2-propoxy (*i*-PrO, *i*-propoxy, -$OCH(CH_3)_2$), 1-butoxy (*n*-BuO, *n*-butoxy, -$OCH_2CH_2CH_2CH_3$), 2-methyl-l-propoxy (*i*-BuO, *i*-butoxy, -$OCH_2CH(CH_3)_2$), 2-butoxy (*s*-BuO, *s*-butoxy, -$OCH(CH_3)CH_2CH_3$), 2-methyl-2-propoxy (*t*-BuO, t-butoxy, -$OC(CH_3)_3$), 1-pentoxy (*n*-pentoxy, -$OCH_2CH_2CH_2CH_2CH_3$), 2-pentoxy (-$OCH(CH_3)CH_2CH_2CH_3$), 3-pentoxy (-$OCH(CH_2CH_3)_2$), 2-methyl-2-butoxy (-$OC(CH_3)_2CH_2CH_3$), 3-methyl-2-butoxy (-$OCH(CH_3)CH(CH_3)_2$), 3-methyl-l-butoxy (-$OCH_2CH_2CH(CH_3)_2$), 2-methyl-l-butoxy (-$OCH_2CH(CH_3)CH_2CH_3$), and the like.

[0052] The term "alkylamino" refers to "*N*-alkylamino" and "*N,N*-dialkylamino" wherein amino groups are independently substituted with one alkyl radical or two alkyl radicals, respectively. In some embodiments, the alkylamino group is a lower alkylamino group that contains one or two C_{1-6} alkyl attached to the nitrogen atom. In some embodiments, the alkylamino group is a lower alkylamino group that contains one C_{1-3} alkyl group. Some non-limiting examples of the alkylamino group include monoalkylamino or dialkylamino such as *N*-methylamino, *N*-ethylamino, *N,N*-dimethylamino, *N,N*-diethylamino, and the like.

[0053] The term "alkylthio" refers to a linear or branched-alkyl radical attached to the rest of the molecular via a divalent sulfur atom, and wherein the alkyl group is as defined herein. Some non-limiting examples of "alkylthio" include -SCH_3, -SCH_2CH_3, -$SCH_2CH_2CH_3$, and the like.

[0054] The term "halogen" or "halo" refers to fluorine (F), chlorine (Cl), bromine (Br), or iodine (I).

[0055] The terms "haloalkyl" refers to alkyl substituted with one or more halogen atoms. Examples of haloalkyl group include, but are not limited to, -CH_2F, -CHF_2, -CH_2Cl, -CH_2Br, -CF_3, -CH_2CF_3, -CH_2CH_2F, -CH_2CH_2Cl, -CH_2CH_2Br, -CH_2CHF_2, -$CH_2CH_2CF_3$, -$CH_2CH_2CH_2F$, -$CH_2CH_2CH_2Cl$, -$CH_2CH_2CH_2Br$, -$CHFCH_2CH_3$, -$CHClCH_2CH_3$, and the like.

[0056] The terms "haloalkoxy" refers to an alkoxy, as the case may be, substituted with one or more halogen atoms. Examples of haloalkoxy group include, but are not limited to, -OCH_2F, -$OCHF_2$, -OCH_2Cl, -OCH_2Br, -OCF_3, -OCH_2CF_3, -OCH_2CH_2F, -OCH_2CH_2Cl, -OCH_2CH_2Br, -OCH_2CHF_2, -$OCH_2CH_2CF_3$, -$OCH_2CH_2CH_2F$, -$OCH_2CH_2CH_2Cl$, -$OCH_2CH_2CH_2Br$, -$OCHFCH_2CH_3$, -$OCHClCH_2CH_3$, and the like.

[0057] The terms "haloalkylamino" refers to an alkylamino, as the case may be, substituted with one or more halogen atoms.

[0058] The terms "haloalkylthio" refers to an alkylthio, as the case may be, substituted with one or more halogen atoms.

[0059] The terms "haloalkenyl" refers to an alkenyl, as the case may be, substituted with one or more halogen atoms.

[0060] The terms "haloalkynyl" refers to an alkynyl, as the case may be, substituted with one or more halogen atoms.

[0061] The terms "haloalkenyloxy" refers to an alkenyloxy, as the case may be, substituted with one or more halogen atoms.

[0062] The terms "haloalkynyloxy" refers to an alkynyloxy, as the case may be, substituted with one or more halogen atoms.

[0063] The term "x-membered" where x is an integer typically describes the number of ring-forming atoms in a moiety and the number of ring-forming atoms is x. For example, piperidyl is an example of a 6 membered heterocyclyl group.

[0064] The term "3- to 12-membered ring" refers to the carbocyclic ring, heterocyclic ring or aromatic ring system having 3 to 12 ring members, i.e., the saturated, partial unsaturated or completely unsaturated ring system optionally containing one or more heteroatoms.

[0065] The term "3- to 10-membered ring" refers to the carbocyclic ring, heterocyclic ring or aromatic ring system having 3 to 10 ring members, i.e., the saturated, partial unsaturated or completely unsaturated ring system optionally containing one or more heteroatoms.

[0066] The term "3- to 8-membered ring" refers to the carbocyclic ring, heterocyclic ring or aromatic ring system having 3 to 8 ring members, i.e., the saturated, partial unsaturated or completely unsaturated ring system optionally containing one or more heteroatoms.

[0067] The term "3- to 6-membered ring" refers to the carbocyclic ring, heterocyclic ring or aromatic ring system having 3 to 6 ring members, i.e., the saturated, partial unsaturated or completely unsaturated ring system optionally containing one or more heteroatoms.

[0068] The term "carbocyclyl", "carbocycle" or "carbocyclic ring" refers to a monovalent or multivalent, nonaromatic, saturated or partially unsaturated ring having 3 to 12 carbon atoms as a monocyclic, bicyclic or tricyclic ring system. A carbobicyclyl group includes a spiro carbobicyclyl group or a fused carbobicyclyl group. Suitable carbocyclyl groups include, but are

not limited to, cycloalkyl, cycloalkenyl and cycloalkynyl. Further examples of carbocyclyl groups include cyclopropyl, cyclobutyl, cyclopentyl, 1-cyclopent-l-enyl, l-cyclopent-2-enyl, l-cyclopent-3-enyl, cyclohexyl, 1-cyclohex-l-enyl, l-cyclohex-2-enyl, l-cyclohex-3-enyl, cyclohexadienyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, and the like.

[0069] The term "cycloalkyl" refers to a monovalent or multivalent saturated monocyclic, bicyclic or tricyclic system containing 3-12 carbon atoms. In some embodiments, the cycloalkyl group contains 3-12 carbon atoms; in some embodiments, the cycloalkyl group contains 3-10 carbon atoms; in other embodiments, the cycloalkyl group contains 3-8 carbon atoms; and in still other embodiments, the cycloalkyl group contains 3-6 carbon atoms. The cycloalkyl group is optionally substituted with one or more substituents disclosed herein. Such examples include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl, cyclododecyl, adamantyl, and the like.

[0070] The term "cycloalkylalkyl" refers to an alkyl group substituted with cycloalkyl group, wherein the alkyl and cycloalkyl groups are as defined herein.

[0071] The term "cycloalkenyl" refers to a 3- to 12-membered monovalent or multivalent monocyclic, bicyclic, or tricyclic ring system containing at least one carbon-carbon double bond, wherein the ring system is non-aromatic. In one embodiment, the cycloalkenyl contains 3 to 10 carbon atoms. In other embodiment, the cycloalkenyl contains 3 to 8 carbon atoms. In still other embodiment, the cycloalkenyl contains 3 to 6 carbon atoms. The cycloalkenyl group is optionally substituted with one or more substituents disclosed herein. Some non-limiting examples include cyclobutenyl, cyclopentenyl, cyclohexenyl, cyclohexadienyl, and the like.

[0072] The term "unsaturated" refers to a moiety having one or more units of unsaturation.

[0073] The term "heteroatom" refers to oxygen (O), sulfur (S), nitrogen (N), phosphorus (P) and silicon (Si), including any oxidized form of nitrogen (N), sulfur (S), or phosphorus (P); primary amine, secondary amine, tertiary amine and quaternary ammonium forms; or a substitutable nitrogen of a heterocyclic ring, for example, N (as in 3,4-dihydro-2*H*-pyrrolyl), NH (as in pyrrolidyl) or NR (as in *N*-substituted pyrrolidyl).

[0074] The term "heterocycle", "heterocyclyl", or "heterocyclic ring" as used interchangeably herein refers to a saturated or partially unsaturated monocyclic, bicyclic or tricyclic ring containing 3-15 ring atoms of which at least one ring atom is selected from nitrogen, sulfur and

oxygen, and no aromatic ring exists in the monocyclic, bicyclic or tricyclic ring. Unless otherwise stated, the heterocyclyl group may be a carbon radical or a nitrogen radical, of which a $-CH_2-$ group can optionally be replaced by a -C(=O)- group. In which, the sulfur can be optionally oxygenized to *S*-oxide. The nitrogen atom can be optionally oxygenized to *N*-oxide. Some non-limiting examples of the heterocyclyl group include oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidyl (*i.e.*, 2-pyrrolidyl), 2-pyrrolinyl, 3-pyrrolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, tetrahydrofuranyl, dihydrofuranyl, tetrahydrothienyl, dihydrothienyl, 1,3-dioxolanyl, dithiolanyl, tetrahydropyranyl, dihydropyranyl, 2*H*-pyranyl, 4*H*-pyranyl, tetrahydrothiopyranyl, piperidyl (2-piperidyl, 3-piperidyl, 4-piperidyl), morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl, 1,1-dioxothiomorpholinyl, piperazinyl, dioxanyl, dithianyl, thioxanyl, homopiperazinyl, homopiperidinyl, oxepanyl, thiepanyl, 2-oxa-5-azabicyclo[2.2.1]hept-5-yl, tetrahydropyridinyl. Some non-limiting examples of heterocyclyl wherein $-CH_2-$ group is replaced by -C(=O)- moiety include 2-oxopyrrolidinyl, oxo-1,3-thiazolidinyl, 2-piperidinonyl, 3,5-dioxopiperidinyl, and the like. Some non-limiting examples of heterocyclyl with oxidized ring sulfur atom include sulfolanyl and 1,1-dioxo-thiomorpholinyl. The hetercyclyl group is optionally substituted with one or more substituents disclosed herein.

[0075] The term "heterocyclylalkyl" refers to an alkyl substituted with heterocyclyl, wherein the heterocyclyl and alkyl are as defined herein.

[0076] The term "heterocyclyloxy" refers to an optionally subsituted heterocyclyl group attached to the parent molecular moiety via an oxygen atom, wherein the heterocyclyl group is as defined herein.

[0077] The term "aryl" refers to monocyclic, bicyclic and tricyclic carbocyclic ring systems having a total of 6 to 14 ring members, or 6 to12 ring members, or 6 to 10 ring members, wherein at least one ring in the system is aromatic, wherein each ring in the system contains 3 to 7 ring members and that has a single point or multipoint of attachment to the rest of the molecule. The term "aryl" may be used interchangeably with the term "aromatic ring". Examples of aryl ring may include phenyl, indenyl, naphthyl and anthryl. The aryl group is optionally substituted with one or more substituents disclosed herein.

[0078] The term "arylalkyl" refers to an alkyl group substituted with one or more aryl groups, and the arylalkyl group attaches to the rest of the molecular via the alkyl group, wherein the

alkyl and aryl groups are as defined herein.

[0079] The term "aryloxy" refers to an optionally substituted aryl group attached to the rest of the molecule via an oxygen atom, wherein the aryl group is as defined herein.

[0080] The term "heteroaryl" refers to a monocyclic, bicyclic, or tricyclic ring system having a total of 5 to 12 ring members, preferably, 5 to 10 ring members, and more preferably 5 to 6 ring members, wherein at least one ring in the system is aromatic and at least one ring in the system contains one or more heteroatoms, and wherein each ring in the system contains 5 to 7 ring members and the heteroaryl group has one or more points of attachment to the rest of the molecule. The term "heteroaryl" may be used interchangeably with the term "heteroaryl ring", "aromatic heterocyclic", "heteroaromatic compound" or the term "heteroaromatic". The heteroaryl group is optionally substituted with one or more substituents disclosed herein.

[0081] In some embodiment, the heteroaryl group is a 5- to 10-membered heteroaryl comprising 1, 2, 3 or 4 heteroatoms independently selected from O, S and N.

[0082] In other embodiments, the ring atom of the heteroaryl group comprises 1-9 carbon atoms and 1-4 heteroatoms selected from N, O or S; in another embodiment, the ring atom of the heteroaryl group comprises 1-5 carbon atoms and 1-4 heteroatoms selected from N, O or S.

[0083] In other embodiments, the heteroaryl group refers to a 5-membered or 6-membered heteroaryl containg 1-4 N atoms; in other embodiments, the heteroaryl group refers to a 5-membered heteroaryl containg 1-3 heteroatoms selected from N, O or S; in other embodiments, the heteroaryl group refers to a 5-membered heteroaryl containg 1-3 heteroatoms selected from N or O; in other embodiments, the heteroaryl group refers to a 5-membered heteroaryl containg 1-3 heteroatoms selected from N or S.

[0084] Some non-limiting examples of heteroaryl group include 2-furyl, 3-furyl, *N*-imidazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, *N*-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, pyridazinyl (e.g., 3-pyridazinyl), 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, tetrazolyl (e.g., 5-tetrazolyl), triazolyl (e.g., 2-triazolyl and 5-triazolyl), 2-thienyl, 3-thienyl, pyrazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,3-triazolyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyrazinyl, 1,3,5-triazinyl, pyrimidonyl, pyridonyl, and the following non-limiting bicycles: benzimidazolyl, benzofuryl, tetrahydrobenzofuryl, benzothienyl, indolyl (e.g., 2-indolyl), and the

like.

[0085] The term "heteroarylalkyl" refers to an alkyl group substituted with one or more heteroaryl groups, and the heteroarylalkyl group attaches to the rest of the molecular via the alkyl group, wherein the alkyl and heteroaryl group are as defined herein.

[0086] The term "heteroaryloxy" refers to an optionally substituted heteroaryl group attached to the rest of the molecule via an oxygen atom, wherein the heteroaryl group is as defined herein.

[0087] The term "alkoxyalkoxy" refers to an alkoxy group substituted with one or more alkoxy groups, wherein the alkoxy group is as defined herein.

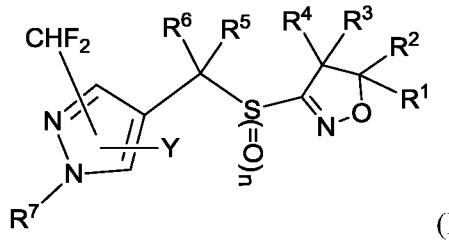
[0088] When the compounds of the invention comprise an acid moiety, salts of the compounds of the invention include those derived from alkali or alkaline earth metals and those derived from ammonia or amines. Preferred cations include sodium, potassium, magnesium, and ammonium cations having the formula $N^+(R^{19}R^{20}R^{21}R^{22})$, wherein each R^{19}, R^{20}, R^{21} and R^{22} is independently selected from hydrogen, C_1-C_6 alkyl and C_1-C_6 hydroxyalkyl. The salt of the compound of Formula (I) or Formula (Ia) can be obtained by using a metal hydroxide such as sodium hydroxide or an amine such as ammonia, trimethylamine, diethanolamine, 2-methylthiopropylamine, bisallylamine, 2-butoxyethylamine, morpholine, cyclododecylamine or benzylamine) to treat a compound of Formula (I) or Formula (Ia).

[0089] When the compound of the present invention contains a base moiety, an acceptable salt may be formed from organic acid and inorganic acid, such as acetic acid, propionic acid, lactic acid, citric acid, tartaric acid, succinic acid, fumaric acid, maleic acid, malonic acid, mandelic acid, malic acid, phthalic acid, hydrochloric acid, hydrobromic acid, phosphoric acid, nitric acid, sulfuric acid, methanesulfonic acid, naphthalenesulfonic acid, benzenesulfonic acid, toluenesulfonic acid, camphorsulfonic acid, and the known acceptable acid.

DETAILED DESCRIPTION OF THE COMPOUNDS OF THE INVENTION

[0090] The present invention is aimed to provide a novel isoxazoline compound, an herbicidal composition and formulation containing the compound, and uses thereof.

[0091] In one aspect, provided herein is a compound having Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof,



(I);

wherein,

Y is alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy or haloalkynyloxy;

each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, alkenyl or alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

n is 0, 1 or 2;

R^7 is alkyl, haloalkyl, aryl, arylalkyl, aryl-C(=O)-, aryl-$S(=O)_m$-, heteroaryl, heteroarylalkyl, heteroaryl-C(=O)-, heteroaryl-$S(=O)_m$-, cycloalkyl, cycloalkylalkyl, cycloalkyl-C(=O)-, cycloalkyl-$S(=O)_m$-, heterocyclyl, heterocyclylalkyl, heterocyclyl-C(=O)- or heterocyclyl-$S(=O)_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each m is independently 0, 1 or 2;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-8} alkyl, haloC_{1-8} alkyl, C_{1-8} alkyl-C(=O)-, haloC_{1-8} alkyl-C(=O)-, C_{2-8} alkenyl, haloC_{2-8} alkenyl, C_{2-8} alkynyl, haloC_{2-8} alkynyl, C_{1-8} alkoxy, haloC_{1-8} alkoxy, C_{1-8} alkylamino, C_{1-8} alkylthio, haloC_{1-8} alkylamino, haloC_{1-8} alkylthio, C_{6-14} aryl, C_{6-14} aryloxy, C_{1-9} heteroaryl or C_{1-9} heteroaryloxy;

with the proviso that:

when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^1 is methyl, R^2 is not chloromethyl; or,

when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^2 is methyl, R^1 is not chloromethyl.

[0092] The inventor of the present application found by activity test that the compound having Formula (I) has superior effect for weed control when Y, R^1, R^2, R^3, R^4, R^5, R^6, and R^7 are confirmed, and n is 2 in Formula (I).

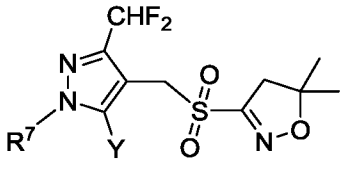
[0093] In some embodiments, Y is C_{1-6} alkoxy, C_{1-6} alkoxy-C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, haloC_{1-6} alkoxy, haloC_{2-6} alkenyloxy or haloC_{2-6} alkynyloxy.

[0094] In some embodiments, each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 8-membered ring.

[0095] In some embodiments, each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 8-membered ring.

[0096] In some embodiments, each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 8-membered ring.

[0097] In some embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof,



(Ia);

wherein:

Y and R^7 are as defined herein.

In some embodiments, R^7 is C_{1-6} alkyl, haloC_{1-6} alkyl, C_{6-14} aryl, C_{6-14} aryl-C_{1-6} alkyl, C_{6-14} aryl-C(=O)-, C_{6-14} aryl-S(=O)$_m$-, C_{1-9} heteroaryl, C_{1-9} heteroaryl-C_{1-6} alkyl, C_{1-9} heteroaryl-C(=O)-, C_{1-9} heteroaryl-S(=O)$_m$-, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl-C_{1-6} alkyl, C_{3-8} cycloalkyl-C(=O)-, C_{3-8} cycloalkyl-S(=O)$_m$-, C_{2-10} heterocyclyl, C_{2-10} heterocyclyl-C_{1-6} alkyl,

C_{2-10} heterocyclyl-C(=O)- or C_{2-10} heterocyclyl-S(=O)$_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a; each R^a is as defined herein.

[0098] In some embodiments, each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{1-6} alkyl-C(=O)-, haloC_{1-6} alkyl-C(=O)-, C_{2-6} alkenyl, haloC_{2-6} alkenyl, C_{2-6} alkynyl, haloC_{2-6} alkynyl, C_{1-6} alkoxy, haloC_{1-6} alkoxy, C_{1-6} alkylamino, C_{1-6} alkylthio, haloC_{1-6} alkylamino, haloC_{1-6} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

[0099] In other embodiments, each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 6-membered ring.

[00100] In other embodiments, each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-3} alkyl, haloC_{1-3} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 6-membered ring.

[00101] In other embodiments, each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, or C_{2-4} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 6-membered ring.

[00102] In other embodiments, Y is C_{1-4} alkoxy, C_{1-4} alkoxy-C_{1-4} alkoxy, C_{2-4} alkenyloxy, C_{2-4} alkynyloxy, haloC_{1-4} alkoxy, haloC_{2-4} alkenyloxy or haloC_{2-4} alkynyloxy.

[00103] In other embodiments, Y is $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OCH_2OCH_3$, $-OCH_2CH_2OCH_3$, $-OCH_2CH_2CH_2OCH_3$, $-OCH_2OCH_2CH_3$, $-OCH_2CH_2OCH_2CH_3$, $-OCH_2F$, $-OCHF_2$, $-OCF_3$, $-OCH_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CH_3$, $-OCH_2CH_2CF_3$, $-O-CH=CH_2$, $-O-CH_2CH=CH_2$, $-O-C\equiv CH$, $-OC\equiv CCH_3$ or $-O-CH_2-C\equiv CH$.

[00104] In other embodiments, R^7 is C_{1-4} alkyl, haloC_{1-4} alkyl, C_{6-10} aryl, C_{6-10} aryl-C_{1-4} alkyl, C_{6-10} aryl-C(=O)-, C_{6-10} aryl-S(=O)$_m$-, C_{1-6} heteroaryl, C_{1-6} heteroaryl-C_{1-4} alkyl, C_{1-6} heteroaryl-C(=O)-, C_{1-6} heteroaryl-S(=O)$_m$-, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl, C_{3-6} cycloalkyl-C(=O)-, C_{3-6} cycloalkyl-S(=O)$_m$-, C_{2-6} heterocyclyl, C_{2-6} heterocyclyl-C_{1-4} alkyl, C_{2-6} heterocyclyl-C(=O)- or C_{2-6} heterocyclyl-S(=O)$_m$-; and wherein R^7 is optionally substituted with1, 2, 3, 4, 5 or 6 substituents selected from R^a; each R^a is as defined herein.

[00105] In other embodiments, each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{1-4} alkyl-C(=O)-, haloC_{1-4} alkyl-C(=O)-, C_{2-4} alkenyl, haloC_{2-4} alkenyl, C_{2-4} alkynyl, haloC_{2-4} alkynyl, C_{1-4} alkoxy, haloC_{1-4} alkoxy, C_{1-4} alkylamino, C_{1-4} alkylthio, haloC_{1-4} alkylamino, haloC_{1-4} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

[00106] In other embodiments, R^7 is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2F$, $-CHF_2$, $-CH_2Cl$, $-CH_2Br$, $-CF_3$, $-CH_2CF_3$, $-CH_2CH_2F$, $-CH_2CH_2Cl$, $-CH_2CH_2Br$, $-CH_2CHF_2$, $-CH_2CH_2CF_3$, $-CH_2CH_2CH_2F$, $-CH_2CH_2CH_2Cl$, $-CH_2CH_2CH_2Br$, $-CHFCH_2CH_3$, $-CHClCH_2CH_3$, phenyl, 3-fluorophenyl, 2,4-difluorophenyl or phenylsulfonyl.

[00107] In some embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof,

Y is haloC_{1-4} alkoxy;

R^7 is C_{1-4} alkyl or halo C_{1-4} alkyl.

[00108] In other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein

Y is haloC_{1-2} alkoxy;

R^7 is C_{1-2} alkyl or halo C_{1-2} alkyl.

[00109] In other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein

Y is $-OCH_2F$, $-OCHF_2$, $-OCF_3$, $-OCH_2CHF_2$ or $-OCH_2CF_3$;

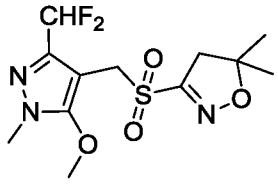
R^7 is $-CH_3$, $-CH_2CH_3$, $-CF_3$, $-CH_2CH_2F$, $-CH_2CHF_2$ or $-CH_2CF_3$.

[00110] In still other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein:

Y is $-OCHF_2$, $-OCH_2CHF_2$ or $-OCH_2CF_3$;

R^7 is $-CH_3$, $-CH_2CH_3$ or $-CH_2CF_3$.

[00111] In still other embodiments, provided herein is a compound having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof,



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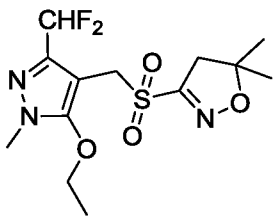

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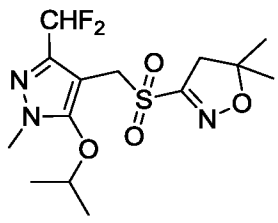

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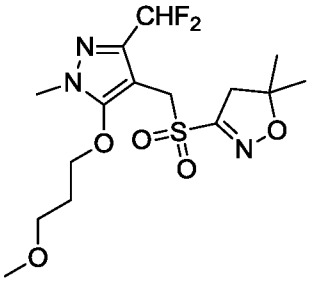
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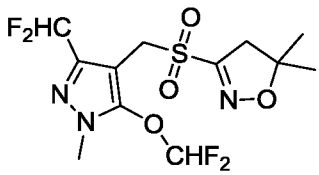
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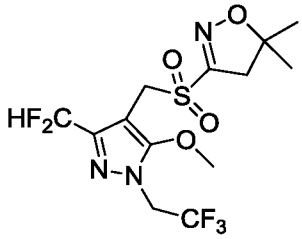
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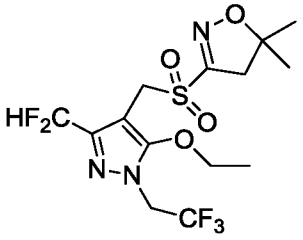
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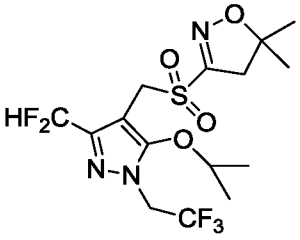
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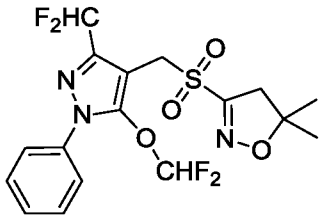
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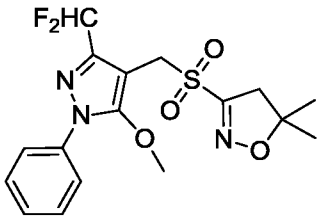
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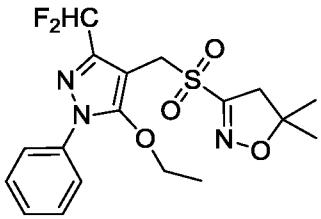
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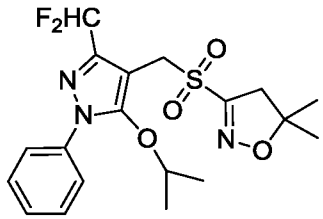
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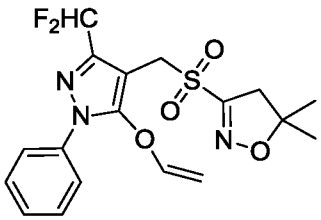
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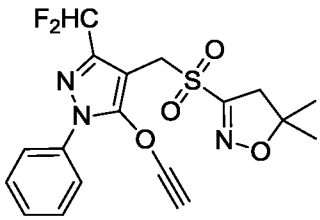
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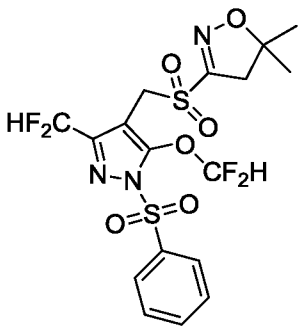
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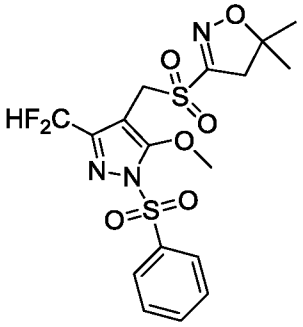
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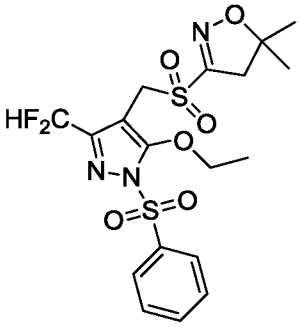
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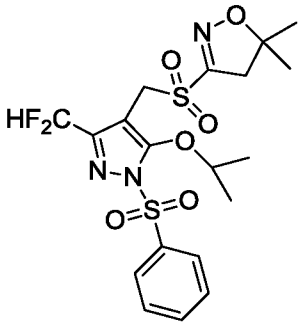
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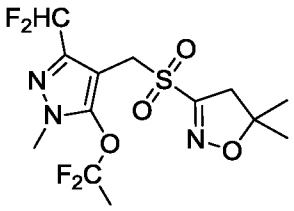
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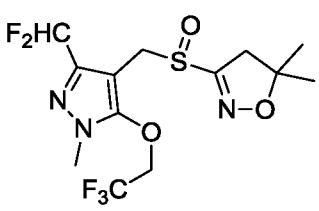
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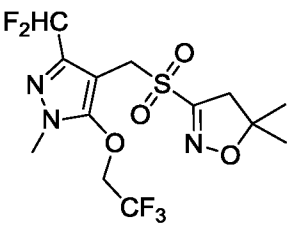
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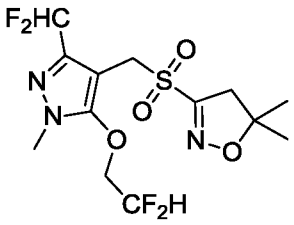
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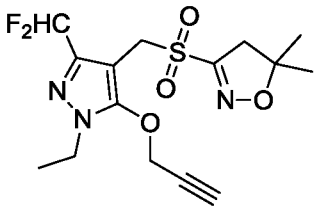
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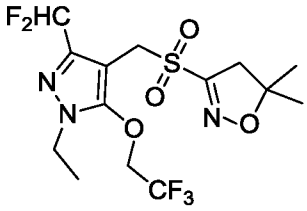
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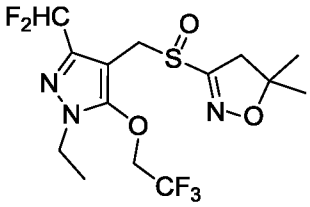
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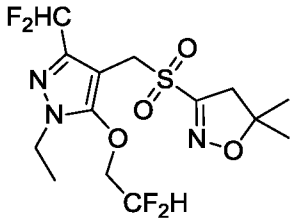
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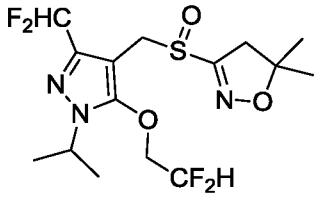
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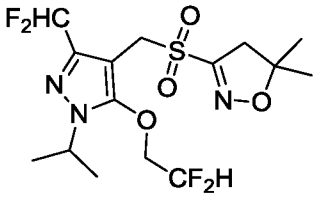
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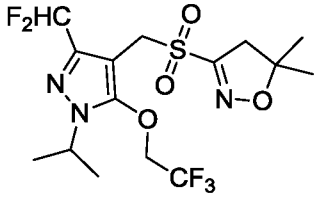
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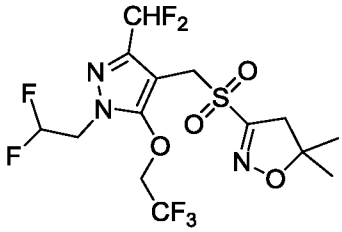
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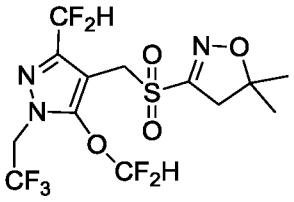
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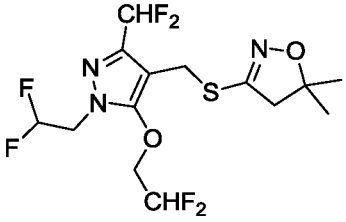
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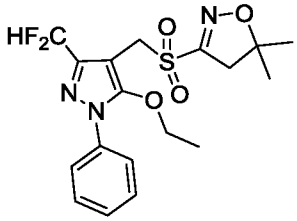
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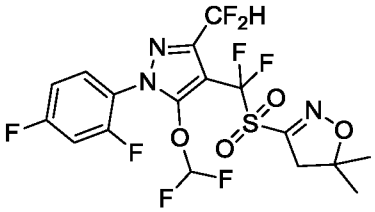
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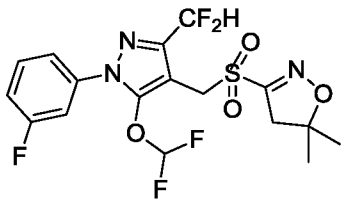
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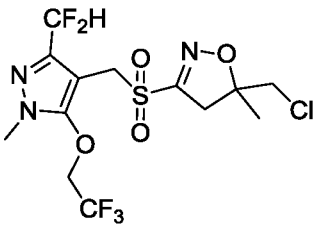
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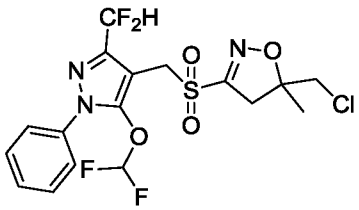
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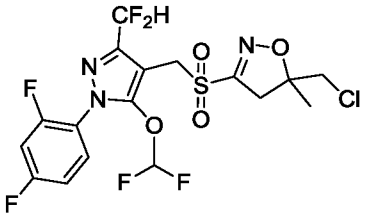
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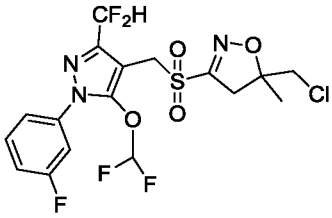
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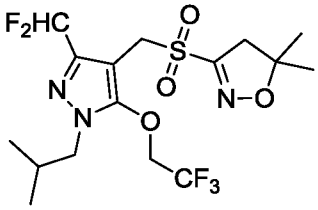
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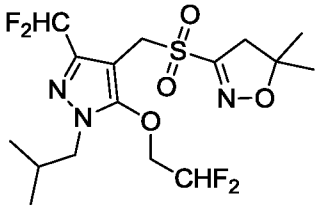
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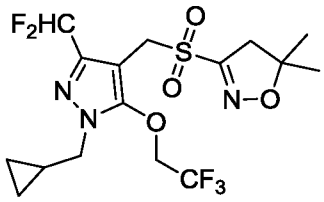
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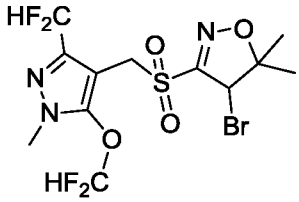
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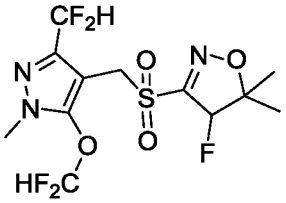
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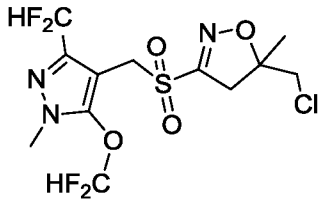
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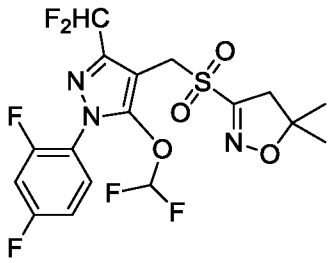

(48).

[00112]In another aspect, the invention provides a composition comprising the compound described herein.

[00113]In some embodiments, the composition further comprises at least one additional component required for the formulation.

[00114]In some embodiments, the composition disclosed herein is a composition for weed control.

[00115]In another aspect, the invention provides the use of the compound or the composition comprising the compound described herein in agriculture.

[00116]In another aspect, provided herein is the use of the compound or the composition comprising the compound described herein as an herbicide.

[00117]In some embodiments, provided herein is the use of the compound or the composition comprising the compound described herein as a pre-emergent herbicide.

[00118]In other embodiments, provided herein is the use of the compound or the composition comprising the compound described herein as a post-emergent herbicide.

[00119]Furthermore, the invention provides the use of the compound or the composition comprising the compound described herein for plant disease control.

[00120]In some embodiments, the invention provides the use of the compound or the composition comprising the compound described herein for controlling unwanted plants.

[00121]In another aspect, the invention provides a method for controlling unwanted plants comprising applying an effective amount of the compound or the composition comprising the compound described herein to a plant, a plant seed, soil in which or on which the plant grows, or a cultivation area.

[00122] In other embodiments, provided herein is a method for controlling the growth of weed in a growing field of useful plant comprising applying an effective amount of the compound or the composition comprising the compound described herein to the field before seedling.

[00123] In some embodiments, the weed comprises broadleaf weed and grass weed.

[00124] In other embodiments, the broadleaf weed is *abutilon theophrastis*, *amaranthus retroflexus* or *eclipta prostrata*.

[00125] In other embodiments, the grass weed is *digitaria sanguinalis*, *echinochloa crusgalli* or *setaria viridis*.

[00126] In other embodiments, the useful plant is cotton, oilseed rape, soybean or peanut.

[00127] The compound provided herein is a novel compound which is more effective for weeds, lower in cost, less toxic, and safe to crops.

THE COMPOSITION AND PREPARATIONS OF THE COMPOUND OF THE INVENTION

[00128] The compound of the present invention is generally useful as herbicidal active ingredient in compositions or formulation, wherein the composition or formulation has at least one additional component selected from surfactant, solid diluent, liquid diluent, wetting agent, dispersant, emulsifier, thickener, disintegrating agent, antifreeze agent, defoaming agent, preservative and stabilizer, etc., which meet the requirements of pesticides are all within the scope of the present invention. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredients, mode of application and environmental factors such as soil type, moisture and temperature.

[00129] Useful formulations include both liquid and solid compositions. Liquid compositions include solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like, which optionally can be thickened into gels. The general types of aqueous liquid compositions are soluble concentrate, suspension concentrate, capsule suspension, concentrated emulsion, microemulsion and suspo-emulsion. The general types of nonaqueous liquid compositions are emulsifiable concentrate, microemulsifiable concentrate, dispersible concentrate and oil dispersion.

[00130] The general types of solid compositions are dusts, powders, granules, pellets, prills, pastilles, tablets, filled films (including seed coatings) and the like, which can be

water-dispersible ("wettable") or water-soluble. Films and coatings formed from film- forming solutions or flowable suspensions are particularly useful for seed treatment. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay the release of the active ingredient. An emulsifiable granule combines the advantages of both an emulsifiable concentrate formulation and a dry granular formulation. High-strength compositions are primarily used as intermediates for other formulation.

[00131] Sprayable formulations are typically extended in a suitable medium before spraying. Such liquid and solid formulations are formulated to be readily diluted in the spray medium, usually water. Spray volumes can range from about one to several thousand liters per hectare, but more typically are in the range from about ten to several hundred liters per hectare. Sprayable formulations can be in tank mixed with water or another suitable medium for foliar treatment by aerial or ground application, or for application to the growing medium of the plant. Liquid and dry formulations can be metered directly into drip irrigation systems or metered into the furrow during planting.

[00132] The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

[00133] Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, gypsum, cellulose, titanium dioxide, zinc oxide, starch, dextrin, sugars (e.g., lactose, sucrose), silica, talc, mica, diatomaceous earth, urea, calcium carbonate, sodium carbonate and sodium bicarbonate, and sodium sulfate. Typical solid diluents are described in Watkins et al., Handbook of Insecticide Dust Diluents and Carriers, 2nd Ed., Dorland Books, Caldwell, New Jersey.

[00134] Liquid diluents include, for example, water, *N*,*N*-dimethylalkanamides (e.g., *N*,*N*-dimethylformamide), limonene, dimethyl sulfoxide, *N*-alkylpyrrolidones (e.g., *N*-methylpyrrolidinone), ethylene glycol, triethylene glycol, propylene glycol, dipropylene glycol, polypropylene glycol, propylene carbonate, butylene carbonate, paraffins (e.g., white mineral oils, normal paraffins, isoparaffins), alkylbenzenes, alkylnaphthalenes, glycerine, glycerol triacetate, sorbitol, aromatic hydrocarbons, dearomatized aliphatics, alkylbenzenes, alkylnaphthalenes, ketones such as cyclohexanone, 2-heptanone, isophorone and

4-hydroxy-4-methyl-2-pentanone, acetates such as isoamyl acetate, hexyl acetate, heptyl acetate, octyl acetate, nonyl acetate, tridecyl acetate and isobornyl acetate, other esters such as alkylated lactate esters, dibasic esters and γ-butyrolactone, and alcohols, which can be linear, branched, saturated or unsaturated, such as methanol, ethanol, *n*-propanol, isopropyl alcohol, *n*-butanol, isobutanol, *n*-hexanol, 2-ethylhexanol, *n*-octanol, decanol, isodecanol, isooctadecanol, cetanol, laurinol, tridecanol, oleyl alcohol, cyclohexanol, tetrahydrofurfuryl alcohol, diacetone alcohol and benzyl alcohol. Liquid diluents also include glycerol esters of saturated and unsaturated fatty acids (typically C_6-C_{22}) such as plant seed and fruit oils (e.g, oils of olive, castor, linseed, sesame, corn (maize), peanut, sunflower, grapeseed, safflower, cottonseed, soybean, rapeseed, coconut and palm kernel), animal-sourced fats (e.g., beef tallow, pork tallow, lard, cod liver oil, fish oil), and mixtures thereof. Liquid diluents also include alkylated fatty acids (e.g., methylated, ethylated, butylated) wherein the fatty acids may be obtained by hydrolysis of glycerol esters from plant and animal sources, and can be purified by distillation. Typical liquid diluents are described in Marsden, Solvents Guide, 2nd Ed., Interscience, New York, 1950.

[00135] The solid and liquid compositions of the present invention often include one or more surfactants. When added to a liquid, surfactants (also known as "surface-active agents") generally modify, most often reduce the surface tension of the liquid. Depending on the nature of the hydrophilic and lipophilic groups in a surfactant molecule, surfactants can be useful as wetting agents, dispersants, emulsifiers or defoaming agents.

[00136] Surfactants can be classified as nonionic, anionic or cationic. Nonionic surfactants useful for the present compositions include, but are not limited to: alcohol alkoxylates such as alcohol alkoxylates based on natural and synthetic alcohols (which may be branched or linear) and prepared from the alcohols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof; amine ethoxylates, alkanolamides and ethoxylated alkanolamides; alkoxylated triglycerides such as ethoxylated soybean, castor and rapeseed oils; alkylphenol alkoxylates such as octylphenol ethoxylates, nonylphenol ethoxylates, dinonyl phenol ethoxylates and dodecyl phenol ethoxylates (prepared from the phenols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); block polymers prepared from ethylene oxide or propylene oxide and reverse block polymers where the terminal blocks are prepared from propylene oxide; ethoxylated fatty acids; ethoxylated fatty esters and oils; ethoxylated methyl esters; ethoxylated tristyrylphenol (including those prepared from ethylene oxide, propylene oxide, butylene oxide

or mixtures thereof); fatty acid esters, glycerol esters, lanolin-based derivatives, polyethoxylate esters such as polyethoxylated sorbitan fatty acid esters, polyethoxylated sorbitol fatty acid esters and polyethoxylated glycerol fatty acid esters; other sorbitan derivatives such as sorbitan esters; polymeric surfactants such as random copolymers, block copolymers, alkyd peg (polyethylene glycol) resins, graft or comb polymers and star polymers; polyethylene glycols (pegs); polyethylene glycol fatty acid esters; silicone-based surfactants; and sugar-derivatives such as sucrose esters, alkyl polyglycosides and alkyl polysaccharides.

[00137] Useful anionic surfactants include, but are not limited to: alkylaryl sulfonic acids and their salts; carboxylated alcohol or alkylphenol ethoxylates; diphenyl sulfonate derivatives; lignin and lignin derivatives such as lignosulfonates; maleic or succinic acids or their anhydrides; olefin sulfonates; phosphate esters such as phosphate esters of alcohol alkoxylates, phosphate esters of alkylphenol alkoxylates and phosphate esters of styryl phenol ethoxylates; protein-based surfactants; sarcosine derivatives; styryl phenol ether sulfate; sulfates and sulfonates of oils and fatty acids; sulfates and sulfonates of ethoxylated alkylphenols; sulfates of alcohols; sulfates of ethoxylated alcohols; sulfonates of amines and amides such as *N*,*N*-alkyltaurates; sulfonates of benzene, cumene, toluene, xylene, and dodecyl and tridecylbenzenes; sulfonates of condensed naphthalenes; sulfonates of naphthalene and alkyl naphthalene; sulfonates of fractionated petroleum; sulfosuccinamates; and sulfosuccinates and their derivatives such as dialkyl sulfosuccinate salts.

[00138] Useful cationic surfactants include, but are not limited to: amides and ethoxylated amides; amines such as *N*-alkyl propanediamines, tripropylenetriamines and dipropylenetetramines, and ethoxylated amines, ethoxylated diamines and propoxylated amines (prepared from the amines and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); amine salts such as amine acetates and diamine salts; quaternary ammonium salts such as quaternary salts, ethoxylated quaternary salts and diquaternary salts; and amine oxides such as alkyldimethylamine oxides and bis-(2-hydroxyethyl)-alkylamine oxides.

[00139] Also useful for the present compositions (i.e. final mixtures) are mixtures of nonionic and anionic surfactants or mixtures of nonionic and cationic surfactants. Nonionic, anionic and cationic surfactants and their recommended uses are disclosed in a variety of published references including McCutcheon 's Emulsiβers and Detergents, annual American and International Editions published by McCutcheon's Division, The Manufacturing Confectioner

Publishing Co.; Sisely and Wood, Encyclopedia of Surface Active Agents, Chemical Publ. Co., Inc., New York, 1964; and A. S. Davidson and B. Milwidsky, Synthetic Detergents, Seventh Edition, John Wiley and Sons, New York, 1987.

[00140]Compositions of this invention may also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids (some of which may be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such polyorganosiloxanes), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), wash-off (film formers or stickers), evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Examples of formulation auxiliaries and additives include those listed in McCutcheon 's Volume 2: Functional Materials, annual International and North American editions published by McCutcheon' s Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

[00141]The compounds of the invention and any other active ingredients are typically incorporated into the present compositions by dissolving the active ingredient in a solvent or by grinding in a liquid or dry diluent. Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. If the solvent of a liquid composition intended for use as an emulsifiable concentrate is water-immiscible, an emulsifier is typically added to emulsify the active- containing solvent upon dilution with water. Active ingredient slurries, with particle diameters of up to 2,000 μm can be wet milled using media mills to obtain particles with average diameters below 3 μm. Aqueous slurries can be made into finished suspension concentrates (see, for example, U.S. 3,060,084) or further processed by spray drying to form water-dispersible granules. Dry formulations usually require dry milling processes, which produce average particle diameters in the 2 to 10 μm range. Dusts and powders can be prepared by blending and usually grinding (such as with a hammer mill or fluid-energy mill). Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, “Agglomeration”, Chemical Engineering, December 4, 1967, pp 147-48, Perry's Chemical Engineer 's Handbook, 4th Ed., McGraw-Hill,

New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as proposed in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as proposed in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as proposed in GB 2,095,558 and U.S. 3,299,566.

[00142]For further information regarding the art of formulation, see T. S. Woods, "T*he Formulator's Toolbox-Product Forms for Modern Agriculture*", *Pesticide Chemistry and Bioscience, The Food-Environment Challenge*, T.Brooks andT.R.Roberts Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp 120-133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, Weed Control as a Science, John Wiley and Sons, Inc., New York, 1961, pp 81-96; Hance et al., Weed Control Handbook, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and Developments in formulation technology, PJB Publications, Richmond, UK, 2000.

USES OF COMPOUNDS OF THE INVENTION

[00143]The herbicide of the present invention can be used by spraying to a plant, applying it to the soil, or applying it to the surface of water. The amount of the active component is appropriately determined to meet the application purpose. The content of the active component is appropriately determined according to the purpose.

[00144]The amount of the compound of the invention depends on the kind of the compound to be used, the target weed, the tendency of the weed to appear, the environmental conditions, the type of the herbicide, and the like. When the form of the herbicide itself of the present invention is used, for example, in the form of a powder or granules, it is suitably used in an amount of from 1 g to 50 kg, preferably from 10 g to 10 kg per hectare, of the active ingredient. When the herbicide of the present invention is used in the form of a liquid, for example, in the form of an emulsifiable concentrate, a wettable powder or a flowable preparation, it is suitably used in an amount of from 0.1 to 50,000 ppm, preferably from 10 to 10,000 ppm.

[00145]The invention provides a method of controlling weeds in crops of useful plants,

comprising applying to said weeds, the locus of said weeds, said useful crop plants or the locus of said useful crop plants a compound or a composition of the invention.

[00146] The invention also provides a method of selectively controlling grasses and/or weeds in crops of useful plants which comprises applying to the useful plants, locus thereof or the area of cultivation a herbicidally effective amount of a compound of Formula (I) or Formula (Ia).

[00147] The term "herbicide" as used herein denotes a compound which controls or modifies the growth of plants. The term "herbicidally effective amount" indicates the quantity of such a compound or combination of such compounds which is capable of producing a controlling or modifying effect on the growth of plants. Controlling or modifying effects include all deviation from natural development, for example: killing, retardation, leaf burn, albinism, dwarfing and the like. The term "plants" refers to all physical parts of a plant, including seeds, seedlings, saplings, roots, tubers, stems, stalks, foliage and fruits. The term "locus" is intended to include soil, seeds, and seedlings, as well as established vegetation and includes not only areas where weeds may already be growing, but also areas where weeds have yet to emerge, and also to areas under cultivation with respect to crops of useful plants. "Areas under cultivation" include land on which the crop plants are already growing and land intended for cultivation with such crop plants. The term "weeds" as used herein means any undesired plant, and thus includes not only agronomically important weeds as described below, but also volunteer crop plants.

[00148] Crops of useful plants in which the composition according to the invention can be used include, but are not limited to, perennial crops, such as citrus fruit, grapevines, nuts, oil palms, olives, pome fruit, stone fruit and rubber, and annual arable crops, such as cereals, for example barley and wheat, cotton, oilseed rape, maize, rice, soy beans, sugar beet, sugar cane, sunflowers, ornamentals, switchgrass, turf and vegetables, especially cereals, maize and soy beans.

[00149] The grasses and weeds to be controlled may be both monocotyledonous species, for example Agrostis, Alopecurus, Avena, Brachiaria, Bromus, Cenchrus, Cyperus, Digitaria, Echinochloa, Eriochloa, Lolium, Monochoria, Panicum, Poa, Rottboellia, Sagittaria, Scirpus, Setaria, Sida and Sorghum, and dicotyledonous species, for example Abutilon, Amaranthus, Chenopodium, Chrysanthemum, Euphorbia, Galium, Ipomoea, Kochia, Nasturtium, Polygonum, Sida, Sinapis, Solanum, Stellaria, Veronica, Viola and Xanthium.

[00150] Compounds of this invention may show tolerance to important agronomic crops including, but are not limited to, alfalfa, barley, cotton, wheat, rape, sugar beets, corn (maize),

sorghum, soybeans, rice, oats, peanuts, vegetables, tomato, potato, perennial plantation crops including coffee, cocoa, oil palm, rubber, sugarcane, citrus, grapes, fruit trees, nut trees, banana, plantain, pineapple, hops, tea and forests such as eucalyptus and conifers (e.g., loblolly pine), and turf species (e.g., Kentucky bluegrass, St. Augustine grass, Kentucky fescue and Bermuda grass).

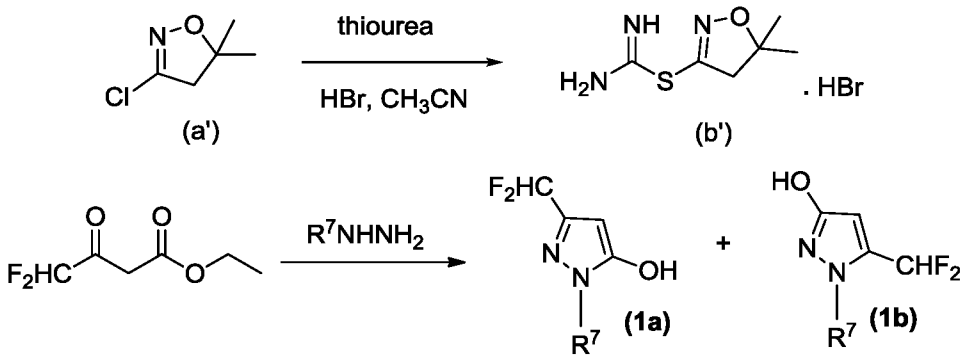
[00151]If necessary, the compounds of Formula (I) or Formula (Ia) in the invention may also be combined with other active ingredients, such as other herbicides and/or insecticides and/or acaricides and/or nematicides and/or molluscicides and/or fungicides and/or plant growth regulators. These mixtures, as well as the use of these mixtures to control the growth of weeds and/or undesirable plants, form further aspects of the invention. For the avoidance of doubt, the mixtures of the invention also include mixtures of two or more different compounds having Formula (I) or Formula (Ia). In particular, the invention also relates to a composition of the invention comprising at least one additional herbicide in addition to a compound having Formula (I) or Formula (Ia).

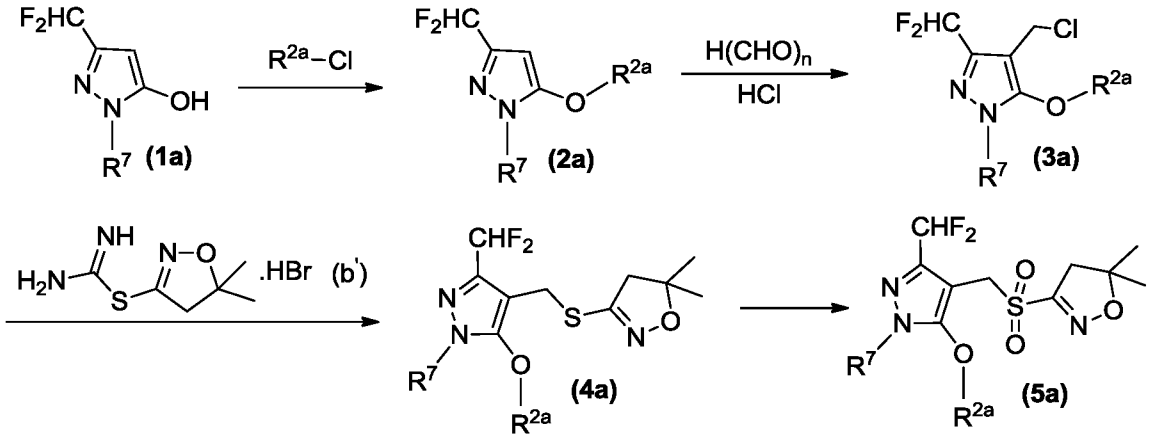
GENERAL SYNTHETIC PROCEDURES

[00152]In the present invention, if the chemical name of the compound doesn't match the corresponding structure, the compound is characterized by the corresponding structure. Generally, the compounds disclosed herein may be prepared by methods described herein, except where further noted. The following synthetic schemes and examples are provided to further illustrate the contents of the present invention.

Schemes

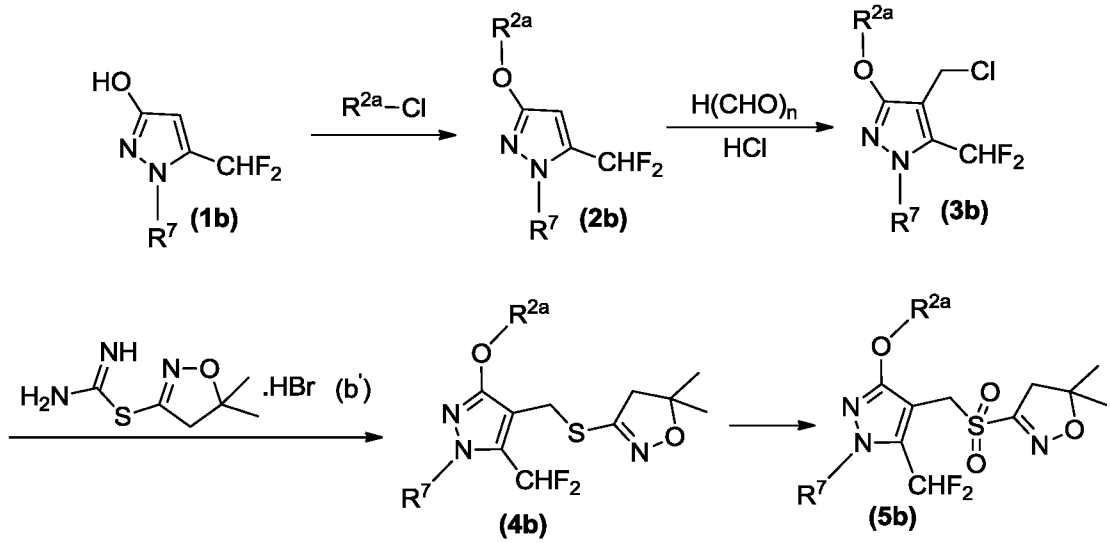
Scheme 1





[00153] Compound having Formula (**5a**) can be prepared according to the procedure described in **Scheme 1**, wherein R^{2a} is alkyl, alkoxyalkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl or haloalkynyl; R^7 is as defined herein. Compound having Formula (a') can react with thiourea in HBr to give compound having Formula (b'); ethyl difluoroacetoacetate can react with R^1NHNH_2 to give a compound having Formula (**1a**) and compound having Formula (**1b**); the compound having Formula (**1a**) can react with R^{2a}-Cl to give a compound having Formula (**2a**); the compound having Formula (**2a**) can react with paraformaldehyde and hydrochloric acid to give a compound having Formula (**3a**); the compound having Formula (**3a**) with a compound having Formula (b') in an alkaline condition (such as K_2CO_3) can undergo condensation reaction to give a compound having Formula (**4a**) ; the compound having Formula (**4a**) can further be oxidized to give a compound having Formula (**5a**).

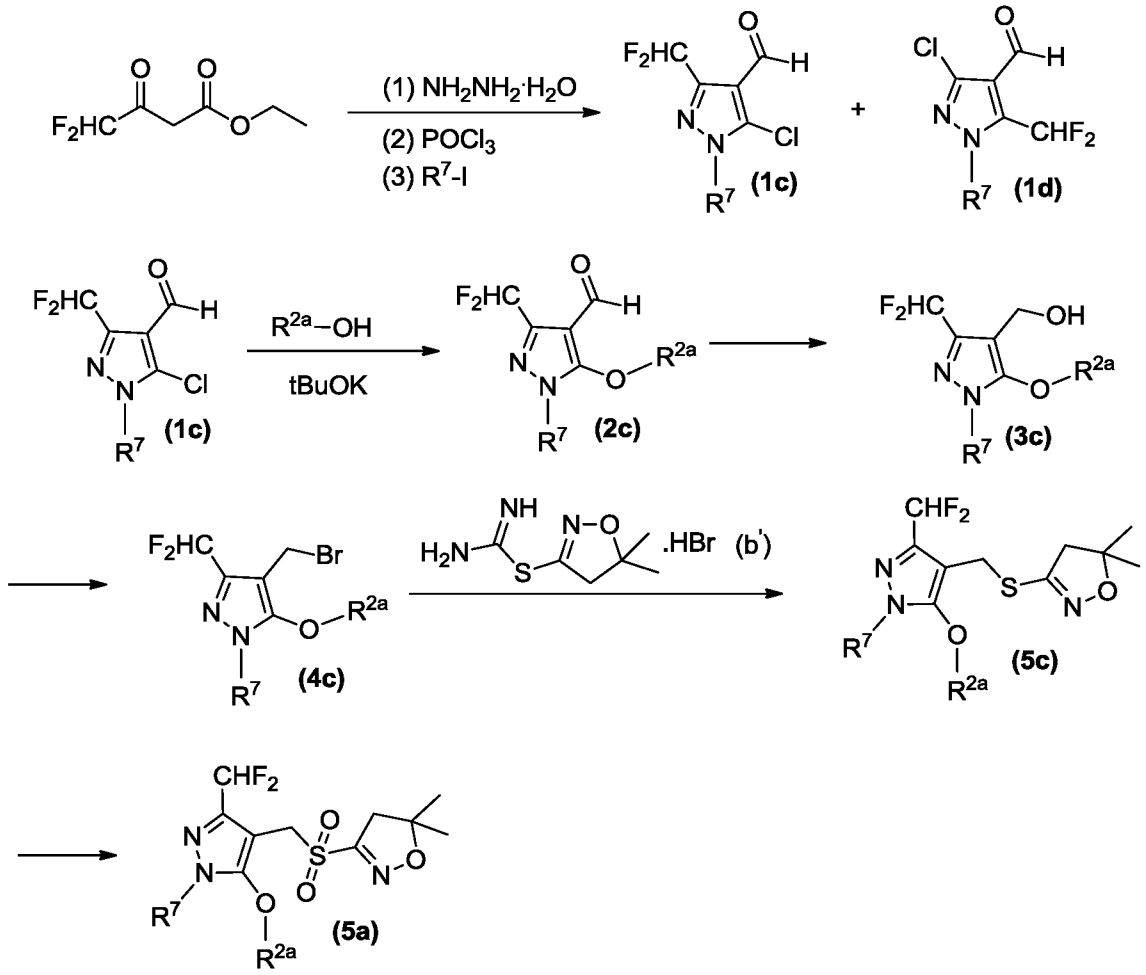
Scheme 2



[00154] Compound having Formula (**5b**) can be prepared according to the procedure described in **Scheme 2**, wherein R^{2a} is alkyl, alkoxyalkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl or

haloalkynyl; R^7 is as defined herein. Compound having Formula (**1b**) can react with R^{2a}-Cl to give a compound having Formula (**2b**); the compound having Formula (**2b**) can react with paraformaldehyde and hydrochloric acid to give a compound having Formula (**3b**); the compound having Formula (**3b**) with a compound having Formula (b') in an alkaline condition (such as K_2CO_3) can undergo condensation reaction to give a compound having Formula (**4b**); the compound having Formula (**4b**) can further be oxidized to give a compound having Formula (**5b**).

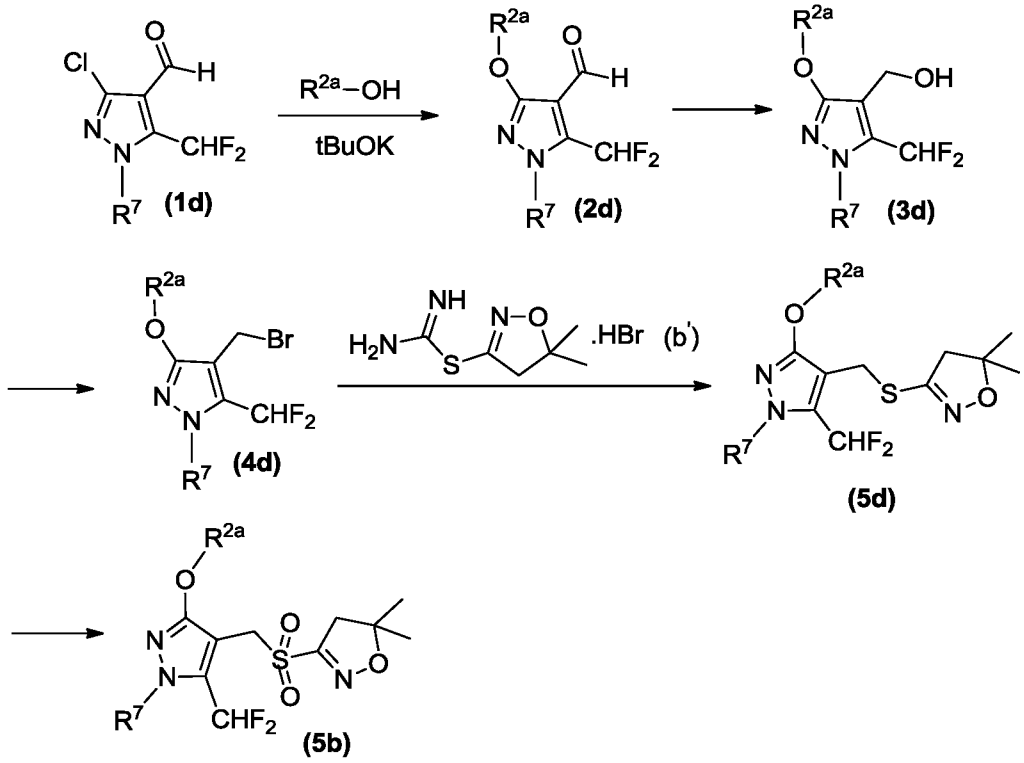
Scheme 3



[00155] Compound having Formula (**5a**) can be prepared according to the procedure described in **Scheme 3**, wherein R^{2a} is alkyl, alkoxyalkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl or haloalkynyl; R^7 is as defined herein. Ethyl difluoroacetoacetate can first react with hydrazine hydrate to give a product, which then can react with phosphorus oxychloride to give an intermidate, and the intermidate can react with R^7-I to give a compound having Formula (**1c**) and compound having Formula (**1d**); the compound having Formula (**1c**) can react with R^{2a}-OH, potassium *tert*-butoxide to give a compound having Formula (**2c**); the compound having

Formula (**2c**) can undergo reduction reaction to give a compound having Formula (**3c**); the compound having Formula (**3c**) can react with bromohydrocarbon (such as carbon tetrabromide) to give a compound having Formula (**4c**); the compound having Formula (**4c**) with a compound having Formula (b') in an alkaline condition (such as K_2CO_3) can undergo condensation reaction to give a compound having Formula (**5c**); the compound having Formula (**5c**) can further be oxidized to give a compound having Formula (**5a**).

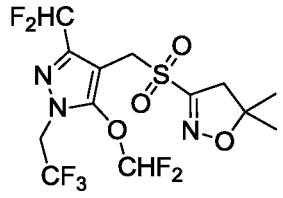
Scheme 4



[00156] Compound having Formula (**5b**) can be prepared according to the procedure described in **Scheme 4**, wherein R^{2a} is alkyl, alkoxyalkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl or haloalkynyl; R^7 is as defined herein. Compound having Formula (**1d**) can react with R^{2a}-OH, potassium *tert*-butoxide to give a compound having Formula (**2d**); the compound having Formula (**2d**) can undergo reduction reaction to give a compound having Formula (**3d**); the compound having Formula (**3d**) can react with bromohydrocarbon (such as carbon tetrabromide) to give a compound having Formula (**4d**); the compound having Formula (**4d**) with a compound having Formula (b') in an alkaline condition (such as K_2CO_3) can undergo condensation reaction to give a compound having Formula (**5d**); the compound having Formula (**5d**) can further be oxidized to give a compound having Formula (**5b**).

Examples

Example 1: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol -4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole

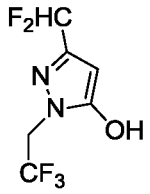


(31)

Step 1: synthesis of 5,5-dimethyl-4,5-dihydroisoxazole-3-ylisothiourea hydrobromide

[00157]Thiourea (6 g, 79 mmol) was dissolved in acetonitrile (65 mL) at room temperature. To the solution was added dropwise hydrobromic acid (48%, 10 mL), and the mixture was stirred at room temperature for 1 h. To the above mixture was added dropwise 3-chloro-5,5-dimethyl-4,5-dihydroisoxazole (12.6 g, 95 mmol). The mixture was heated to 40 °C and stirred overnight. The solvent in the mixture was evaporated under reduced pressure, and the obtained solid was recrystallized from ethyl acetate to give a white crystaline (19.2 g), yield: 96.0%.

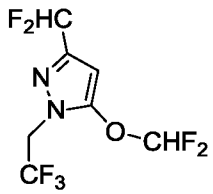
Step 2: synthesis of 3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol-5-ol



[00158]Ethyl difluoroacetoacetate (3.32 g, 20 mmol) were dissolved in acetic acid (30 mL), and trifluoroethylhydrazine solution (65%, 3.86 g, 22mmol) was added slowly into the above mixture at room temperature. After addition, the mixture was heated to 80 °C and stirred for 18h, then the reaction was completed. The mixture was washed with water (200 mL), and extracted with ethyl acetate (100 mL) for three times. The combined organic layers was concentrated *in vacuo* to remove the solvent, and the residue was purified by cholumn chromatography (eluent: Petroleum ether/EtOAc (v/v) = 10/1) to give a red brown solid (2.0g, yield: 46.3%).

MS-ESI: m/z 217.0$[M+H]^+$.

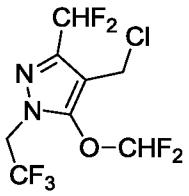
Step 3: synthesis of 5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl) -1*H*-pyrazole



[00159]3-(Difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol-5-ol (1.08 g, 5 mmol) and potassium carbonate (2.07 g, 15 mmol) were dissolved in acetonitrile (50 mL), and the mixture was stirred at 80 °C, then methyl chlorodifluoroacetate (1.08 g, 15 mmol) was added slowly into the above mixture. After addition, the resulting mixture was heated to 90 °C and stirred for 8 h. After the reaction was completed, acetonitrile was removed, and the residue was washed with water (100 mL), then extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give the crude product as yellow liquid (1.20 g, yield：90.2%).

MS-ESI: m/z 267.1 $[M+H]^+$.

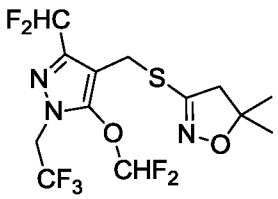
Step 4: synthesis of 4-(chloromethyl)-5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazole



[00160]5-(Difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazole (1.20 g, 4.5 mmol) was dissolved in concentrated hydrochloric acid (36%, 15 mL), then concentrated sulfuric acid (98%, 0.5 mL) was added. The resulting mixture was stirred at room temperature for 10 min, then paraformaldehyde (0.18 g, 6.3 mmol) was added into the above mixture, and then the mixture was heated to 80 °C and refluxed for 12 h. After reaction was completed, the mixture was washed with water (300 mL), extracted with ethyl acetate (100 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give brown liquid 1.0 g, yield: 71.3%.

MS-ESI: m/z 315.0$[M+H]^+$.

Step 5: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole

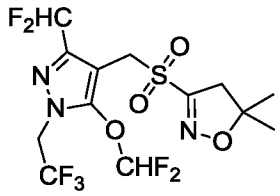


[00161]4-(Chloromethyl)-5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazole (1.00 g, 3.2 mmol) and 5,5-dimethyl-4,5-dihydroisoxazol-3-yl isothiourea hydrobromide

(0.97 g, 3.8 mmol) was dissolved in acetonitrile (50 mL). The mixture was stirred at room temperature for 10 min, then potassium carbonate (1.77g, 12.8 mmol) was added into the mixture. The resulting mixture was stirred for 12 h, then stopped. Acetonitrile was removed and the residue was washed with water (100 mL). The resulting mixture was extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give the crude product as yellow liquid (1.30 g), yield: 99.0%.

MS-ESI: m/z 410.3 $[M+H]^+$.

Step 6: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole

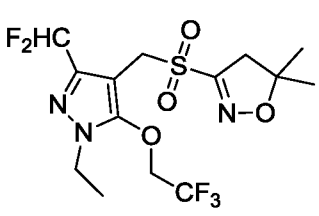


[00162]3-(((5-(Difluoromethoxy)-3-(difluoromethyl)-1-(2,2,2-trifluoroethyl)-1*H*-pyrazol-4-yl) methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole (1.30 g, 3.2 mmol) was dissolved in dichloromethane (50 mL). The mixture was stirred at room temperature, then to the reaction mixture was added mCPBA (1.46 g, 6.4 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with saturated aqueous sodium hydrogen sulfite (100 mL) and saturated aqueous sodium bicarbonate (100 mL) in turn, then extracted with dichloromethane (50 mL). The combined organic layers were dried over anhydrous sodium sufate, and then concentrated *in vacuo* to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give yellow oil (0.50 g), yield: 35.7%.

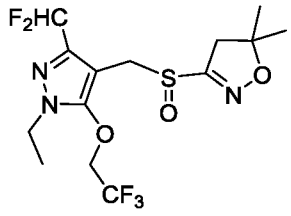
MS-ESI: m/z 442.3 $[M+H]^+$;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.04 – 6.63 (m, 2H), 4.71 (q, J = 7.9 Hz, 2H), 4.62 (s, 2H), 3.09 (s, 2H), 1.51 (s, 6H).

Example 2: 3-(((3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole (compound (24)) and 3-(((3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfinyl)-5,5-dimethyl-4,5-dihydroisoxazole (compound (25))

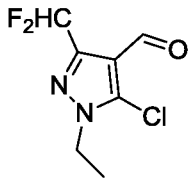


(24)



(25)

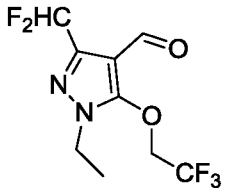
Step 1: synthesis of 5-chloro-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-formaldehyde



[00163] 5-Chloro-3-(difluoromethyl)-1*H*-pyrazole-4-formaldehyde (2 g, 3.70 mmol) and potassium carbonate (4.6 g, 33.20 mmol) were dissolved in DMF (30 mL), and the mixture was stirred at room temperature, then iodoethane (0.70 g, 4.40 mmol) was added into the above mixture. After addition, the mixture was stirred at room temperature for 24 h. After the reaction was completed, the mixture was washed with water (20 mL), and extracted with ethyl acetate (40 mL) for three times. The combined organic layers was concentrated *in vacuo* to remove the solvent, and the residue was purified by cholumn chromatography (eluent: Petroleum ether/EtOAc (v/v) = 10/1) to give colorless transparent liquid (1.64 g, yield: 45.0%).

MS-ESI: m/z 209.0 $[M+H]^+$.

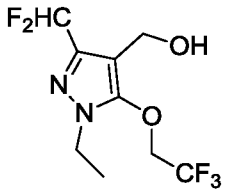
Step 2: synthesis of 3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol -4-formaldehyde



[00164] Potassium *tert*-butoxide (0.81 g, 7.20 mmol) was dissolved in anhydrous tetrahydrofuran (30 mL) and the mixture was stirred at 0 °C, then trifluoroethanol (0.72 g, 7.20 mmol) was added to the mixture. After addition, the resulting mixture was stirred for 2 h, then to the mixture was added 5-chloro-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-formaldehyde (1.00 g, 4.80 mmol). The resulting mixture was stirred at room temperature for 12 h. After the reaction was completed, tetrahydrofuran was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (40 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (1.0 g, yield: 77.6%).

MS-ESI: m/z 273.7[M+H]$^+$.

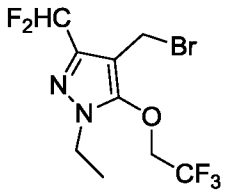
Step 3: synthesis of (3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methanol



[00165] 3-(Difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-formaldehyde (1.0 g, 3.70 mmol) was dissolved in anhydrous methanol (40 mL) and the mixture was stirred at 0 °C, then sodium borohydride (0.28 g, 7.40 mmol) was added in portions to the mixture. After addition, the resulting mixture was warmed to room temperature and stirred for 4 h. After the reaction was completed, methanol was removed, and the residue was washed with water (40 mL), then extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give colorless liquid (0.90 g, yield: 88.2%).

MS-ESI: m/z 275.7 [M+H]$^+$.

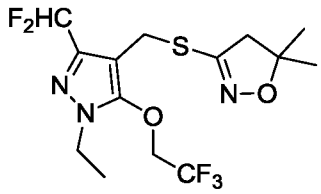
Step 4: synthesis of 4-(bromomethyl)-3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazole



[00166] (3-(Difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methanol (0.90 g, 3.28 mmol) was dissolved in dicloromethane (20 mL), and the mixture was stirred at 0 °C, then a solution of phosphorus tribromide (1.06 g, 3.93 mmol) in dicloromethane (10 mL) was added slowly into the mixture while controlling the temperature below 5 °C. After addition, the resulting mixture was warmed to room temperature and stirred for 3.5 h. After the reaction was completed, the reaction was quenched with ice-water (30 mL), then the resulting mixture was extracted with ethyl acetate (40 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent, and the residue was purified by cholumn chromatography (eluent: Petroleum ether/EtOAc (v/v) = 10/1） to give colorless liquid (1.03 g, yield: 91.2%).

MS-ESI: m/z 336.9 [M+H]$^+$.

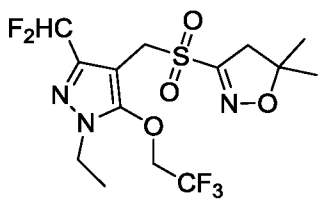
Step 5: synthesis of 3-(((3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol -4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole



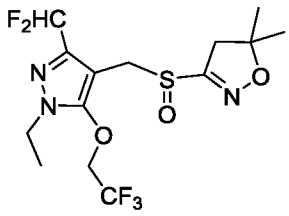
[00167]4-(Bromomethyl)-3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazole (7.50 g, 27.4 mmol) and 5,5-dimethyl-4,5-dihydroisoxazol-3-yl isothiourea hydrobromide (1.00 g, 2.96 mmol) was dissolved in acetonitrile (30 mL). The mixture was stirred at room temperature for 10 min, then potassium carbonate (1.60 g, 11.86 mmol) was added into the mixture. The resulting mixture was stirred for 12 h, then stopped, and acetonitrile was removed. The mixture was washed with water (50 mL), then extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (0.76 g), yield: 71.0%.

MS-ESI: m/z 388.0 $[M+H]^+$.

Step 6: synthesis of 3-(((3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy -1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole (compound (24)) and 3-(((3-(difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfinyl)-5, 5-dimethyl-4,5-dihydroisoxazole (compound (25))



(24)



(25)

[00168]3-(((3-(Difluoromethyl)-1-ethyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole (0.76 g, 1.96 mmol) was dissolved in dichloromethane (200 mL). The mixture was stirred at room temperature, then to the reaction mixture was added mCPBA (1.03 g, 4.51 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with saturated aqueous sodium hydrogen sulfite (200 mL) and saturated aqueous sodium bicarbonate (200 mL), then extracted with dichloromethane (30 mL). The combined organic layers were dried over anhydrous sodium sulfate, and then concentrated *in*

vacuo to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give a white solid (0.5 g), yield: 60.9%, which was characterized by the following data .

MS-ESI: m/z 420.9 $[M+H]^+$;

^1H NMR (400 MHz, $CDCl_3$) δ 6.73 (t, J = 54.8 Hz, 1H), 4.66 (q, J = 8.1 Hz, 2H), 4.58 (s, 2H), 4.10 (q, J = 7.3 Hz, 2H), 3.10 (s, 2H), 1.52 (s, 6H), 1.45 (t, J = 7.3 Hz, 3H);

the above characterization data identified that the above white solid was compound (24);

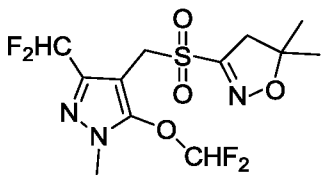
and the other white solid (0.20 g, yield: 25.3%) was also afforded by the above column chromatography, which was characterized by the following data:

MS-ESI: m/z 404.1 $[M+H]^+$;

^1H NMR (400 MHz, $CDCl_3$) δ 6.61 (t, J = 54.2 Hz, 1H), 4.71 (ddq, J = 84.1, 11.8, 8.2 Hz, 2H), 4.29 – 4.13 (m, 2H), 4.07 (q, J = 7.2 Hz, 2H), 3.10 (dd, J = 42.0, 17.1 Hz, 2H), 1.51 (d, J = 4.5 Hz, 6H), 1.44 (t, J = 7.3 Hz, 3H);

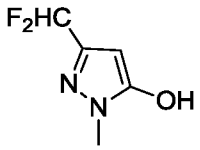
the characterization data identified that the other white solid was compound (25).

Example 3: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



(5)

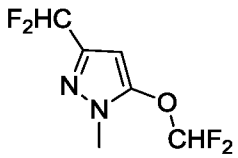
Step 1: synthesis of 3-(difluoromethyl)-1-methyl-1*H*-pyrazol-5-ol



[00169]Ethyl difluoroacetoacetate (83.06 g, 0.5 mol) was dissolved in anhydrous ethanol (200 mL), then methylhydrazine aqueous solution (40%, 86.25 g, 0.75 mol) was added dropwise under ice-bath condition. The mixture was stirred at room temperature for 4 h, then heated to 80 °C and stirred overnight. The mixture was concentrated *in vacuo* to remove the solvent, and the residue was dissolved in ethyl acetate (150 mL). The resulting mixture was washed with water and partitioned. The organic layer was dried over anhydrous sodium sulfate, concentrated *in vacuo* to remove the solvent and give a light yellow solid 42.90 g, yield: 58.0%.

MS-ESI: m/z 149.0 [M+H]+.

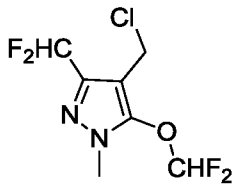
Step 2: synthesis of 5-(difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazole



[00170]3-(Difluoromethyl)-1-methyl-1*H*-pyrazol-5-ol (0.74 g, 5 mmol) was dissolved in acetonitrile (50 mL), then potassium carbonate (2.07 g, 15 mmol) was added. The mixture was heated to 80 °C, then methyl chlorodifluoroacetate (1.08 g, 7.5 mmol) was added dropwise. The resulting mixture was heated to 100 °C and stirred for 12 h, and acetonitrile was removed. The mixture was dissolved in ethyl acetate (60 mL), and the resulting mixture was washed with water and partitioned. The organic layer was dried over anhydrous sodium sulfate, concentrated *in vacuo* to remove the solvent and give light yellow liquid 0.50 g, yield: 50.5%.

MS-ESI: m/z 199.0 $[M+H]^+$.

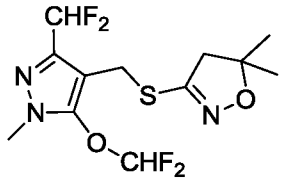
Step 3: synthesis of 4-(chloromethyl)-5-(difluoromethoxy)-3-(difluoromethyl) -1-methyl-1*H*-pyrazole



[00171]5-(Difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazole (0.50 g, 2.5 mmol) was dissolved in hydrochloric acid (36%, 15 mL), and to the resulting mixture was added dropwise concentrated sulfuric acid (98%, 0.5 mL). Then the mixture was stirred for 5 minutes. To the mixture was added paraformaldehyde (0.10 g, 3.5 mmol), and the mixture was heated to 80 °C and stirred for 8 h. The mixture was diluted with water (100 mL), and the mixture was extracted with ethyl acetate (60 mL). The organic layer was dried over anhydrous sodium sulfate, concentrated *in vacuo* to remove the solvent and give light yellow liquid 0.50 g, yield: 81.1%.

MS-ESI: m/z 247.0 $[M+H]^+$.

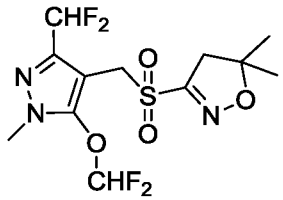
Step 4: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-methyl -1*H*-pyrazol-4-yl)methyl)thio) -5,5-dimethyl-4,5-dihydroisoxazole



[00172] 4-(Chloromethyl)-5-(difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazole (0.50 g, 2.0 mmol) and 5,5-dimethyl-4,5-dihydroisoxazole-3-yl isothiourea hydrobromide (0.62 g, 2.4 mmol) were dissolved in acetonitrile (30 mL), then potassium carbonate (1.10 g, 8.0 mmol) was added into the mixture. The resulting mixture was stirred at room temperature for 12 h. Acetonitrile was removed, and the residue was dissolved in ethyl acetate (60 mL). The resulting mixture was washed with water and partitioned. The organic layer was dried over anhydrous sodium sulfate, concentrated *in vacuo* to remove the solvent and give yellow liquid 0.38 g, yield: 55.9%.

MS-ESI: m/z 342.0 $[M+H]^+$.

Step 5: 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl)methyl) sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole

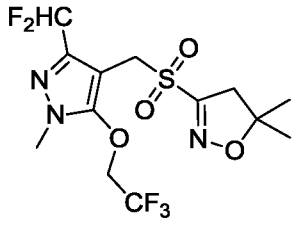


[00173] 3-(((5-(Difluoromethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole (0.38 g, 1.1 mmol) was dissolved in dichloromethane (30 mL). The mixture was stirred at room temperature, then to the reaction mixture was added mCPBA (0.51 g, 2.2 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with saturated aqueous sodium hydrogen sulfite (200 mL) and saturated aqueous sodium bicarbonate (200 mL) in turn, then extracted with dichloromethane (100 mL). The combined organic layers were dried over anhydrous sodium sulfate, and then concentrated *in vacuo* to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give a white solid (0.18 g), yield: 44.0%.

MS-ESI: m/z 374.0 $[M+H]^+$;

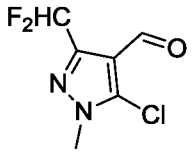
^1H NMR (400 MHz, $CDCl_3$) δ 7.04 – 6.57 (m, 2H), 4.59 (s, 2H), 3.83 (s, 3H), 3.10 (s, 2H), 1.51 (s, 6H).

Example 4: 3-(((3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



(21)

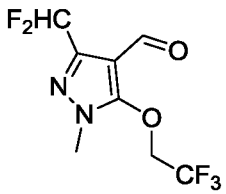
Step 1: synthesis of 5-chloro-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-carbaldehyde



[00174] 5-Chloro-3-(difluoromethyl)-1*H*-pyrazol-4-carbaldehyde (1.80 g, 10.0 mmol) and potassium carbonate (2.80 g, 20.00 mmol) were dissolved in DMF (30 mL), and the mixture was stirred at room temperature, then iodomethane (1.60 g, 11.00 mmol) was added into the above mixture. After addition, the mixture was stirred at room temperature for 24 h. After the reaction was completed, the mixture was washed with water (20 mL), and extracted with ethyl acetate (60 mL) for three times. The combined organic layers was concentrated *in vacuo* to remove the solvent, and the residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 10/1) to give colorless transparent liquid (0.73 g, yield: 38.0%).

MS-ESI: m/z 195.1 $[M+H]^+$.

Step 2: synthesis of 3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol -4-formaldehyde

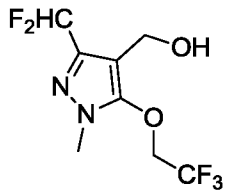


[00175] Potassium *tert*-butoxide (0.21 g, 1.85 mmol) was dissolved in anhydrous tetrahydrofuran (20 mL) and the mixture was stirred at 0 °C, then trifluoroethanol (0.19 g, 1.85 mmol) was added to the mixture. After addition, the resulting mixture was stirred for 2 h, then to the mixture was added 5-chloro-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-carbaldehyde (0.30 g, 1.54 mmol). The resulting mixture was stirred at room temperature for 12 h. After the reaction was completed, tetrahydrofuran was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in*

vacuo to remove the solvent and give light yellow liquid (0.32 g, yield：89.0%).

MS-ESI: m/z 259.0 $[M+H]^+$.

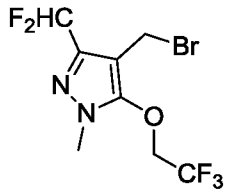
Step 3: synthesis of (3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy) -1*H*-pyrazol-4-yl)methanol



[00176]3-(Difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-carbaldehyde (0.32 g, 1.24 mmol) was dissolved in anhydrous methanol (20 mL) and the mixture was stirred at 0 °C, then sodium borohydride (0.09 g, 2.48 mmol) was added in portions to the mixture. After addition, the resulting mixture was warmed to room temperature and stirred for 4 h. After the reaction was completed, methanol was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give the crude product as light yellow liquid (0.28 g, yield：87.0%).

MS-ESI: m/z 260.1 $[M+H]^+$.

Step 4: synthesis of 4-(bromomethyl)-3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy) -1*H*-pyrazole

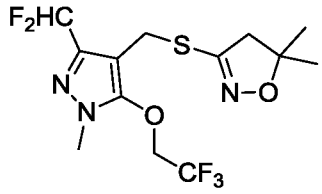


[00177](3-(Difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methanol (0.28 g, 1.07 mmol) was dissolved in dicloromethane (20 mL), and the mixture was stirred at 0 °C, then a solution of phosphorus tribromide (0.32 g, 1.20 mmol) in dicloromethane (10 mL) was added slowly into the mixture while controlling the temperature below 5 °C. After addition, the resulting mixture was warmed to room temperature and stirred for 3.5 h. After the reaction was completed, the reaction was quenched with with ice-water (30 mL), then the resulting mixture was extracted with ethyl acetate (40 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent, and the residue was purified by cholumn chromatography (eluent: petroleum ether/EtOAc (v/v) = 10/1） to give colorless liquid (0.28 g,

yield：81.6%).

MS-ESI: m/z 323.9 $[M+H]^+$.

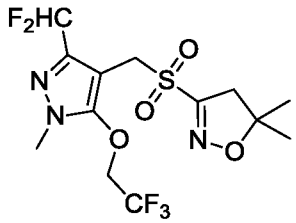
Step 5: synthesis of 3-(((3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy) -1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole



[00178] 4-(Bromomethyl)-3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazo le (0.28 g, 0.88 mmol) and 5,5-dimethyl-4,5-dihydroisoxazol-3-yl isothiourea hydrobromide (0.25 g, 1.05 mmol) was dissolved in acetonitrile (20 mL). The mixture was stirred at room temperature for 10 min, then potassium carbonate (0.48 g, 3.56 mmol) was added into the mixture. The resulting mixture was stirred for 12 h, then stopped. Acetonitrile in the mixture was removed. The residue was washed with water (30 mL). Then the resulting mixture was extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (0.33 g), yield: 99.0%.

MS-ESI: m/z 374.0 $[M+H]^+$.

Step 6: synthesis of 3-(((3-(difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy) -1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



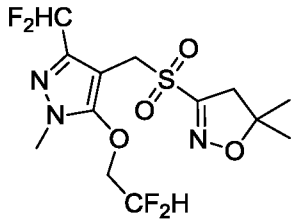
[00179]3-(((3-(Difluoromethyl)-1-methyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole (0.33 g, 0.88 mmol) was dissolved in dichloromethane (20 mL). The mixture was stirred at room temperature, then to the reaction mixture was added mCPBA (75%, 0.46 g, 2.20 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with saturated aqueous sodium hydrogen sulfite (20 mL) and saturated aqueous sodium bicarbonate (20 mL) in turn, then extracted with dichloromethane (30 mL) for three times. The combined organic layers were dried over

anhydrous sodium sulfate, and then concentrated *in vacuo* to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give a white solid (0.12 g), yield: 40.0%.

MS-ESI: m/z 406.0 $[M+H]^+$;

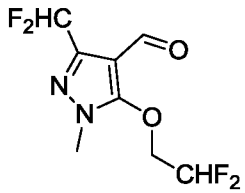
^1H NMR (400 MHz, $CDCl_3$) δ 6.72 (t, J = 54.8 Hz, 1H), 4.66 (q, J = 8.1 Hz, 2H), 4.58 (s, 2H), 3.79 (s, 3H), 3.11 (s, 2H), 1.52 (s, 6H).

Example 5: 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



(22)

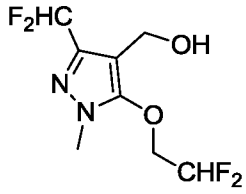
Step 1: synthesis of 5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol -4-formaldehyde



[00180] Potassium *tert*-butoxide (0.35 g, 3.16 mmol) was dissolved in anhydrous tetrahydrofuran (20 mL) and the mixture was stirred at 0 °C, then 2,2-difluoroethanol (0.26 g, 3.16 mmol) was added to the mixture. After addition, the resulting mixture was stirred for 2 h, then to the mixture was added 5-chloro-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-formaldehyde (0.41 g, 2.10 mmol). The resulting mixture was stirred at room temperature for 12 h. After the reaction was completed, tetrahydrofuran was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (0.38 g, yield: 75.0%).

MS-ESI: m/z 241.0 $[M+H]^+$.

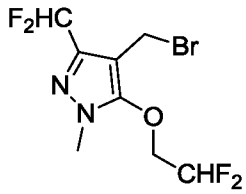
Step 2: synthesis of (5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl -1*H*-pyrazol-4-yl)methanol



[00181]5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-formaldehyde (0.38 g, 1.58 mmol) was dissolved in anhydrous methanol (10 mL) and the mixture was stirred at 0 °C, then sodium borohydride (0.12 g, 3.16 mmol) was added in portions to the mixture. After addition, the resulting mixture was warmed to room temperature and stirred for 4 h. After the reaction was completed, methanol was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give light yellow liquid (0.30 g, yield: 78.0%).

MS-ESI: m/z 243.0 $[M+H]^+$.

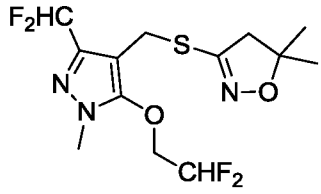
Step 3: synthesis of 4-(bromomethyl)-5-(2,2-difluoroethoxy)-3-(difluoromethyl) -1-methyl-1*H*-pyrazole



[00182](5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl)methanol (0.30 g, 1.23 mmol) was dissolved in dicloromethane (15 mL), and the mixture was stirred at 0 °C, then a solution of phosphorus tribromide (0.40 g, 1.47 mmol) in dicloromethane (10 mL) was added slowly into the mixture while controlling the temperature below 5 °C. After addition, the resulting mixture was warmed to room temperature and stirred for 3.5 h. After the reaction was completed, the reaction was quenched with ice-water (20 mL), then the resulting mixture was extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent, and the residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 10/1) to give yellow liquid (0.36 g, yield: 98.0%).

MS-ESI: m/z 305.9 $[M+H]^+$.

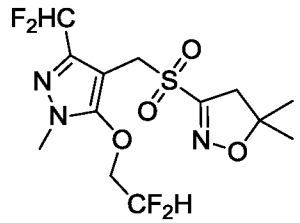
Step 4: synthesis of 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol -4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole



[00183] 4-(Bromomethyl)-5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazole (0.36 g, 1.23 mmol) and 5,5-dimethyl-4,5-dihydroisoxazol-3-yl isothiourea hydrobromide (0.37 g, 1.47 mmol) was dissolved in acetonitrile (20 mL). The mixture was stirred at room temperature for 10 min, then potassium carbonate (0.68 g, 4.92 mmol) was added into the mixture. The resulting mixture was stirred for 12 h, then stopped. Acetonitrile was removed. The resulting mixture was washed with water (30 mL). The mixture was extracted with ethyl acetate (30 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (0.38 g), yield: 86.0%.

MS-ESI: m/z 356.1 $[M+H]^+$.

Step 5: synthesis of 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-methyl -1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



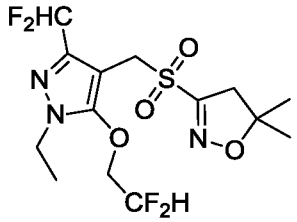
[00184] 3-(((5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-methyl-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole (0.38 g, 1.07 mmol) was dissolved in dichloromethane (20 mL). The mixture was stirred at room temperature, then to the reaction mixture was added m-CPBA (75%, 0.56 g, 2.46 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with saturated aqueous sodium hydrogen sulfite (30 mL) and saturated aqueous sodium bicarbonate (30 mL), then extracted with dichloromethane (30 mL). The combined organic layers dried over anhydrous sodium sulfate, and then concentrated *in vacuo* to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give a white solid (0.30 g), yield: 72.3%.

MS-ESI: m/z 388.0$[M+H]^+$;

^{1}H NMR (400 MHz, $CDCl_3$) δ 6.72 (t, *J* = 54.8 Hz, 1H), 6.10 (tt, *J* = 54.5, 3.6 Hz, 1H), 4.58

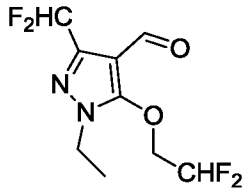
(s, 2H), 4.47 (td, J = 13.5, 3.6 Hz, 2H), 3.78 (s, 3H), 3.10 (s, 2H), 1.51 (s, 6H).

Example 6: 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



(26)

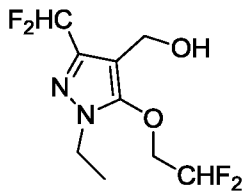
Step 1: synthesis of 5-(2,2-difluoroethoxy-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol -4-formaldehyde



[00185] Potassium *tert*-butoxide (0.81 g, 7.20 mmol) was dissolved in anhydrous tetrahydrofuran (30 mL) and the mixture was stirred at 0 °C, then 2,2-difluoroethanol (0.59 g, 7.20 mmol) was added into the mixture. After addition, the resulting mixture was stirred for 2 h, then to the mixture was added 5-chloro-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-formaldehyde (1.00 g, 4.80 mmol). The resulting mixture was stirred at room temperature for 12 h. After the reaction was completed, tetrahydrofuran was removed, and the residue was washed with water (20 mL), then extracted with ethyl acetate (40 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give yellow liquid (1.00 g, yield:91.6%).

MS-ESI: m/z 255.0 $[M+H]^+$.

Step 2: synthesis of (5-(2,2-difluoroethoxy-3-(difluoromethyl)-1-ethyl-1*H* -pyrazol-4-yl)methanol

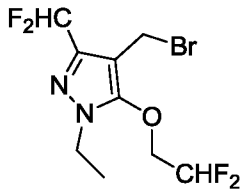


[00186] 5-(2,2-Difluoroethoxy-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-formaldehyde (1.00 g, 4.30 mmol) was dissolved in anhydrous methanol (20 mL) and the mixture was stirred at 0 °C, then sodium borohydride (0.33 g, 8.60 mmol) was added in portions to the mixture. After addition, the resulting mixture was warmed to room temperature and stirred for 4 h. After the

reaction was completed, methanol was removed, and the residue was washed with water (30 mL), then extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give the crude product as light yellow liquid (1.00 g, yield：98.0%).

MS-ESI: m/z 257.0 $[M+H]^+$.

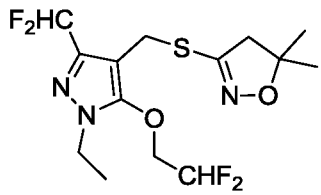
Step 3: synthesis of 4-(bromomethyl)-5-(2,2-difluoroethoxy)-3-(difluoromethyl) -1-ethyl-1*H*-pyrazole



[00187]（5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-yl)methanol (1.00 g, 3.89 mmol) was dissolved in dicloromethane (30 mL), and the mixture was stirred at 0 °C, then a solution of phosphorus tribromide (1.26 g, 4.67 mmol) in dicloromethane (10 mL) was added slowly into the mixture while controlling the temperature below 5 °C. After addition, the resulting mixture was warmed to room temperature and stirred for 3.5 h. After the reaction was completed, the reaction was quenched with ice-water (30 mL), then the resulting mixture was extracted with ethyl acetate (60 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent, and the residue was purified by cholumn chromatography (eluent: Petroleum ether/EtOAc (v/v) = 10/1） to give yellow liquid (1.09 g, yield:88.0%).

MS-ESI: m/z 320.0 $[M+H]^+$.

Step 4: synthesis of 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol -4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole

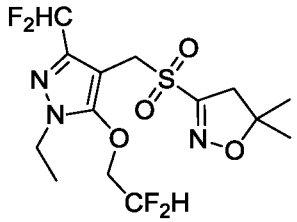


[00188]4-(Bromomethyl)-5-(2,2-difluoroethoxy)-3-difluoromethyl-1-ethyl-1*H*-pyrazole (1.00 g, 3.41 mmol) and 5,5-dimethyl-4,5-dihydroisoxazol-3-yl isothiourea hydrobromide (1.04 g, 4.10 mmol) was dissolved in acetonitrile (20 mL). The mixture was stirred at room temperature for 10 min, then potassium carbonate (1.89 g, 13.64 mmol) was added into the mixture. The resulting

mixture was stirred for 12 h, then stopped. Acetonitrile was removed. The residue was washed with water (50 mL). The resulting mixture was extracted with ethyl acetate (50 mL) for three times. The combined organic layers were concentrated *in vacuo* to remove the solvent and give the crude product as yellow liquid (1.00 g), yield: 80.0%.

MS-ESI: m/z 370.1 $[M+H]^+$.

Step 5: 3-(((5-(2,2-difluoroethoxy-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-yl) methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole



[00189] 3-(((5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-ethyl-1*H*-pyrazol-4-yl)methyl)thio)-5, 5-dimethyl-4,5-dihydroisoxazole (1.00 g, 2.70 mmol) was dissolved in dichloromethane (40 mL). The mixture was stirred at room temperature, then to the reaction mixture was added m-CPBA (75%, 1.40 g, 6.22 mmol). The mixture reacted for 5 h and then the reaction was stopped. The reaction mixture was washed with 30 mL of saturated aqueous sodium hydrogen sulfite and saturated aqueous sodium bicarbonate, then extracted with dichloromethane (50 mL). The combined organic layers dried over anhydrous sodium sulfate, and then concentrated *in vacuo* to remove the solvent. The residue was purified by column chromatography (eluent: petroleum ether/EtOAc (v/v) = 5/1) to give colorless liquid (0.59 g), yield: 55.0%.

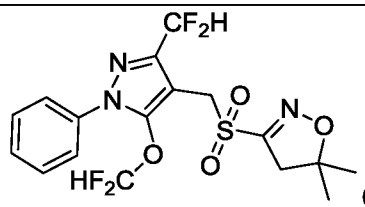
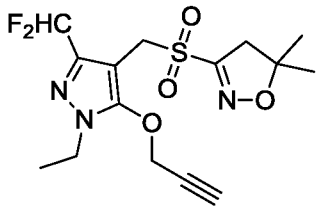
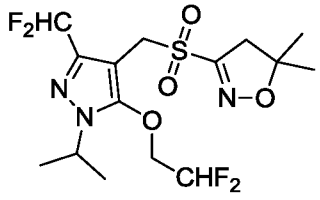
MS-ESI: m/z 402.1 $[M+H]^+$;

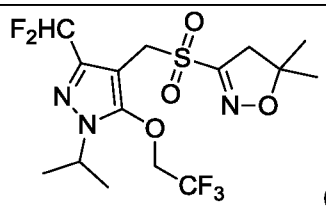
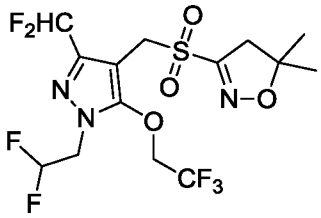
^{1}H NMR (400 MHz, $CDCl_3$) δ 6.72 (t, *J* = 54.8 Hz, 1H), 6.10 (tt, *J* = 54.4, 3.6 Hz, 1H), 4.58 (s, 2H), 4.46 (td, *J* = 13.5, 3.6 Hz, 2H), 4.09 (q, *J* = 7.3 Hz, 2H), 3.09 (s, 2H), 1.51 (s, 6H), 1.44 (t, *J* = 7.3 Hz, 3H).

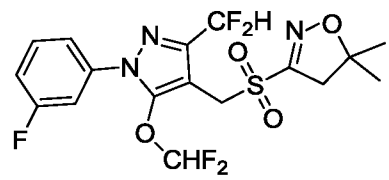
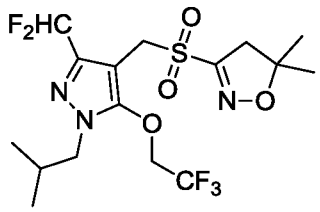
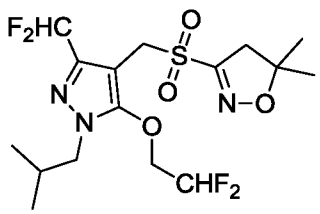
[00190] The objective compounds listed in table 1 were prepared by using corresponding reagents and referring to examples of the invention.

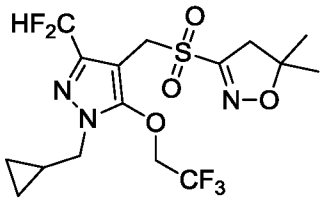
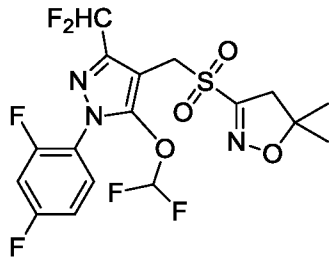
Table 1

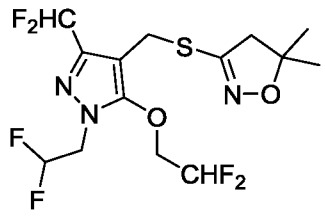
Example	**Sturcture, number, chemical name and characterization data of the compound**	**Reference**

Example	Sturcture, number, chemical name and characterization data of the compound	Reference
Example 7	 (9) 3-(((5-(difluoromethoxy)-3-(difluoromethyl)-1-phenyl-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid MS-ESI:436.1 m/z $[M+H]^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, *J* = 7.5 Hz, 2H), 7.48 (dt, *J* = 24.0, 7.3 Hz, 3H), 6.89 (t, *J* = 38.4 Hz, 1H), 6.60 (t, *J* = 55.4 Hz, 1H), 4.69 (s, 2H), 3.14 (s, 2H), 1.53 (s, 6H).	The compound was prepared according to the preparation method of example 1.
Example 8	 (23) 3-(((3-(difluoromethyl)-1-ethyl-5-(prop-2-yn-1-yloxy)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole Colorless liquid. MS-ESI: m/z 376.1 $[M+H]^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.74 (t, *J* = 54.9 Hz, 1H), 4.94 (d, *J* = 2.3 Hz, 2H), 4.63 (s, 2H), 4.13 (q, *J* = 7.2 Hz, 2H), 3.07 (s, 2H), 2.66 (t, *J* = 2.3 Hz, 1H), 1.50 (s, 6H), 1.44 (t, *J* = 7.3 Hz, 3H).	The compound was prepared according to the preparation method of example 2.
Example 9	 (28) 3-(((5-(2,2-difluoroethoxy)-3-(difluoromethyl)-1-isopropyl-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole	The compound was prepared according to the preparation method of example 2.

Example	Sturcture, number, chemical name and characterization data of the compound	Reference
	White solid. MS-ESI: m/z 416.1 $[M+H]^+$; ^1H NMR (400 MHz, $CDCl_3$) δ 6.71 (t, *J* = 54.7 Hz, 1H), 6.10 (tt, *J* = 54.5, 3.6 Hz, 1H), 4.66 – 4.52 (m, 3H), 4.45 (td, *J* = 13.5, 3.6 Hz, 2H), 3.09 (s, 2H), 1.51 (s, 6H), 1.46 (d, *J* = 6.7 Hz, 6H).	
Example 10	 (29) 3-(((3-(difluoromethyl)-1-isopropyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid. MS-ESI: m/z 434.1 $[M+H]^+$; ^1H NMR (600 MHz, $CDCl_3$) δ 6.72 (t, *J* = 54.7 Hz, 1H), 4.66 (q, *J* = 8.1 Hz, 2H), 4.61 – 4.54 (m, 3H), 3.10 (s, 2H), 1.51 (s, 6H), 1.46 (d, *J* = 6.7 Hz, 6H).	The compound was prepared according to the preparation method of example 2.
Example 11	 (30) 3-(((1-(2,2-difluoroethyl)-3-(difluoromethyl)-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid. MS-ESI: m/z 456.0 $[M+H]^+$; ^1H NMR (400 MHz, $CDCl_3$) δ 6.76 (t, *J* = 54.6 Hz, 1H), 6.15 (tt, *J* = 55.2, 4.3 Hz, 1H), 4.70 (q, *J* = 8.0 Hz, 2H), 4.60 (s, 2H), 4.41 (td, *J* = 12.9, 4.2 Hz, 2H), 3.11 (s, 2H), 1.52 (s, 6H).	The compound was prepared according to the preparation method of example 2.

Example	Sturcture, number, chemical name and characterization data of the compound	Reference
Example 12	 (35) 3-(((5-(Difluoromethoxy)-3-(difluoromethyl)-1-(3-fluorophenyl)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid. MS-ESI:454.1 m/z $[M+H]^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.35 (m, 3H), 7.15 (t, *J* = 8.0 Hz, 1H), 6.92 (d, *J* = 54.5 Hz, 1H), 6.64 (t, *J* = 43.0 Hz, 1H), 4.68 (s, 2H), 3.14 (s, 2H), 1.53 (s, 6H).	The compound was prepared according to the preparation method of example 1.
Example 13	 (40) 3-(((3-(Difluoromethyl)-1-isobutyl-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid MS-ESI: m/z 448.1 $[M+H]^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.73 (t, *J* = 54.8 Hz, 1H), 4.65 (q, *J* = 8.1 Hz, 2H), 4.62 – 4.54 (m, 2H), 3.84 (d, *J* = 7.4 Hz, 2H), 3.10 (s, 2H), 2.25 (td, *J* = 13.6, 6.7 Hz, 1H), 1.51 (s, 6H), 0.91 (d, *J* = 6.8 Hz, 6H).	The compound was prepared according to the preparation method of example 2.
Example 14	 (41) 3-(((5-(2,2-Difluoroethoxy)-3-(difluoromethyl)-1-isobutyl-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-	The compound was prepared according to the preparation method of example 2.

Example	Sturcture, number, chemical name and characterization data of the compound	Reference
	dihydroisoxazole White solid MS-ESI: m/z 430.1[M+H]$^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.72 (t, *J* = 54.8 Hz, 1H), 6.09 (tt, *J* = 54.5, 3.6 Hz, 1H), 4.59 (s, 2H), 4.46 (td, *J* = 13.4, 3.6 Hz, 2H), 3.83 (d, *J* = 7.4 Hz, 2H), 3.09 (s, 2H), 2.25 (td, *J* = 13.6, 6.7 Hz, 1H), 1.51 (s, 6H), 0.91 (d, *J* = 6.7 Hz, 6H).	
Example 15	 (44) 3-(((1-(Cyclopropylmethyl)-3-(difluoromethyl)-5-(2,2,2-trifluoroethoxy)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole White solid MS-ESI: m/z 446.1 [M+H]$^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.74 (t, *J* = 54.8 Hz, 1H), 4.67 (q, *J* = 8.0 Hz, 2H), 4.59 (s, 2H), 3.91 (d, *J* = 7.1 Hz, 2H), 3.10 (s, 2H), 1.52 (s, 6H), 1.28 (dd, *J* = 13.6, 6.3 Hz, 1H), 0.60 (q, *J* = 5.6 Hz, 2H), 0.39 (q, *J* = 5.1 Hz, 2H).	The compound was prepared according to the preparation method of example 2.
Example 16	 (48) 3-(((5-(Difluoromethoxy)-3-(difluoromethyl)-1-(2,4-difluorophenyl)-1*H*-pyrazol-4-yl)methyl)sulfonyl)-5,5-dimethyl-4,5-dihydroisoxazole white solid MS-ESI:472.1 m/z [M+H]$^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 – 7.44 (m, 1H), 7.11 –	The compound was prepared according to the preparation method of example 1.

Example	Sturcture, number, chemical name and characterization data of the compound	Reference
	6.97 (m, 2H), 6.94 – 6.70 (m, 1H), 6.58 (d, *J* = 71.6 Hz, 1H), 4.68 (s, 2H), 3.12 (s, 2H), 1.53 (s, 6H).	
Example 17	 (32) 3-(((5-(2,2-difluoroethoxy)-1-(2,2-difluoroethyl)-3-(difluoromethyl)-1*H*-pyrazol-4-yl)methyl)thio)-5,5-dimethyl-4,5-dihydroisoxazole Light yellow liquid. MS-ESI: m/z 406.0 $[M+H]^+$; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.59 (t, *J* = 54.0 Hz, 1H), 6.09 (tt, *J* = 54.2, 3.5 Hz, 2H), 4.47 (td, *J* = 13.3, 3.7 Hz, 2H), 4.34 (td, *J* = 13.2, 4.3 Hz, 2H), 4.27 (s, 2H), 2.79 (s, 2H), 1.42 (s, 6H).	The compound was prepared according to step 1 to step 4 of example 5; or prepared according to step 1 to step 4 of example 6.

Biological examples

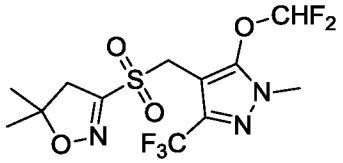
[00191]Preparation of compound: A certain amount of the compound of the invention was weighed on an analytical balance (0.0001 g), dissolved in DMF containing 1% Tween-80 emulsifier to prepare a 1.0 wt% mother liquor, and then the mother liquid was diluted with distilled water for use.

[00192]Test method: potted plant method, the target of the test was *abutilon theophrastis*, *amaranthus retroflexus*, *eclipta prostrata*, *digitaria sanguinalis*, *echinochloa crusgalli* and *setaria viridis*. A flower pot with an inner diameter of 7.5 cm was taken, and compound soil (garden soil: seedling substrate, 1:2, v/v) was filled to 3/4 of the flower pot, then the above six weed targets (bud rate ≥85%) were sown directly with covering soil 0.2 cm. Water was added to keep the soil moist for 24 hours for use. Each compound placed in an automatic spray tower (model: 3WPSH-700E) was applied to the weed targets at a dose of 150 g a.i./ha. After the liquid on the soil surface was dried, the weed targets in the flower pot were transferred to a greenhouse

for culture, and 25 days later, the activity of each compound against weed was investigated (%). wherein "0" denotes crop had no injury or was in normal growth process, "100" denotes crop had no emergence or at least part of the crop on the above ground was completely dead.

[00193] The inventor tested the herbicidal activity of compounds (20), (21), (24), (25), (27) and (28) according to the above test method, found that the herbicidal activity of compound (21) was superior to that of compound (20), the herbicidal activity of compound (24) was superior to that compound (25), and the herbicidal activity of compound (28) was superior to that of compound (27). For example, at a dose of 150 g a.i. / ha, the herbicidal activity of compound (21), compound (24) and compound (28) on *abutilon theophrastis* was 95%, 100%, and 90%, while the herbicidal activity of compound (20), compound (25), and compound (27) on *abutilon theophrastis* was 50%, 20%, 30%.

[00194] Meanwhile, the inventor used Pyroxasulfone (Wherein Pyroxasulfone was the compound 3-0054 listed in table 13 in WO2002062770, and was obtained according to the method described therein) as control, and tested the herbicidal activity.



[00195] The test results were shown in Table 2.

[00196] Table 2 The pre-emergence herbicidal activity of compound of the invention at a dose of 150 g a.i./ha

Example	**No.**	***Abutilon theophrastis***	***Amaranthus retroflexus***	***Eclipta prostrata***	***Digitaria sanguinalis***	***Echinochloa crusgalli***	***Setaria viridis***
Example 1	(31)	95	90	90	100	100	100
Example 2	(24)	100	100	90	90	100	95
Example 3	(5)	60	100	100	100	100	98
Example 4	(21)	95	100	100	100	100	95
Example 5	(22)	95	100	100	100	100	100
Example 6	(26)	65	100	100	100	100	100

Pyroxasulfone	Pyroxasulfone	10	60	60	80	85	85

[00197]The results in Table 2 show that the herbicidal activities of the compound of the present invention against *abutilon theophrastis*, *amaranthus retroflexus*, *eclipta prostrata*, *digitaria sanguinalis*, *echinochloa crusgalli* and *setaria viridis* at 150 g a.i./ha are superior to those of Pyroxasulfone.

Crop safety test

[00198]Preparation of compound: A certain amount of the compound of the invention was weighed on an analytical balance (0.0001 g), dissolved in DMF containing 1 % Tween-80 emulsifier to prepare a 1.0 wt% mother liquor, and then the mother liquid was diluted with distilled water for use.

[00199]Test method: potted plant method, the test targets were soybean, peanut, cotton, oilseed rape. A flower pot with an inner diameter of 7.5 cm was taken, and compound soil (garden soil: seedling substrate, 1:2, v/v) was filled to 3/4 of the flower pot, then the above four weed targets (bud rate ≥85%) were sown directly with covering soil 0.2 cm. Water was added to keep the soil moist for 24 hours for use. Each compound placed in an automatic spray tower (model: 3WPSH-700E) was applied to the weed targets at a specified dose. After the liquid on the soil surface was dried, the weed targets in the flower pot were transferred to a greenhouse for culture, and 25 days later, the phytotoxicity of each compound to crops was investigated (%). wherein "0" denotes crop had no injury or was in normal growth process, "100" denotes crop had no emergence or at least part of the crop on the above ground was completely dead.

[00200]The test results were shown in tables 3-5.

[00201]Table 3 safety of the compounds of the invention for soybean and peanut

Number	**Dose**	**preemergence treatment**	
	g a.i./hm^2	**soybean**	**peanut**
Example 1	150	0	0
	300	0	0
	600	0	0
Example 2	150	0	0

Number	Dose g a.i./hm^2	preemergence treatment	
		soybean	peanut
	300	0	0
	600	0	0
Example 3	150	0	0
	300	0	0
	600	0	0
Example 4	150	0	0
	300	0	0
	600	0	0
Pyroxasulfone	150	0	0
	300	20	20
	600	30	30

[00202] Table 4 safety of the compounds of the invention for cotton

Number	Dose g a.i./hm^2	preemergence treatment
		cotton
Example 1	150	0
	300	0
	600	0
Example 2	150	0
	300	10
	600	20
Example 3	150	0
	300	20
Example 4	150	10
	300	20
Pyroxasulfone	150	40
	300	55

Number	Dose g a.i./hm^2	preemergence treatment
		cotton
	600	85

[00203] Table 5 safety of the compounds of the invention for oilseed rape

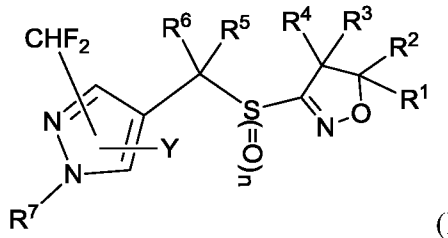
Number	Dose g a.i./hm^2	preemergence treatment
		oilseed rape
Example 1	150	0
Example 2	150	20
Example 3	150	0
Example 4	150	20
Pyroxasulfone	150	50

[00204] The results in tables 3-5 show that the compounds of the present invention are very safe for soybean, peanut, cotton and oilseed rape. The compounds of the invention show better safety for crops compared with Pyroxasulfone at the same dose.

[00205] The compounds of the invention have good control effects on broadleaf weeds (such as *abutilon theophrastis*, *amaranthus retroflexus*, *eclipta prostrata*,) and grass weeds (such as *digitaria sanguinalis*, *echinochloa crusgalli*, *setaria viridis*); The compounds of the invention are safe for crops, and the effects on weed control of the compounds in the invention are better than commercially available herbicides and structurally similar isoxazolines, thus the compounds of the invention have excellent application prospects.

What is claimed is:

1. A compound having Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof,



(I);

wherein,

Y is alkoxy, alkoxyalkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy or haloalkynyloxy;

each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, haloalkyl, cycloalkyl or cycloalkylalkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, alkyl, alkenyl or alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 12-membered ring;

n is 0, 1 or 2;

R^7 is alkyl, haloalkyl, aryl, arylalkyl, aryl-C(=O)-, aryl-$S(=O)_m$-, heteroaryl, heteroarylalkyl, heteroaryl-C(=O)-, heteroaryl-$S(=O)_m$-, cycloalkyl, cycloalkylalkyl, cycloalkyl-C(=O)-, cycloalkyl-$S(=O)_m$-, heterocyclyl, heterocyclylalkyl, heterocyclyl-C(=O)- or heterocyclyl-$S(=O)_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each m is independently 0, 1 or 2;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-8} alkyl, haloC_{1-8} alkyl, C_{1-8} alkyl-C(=O)-, haloC_{1-8} alkyl-C(=O)-, C_{2-8} alkenyl, haloC_{2-8} alkenyl, C_{2-8} alkynyl, haloC_{2-8} alkynyl, C_{1-8} alkoxy, haloC_{1-8} alkoxy, C_{1-8} alkylamino, C_{1-8} alkylthio, haloC_{1-8} alkylamino, haloC_{1-8} alkylthio, C_{6-14} aryl, C_{6-14} aryloxy, C_{1-9} heteroaryl or C_{1-9} heteroaryloxy;

with the proviso that:

when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^1 is methyl, R^2 is not chloromethyl; or, when Y is methoxy or difluoromethoxy, R^7 is ethyl or isopropyl, R^5 and R^6 are both hydrogen, n is 0 or 2, R^3 and R^4 are both hydrogen, and R^2 is methyl, R^1 is not chloromethyl.

2. The compound of claim 1, wherein,

Y is C_{1-6} alkoxy, C_{1-6} alkoxy-C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, haloC_{1-6} alkoxy, haloC_{2-6} alkenyloxy or haloC_{2-6} alkynyloxy;

each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-6} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, C_{2-6} alkenyl or C_{2-6} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 8-membered ring;

R^7 is C_{1-6} alkyl, haloC_{1-6} alkyl, C_{6-14} aryl, C_{6-14} aryl-C_{1-6} alkyl, C_{6-14} aryl-C(=O)-, C_{6-14} aryl-S(=O)$_m$-, C_{1-9} heteroaryl, C_{1-9} heteroaryl-C_{1-6} alkyl, C_{1-9} heteroaryl-C(=O)-, C_{1-9} heteroaryl-S(=O)$_m$-, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl-C_{1-6} alkyl, C_{3-8} cycloalkyl-C(=O)-, C_{3-8} cycloalkyl-S(=O)$_m$-, C_{2-10} heterocyclyl, C_{2-10} heterocyclyl-C_{1-6} alkyl, C_{2-10} heterocyclyl-C(=O)- or C_{2-10} heterocyclyl-S(=O)$_m$-; and wherein R^7 is optionally substituted with1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-6} alkyl, haloC_{1-6} alkyl, C_{1-6} alkyl-C(=O)-, haloC_{1-6} alkyl-C(=O)-, C_{2-6} alkenyl, haloC_{2-6} alkenyl, C_{2-6} alkynyl, haloC_{2-6} alkynyl, C_{1-6} alkoxy, haloC_{1-6} alkoxy, C_{1-6} alkylamino, C_{1-6} alkylthio, haloC_{1-6} alkylamino, haloC_{1-6} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

3. The compound of claim 1 or 2, wherein

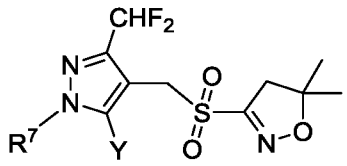
each of R^1 and R^2 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino,

nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^1 and R^2 together with the carbon atom to which they are attached, form a 3- to 6-membered ring;

each of R^3 and R^4 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-3} alkyl, haloC_{1-3} alkyl, C_{3-6} cycloalkyl or C_{3-6} cycloalkyl-C_{1-3} alkyl; or, R^3 and R^4 together with the carbon atom to which they are attached, form a 3- to 6-membered ring;

each of R^5 and R^6 is independently hydrogen, fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, or C_{2-4} alkynyl; or, R^5 and R^6 together with the carbon atom to which they are attached, form a 3- to 6-membered ring.

4. A compound of any one of claims 1 to 3 having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof:



(Ia).

5. The compound of any one of claims 1 to 4, wherein

Y is C_{1-4} alkoxy, C_{1-4} alkoxy-C_{1-4} alkoxy, C_{2-4} alkenyloxy, C_{2-4} alkynyloxy, haloC_{1-4} alkoxy, haloC_{2-4} alkenyloxy or haloC_{2-4} alkynyloxy.

6. The compound of any one of claims 1 to 5, wherein

Y is $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OCH_2OCH_3$, $-OCH_2CH_2OCH_3$, $-OCH_2CH_2CH_2OCH_3$, $-OCH_2OCH_2CH_3$, $-OCH_2CH_2OCH_2CH_3$, $-OCH_2F$, $-OCHF_2$, $-OCF_3$, $-OCH_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CH_3$, $-OCH_2CH_2CF_3$, $-O-CH=CH_2$, $-O-CH_2CH=CH_2$, $-O-C\equiv CH$, $-OC\equiv CCH_3$ or $-O-CH_2-C\equiv CH$.

7. The compound of any one of claims 1 to 6, wherein

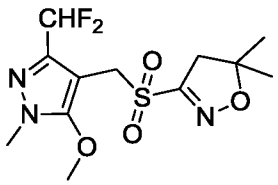
R^7 is C_{1-4} alkyl, haloC_{1-4} alkyl, C_{6-10} aryl, C_{6-10} aryl-C_{1-4} alkyl, C_{6-10} aryl-C(=O)-, C_{6-10} aryl-S(=O)$_m$-, C_{1-6} heteroaryl, C_{1-6} heteroaryl-C_{1-4} alkyl, C_{1-6} heteroaryl-C(=O)-, C_{1-6} heteroaryl-S(=O)$_m$-, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl, C_{3-6} cycloalkyl-C(=O)-, C_{3-6} cycloalkyl-S(=O)$_m$-, C_{2-6} heterocyclyl, C_{2-6} heterocyclyl-C_{1-4} alkyl, C_{2-6} heterocyclyl-C(=O)- or C_{2-6} heterocyclyl-S(=O)$_m$-; and wherein R^7 is optionally substituted with 1, 2, 3, 4, 5 or 6 substituents selected from R^a;

each R^a is independently fluorine, chlorine, bromine, iodine, amino, nitro, cyano, hydroxy, carboxy, C_{1-4} alkyl, haloC_{1-4} alkyl, C_{1-4} alkyl-C(=O)-, haloC_{1-4} alkyl-C(=O)-, C_{2-4} alkenyl, haloC_{2-4} alkenyl, C_{2-4} alkynyl, haloC_{2-4} alkynyl, C_{1-4} alkoxy, haloC_{1-4} alkoxy, C_{1-4} alkylamino, C_{1-4} alkylthio, haloC_{1-4} alkylamino, haloC_{1-4} alkylthio, C_{6-10} aryl, C_{6-10} aryloxy, C_{1-6} heteroaryl or C_{1-6} heteroaryloxy.

8. The compound of any one of claims 1 to 7, wherein

R^7 is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH(CH_3)_2$, $-CH_2F$, $-CHF_2$, $-CH_2Cl$, $-CH_2Br$, $-CF_3$, $-CH_2CF_3$, $-CH_2CH_2F$, $-CH_2CH_2Cl$, $-CH_2CH_2Br$, $-CH_2CHF_2$, $-CH_2CH_2CF_3$, $-CH_2CH_2CH_2F$, $-CH_2CH_2CH_2Cl$, $-CH_2CH_2CH_2Br$, $-CHFCH_2CH_3$, $-CHClCH_2CH_3$, cyclopropylmethyl, phenyl, 3-fluorophenyl, 2,4-difluorophenyl or phenylsulfonyl.

9. The compound of any one of claims 1 to 8 having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof,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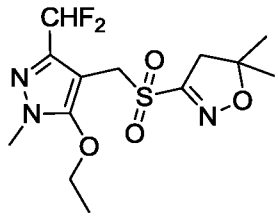
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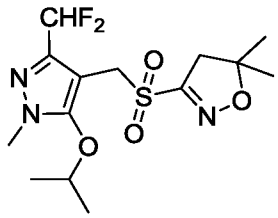
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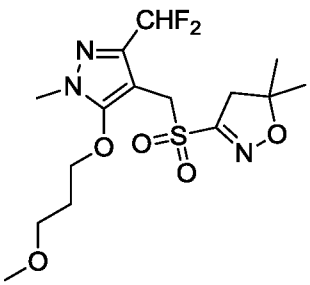
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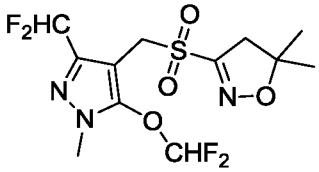
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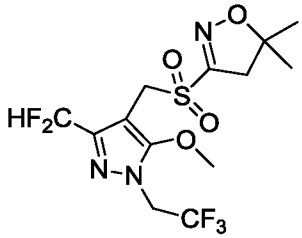
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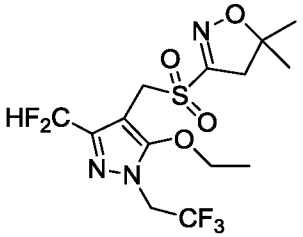
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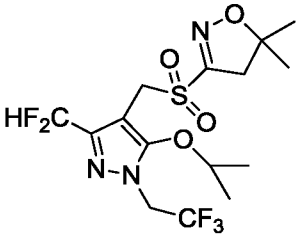
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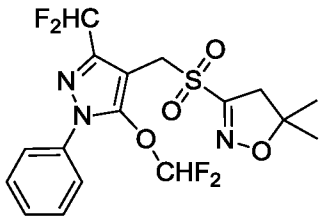
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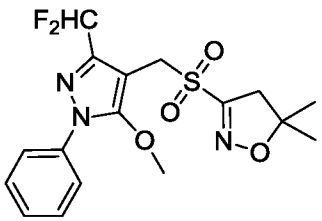
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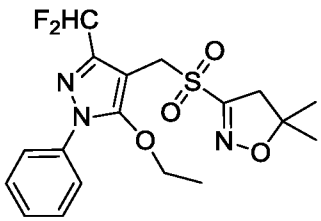
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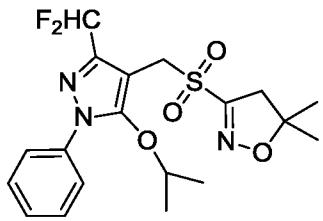
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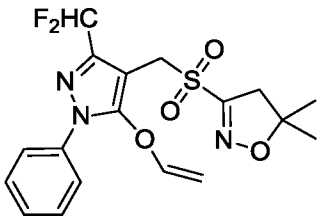
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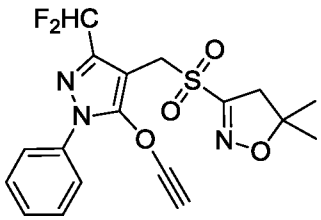
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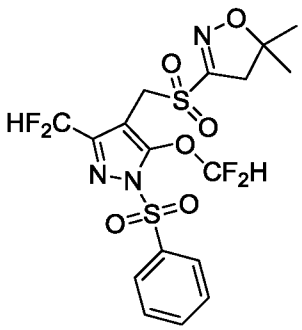
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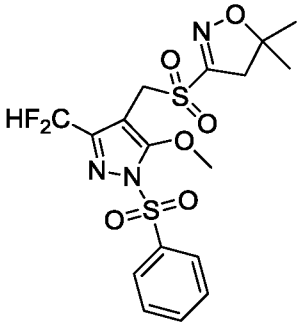
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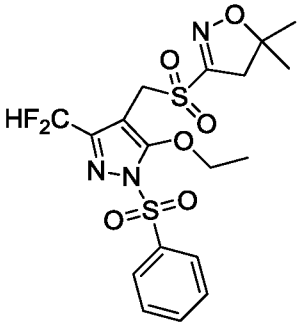
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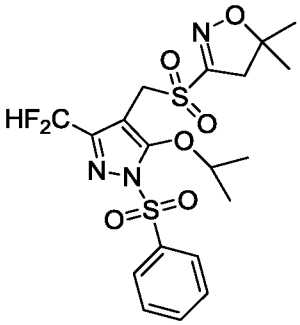
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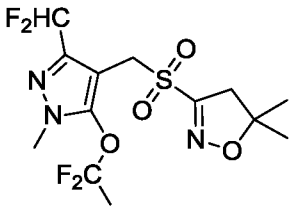
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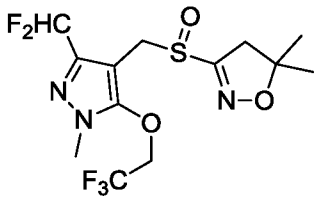
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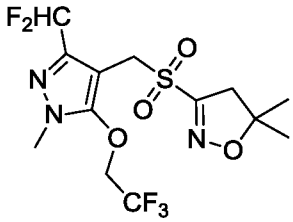
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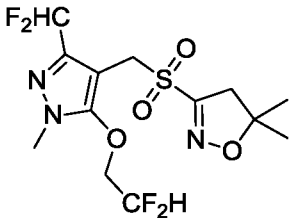
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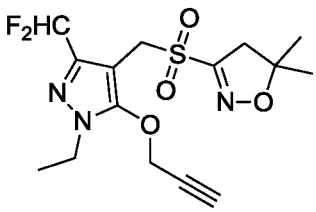
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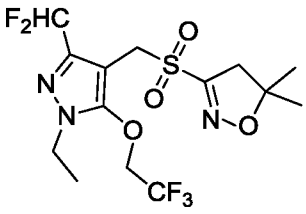
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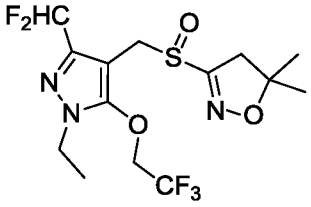
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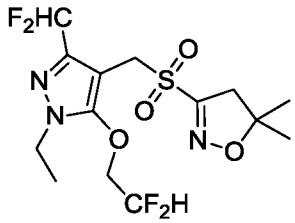
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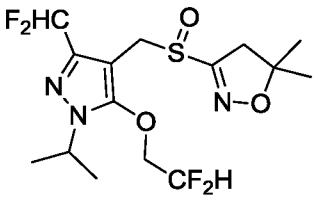
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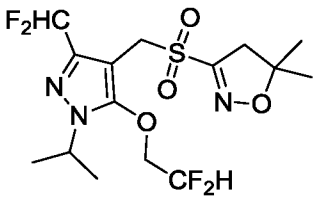
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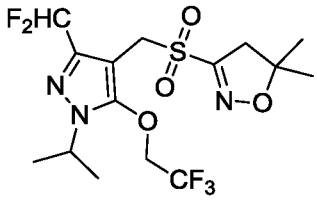
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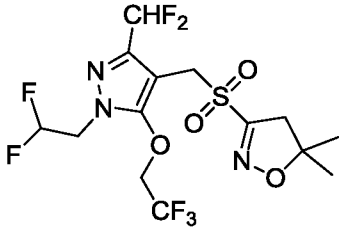
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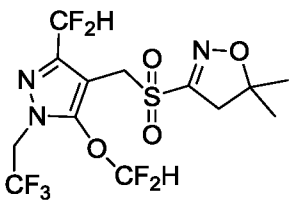
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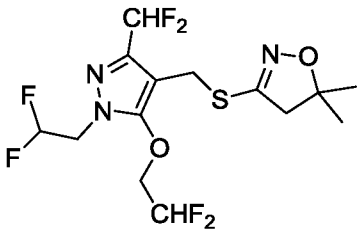
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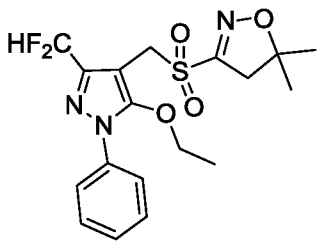
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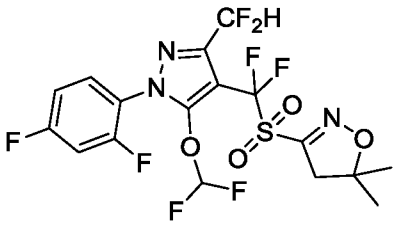
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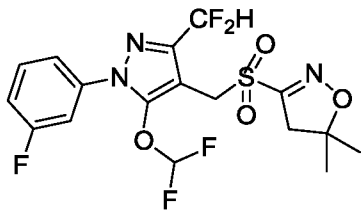
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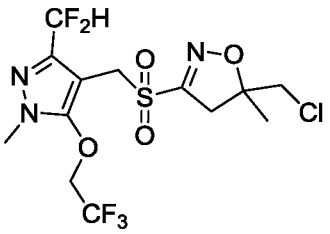
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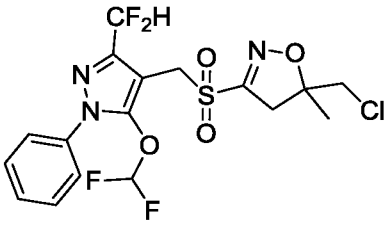
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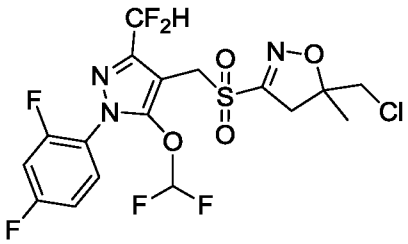
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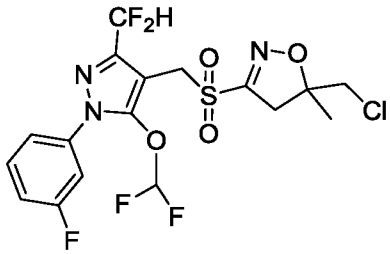
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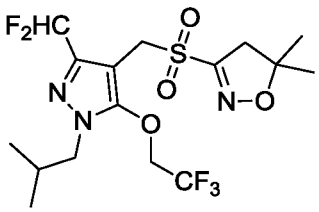
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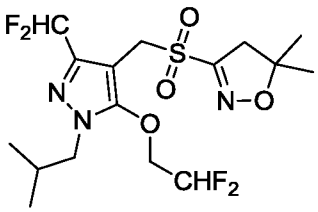
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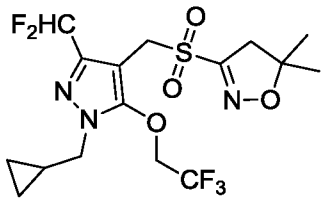
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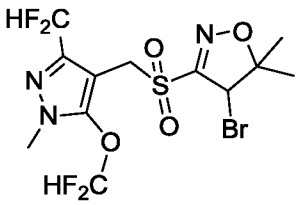
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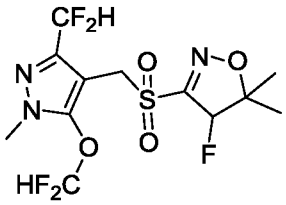
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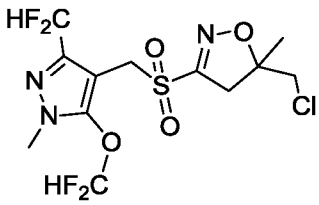
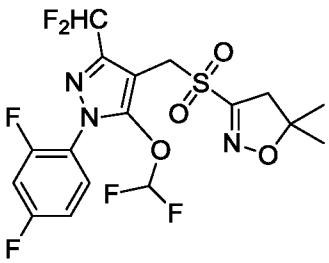

(47) or

(48).

10. A composition comprising the compound of any one of claims 1 to 9.

11. The composition of claim 10 further comprising at least one additional component required for the formulation.

12. Use of the compound of any one of claims 1 to 9 or the composition of claim 10 or 11 in agriculture.

13. Use of the compound of any one of claims 1 to 9 or the composition of claim 10 or 11 as an herbicide.

14. A method for controlling the growth of weed in a growing field of useful plant comprising applying an effective amount of the compound of any one of claims 1 to 9 or the composition of claim 10 or 11 to the field before seedling.

15. The method of claim 14, wherein the weed comprises broadleaf weed and grass weed;

and optionally, the broadleaf is *abutilon theophrastis*, *amaranthus retroflexus* or *eclipta prostrata*;

and optionally, the grass weed is *digitaria sanguinalis*, *echinochloa crusgalli* or *setaria viridis*.

16. The method of claim 14, wherein the useful plant is crop;

and optionally, the crop is cotton, oilseed rape, soybean or peanut.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/CN2020/075509

A. CLASSIFICATION OF SUBJECT MATTER

C07D 261/04(2006.01)i; C07D 413/12(2006.01)i; A01N 43/80(2006.01)i; A01N 25/32(2006.01)i

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D A01N

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

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

WPI EPODOC STN CNABS CNTXT USTXT EPTXT WOTXT: isoxazoline, agriculture, herbicide, structure searching according to the formula

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2010255988 A1 (BAYER CROPSCIENCE AG) 07 October 2010 (2010-10-07) claims 3-4, 7-11, pages 34, 36, ABSTRACT	1-16
X	WO 2004014138 A1 (KUMIAI CHEMICAL INDUSTRY CO.et al.) 19 February 2004 (2004-02-19) claims 1-22, TABLES 3-7 of pages 15-19	1-16
X	US 2004110749 A1 (KUMIAI CHEMICAL INDUSTRY CO.et al.) 10 June 2004 (2004-06-10) claims 1-18, TABLE 3 of pages 12-44, TABLE 13 of pages 115-118	1-16

☐ Further documents are listed in the continuation of Box C. ☑ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier application or patent but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
15 April 2020	**21 May 2020**
Name and mailing address of the ISA/CN **National Intellectual Property Administration, PRC** **6, Xitucheng Rd., Jimen Bridge, Haidian District, Beijing 100088** **China** Facsimile No. **(86-10)62019451**	Authorized officer **ZHANG,Yingshu** Telephone No. **86-(10)-53962163**

INTERNATIONAL SEARCH REPORT
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International application No.
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