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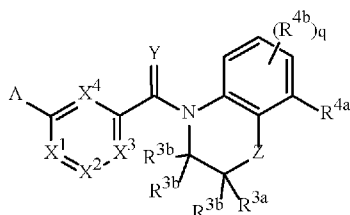
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(54) Title: SUBSTITUTED TETRAHYDROQUINOLINE AND TETRAHYDROQUINOXALINE HERBICIDES

(I)



(57) Abstract: Disclosed are compounds of Formula 1, including all stereoisomers, *N*-oxides, and salts thereof, agricultural compositions containing them and their use as herbicides wherein A is a 5- or 6-membered heterocyclic ring, containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S and up to 4 N atoms, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, sulfonyl, sulfinyl moiety, said ring bound to the remainder of Formula 1 through a carbon atom or a heteroatom, and optionally substituted with 1 to 4 R¹, and R¹, R^{3a}, R^{3b}, R^{4a}, R^{4b}, X¹, X², X³, X⁴, Z, Y and q are as defined in the disclosure.

TITLESUBSTITUTED TETRAHYDROQUINOLINE AND TETRAHYDROQUINOXALINE
HERBICIDESCROSS-REFERENCE TO RELATED APPLICATION

5 This application claims the benefit of U.S. Provisional Application No. 63/601264, filed November 21, 2023, all of which is incorporated by reference herein in its entirety.

FIELD OF THE INVENTION

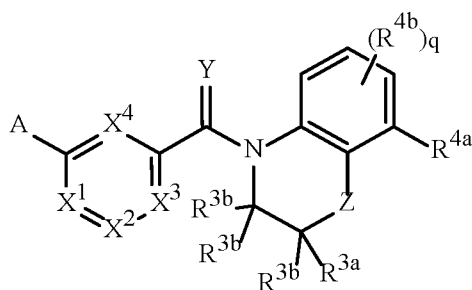
10 This invention relates to certain tetrahydroquinoline and tetrahydroquinoxaline herbicides, their *N*-oxides, salts and compositions, and methods of their use for controlling undesirable vegetation.

BACKGROUND OF THE INVENTION

The control of undesired vegetation is extremely important in achieving high crop efficiency. Achievement of selective control of the growth of weeds especially in such useful crops as rice, soybean, sugar beet, maize, potato, wheat, barley, tomato and plantation crops, among others, is very desirable. Unchecked weed growth in such useful crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of undesired vegetation in noncrop areas is also important. Many products are commercially available for these purposes, but the need continues for new compounds that are more effective, less costly, less toxic, environmentally safer or have different sites of action.

SUMMARY OF THE INVENTION

20 This invention is directed to compounds of Formula 1, all stereoisomers, *N*-oxides, and salts thereof, agricultural compositions containing them and their use as herbicides:



1

wherein

25 A is a 5- or 6-membered heterocyclic ring, containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 oxygen atoms, up to 2 sulfur atoms and up to 4 nitrogen atoms, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, thiocarbonyl, sulfonyl, sulfinyl moiety, said ring

bound to the remainder of Formula 1 through a carbon atom or a heteroatom, and optionally substituted with 1 to 4 R¹;

R¹ is independently R^{1a}, (R^{1b})_m, R^{1c} or any combination thereof;

R^{1a} is H, halogen, cyano, C₁–C₇ alkyl, C₂–C₇ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₃–C₇ hydroxycycloalkyl, C₁–C₆ hydroxyhaloalkyl, C₄–C₈ alkoxyalkyl, C₂–C₇ alkoxyhaloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ oxacycloalkylalkyl, C₃–C₇ alkylloxacycloalkyl, C₂–C₆ thiacycloalkyl, C₃–C₇ thiacycloalkylalkyl, C₃–C₇ alkylthiacycloalkyl, C₂–C₆ (O-thia)cycloalkyl, C₃–C₇ (O-thia)cycloalkylalkyl, C₃–C₇ alkyl(O-thia)cycloalkyl, C₂–C₆ (O₂thia)cycloalkyl, C₃–C₇ (O₂thia)cycloalkylalkyl, C₃–C₇ alkyl(O₂thia)cycloalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl, C₂–C₇ haloalkoxyalkyl, C₁–C₇ alkoxy, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₁–C₇ haloalkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₁–C₅ alkylsulfinyl, C₁–C₅ alkylsulfonyl, C₁–C₅ alkylsulfonate, C₁–C₅ haloalkylthio, C₁–C₅ haloalkylsulfinyl, C₁–C₅ haloalkylsulfonyl, C₂–C₇ alkylsulfinylalkyl, C₂–C₇ alkylsulfonylalkyl, C₂–C₇ haloalkylthioalkyl, C₂–C₇ haloalkylsulfinylalkyl, C₂–C₇ haloalkylsulfonylalkyl, C₄–C₇ alkylthiocycloalkyl, C₄–C₇ alkylsulfinylcycloalkyl, C₄–C₇ alkylsulfonylcycloalkyl, C₄–C₇ haloalkylthiocycloalkyl, C₄–C₇ haloalkylsulfinylcycloalkyl, C₄–C₇ haloalkylsulfonylcycloalkyl, C₂–C₇ (HN=S(alkyl)=O)alkyl, C₄–C₇ (HN=S(alkyl)=O)cycloalkyl, C₃–C₇ (alkyl-N=S(alkyl)=O)alkyl, C₅–C₈ (alkyl-N=S(alkyl)=O)cycloalkyl, C₃–C₇ (cyano-N=S(alkyl)=O)alkyl, C₄–C₇ (alkylcarbonyl-N=S(alkyl)=O)alkyl, C₄–C₇ (alkoxycarbonyl-N=S(alkyl)=O)alkyl, C₂–C₅ cyanoalkyl, C₄–C₇ cyanocycloalkyl, C₁–C₄ nitroalkyl, C₂–C₆ dialkylamino, C₂–C₆ alkylcarbonyl, C₃–C₆ alkylcarbonylalkyl, C₂–C₆ alkoxyalkyl, C₃–C₆ alkoxyalkylalkyl or C₃–C₆ trialkylsilyl;

each R^{1b} is independently H, halogen, cyano, nitro, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₁–C₄ haloalkyl, C₃–C₅ halocycloalkyl, C₂–C₄ alkoxyalkyl, C₁–C₄ alkoxy, C₁–C₄ alkylthio or C₂–C₄ alkoxyalkyl;

m is 0, 1 or 2;

R^{1c} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₂–C₆ oxacycloalkyl or C₁–C₇ haloalkyl;

X¹, X², X³ and X⁴ are each independently N or CR² wherein up to two X¹, X², X³ and X⁴ can be N;

each R² is independently H, halogen, cyano, nitro, hydroxy, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇

alkylcycloalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₂-C₆ oxacycloalkoxy, C₁-C₅ haloalkoxy, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylsulfonate, C₃-C₅ cycloalkylsulfonate, C₁-C₄ haloalkylsulfonate, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl or C₂-C₅ alkoxycarbonyl; or

two adjacent R² may be taken together to form a saturated or unsaturated 5- to 8-membered ring, containing carbon atoms and optionally 1 to 3 oxygen, sulfur or nitrogen atoms as ring members, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, sulfonyl, sulfinyl moiety, said ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy and C₁-C₄ haloalkoxy;

Y is O or S;

Z is O, C(R^{3c})_p, C(=O), C(=S), or NR^{3d};

R^{3a} is halogen, cyano, nitro, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ cycloalkylhaloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₂-C₅ alkenyloxyalkyl, C₂-C₅ alkynyloxyalkyl, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₂-C₆ oxacycloalkoxy, C₃-C₆ oxacycloalkoxyalkyl, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₇ alkoxyhaloalkyl, C₂-C₇ haloalkoxyhaloalkyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl, C₁-C₄ nitroalkyl, C₃-C₆ alkylcarbonylalkyl or C₃-C₆ alkoxycarbonylalkyl;

each R^{3b} is independently H, halogen or C₁-C₃ alkyl; or

R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon

atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂; or

R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form C(=O), provided Z is NR^{3d} and R^{3d} is H; or

two R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂;

each R^{3c} is independently H, halogen, cyano, nitro, hydroxy, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₅ cycloalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₅ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl, C₁-C₄ nitroalkyl, C₂-C₆ oxacycloalkyl, C₃-C₆ oxacycloalkylalkyl, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₃-C₆ alkylcarbonylalkyl, C₃-C₆ alkoxy carbonylalkyl or C₂-C₅ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₄-C₆ cycloalkylcarbonyloxy, C₂-C₆ alkoxy carbonyloxy, C₂-C₆ alkylaminocarbonyloxy, C₃-C₆ dialkylaminocarbonyloxy; or

one R^{3c} is taken together with the adjacent R^{3a} or R^{3b} and the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂; or

two R^{3c} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are

independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂;

p is 0, 1 or 2;

R^{3d} is H, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₅ alkylcarbonyl, C₂–C₅ haloalkylcarbonyl, C₂–C₅ alkoxy carbonyl, C₂–C₅ alkylaminocarbonyl, C₂–C₅ dialkylaminocarbonyl, C₁–C₅ alkylsulfonyl or C₁–C₅ haloalkylsulfonyl;

R^{4a} is H, halogen, cyano, nitro, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₂–C₅ haloalkenyl, C₂–C₅ haloalkynyl, C₂–C₅ alkoxyalkyl, C₂–C₅ haloalkoxyalkyl, C₁–C₅ alkoxy, C₁–C₅ haloalkoxy, C₃–C₆ trialkylsilyl, C₁–C₅ alkylthio, C₁–C₅ haloalkylthio or C₂–C₅ alkoxy carbonyl;

q is 0, 1 or 2; and

each R^{4b} is independently H, halogen, cyano, nitro, C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₁–C₄ alkoxy or C₁–C₄ alkylthio;

provided that:

(a) when Z is O, then at least one of X³ and X⁴ is N; and

(b) the compound of Formula 1 is other than:

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(1-pyrrolidinyl)phenyl]methanone (CAS Reg. No. 2733036-55-8),

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(1*H*-pyrrol-1-yl)phenyl]methanone (CAS Reg. No. 2305349-83-9),

1-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-2-pyrrolidinone (CAS Reg. No. 1329539-14-1),

(3,4-dihydro-3-methoxy-1(2*H*)-quinolinyl)[5-(4-morpholinyl)-3-pyridinyl]-methanone (CAS Reg. No. 1951747-01-5),

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[5-(1*H*-1,2,3-triazol-1-yl)-3-pyridinyl]-methanone (CAS Reg. No. 2361850-50-0),

[3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxalinyl][6-(1-pyrrolidinyl)pyrazin-2-yl]methanone (CAS Reg. No. 2462832-39-7),

(3,4-dihydro-3-methoxy-1(2*H*)-quinolinyl)[5-(1*H*-1,2,3-triazol-1-yl)-3-pyridinyl]-methanone (CAS Reg. No. 2224059-86-1),

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(tetrahydro-1,1-dioxido-2*H*-1,2-thiazin-2-yl)phenyl]methanone (CAS Reg. No. 1328130-66-0),

[3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxalinyl][3-(1*H*-1,2,4-triazol-1-yl)-phenyl]methanone (CAS Reg. No. 1436256-93-7),

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[4-methoxy-3-(1*H*-tetrazol-1-yl)phenyl]-methanone (CAS Reg. No. 1797746-04-3),

[3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxalinyl][4-(1*H*-pyrazol-1-yl)-2-pyridinyl]methanone (CAS Reg. No. 1436352-84-9),

[3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxaliny][6-(1*H*-imidazol-1-yl)-2-pyridinyl]methanone (CAS Reg. No. 1607303-56-9),
2-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-3-isothiazolidinone 1,1-dioxide (CAS Reg. No. 1326771-60-1),
2-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-4-methyl-3-isothiazolidinone 1,1-dioxide (CAS Reg. No. 1329431-16-4),
ethyl 2-ethyl-3,4-dihydro-6,7-dimethyl-4-[3-(5-methyl-1,2,4-oxadiazol-3-yl)benzoyl]-1(2*H*)-quinoxalinecarboxylate (CAS Reg. No. 769129-25-1), or
ethyl 4-[3-(3,5-dimethyl-1*H*-pyrazol-1-yl)benzoyl]-2-ethyl-3,4-dihydro-6,7-dimethyl-1(2*H*)-quinoxalinecarboxylate (CAS Reg. No. 769129-36-4).

More particularly, this invention pertains to a compound of Formula 1 (including all stereoisomers), an *N*-oxide or a salt thereof. This invention also relates to a herbicidal composition comprising a compound of the invention (i.e., in a herbicidally effective amount) and at least one component selected from the group consisting of surfactants, solid diluents and liquid diluents. This invention further relates to a method for controlling the growth of undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a compound of the invention (e.g., as a composition described herein).

This invention also includes a herbicidal mixture comprising (a) a compound selected from Formula 1, *N*-oxides, and salts thereof, and (b) at least one additional active ingredient selected from (b1) through (b18), and salts of compounds of (b1) through (b18), as described below.

DETAILS OF THE INVENTION

As used herein, the terms “comprises,” “comprising,” “includes,” “including,” “has,” “having,” “contains,” “containing,” “characterized by” or any other variation thereof, are intended to cover a non-exclusive inclusion, subject to any limitation explicitly indicated. For example, a composition, mixture, process or method that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, mixture, process or method.

The transitional phrase “consisting of” excludes any element, step, or ingredient not specified. If in the claim, such would close the claim to the inclusion of materials other than those recited except for impurities ordinarily associated therewith. When the phrase “consisting of” appears in a clause of the body of a claim, rather than immediately following the preamble, it limits only the element set forth in that clause; other elements are not excluded from the claim as a whole.

The transitional phrase “consisting essentially of” is used to define a composition, mixture, method or process that includes materials, steps, features, components, or elements,

in addition to those literally disclosed, provided that these additional materials, steps, features, components, or elements do not materially affect the basic and novel characteristic(s) of the claimed invention. The term “consisting essentially of” occupies a middle ground between “comprising” and “consisting of”.

5 Where applicants have defined an invention or a portion thereof with an open-ended term such as “comprising,” it should be readily understood that (unless otherwise stated) the description should be interpreted to also describe such an invention using the terms “consisting essentially of” or “consisting of.”

10 Further, unless expressly stated to the contrary, “or” refers to an inclusive or and not to an exclusive or. For example, a condition A or B is satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and both A and B are true (or present).

15 Also, the indefinite articles “a” and “an” preceding an element or component of the invention are intended to be nonrestrictive regarding the number of instances (i.e., occurrences) of the element or component. Therefore “a” or “an” should be read to include one or at least one, and the singular word form of the element or component also includes the plural unless the number is obviously meant to be singular.

As referred to herein, the term “seedling”, used either alone or in a combination of words means a young plant developing from the embryo of a seed.

20 As referred to herein, the term “broadleaf” used either alone or in words such as “broadleaf weed” means dicot or dicotyledon, a term used to describe a group of angiosperms characterized by embryos having two cotyledons.

25 As used herein, the term “alkylating” refers reaction in which nucleophile displaces a leaving group such as halide or sulfonate from a carbon-containing radical. Unless otherwise indicated, the term “alkylating” does not limit the carbon-containing radical to alkyl.

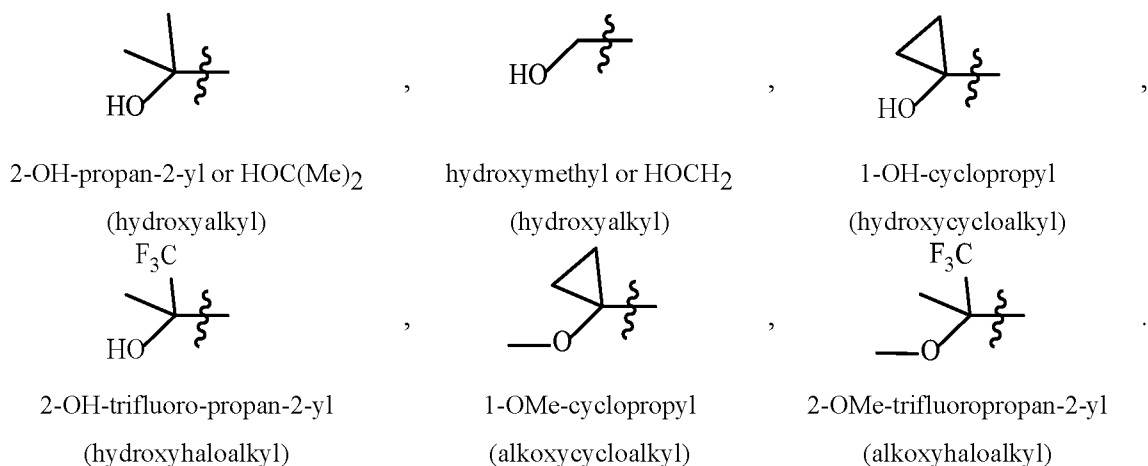
30 In the above recitations, the term “alkyl”, used either alone or in compound words such as “alkylthio” or “haloalkyl” includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl, hexyl and heptyl isomers. “Alkenyl” includes straight-chain or branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. “Alkenyl” also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. “Alkynyl” includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. “Alkynyl” can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl.

35 “Alkoxy” includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy, hexyloxy and heptyloxy isomers. “Alkoxyalkyl” denotes alkoxy substitution on alkyl. Examples of “alkoxyalkyl” include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. “Alkoxyalkoxy” denotes

alkoxy substitution on alkoxy. “Alkoxycycloalkyl” denotes an alkoxy substitution on cycloalkyl. “Alkoxyhaloalkyl” denotes an alkoxy substitution on haloalkyl. Examples of “alkoxyhaloalkyl” include CH_3OCF_2- and $\text{CH}_3\text{CH}_2\text{OCCl}_2\text{CH}_2-$.

The term “alkenyloxy” denotes an alkenyl moiety bonded through oxygen. Examples of “alkenyloxy” include $\text{CH}_2=\text{CHCH}_2\text{O}-$, 1-propenyloxy or $\text{CH}_3\text{CH}=\text{CHO}-$, 2-butenyloxy or $\text{CH}_3\text{CH}=\text{CHCH}_2\text{O}-$, and the different butenyloxy, pentenyloxy and hexenyloxy isomers. Examples of “alkenyloxy” may also contain more than one double bond. The term “alkynyloxy” denotes an alkynyl moiety bonded through oxygen. Examples of “alkynyloxy” include $\text{HC}\equiv\text{CCH}_2\text{O}-$, 1-propynyloxy or $\text{CH}_3\text{C}\equiv\text{CO}-$, 2-butyloxy or $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}-$, and the different butynyloxy, pentynyloxy and hexynyloxy isomers. Examples of “alkynyloxy” may also contain more than one triple bond.

“Hydroxyalkyl” denotes a hydroxy substitution on alkyl. “Hydroxycycloalkyl” denotes a hydroxy substitution on cycloalkyl. “Hydroxyhaloalkyl” denotes a hydroxy substitution on haloalkyl. Examples of “hydroxyalkyl”, “hydroxycycloalkyl”, “hydroxyhaloalkyl”, “alkoxycycloalkyl”, “alkoxyhaloalkyl” include the following structures:



“Alkylthio” includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. “Alkylthioalkyl” denotes alkylthio substitution on alkyl. Examples of “alkylthioalkyl” include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$ and their different isomers. “Alkylsulfinyl” includes both enantiomers of an alkylsulfinyl group. Examples of “alkylsulfinyl” include $\text{CH}_3\text{S}(\text{O})-$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})-$, $(\text{CH}_3)_2\text{CHS}(\text{O})-$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of “alkylsulfonyl” include $\text{CH}_3\text{S}(\text{O})_2-$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2-$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2-$, and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. Examples of “alkylsulfonate” include $\text{CH}_3\text{S}(\text{O})_2\text{O}-$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2\text{O}-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2\text{O}-$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2\text{O}-$, and the different butylsulfonate, pentylsulfonate and hexylsulfonate isomers.

“Cyanoalkyl” denotes an alkyl group substituted with one cyano group. Examples of “cyanoalkyl” include NCCH_2 and NCCH_2CH_2 (alternatively identified as $\text{CH}_2\text{CH}_2\text{CN}$).

“Nitroalkyl” denotes an alkyl group substituted with one nitro group. Examples of “nitroalkyl” include NO_2CH_2 and $\text{NO}_2\text{CH}_2\text{CH}_2$ (alternatively identified as $\text{CH}_2\text{CH}_2\text{NO}_2$).

5 “Cyano” means NC- or $\text{N}\equiv\text{C-}$. The term “formyl” (or “CHO”) means HC(=O)- .

“Alkylamino” denotes an NH radical substituted with straight-chain or branched alkyl. Examples of “alkylamino” include $\text{CH}_3\text{CH}_2\text{NH}$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{NH}$, and $(\text{CH}_3)_2\text{CHCH}_2\text{NH}$.

Examples of “dialkylamino” include $(\text{CH}_3)_2\text{N}$, $(\text{CH}_3\text{CH}_2\text{CH}_2)_2\text{N}$ and $\text{CH}_3\text{CH}_2(\text{CH}_3)\text{N}$.

“Alkylsilyl” includes a silyl radical substituted with straight-chain or branched alkyl.

10 “trialkylsilyl” includes a silyl radical substituted with three straight-chain or branched alkyl.

Examples of “trialkylsilyl” include $(\text{CH}_3)_3\text{Si-}$, and $(\text{CH}_3\text{CH}_2)_3\text{Si-}$. “Trialkylsilylalkynyl” denotes trialkylsilyl substitution on alkynyl. Examples of “trialkylsilylalkynyl” include $(\text{CH}_3)_3\text{SiC}\equiv\text{C-}$, and $(\text{CH}_3\text{CH}_2)_3\text{SiC}\equiv\text{C-}$.

“Cycloalkyl” includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term “cycloalkylalkyl” denotes cycloalkyl substitution on an alkyl moiety.

15 Examples of “cycloalkylalkyl” include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups. The term “alkylcycloalkyl” denotes an alkyl group bonded to a cycloalkyl moiety and includes, for example, ethylcyclopropyl, *i*-propylcyclobutyl, methylcyclopentyl and methylcyclohexyl.

20 The term “cycloalkoxy” denotes cycloalkyl group bonded through oxygen. Examples of “cycloalkoxy” include cyclopropoxy, cyclobutoxy, and cyclopentoxy. The term “cycloalkoxyalkyl” denotes cycloalkoxy substitution on an alkyl moiety. Examples of “cycloalkoxyalkyl” include cyclopropoxymethyl, cyclobutoxyethyl, and cyclopentoxymethyl, and other cycloalkoxy moieties bonded to straight-chain or branched alkyl groups. The term
25 “alkoxycycloalkyl” denotes alkoxy substitution on cycloalkyl. The term “alkylthiocycloalkyl” denotes alkylthio substitution on cycloalkyl.

The term “oxacycloalkyl” denotes a cycloalkyl with one carbon ring member replaced with an oxygen atom. Examples of “oxacycloalkyl” include oxacyclopropyl, oxacyclobutyl and oxacyclopentyl. The term “thiacycloalkyl” denotes a cycloalkyl with one carbon ring
30 member replaced with a sulfur atom. Examples of “thiacycloalkyl” include thiacyclopropyl, thiacyclobutyl and thiacyclopentyl. The term “(O-thia)cycloalkyl” denotes a cycloalkyl with one carbon ring member replaced with a -SO group. Examples of “(O-thia)cycloalkyl” include (O-thia)cyclopropyl, (O-thia)cyclobutyl and (O-thia)cyclopentyl. The term
“(O₂thia)cycloalkyl” denotes a cycloalkyl with one carbon ring member replaced with a -SO₂
35 group. Examples of “(O₂thia)cycloalkyl” include (O₂thia)cyclopropyl, (O₂thia)cyclobutyl and (O₂thia)cyclopentyl.

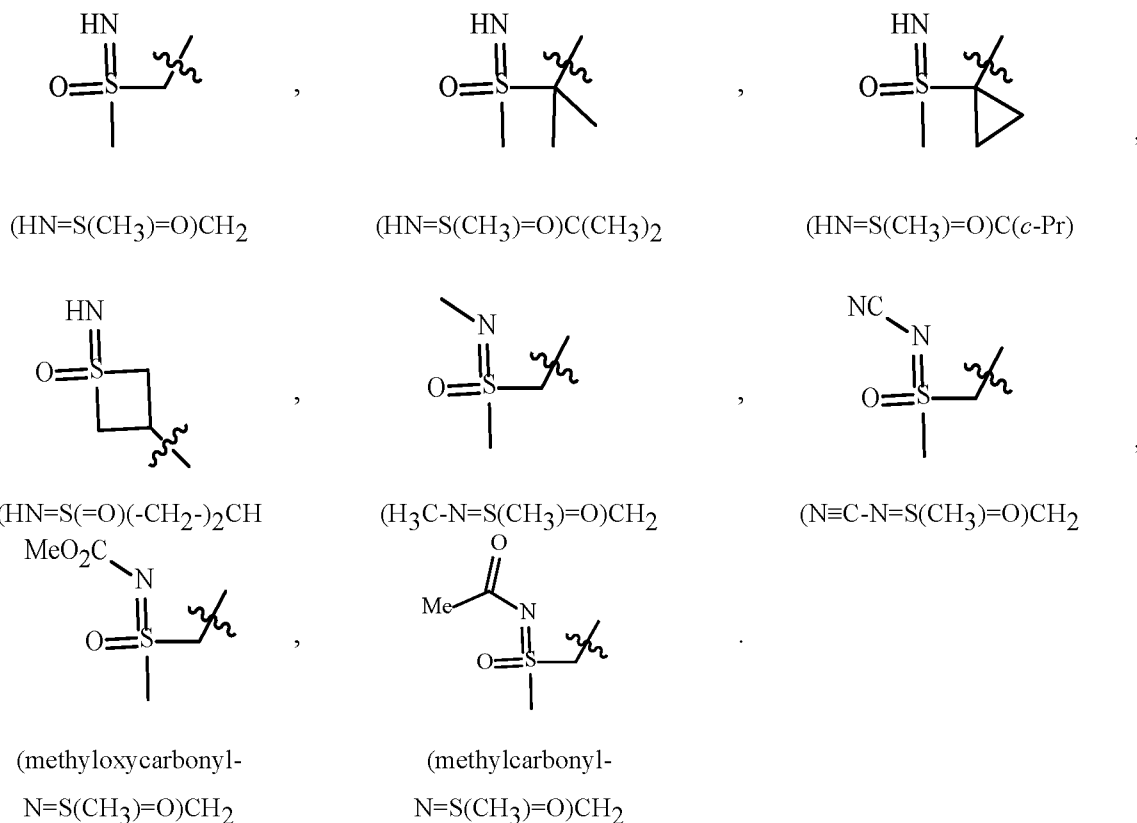
The term “halogen”, either alone or in compound words such as “haloalkyl”, or when used in descriptions such as “alkyl substituted with halogen” includes fluorine, chlorine,

bromine or iodine. Further, when used in compound words such as “haloalkyl”, or when used in descriptions such as “alkyl substituted with halogen” said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of “haloalkyl” or “alkyl substituted with halogen” include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms “haloalkoxy”, “haloalkoxyalkyl”, “haloalkylthio”, “haloalkenyl”, “haloalkynyl”, “halocycloalkyl”, “haloalkylcycloalkyl”, “haloalkylsulfinyl”, “haloalkylsulfonyl” and the like, are as defined analogously to the term “haloalkyl”. Examples of “haloalkoxy” include CF_3O- , CCl_3CH_2O- , $HCF_2CH_2CH_2O-$ and CF_3CH_2O- . Examples of “haloalkoxyalkyl” include CF_3OCH_2- , $CCl_3CH_2OCH_2-$, $HCF_2CH_2CH_2OCH_2-$ and $CF_3CH_2OCH_2-$. Examples of “haloalkylthio” include CCl_3S- , CF_3S- , CCl_3CH_2S- and $ClCH_2CH_2CH_2S-$. Examples of “haloalkenyl” include $(Cl)_2C=CH-$, $(Cl)_2C=CHCH_2-$ and $CF_3CH_2CH=CHCH_2-$. Examples of “haloalkynyl” include $HC\equiv CCHCl-$, $CF_3C\equiv C-$, $CCl_3C\equiv C-$ and $FCH_2C\equiv CCH_2-$. Examples of “halocycloalkyl” include 1-chlorocyclopropyl, 2-chlorocyclopropyl, 2-fluorocyclopropyl, 1-chlorocyclobutyl, 1-fluorocyclobutyl and 2-fluorocyclobutyl. Examples of “haloalkylcycloalkyl” include 1-(chloromethyl)cyclopropyl, 2-(chloromethyl)cyclopropyl, 2-(fluoromethyl)cyclopropyl, 1-(chloromethyl)cyclobutyl, 2-(fluoroethyl)cyclobutyl and 2-(fluoromethyl)cyclobutyl. Examples of “haloalkylsulfinyl” include $CF_3S(=O)$, $CCl_3S(=O)$, $CF_3CH_2S(=O)$ and $CF_3CF_2S(=O)$. Examples of “haloalkylsulfonyl” include $CF_3S(=O)_2$, $CCl_3S(=O)_2$, $CF_3CH_2S(=O)_2$ and $CF_3CF_2S(=O)_2$.

“Alkylcarbonyl” denotes a straight-chain or branched alkyl moiety bonded to a $C(=O)$ moiety. Examples of “alkylcarbonyl” include $CH_3C(=O)-$, $CH_3CH_2C(=O)-$, $CH_3CH_2CH_2C(=O)-$, $(CH_3)_2CHC(=O)-$ and the different butyl- or pentylcarbonyl isomers. “Alkoxy carbonyl” denotes a straight-chain or branched alkoxy moieties bonded to a $C(=O)$ moiety. Examples of “alkoxy carbonyl” include $CH_3OC(=O)-$, $CH_3CH_2OC(=O)-$, $CH_3CH_2CH_2OC(=O)-$, $(CH_3)_2CHOC(=O)-$ and the different butoxy- or pentoxycarbonyl isomers. $C(=O)$ or $C(O)$ designates carbonyl. The term “alkoxy carbonylalkyl” denotes a straight-chain or branched alkoxy carbonyl moiety bonded through an alkyl moiety. The term “alkylcarbonylalkyl” denotes a straight or branched alkylcarbonyl moiety bonded through an alkyl moiety. The term “alkylcarbonyloxy” denotes an alkylcarbonyl moiety bonded through oxygen. Examples of alkylcarbonyloxy include $CH_3C(=O)O-$, $CH_3CH_2C(=O)O-$, $CH_3CH_2CH_2C(=O)O-$ and $(CH_3)_2CHC(=O)O-$.

The term alkanediyl or alkenediyl refers to a linear or branched alkane or alkene linking chain respectively. Examples of alkanediyl include $-CH_2-$, $-CH_2CH(CH_3)-$ or $-CH_2CH_2CH_2-$. Examples of alkenediyl include $-CH=CH-$, $-CH_2C=CH-$ or $-CH=C(CH_3)-$. The term “adjacent” in the context of locating a substituent means “next to” or “immediately next to”.

“Alkylsulfoximinoalkyl” denotes an alkylsulfoximine or cycloalkylsulfoximine substitution on alkyl or cycloalkyl. Examples of “alkylsulfoximinoalkyl” include the following structures:



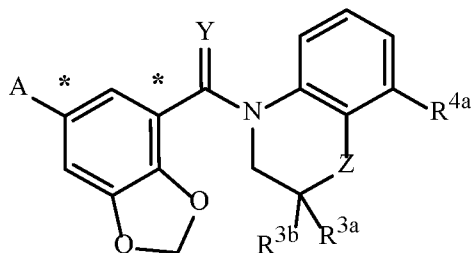
The chemical abbreviations S(O) and S(=O) as used herein represent a sulfinyl moiety.

- 5 The chemical abbreviations SO₂, S(O)₂ and S(=O)₂ as used herein represent a sulfonyl moiety. The chemical abbreviations C(O) and C(=O) as used herein represent a carbonyl moiety. The chemical abbreviations CO₂, C(O)O and C(=O)O as used herein represent an oxycarbonyl moiety.

- 10 The total number of carbon atoms in a substituent group is indicated by the “C_i-C_j” prefix where i and j are numbers from 1 to 8. For example, C₁-C₄ alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C₃-C₆ alkylcarbonylalkyl can be, for example, CH₃COCH₂-, CH₃COCH₂CH₂- or CH₃CH₂COCH₂CH₂CH₂-; C₄-C₇ alkylcycloalkyl can be, for example, methylcyclopropyl, methylcyclobutyl, ethylcyclopropyl, or propylcyclobutyl; C₂ alkoxyalkyl designates CH₃OCH₂-; C₃ alkoxyalkyl designates, for example, CH₃CH(OCH₃)-, CH₃OCH₂CH₂- or CH₃CH₂OCH₂-; and C₄ alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including CH₃CH₂CH₂OCH₂- and CH₃CH₂OCH₂CH₂-.

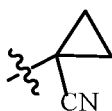
- 20 A wavy line in a structure fragment denotes the attachment point of the fragment to the remainder of the molecule. For example, when the variables X² and X³ in Formula 1 are defined as J-21 (i.e., X¹ and X⁴ are C-H; X² and X³ are C-R²; and the two adjacent R²

variables are taken together to form the ring), the wavy lines bisecting the bonds of J-21 in the position identified with asterisks (*) of the fused ring J-21 means that the fused ring J-21 is attached to the remainder of the structure of Formula 1 at said positions, as shown below.



5 A "-" at the beginning of a fragment definition denotes the attachment point of said fragment to the remainder of the molecule; for example, "-CH₂CH₂OMe" denotes the fragment 2-methoxyethyl.

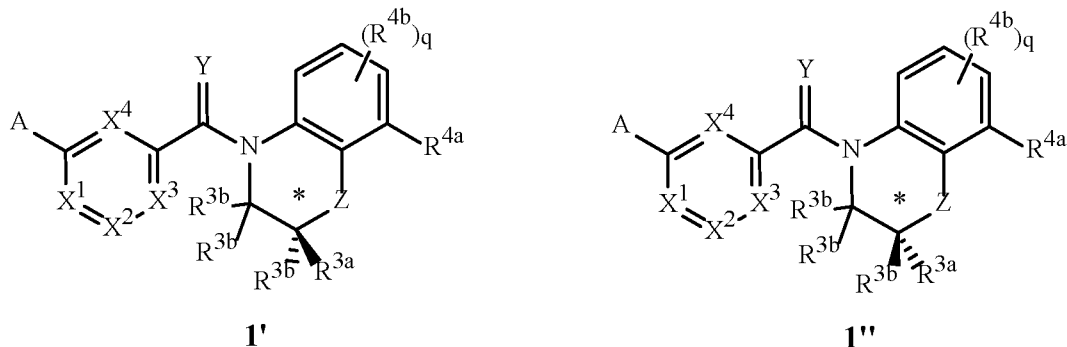
A group with two short lines at the ends means the group is bonded to other moieties of the molecule through the two atoms right next to the lines. Some examples of these groups are -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-. Cyclic fragments are represented by the use of two "-" within parentheses; for example, the fragment 1-cyanocyclopropyl is represented by
10 "-C(CN)(-CH₂CH₂-)", wherein a carbon atom is bonded to both terminal carbon atoms of the two-carbon chain, as illustrated below.



15 Naming of substituents in the present disclosure uses recognized terminology providing conciseness in precisely conveying to those skilled in the art the chemical structure. For conciseness, locant descriptors may be omitted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, cis-trans isomers or *Z* / *E* isomers (also
20 known as geometric isomers) and atropisomers (also known as conformational isomers). Stereoisomers are isomers of identical constitution but differing in the arrangement of their atoms in space and include enantiomers, diastereomers, cis-trans isomers (also known as geometric isomers) and atropisomers. Atropisomers result from restricted rotation about single bonds where the rotational barrier is high enough to permit isolation of the isomeric
25 species. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. For a comprehensive discussion of all aspects of stereoisomerism, see Ernest L. Eliel and Samuel H. Wilen,
30 *Stereochemistry of Organic Compounds*, John Wiley & Sons, 1994.

The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers or as an optically active form. For example, two possible stereoisomers of Formula **1** are depicted as Formula **1'** and **1''** involving the chiral center identified in the structures below with an asterisk (*). Compounds of Formula **1** can also comprise additional chiral centers. For example, substituents and other molecular constituents such as R² may themselves contain chiral centers. This disclosure comprises racemic mixtures as well as enriched and essentially pure stereoconfigurations at these additional chiral centers.



Molecular depictions drawn herein follow standard conventions for depicting stereochemistry. To indicate stereoconfiguration, bonds rising from the plane of the drawing and towards the viewer are denoted by solid wedges wherein the broad end of the wedge is attached to the atom rising from the plane of the drawing towards the viewer. Bonds going below the plane of the drawing and away from the viewer are denoted by dashed wedges wherein the broad end of the wedge is attached to the atom further away from the viewer.

The compounds of the disclosure can exist as stereoisomers due to the possible chiral carbon atoms present in Formula 1. Thus, this disclosure comprises the individual stereoisomers of the compounds of Formula 1, as well as mixtures of stereoisomers of the compounds of Formula 1.

This disclosure comprises racemic mixtures of equal amounts of the enantiomers of Formulae **1'** and **1''**. In addition, this disclosure includes mixtures that are enriched in the Formula **1'** enantiomer compared to the racemic mixture of Formulae **1'** and **1''**. This disclosure also comprises the essentially pure enantiomer of Formula **1'**.

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is at least 75:25 (a 50% enantiomeric excess of **1'**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is at least 90:10 (an 80% enantiomeric excess of **1'**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is at least 95:5 (a 90% enantiomeric excess of **1'**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is at least 98:2 (a 96% enantiomeric excess of **1'**).

5 An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is at least 99:1 (a 98% enantiomeric excess of **1'**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1'** and Formula **1''**, wherein the ratio of **1'** to **1''** is essentially 100:0.

An embodiment of this disclosure comprises the compounds of Formula **1'**.

10 In addition, this disclosure includes mixtures that are enriched in the Formula **1''** enantiomer compared to the racemic mixture of Formulae **1''** and **1'**. This disclosure also comprises the essentially pure enantiomer of Formula **1''**.

15 An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is at least 75:25 (a 50% enantiomeric excess of **1''**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is at least 90:10 (an 80% enantiomeric excess of **1''**).

20 An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is at least 95:5 (a 90% enantiomeric excess of **1''**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is at least 98:2 (a 96% enantiomeric excess of **1''**).

25 An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is at least 99:1 (a 98% enantiomeric excess of **1''**).

An embodiment of this disclosure comprises mixtures of stereoisomers of the compounds of Formula **1''** and Formula **1'**, wherein the ratio of **1''** to **1'** is essentially 100:0.

30 An embodiment of this disclosure comprises the compounds of Formula **1''**.

Compounds of Formula **1** typically exist in more than one form, and Formula **1** thus include all crystalline and non-crystalline forms of the compounds they represent. Non-crystalline forms include embodiments which are solids such as waxes and gums as well as embodiments which are liquids such as solutions and melts. Crystalline forms include
35 embodiments which represent essentially a single crystal type and embodiments which represent a mixture of polymorphs (i.e., different crystalline types). The term "polymorph" refers to a particular crystalline form of a chemical compound that can crystallize in different

crystalline forms, these forms having different arrangements and/or conformations of the molecules in the crystal lattice. Although polymorphs can have the same chemical composition, they can also differ in composition due to the presence or absence of co-crystallized water or other molecules, which can be weakly or strongly bound in the lattice.

5 Polymorphs can differ in such chemical, physical and biological properties as crystal shape, density, hardness, color, chemical stability, melting point, hygroscopicity, suspensibility, dissolution rate and biological availability. One skilled in the art will appreciate that a polymorph of a compound of Formula 1 can exhibit beneficial effects (e.g., suitability for preparation of useful formulations, improved biological performance) relative to another
10 polymorph or a mixture of polymorphs of the same compound of Formula 1. Preparation and isolation of a particular polymorph of a compound of Formula 1 can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures. For a comprehensive discussion of polymorphism see R. Hilfiker, Ed., *Polymorphism in the Pharmaceutical Industry*, Wiley-VCH, Weinheim, 2006.

15 One skilled in the art will appreciate that not all nitrogen-containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen-containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very
20 well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in
25 *Comprehensive Organic Synthesis*, vol. 7, pp 748–750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18–20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149–161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285–291,
30 A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390–392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding nonsalt
35 forms, salts share the biological utility of the nonsalt forms. Thus, a wide variety of salts of a compound of Formula 1 are useful for control of undesired vegetation (i.e., are agriculturally suitable). The salts of a compound of Formula 1 include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric,

fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. When a compound of Formula 1 contains an acidic moiety, salts also include those formed with organic or inorganic bases such as pyridine, triethylamine or ammonia, or amides, hydrides, hydroxides or carbonates of sodium, potassium, lithium, calcium, magnesium or barium. Accordingly, the present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof.

Unless otherwise indicated, a “ring” as a component of Formula 1 is carbocyclic or heterocyclic. The term “ring member” refers to an atom or other moiety (e.g., C(=O), C(=S), S(O) or S(O)₂) forming the backbone of a ring or ring system. The term “ring system” denotes two or more fused rings. The term “bicyclic ring” denotes a ring system consisting of two fused rings.

The term “heterocyclic ring” denotes a ring in which at least one atom forming the ring backbone is not carbon, e.g., nitrogen, oxygen or sulfur. Typically a heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. Unless otherwise indicated, a heterocyclic ring can be a saturated, partially unsaturated, or fully unsaturated ring. When a fully unsaturated heterocyclic ring satisfies Hückel’s rule, then said ring is also called a “heteroaromatic ring” or “aromatic heterocyclic ring”. Unless otherwise indicated, heterocyclic rings can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. “Aromatic” indicates that each of the ring atoms is essentially in the same plane and has a *p*-orbital perpendicular to the ring plane, and that $(4n + 2) \pi$ electrons, where *n* is a positive integer, are associated with the ring to comply with Hückel’s rule.

The term “optionally substituted” in connection with a ring refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the biological activity possessed by the unsubstituted analog. As used herein, the following definitions shall apply unless otherwise indicated. The term “optionally substituted” is used interchangeably with the phrase “substituted or unsubstituted” or with the term “(un)substituted.” Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group, and each substitution is independent of the other.

When a group contains a substituent which can be hydrogen, for example R² or R^{4a}, then when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted. When a variable group is shown to be optionally attached to a position, for example (R^{4b})_q in Formula 1 wherein *q* may be 0, then hydrogen may be at the remaining positions even if not recited in the definition of the variable group. When one or more positions on a group are said to be “not substituted” or “unsubstituted”, then hydrogen atoms are attached to take up any free valency. Unless otherwise indicated as being optionally

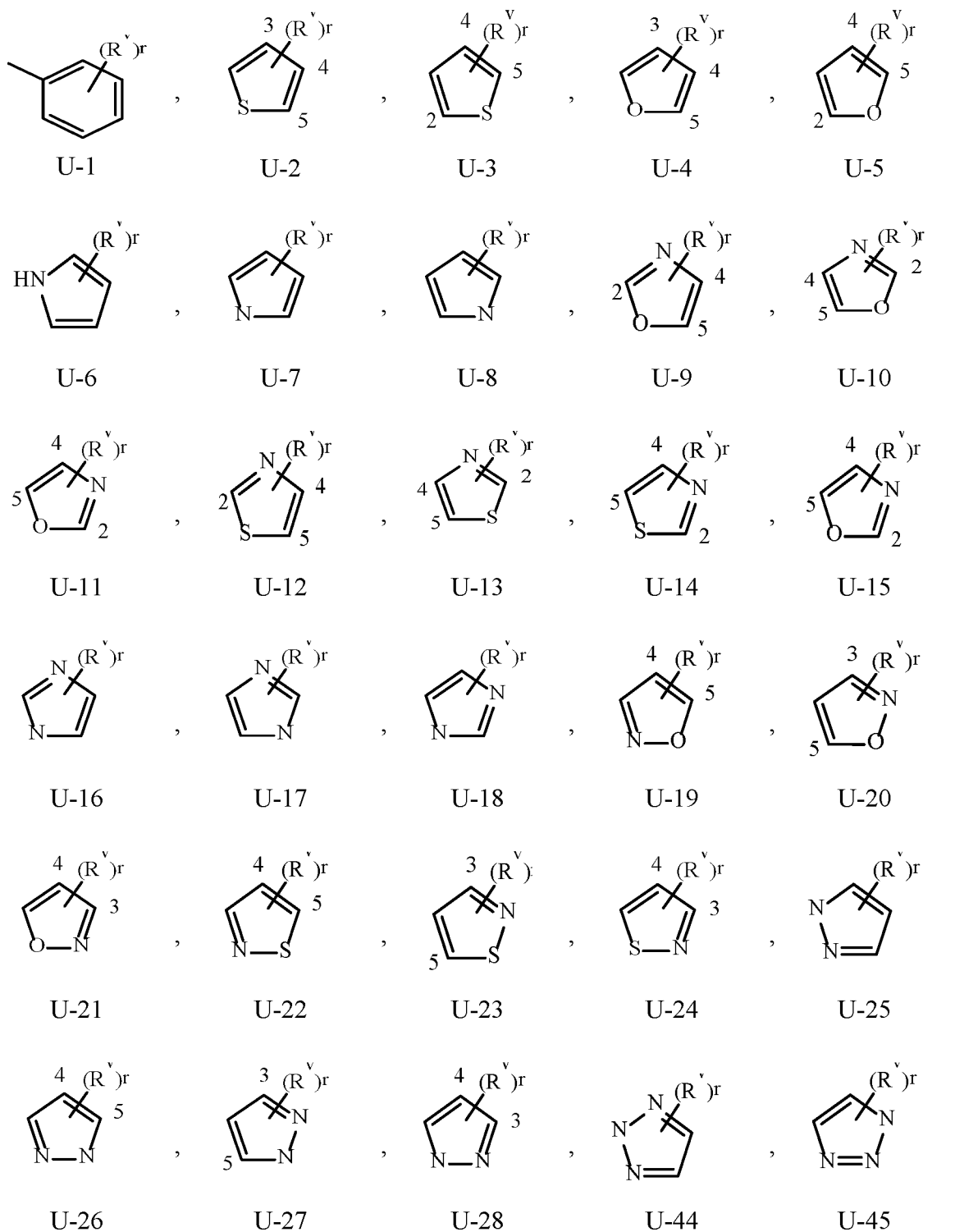
substituted, the term “phenyl” means unsubstituted phenyl. Unless otherwise indicated as being optionally substituted, the term “benzyl” means unsubstituted benzyl.

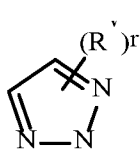
The attachment point of $(R^{4b})_q$ is illustrated as floating. Each R^{4b} can be attached to any of the 3 available aromatic carbons by replacement of a hydrogen atom. When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, then said substituents (when they exceed 1) are independently selected from the group of defined substituents, (e.g., $(R^{4b})_q$ in Formula 1 wherein n is 0, 1 or 2), unless otherwise indicated. Further, when the subscript indicates a range, e.g., $(R)_{i-j}$, then the number of substituents may be selected from the integers between i and j inclusive.

The number of optional substituents may be restricted by an expressed limitation. For example, the phrase “wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S)” means that 0, 1 or 2 substituents can be present (if the number of potential connection points allows). When a range specified for the number of substituents exceeds the number of positions available for substituents on a ring, the actual higher end of the range is recognized to be the number of available positions.

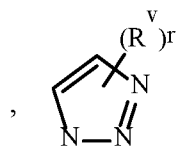
As noted above, A can be a 5- or 6-membered heterocyclic ring, optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of the Invention. Examples of a 5- or 6-membered unsaturated aromatic heterocyclic ring optionally substituted with from one or more substituents include the rings U-2 through U-60 illustrated in Exhibit 1 wherein R^v is any substituent as defined in the Summary of the Invention on A (i.e., R^1) and r is an integer from 0 to 4, limited by the number of available positions on each U group. As U-29, U-30, U-36, U-37, U-38, U-39, U-40, U-41, U-42 and U-43 have only one available position, for these U groups r is limited to the integers 0 or 1, and r being 0 means that the U group is unsubstituted and a hydrogen is present at the position indicated by $(R^v)_r$.

As noted above, two adjacent R^2 may be taken together to form a 5- to 8-membered ring, the 5- to 8-membered ring can be (among others) saturated or unsaturated, optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of the Invention. Examples of a 5- to 8-membered unsaturated aromatic ring optionally substituted with from one or more substituents include the rings U-1 through U-60 illustrated in Exhibit 1 wherein R^v is independently H, halogen, cyano, nitro, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy and C_1-C_4 haloalkoxy and r is an integer from 0 to 2, limited by the number of available positions on each U group. The U group can share any two available neighboring atoms with the connecting ring.

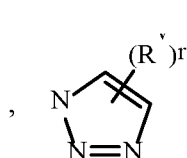
Exhibit 1



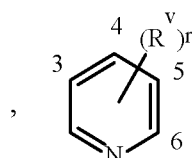
U-46



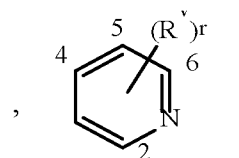
U-47



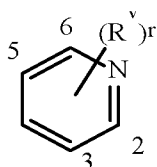
U-48



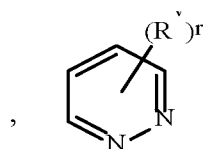
U-49



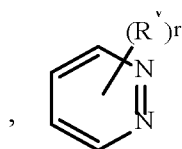
U-50



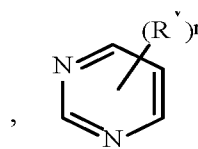
U-51



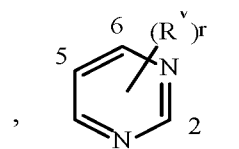
U-52



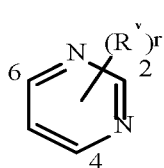
U-53



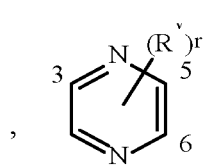
U-54



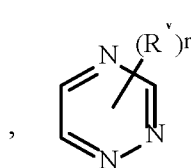
U-55



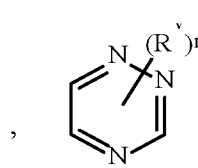
U-56



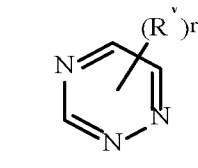
U-57



U-58



U-59 and



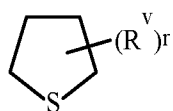
U-60

Note that when the 5- to 8-membered saturated or unsaturated non-aromatic heterocyclic ring is optionally substituted with one or more substituents selected from the group of substituents as defined in the Summary of the Invention for R^2 , one or two carbon ring members of the heterocycle can optionally be in the oxidized form of a carbonyl moiety.

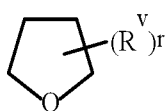
5 Examples of a 5- to 8-membered heterocyclic ring that is saturated or non-aromatic unsaturated heterocyclic ring containing ring members selected from up to two O atoms and up to two S atoms, and optionally substituted on carbon atom ring members with up to four R^v include the rings T-1 through T-35 as illustrated in Exhibit 2. Note that the T group can share any two available neighboring atoms with the connecting ring. The optional substituents

10 corresponding to R^v can be attached to any available carbon or nitrogen by replacing a hydrogen atom. For these T rings, r is typically an integer from 0 to 4, limited by the number of available positions on each T group. The term “optionally substituted” means “substituted or unsubstituted”. Note that when T^2 is N, the nitrogen atom can complete its valence by substitution with either H or the substituents corresponding to R^v as defined in the Summary

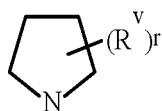
15 of the Invention.

Exhibit 2

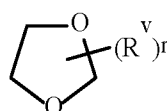
T-1



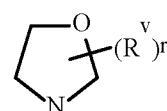
T-2



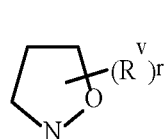
T-3



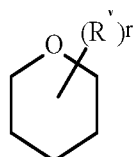
T-4



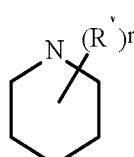
T-5



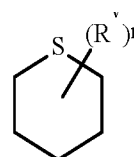
T-6



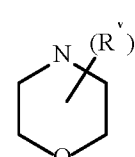
T-7



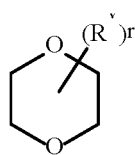
T-8



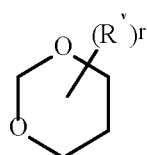
T-9



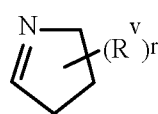
T-10



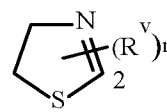
T-11



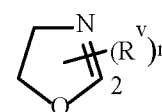
T-12



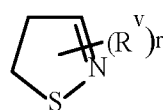
T-13



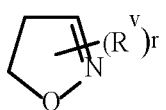
T-14



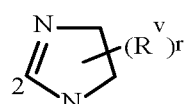
T-15



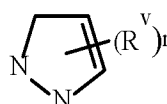
T-16



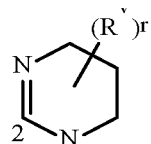
T-17



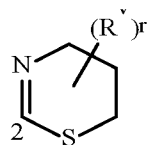
T-18



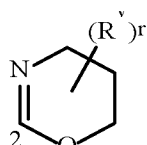
T-19



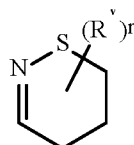
T-20



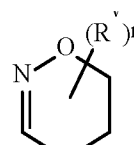
T-21



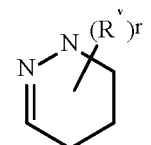
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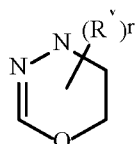
T-23



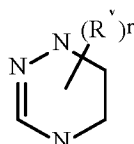
T-24



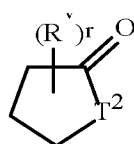
T-25



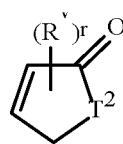
T-26



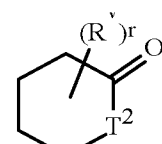
T-27



T-28

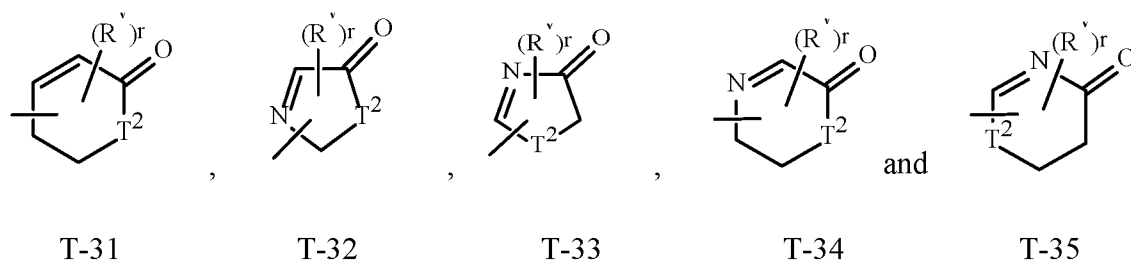


T-29



T-30

21



Although R^v groups are shown in the structures U-1 through U-60, and T-1 through T-35, it is noted that they do not need to be present since they are optional substituents. Note that when R^v is H when attached to an atom, this is the same as if said atom is unsubstituted. The nitrogen atoms that require substitution to fill their valence are substituted with H or R^v .

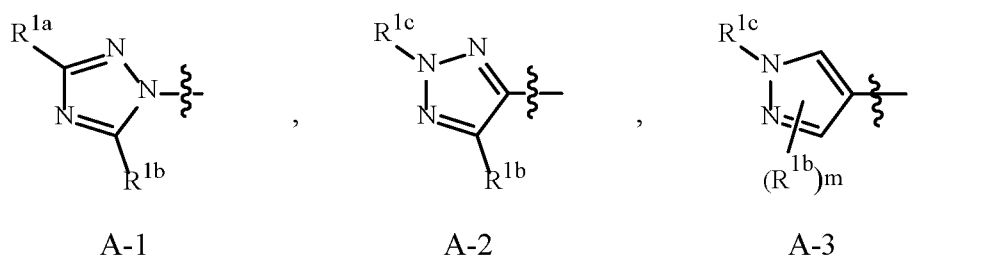
5 Note that when the attachment point between $(R^v)_r$ and the U (or T) group is illustrated as floating, $(R^v)_r$ can be attached to any available carbon atom or nitrogen atom of the U group.

A wide variety of synthetic methods are known in the art to enable preparation of aromatic and nonaromatic heterocyclic rings and ring systems; for extensive reviews see the eight volume set of *Comprehensive Heterocyclic Chemistry*, A. R. Katritzky and C. W. Rees editors-in-chief, Pergamon Press, Oxford, 1984 and the twelve volume set of *Comprehensive Heterocyclic Chemistry II*, A. R. Katritzky, C. W. Rees and E. F. V. Scriven editors-in-chief, Pergamon Press, Oxford, 1996.

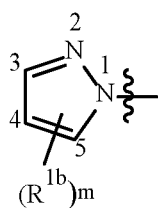
Embodiments of the present invention as described in the Summary of the Invention include those described below. In the following Embodiments, Formula 1 includes stereoisomers, N-oxides and salts thereof, and reference to “a compound of Formula 1” includes the definitions of substituents specified in the Summary of the Invention unless further defined in the Embodiments.

Embodiment 1. A compound of Formula 1, stereoisomers, N-oxides, and salts thereof, agricultural compositions containing them and their use as herbicides as described in the Summary of the disclosure.

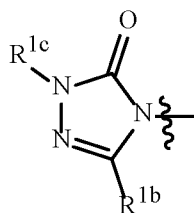
Embodiment 2. A compound of Formula 1 or Embodiment 1 wherein A is selected from



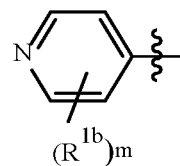
22



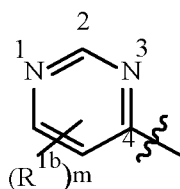
A-4



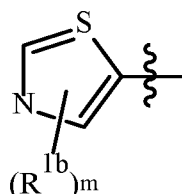
A-5



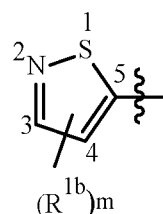
A-6



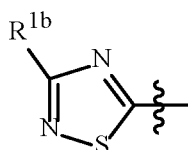
A-7



A-8



A-9



A-10

Embodiment 2a. A compound of Embodiment 2 wherein A is A-1, A-2, A-3, A-5, A-7, A-8 or A-10.

Embodiment 2b. A compound of Embodiment 2a wherein A is A-1, A-2 or A-8.

Embodiment 2c. A compound of Embodiment 2b wherein A is A-1 or A-2.

Embodiment 2d. A compound of Embodiment 2c wherein A is A-1.

Embodiment 3. A compound of Formula 1 or any one of the preceding Embodiments wherein X^1 , X^2 , X^3 and X^4 are each independently N or CR^2 wherein up to two X^1 , X^2 , X^3 and X^4 can be N;

Embodiment 3a. A compound of Embodiment 3 wherein X^1 , X^2 , X^3 and X^4 are each independently CR^2 ; or X^1 is N, and X^2 , X^3 and X^4 are each independently N or CR^2 ; or X^1 and X^2 are N, and X^3 and X^4 are each independently CR^2 ; or X^2 and X^3 are N, and X^1 and X^4 are each independently CR^2 ; or X^1 and X^3 are N, and X^2 and X^4 are each independently CR^2 ; or X^2 is N, and X^1 , X^3 and X^4 are each independently CR^2 .

Embodiment 3aa. A compound of Embodiment 3a wherein X^1 , X^2 , X^3 and X^4 are each independently CR^2 .

Embodiment 3b. A compound of Embodiment 3a wherein X^1 , X^2 , X^3 and X^4 are each independently CR^2 , and Z is other than O; or X^1 is N, and X^2 , X^3 and X^4 are each independently N or CR^2 , and Z is other than O; or X^1 and X^2 are N, and X^3

and X^4 are each independently CR^2 , and Z is other than O; or X^2 and X^3 are N, and X^1 and X^4 are each independently CR^2 ; or X^1 and X^3 are N, and X^2 and X^4 are each independently CR^2 ; or X^2 is N, and X^1 , X^3 and X^4 are each independently CR^2 , and Z is other than O.

5 Embodiment 3b1. A compound of Embodiment 3b wherein X^2 and X^3 are N, and X^1 and X^4 are each independently CR^2 , and Z is O; or X^1 and X^3 are N, and X^2 and X^4 are each independently CR^2 , and Z is O.

Embodiment 3b2. A compound of Embodiment 3b1 wherein X^2 and X^3 are N, and X^1 and X^4 are each independently CR^2 , and Z is O.

10 Embodiment 3b4. A compound of Embodiment 3b2 wherein X^1 and X^3 are N, and X^2 and X^4 are each independently CR^2 , and Z is O.

Embodiment 3c. A compound of Embodiment 3b wherein X^1 , X^2 , X^3 and X^4 are each independently CR^2 and Z is other than O.

15 Embodiment 3cc. A compound of Embodiment 3b wherein X^2 is N, and X^1 , X^3 and X^4 are each independently CR^2 , and Z is other than O.

Embodiment 3d. A compound of Embodiment 3b wherein X^1 is N, and X^2 , X^3 and X^4 are each independently N or CR^2 , and Z is other than O.

Embodiment 3e. A compound of Embodiment 3b wherein X^1 and X^2 are N, and X^3 and X^4 are each independently CR^2 , and Z is other than O.

20 Embodiment 3g. A compound of Embodiment 3 or any one of the preceding Embodiments wherein X^1 is CR^2 and R^2 is H.

Embodiment 3h. A compound of Embodiment 3 or any one of the preceding Embodiments wherein X^2 is CR^2 and R^2 is H, C_1-C_3 alkoxy, F, C_1-C_3 alkyl, C_3-C_4 cycloalkyl or taken together with X^3 to form a ring.

25 Embodiment 3i. A compound of Embodiment 3h wherein the ring contains $-OCH_2O-$ or $-O(CH_2)_2O-$ or $-O(CH_2)_2-$.

Embodiment 3j. A compound of Embodiment 3h wherein X^2 is CR^2 and R^2 is H, C_1-C_3 alkoxy or is taken together with X^3 to form a ring, and said ring contains $-OCH_2O-$ or $-O(CH_2)_2O-$ or $-O(CH_2)_2-$.

30 Embodiment 3k. A compound of Embodiment 3 or any one of the preceding Embodiments wherein X^3 is CR^2 and R^2 is C_1-C_4 alkyl, C_1-C_3 alkoxy, F, Cl, C_3-C_4 cycloalkyl, C_2-C_4 alkynyloxy, C_2-C_3 haloalkoxy, C_1-C_3 alkylsulfonate or taken together with X^2 to form a ring.

35 Embodiment 3l. A compound of Embodiment 3k wherein the ring contains $-OCH_2O-$ or $-O(CH_2)_2O-$ or $-O(CH_2)_2-$.

Embodiment 3m. A compound of Embodiment 3k or any one of the preceding Embodiments wherein X^3 is CR^2 and R^2 is C_1-C_4 alkyl, C_1-C_3 alkoxy or taken together with X^2 to form a ring.

Embodiment 3n. A compound of Embodiment 3m wherein the ring contains $-OCH_2O-$ or $-O(CH_2)_2O-$ or $-O(CH_2)_2-$.

Embodiment 3o. A compound of Embodiment 3k wherein R^2 is C_1-C_4 alkyl or C_1-C_3 alkoxy.

Embodiment 3p. A compound of Embodiment 3 or any one of the preceding Embodiments wherein X^4 is CR^2 and R^2 is H.

Embodiment 4. A compound of Formula 1 or any one of the preceding Embodiments wherein R^{1a} is H, halogen, cyano, C_1-C_7 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_7 cycloalkylalkyl, C_4-C_7 alkylcycloalkyl, C_1-C_7 haloalkyl, C_1-C_6 hydroxyalkyl, C_3-C_7 hydroxycycloalkyl, C_1-C_6 hydroxyhaloalkyl, C_4-C_8 alkoxyalkyl, C_2-C_7 alkoxyhaloalkyl, C_2-C_6 oxacycloalkyl, C_3-C_7 oxacycloalkylalkyl, C_3-C_7 alkylloxacycloalkyl, C_2-C_6 thiacycloalkyl, C_3-C_7 thiacycloalkylalkyl, C_3-C_7 alkylthiacycloalkyl, C_2-C_6 (O-thia)cycloalkyl, C_3-C_7 (O-thia)cycloalkylalkyl, C_3-C_7 alkyl(O-thia)cycloalkyl, C_2-C_6 (O₂thia)cycloalkyl, C_3-C_7 (O₂thia)cycloalkylalkyl, C_3-C_7 alkyl(O₂thia)cycloalkyl, C_2-C_6 haloalkenyl, C_2-C_6 haloalkynyl, C_3-C_7 halocycloalkyl, C_4-C_7 haloalkylcycloalkyl, C_2-C_7 alkoxyalkyl, C_2-C_7 haloalkoxyalkyl, C_1-C_7 alkoxy, C_2-C_5 alkenyloxy, C_2-C_5 alkynyloxy, C_3-C_7 cycloalkoxy, C_4-C_7 cycloalkoxyalkyl, C_1-C_7 haloalkoxy, C_1-C_7 alkylthio, C_2-C_7 alkylthioalkyl, C_1-C_5 alkylsulfinyl, C_1-C_5 alkylsulfonyl, C_1-C_5 haloalkylthio, C_2-C_7 alkylsulfinylalkyl, C_2-C_7 alkylsulfonylalkyl, C_2-C_7 haloalkylthioalkyl, C_2-C_7 haloalkylsulfinylalkyl, C_2-C_7 haloalkylsulfonylalkyl, C_4-C_7 alkylthiocycloalkyl, C_4-C_7 alkylsulfinylcycloalkyl, C_4-C_7 alkylsulfonylcycloalkyl, C_4-C_7 haloalkylthiocycloalkyl, C_4-C_7 haloalkylsulfinylcycloalkyl, C_4-C_7 haloalkylsulfonylcycloalkyl, C_2-C_7 (HN=S(alkyl)=O)alkyl, C_4-C_7 (HN=S(alkyl)=O)cycloalkyl, C_3-C_7 (alkyl-N=S(alkyl)=O)alkyl, C_5-C_8 (alkyl-N=S(alkyl)=O)cycloalkyl, C_3-C_7 (cyano-N=S(alkyl)=O)alkyl, C_4-C_7 (alkylcarbonyl-N=S(alkyl)=O)alkyl, C_4-C_7 (alkoxycarbonyl-N=S(alkyl)=O)alkyl, C_2-C_5 cyanoalkyl, C_4-C_7 cyanocycloalkyl, C_1-C_4 nitroalkyl, C_2-C_6 dialkylamino, C_2-C_6 alkylcarbonyl, C_3-C_6 alkylcarbonylalkyl, C_2-C_6 alkoxycarbonyl, C_3-C_6 alkoxycarbonylalkyl or C_3-C_6 trialkylsilyl;

Embodiment 4a. A compound of Embodiment 4 wherein R^{1a} is H, C_1-C_7 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_7 cycloalkylalkyl, C_4-C_7

alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₃-C₇
hydroxycycloalkyl, C₁-C₆ hydroxyhaloalkyl, C₄-C₈ alkoxyalkyl, C₂-C₇
alkoxyhaloalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₃-C₇
alkyloxacycloalkyl, C₂-C₆ thiacycloalkyl, C₃-C₇ thiacycloalkylalkyl, C₃-C₇
5 alkylthiacycloalkyl, C₂-C₆ (O-thia)cycloalkyl, C₃-C₇(O-thia)cycloalkylalkyl,
C₃-C₇ alkyl(O-thia)cycloalkyl, C₂-C₆ (O₂thia)cycloalkyl, C₃-C₇
(O₂thia)cycloalkylalkyl, C₃-C₇ alkyl(O₂thia)cycloalkyl, C₂-C₆ haloalkenyl, C₂-
C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇
alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₁-C₇ alkoxy, C₂-C₅ alkenyloxy, C₂-C₅
10 alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₁-C₇ haloalkoxy,
C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl, C₁-C₅ alkylsulfinyl, C₁-C₅
alkylsulfonyl, C₁-C₅ haloalkylthio, C₂-C₇ alkylsulfinylalkyl, C₂-C₇
alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-
C₇ haloalkylsulfonylalkyl, C₄-C₇ alkylthiocycloalkyl, C₄-C₇
15 alkylsulfinylcycloalkyl, C₄-C₇ alkylsulfonylcycloalkyl, C₄-C₇
haloalkylthiocycloalkyl, C₄-C₇ haloalkylsulfinylcycloalkyl, C₄-C₇
haloalkylsulfonylcycloalkyl, C₂-C₇ (HN=S(alkyl)=O)alkyl, C₄-C₇
(HN=S(alkyl)=O)cycloalkyl, C₃-C₇ (alkyl-N=S(alkyl)=O)alkyl, C₅-C₈ (alkyl-
N=S(alkyl)=O)cycloalkyl, C₃-C₇ (cyano-N=S(alkyl)=O)alkyl, C₄-C₇
20 (alkylcarbonyl-N=S(alkyl)=O)alkyl, C₄-C₇ (alkoxycarbonyl-
N=S(alkyl)=O)alkyl, C₂-C₅ cyanoalkyl or C₄-C₇ cyanocycloalkyl;

Embodiment 4b. A compound of Embodiment 4a wherein R^{1a} is H, C₁-C₇ alkyl, C₂-C₆
alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇
alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₃-C₇
25 hydroxycycloalkyl, C₁-C₆ hydroxyhaloalkyl, C₄-C₈ alkoxyalkyl, C₂-C₇
alkoxyhaloalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₃-C₇
alkyloxacycloalkyl, C₂-C₆ thiacycloalkyl, C₃-C₇ thiacycloalkylalkyl, C₂-C₆
haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇
haloalkylcycloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₁-C₇ alkoxy,
30 C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇
cycloalkoxyalkyl, C₁-C₇ haloalkoxy, C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl,
C₁-C₅ alkylsulfinyl, C₁-C₅ alkylsulfonyl, C₁-C₅ haloalkylthio, C₂-C₇
alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₄-C₇
haloalkylthiocycloalkyl, C₄-C₇ haloalkylsulfonylcycloalkyl or C₂-C₅
35 cyanoalkyl;

Embodiment 4c. A compound of Embodiment 4b wherein R^{1a} is H, C₁-C₇ alkyl, C₂-C₆
alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇
alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₄-C₈

alkoxycycloalkyl, C₂–C₇ alkoxyhaloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ oxacycloalkylalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₆ thiacycloalkyl, C₃–C₇ thiacycloalkylalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl, C₂–C₇ haloalkoxyalkyl, C₁–C₇ alkoxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₁–C₇ haloalkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₁–C₅ alkylsulfinyl, C₁–C₅ alkylsulfonyl, C₁–C₅ haloalkylthio, C₂–C₇ alkylsulfinylalkyl, C₂–C₇ alkylsulfonylalkyl or C₂–C₅ cyanoalkyl;

Embodiment 4d. A compound of Embodiment 4c wherein R^{1a} is H, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₄–C₈ alkoxyalkyl, C₂–C₇ alkoxyhaloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ oxacycloalkylalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₆ thiacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₇ alkoxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₂–C₇ alkylsulfinylalkyl or C₂–C₇ alkylsulfonylalkyl;

Embodiment 4e. A compound of Embodiment 4d wherein R^{1a} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₄–C₈ alkoxyalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₇ alkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₂–C₇ alkylsulfinylalkyl or C₂–C₇ alkylsulfonylalkyl;

Embodiment 4f. A compound of Embodiment 4e wherein R^{1a} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₂–C₆ oxacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₇ alkoxy or C₂–C₇ alkylsulfonylalkyl;

Embodiment 4g. A compound of Embodiment 4f wherein R^{1a} is H, C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl, C₂–C₅ oxacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₅ alkoxy or C₂–C₇ alkylsulfonylalkyl;

Embodiment 4h. A compound of Embodiment 4g wherein R^{1a} is C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl, C₂–C₅ oxacycloalkyl or C₂–C₇ alkylsulfonylalkyl;

Embodiment 4g. A compound of Embodiment 4f wherein R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH.

Embodiment 5. A compound of Formula 1 or any one of the preceding Embodiments wherein each R^{1b} is independently H, halogen, cyano, nitro, C₁–C₄ alkyl, C₃–C₅

cycloalkyl, C₁–C₄ haloalkyl, C₃–C₅ halocycloalkyl, C₂–C₄ alkoxyalkyl, C₁–C₄ alkoxy, C₁–C₄ alkylthio or C₂–C₄ alkoxycarbonyl.

Embodiment 5a. A compound of Embodiment 5 wherein each R^{1b} is independently H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ alkoxyalkyl, C₁–C₄ alkoxy or C₁–C₄ alkylthio.

Embodiment 5b. A compound of Embodiment 5a wherein each R^{1b} is independently H, C₁–C₄ alkyl or C₃–C₅ cycloalkyl.

Embodiment 5c. A compound of Embodiment 5b wherein each R^{1b} is independently H, C₁–C₄ alkyl or C₃–C₄ cycloalkyl.

Embodiment 5d. A compound of Embodiment 5c wherein R^{1b} is H when A is A-1, A-2, A-3 and A-5, and m is 1.

Embodiment 5dd. A compound of Embodiment 5d wherein R^{1b} is H when A is A-1.

Embodiment 5e. A compound of Embodiment 5b wherein R^{1b} is C₁–C₄ alkyl or C₃–C₅ cycloalkyl when A is A-4, A-7, A-8, A-9 or A-10 and m is 1.

Embodiment 5f. A compound of Embodiment 5c wherein R^{1b} is H.

Embodiment 6. A compound of Formula **1** or Embodiment 1 wherein m is 0, 1, or 2.

Embodiment 6a. A compound of Embodiment 6 wherein m is 0.

Embodiment 6b. A compound of Embodiment 6 wherein m is 1.

Embodiment 6c. A compound of Embodiment 6 wherein m is 2.

Embodiment 7. A compound of Formula **1** or Embodiment 1 wherein R^{1c} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₂–C₆ oxacycloalkyl or C₁–C₇ haloalkyl.

Embodiment 7a. A compound of Embodiment 7 wherein R^{1c} is H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₂–C₆ oxacycloalkyl or C₁–C₇ haloalkyl.

Embodiment 7b. A compound of Embodiment 7a wherein R^{1c} is H, C₁–C₄ alkyl or C₃–C₅ cycloalkyl.

Embodiment 7c. A compound of Embodiment 7a wherein R^{1c} is H, Me, *c*-Pr, *i*-Pr, *c*-Bu and *t*-Bu.

Embodiment 7d. A compound of Embodiment 7b wherein R^{1c} is Me.

Embodiment 7e. A compound of Embodiment 7b wherein R^{1c} is *i*-Pr.

Embodiment 7f. A compound of Embodiment 7b wherein R^{1c} is *c*-Pr.

Embodiment 7g. A compound of Embodiment 7b wherein R^{1c} is H.

Embodiment 7h. A compound of Embodiment 7b wherein R^{1c} is *c*-Bu.

Embodiment 7i. A compound of Embodiment 7b wherein R^{1c} is *t*-Bu.

Embodiment 9. A compound of Formula **1** or Embodiment 1 wherein each R² is

independently H, halogen, cyano, nitro, hydroxy, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₃–C₆ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₁–C₅

haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylsulfonate, C₃-C₅ cycloalkylsulfonate, C₁-C₄ haloalkylsulfonate, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl or C₂-C₅ alkoxycarbonyl; or

two adjacent R² may be taken together to form a saturated or unsaturated 5- to 8-membered ring, containing carbon atoms and optionally 1 to 3 oxygen, sulfur or nitrogen atoms as ring members, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, sulfonyl, sulfinyl moiety, said ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy and C₁-C₄ haloalkoxy.

Embodiment 9a. A compound of Embodiment 9 wherein each R² is independently H, halogen, cyano, nitro, hydroxy, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonate or C₂-C₅ alkoxycarbonyl.

Embodiment 9b. A compound of Embodiment 9a wherein each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy.

Embodiment 9bb. A compound of Embodiment 9a wherein each R² is independently H, halogen, cyano, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy.

Embodiment 9c. A compound of Embodiment 9b wherein each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₅ haloalkyl, C₂-C₅ alkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy.

Embodiment 9cc. A compound of Embodiment 9bb wherein each R^2 is independently H, halogen, cyano, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_2-C_5 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 cycloalkylalkyl, C_1-C_5 haloalkyl, C_2-C_5 alkoxyalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy.

5 Embodiment 9d. A compound of Embodiment 9c wherein each R^2 is independently H, halogen, cyano, OH, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_2-C_5 alkynyl, C_3-C_6 cycloalkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy or C_1-C_5 haloalkoxy.

Embodiment 9dd. A compound of Embodiment 9cc wherein each R^2 is independently H, halogen, cyano, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_2-C_5 alkynyl, C_3-C_6 cycloalkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy or C_1-C_5 haloalkoxy.

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Embodiment 9dd1. A compound of Embodiment 9d or Embodiment 9dd wherein each R^2 is independently H, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF_3 , OCF_3 or CH_2CF_3 .

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Embodiment 9d1. A compound of Embodiment 9d wherein each R^2 is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF_3 , OCF_3 or CH_2CF_3 .

Embodiment 9d2. A compound of Embodiment 9c wherein each R^2 is independently H, C_1-C_5 alkyl or C_1-C_5 alkoxy.

20

Embodiment 9e. A compound of Embodiment 9d wherein each R^2 is independently H, Me, OMe or Et.

Embodiment 9ee. A compound of Embodiment 9d wherein each R^2 is independently H, Me or OMe.

Embodiment 9f. A compound of Embodiment 9e wherein R^2 is H.

Embodiment 9ff. A compound of Embodiment 9f wherein R^2 is H when X^4 is CR^2 .

25

Embodiment 9g. A compound of Embodiment 9e wherein R^2 is Me.

Embodiment 9gg. A compound of Embodiment 9e wherein R^2 is OMe.

Embodiment 9h. A compound of Embodiment 9e wherein R^2 is Et.

30

Embodiment 9i. A compound of Embodiment 9 wherein two adjacent R^2 may be taken together to form a saturated or unsaturated 5- to 8-membered ring, containing carbon atoms and optionally 1 to 3 oxygen, sulfur or nitrogen atoms as ring members, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, sulfonyl, sulfinyl moiety, said ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy and C_1-C_4 haloalkoxy.

35

Embodiment 9j. A compound of Embodiment 9i wherein two adjacent R^2 may be taken together to form a 5- or 6- membered ring, containing up to 2 oxygen atoms as the ring members.

Embodiment 9k. A compound of Embodiment 9j wherein two adjacent R² may be taken together to form a 5- or 6- membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-.

Embodiment 9kk. A compound of Embodiment 9j wherein two adjacent R² may be taken together to form a 5- or 6- membered ring, wherein said ring, in addition to the two connecting carbon atoms, contains ring members selected from the group consisting of -OCH₂O-, -O(CH₂)₂O-, and -O(CH₂)₂-.

Embodiment 10. A compound of Formula 1 or Embodiment 1 wherein Y is O or S.

Embodiment 10a. A compound of Embodiment 10 wherein Y is O.

Embodiment 10b. A compound of Embodiment 10 wherein Y is S.

Embodiment 11. A compound of Formula 1 or Embodiment 1 wherein R^{3a} is halogen, cyano, nitro, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ cycloalkylhaloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₂-C₅ alkenyloxyalkyl, C₂-C₅ alkynyloxyalkyl, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₂-C₆ oxacycloalkoxy, C₃-C₆ oxacycloalkoxyalkyl, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₇ alkoxyhaloalkyl, C₂-C₇ haloalkoxyhaloalkyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl, C₁-C₄ nitroalkyl, C₃-C₆ alkylcarbonylalkyl or C₃-C₆ alkoxy carbonylalkyl.

Embodiment 11a. A compound of Embodiment 11 wherein R^{3a} is halogen, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇ alkoxyalkyl or C₂-C₇ haloalkoxyalkyl.

Embodiment 11b. A compound of Embodiment 11a wherein R^{3a} is halogen, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₃-C₇ halocycloalkyl, C₂-C₇ alkoxyalkyl or C₂-C₇ haloalkoxyalkyl.

Embodiment 11c. A compound of Embodiment 11b wherein R^{3a} is halogen, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkyl or C₂-C₇ alkoxyalkyl.

Embodiment 11d. A compound of Embodiment 11c wherein R^{3a} is halogen, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, C_1-C_3 alkoxy, C_1-C_4 haloalkyl or C_2-C_5 alkoxyalkyl.

Embodiment 11e. A compound of Embodiment 11d wherein R^{3a} is halogen, Me, *c*-Pr, CF_3 , CH_2OMe , CH_2OEt .

5 Embodiment 11f. A compound of Embodiment 11e wherein R^{3a} is Me.

Embodiment 11ff. A compound of Embodiment 11e wherein R^{3a} is Et.

Embodiment 11g. A compound of Embodiment 11d wherein R^{3a} is halogen.

Embodiment 11h. A compound of Embodiment 11g wherein R^{3a} is F or Cl.

Embodiment 11i. A compound of Embodiment 11d wherein R^{3a} is CH_2OMe .

10 Embodiment 12. A compound of Formula 1 or Embodiment 1 wherein each R^{3b} is independently H or halogen.

Embodiment 12a. A compound of Embodiment 12 wherein R^{3b} is H.

Embodiment 12b. A compound of Embodiment 12 wherein R^{3b} is halogen.

15 Embodiment 12c. A compound of Formula 1 or Embodiment 1 wherein R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, $S(O)$ or $S(O)_2$.

20 Embodiment 12d. A compound of Formula 1 or Embodiment 1 wherein two R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, $S(O)$ or $S(O)_2$.

Embodiment 13. A compound of Formula 1 or Embodiment 1 wherein Z is O, $C(R^{3c})_p$, $C(=O)$, $C(=S)$, or NR^{3d} .

30 Embodiment 13a. A compound of Embodiment 13 wherein Z is O, $C(R^{3c})_p$ or NR^{3d} .

Embodiment 13b. A compound of Embodiment 13a wherein Z is $C(R^{3c})_p$ or NR^{3d} .

Embodiment 13c. A compound of Embodiment 13b wherein Z is $C(R^{3c})_p$.

Embodiment 13d. A compound of Embodiment 13a wherein Z is NR^{3d} .

Embodiment 13e. A compound of Embodiment 13a wherein Z is O.

35 Embodiment 13f. A compound of Embodiment 13e wherein Z is O, and both X^1 and X^3 are N.

Embodiment 13g. A compound of Embodiment 13e wherein Z is O, and both X² and X³ are N.

Embodiment 13h. A compound of Embodiment 13e wherein Z is O, and both X¹ and X⁴ are N.

5 Embodiment 13i. A compound of Embodiment 13e wherein Z is O, and both X² and X⁴ are N.

Embodiment 13j. A compound of Embodiment 13e wherein Z is O, and both X³ and X⁴ are N.

Embodiment 14. A compound of Formula **1** or Embodiment 1 wherein each R^{3c} is

10 independently H, halogen, cyano, nitro, hydroxy, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₂–C₅ haloalkenyl, C₂–C₅ haloalkynyl, C₂–C₅ alkoxyalkyl, C₂–C₅ haloalkoxyalkyl, C₁–C₅ alkoxy, C₁–C₅ haloalkoxy, C₁–C₅ alkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₅ haloalkylthio, C₁–C₄ haloalkylsulfinyl, C₁–C₄ haloalkylsulfonyl, C₄–C₇

15 cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkylthioalkyl, C₂–C₇ alkylsulfinylalkyl, C₂–C₇ alkylsulfonylalkyl, C₂–C₇ haloalkylthioalkyl, C₂–C₇ haloalkylsulfinylalkyl, C₂–C₇ haloalkylsulfonylalkyl, C₂–C₅ cyanoalkyl, C₄–C₆ cyanocycloalkyl, C₁–C₄ nitroalkyl, C₂–C₆ oxacycloalkyl, C₃–C₆ oxacycloalkylalkyl, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₃–C₆ alkylcarbonylalkyl, C₃–C₆ alkoxy carbonylalkyl or C₂–C₅ alkoxy carbonyl, C₂–C₆ alkylcarbonyloxy, C₂–C₆ haloalkylcarbonyloxy, C₄–C₆ cycloalkylcarbonyloxy, C₂–C₆ alkoxy carbonyloxy, C₂–C₆ alkylaminocarbonyloxy, C₃–C₆ dialkylaminocarbonyloxy; or

25 one R^{3c} is taken together with the adjacent R^{3a} or R^{3b} and the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S)

30 and the sulfur atom ring member is selected from S, S(O) or S(O)₂; or

two R^{3c} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are

35 independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂.

Embodiment 14a. A compound of Embodiment 14 wherein each R^{3c} is independently H, halogen, cyano, nitro, hydroxy, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_2-C_5 alkynyl, C_3-C_5 cycloalkyl, C_1-C_5 haloalkyl, C_2-C_5 haloalkenyl, C_2-C_5 haloalkynyl, C_2-C_5 alkoxyalkyl, C_2-C_5 haloalkoxyalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy, C_1-C_5 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_5 haloalkylthio, C_1-C_4 haloalkylsulfinyl, C_1-C_4 haloalkylsulfonyl, C_4-C_7 cycloalkylalkyl, C_4-C_7 alkylcycloalkyl, C_3-C_7 halocycloalkyl, C_4-C_7 haloalkylcycloalkyl, C_2-C_7 alkylthioalkyl, C_2-C_7 alkylsulfinylalkyl, C_2-C_5 cyanoalkyl, C_3-C_7 cycloalkoxy, C_3-C_6 alkylcarbonylalkyl, C_3-C_6 alkoxy carbonylalkyl or C_2-C_5 alkoxy carbonyl, C_2-C_6 alkylcarbonyloxy.

Embodiment 14b. A compound of Embodiment 14a wherein each R^{3c} is independently H, halogen, hydroxy, C_1-C_5 alkyl, C_3-C_5 cycloalkyl, C_1-C_5 haloalkyl, C_2-C_5 alkoxyalkyl, C_2-C_5 haloalkoxyalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy, C_4-C_7 cycloalkylalkyl, C_3-C_7 cycloalkoxy, C_3-C_6 alkylcarbonylalkyl, C_3-C_6 alkoxy carbonylalkyl or C_2-C_5 alkoxy carbonyl, C_2-C_6 alkylcarbonyloxy.

Embodiment 14c. A compound of Embodiment 14b wherein each R^{3c} is independently H, halogen, hydroxy, C_1-C_5 alkyl, C_3-C_5 cycloalkyl, C_2-C_5 alkoxyalkyl, C_1-C_5 alkoxy, C_4-C_7 cycloalkylalkyl, C_3-C_7 cycloalkoxy, C_3-C_6 alkylcarbonylalkyl, C_3-C_6 alkoxy carbonylalkyl or C_2-C_5 alkoxy carbonyl, C_2-C_6 alkylcarbonyloxy.

Embodiment 14d. A compound of Embodiment 14c wherein R^{3c} is independently H, halogen, hydroxy, C_1-C_5 alkyl, C_1-C_5 alkoxy, C_3-C_6 alkylcarbonylalkyl, C_3-C_6 alkoxy carbonylalkyl or C_2-C_6 alkylcarbonyloxy.

Embodiment 14e. A compound of Embodiment 14d wherein each R^{3c} is independently H, F, Cl, hydroxy, Me, OMe or $-OC(=O)Me$.

Embodiment 14f. A compound of Embodiment 14e wherein each R^{3c} is independently H.

Embodiment 15. A compound of Formula 1 or Embodiment 1 wherein R^{3d} is H, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, C_1-C_4 haloalkyl, C_2-C_5 alkylcarbonyl, C_2-C_5 haloalkylcarbonyl, C_2-C_5 alkoxy carbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 dialkylaminocarbonyl, C_1-C_5 alkylsulfonyl or C_1-C_5 haloalkylsulfonyl;

Embodiment 15a. A compound of Embodiment 15 wherein R^{3d} is H, C_1-C_4 alkyl, C_2-C_5 alkylcarbonyl, C_2-C_5 haloalkylcarbonyl, C_2-C_5 alkoxy carbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 dialkylaminocarbonyl, C_1-C_5 alkylsulfonyl or C_1-C_5 haloalkylsulfonyl.

Embodiment 15b. A compound of Embodiment 15a wherein R^{3d} is H or C_1-C_4 alkyl.

Embodiment 15c. A compound of Embodiment 15b wherein R^{3d} is H or Me.

Embodiment 15d. A compound of Embodiment 15c wherein R^{3d} is H.

Embodiment 15d1. A compound of Formula **1** or Embodiment 13d or Embodiment 15d wherein R^{3d} is H; and R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form $C(=O)$.

Embodiment 15e. A compound of Embodiment 15c wherein R^{3d} is Me.

5 Embodiment 16. A compound of Formula **1** or Embodiment 1 wherein p is 0 or 1.

Embodiment 16a. A compound of Embodiment 16 wherein p is 0.

Embodiment 16b. A compound of Embodiment 16 wherein p is 1.

Embodiment 17. A compound of Formula **1** or Embodiment 1 wherein R^{4a} is H, halogen, cyano, nitro, C_1-C_5 alkyl, C_2-C_5 alkenyl, C_2-C_5 alkynyl, C_3-C_5 cycloalkyl, C_1-C_5 haloalkyl, C_2-C_5 haloalkenyl, C_2-C_5 haloalkynyl, C_2-C_5 alkoxyalkyl, C_2-C_5 haloalkoxyalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy, C_3-C_6 trialkylsilyl, C_1-C_5 alkylthio, C_1-C_5 haloalkylthio or C_2-C_5 alkoxycarbonyl.

Embodiment 17b. A compound of Embodiment 17 wherein R^{4a} is H, halogen, C_1-C_5 alkyl, C_1-C_5 haloalkyl.

15 Embodiment 17c. A compound of Embodiment 17b wherein R^{4a} is H, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl.

Embodiment 17d. A compound of Embodiment 17c wherein R^{4a} is H, Cl, Me, F, CF_3 .

Embodiment 17e. A compound of Embodiment 17d wherein R^{4a} is H, Cl or Me.

Embodiment 17f. A compound of Embodiment 17d wherein R^{4a} is H.

20 Embodiment 17g. A compound of Embodiment 17d wherein R^{4a} is Cl.

Embodiment 17h. A compound of Embodiment 17d wherein R^{4a} is Me.

Embodiment 17i. A compound of Embodiment 17d wherein R^{4a} is CF_3 .

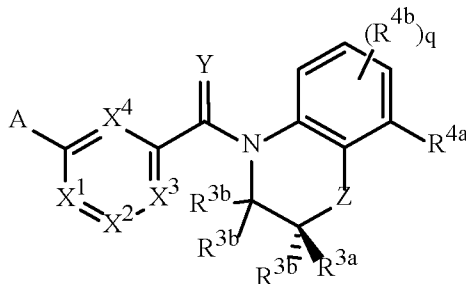
Embodiment 17j. A compound of Embodiment 17d wherein R^{4a} is F.

Embodiment 18. A compound of Formula **1** or Embodiment 1 wherein q is 0 or 1.

25 Embodiment 18a. A compound of Embodiment 18 wherein q is 0.

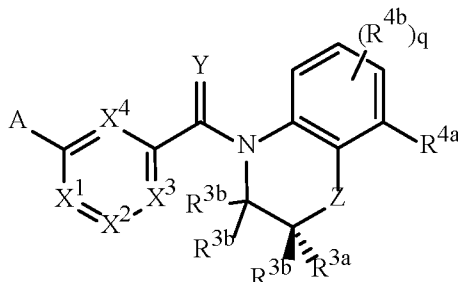
Embodiment 18b. A compound of Embodiment 18 wherein q is 1.

Embodiment 19. A compound of Formula **1** or Embodiment 1 wherein the stereochemistry of the carbon atom with * is (**1'**) depicted as Formula **1'** below.



1'

Embodiment 20. A compound of Formula 1 or Embodiment 1 wherein the stereochemistry of the carbon atom with * is (1''), depicted as Formula 1'' below.

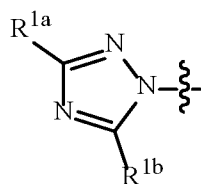


1''

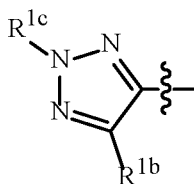
Embodiments of this invention, including Embodiments 1–20 above as well as any other embodiments described herein, can be combined in any manner, and the descriptions of variables in the embodiments pertain not only to the compounds of Formula 1 but also to the starting compounds and intermediate compounds useful for preparing the compounds of Formula 1. In addition, embodiments of this invention, including Embodiments 1–20 above as well as any other embodiments described herein, and any combination thereof, pertain to the compositions and methods of the present invention.

Combinations of Embodiments 1–20 are illustrated by:

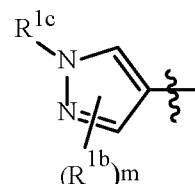
Embodiment X. A compound of Formula 1 as described in the Summary of the Disclosure wherein A is selected from



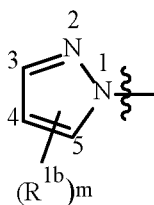
A-1



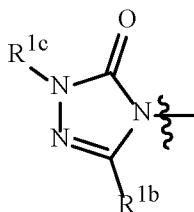
A-2



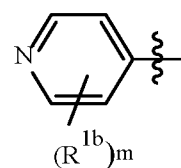
A-3



A-4

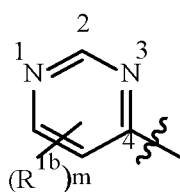


A-5

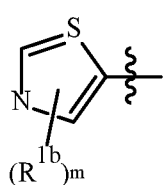


A-6

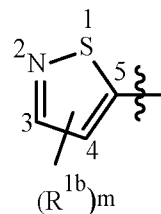
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A-7

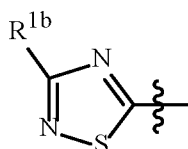


A-8



A-9

and



A-10

Embodiment A1. The compound of Embodiment X wherein Z is $C(R^{3c})_p$ or NR^{3d} .

Embodiment A2. The compound of Embodiment A1 wherein

A is A-1, A-2, A-3, A-5, A-7, A-8 or A-10;

X^1 , X^2 , X^3 and X^4 are each independently CR^2 ; or X^1 is N, and X^2 , X^3 and X^4 are each independently N or CR^2 ; or X^1 and X^2 are N, and X^3 and X^4 are each independently CR^2 ; or X^2 and X^3 are N, and X^1 and X^4 are each independently CR^2 ; or X^1 and X^3 are N, and X^2 and X^4 are each independently CR^2 ; or X^2 is N, and X^1 , X^3 and X^4 are CR^2 .

R^{1a} is H, C_1 - C_7 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_4 - C_7 cycloalkylalkyl, C_4 - C_7 alkylcycloalkyl, C_1 - C_7 haloalkyl, C_1 - C_6 hydroxyalkyl, C_3 - C_7 hydroxycycloalkyl, C_1 - C_6 hydroxyhaloalkyl, C_4 - C_8 alkoxyalkyl, C_2 - C_7 alkoxyhaloalkyl, C_2 - C_6 oxacycloalkyl, C_3 - C_7 oxacycloalkylalkyl, C_3 - C_7 alkyloxacycloalkyl, C_2 - C_6 thiacycloalkyl, C_3 - C_7 thiacycloalkylalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_7 halocycloalkyl, C_4 - C_7 haloalkylcycloalkyl, C_2 - C_7 alkoxyalkyl, C_2 - C_7 haloalkoxyalkyl, C_1 - C_7 alkoxy, C_2 - C_5 alkenyloxy, C_2 - C_5 alkynyloxy, C_3 - C_7 cycloalkoxy, C_4 - C_7 cycloalkoxyalkyl, C_1 - C_7 haloalkoxy, C_1 - C_7 alkylthio, C_2 - C_7 alkylthioalkyl, C_1 - C_5 alkylsulfinyl, C_1 - C_5 alkylsulfonyl, C_1 - C_5 haloalkylthio, C_2 - C_7 alkylsulfinylalkyl, C_2 - C_7 alkylsulfonylalkyl, C_2 - C_7 haloalkylthioalkyl, C_4 - C_7 haloalkylthiocycloalkyl, C_4 - C_7 haloalkylsulfonylcycloalkyl or C_2 - C_5 cyanoalkyl;

each R^{1b} is independently H, C_1 - C_4 alkyl, C_3 - C_5 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkoxyalkyl, C_1 - C_4 alkoxy or C_1 - C_4 alkylthio;

R^{1c} is H, C_1 - C_4 alkyl, C_3 - C_5 cycloalkyl, C_2 - C_6 oxacycloalkyl or C_1 - C_7 haloalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₃–C₆ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₁–C₅ haloalkyl, C₂–C₅ haloalkenyl, C₂–C₅ haloalkynyl, C₂–C₅ alkoxyalkyl, C₂–C₅ haloalkoxyalkyl, C₁–C₅ alkoxy, C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring, containing up to 2 oxygen atoms as the ring members.

R^{3a} is halogen, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₁–C₇ alkoxy, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl or C₂–C₇ haloalkoxyalkyl; each R^{3c} is independently H, halogen, hydroxy, C₁–C₅ alkyl, C₁–C₅ alkoxy, C₃–C₆ alkylcarbonylalkyl, C₃–C₆ alkoxyalkyl or C₂–C₆ alkylcarbonyloxy;

R^{3d} is H, C₁–C₄ alkyl, C₂–C₅ alkylcarbonyl, C₂–C₅ haloalkylcarbonyl, C₂–C₅ alkoxyalkyl, C₂–C₅ alkylaminocarbonyl, C₂–C₅ dialkylaminocarbonyl, C₁–C₅ alkylsulfonyl or C₁–C₅ haloalkylsulfonyl; and

R^{4a} is H, halogen, C₁–C₅ alkyl, C₁–C₅ haloalkyl.

Embodiment A3. The compound of Embodiment A2 wherein

A is A-1, A-2 or A-8;

R^{1a} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₄–C₈ alkoxyalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₇ alkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₂–C₇ alkylsulfinylalkyl or C₂–C₇ alkylsulfonylalkyl;

each R^{1b} is independently H, C₁–C₄ alkyl or C₃–C₄ cycloalkyl;

R^{1c} is H, C₁–C₄ alkyl or C₃–C₅ cycloalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring, containing up to 2 oxygen atoms as the ring members;

R^{3a} is halogen, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₁–C₇ alkoxy, C₁–C₇ haloalkyl or C₂–C₇ alkoxyalkyl;

R^{3c} is independently H, F, Cl, hydroxy, Me, OMe or -OC(=O)Me; and

R^{3d} is H or C₁–C₄ alkyl.

Embodiment A4. The compound of Embodiment A3 wherein

A is A-1;

X¹, X², X³ and X⁴ are CR²;

R^{1a} is C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl, C₂–C₅ oxacycloalkyl or C₂–C₇ alkylsulfonylalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-;

R^{3a} is halogen, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₃ alkoxy, C₁–C₄ haloalkyl or C₂–C₅ alkoxyalkyl;

each R^{3b} is independently H or halogen; and

R^{3d} is H or Me.

Embodiment A5. The compound of Embodiment A4 wherein

R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH;

R^{1b} is H;

R^{1c} is H, Me, *c*-Pr or *i*-Pr;

each R² is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF₃, OCF₃ or CH₂CF₃;

Y is O;

R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;

R^{3b} is H;

R^{3d} is H or Me; and

R^{4a} is H, Cl or Me.

Embodiment A6. The compound of any one of the preceding Embodiments wherein Z is C(R^{3c})_p.

Embodiment B1. The compound of Embodiment X wherein Z is O.

Embodiment B2. The compound of Embodiment B1 wherein X² and X³ are N, and X¹ and X⁴ are each independently CR²; or X¹ and X³ are N, and X² and X⁴ are each independently CR².

Embodiment B3. The compound of Embodiment B2 wherein

A is A-1, A-2, A-3, A-5, A-7, A-8 or A-10;

R^{1a} is H, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₃–C₇ hydroxycycloalkyl, C₁–C₆ hydroxyhaloalkyl, C₄–C₈ alkoxyalkyl, C₂–C₇ alkoxyhaloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇

- oxacycloalkylalkyl, C₃-C₇ alkyloxacycloalkyl, C₂-C₆ thiacycloalkyl, C₃-C₇ thiacycloalkylalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₁-C₇ alkoxy, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₁-C₇ haloalkoxy, C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl, C₁-C₅ alkylsulfinyl, C₁-C₅ alkylsulfonyl, C₁-C₅ haloalkylthio, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₄-C₇ haloalkylthiocycloalkyl, C₄-C₇ haloalkylsulfonylcycloalkyl or C₂-C₅ cyanoalkyl;
- each R^{1b} is independently H, C₁-C₄ alkyl, C₃-C₅ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ alkoxyalkyl, C₁-C₄ alkoxy or C₁-C₄ alkylthio;
- R^{1c} is H, C₁-C₄ alkyl, C₃-C₅ cycloalkyl, C₂-C₆ oxacycloalkyl or C₁-C₇ haloalkyl;
- each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₁-C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6- membered ring, containing up to 2 oxygen atoms as the ring members;
- R^{3a} is halogen, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇ alkoxyalkyl or C₂-C₇ haloalkoxyalkyl;
- each R^{3c} is independently H, halogen, hydroxy, C₁-C₅ alkyl, C₁-C₅ alkoxy, C₃-C₆ alkylcarbonylalkyl, C₃-C₆ alkoxycarbonylalkyl or C₂-C₆ alkylcarbonyloxy;
- R^{3d} is H, C₁-C₄ alkyl, C₂-C₅ alkylcarbonyl, C₂-C₅ haloalkylcarbonyl, C₂-C₅ alkoxycarbonyl, C₂-C₅ alkylaminocarbonyl, C₂-C₅ dialkylaminocarbonyl, C₁-C₅ alkylsulfonyl or C₁-C₅ haloalkylsulfonyl; and
- R^{4a} is H, halogen, C₁-C₅ alkyl, C₁-C₅ haloalkyl.

Embodiment B4. The compound of Embodiment B3 wherein

A is A-1, A-2 or A-8;

R^{1a} is H, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇

alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₄-C₈

alkoxycycloalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ alkyloxacycloalkyl, C₂-C₇

alkoxyalkyl, C₁-C₇ alkoxy, C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl or C₂-C₇ alkylsulfonylalkyl;

each R^{1b} is independently H, C₁-C₄ alkyl or C₃-C₄ cycloalkyl;

R^{1c} is H, C₁-C₄ alkyl or C₃-C₅ cycloalkyl;

each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₅ alkoxy or C₁-C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring, containing up to 2 oxygen atoms as the ring members;

R^{3a} is halogen, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkyl or C₂-C₇ alkoxyalkyl;

R^{3c} is independently H, F, Cl, hydroxy, Me, OMe or -OC(=O)Me; and

R^{3d} is H or C₁-C₄ alkyl.

Embodiment B5. The compound of Embodiment B4 wherein

A is A-1;

X¹ and X³ are N, and X² and X⁴ are independently CR²;

R^{1a} is C₁-C₅ alkyl, C₃-C₅ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₆ hydroxyalkyl, C₂-C₅ oxacycloalkyl or C₂-C₇ alkylsulfonylalkyl;

each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₅ alkoxy or C₁-C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-;

R^{3a} is halogen, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₃ alkoxy, C₁-C₄ haloalkyl or C₂-C₅ alkoxyalkyl;

each R^{3b} is independently H or halogen; and

R^{3d} is H or Me.

Embodiment B6. The compound of Embodiment B5 wherein

R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH;

R^{1b} is H;

R^{1c} is H, Me, *c*-Pr or *i*-Pr;

each R² is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF₃, OCF₃ or CH₂CF₃;

Y is O;

R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;

R^{3b} is H;

R^{3d} is H or Me; and

R^{4a} is H or Me.

Embodiment B7. The compound of Embodiment B4 wherein

A is A-1;

X² and X³ are N, and X¹ and X⁴ are independently CR²;

R^{1a} is C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl, C₂–C₅ oxacycloalkyl or C₂–C₇ alkylsulfonylalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-;

R^{3a} is halogen, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₃ alkoxy, C₁–C₄ haloalkyl or C₂–C₅ alkoxyalkyl;

each R^{3b} is independently H or halogen; and

R^{3d} is H or Me.

Embodiment B8. The compound of Embodiment B7 wherein

R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH;

R^{1b} is H;

R^{1c} is H, Me, *c*-Pr or *i*-Pr;

each R² is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF₃, OCF₃ or CH₂CF₃;

Y is O;

R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;

R^{3b} is H;

R^{3d} is H or Me; and

R^{4a} is H or Me.

Embodiment C. Specific embodiment including a compound of Formula 1 wherein:

A is A-1, R^{1a} is *i*-Pr, R^{1b} is H, X¹, X² and X⁴ are all CH, X³ is COMe, Y is O,

R^{3a} is Me, R^{3b} is H, Z is CH₂ and R^{4a} is Me; (Compound 3)

Embodiment D. Specific embodiments include compounds of Formula 1 selected from

the group consisting of:

(3,4-dihydro-3,5-dimethyl-1(2*H*)-quinoliny)-[2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*S*)-3,4-dihydro-3,5-dimethyl-1(2*H*)-quinoliny][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*R*)-3,4-dihydro-3,5-dimethyl-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*S*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*R*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*S*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*R*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*S*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(3*R*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone; and
 [3,4-dihydro-3-methyl-5-(trifluoromethyl)-1(2*H*)-quinoxalinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 and combinations thereof.

This invention also relates to a method for controlling undesired vegetation comprising applying to the locus of the vegetation herbicidally effective amounts of the compounds of the invention (e.g., as a composition described herein). Of note as embodiments relating to methods of use are those involving the compounds of embodiments described above.

5 Compounds of the invention are particularly useful for selective control of weeds in crops such as wheat, barley, maize, soybean, sunflower, cotton, oilseed rape and rice, and specialty crops such as sugarcane, citrus, fruit and nut crops.

Also noteworthy as embodiments are herbicidal compositions of the present invention comprising the compounds of embodiments described above.

This invention also includes a herbicidal mixture comprising (a) a compound selected from Formula 1, *N*-oxides, and salts thereof, and (b) at least one additional active ingredient selected from (b1) photosystem II inhibitors, (b2) acetohydroxy acid synthase (AHAS) inhibitors, (b3) acetyl-CoA carboxylase (ACCase) inhibitors, (b4) auxin mimics, (b5) 5-enol-pyruvylshikimate-3-phosphate (EPSP) synthase inhibitors, (b6) photosystem I electron diverters, (b7) protoporphyrinogen oxidase (PPO) inhibitors, (b8) glutamine synthetase (GS) inhibitors, (b9) very long chain fatty acid (VLCFA) elongase inhibitors, (b10) auxin transport inhibitors, (b11) phytoene desaturase (PDS) inhibitors, (b12) 4-hydroxyphenyl-pyruvate dioxygenase (HPPD) inhibitors, (b13) DXP synthase inhibitors, (b14) homogentisate solanesyltransferase (HST) inhibitors, (b15) cellulose biosynthesis inhibitors, (b16) dehydroorotate dehydrogenase (DHODH) inhibitors, (b17) other herbicides including mitotic disruptors, organic arsenicals, asulam, bromobutide, cinflubrolin, cinmethylin, cumyluron, dazomet, difenzoquat, dymron, etobenzanid, flurenol, fosamine, fosamine-ammonium, hydantocidin, metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid and pyributicarb, (b18) herbicide safeners, and salts of compounds of (b1) through (b18).

“Photosystem II inhibitors” (b1) are chemical compounds that bind to the D-1 protein at the Q_B-binding niche and thus block electron transport from Q_A to Q_B in the chloroplast thylakoid membranes. The electrons blocked from passing through photosystem II are transferred through a series of reactions to form toxic compounds that disrupt cell membranes and cause chloroplast swelling, membrane leakage, and ultimately cellular destruction. The Q_B-binding niche has three different binding sites: binding site A binds the triazines such as atrazine, triazinones such as hexazinone, and uracils such as bromacil, binding site B binds the phenylureas such as diuron, and binding site C binds benzothiadiazoles such as bentazon, nitriles such as bromoxynil and phenyl-pyridazines such as pyridate. Examples of photosystem II inhibitors include ametryn, amicarbazone, atrazine, bentazon, bromacil, bromofenoxim, bromoxynil, chlorbromuron, chloridazon, chlorotoluron, chloroxuron, cumyluron, cyanazine, daimuron, desmedipham, desmetryn, dimefuron, dimethametryn, diuron, ethidimuron, fenuron, fluometuron, hexazinone, ioxynil, isoproturon, isouron, lenacil, linuron, metamitron, methabenzthiazuron, metobromuron, metoxuron, metribuzin, monolinuron, neburon, pentanochlor, phenmedipham, prometon, prometryn, propanil, propazine, pyridafol, pyridate, siduron, simazine, simetryn, tebuthiuron, terbacil, terbumeton, terbutylazine, terbutryn and trietazine.

“AHAS inhibitors” (b2) are chemical compounds that inhibit acetohydroxy acid synthase (AHAS), also known as acetolactate synthase (ALS), and thus kill plants by inhibiting the production of the branched-chain aliphatic amino acids such as valine, leucine and isoleucine, which are required for protein synthesis and cell growth. Examples of AHAS inhibitors include amidosulfuron, azimsulfuron, bensulfuron-methyl, bispyribac-sodium, cloransulam-methyl, chlorimuron-ethyl, chlorsulfuron, cinosulfuron, cyclosulfamuron,

diclosulam, ethametsulfuron-methyl, ethoxysulfuron, flazasulfuron, florasulam, flucarbazone-sodium, flumetsulam, flupyrsulfuron-methyl, flupyrsulfuron-sodium, foramsulfuron, halosulfuron-methyl, imazamethabenz-methyl, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, iodosulfuron-methyl (including sodium salt), iodosulfuron-sodium, iofensulfuron (2-iodo-*N*-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]benzenesulfonamide), iofensulfuron-sodium, mesosulfuron-methyl, metazosulfuron (3-chloro-4-(5,6-dihydro-5-methyl-1,4,2-dioxazin-3-yl)-*N*-[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-1-methyl-1*H*-pyrazole-5-sulfonamide), metosulam, metsulfuron-methyl, nicosulfuron, oxasulfuron, penoxsulam, primisulfuron-methyl, propoxycarbazone-sodium, propyrisulfuron (2-chloro-*N*-[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-6-propylimidazo[1,2-*b*]pyridazine-3-sulfonamide), prosulfuron, pyrazosulfuron-ethyl, pyribenzoxim, pyriftalid, pyriminobac-methyl, pyrithiobac-sodium, rimsulfuron, sulfometuron-methyl, sulfosulfuron, thiencarbazone, thifensulfuron-methyl, triafamone (*N*-[2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)carbonyl]-6-fluorophenyl]-1,1-difluoro-*N*-methylmethanesulfonamide), triasulfuron, tribenuron-methyl, trifloxysulfuron (including sodium salt), triflusulfuron-methyl and tritosulfuron.

“ACCase inhibitors” (b3) are chemical compounds that inhibit the acetyl-CoA carboxylase enzyme, which is responsible for catalyzing an early step in lipid and fatty acid synthesis in plants. Lipids are essential components of cell membranes, and without them, new cells cannot be produced. The inhibition of acetyl CoA carboxylase and the subsequent lack of lipid production leads to losses in cell membrane integrity, especially in regions of active growth such as meristems. Eventually shoot and rhizome growth ceases, and shoot meristems and rhizome buds begin to die back. Examples of ACCase inhibitors include alloxydim, butoxydim, clethodim, clodinafop, cycloxydim, cyhalofop, diclofop, fenoxaprop, fluazifop, haloxyfop, metproxybicyclone, pinoxaden, profoxydim, propaquizafop, quizalofop, sethoxydim, tepraloxym and tralkoxydim, including resolved forms such as fenoxaprop-P, fluazifop-P, haloxyfop-P and quizalofop-P and ester forms such as clodinafop-propargyl, cyhalofop-butyl, diclofop-methyl and fenoxaprop-P-ethyl.

Auxin is a plant hormone that regulates growth in many plant tissues. “Auxin mimics” (b4) are chemical compounds mimicking the plant growth hormone auxin, thus causing uncontrolled and disorganized growth leading to plant death in susceptible species. Examples of auxin mimics include aminocyclopyrachlor (6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylic acid) and its methyl and ethyl esters and its sodium and potassium salts, 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-2-pyridinecarboxylic 2-propyn-1-yl ester (CAS No. 2251111-17-6), 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-2-pyridinecarboxylic cyanomethyl ester (CAS No. 2251111-18-7), aminopyralid, benazolin-ethyl, chloramben, clacyfos, clomeprop, clopyralid, dicamba, 2,4-D, 2,4-DB, dichlorprop, fluchloraminopyr, fluchloraminopyr-tefuryl, fluroxypyr, halauxifen (4-amino-3-

chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-2-pyridinecarboxylic acid), halauxifen-methyl (methyl 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-2-pyridinecarboxylate), MCPA, MCPB, mecoprop, picloram, quinclorac, quinmerac, 2,3,6-TBA, triclopyr, and methyl 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-5-fluoro-2-pyridinecarboxylate.

“EPSP synthase inhibitors” (b5) are chemical compounds that inhibit the enzyme, 5-enol-pyruvylshikimate-3-phosphate synthase, which is involved in the synthesis of aromatic amino acids such as tyrosine, tryptophan and phenylalanine. EPSP inhibitor herbicides are readily absorbed through plant foliage and translocated in the phloem to the growing points. Glyphosate is a relatively nonselective postemergence herbicide that belongs to this group. Glyphosate includes esters and salts such as ammonium, isopropylammonium, potassium, sodium (including sesquisodium) and trimesium (alternatively named sulfosate).

“Photosystem I electron diverters” (b6) are chemical compounds that accept electrons from Photosystem I, and after several cycles, generate hydroxyl radicals. These radicals are extremely reactive and readily destroy unsaturated lipids, including membrane fatty acids and chlorophyll. This destroys cell membrane integrity, so that cells and organelles “leak”, leading to rapid leaf wilting and desiccation, and eventually to plant death. Examples of this second type of photosynthesis inhibitor include diquat, paraquat and 1-(2-carboxyethyl)-4-(2-pyrimidinyl)pyridazinium (CAS No. 2285384-11-2) and salts and esters thereof. Of note is a photosystem I electron diverter selected from diquat and paraquat.

“PPO inhibitors” (b7) are chemical compounds that inhibit the enzyme protoporphyrinogen oxidase, quickly resulting in formation of highly reactive compounds in plants that rupture cell membranes, causing cell fluids to leak out. Examples of PPO inhibitors include acifluorfen-sodium, azafenidin, benzfendizone, bifenox, butafenacil, carfentrazone, carfentrazone-ethyl, chlomethoxyfen, 3-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4,5-dihydro-5-methyl-5-isoxazole-carboxylic ethyl ester (CAS No. 1949837-17-5), cinidon-ethyl, cyclopyranil, epyrifenacil, fluzolate, flufenoximacil, flufenpyr-ethyl, flumiclorac-pentyl, flumioxazin, fluoroglycofen-ethyl, fluthiacet-methyl, fomesafen, halosafen, lactofen, oxadiargyl, oxadiazon, oxyfluorfen, pentoxazone, proflumazone, pyraclonil, pyraflufen-ethyl, saflufenacil, sulfentrazone, thidiazimin, trifludimoxazin (dihydro-1,5-dimethyl-6-thioxo-3-[2,2,7-trifluoro-3,4-dihydro-3-oxo-4-(2-propyn-1-yl)-2H-1,4-benzoxazin-6-yl]-1,3,5-triazine-2,4(1H,3H)-dione), tiafenacil (methyl *N*-[2-[[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]thio]-1-oxopropyl]-β-alaninate), and methyl 2-[2-[2-bromo-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenoxy]phenoxy]-2-methoxyacetate, ethyl 3-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4,5-dihydro-5-methyl-5-isoxazolecarboxylate.

“GS inhibitors” (b8) are chemical compounds that inhibit the activity of the glutamine synthetase enzyme, which plants use to convert ammonia into glutamine. Consequently, ammonia accumulates and glutamine levels decrease. Plant damage probably occurs due to the combined effects of ammonia toxicity and deficiency of amino acids required for other metabolic processes. The GS inhibitors include glufosinate and its esters and salts such as glufosinate-ammonium and other phosphinothricin derivatives, glufosinate-P ((2*S*)-2-amino-4-(hydroxymethylphosphinyl)butanoic acid) and bilanaphos.

“VLCFA elongase inhibitors” (b9) are herbicides having a wide variety of chemical structures, which inhibit the elongase. Elongase is one of the enzymes located in or near chloroplasts which are involved in biosynthesis of VLCFAs. In plants, very-long-chain fatty acids are the main constituents of hydrophobic polymers that prevent desiccation at the leaf surface and provide stability to pollen grains. Such herbicides include acetochlor, alachlor, anilofos, butachlor, cafenstrole, dimethachlor, dimethenamid, diphenamid, fenoxasulfone (3-[[[(2,5-dichloro-4-ethoxyphenyl)methyl]sulfonyl]-4,5-dihydro-5,5-dimethylisoxazole), fentrazamide, flufenacet, indanofan, mefenacet, metazachlor, metolachlor, naproanilide, napropamide, napropamide-M ((2*R*)-*N,N*-diethyl-2-(1-naphthalenyloxy)propanamide), pethoxamid, piperophos, pretilachlor, propachlor, propisochlor, pyroxasulfone, and thenylchlor, including resolved forms such as *S*-metolachlor and chloroacetamides and oxyacetamides.

“Auxin transport inhibitors” (b10) are chemical substances that inhibit auxin transport in plants, such as by binding with an auxin-carrier protein. Examples of auxin transport inhibitors include diflufenzopyr, naptalam (also known as *N*-(1-naphthyl)phthalamic acid and 2-[(1-naphthalenylamino)carbonyl]benzoic acid).

“PDS inhibitors” (b11) are chemical compounds that inhibit carotenoid biosynthesis pathway at the phytoene desaturase step. Examples of PDS inhibitors include beflubutamid, diflufenican, fluridone, flurochloridone, flurtamone norflurzon and picolinafen.

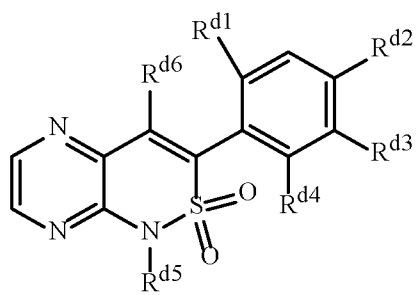
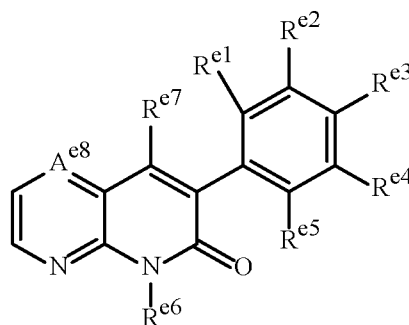
“HPPD inhibitors” (b12) are chemical substances that inhibit the biosynthesis of synthesis of 4-hydroxyphenyl-pyruvate dioxygenase. Examples of HPPD inhibitors include benquinotrine, benzobicyclon, benzofenap, bicyclopyrone (4-hydroxy-3-[[2-[(2-methoxyethoxy)methyl]-6-(trifluoromethyl)-3-pyridinyl]carbonyl]bicyclo[3.2.1]oct-3-en-2-one), bipyrazone, cyprafuone, fenpyrazone, fenquinotrine (2-[[8-chloro-3,4-dihydro-4-(4-methoxyphenyl)-3-oxo-2-quinoxalanyl]carbonyl]-1,3-cyclohexanedione), flusulfenam, iptriazopyrid, isoxachlortole, isoxaflutole, lancotrine, mesotrine, pyraquate, pyrasulfotole, pyrazolynate, pyrazoxyfen, sulcotrine, tefuryltrione, tembotrine, tolypyralate (1-[[1-ethyl-4-[3-(2-methoxyethoxy)-2-methyl-4-(methylsulfonyl)benzoyl]-1*H*-pyrazol-5-yl]oxy]ethyl methyl carbonate), topramezone, 5-chloro-3-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-1-(4-methoxyphenyl)-2(1*H*)-quinoxalinone, 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone, tripyrasulfone, 4-(4-fluorophenyl)-6-[(2-

hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5(2*H*,4*H*)-dione, 5-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-(3-methoxyphenyl)-3-(3-methoxypropyl)-4(3*H*)-pyrimidinone, 2-methyl-*N*-(4-methyl-1,2,5-oxadiazol-3-yl)-3-(methylsulfinyl)-4-(trifluoromethyl)benzamide and 2-methyl-3-(methylsulfonyl)-*N*-(1-methyl-1*H*-tetrazol-5-yl)-4-(trifluoromethyl)benzamide.

“Deoxy-D-Xylulose Phosphate Synthase (DXP synthase) inhibitors” (b13) isoxazolidinone class of herbicides is classified according to its inhibition of the deoxy-d-xylulose phosphate synthase (DXP synthase) which is a component of the carotenoid biosynthetic pathway. Examples of DXP synthase inhibitors include bixlozone, broclozone and clomazone. Of note is a DXP synthase inhibitor selected from bixlozone and clomazone.

“HST (homogentisate solanesyltransferase) inhibitors” (b14) disrupt a plant’s ability to convert homogentisate to 2-methyl-6-solanyl-1,4-benzoquinone, thereby disrupting carotenoid biosynthesis. Examples of HST inhibitors include cyclopyrimorate (6-chloro-3-(2-cyclopropyl-6-methylphenoxy)-4-pyridazinyl 4-morpholinecarboxylate), haloxydine, pyriclor, 3-(2-chloro-3,6-difluorophenyl)-4-hydroxy-1-methyl-1,5-naphthyridin-2(1*H*)-one, 7-(3,5-dichloro-4-pyridinyl)-5-(2,2-difluoroethyl)-8-hydroxypyrido[2,3-*b*]pyrazin-6(5*H*)-one and 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone. Another example of an HST inhibitor is 6-chloro-4-(2,7-dimethyl-1-naphthalenyl)-5-hydroxy-2-methyl-3(2*H*)-pyridazinone.

HST inhibitors also include compounds of Formulae **A** and **B**.

**A****B**

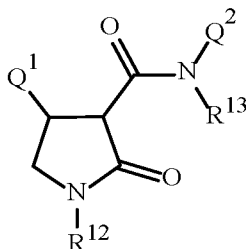
wherein R^{d1} is H, Cl or CF_3 ; R^{d2} is H, Cl or Br; R^{d3} is H or Cl; R^{d4} is H, Cl or CF_3 ; R^{d5} is CH_3 , CH_2CH_3 or CH_2CHF_2 ; and R^{d6} is OH, or $-OC(=O)-i\text{-Pr}$; and R^{e1} is H, F, Cl, CH_3 or CH_2CH_3 ; R^{e2} is H or CF_3 ; R^{e3} is H, CH_3 or CH_2CH_3 ; R^{e4} is H, F or Br; R^{e5} is Cl, CH_3 , CF_3 , OCF_3 or CH_2CH_3 ; R^{e6} is H, CH_3 , CH_2CHF_2 or $C\equiv CH$; R^{e7} is OH, $-OC(=O)Et$, $-OC(=O)-i\text{-Pr}$ or $-OC(=O)-t\text{-Bu}$; and A^{e8} is N or CH.

“Cellulose biosynthesis inhibitors” (b15) inhibit the biosynthesis of cellulose in certain plants. They are most effective when applied preemergence or early postemergence on young or rapidly growing plants. Examples of cellulose biosynthesis inhibitors include chlorthiamid,

dichlobenil, flupoxam, indaziflam (*N*²-[(1*R*,2*S*)-2,3-dihydro-2,6-dimethyl-1*H*-inden-1-yl]-6-(1-fluoroethyl)-1,3,5-triazine-2,4-diamine), isoxaben and triaziflam.

“DHODH (dihydroorotate dehydrogenase) inhibitors” (b16) act through inhibiting catalysis of the fourth step (i.e., inhibition of dihydroorotate dehydrogenase) of the *de-novo* pyrimidine biosynthetic pathway. Inhibition of pyrimidine biosynthesis leads to the cessation of plant growth. The first two steps of *de-novo* pyrimidine biosynthesis occur in the chloroplast after which the product, *N*-carbamoyl aspartate, is shuttled to the cytoplasm where dihydroorotase resides, producing dihydroorotate, the DHODH substrate. Plant DHODH is a flavin-dependent enzyme residing on the outer surface of the inner mitochondrial membrane.

Reducing equivalents pass from dihydroorotate via the tightly bound flavin cofactor to a ubiquinone acceptor molecule that subsequently exchanges with the ubiquinol pool of the membrane and ultimately links DHODH enzymatic activity with oxidative phosphorylation. The remaining steps of the pathway leading to pyrimidine nucleotides occur in the cytoplasm. DHODH inhibitors include a compound of Formula (b16A)



(b16A)

wherein

R^{12} is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl or C_4-C_8 cycloalkyl;

R^{13} is H, C_1-C_6 alkyl or C_1-C_6 alkoxy;

Q^1 is an optionally substituted ring system selected from the group consisting of

phenyl, thienyl, pyridinyl, benzodioxolyl, naphthyl, naphthalenyl, benzofuranyl, furanyl, benzothiophenyl and pyrazolyl, wherein when substituted said ring system is substituted by 1 to 3 R^{14} ;

Q^2 is an optionally substituted ring system selected from the group consisting of phenyl, pyridinyl, benzodioxolyl, pyridinonyl, thiadiazolyl, thiazolyl, and

oxazolyl, wherein when substituted said ring system is substituted by 1 to 3 R^{15} ;

each R^{14} is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy,

C_1-C_6 haloalkoxy, C_3-C_8 cycloalkyl, cyano, C_1-C_6 alkylthio, C_1-C_6

alkylsulfinyl, C_1-C_6 alkylsulfonyl, SF_5 , NHR^{17} ; or phenyl optionally substituted

by 1 to 3 R^{16} ; or pyrazolyl optionally substituted by 1 to 3 R^{16} ;

each R¹⁵ is independently halogen, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, cyano, nitro, C₁–C₆ alkylthio, C₁–C₆ alkylsulfinyl, C₁–C₆ alkylsulfonyl;

each R¹⁶ is independently halogen, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

5 R¹⁷ is C₁–C₄ alkoxycarbonyl.

In one Embodiment wherein “DHODH inhibitors” (b16) also include a compound of Formula (b16A), it is preferred that R¹² is H or C₁–C₆ alkyl; more preferably R¹² is H or methyl. Preferably R¹³ is H. Preferably Q¹ is either a phenyl ring or a pyridinyl ring, each ring substituted by 1 to 3 R¹⁴; more preferably Q¹ is a phenyl ring substituted by 1 to 2 R¹⁴.
 10 Preferably Q² is a phenyl ring substituted by 1 to 3 R¹⁵; more preferably Q² is a phenyl ring substituted by 1 to 2 R¹⁵. Preferably each R¹⁴ is independently halogen, C₁–C₄ alkyl, C₁–C₃ haloalkyl, C₁–C₃ alkoxy or C₁–C₃ haloalkoxy; more preferably each R¹⁴ is independently chloro, fluoro, bromo, C₁–C₂ haloalkyl, C₁–C₂ haloalkoxy or C₁–C₂ alkoxy. Preferably each R¹⁵ is independently halogen, C₁–C₄ alkyl, C₁–C₃ haloalkoxy; more preferably each R¹⁵ is
 15 independently chloro, fluoro, bromo, C₁–C₂ haloalkyl, C₁–C₂ haloalkoxy or C₁–C₂ alkoxy. Specifically preferred as “DHODH inhibitors” (b16) include any one of the following (b16A-1) through (b16A-17):

N-(2-fluorophenyl)-2-oxo-4-[3-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b-16A-1);

20 *N*-(2,3-difluorophenyl)-2-oxo-4-[3-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-2);

2-oxo-4-[3-(trifluoromethyl)phenyl]-*N*-(2,3,4-trifluorophenyl)-3-pyrrolidinecarboxamide (b16A-3);

N-(2-fluorophenyl)-1-methyl-2-oxo-4-[3-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-4);

N-(2-fluorophenyl)-2-oxo-4-[4-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-5);

N-(2-fluorophenyl)-1-methyl-2-oxo-4-[4-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-6);

30 *N*-(2,3-difluorophenyl)-2-oxo-4-[4-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-7);

N-(2,3-difluorophenyl)-1-methyl-2-oxo-4-[4-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-8);

2-oxo-4-[4-(trifluoromethyl)phenyl]-*N*-(2,3,4-trifluorophenyl)-3-pyrrolidinecarboxamide (b16A-9);

N-(2-fluorophenyl)-4-(4-fluorophenyl)-1-methyl-2-oxo-3-pyrrolidinecarboxamide (b16A-10);

N-(2,3-difluorophenyl)-4-(3,4-difluorophenyl)-2-oxo-3-pyrrolidinecarboxamide (b16A-11);

4-(3,4-difluorophenyl)-*N*-(2-fluorophenyl)-2-oxo-3-pyrrolidinecarboxamide (b16A-12);

N-(2,4-difluorophenyl)-4-(3,5-difluorophenyl)-2-oxo-3-pyrrolidinecarboxamide (b16A-13);

N-(2,3-difluorophenyl)-4-[3-(1-methylethyl)phenyl]-2-oxo-3-pyrrolidinecarboxamide

(b16A-14);

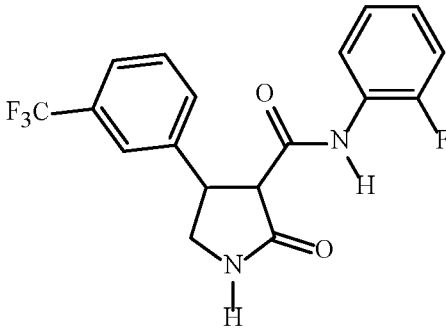
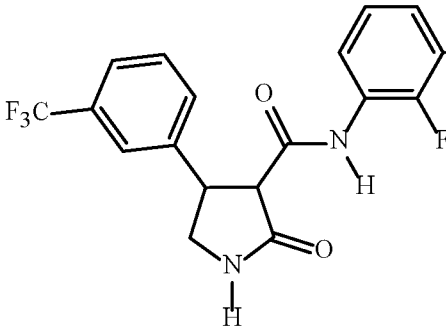
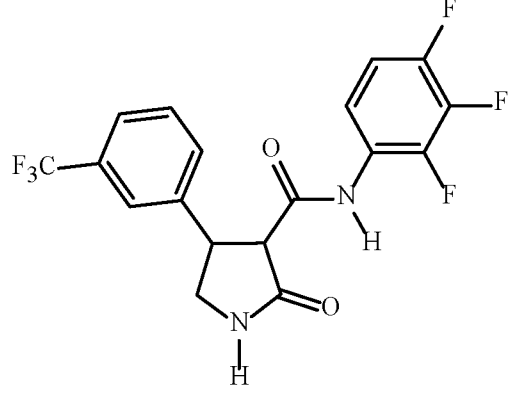
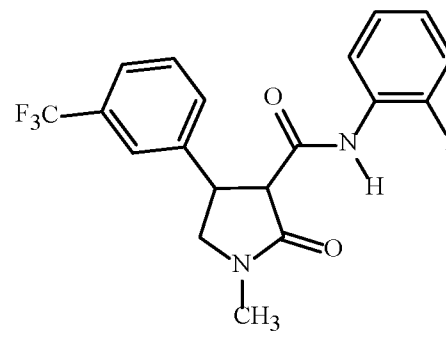
5 *N*-(2,3-difluorophenyl)-2-oxo-4-[6-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinecarboxamide
(b16A-15);

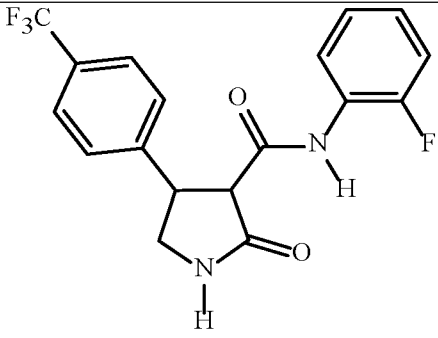
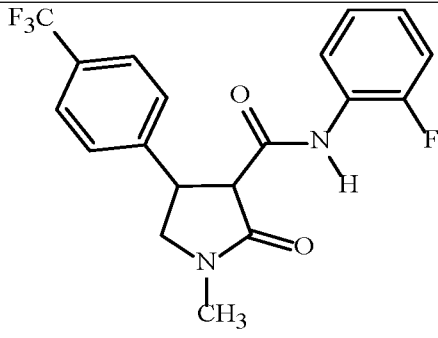
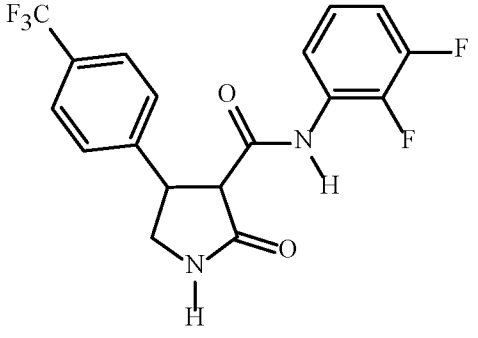
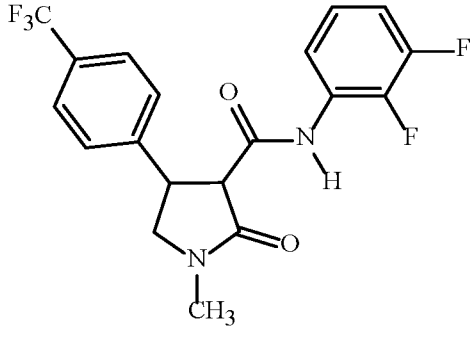
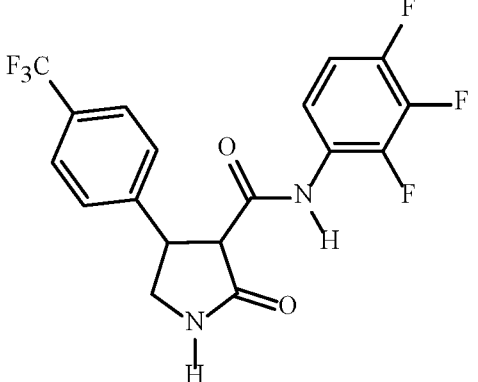
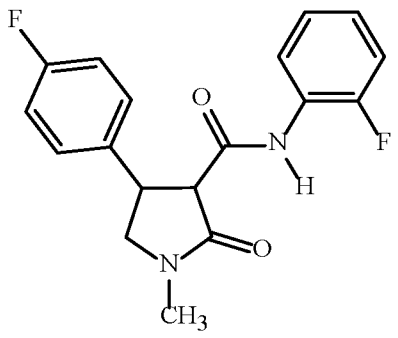
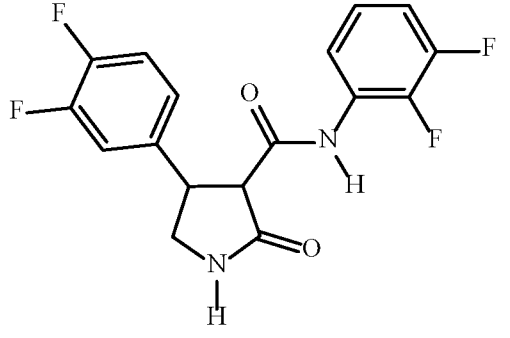
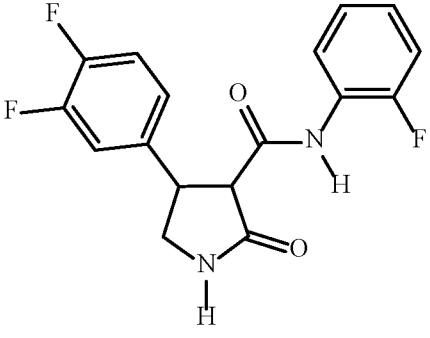
(3*S*,4*S*)-*N*-(2-fluorophenyl)-1-methyl-2-oxo-4-[3-(trifluoromethyl)phenyl]-3-pyrrolidinecarboxamide (b16A-16) and

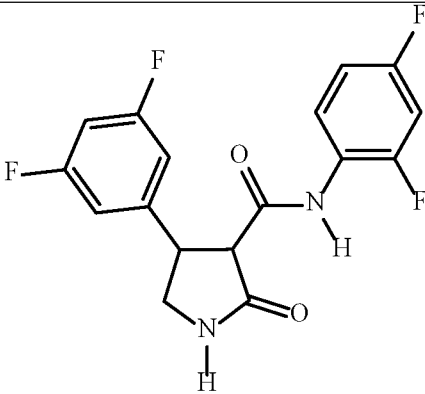
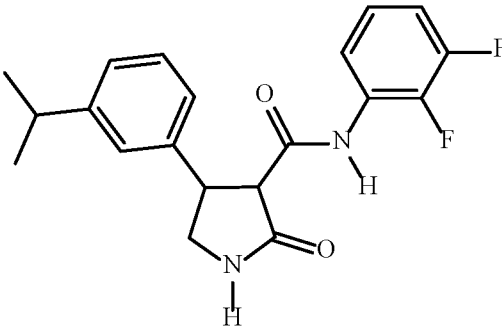
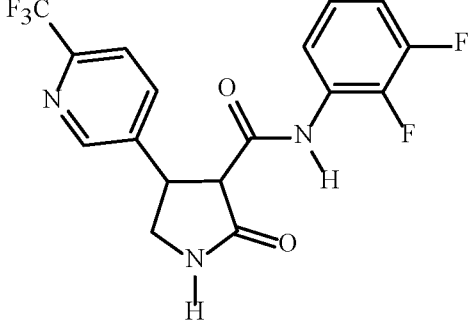
(3*S*,4*R*)-*N*-(2,3-difluorophenyl)-1-methyl-4-[1-methyl-5-(trifluoromethyl)-1*H*-pyrazol-3-yl]-

10 2-oxo-3-pyrrolidinecarboxamide (b16A-17).

An example of a DHODH inhibitor is tetflupyrolimet.

	
(b16A-1)	(b16A-2)
	
(b16A-3)	(b16A-4)

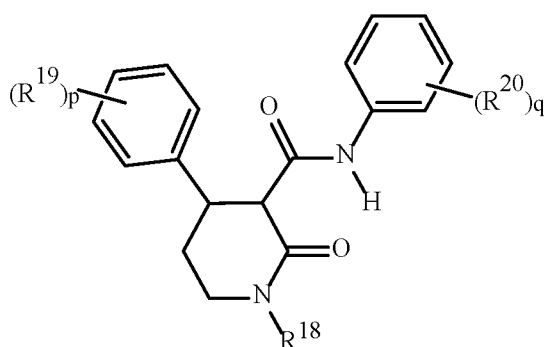
	
(b16A-5)	(b16A-6)
	
(b16A-7)	(b16A-8)
	
(b16A-9)	(b16A-10)
	
(b16A-11)	(b16A-12)

	
(b16A-13)	(b16A-14)
	
(b16A-15)	

“Other herbicides” (b17) include herbicides that act through a variety of different modes of action such as mitotic disruptors (e.g., icafolin, flamprop-M-methyl and flamprop-M-isopropyl), organic arsenicals (e.g., DSMA, and MSMA), 7,8-dihydropteroate synthase inhibitors, chloroplast isoprenoid synthesis inhibitors and cell-wall biosynthesis inhibitors. Other herbicides include those herbicides having unknown modes of action or do not fall into a specific category listed in (b1) through (b16) or act through a combination of modes of action listed above. Examples of other herbicides include aclonifen, asulam, amitrole, bromobutide, cinmethylin, cumyluron, cyclopyrimorate (6-chloro-3-(2-cyclopropyl-6-methylphenoxy)-4-pyridazinyl 4-morpholinecarboxylate), daimuron, difenzoquat, dimesulfazet, etobenzanid, fluometuron, flurenol, fosamine, fosamine-ammonium, dazomet, dymron, ipfencarbazone (1-(2,4-dichlorophenyl)-*N*-(2,4-difluorophenyl)-1,5-dihydro-*N*-(1-methylethyl)-5-oxo-4*H*-1,2,4-triazole-4-carboxamide), metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid, pyributicarb, 2,5-anhydro-3,4-dideoxy-4-[[[(5*S*)-3-(3,5-difluorophenyl)-5-ethenyl-4,5-dihydro-5-isoxazolyl]carbonyl]amino]-threo-pentonic methyl ester (CAS No. 27499989-21-6) and 5-[[[(2,6-difluorophenyl)methoxy]methyl]-4,5-dihydro-5-methyl-3-(3-methyl-2-thienyl)isoxazole.

“Other herbicides” (b17) also include a compound of Formula (b16B)

53



(b16B)

wherein

R^{18} is H, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl or C_4 – C_8 cycloalkyl;

each R^{19} is independently halogen, C_1 – C_6 haloalkyl or C_1 – C_6 haloalkoxy;

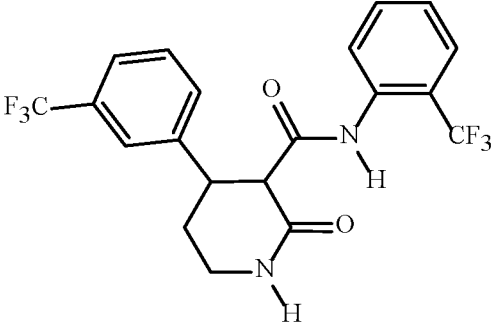
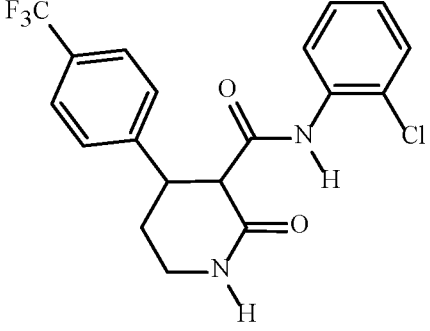
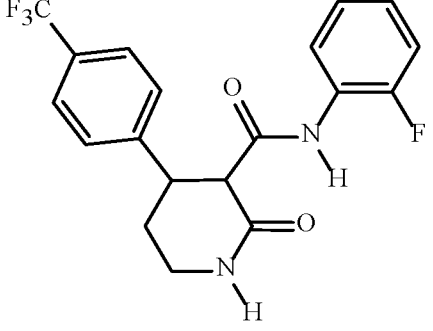
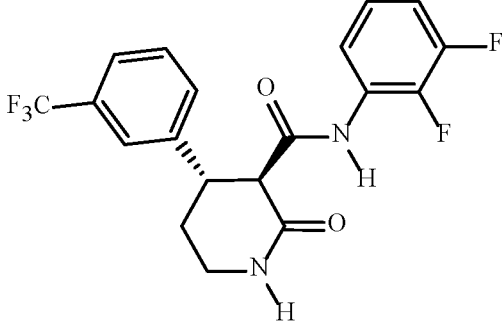
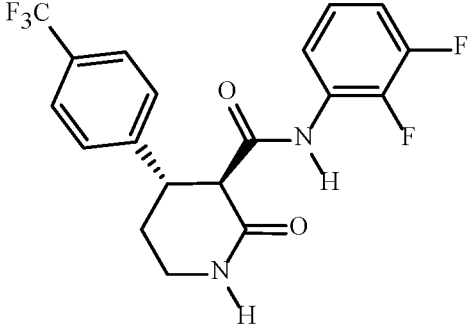
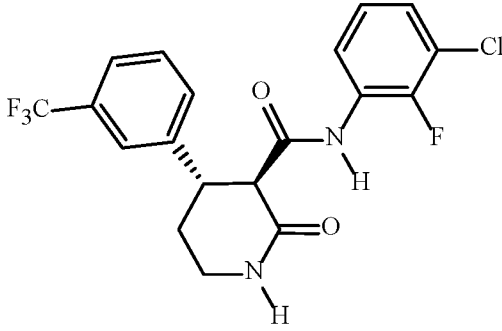
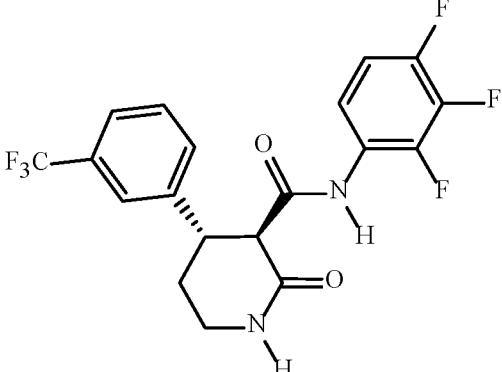
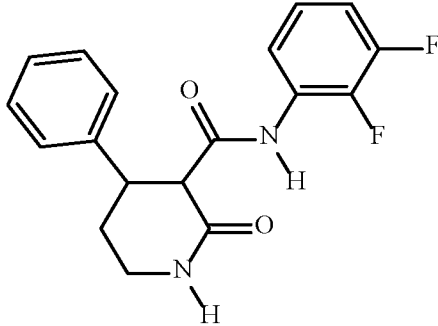
5 p is an integer of 0, 1, 2 or 3;

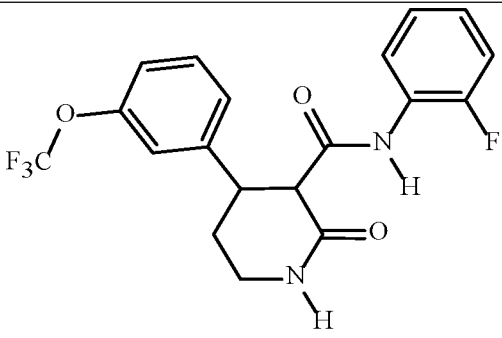
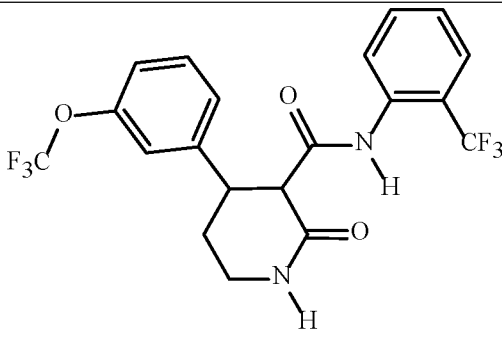
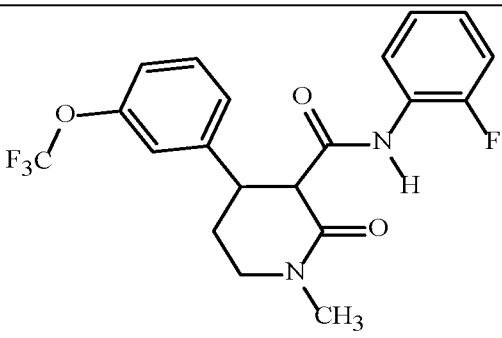
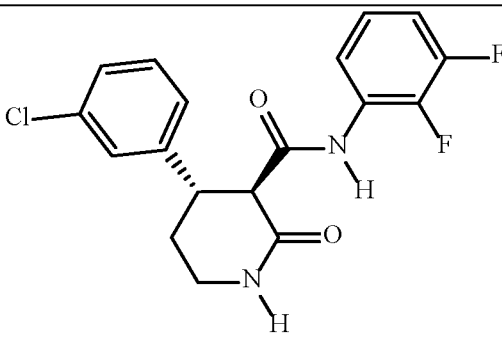
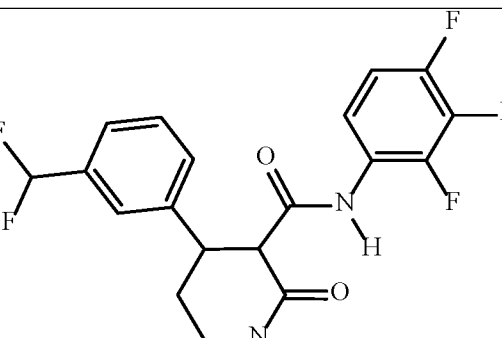
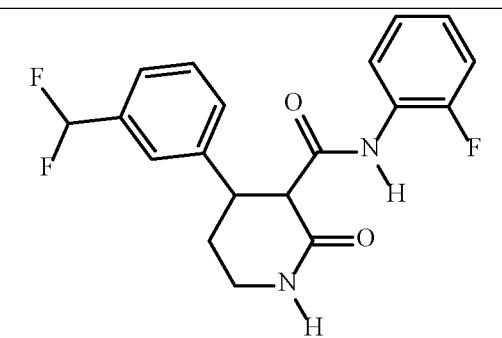
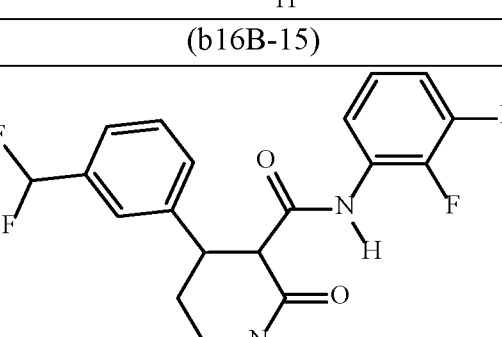
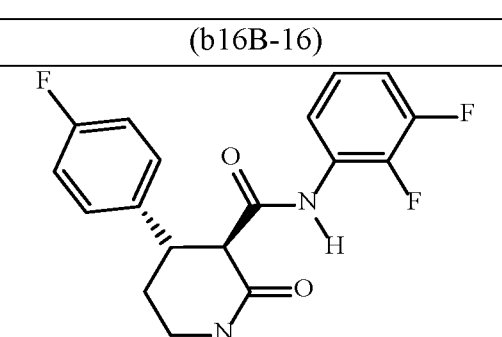
each R^{20} is independently halogen, C_1 – C_6 haloalkyl or C_1 – C_6 haloalkoxy; and

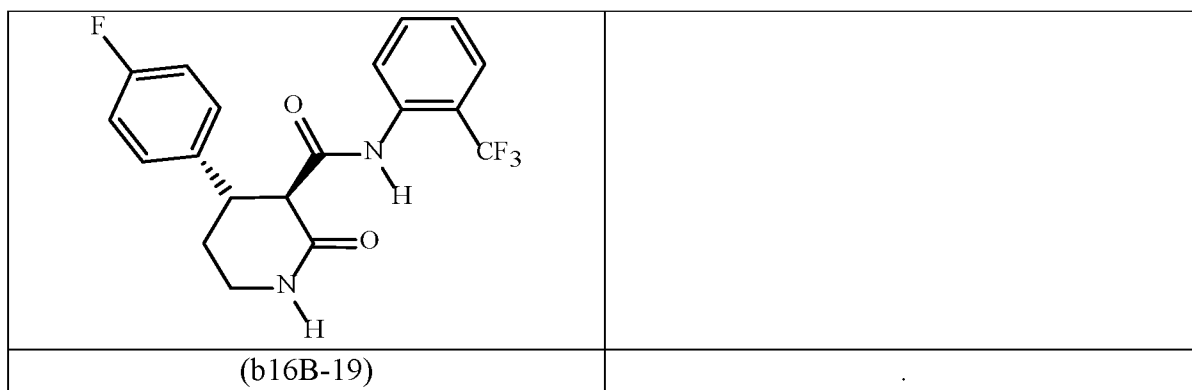
q is an integer of 0, 1, 2 or 3.

In one Embodiment wherein “other herbicides” (b17) also include a compound of Formula (b16B), it is preferred that R^{18} is H, methyl, ethyl or propyl; more preferably R^{18} is H or methyl; most preferably R^{18} is H. Preferably each R^{19} is independently chloro, fluoro, C_1 – C_3 haloalkyl or C_1 – C_3 haloalkoxy; more preferably each R^{19} is independently chloro, fluoro, C_1 fluoroalkyl (i.e., fluoromethyl, difluoromethyl or trifluoromethyl) or C_1 fluoroalkoxy (i.e., trifluoromethoxy, difluoromethoxy or fluoromethoxy). Preferably each R^{20} is independently chloro, fluoro, C_1 haloalkyl or C_1 haloalkoxy; more preferably each R^{20} is independently chloro, fluoro, C_1 fluoroalkyl (i.e., fluoromethyl, difluoromethyl or trifluoromethyl) or C_1 fluoroalkoxy (i.e., trifluoromethoxy, difluoromethoxy or fluoromethoxy). Specifically preferred as “other herbicides” (b17) include any one of the following (b16B-1) through (b16B-19):

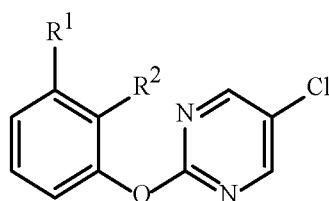
(b16B-1)	(b16B-2)

	
(b16B-3)	(b16B-4)
	
(b16B-5)	(b16B-6)
	
(b16B-7)	(b16B-8)
	
(b16B-9)	(b16B-10)

	
(b16B-11)	(b16B-12)
	
(b16B-13)	(b16B-14)
	
(b16B-15)	(b16B-16)
	
(b16B-17)	(b16B-18)



Another Embodiment of “other herbicides” (b17) also includes a compound of Formula (b16C),



(b16C)

wherein R¹ is Cl, Br or CN; and R² is C(=O)CH₂CH₂CF₃, CH₂CH₂CH₂CH₂CF₃ or 3-CHF₂-isoxazol-5-yl. An example of an other herbicide is rimisoxafen.

“Herbicide safeners” (b18) are substances added to a herbicide formulation to eliminate or reduce phytotoxic effects of the herbicide to certain crops. These compounds protect crops from injury by herbicides but typically do not prevent the herbicide from controlling undesired vegetation. Examples of herbicide safeners include but are not limited to benoxacor, cloquintocet-mexyl, cumyluron, cyometrinil, cyprosulfamide, daimuron, dichlormid, dicyclonon, dietholate, dimepiperate, fenchlorazole-ethyl, fenclorim, flurazole, fluxofenim, furilazole, isoxadifen-ethyl, mefenpyr-diethyl, mephenate, methoxyphenone, naphthalic anhydride, oxabetrinil, *N*-(aminocarbonyl)-2-methylbenzenesulfonamide, *N*-(aminocarbonyl)-2-fluorobenzenesulfonamide, 1-bromo-4-[(chloromethyl)sulfonyl]benzene (BCS), 4-(dichloroacetyl)-1-oxa-4-azospiro[4.5]decane (MON 4660), 2-(dichloromethyl)-2-methyl-1,3-dioxolane (MG 191), ethyl 1,6-dihydro-1-(2-methoxyphenyl)-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2-hydroxy-*N,N*-dimethyl-6-(trifluoromethyl)pyridine-3-carboxamide, 3-oxo-1-cyclohexen-1-yl 1-(3,4-dimethylphenyl)-1,6-dihydro-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2,2-dichloro-1-(2,2,5-trimethyl-3-oxazolidinyl)-ethanone and 2-methoxy-*N*-[[4-[[[(methylamino)carbonyl]amino]phenyl]sulfonyl]-benzamide.

Preferred for better control of undesired vegetation (e.g., lower use rate such as from greater-than-additive effects, broader spectrum of weeds controlled, or enhanced crop safety) or for preventing the development of resistant weeds are mixtures of a compound of this invention with a herbicide selected from the group consisting of 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-2-pyridinecarboxylic 2-propyn-1-yl ester (CAS No. 2251111-17-

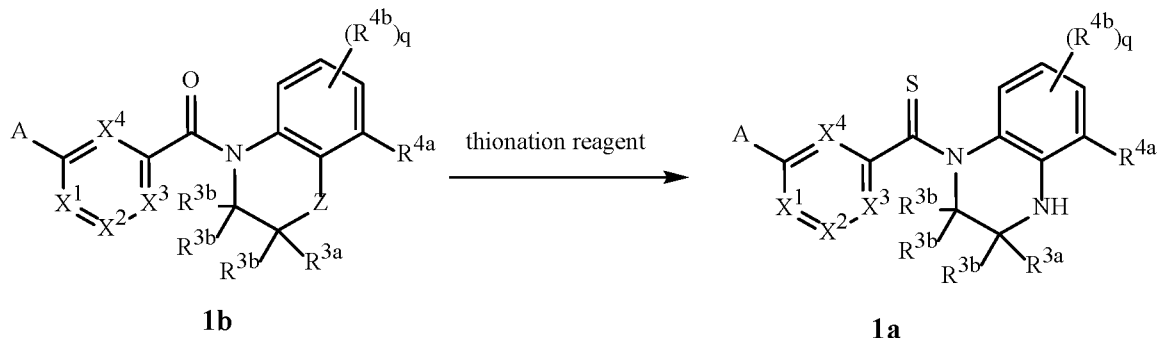
6), 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1H-indol-6-yl)-2-pyridinecarboxylic cyanomethyl ester (CAS No. 2251111-18-7), 2,5-anhydro-3,4-dideoxy-4-[[[(5S)-3-(3,5-difluorophenyl)-5-ethenyl-4,5-dihydro-5-isoxazolyl]carbonyl]amino]-threo-pentonic methyl ester (CAS No. 27499989-21-6), atrazine, azimsulfuron, beflubutamid, *S*-beflubutamid, beflubutamid-M, bixlozone, broclozone, benzisothiazolinone, 1-(2-carboxyethyl)-4-(2-pyrimidinyl)-pyridazinium (CAS No. 2285384-11-2) and salts thereof, carfentrazone, carfentrazone-ethyl, chlorimuron, chlorimuron-ethyl, 3-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4,5-dihydro-5-methyl-5-isoxazole-carboxylic ethyl ester (CAS No. 1949837-17-5), chlorsulfuron-methyl, clomazone, clopyralid potassium, cloransulam-methyl, 2-[(2,4-dichlorophenyl)methyl]-4,4-dimethyl-isoxazolidinone, 2-[(2,5-dichlorophenyl)methyl]-4,4-dimethyl-isoxazolidinone, ethametsulfuron-methyl, florasulam, flumetsulam, 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5-(2*H*,4*H*)-dione, florasulam, flupyrsulfuron, flupyrsulfuron-methyl, fluthiacet, fluthiacet-methyl, fomesafen, imazethapyr, lenacil, mesotrione, metolachlor, *S*-metolachlor, metproxybicyclone, metribuzin, metsulfuron-methyl, nicosulfuron, pethoxamid, picloram, pinoxaden, pyroxasulfone, pyroxsulam, quinclorac, rimisoxafen, rimsulfuron, sulfentrazone, tembotrione, tetflupyrolimet, thifensulfuron, thifensulfuron-methyl, triflusulfuron-methyl, tribenuron and tribenuron-methyl.

One or more of the following methods and variations as described in Schemes 1–22 can be used to prepare the compounds of Formula 1. The definitions of A, R^{1a}, R^{1b}, R^{1c}, R², R^{3a}, R^{3b}, R^{4a}, R^{4b}, X¹, X², n, p and q in the compounds of Formulae 1–38 below are as defined above in the Summary of the Invention unless otherwise noted. Compounds of Formulae 1a, 1b, 1c, 1d, 1f, 8a, 8b, 10a, 10b, 10c, 10d, 11a, 31a, 31b, 32a and 32b are various subsets of the compounds of Formulae 1, 8, 10, 11, 31 and 32; and all substituents for Formulae 1a, 1b, 1c, 1d, 1f, 8a, 8b, 10a, 10b, 10c, 10d, 11a, 31a, 31b, 32a and 32b are as defined above for Formula 1 unless otherwise noted in the disclosure including the schemes.

Stereochemically enriched compounds of Formula 1' and 1'' can be obtained from mixtures containing compounds of Formula 1' and 1'' through the utilization of well-known chiral chromatography separation methods. For extensive reviews of chiral separation methods see the *Chiral Separations: Methods and Protocols* (Methods in Molecular Biology), 2nd ed., 2013 Edition, by Gerhard K. E. Scriba (Editor).

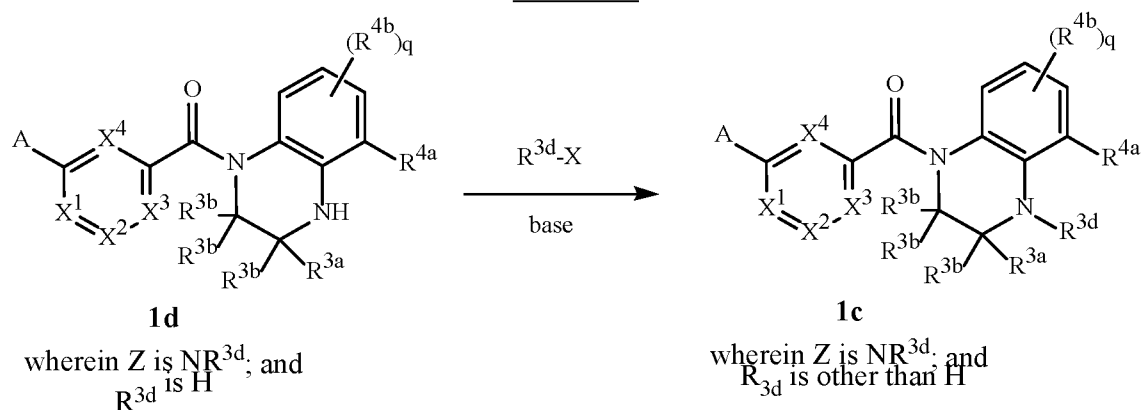
As shown in Scheme 1, compounds of Formula 1a (i.e., a compound of Formula 1 wherein Y is S) can be prepared by treatment of compounds of Formula 1b (i.e., a compound of Formula 1 wherein Y is O) with a thionation reagent such as Lawesson's reagent, tetraphosphorus decasulfide or diphosphorus pentasulfide in an appropriate solvent (e.g., tetrahydrofuran or toluene) at temperatures typically ranging from 0 °C to the reflux temperature of the solvent.

Scheme 1



Compounds of Formula **1c** (i.e., a compound of Formula **1b** wherein Z is NR^{3d} and R^{3d} is other than H) can be prepared using method depicted in Scheme 2 wherein a compound of Formula **1d** (i.e., a compound of Formula **1b** where Z is NH) reacts with an alkylating agent or other suitable electrophile (e.g., acyl chloride or anhydride, sulfonyl chloride or anhydride) in the presence of a base, such as sodium hydride in a solvent such as *N,N*-dimethylformamide. Alternative bases for Scheme 2 reactions include, but are not limited to, potassium carbonate, sodium hydroxide, pyridine and triethylamine, and alternative solvents include dimethyl sulfoxide, tetrahydrofuran, ethyl acetate and dichloromethane. Methods analogous to those described in *J. Med. Chem.* **2018**, 61, 4317 and *Eur. J. Med. Chem.* **2020**, 188, 111987 may be used.

Scheme 2



Compounds of Formula **1b** can be prepared using standard cross-coupling reactions, such as those described in *Science of Synthesis: Cross Coupling and Heck-Type Reactions 1*, Molander, G. A. (volume editor), Thieme (2013) and *Science of Synthesis: Cross Coupling and Heck-Type Reactions 2*, Wolfe, J. P. (volume editor), Thieme (2013); and references cited therein. For example, compounds of Formula **1e** (i.e., a compound of Formula **1b** wherein A is bonded to the rest of the molecule through a nitrogen ring member of A) can be prepared from compounds of Formula **2** (wherein Q is a halogen or pseudohalogen such as Cl, Br, I or OTf) via palladium- or copper-mediated coupling with heterocycles of Formula **3** (wherein H is connected to a nitrogen ring member of A), as shown in Scheme 3. Ullmann-type coupling

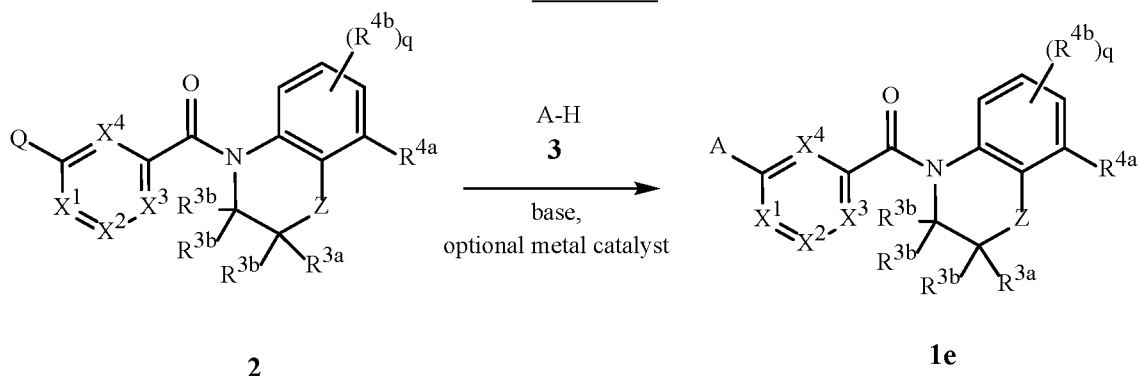
conditions, such as those described in *J. Org. Chem.* **2004**, *69*, 5578-5587, are often suitable and are typically catalyzed by a copper salt such as copper(I) iodide or copper(I) oxide and a ligand, such as *trans*-*N,N'*-dimethylcyclohexane-1,2-diamine, *trans*-1,2-diaminocyclohexane, *N,N'*-dimethylethylenediamine, 1,10-phenanthroline, 8-quinolinol, (*S*)-proline or 2-picolinic acid, in the presence of a base (e.g., potassium carbonate, cesium carbonate or potassium phosphate) in an appropriate solvent (e.g., *N,N*-dimethylformamide, dimethyl sulfoxide, *N,N*-dimethylacetamide, toluene, 1,4-dioxane or acetonitrile). Temperatures between ambient temperature and 150 °C are generally appropriate for the reaction. Palladium-catalyzed coupling conditions may also be suitable for some heterocycles. Appropriate palladium catalysts include but are not limited to tetrakis(triphenylphosphine)palladium(0), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II), bis(triphenylphosphine)-palladium(II) dichloride, palladium(II) acetate or tris(dibenzylideneacetone)dipalladium(0). In some cases, the addition of a ligand is beneficial, including but not limited to, 2,2'-bis(diphenylphosphino)-1,1'-binaphthalene (BINAP), 2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl (SPhos), 2-dicyclohexylphosphino-2',4',6'-triisopropylbiphenyl (XPhos), 4,5-bis(diphenylphosphino)-9,9-dimethylxanthene (Xantphos), 2-(di-*tert*-butylphosphino)-biphenyl (JohnPhos), 2-dicyclohexylphosphino-2',6'-diisopropoxy-1,1'-biphenyl (RuPhos) or 2-di-*tert*-butylphosphino-3,4,5,6-tetramethyl-2',4',6'-triisopropyl-1,1'-biphenyl (Me₄-*t*-Butyl-Xphos). These reactions are generally run in the presence of a base (e.g., sodium *tert*-butoxide, lithium bis(trimethylsilyl)amide, cesium carbonate, potassium carbonate or potassium phosphate tribasic) and a solvent (e.g., tetrahydrofuran, 1,4-dioxane, toluene or *tert*-butanol) at temperatures generally ranging from ambient temperature to 150 °C. For reviews of these methods, see: *Chem. Rev.* **2008**, *108*, 3054-3131; *Chem. Sci.* **2010**, *1*, 13-31; *Beilstein J. Org. Chem.* **2011**, *7*, 59-74; *Chem. Rev.* **2016**, *116*, 12564-12649; *Angew. Chem. Int. Ed.* **2017**, *56*, 16136-16179 and *Tetrahedron* **2019**, *75*, 4199-4211. One skilled in the art will recognize that when more than one nitrogen atom is present in the heterocycle of Formula **3**, formation of regioisomers is possible and standard purification methods such as chromatography, can generally be used to separate the mixture. Heterocycles of Formula **3** are generally commercially available or known in the literature.

Alternatively, when the aryl ring is sufficiently activated, for example when X¹, X³ or X⁴ is N or when R² is a suitably positioned electron-withdrawing group, compounds of Formula **1e** can generally be prepared without the addition of a metal catalyst. This is achieved by reaction of compounds of Formula **2** (wherein Q is a halogen such as F or Cl) with heterocycles of Formula **3** in the presence of a base (e.g., potassium carbonate, sodium carbonate, cesium carbonate, potassium phosphate, sodium hydroxide, potassium *tert*-butoxide, sodium hydride or potassium hydride) in an appropriate solvent (e.g., dimethyl sulfoxide, *N,N*-dimethylformamide, *N*-methyl-2-pyrrolidone, tetrahydrofuran, 1,4-dioxane, 1,2-dimethoxyethane, diglyme, acetonitrile or toluene). Temperatures ranging from 0 °C to

200 °C are generally suitable for the reaction. For examples of this reaction in the literature, see: WO 2012/054510; WO 2020/207941 and *J. Med. Chem.* **2014**, 57, 10013-10030.

In some instances, compounds of Formula **1e** may be accessed using standard heterocyclic synthesis procedures known to those skilled in the art. For appropriate methods, see *Science of Synthesis*, Volumes 12-13, Neier, R., Storr, R. C. and Gilchrist, T. L. (volume editors), Thieme (2002-2003); *Comprehensive Heterocyclic Chemistry IV*, Volumes 4-6, Black, D. S., Cossy, J. and Stevens, C. V. (editors-in-chief), Elsevier (2022); WO 2015/160636 and WO 2017/205709; and references cited therein.

Scheme 3

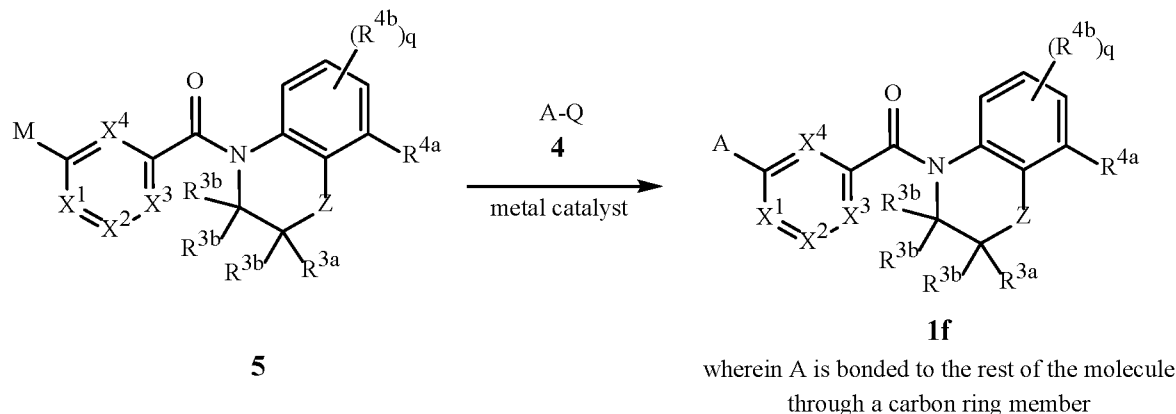


wherein A is bonded to the rest of the molecule through a nitrogen ring member of A

As shown in Scheme 4, compounds of Formula **1f** (i.e., a compound of Formula **1b** wherein A is bonded to the rest of the molecule through a carbon ring member of A), can be prepared by well-known metal-catalyzed cross-coupling reactions between a heterocycle of Formula **4** (wherein Q is a halogen or pseudohalogen such as Cl, Br, I or OTf and is connected to A through a carbon ring member) and an organometallic compound of Formula **5** (wherein M is a transmetalating group), such as, but not limited to, a boronic acid (e.g., M is B(OH)₂), boronate ester (e.g., M is B(–O(CMe₂)₂O–)) or organotin reagent (e.g., M is Sn(n-Bu)₃, SnMe₃). The metal catalysts used in these couplings include, but are not limited to, tetrakis(triphenylphosphine)palladium(0), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II), bis(triphenylphosphine)palladium(II) dichloride, palladium(II) acetate and tris(dibenzylideneacetone)dipalladium(0). In some cases, the addition of a ligand is beneficial, including but not limited to, 2-dicyclohexylphosphino-2',4',6'-triisopropylbiphenyl (XPhos), 2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl (SPhos), tricyclohexylphosphine and tri(2-furyl)phosphine. Generally, these reactions are run in solvents such as dimethyl sulfoxide, *N,N*-dimethylformamide, *N*-methyl-2-pyrrolidone, toluene, 1,2-dimethoxyethane, 1,4-dioxane, tetrahydrofuran, acetonitrile or ethanol and temperatures generally range from ambient temperature to 150 °C. One skilled in the art will recognize that the reaction conditions will depend on the organometallic species used in the reaction, for example, when the compound of Formula **5** is a boron reagent, a base, often an aqueous base, is required.

Suitable bases include potassium carbonate, sodium carbonate, cesium carbonate, sodium bicarbonate or potassium phosphate. When the compound of Formula **5** is a tin reagent, a base is not required. For reviews of transition metal-catalyzed cross-coupling reactions, see: E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, John Wiley and Sons, Inc., New York, 2002; N. Miyaura, *Cross-Coupling Reactions: A Practical Guide*, Springer, New York, 2002; H. C. Brown et al., *Organic Synthesis via Boranes*, Vol. 3, Aldrich Chemical Co., Milwaukee, WI, 2002; Suzuki et al., *Chem. Rev.* **1995**, *95*, 2457-2483; Molander et al., *Acc. Chem. Res.* **2007**, *40*, 275-286 and *Chem. Soc. Rev.* **2013**, *42*, 5270. For relevant examples of reactions in the literature using boron reagents, see: WO 2012/137982, WO 2015/017610, WO 2016/040223 and WO 2020/182990. For relevant examples of reactions in the literature using tin reagents, see: WO 2019/195810 and WO 2021/242677. Heterocycles of Formula **4** are generally commercially available or known in the literature.

Scheme 4

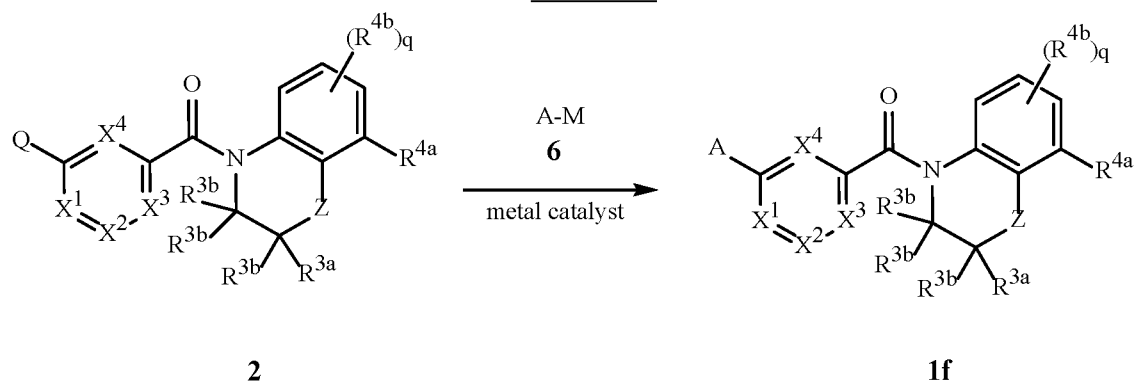


One skilled in the art will recognize that in some instances, due to the availability or stability of the required reagents, it may be beneficial to reverse the polarity of the coupling partners, as shown in Scheme 5. In this scenario, compounds of Formula **2** (wherein Q is a halogen or pseudohalogen such as Cl, Br, I or OTf) are coupled with heterocycles of Formula **6** (wherein M is a transmetalating group such as, but not limited to, B(OH)₂, B(-O(CMe₂)₂O-), BF₃K, Sn(*n*-Bu)₃, SnMe₃ or ZnBr; and M is connected to a carbon ring member). For relevant examples in the literature, see: WO 2012/063207 and WO 2019/162323. For examples of cross-couplings of (hetero)aryl halides with alkyl coupling partners, see: *Chem. Rev.* **2011**, *111*, 1417-1492; *ACS Med. Chem. Lett.* **2020**, *11*, 597-604 and *J. Org. Chem.* **2021**, *86*, 10380-10396; and references cited therein. Heterocycles of Formula **6** are generally commercially available or known in the literature.

In some instances, compounds of Formula **1f** may be more readily accessed using standard heterocyclic synthesis procedures known to those skilled in the art. For appropriate methods, see *Science of Synthesis*, Volumes 11-13 and 15, Schaumann, E., Neier, R., Storr, R. C.; Gilchrist, T. L. and Black, D. S. (volume editors), Thieme (2001-2004) and *Comprehensive*

Heterocyclic Chemistry IV, Volumes 4-7, Black, D. S., Cossy, J. and Stevens, C. V. (editors-in-chief), Elsevier (2022); and references cited therein.

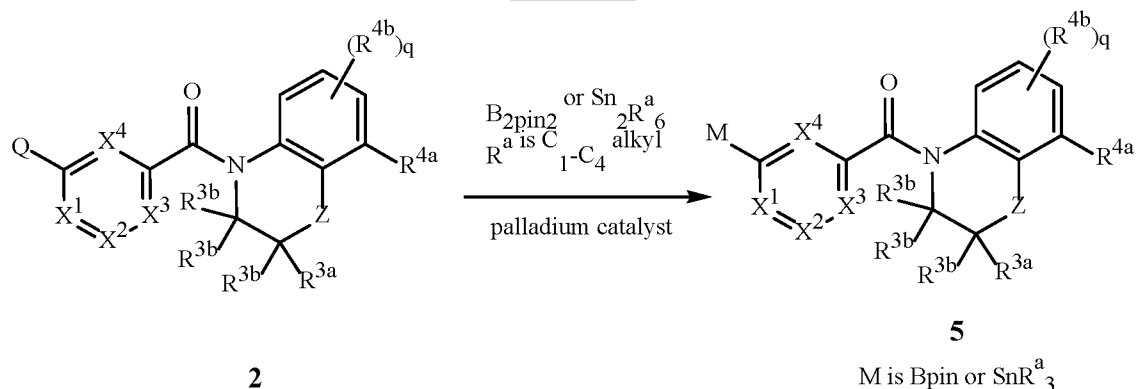
Scheme 5



wherein A is bonded to the rest of the molecule through a carbon ring member

As shown in Scheme 6, organometallic compounds of Formula 5 (wherein M is a transmetalating group such as, but not limited to, B(−O(CMe₂)₂O−), Sn(n-Bu)₃ or SnMe₃) can be prepared from compounds of Formula 2 (wherein Q is a halogen or pseudohalogen such as Cl, Br, I or OTf) using well-known metal-catalyzed cross-coupling reactions. For example, pinacol boronic esters (wherein M is B(−O(CMe₂)₂O−), can generally be prepared using palladium-catalyzed borylation conditions, such as those described in *J. Org. Chem.* **1995**, 60, 7508-7510 and *J. Org. Chem.* **2021**, 86, 103-109; and references cited therein. Typically, a compound of Formula 2 is treated with bis(pinacolato)diboron in the presence of a palladium catalyst like [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) and a base such as potassium acetate in a solvent such as dioxane or dimethyl sulfoxide, at temperatures ranging from ambient temperature to the reflux temperature of the solvent. Similarly, trialkyl tin reagents (e.g., wherein M is SnMe₃ or SnBu₃) can generally be prepared from a compound of Formula 2 by treatment with a stannane such as hexamethylditin or hexabutylditin in the presence of a palladium catalyst like tetrakis(triphenylphosphine)palladium(0) in a solvent like dioxane or toluene, at temperatures ranging from ambient temperature to the reflux temperature of the solvent. For examples of this reaction in the literature, see: WO 03/077918 and WO 2021/050964. For additional details on preparation and use of organoboron and organotin reagents, see *Science of Synthesis*, Volumes 5-6, Moloney, M. G. and Kaufmann, D. E. (volume editors), Thieme (2002-2004); and references cited therein.

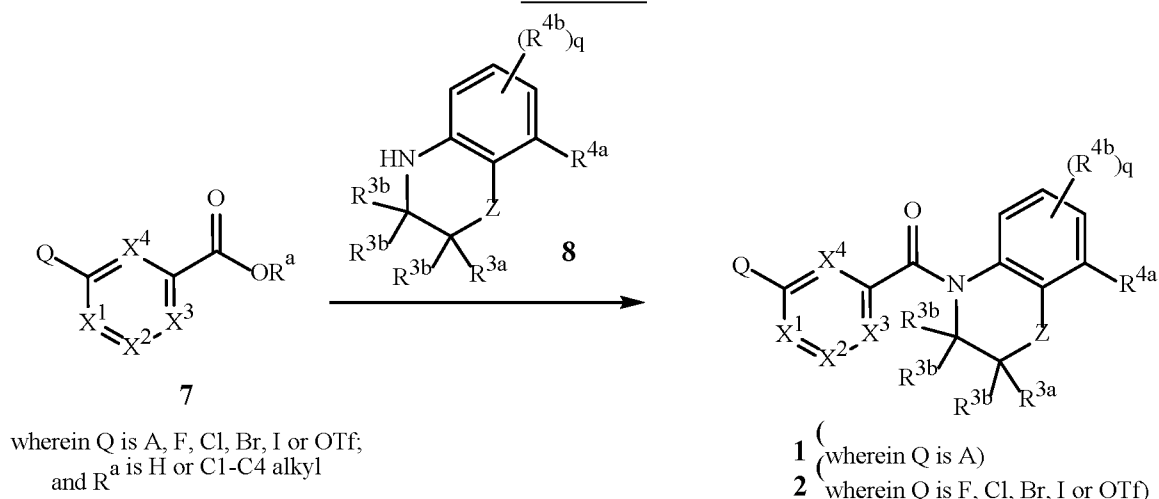
Scheme 6



As shown in Scheme 7, compounds of Formulae **1** or **2** can be prepared by reacting a carboxylic acid of Formula **7** (where R^a is H) with an amine of Formula **8**. The reaction proceeds via activation of the carboxylic acid of Formula **7** followed by reaction with the amine of Formula **8**. The carboxylic acid can be activated with a coupling reagent or by conversion of the carboxylic acid to an acid halide, such as an acid chloride. For example, compounds of Formulae **7** and **8** can be reacted in the presence of a coupling reagent such as propylphosphonic anhydride (T3P), *N*-(3-dimethylaminopropyl)-*N'*-ethylcarbodiimide hydrochloride (EDC), 1,1'-carbonyldiimidazole (CDI), 2-chloro-1-methylpyridinium iodide (Mukaiyama's reagent) or the combination of *N,N,N',N'*-tetramethylchloroformamidinium hexafluorophosphate (TCFH) and *N*-methylimidazole (NMI). Polymer supported reagents, such as polymer-supported cyclohexylcarbodiimide, are also suitable. These reactions are typically run in an appropriate solvent (e.g., dichloromethane, 1,2-dichloroethane, ethyl acetate, acetonitrile or *N,N*-dimethylformamide) in the presence of a base (e.g., triethylamine, *N,N*-diisopropylethylamine or pyridine), optionally with a catalytic amount of 4-(dimethylamino)pyridine (DMAP), at temperatures ranging from 0 °C to the reflux temperature of the solvent. Alternatively, a carboxylic acid of Formula **7** can be converted to an acid chloride by treatment with a reagent such as thionyl chloride, oxalyl chloride, phosphoryl chloride, phosphorus trichloride or phosphorus pentachloride, either neat or in an appropriate solvent (e.g., dichloromethane, 1,2-dichloroethane or toluene) and optionally with a catalytic amount of *N,N*-dimethylformamide, at temperatures ranging from 0 °C to the reflux temperature of the solvent. Subsequent reaction of the acid chloride with the amine of Formula **8** generally occurs in the presence of a base (e.g., triethylamine, *N,N*-diisopropylethylamine or pyridine), optionally with a catalytic amount of 4-(dimethylamino)pyridine, in an appropriate solvent (e.g., tetrahydrofuran, dioxane, toluene, dichloromethane, chloroform, 1,2-dichloroethane or ethyl acetate) at temperatures ranging from 0 °C to the reflux temperature of the solvent. For relevant examples of this reaction in the literature, see: *J. Med. Chem.* **2012**, 55, 10475-10489; WO2010/049302; WO 2016/001631 and *Org. Lett.* **2018**, 20, 4218-4222. Carboxylic acids of Formula **7** are generally

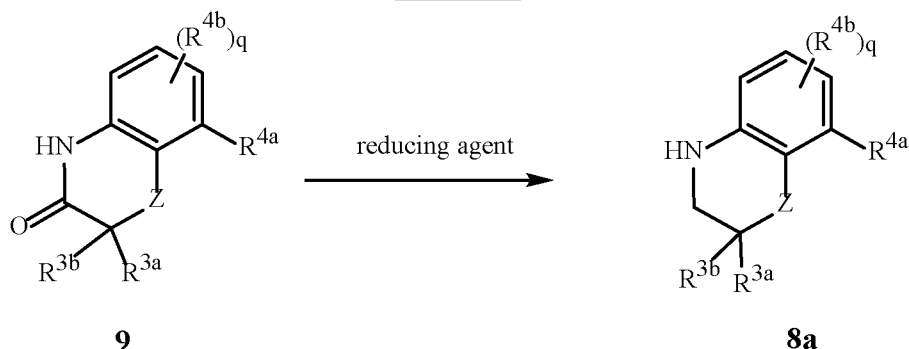
commercially available or known in the literature. In some cases, the corresponding acid chlorides are also commercially available. Where Q is A, such carboxylic acids of Formula 7 can be prepared using the reaction conditions described in Schemes 2, 3 and 4 starting from carboxylic acids or esters of Formula 7 where Q is a halogen or pseudohalogen. Where esters are used as starting materials (i.e., R^a is C₁-C₄ alkyl), a second step may be required to convert the ester to the carboxylic acid using standard conditions known to those skilled in the art (e.g., hydrolysis with sodium hydroxide). Alternatively, esters of Formula 7 (wherein R^a is C₁-C₄ alkyl, typically methyl or ethyl) may undergo direct amidation with amines of Formula 8 by treatment with trimethylaluminum in a solvent like toluene, at temperatures ranging from 0 °C to the reflux temperature of the solvent. For an example of this reaction in the literature, see: WO 2002/074764.

Scheme 7



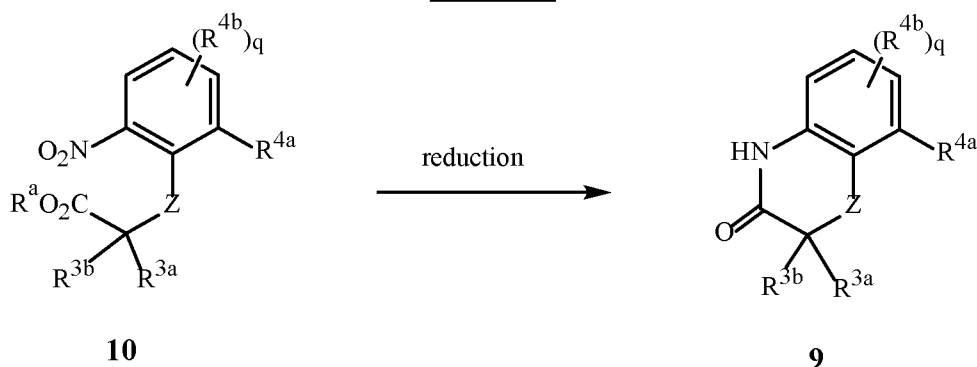
Several methods useful for preparing amines of Formula 8 are well-known in the literature. In addition, some amines of Formula 8 are commercially available. One method to prepare amines of Formula 8a (i.e., a compound of Formula 8 wherein the two R^{3b} groups attached to the carbon at the α-position to the nitrogen are H) is illustrated in Scheme 8. In the method of Scheme 8, lactams of Formula 9 are treated with a reducing agent, such as borane (e.g., as a tetrahydrofuran or dimethylsulfide adduct), lithium aluminum hydride or diisobutylaluminum hydride in an appropriate solvent (e.g., tetrahydrofuran or toluene) at temperatures typically ranging from 0 °C to the reflux temperature of the solvent. For relevant examples of this reaction in the literature, see WO 2015/095795; WO 2015/136091; WO 2016/081692 and *Org. Lett.* **2019**, 21, 4340. Some lactams of Formula 9 are commercially available or known in the literature.

Scheme 8



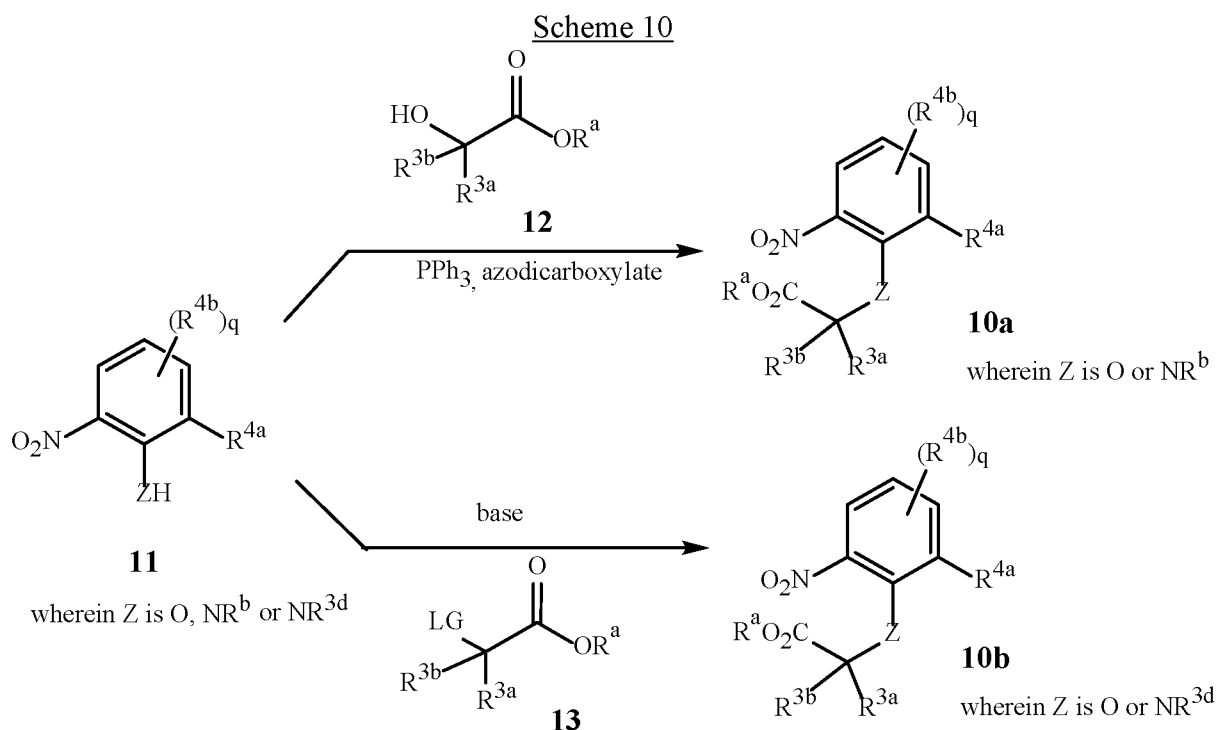
As shown in Scheme 9, lactams of Formula **9** can be prepared from compounds of Formula **10** (wherein R^a is C_1 - C_4 alkyl, typically methyl or ethyl) by a nitro reduction followed by cyclization which occurs during reduction or upon heating. This reaction is readily achieved under a range of conditions, such as iron metal in the presence of an acid like acetic acid, hydrochloric acid or aqueous ammonium chloride, optionally with a solvent such as methanol, ethanol, ethyl acetate or *N,N*-dimethylformamide at temperatures ranging from ambient temperature to the reflux temperature of the solvent. Other suitable conditions include zinc metal with acetic acid or aqueous ammonium chloride, and stannous chloride in aqueous hydrochloric acid or ethanol. Alternatively, the reaction can be achieved using a transition metal catalyst, such as palladium on carbon, platinum oxide or Raney nickel under an atmosphere of hydrogen, in an appropriate solvent (e.g., methanol, ethanol, ethyl acetate or tetrahydrofuran). Temperatures typically range from ambient temperature to 80 °C. This reaction can generally be done in a Parr hydrogenator. For relevant examples of this reaction in the literature, see: WO 2013/130660; WO 2015/095795; WO 2022/204336 and *Angew. Chem. Int. Ed.* **2014**, 53, 6126-6130.

Scheme 9



As shown in Scheme 10, compounds of Formula **10a** (i.e., compounds of Formula **10** wherein Z is O or NR^b , and R^b is a suitable electron-withdrawing group like COCF_3 , SO_2Me or SO_2CF_3) can be prepared by Mitsunobu reaction of compounds of Formula **11** (wherein Z is O or NR^b , and where R^b is a suitable electron-withdrawing group like COCF_3 , SO_2Me or SO_2CF_3) with α -hydroxy esters of Formula **12** (wherein R^a is C_1 - C_4 alkyl, typically methyl

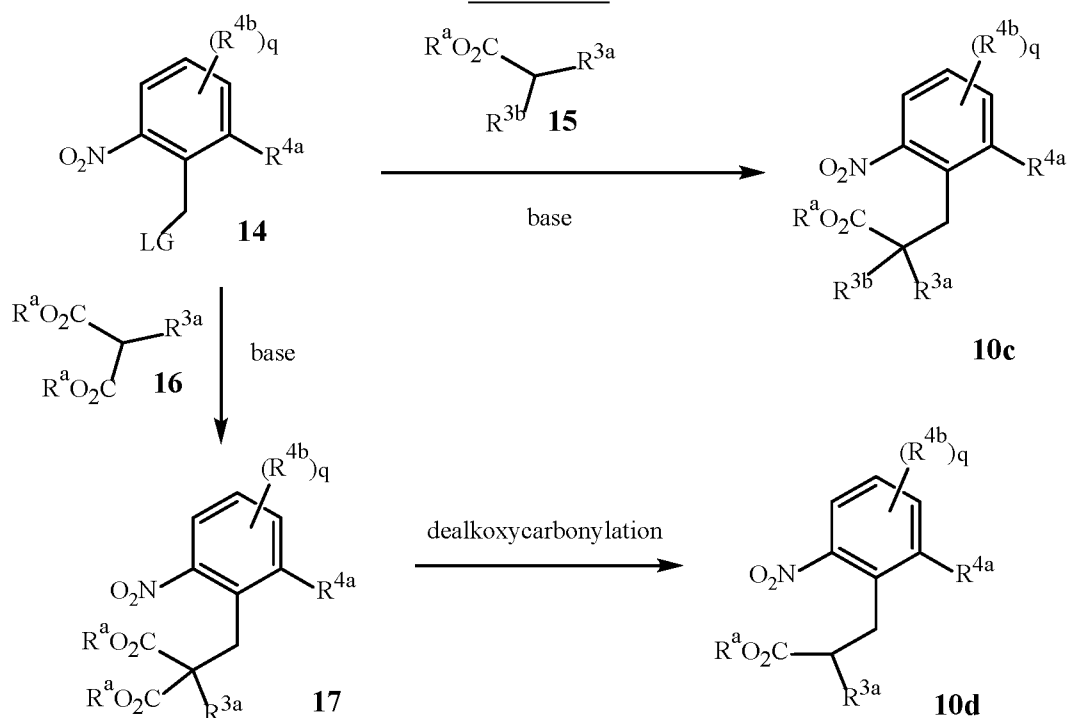
or ethyl). Typical reaction conditions include triphenylphosphine and an azodicarboxylate such as diethyl azodicarboxylate or diisopropyl azodicarboxylate, in a solvent like tetrahydrofuran or dichloromethane at temperatures ranging from about -10°C to the reflux temperature of the solvent. Alternatively, compounds of Formula **10b** (i.e., compounds of Formula **10** wherein Z is O or $\text{NR}^{3\text{d}}$) can be prepared by reaction of compounds of Formula **11** (wherein Z is O or $\text{NR}^{3\text{d}}$) with α -halo esters of Formula **13** (wherein LG is a leaving group such as Cl or Br and R^{a} is C_1 - C_4 alkyl, typically methyl or ethyl) in the presence of a base, such as potassium carbonate or cesium carbonate, in a solvent like *N,N*-dimethylformamide, acetone or 1,4-dioxane, at temperatures typically ranging from 0°C to the reflux temperature of the solvent. In some cases, the addition of sodium iodide is beneficial. For relevant examples of these reactions in the literature, see: WO 2008/146871, US 2015/0148342, WO 2017/205536, *Bioorg. Med. Chem.* **2007**, 15, 5912-5949; *Bioorg. Med. Chem.* **2013**, 23, 4501-4505; and *Bioorg. Med. Chem.* **2023**, 78, 117152. Nitrobenzenes, α -hydroxy esters and α -halo esters of Formulae **11**, **12** and **13** are generally commercially available or known in the literature.



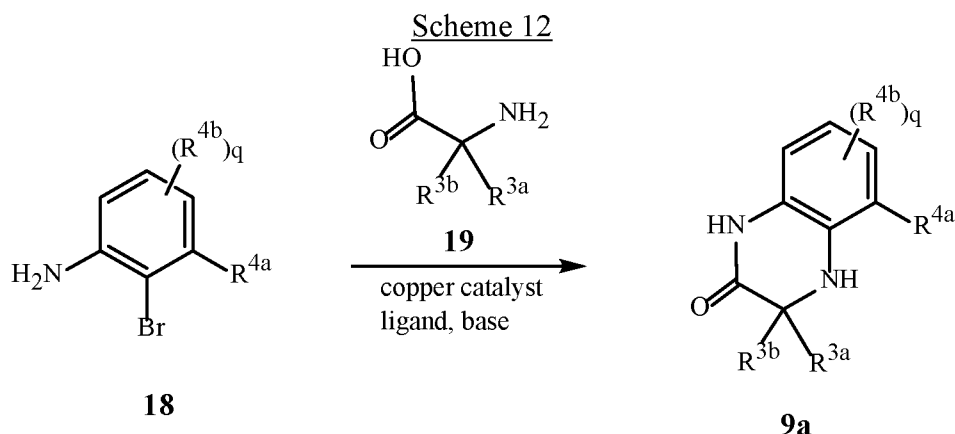
As shown in Scheme 11, compounds of Formula **10c** (i.e., a compound of Formula **10** wherein Z is CH_2) can be prepared by the reaction of a compound of Formula **14** (wherein LG is a leaving group such as Cl or Br) with an ester of Formula **15** (wherein R^{a} is C_1 - C_4 alkyl, typically methyl or ethyl) in the presence of a base, such as lithium diisopropylamide or lithium bis(trimethylsilyl)amide, in a solvent like tetrahydrofuran, at temperatures typically ranging from -78°C to ambient temperature. Alternatively, the reaction of the compound of Formula **14** (wherein LG is a leaving group such as Cl or Br) with a diester of Formula **16** can

provide a compound of Formula **17** by treatment with a base, such as sodium hydride or cesium carbonate, in an appropriate solvent like tetrahydrofuran or *N,N*-dimethylformamide, at temperatures typically ranging from 0 °C to the reflux temperature of the solvent. Subsequent dealkoxycarbonylation provides a compound of Formula **10d** (i.e., compounds of Formula **10** wherein Z is CH₂ and R^{3b} is H), which may be achieved by heating the compound of Formula **17** in a solvent system like *N,N*-dimethylformamide/water or dimethyl sulfoxide/water at temperatures ranging from 100 °C to 200 °C. When R^a is methyl, Krapcho-type decarboxylation conditions can also be appropriate, by heating the compound of Formula **17** in the presence of a salt like sodium chloride or lithium chloride, in a solvent system like dimethyl sulfoxide/water or *N,N*-dimethylformamide/water at temperatures ranging from 100 °C to 200 °C. An alternative method to convert a compound of Formula **17** to a compound of Formula **10d** involves ester hydrolysis (e.g., potassium hydroxide in ethanol) followed by thermal decarboxylation of the resulting carboxylic acid (e.g., reflux in a solvent like dioxane, toluene or xylene). In some instances, acidic conditions may be more appropriate (e.g., reflux in acetic acid or hydrochloric acid). One skilled in the art will recognize that under some conditions, hydrolysis of the remaining ester may occur; in these instances, re-esterification using standard conditions (e.g., thionyl chloride in methanol) may be necessary. For relevant examples of these reactions in the literature, see: WO 2015/086506; WO 2011/162267; *J. Agric. Food Chem.* **1995**, 43, 1929-1934 and *Synthesis* **2013**, 45, 2699-2705. Compounds of Formulae **14**, **15** and **16** are generally commercially available or known in the literature.

Scheme 11



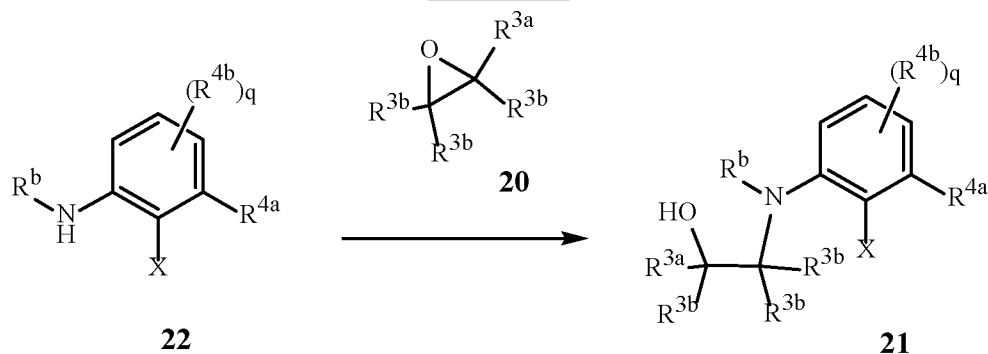
Lactams of Formula **9a** (i.e., compounds of Formula **9** wherein Z is NH) can be prepared by the reaction of 2-bromoanilines of Formula **18** with amino acids of Formula **19** as depicted in Scheme 12. Examples of procedures analogous to those depicted in Scheme 12 can be found in *J. Med. Chem.* **2018**, 61, 4317, where copper(I) chloride is used as a catalyst in the presence of a ligand (e.g., *N,N'*-dimethylethylenediamine) and a base (e.g., 1,8-diaza-bicyclo[5.4.0]undec-7-ene) in a solvent like dimethyl sulfoxide with heating. Alternative bases for the method of Scheme 12 include potassium phosphate and potassium carbonate. 2-Bromoanilines and amino acids of Formulae **18** and **19** are generally commercially available or known in the literature.



Amines of Formula **8b** (i.e., compounds of Formula **8** wherein Z is O) can be prepared according to the sequence shown in Schemes 13 and 14. As shown in Scheme 13, β-aminoalcohols of Formula **21** (wherein R^b is H or an appropriate protecting group such as tosyl (i.e., Ts) or benzyl (i.e., Bn); and X is a halogen or pseudohalogen such as F, Cl, Br, I or OTs) can be prepared by ring opening of epoxides of Formula **20** and subsequent nucleophilic attack by anilines of Formula **22**. This reaction can generally be achieved by heating the aniline and epoxide either neat or in an appropriate solvent (e.g., ethanol or *N,N*-dimethylformamide) at temperatures generally ranging from 40 °C to 180 °C. Optionally, a base (e.g., potassium carbonate or sodium hydride), Lewis acid (e.g., ytterbium(III) trifluoromethanesulfonate or lithium bromide) or phase transfer catalyst (e.g., benzyltriethylammonium chloride or tetrabutylammonium bromide) can be added to facilitate the reaction. One skilled in the art will recognize that the epoxide substituents and reaction conditions impact the regioselectivity of the reaction, for example acidic conditions may reverse the regioselectivity of epoxide ring-opening. For relevant examples of these reactions in the literature, see: *Ind. Eng. Chem. Res.* **2003**, 42, 680-686; *Eur. J. Org. Chem.* **2004**, 3597-3600; *J. Het. Chem.* **2010**, 47, 1406-1410 and WO 2015/095792; and references cited therein. Anilines and epoxides of Formulae **22** and **20** are generally commercially available or known in the literature.

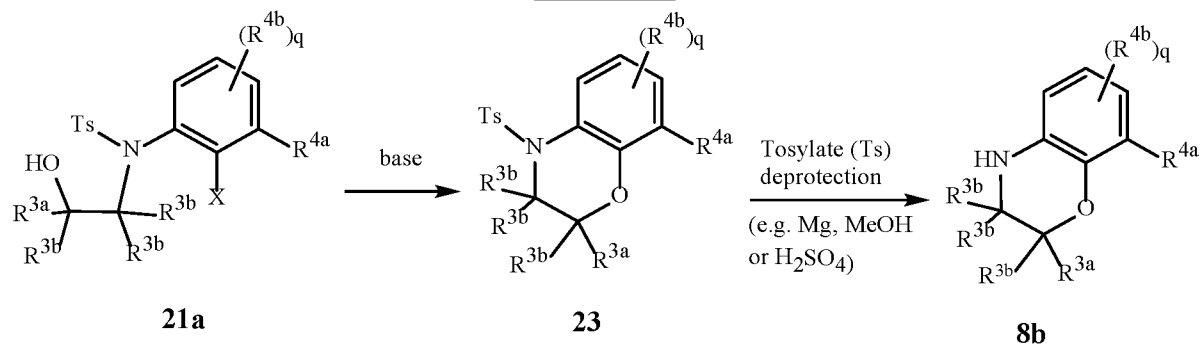
69

Scheme 13



As shown in Scheme 14, *N*-tosyl protected amines of Formula **23** can be prepared from β -aminoalcohols of Formula **21a** (i.e., compounds of Formula **21** wherein R^b is Ts and X is typically F but in some instances is OTs) by treatment with a base such as, but not limited to, sodium hydroxide, potassium *tert*-butoxide or sodium hydride, optionally in the presence of a phase transfer catalyst like tetrabutylammonium bromide, typically in a solvent such as tetrahydrofuran at temperatures ranging from ambient temperature to the reflux temperature of the solvent. For examples of this reaction in the literature, see: *Ind. Eng. Chem. Res.* **2003**, 42, 680-686; WO 2015/095792 and *J. Mol. Catal. A Chem.* **2008**, 288, 28-32. Amines of Formula **8b** can be prepared by removal of the *N*-tosyl protecting group. This can be achieved under reducing conditions, for example, by treatment with magnesium metal in methanol at temperatures ranging from 0 °C to the reflux temperature of the solvent, optionally with sonication. Alternatively, the reaction can be achieved using acidic hydrolysis conditions, for example, treatment with sulfuric acid either neat or in a solvent like dichloromethane, at temperatures generally ranging from 0 °C to the reflux temperature of the solvent. For relevant examples of these reactions in the literature, see: *Chem. Commun.* **1999**, 2095-2096; WO 2015/095792 and *J. Org. Chem.* **2021**, 86, 16573-16581; and references cited therein.

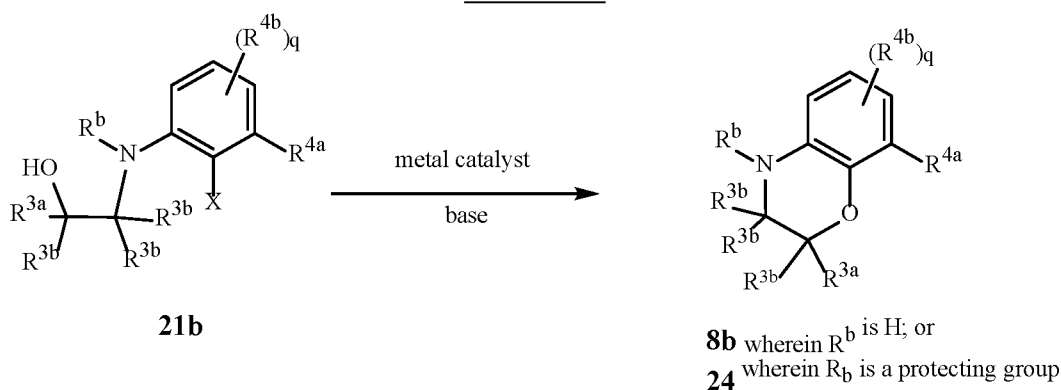
Scheme 14



Alternatively, as shown in Scheme 15, amines of Formulae **8b** (wherein R^b is H) or protected amines of Formula **24** (wherein R^b is a suitable protecting group like Ts or Bn) can be prepared from β -aminoalcohols of Formula **21b** (i.e., compounds of Formula **21** wherein R^b is H or a suitable protecting group and X is a halogen such as Cl, Br or I) by transition metal-catalyzed O-arylation. This reaction can be catalyzed by a copper salt such as copper(I)

iodide and a ligand such as 1,10-phenanthroline, or by a palladium salt or complex such as palladium(II) acetate or tris(dibenzylideneacetone)dipalladium(0) and a phosphine ligand such as 2-di-*tert*-butylphosphino-2'-(*N,N*-dimethylamino)biphenyl (*t*-Bu-DavePhos) or rac-2-(Di-*tert*-butylphosphino)-1,1'-binaphthyl (TrixiePhos). These reactions are typically run in a solvent such as dioxane or toluene, in the presence of a base such as sodium *tert*-butoxide, cesium carbonate or potassium phosphate, at temperatures generally ranging from ambient temperature to the reflux temperature of the solvent. For examples of this reaction in the literature, see: *J. Am. Chem. Soc.* **2000**, 122, 12907-12908; *J. Am. Chem. Soc.* **2001**, 123, 12202-12206; *J. Mol. Catal. A Chem.* **2008**, 288, 28-32 and *Tetrahedron Lett.* **2009**, 50, 3790-3793. Where protecting groups are utilized, removal using standard procedures known to those skilled in the art provides amines of Formula **8b**.

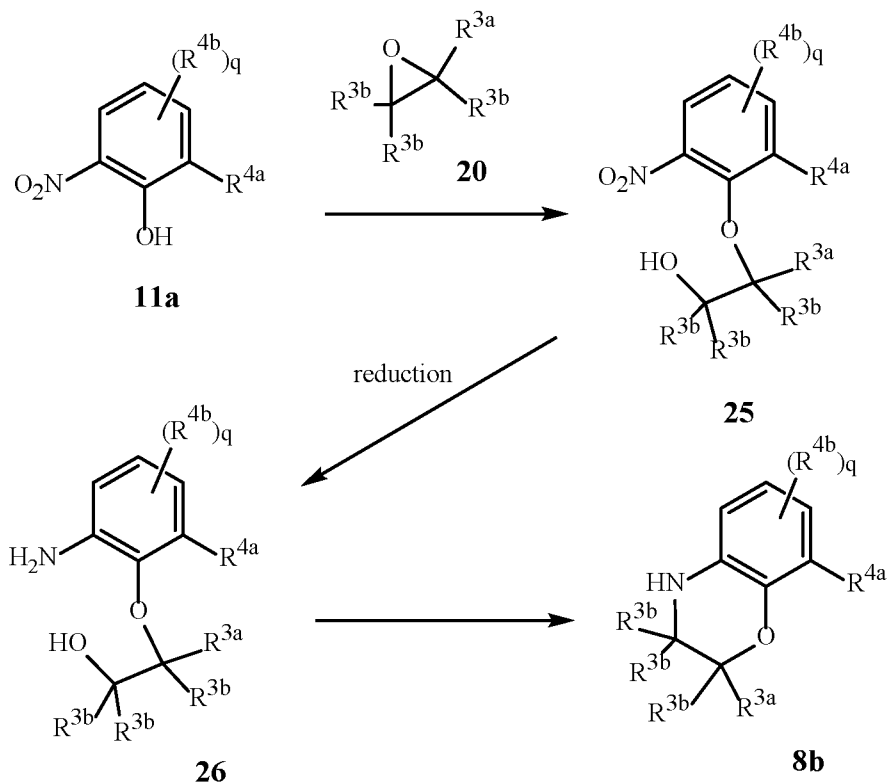
Scheme 15



In some instances, amines of Formula **8b** may be more readily accessed according to the sequence shown in Scheme 16. Compounds of Formula **25** can be prepared by ring opening of epoxides of Formula **20** and subsequent nucleophilic attack by phenols of Formula **11a** (i.e., compounds of Formula **11** wherein Z is O). This reaction can generally be achieved in the presence of a base (e.g., monosodium phosphate, sodium phosphate, potassium carbonate, sodium hydride, sodium hydroxide, cesium fluoride or 1,8-diazabicyclo[5.4.0]undec-7-ene) in an appropriate solvent (e.g., acetonitrile, *N,N*-dimethylformamide, dimethyl sulfoxide, dichloromethane, toluene, methanol, isopropanol and/or water), at temperatures generally ranging from ambient temperature to 180 °C. Lewis acids (e.g., zinc chloride or boron trifluoride diethyl etherate) may also be used to facilitate the reaction. One skilled in the art will recognize that the epoxide substituents and reaction conditions impact the regioselectivity of the reaction, for example acidic conditions may reverse the regioselectivity of epoxide ring-opening. For relevant examples of this reaction in the literature, see: WO 2000/010994; US 2007/0185097 A1; WO 2009/009501; *J. Med. Chem.* **2023**, 66, 1583-1600 and *Angew. Chem. Int. Ed.* **2023**, 62, e202217064. In a subsequent step, compounds of Formula **26** can be prepared by nitro reduction of the compounds of Formula **25**, which can be achieved using standard conditions as described previously in Scheme 9, such as for example palladium on

carbon under an atmosphere of hydrogen in an appropriate solvent like methanol. For additional relevant examples of this reaction in the literature, see: WO 2009/009501, WO 2010/047956 and WO 2019/162323. Amines of Formula **8b** can be prepared from compounds of Formula **26** by treatment with an acid (e.g., phosphoric acid or p-toluenesulfonic acid) in an appropriate solvent (e.g., xylene or toluene) at temperatures generally ranging from ambient temperature to the reflux temperature of the solvent. For relevant examples of this reaction in the literature, see: WO 2017/108723 and *Synth. Commun.* **1998**, 28, 4105-4121. In some instances, it may be beneficial to convert the alcohol to a leaving group (e.g., Cl or mesylate (OMs)) to facilitate the reaction, which may then proceed in the presence of a base (e.g., potassium carbonate) in an appropriate solvent (e.g., *N,N*-dimethylformamide) at temperatures ranging from ambient temperature to the reflux temperature of the solvent. For a relevant example of this reaction in the literature, see: WO 2002/070726. Alternatively, in some instances, use of an appropriate aniline protecting group (e.g., tosyl) enables ring closure using Mitsunobu conditions as described previously in Scheme 10 (e.g., triphenyl phosphine and diethyl azodicarboxylate in an appropriate solvent like tetrahydrofuran). For relevant examples of this reaction in the literature, see: *Org. Biomol. Chem.* **2010**, 8, 2823-2828 and *J. Org. Chem.* **2015**, 80, 3815-3824.

Scheme 16

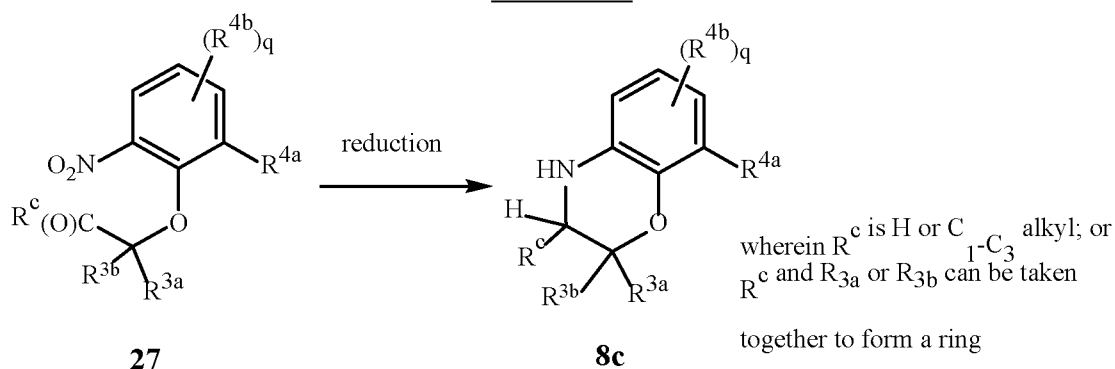


As shown in Scheme 17, amines of Formula **8c** (i.e., compounds of Formula **8** wherein Z is O and one α -amino substituent R^{3b} is H; the remaining α -amino substituent R^{3b} is R^c ; and R^c is H or C_1 - C_3 alkyl, or R^c can be taken together with R^{3a} or the α -oxo substituent R^{3b} to

form a ring) can be prepared from compounds of Formula **27** (wherein R^c is H or C_1 - C_3 alkyl; or R^c can be taken together with R^{3a} or R^{3b} to form a ring) by nitro reduction followed by reductive amination. This reaction can be achieved using a transition metal catalyst, such as but not limited to palladium on carbon, platinum on carbon or Raney nickel under an atmosphere of hydrogen, in an appropriate solvent (e.g., methanol, ethanol, isopropanol, ethyl acetate, toluene or tetrahydrofuran). Temperatures typically range from ambient temperature to 80 °C. This reaction can generally be done using a Parr hydrogenator, optionally with reaction pressures above atmospheric pressure.

Alternatively, the reaction can be achieved in a stepwise manner. Nitro reduction can be achieved under a range of conditions, such as iron metal in the presence of an acid such as acetic acid, hydrochloric acid or aqueous ammonium chloride, optionally with a solvent such as methanol, ethanol, ethyl acetate, tetrahydrofuran or *N,N*-dimethylformamide at temperatures ranging from ambient temperature to the reflux temperature of the solvent. Other suitable conditions include zinc metal with acetic acid or aqueous ammonium chloride, and stannous chloride in aqueous hydrochloric acid or ethanol. The second step involves imine reduction which can be achieved using a reducing agent like sodium borohydride, sodium cyanoborohydride or lithium aluminum hydride in an appropriate solvent (e.g., ethanol, methanol, tetrahydrofuran or dichloromethane, optionally with a co-solvent or additive like water or acetic acid) at temperatures generally between -78 °C and the reflux temperature of the solvent. Other suitable reducing conditions for this step include but are not limited to hydrogen and palladium on carbon in a solvent such as methanol, or triethylsilane and trifluoroacetic acid in a solvent such as dichloromethane. For relevant examples of this reaction in the literature, see: *J. Org. Chem.* **2002**, 67, 6097-6103; WO2014/171527; *J. Org. Chem.* **2015**, 80, 3815-3824; WO2015/124868; WO 2017/172505 and WO 2022/035799.

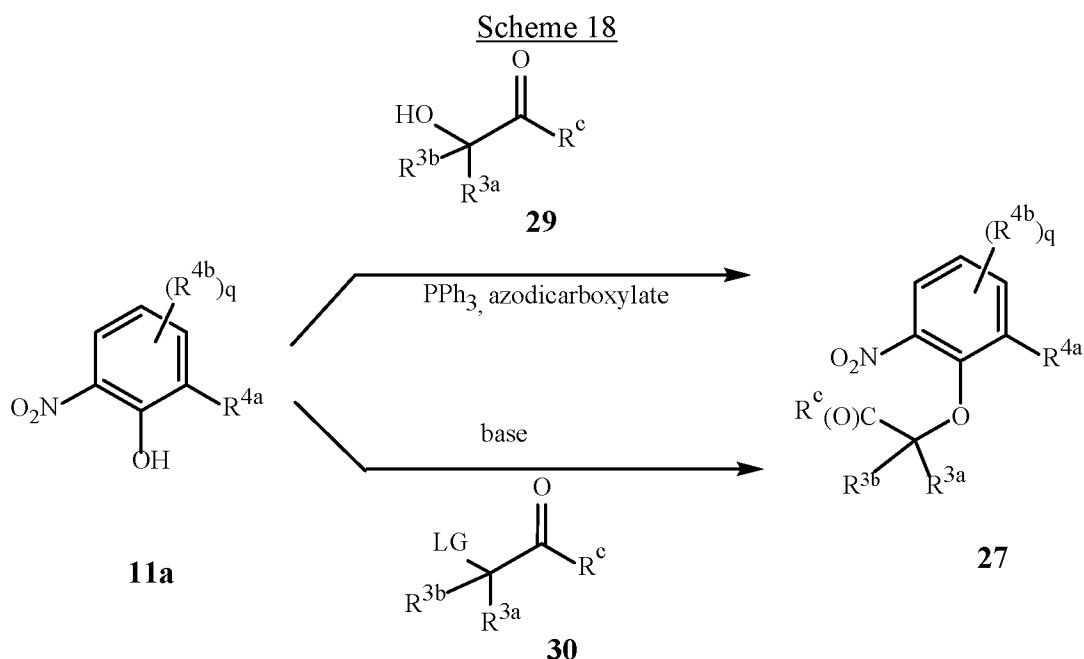
Scheme 17



As shown in Scheme 18, compounds of Formula **27** can be prepared by reaction of nitrophenols of Formula **11a** with compounds of Formula **30** (wherein LG is a leaving group such as Cl or Br and R^c is H or C_1 - C_3 alkyl; or R^c can be taken together with R^{3a} or R^{3b} to form a ring) in the presence of a base, such as potassium carbonate, cesium carbonate, sodium bicarbonate or sodium hydride, optionally with additives such as sodium iodide, in a solvent

like *N,N*-dimethylformamide, acetone or 1,4-dioxane, at temperatures typically ranging from 0 °C to the reflux temperature of the solvent.

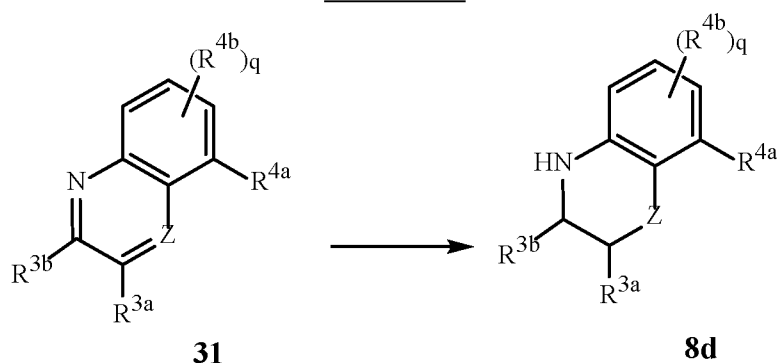
Alternatively, Mitsunobu reaction conditions may be appropriate in some cases, for the reaction of nitrophenols of Formula **11a** with compounds of Formula **29** (wherein R^c is H or C₁-C₃ alkyl; or R^c can be taken together with R^{3a} or R^{3b} to form a ring). Typical reaction conditions include triphenylphosphine and an azodicarboxylate such as diethyl azodicarboxylate or diisopropyl azodicarboxylate, in a solvent like tetrahydrofuran or dichloromethane at temperatures ranging from about -10 °C to the reflux temperature of the solvent. For relevant examples of these reactions in the literature, see: *J. Med. Chem.* **1988**, 31, 1548-1558; WO 2001/090088; WO 2004/080973 and WO 2018/013770. Nitrophenols, α-hydroxy carbonyls and α-halo carbonyls of Formulae **11a**, **29** and **30** are generally commercially available or known in the literature. In some instances, compounds of Formula **27** may be more readily accessed using protecting groups to mask the carbonyl group, such as an acetal group to mask an aldehyde, or via standard functional group interconversions of one carbonyl functional group to another.



An alternative synthesis of amines of Formula **8d** (i.e., compounds of Formula **8** wherein Z is CR^{3c} or NH) involves reduction of azaarenes of Formula **31**, as shown in Scheme 19. This reaction can be achieved using a transition metal catalyst, such as palladium, palladium hydroxide, platinum, platinum dioxide or Raney nickel under an atmosphere of hydrogen, in an appropriate solvent (e.g., methanol, ethanol, ethyl acetate, tetrahydrofuran and/or acetic acid). Temperatures typically range from ambient temperature to 80 °C. This reaction can generally be done in a Parr hydrogenator. Other suitable conditions include transfer hydrogenation using a Hantzsch dihydropyridine (e.g., Hantzsch ester) as the hydrogen source, in the presence of a catalyst (e.g., diphenyl phosphate, trifluoroacetic acid, boric acid, iron(II)

triflate or silver(I) triflate) in an appropriate solvent (e.g., toluene, benzene, dichloromethane, 1,2-dichloroethane or chloroform) at temperatures generally ranging from 0 °C to the reflux temperature of the solvent. Sodium cyanoborohydride is also an appropriate reducing agent, used in the presence of an acid (e.g., hydrochloric acid or acetic acid) in a solvent (e.g., ethanol, water, methanol, tetrahydrofuran, or combinations thereof) at temperatures generally ranging from 0 °C to the reflux temperature of the solvent. Borane (e.g., borane tetrahydrofuran complex) may also be used for this reaction. For examples of related reactions in the literature, see: WO 2016/086200; *Bioorg. Med. Chem. Lett.* **2001**, 11, 1989-1992 and *Org. Lett.* **2020**, 22, 4038-4042. Many azaarenes of Formula **31** are commercially available or known in the literature.

Scheme 19

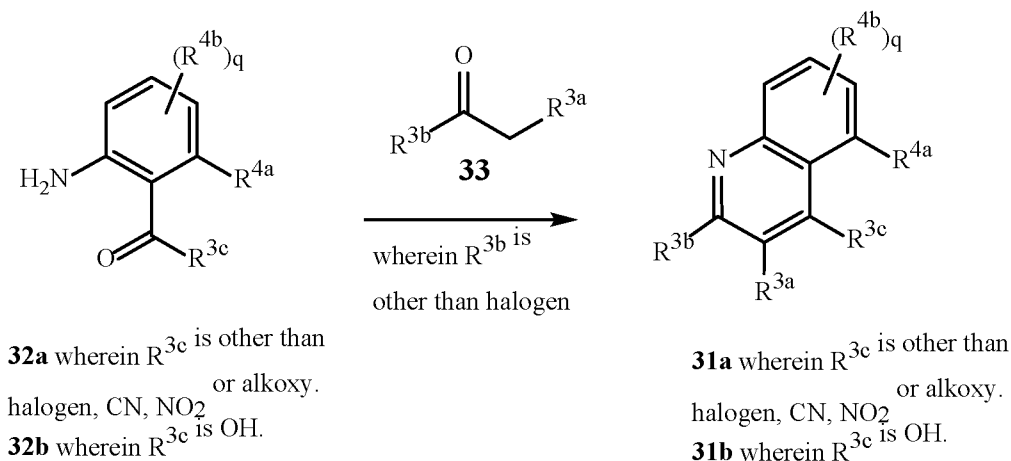


As shown in Scheme 20, quinolines of Formula **31a** (i.e., compounds of Formula **31** wherein R^{3b} is other than halogen; Z is CR^{3c} ; and R^{3c} is other than a leaving group, such as halogen, cyano, nitro or alkoxy) can be prepared by reaction of 2-aminobenzaldehydes or ketones of Formula **32a** with aldehydes or ketones of Formula **33** (wherein R^{3b} is not halogen) in the presence of an acid (e.g., p-toluenesulfonic acid, trifluoroacetic acid, acetic acid or hydrochloric acid) or a base (e.g., sodium hydroxide, potassium hydroxide or sodium methoxide). A solvent is typically used (e.g., ethanol, methanol, butan-2-one or toluene, optionally with water as a co-solvent). Temperatures generally range from ambient temperature to 200 °C. Alternatively, the reaction may be promoted by organosilanes (e.g., trimethylsilyl chloride) or catalyzed by Lewis acids (e.g., ytterbium triflate). For relevant examples of these reactions in the literature, see: WO 2021/092525; WO 2022/053422 and *Tetrahedron Lett.* **2011**, 52, 3474-3477. Similarly, quinolines of Formula **31b** (i.e., compounds of Formula **31** wherein R^{3b} is not halogen; Z is CR^{3c} ; and R^{3c} is hydroxy) can be prepared by reaction of 2-aminobenzoic acids, esters or acyl chlorides of Formula **32b** with aldehydes or ketones of Formula **33** (where R^{3b} is not halogen). The reaction is often conducted in a solvent (e.g., diphenyl ether, xylene or toluene) at temperatures typically ranging from 80 °C to 230 °C. The reaction may be promoted by Brønsted acids (e.g., methanesulfonic acid and/or polyphosphoric acid), where the acid may also be used as the solvent, or Lewis acids (e.g., zinc chloride). For examples of these reactions in the literature,

see: WO 2015/057205; WO 2019/210837 and *J. Med. Chem.* **2003**, *46*, 4895-4903. The hydroxy group (R^{3c}) in quinolines of Formula **31b** can be converted to other functional groups using methods known to those skilled in the art, for example treatment with phosphorus oxychloride may provide the corresponding chloroquinoline (i.e., R^{3c} is Cl). Compounds of

5 Formulae **32** and **33** are generally commercially available or known in the literature.

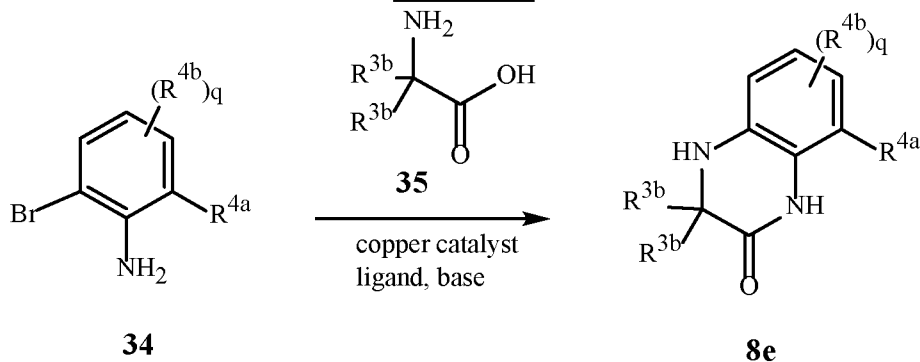
Scheme 20



Dihydroquinoxalinones of Formula **8e** (i.e., compounds of Formula **8** wherein Z is NH and the adjacent R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form a carbonyl group) can be prepared by the reaction of 2-bromoanilines of

10 Formula **34** with amino acids of Formula **35** as depicted in Scheme 21, using conditions as described previously in Scheme 12. For a relevant example of this reaction in the literature, see: *ACS Omega* **2017**, *2*, 1875. 2-Bromoanilines and amino acids of Formulae **34** and **35** are commercially available or known in the literature.

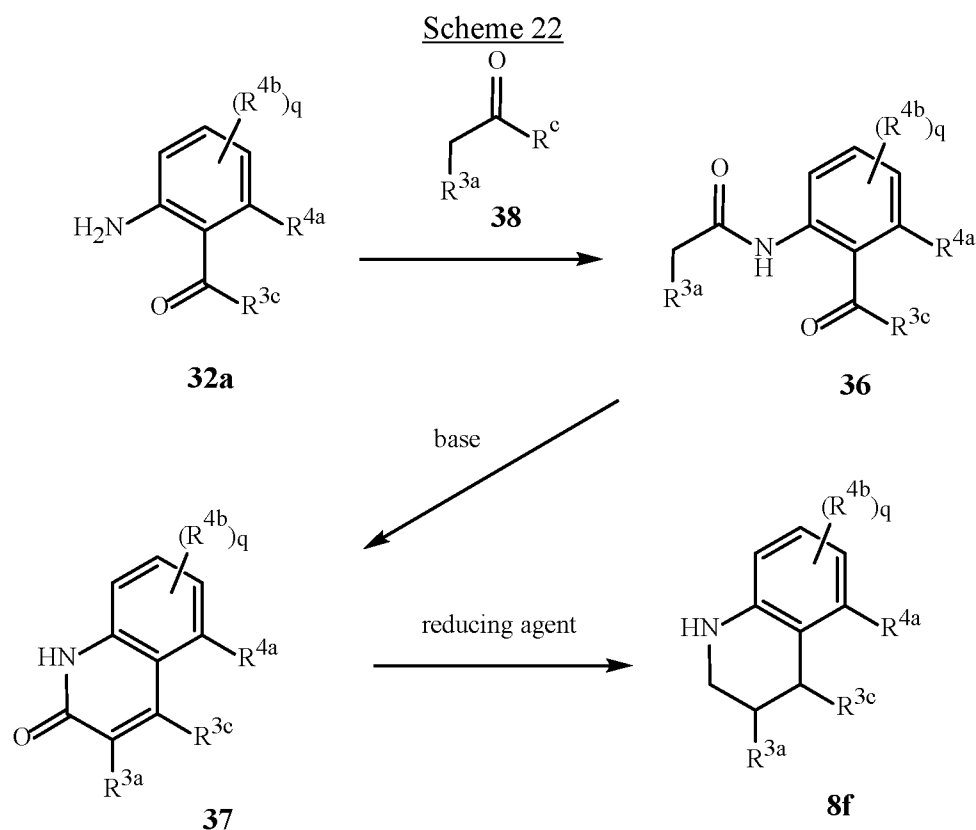
Scheme 21



Alternatively, amines of Formula **8f** (i.e., compounds of Formula **8** wherein Z is CR^{3c}) may be prepared according to the sequence shown in Scheme 22. In the first step, compounds of Formula **36** can be prepared by reaction of 2-aminobenzaldehydes or ketones of

20 Formula **32a** with carboxylic acids or acid chlorides of Formula **38** (where R^c is OH or Cl) using amidation conditions previously described in Scheme 7. For relevant examples of this reaction in the literature, see: *RSC Adv.* **2017**, *7*, 28298; *J. Nat. Prod.* **2020**, *83*, 3181 and

WO 2011/025690. In the second step, compounds of Formula **37** can be prepared by a cyclization of compounds of Formula **36** in the presence of a base (e.g., potassium carbonate, sodium hydroxide, potassium tert-butoxide) in a solvent (e.g., *N,N*-dimethylformamide, ethanol, dimethyl sulfoxide, 1,4-dioxane) at temperatures generally ranging from ambient temperature to 120 °C. For a relevant example of this reaction in the literature, see: WO 2019/191667. In the third step, amines of Formula **8f** can be prepared from compounds of Formula **37** by treatment with a reducing agent like borane or lithium borohydride in a solvent like tetrahydrofuran at temperatures ranging from 0 °C to the reflux temperature of the solvent. For a relevant example of this reaction in the literature, see: WO 2015/095795. The same reduction can also be achieved using multi-step approaches, such as conversion of compounds of Formula **37** to 2-chloroquinolines by treatment with phosphorus oxychloride, followed by dechlorination (e.g., by hydrogenation in the presence of catalytic palladium) followed by the reduction of the resultant quinoline using the method previously described in Scheme 19. For an example of this reaction in the literature, see: *J. Med. Chem.* **1989**, 32, 1927. Compounds of Formulae **32a** and **38** are generally commercially available or known in the literature.



It is recognized by one skilled in the art that various functional groups can be converted into others to provide different compounds of Formula **1**. For a valuable resource that illustrates the interconversion of functional groups in a simple and straightforward fashion, see Larock, R. C., *Comprehensive Organic Transformations: A Guide to Functional Group*

Preparations, 2nd Ed., Wiley-VCH, New York, 1999. For example, intermediates for the preparation of compounds of Formula 1 may contain aromatic nitro groups, which can be reduced to amino groups, and then converted via reactions well known in the art such as the Sandmeyer reaction, to various halides, providing compounds of Formula 1. The above reactions can also in many cases be performed in alternate order.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula 1 may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products.

The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula 1. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular presented to prepare the compounds of Formula 1.

One skilled in the art will also recognize that compounds of Formula 1 and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following non-limiting Examples are illustrative of the invention. Steps in the following Examples illustrate a procedure for each step in an overall synthetic transformation, and the starting material for each step may not have necessarily been prepared by a particular preparative run whose procedure is described in other Examples or Steps. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; “s” means singlet, “d” means doublet, “t” means triplet, “q” means quartet, “p” means pentet, “m” means multiplet, “br s” means broad singlet, “br d” means broad doublet and “dd” means doublet of doublets. The term HPLC means high performance liquid chromatography. The term MPLC means medium pressure liquid chromatography. The term “LCMS” means liquid chromatography coupled with mass spectrometry.

SYNTHESIS EXAMPLE 1

Preparation of (3,4-dihydro-3,5-dimethyl-1(2*H*)-quinoliny)[2-methoxy-5-[3-(1-methyl-ethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (3,5-dimethyl-3,4-dihydro-2*H*-quinolin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxy-phenyl]methanone) (Compound 3).

Step A: Preparation of 5-bromo-3-methyl-quinoline.

To a mixture of 2-amino-6-bromo-benzaldehyde (200 mg, 1 mmol), propionaldehyde (0.1 mL, 1.4 mmol) and ethanol (2 mL) in a microwave vial was added 1 N aqueous sodium hydroxide solution (2 mL). The mixture was stirred for 30 minutes at 110 °C in a microwave, then was cooled to room temperature and extracted with dichloromethane (3x). The combined organic extracts were washed with brine (1x), dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel (eluting with ethyl acetate in hexanes) to afford the title compound (80 mg).

¹H NMR (CDCl₃) δ 8.78 (m, 1H), 8.30 (m, 1H), 8.06-8.04 (m, 1H), 7.81-7.79 (m, 1H), 7.52-7.48 (m, 1H), 2.58 (s, 3H).

Step B: Preparation of 3,5-dimethylquinoline.

A sealed tube was charged with 5-bromo-3-methyl-quinoline (i.e., the product of Step A) (200 mg, 0.9 mmol), trimethylboroxine (148 mg, 1.2 mmol), potassium carbonate (310 mg, 2.2 mmol) and 1,4-dioxane (9 mL). The mixture was sparged with argon for 5-10 min. Tetrakis(triphenylphosphine)palladium(0) (520 mg, 0.45 mmol) was added to the mixture. The tube was sealed with a Teflon cap and stirred at 110 °C for 16 h. The mixture was cooled to room temperature, filtered through a pad of Celite® with ethyl acetate. The filtrate was concentrated under reduced pressure and the resultant crude material was purified by silica gel column chromatography (eluting with a solution of ethyl acetate in hexanes) to afford the title compound (140 mg).

¹H NMR (CDCl₃) δ 8.76 (m, 1H), 8.08 (m, 1H), 7.93-7.91 (m, 1H), 7.54-7.50 (m, 1H), 7.34-7.32 (m, 1H), 2.66 (s, 3H), 2.54 (s, 3H).

Step C: Preparation of 3,5-dimethyl-1,2,3,4-tetrahydroquinoline.

To a stirred solution of 3,5-dimethylquinoline (i.e., the product of Step B) (60 mg, 0.38 mmol) in a mixture of ethanol and water (3:1, 4 mL) was added ammonium formate (598 mg, 9.5 mmol). The mixture was sparged with argon for 5 min. Palladium on carbon (10% Pd, 50% wet, 45 mg) was added and the mixture was stirred at 75 °C for 16 h. The mixture was cooled to room temperature, filtered through a pad of Celite® with ethanol. The filtrate was concentrated under reduced pressure and the resultant crude material was purified

by silica gel column chromatography (eluting with a solution of ethyl acetate in hexanes) to afford the title compound (25 mg).

MS m/z Found: $(M+H)^+$, $C_{11}H_{15}N$, 162.1, requires 162.1.

Step D: Preparation of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxy-benzoate.

To a solution of methyl 5-iodo-2-methoxy-benzoate (200 mg, 0.68 mmol) in toluene (2 mL) in a microwave vial was added 3-isopropyl-1*H*-1,2,4-triazole (456 mg) and potassium carbonate (208 mg, 1.5 mmol). The mixture was sparged with argon. Copper(I) iodide (6.5 mg, 0.034 mmol) and trans-*N,N'*-dimethylcyclohexane-1,2-diamine (19.5 mg, 0.14 mmol) were added and the mixture was stirred for 2 h at 140 °C in a microwave. The mixture was cooled to room temperature, filtered through a pad of Celite® with ethyl acetate. The filtrate was washed with water (1x), brine (1x), dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by silica gel column chromatography (eluting with a solution of ethyl acetate in hexanes) to afford the title compound (40 mg).

^1H NMR ($DMSO-d_6$) δ 9.09 (s, 1H), 8.05-8.04 (m, 1H), 8.00-7.96 (m, 1H), 7.33-7.31 (m, 1H), 3.88 (s, 3H), 3.83 (s, 3H), 3.08-3.01 (m, 1H), 1.29 (d, 6H).

Step E: Preparation of (3,4-dihydro-3,5-dimethyl-1(2*H*)-quinolinyl)[2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (3,5-dimethyl-3,4-dihydro-2*H*-quinolin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxy-phenyl]methanone).

A sealed flask was charged with methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxy-benzoate (i.e., the product of Step D) (100 mg, 0.36 mmol), 3,5-dimethyl-1,2,3,4-tetrahydroquinoline (i.e., the product of Step C) (88 mg, 0.55 mmol) and toluene (3 mL) then trimethylaluminum (2M solution in toluene, 0.27 mL, 0.54 mmol) and triethylamine (0.1 mL, 0.72 mmol) were added. The mixture was stirred at 80 °C for 5 h, cooled to room temperature and a saturated aqueous sodium bicarbonate solution was added. The layers were separated, the aqueous phase was extracted with ethyl acetate (3x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel (eluting with a solution of ethyl acetate in hexanes) to afford the title compound (40 mg), a compound of the present invention. MS m/z : $(M+H)^+$, $C_{24}H_{28}N_4O_2$, 405.2.

SYNTHESIS EXAMPLE 2

Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][6-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-4-pyrimidinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[6-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-4-yl]methanone) (Compound 2).

Step A: Preparation of methyl (2*S*)-2-(2-methyl-6-nitro-phenoxy)propanoate.

To a stirred mixture of 2-methyl-6-nitro-phenol (10 g, 65 mmol) and triphenylphosphine (22 g, 85 mmol) in anhydrous tetrahydrofuran (100 mL) was added methyl (R)-lactate (9.4 mL, 98 mmol). The mixture was cooled to 0 °C then a solution of diisopropyl azodicarboxylate (17 mL, 85 mmol) in anhydrous tetrahydrofuran (20 mL) was added slowly. The reaction mixture was stirred at room temperature overnight and then concentrated under reduced pressure. A mixture of hexanes and diethyl ether (1:1, 350 mL) was added to the mixture and stirred for 1 h, resulting in formation of a precipitate. The mixture was filtered through a pad of Celite® with a solution of hexanes in diethyl ether (1:1, 150 mL). The resultant filtrate was concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel (eluting with a gradient of 0-30% ethyl acetate in hexanes) to afford the title compound as a yellow oil (14.5 g).

¹H NMR (CDCl₃) δ 7.65-7.63 (m, 1H), 7.41-7.39 (m, 1H), 7.12-7.08 (m, 1H), 4.56 (q, 1H), 3.71 (s, 3H), 2.38 (s, 3H), 1.60 (d, 3H).

Step B: Preparation of (2*S*)-2,8-dimethyl-4*H*-1,4-benzoxazin-3-one.

To a stirred solution of methyl (2*S*)-2-(2-methyl-6-nitro-phenoxy)propanoate (i.e., the product of Step A) (13.9 g, 58.2 mmol) in ethanol (210 mL) at 50 °C was added a solution of ammonium chloride (6.2 g, 116 mmol) in water (23 mL). Iron powder (9.7 g, 175 mmol) was then added portionwise over 15 minutes as the reaction mixture was heated from 50 °C to 70 °C. After stirring at 70 °C for 23 h, the mixture was cooled to room temperature and filtered through a pad of Celite®. The filtrate was concentrated under reduced pressure followed by the addition of ethyl acetate and water. The layers were separated and the aqueous phase was extracted with ethyl acetate (1x). The combined organic extracts were washed with saturated aqueous ammonium chloride solution (1x), dried over anhydrous magnesium sulfate, filtered through a pad of Celite® and concentrated under reduced pressure to afford the title compound as a white solid (9.2 g), which was used in the next step without further purification.

¹H NMR (CDCl₃) δ 7.80 (br s, 1H), 6.87-6.83 (m, 2H), 6.64-6.60 (m, 1H), 4.66 (q, 1H), 2.25 (s, 3H), 1.58 (d, 3H).

Step C: Preparation of (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine.

To a stirred solution of (2*S*)-2,8-dimethyl-4*H*-1,4-benzoxazin-3-one (i.e., the product of Step B) (10.3 g, 58 mmol) in anhydrous tetrahydrofuran (100 mL) at 0 °C was added borane

tetrahydrofuran complex (1M in tetrahydrofuran, 116 mL, 116 mmol) over 30 minutes. The reaction mixture was stirred at room temperature overnight, cooled to 0 °C and methanol (70 mL) was slowly added. After stirring at room temperature for 1 h, the mixture was concentrated under reduced pressure and partitioned between ethyl acetate and water. The layers were separated and the organic phase was washed with water (1x), brine (1x), dried over anhydrous magnesium sulfate, filtered and concentrated under reduced pressure to afford the title compound as a light brown oil (9.4 g), which was used in the next step without further purification.

¹H NMR (CDCl₃) δ 6.68-6.65 (m, 1H), 6.57-6.55 (m, 1H), 6.48-6.46 (m, 1H), 4.28-4.22 (m, 1H), 3.68 (br s, 1H), 3.34 (dd, 1H), 3.10 (dd, 1H), 2.20 (s, 3H), 1.40 (d, 3H).

Step D: Preparation of 6-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-4-carboxylic acid

To a stirred solution of methyl 6-chloropyrimidine-4-carboxylate (250 mg, 1.45 mmol) in *N,N*-dimethylformamide (10 mL) was added 3-isopropyl-1*H*-1,2,4-triazole (161 mg, 1.45 mmol) and potassium carbonate (401 mg, 2.90 mmol). The mixture was stirred at 90 °C for 12 h. Ice-water was added to the mixture. The mixture was then extracted with ethyl acetate. The combined organic extracts were washed with brine and concentrated under reduced pressure to give the title compound (220 mg), which was used without further purification.

¹H NMR (DMSO-*d*₆) δ 9.47 (s, 1H), 9.28 (s, 1H), 8.21 (s, 1H), 3.13-3.09 (m, 1H), 1.33 (d, 6H).

MS *m/z*: (M+H)⁺, C₁₀H₁₁N₅O₂, 234.2.

Step E: Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][6-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-4-pyrimidinyl]methanone
(alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[6-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-4-yl]methanone).

To a stirred solution of 6-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-4-carboxylic acid (i.e., the product of Step D) (220 mg, 0.94 mmol) in 1,2-dichloroethane (10 mL) was added (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine (i.e., the product of Step C) (154 mg, 0.94 mmol), propylphosphonic anhydride (50 wt.% in ethyl acetate, 0.56 mL) and triethylamine (0.46 mL, 3.3 mmol). The mixture was stirred at 60 °C for 3 h. Ice-water was then added, the mixture was extracted with ethyl acetate, the combined organic extracts were washed with brine and concentrated under reduced pressure. The crude material was purified by preparative HPLC to afford the title compound, a compound of the present invention, as a yellow solid (78 mg).

¹H NMR (DMSO-*d*₆, 100 °C) δ 9.36 (m, 1H), 9.13 (m, 1H), 8.04 (m, 1H), 7.36-7.31 (m, 1H), 6.96-6.94 (m, 1H), 6.70-6.67 (m, 1H), 4.52 (m, 1H), 4.09-4.05 (m, 1H), 3.53-3.48 (m, 1H), 3.16-3.09 (m, 1H), 2.18 (m, 3H), 1.36-1.34 (m, 9H).

MS m/z : (M+H)⁺, C₂₀H₂₂N₆O₂, 379.35.

SYNTHESIS EXAMPLE 3

Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][2-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-4-pyrimidinyl]methanone (alternatively
5 named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[2-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-4-yl]methanone) (Compound 1).

Step A: Preparation of 2-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-4-carboxylic acid

To a stirred solution of methyl 2-chloropyrimidine-4-carboxylate (250 mg, 1.45 mmol) in *N,N*-dimethylformamide (10 mL) was added 3-isopropyl-1*H*-1,2,4-triazole (161 mg, 1.45
10 mmol) and potassium carbonate (401 mg, 2.90 mmol). The mixture was stirred at 90 °C for 15 h. Ice-water was added to the mixture, and the mixture was extracted with ethyl acetate. The combined organic extracts were washed with brine and concentrated under reduced pressure to give the title compound (250 mg), which was used in the next step without further purification.

15 ¹H NMR (DMSO-*d*₆) δ 9.35 (s, 1H), 9.14 (d, 1H), 8.00 (d, 1H), 3.15-3.07 (m, 1H), 1.32 (d, 6H).

MS m/z : (M+H)⁺, C₁₀H₁₁N₅O₂, 234.2.

Step B: Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][2-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-4-pyrimidinyl]methanone
20 (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[2-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-4-yl]methanone).

To a stirred solution of 2-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-4-carboxylic acid (i.e., the product of Step A) (150 mg, 0.64 mmol) in 1,2-dichloroethane (10 mL) was added (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine (i.e., the product of Step C in Synthesis
25 Example 2) (105 mg, 0.64 mmol), propylphosphonic anhydride (50 wt.% in ethyl acetate, 0.38 mL) and triethylamine (0.36 mL, 2.6 mmol). The mixture was stirred at 60 °C for 6 h. Ice-water was added to the mixture, the mixture was extracted with ethyl acetate. The combined organic extracts were washed with brine and concentrated under reduced pressure. The resultant crude material was purified by preparative HPLC to afford the title compound,
30 a compound of the present invention, as a yellow solid (75 mg).

¹H NMR (DMSO-*d*₆, 100 °C) δ 9.10-9.04 (m, 2H), 7.73-7.72 (m, 1H), 7.44 (m, 1H), 6.98-6.96 (m, 1H), 6.72-6.70 (m, 1H), 4.54 (m, 1H), 4.13-4.10 (m, 1H), 3.55-3.50 (m, 1H), 3.14-3.07 (m, 1H), 2.19 (m, 3H), 1.36-1.33 (m, 9H).

MS m/z : (M+H)⁺, C₂₀H₂₂N₆O₂, 379.33.

SYNTHESIS EXAMPLE 4

Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][6-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-2-pyrazinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[6-(3-isopropyl-1,2,4-triazol-1-yl)pyrazin-2-yl]methanone) (Compound 4).

Step A: Preparation of 6-(3-isopropyl-1,2,4-triazol-1-yl)pyrazine-2-carboxylic acid

To a stirred solution of methyl 6-chloropyrazine-2-carboxylate (250 mg, 1.45 mmol) in *N,N*-dimethylformamide (10 mL) was added 3-isopropyl-1*H*-1,2,4-triazole (161 mg, 1.45 mmol) and potassium carbonate (401 mg, 2.90 mmol). The mixture was stirred at 90 °C for 15 h. Ice-water was added to the mixture and the mixture was extracted with ethyl acetate. The combined organic extracts were washed with brine and concentrated under reduced pressure to give the title compound (300 mg), which was used without further purification. MS *m/z*: (M+H)⁺, C₁₀H₁₁N₅O₂, 234.3.

Step B: Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][6-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-2-pyrazinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[6-(3-isopropyl-1,2,4-triazol-1-yl)pyrazin-2-yl]methanone).

To a stirred solution of 6-(3-isopropyl-1,2,4-triazol-1-yl)pyrazine-2-carboxylic acid (i.e., the product of Step A) (250 mg, 1.07 mmol) in 1,2-dichloroethane (20 mL) was added (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine (i.e., the product of Step C in Synthesis Example 2) (175 mg, 1.07 mmol), propylphosphonic anhydride (50 wt.% in ethyl acetate, 0.64 mL) and triethylamine (0.45 mL, 3.2 mmol). The mixture was stirred at 60 °C for 6 h. Ice-water was added, the mixture was extracted with ethyl acetate; the combined organic extracts were washed with brine and concentrated under reduced pressure. The crude material was purified by preparative HPLC to afford the title compound, a compound of the present invention, as a sticky yellow solid (72 mg).

¹H NMR (DMSO-*d*₆, 100 °C) δ 9.17 (m, 1H), 8.96-8.92 (m, 2H), 7.30 (m, 1H), 6.97-6.95 (m, 1H), 6.70-6.66 (m, 1H), 4.55-4.54 (m, 1H), 4.18-4.14 (m, 1H), 3.60-3.55 (m, 1H), 3.16-3.10 (m, 1H), 2.20 (m, 3H), 1.36 – 1.34 (m, 9H).

MS *m/z*: (M+H)⁺, C₂₀H₂₂N₆O₂, 379.1.

SYNTHESIS EXAMPLE 5

Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][4-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-2-pyrimidinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-2-yl]methanone) (Compound 21).

Step A: Preparation of 4-(3-isopropyl-1,2,4-triazol-1-yl)-2-methylsulfanyl-pyrimidine.

To a stirred solution of 3-isopropyl-1*H*-1,2,4-triazole (332 mg, 2.99 mmol) in *N,N*-dimethylformamide (3 mL) was added sodium hydride (60% dispersion in mineral oil, 2.7 mmol) portionwise at 0 °C. After 10 minutes, a solution of 4-chloro-2-methylsulfanyl-pyrimidine (400 mg, 2.49 mmol) in *N,N*-dimethylformamide (2 mL) was added dropwise to the mixture. The mixture was allowed to warm to room temperature, stirred for 4 h, quenched with cold water and extracted with dichloromethane. The combined organic extracts were washed with water, brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel to afford the title compound (300 mg).

¹H NMR (DMSO-*d*₆) δ 9.41 (s, 1H), 8.76 (d, 1H), 7.49 (d, 1H), 3.12-3.05 (m, 1H), 2.60 (s, 3H), 1.30 (d, 6H).

Step B: Preparation of 4-(3-isopropyl-1,2,4-triazol-1-yl)-2-methylsulfonyl-pyrimidine

To a stirred solution of 4-(3-isopropyl-1,2,4-triazol-1-yl)-2-methylsulfanyl-pyrimidine (i.e., the product of Step A) (2.3 g, 9.8 mmol) in chloroform (20 mL) was added meta-chloroperbenzoic acid (4.2 g, 24.3 mmol) at 0 °C. The mixture was stirred at room temperature for 16 h and then quenched with saturated aqueous sodium thiosulfate solution at 0 °C. After stirring at this temperature for 30 minutes, the mixture was filtered through a pad of Celite® with dichloromethane. The filtrate was mostly concentrated under reduced pressure, and the layers were separated. The organic phase was washed with saturated aqueous sodium bicarbonate solution, brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel to afford the title compound as a white solid (1.7 g).

¹H NMR (DMSO-*d*₆) δ 9.58 (s, 1H), 9.17 (d, 1H), 8.06 (d, 1H), 3.53 (s, 3H), 3.15-3.08 (m, 1H), 1.32 (d, 6H).

MS *m/z*: (M+H)⁺, C₁₀H₁₃N₅O₂S, 268.0.

Step C: Preparation of 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-2-ol.

To a stirred solution of 4-(3-isopropyl-1,2,4-triazol-1-yl)-2-methylsulfonyl-pyrimidine (i.e., the product of Step B) (200 mg, 0.75 mmol) in 1,4-dioxane (15 mL) was added 1 N aqueous sodium hydroxide solution (1.3 mL) at room temperature. The mixture was stirred

for 16 h. Water was added. The mixture was acidified to pH~2 with 2 N aqueous hydrochloric acid solution and extracted with a 20% solution of methanol in dichloromethane. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was washed with pentane to afford the title compound as an off white solid (140 mg).

^1H NMR (DMSO- d_6) δ 9.26 (s, 1H), 8.14 (d, 1H), 6.78 (d, 1H), 3.09-3.03 (m, 1H), 1.29 (d, 6H).

MS m/z : (M+H) $^+$, C₉H₁₁N₅O, 206.18.

Step D: Preparation of 2-chloro-4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine.

A stirred suspension of 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-2-ol (i.e., the product of Step C) (1.2 g, 5.8 mmol) in phosphorus oxychloride (8 mL) was heated at 90 °C for 2 h. The volatiles were evaporated. The mixture was quenched with crushed ice at 0 °C and extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure to give the title compound (900 mg crude), which was used without further purification.

MS m/z : (M+H) $^+$, C₉H₁₀ClN₅, 224.2.

Step E: Preparation of ethyl 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-2-carboxylate.

To a suspension of 2-chloro-4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine (i.e., the product of Step D) (900 mg crude) in ethanol (35 mL) was added sodium carbonate (427 mg, 4.0 mmol), 1,1'-ferrocenediyl-bis(diphenylphosphine) (223 mg, 0.40 mmol) and palladium(II) acetate (90 mg, 0.40 mmol). The mixture was sparged with argon for 10 minutes. The mixture was stirred under an atmosphere of carbon monoxide (50 psi) at 50 °C for 16 h in an autoclave. The mixture was cooled to room temperature and filtered through a pad of Celite[®] with dichloromethane. The filtrate was concentrated under reduced pressure and the resulting crude material was purified by column chromatography on silica gel to afford the title compound as a pale brown solid (350 mg).

^1H NMR (DMSO- d_6) δ 9.35 (s, 1H), 9.09 (d, 1H), 8.01 (d, 1H), 4.44-4.39 (m, 2H), 3.14-3.07 (m, 1H), 1.38-1.31 (m, 9H).

MS m/z : (M+H) $^+$, C₁₂H₁₅N₅O₂, 262.3.

Step F: Preparation of 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-2-carboxylic acid

To a stirred solution of ethyl 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-2-carboxylate (i.e., the product of Step E) (350 mg, 1.3 mmol) in tetrahydrofuran (4.5 mL) and water (1.5 mL) was added lithium hydroxide monohydrate (115 mg, 2.7 mmol). The reaction mixture was stirred at room temperature for 3 h. The volatiles were evaporated then the mixture was diluted with water and washed with a 10% solution of ethyl acetate in hexanes.

The aqueous phase was acidified with 2 N aqueous hydrochloric acid solution. The resulting precipitate was collected by filtration and washed with pentane to afford the title compound as a white solid (180 mg).

¹H NMR (DMSO-*d*₆) δ 9.42 (s, 1H), 9.08 (d, 1H), 7.97 (d, 1H), 3.16-3.07 (m, 1H), 1.32 (d, 6H).

MS *m/z*: (M+H)⁺, C₁₀H₁₁N₅O₂, 234.1.

Step G: Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][4-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-2-pyrimidinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidin-2-yl]methanone).

To a stirred solution of 4-(3-isopropyl-1,2,4-triazol-1-yl)pyrimidine-2-carboxylic acid (i.e., the product of Step F) (180 mg, 0.77 mmol) in 1,2-dichloroethane (5 mL) was added (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine (i.e., the product of Step C in Synthesis Example 2) (126 mg, 0.77 mmol), propylphosphonic anhydride (50 wt.% in ethyl acetate, 0.9 mL) and triethylamine (0.45 mL, 3.2 mmol). The mixture was stirred at 60 °C for 3 h. Cold water was added to the mixture. The mixture was extracted with dichloromethane. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel to afford the title compound, a compound of the present invention, as a white solid (200 mg).

¹H NMR (DMSO-*d*₆, 100 °C) δ 9.20 (m, 1H), 8.99-8.98 (m, 1H), 7.87-7.86 (m, 1H), 7.17 (m, 1H), 6.94-6.92 (m, 1H), 6.64 (m, 1H), 4.53-4.52 (m, 1H), 4.02-3.99 (m, 1H), 3.55-3.50 (m, 1H), 3.16-3.09 (m, 1H), 2.18 (m, 3H), 1.39-1.32 (m, 9H).

MS *m/z*: (M+H)⁺, C₂₀H₂₂N₆O₂, 379.2.

SYNTHESIS EXAMPLE 6

Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-3-pyridazinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazin-3-yl]methanone) (Compound 22).

Step A: Preparation of 3-chloro-5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine

To a stirred solution of 3-isopropyl-1*H*-1,2,4-triazole (1.0 g, 9.0 mmol) in *N,N*-dimethylformamide (15 mL) was added potassium carbonate (2.8 g, 20.3 mmol) followed by 3,5-dichloropyridazine (1.5 g, 10.1 mmol) portionwise at room temperature. The mixture was stirred at 50 °C for 2 h. Cold water was added to the mixture. The mixture was extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude

material was purified by column chromatography on silica gel to afford the title compound as a white solid (1.0 g).

^1H NMR (DMSO- d_6) δ 9.81 (m, 1H), 9.52 (s, 1H), 8.34-8.33 (m, 1H), 3.12-3.07 (m, 1H), 1.31 (d, 6H).

5 MS m/z : (M+H) $^+$, C₉H₁₀ClN₅, 224.1.

Step B: Preparation of ethyl 5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine-3-carboxylate

To a solution of 3-chloro-5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine (i.e., the product of Step A) (150 mg, 0.67 mmol) in ethanol (10 mL) was added sodium carbonate (71 mg, 0.67 mmol). The mixture was sparged with argon for 10 minutes. Palladium(II) acetate (15 mg, 0.07 mmol) and 1,1'-ferrocenediyl-bis(diphenylphosphine) (38 mg, 0.07 mmol) were added and the mixture was stirred under an atmosphere of carbon monoxide (50 psi) at 50 °C for 16 h in an autoclave. The mixture was cooled to room temperature, filtered through a pad of Celite[®] with dichloromethane. The filtrate was concentrated under reduced pressure. The resulting crude material was purified by column chromatography on silica gel to afford the title compound (85 mg).

^1H NMR (DMSO- d_6) δ 10.00 (m, 1H), 9.63 (s, 1H), 8.52-8.51 (m, 1H), 4.51-4.45 (m, 2H), 3.15-3.08 (m, 1H), 1.41-1.38 (m, 3H), 1.33-1.31 (m, 6H).

Step C: Preparation of 5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine-3-carboxylic acid

To a stirred solution of ethyl 5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine-3-carboxylate (i.e., the product of Step B) (450 mg, 1.7 mmol) in tetrahydrofuran (4.5 mL) and water (1.5 mL) was added lithium hydroxide monohydrate (160 mg, 3.8 mmol). The reaction mixture was stirred at room temperature for 3 h. The volatiles were evaporated and the mixture was diluted with water and washed with a 10% solution of ethyl acetate in hexanes. The aqueous phase was acidified with a 1 N aqueous hydrochloric acid solution and extracted with a solution of 20% methanol in dichloromethane. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was washed with pentane to afford the title compound as a pale brown solid (320 mg).

^1H NMR (DMSO- d_6) δ 9.97 (m, 1H), 9.62 (s, 1H), 8.52 (m, 1H), 3.14-3.07 (m, 1H), 1.32 (d, 6H).

MS m/z : (M-H) $^-$, C₁₀H₁₁N₅O₂, 232.1.

Step D: Preparation of [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-3-pyridazinyl]methanone (alternatively named [(2*S*)-2,8-dimethyl-2,3-dihydro-1,4-benzoxazin-4-yl]-[5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazin-3-yl]methanone).

To a stirred solution of 5-(3-isopropyl-1,2,4-triazol-1-yl)pyridazine-3-carboxylic acid (i.e., the product of Step C) (210 mg, 0.90 mmol) in 1,2-dichloroethane (4 mL) was added (2*S*)-2,8-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine (i.e., the product of Step C in Synthesis Example 2) (147 mg, 0.90 mmol), propylphosphonic anhydride (50 wt.% in ethyl acetate, 0.54 mL) and triethylamine (0.44 mL, 3.2 mmol) at 0 °C. The mixture was stirred at 60 °C for 3 h. Cold water was added to the mixture. The mixture was extracted with dichloromethane. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel to afford the title compound, a compound of the present invention, as a pale yellow solid (240 mg).

¹H NMR (DMSO-*d*₆, 100 °C) δ 9.84 (m, 1H), 9.45 (m, 1H), 8.33 (m, 1H), 7.25 (m, 1H), 6.95-6.93 (m, 1H), 6.68-6.64 (m, 1H), 4.56-4.53 (m, 1H), 4.13-4.09 (m, 1H), 3.58-3.53 (m, 1H), 3.17-3.10 (m, 1H), 2.19 (m, 3H), 1.40-1.34 (m, 9H).

MS *m/z*: (M+H)⁺, C₂₀H₂₂N₆O₂, 379.2.

SYNTHESIS EXAMPLE 7

Preparation of 2,3-dihydro-3-methyl-1-[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]benzoyl]-4(1*H*)-quinolinone (alternatively named 1-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoyl]-3-methyl-2,3-dihydroquinolin-4-one) (Compound 15).

Step A: Preparation of 3-anilino-2-methyl-propanoic acid

To a stirred solution of iodobenzene (4 g, 19.6 mmol) in *N,N*-dimethylformamide (40 mL) and water (4 mL) was added 3-amino-2-methyl-propanoic acid (2.01 g, 19.5 mmol), copper(I) iodide (0.745 g, 3.91 mmol) and potassium carbonate (6.76 g, 48.9 mmol). The reaction mixture was stirred at 110 °C for 4 h. The mixture was diluted with water (100 mL), acidified to pH 2, extracted with ethyl acetate (2x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel (eluting with a 50% solution of ethyl acetate in hexanes) to afford the title compound as a yellow oil (3.6 g).

¹H NMR (CDCl₃) δ 7.21-7.17 (m, 2H), 6.76-6.72 (m, 1H), 6.66-6.64 (m, 2H), 3.45-3.40 (m, 1H), 3.29-3.24 (m, 1H), 2.87-2.82 (m, 1H), 1.29-1.27 (m, 3H).

Step B: Preparation of 3-methyl-2,3-dihydro-1*H*-quinolin-4-one.

A solution of 3-anilino-2-methyl-propanoic acid (i.e., the product of Step A) (3.6 g, 20 mmol) in polyphosphoric acid (30 g) was stirred at 120 °C for 5 h. The mixture was cooled to room temperature. Ice-cold water was added (50 mL) to the mixture and the mixture was
5 extracted with diethyl ether (x2). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel (eluting with a solution of 30% ethyl acetate in hexanes) to afford the title compound as a pale yellow solid (1.8 g).

¹H NMR (CDCl₃) δ 7.87-7.85 (m, 1H), 7.31-7.26 (m, 1H), 6.75-6.72 (m, 1H), 6.66-6.65 (m,
10 1H), 3.57-3.54 (m, 1H), 3.31-3.26 (m, 1H), 2.72-2.67 (m, 1H), 1.24-1.22 (m, 3H).

MS *m/z*: (M+H)⁺, C₁₀H₁₁NO, 161.99.

Step C: Preparation of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoate

In a sealed tube, a solution of methyl 5-bromo-2-methyl-benzoate (10 g, 44 mmol) in *N,N*-dimethylformamide (100 mL) was sparged with nitrogen gas then potassium carbonate
15 (18.2 g, 132 mmol), 3-isopropyl-1*H*-1,2,4-triazole (7.30 g, 65.7 mmol), copper(I) iodide (1.67 g, 8.77 mmol) and trans-*N,N'*-dimethylcyclohexane-1,2-diamine (3.5 ml, 22 mmol) were added. The mixture was stirred at 120 °C for 16 h, diluted with water (200 mL), and extracted with ethyl acetate (2x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by
20 column chromatography on silica gel (eluting with a solution of 35% ethyl acetate in hexanes) to afford the title compound as an off-white semisolid (9.2 g).

MS *m/z*: (M+H)⁺, C₁₄H₁₇N₃O₂, 260.27.

Step D: Preparation of 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoic acid

To a stirred solution of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoate
25 (i.e., the product of Step C) (9.2 g, 35 mmol) in tetrahydrofuran (70 mL) and water (30 mL) was added lithium hydroxide monohydrate (2.23 g, 53 mmol). The reaction mixture was stirred at room temperature for 16 h. The mixture was concentrated under reduced, diluted with water (150 mL) and acidified to pH~2 with 1 N aqueous hydrochloric acid solution. The resulting precipitate was collected by filtration, washed with water (20 mL) and dried to afford
30 the title compound as an off-white solid (4.5 g).

MS *m/z*: (M+H)⁺, C₁₃H₁₅N₃O₂, 246.26.

Step E: Preparation of 2,3-dihydro-3-methyl-1-[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]benzoyl]-4(1*H*)-quinolinone (alternatively named 1-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoyl]-3-methyl-2,3-dihydroquinolin-4-one).

To a stirred solution of 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoic acid (i.e., the product of Step D) (2.8 g, 11.4 mmol) and 3-methyl-2,3-dihydro-1*H*-quinolin-4-one (i.e., the product of Step B) (2.06 g, 12.8 mmol) in pyridine (30 mL) at 0 °C was added phosphorus oxychloride (3.2 mL, 34 mmol). The mixture was stirred at room temperature for 5 h. The mixture was concentrated under reduced pressure, quenched with ice-cold water (50 mL) and extracted with ethyl acetate (2x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel (eluting with a solution of 40% ethyl acetate in hexanes) to afford the title compound, a compound of the present invention, as an off-white solid (2.5 g).

¹H NMR (DMSO-*d*₆, 90 °C) δ 8.95 (s, 1H), 7.93-7.91 (m, 1H), 7.79-7.77 (m, 2H), 7.50-7.41 (m, 3H), 7.27-7.23 (m, 1H), 4.30-4.25 (m, 1H), 3.73-3.67 (m, 1H), 3.07-2.94 (m, 2H), 2.30 (s, 3H), 1.29 (d, 6H), 1.12 (d, 3H).

MS *m/z*: (M+H)⁺, C₂₃H₂₄N₄O₂, 389.29.

SYNTHESIS EXAMPLE 8

Preparation of (3,4-dihydro-4-hydroxy-3-methyl-1(2*H*)-quinolinyl)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (4-hydroxy-3-methyl-3,4-dihydro-2*H*-quinolin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-phenyl]methanone) (Compound 11).

To a stirred solution of 2,3-dihydro-3-methyl-1-[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]benzoyl]-4(1*H*)-quinolinone (i.e., the product of Synthesis Example 7) (350 mg, 0.9 mmol) in methanol (4 mL) at 0 °C was added sodium borohydride (34 mg, 0.9 mmol). The reaction mixture was stirred at room temperature for 1 h, quenched with ice-cold water (20 mL), and extracted with ethyl acetate (2x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel (eluting with a solution of 60% ethyl acetate in hexanes) to afford the title compound, a compound of the present invention, (as a ~1.2:1 mixture of diastereomers) as an off-white solid (200 mg).

MS *m/z*: (M+H)⁺, C₂₃H₂₆N₄O₂, 391.38.

SYNTHESIS EXAMPLE 9

Preparation of [4-(acetyloxy)-3,4-dihydro-3-methyl-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named [1-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoyl]-3-methyl-3,4-dihydro-2*H*-quinolin-4-yl] acetate) (Compound 14).

To a stirred solution of (3,4-dihydro-4-hydroxy-3-methyl-1(2*H*)-quinolinyl)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (i.e., the product of Synthesis Example 8) (300 mg, 0.77 mmol) in *N,N*-dimethylformamide (4 mL) at 0 °C was added sodium hydride (60% dispersion in mineral oil, 33 mg, 0.83 mmol) and acetyl chloride (120 mg, 1.53 mmol). The mixture was stirred at room temperature for 2 h. The reaction was quenched with ice-cold water (10 mL), and extracted with ethyl acetate (2x). The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under reduced pressure. The resultant crude material was purified by column chromatography on silica gel (eluting with a solution of 60% ethyl acetate in hexanes) to afford the title compound, a compound of the present invention, (as a mixture of diastereomers) as a colorless semisolid (110 mg).

MS *m/z*: (M+H)⁺, C₂₅H₂₈N₄O₃, 433.33.

SYNTHESIS EXAMPLE 10

Preparation of (5-chloro-3,4-dihydro-3-methyl-1(2*H*)-quinoxaliny)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (5-chloro-3-methyl-3,4-dihydro-2*H*-quinoxalin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-phenyl]methanone (Compound 16).

Step A: Preparation of 5-chloro-3-methyl-3,4-dihydro-1*H*-quinoxalin-2-one

In a 15 mL sealed tube under an atmosphere of argon, 2-bromo-3-chloro-aniline (200 mg, 0.97 mmol) was dissolved in anhydrous dimethyl sulfoxide (4 mL). 2-aminopropanoic acid (174 mg, 1.95 mmol) was added followed by addition of tripotassium phosphate (413 mg, 1.95 mmol), *N,N'*-dimethylethylenediamine (17.1 mg, 0.194 mmol) and copper(I) chloride (0.96 mg, 0.0097 mmol). The reaction vessel was sealed and heated at 110 °C for 24 hours. The mixture was cooled to room temperature, quenched with ice cold water, and extracted with ethyl acetate. The combined organic extracts were washed with water and brine, dried over anhydrous sodium sulfate, concentrated under reduced pressure and purified by normal phase MPLC (eluting with gradient of 0 to 30% solution of ethyl acetate in hexanes) to afford the title compound (100 mg).

¹H NMR (DMSO-*d*₆) δ 10.41 (br s, 1H), 6.91-6.89 (m, 1H), 6.71-6.69 (m, 1H), 6.63-6.59 (m, 1H), 5.76 (br s, 1H), 3.92-3.89 (m, 1H), 1.27 (d, 3H).

Step B: Preparation of 8-chloro-2-methyl-1,2,3,4-tetrahydroquinoxaline

To a stirred solution of 5-chloro-3-methyl-3,4-dihydro-1*H*-quinoxalin-2-one (i.e., the product of Step A) (870 mg, 4.4 mmol) in tetrahydrofuran (10 mL) at 0 °C was added lithium aluminum hydride (2M in tetrahydrofuran, 5 equiv.). After the addition was complete, the temperature of the reaction was slowly raised to room temperature and stirring was continued for 16 h. The mixture was cooled to 0 °C, quenched with ice cold water and the resulting mixture was passed through a pad of Celite[®]. The filtrate was extracted with ethyl acetate and the combined organic extracts were washed with water and brine, dried over anhydrous sodium sulfate, concentrated under reduced pressure and the residue was purified by normal phase MPLC (eluting with a gradient of 0 to 30% ethyl acetate in hexanes) to afford the title compound (450 mg).

¹H NMR (DMSO-*d*₆) δ 6.47-6.44 (m, 1H), 6.38-6.33 (m, 2H), 5.69 (s, 1H), 4.88 (s, 1H), 3.39-3.34 (m, 1H), 3.23-3.19 (m, 1H), 2.84-2.79 (m, 1H), 1.14 (d, 3H).

Step C: Preparation of (5-chloro-3,4-dihydro-3-methyl-1(2*H*)-quinoxaliny)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (5-chloro-3-methyl-3,4-dihydro-2*H*-quinoxalin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-phenyl]methanone.

To a stirred solution of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoate (i.e., the product of Step C in Synthesis Example 7) (400 mg, 1.5 mmol) and 8-chloro-2-methyl-1,2,3,4-tetrahydroquinoxaline (i.e., the product of Step B) (417 mg, 2.3 mmol) in toluene (4 mL) was added triethylamine (0.43 mL, 3.1 mmol) and trimethylaluminum (3.1 mmol). The mixture was stirred at 100 °C for 16 h, cooled to 0 °C, quenched with saturated aqueous sodium bicarbonate solution, and extracted with ethyl acetate. The combined organic extracts were washed with water and brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by normal phase MPLC (eluting with a gradient of 0 to 30% ethyl acetate in hexanes) to afford the title compound (250 mg), a compound of the present invention.

¹H NMR (acetone-*d*₆) δ 8.86 (br s, 1H), 7.78 (m, 2H), 7.37 (br s, 1H), 7.01 (br s, 1H), 6.30 (br s, 2H), 5.39 (br s, 1H), 3.83 (br s, 1H), 3.27 (br s, 1H), 3.10-3.03 (m, 1H), 2.26 (br s, 3H), 1.33-1.28 (m, 9H). Note: most signals were broadened due to presence of rotameric forms of the amide.

MS *m/z*: (M+H)⁺, C₂₂H₂₄ClN₅O, 410.24.

SYNTHESIS EXAMPLE 11

Preparation of (5-chloro-3,4-dihydro-3,4-dimethyl-1(2*H*)-quinoxaliny)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (alternatively named (5-chloro-3,4-dimethyl-2,3-dihydroquinoxalin-1-yl)-[5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-phenyl]methanone) (Compound 19).

To a stirred solution of (5-chloro-3,4-dihydro-3-methyl-1(2*H*)-quinoxaliny)[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (i.e., the product of Synthesis Example 10) (150 mg, 0.37 mmol) and iodomethane (0.07 mL, 1.1 mmol) in tetrahydrofuran (5 mL) at 0 °C was added sodium hydride (60% dispersion in mineral oil, 22 mg, 0.55 mmol). The resulting mixture was allowed to warm to room temperature. After stirring for 16 h, the mixture was cooled in an ice-water bath, quenched with saturated aqueous ammonium chloride solution, and extracted with ethyl acetate. The combined organic extracts were washed with brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by normal phase MPLC (eluting with ethyl acetate in hexanes) to afford the title compound (30 mg), a compound of the present invention.

¹H NMR (DMSO-*d*₆, 100 °C) δ 8.98 (s, 1H), 7.76-7.72 (m, 2H), 7.38 (d, 1H), 7.17 (s, 1H), 7.11 (d, 1H), 6.70 (t, 1H), 4.18 (br d, 1H), 3.46-3.40 (m, 1H), 3.22-3.17 (m, 1H), 3.06 (septet, 1H), 3.00 (s, 3H), 2.26 (s, 3H), 1.31 (d, 6H), 1.11 (d, 3H).

SYNTHESIS EXAMPLE 12

Preparation of [(3*S*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinoliny][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone and [(3*R*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinoliny][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone.

Step A: Preparation of (2-amino-6-methyl-phenyl)methanol.

To a stirred mixture of 2-amino-6-methylbenzoic acid (10 g, 66 mmol) in tetrahydrofuran (200 mL) at 0 °C lithium aluminum hydride (1M in tetrahydrofuran, 99 mL, 99 mmol) was added over 30 minutes. The reaction mixture was stirred for 30 minutes, warmed to room temperature and then stirred for 16 h. The reaction was quenched using the Fieser method, filtered through a pad of Celite® with tetrahydrofuran. The filtrate was concentrated under reduced pressure and purified by trituration using *n*-pentane and diethyl ether to afford the title compound (8 g).

¹H NMR (DMSO-*d*₆) δ 6.85-6.81 (m, 1H), 6.50-6.48 (m, 1H), 6.37-6.35 (m, 1H), 4.88 (br s, 2H), 4.72-4.70 (m, 1H), 4.42-4.41 (m, 2H), 2.22 (s, 3H).

Step B: Preparation of 2-amino-6-methyl-benzaldehyde.

To a stirred solution of (2-amino-6-methyl-phenyl)methanol (i.e., the product of Step A) (8 g, 58 mmol) in dichloromethane (200 mL) at room temperature was added activated manganese dioxide (25.3 g, 291 mmol) and heated at 40 °C for 5 h. The reaction mixture was then filtered through a pad of Celite® with dichloromethane. The filtrate was concentrated under reduced pressure and purified by column chromatography to afford the title compound (6 g).

¹H NMR (DMSO-*d*₆) δ 10.23 (s, 1H), 7.36 (br s, 2H), 7.16-7.12 (m, 1H), 6.60-6.58 (m, 1H), 6.35-6.33 (m, 1H), 2.49 (s, 3H).

Step C: Preparation of 3,3,3-trifluoro-*N*-(2-formyl-3-methylphenyl)propanamide.

To a stirred solution of 2-amino-6-methyl-benzaldehyde (i.e., the product of Step B) (4 g, 29.6 mmol) in ethyl acetate (50 mL) was added 3,3,3-trifluoropropionic acid (5.68 g, 44.4 mmol) and *N,N'*-dicyclohexylcarbodiimide (7.32 g, 35.5 mmol). The reaction mixture was heated at the reflux temperature of the reaction mixture for 16 h, diluted with hot water and extracted with ethyl acetate. The combined organic extract was dried over anhydrous sodium sulfate, filtered, concentrated under reduced pressure, and purified by column chromatography to afford the title compound as an off-white solid (4 g).

¹H NMR (DMSO-*d*₆) δ 11.11 (br s, 1H), 10.24 (s, 1H), 7.85-7.82 (m, 1H), 7.56-7.53 (m, 1H), 7.15-7.13 (m, 1H), 3.73-3.64 (m, 2H), 2.60 (s, 3H).

Step D: Preparation of 5-methyl-3-(trifluoromethyl)-1*H*-quinolin-2-one.

To a stirred solution of 3,3,3-trifluoro-*N*-(2-formyl-3-methylphenyl)propanamide (i.e., the product of Step C) (4 g, 16 mmol) in *N,N*-dimethylformamide (30 mL) was added potassium carbonate (4.51 g, 32.6 mmol). The reaction mixture was stirred at room temperature for 3 h. Cold water was added to the reaction mixture and extracted with ethyl acetate. The combined organic extract was dried over anhydrous sodium sulfate, filtered, concentrated under reduced pressure, and purified by trituration with diethyl ether to afford the title compound as an off-white solid (3 g).

¹H NMR (DMSO-*d*₆) δ 12.31 (br s, 1H), 8.43 (s, 1H), 7.55-7.51 (m, 1H), 7.23-7.21 (m, 1H), 7.12-7.10 (m, 1H), 2.58 (s, 3H).

Step E: Preparation of 5-methyl-3-(trifluoromethyl)-1,2,3,4-tetrahydroquinoline.

To 5-methyl-3-(trifluoromethyl)-1*H*-quinolin-2-one (i.e., the product of Step D) (1 g, 4.4 mmol) in tetrahydrofuran (20 mL) at 0 °C was added borane dimethyl sulfide complex (3.3 mL, 35 mmol). The reaction mixture was stirred at room temperature for 16 h. The reaction mixture was cooled with an ice bath, quenched with methanol, concentrated under reduced pressure, and extracted with ethyl acetate. The organic phase was washed with a saturated aqueous solution of sodium chloride, dried over anhydrous sodium sulfate, filtered,

concentrated under reduced pressure, and purified by column chromatography to afford the title compound (300 mg).

¹H NMR (CDCl₃) δ 6.95-6.91 (m, 1H), 6.58-6.56 (m, 1H), 6.43-6.41 (m, 1H), 3.85 (br s, 1H), 3.51-3.49 (m, 1H), 3.20-3.14 (m, 1H), 2.91-2.88 (m, 1H), 2.75-2.62 (m, 2H), 2.20 (s, 3H).

- 5 Step F: Preparation of [(3*S*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]-phenyl]methanone and [(3*R*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone.

- 10 To a stirred solution of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxy-benzoate (0.92 g, 3.34 mmol) (i.e., the product of Step D in Synthesis Example 1) and 5-methyl-3-(trifluoromethyl)-1,2,3,4-tetrahydroquinoline (i.e., the product of Step E in Synthesis Example 12) (0.60 g, 2.79 mmol) in toluene (2 mL) at 0 °C was added trimethylaluminum (2M solution in toluene, 7 mL, 14 mmol) and triethylamine (3.9 mL, 28 mmol). The reaction mixture was
15 heated at 70 °C for 8 h; quenched with an ice-cold, saturated, aqueous solution of ammonium chloride, and extracted with ethyl acetate. The organic phase was washed with a saturated aqueous solution of sodium chloride, dried over anhydrous sodium sulfate, filtered, concentrated under reduced pressure and purified by column chromatography to afford [3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone (530 mg), a compound of the present invention.

- 20 ¹H NMR (DMSO-*d*₆, 100 °C) δ 8.91 (s, 1H), 7.79-7.76 (m, 2H), 7.06-7.03 (m, 1H), 6.95 (m, 1H), 6.86 (m, 2H), 4.24 (m, 1H), 3.63-3.54 (m, 4H), 3.21-3.11 (m, 2H), 2.78-2.72 (m, 1H), 2.29 (s, 3H), 1.33-1.31 (m, 6H).

- 25 The enantiomers of [3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone were separated by preparative high performance liquid chromatography (HPLC) to yield Compound 40, a compound of the present invention, with an optical rotation of $[\alpha]_{\text{D}}^{25} -91.5^\circ$ (concentration = 0.513 in methanol); and Compound 41, also a compound of the present
30 invention, with an optical rotation of $[\alpha]_{\text{D}}^{25} +87.8^\circ$ (concentration = 0.515 in methanol).

SYNTHESIS EXAMPLE 13

Preparation of [(3*S*)-5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyll][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone and [(3*R*)-5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyll][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone.

Step A: Preparation of (2-amino-6-fluorophenyl)methanol.

To a stirred solution of 2-amino-6-fluorobenzoic acid (10 g, 64 mmol) in anhydrous tetrahydrofuran (200 mL) at 0 °C was slowly added lithium aluminum hydride (2M solution in tetrahydrofuran, 39 mL, 78 mmol) and stirred at room temperature for 16 h. The reaction mixture was quenched using the Fieser method, filtered through a pad of Celite® with tetrahydrofuran. The filtrate was then concentrated and purified by silica gel column chromatography (eluting with a 50% solution of ethyl acetate in hexanes) to afford the title compound as an off-white solid (8 g).

¹H NMR (DMSO-*d*₆) δ 6.98-6.92 (m, 1H), 6.46-6.44 (m, 1H), 6.30-6.26 (m, 1H), 5.29 (br s, 2H), 4.96-4.94 (m, 1H), 4.45-4.44 (m, 2H).

Step B: Preparation of 2-amino-6-fluorobenzaldehyde.

To a stirred solution of (2-amino-6-fluorophenyl)methanol (i.e., the product of Step A) (8.2 g, 58 mmol) in dichloromethane (200 mL) at room temperature was added manganese dioxide (25.3 g, 291 mmol). After addition was complete, the temperature of the reaction mixture was slowly raised to the reflux temperature of the reaction mixture and maintained for 5 h. The reaction mixture was filtered through a pad of Celite® with dichloromethane. The filtrate was concentrated and purified by silica gel column chromatography (eluting with a 10% solution of ethyl acetate in hexanes) to afford the title compound as a yellow solid (6 g).

¹H NMR (DMSO-*d*₆) δ 10.15 (s, 1H), 7.51 (br s, 2H), 7.31-7.25 (m, 1H), 6.58-6.56 (m, 1H), 6.33-6.28 (m, 1H).

Step C: Preparation of methyl 5-fluoroquinoline-3-carboxylate.

To a stirred solution of 2-amino-6-fluorobenzaldehyde (i.e., the product of Step B) (2 g, 14 mmol) in toluene (30 mL) was added methyl 3,3-dimethoxypropanoate (5.33 g, 36 mmol) and *p*-toluenesulfonic acid (248 mg). A Dean-Stark apparatus and condenser were attached to the reaction container, and the reaction mixture was heated at the reflux temperature of the mixture for 7 h. The reaction mixture was then cooled and concentrated under reduced pressure. To the resultant material was added a saturated aqueous solution of sodium bicarbonate, and extracted with ethyl acetate. The organic extract was dried over anhydrous sodium sulfate, filtered, concentrated, and purified by silica gel column chromatography (eluting with a 7-8% solution of ethyl acetate in hexanes) to afford the title compound as an orange solid (600 mg).

¹H NMR (CDCl₃) δ 9.49 (s, 1H), 9.15 (s, 1H), 8.03-8.01 (m, 1H), 7.82-7.77 (m, 1H), 7.33-7.29 (m, 1H), 4.03 (s, 3H).

Step D: Preparation of (5-fluoroquinolin-3-yl)methanol.

To a stirred solution of methyl 5-fluoroquinoline-3-carboxylate (i.e., the product of Step C) (1 g, 4.9 mmol) in dry tetrahydrofuran (25 mL) at -78 °C was slowly added lithium tri-tert-butoxyaluminum hydride (1M solution in tetrahydrofuran, 11 mL, 11 mmol). The reaction mixture was stirred at -78 °C for 2 h. The temperature of the reaction mixture was slowly raised to 0 °C, stirred for 1 h, quenched with an ice-cold, solution of saturated aqueous ammonium chloride, and extracted with ethyl acetate. The organic extract was dried over anhydrous sodium sulfate, filtered, concentrated, and purified by silica gel column chromatography (eluting with a 50 to 80% gradient solution of ethyl acetate in hexanes) to afford the title compound as a white solid (700 mg).

¹H NMR (DMSO-*d*₆) δ 8.94 (s, 1H), 8.36 (s, 1H), 7.89-7.87 (m, 1H), 7.75-7.69 (m, 1H), 7.47-7.42 (m, 1H), 5.56-5.53 (m, 1H), 4.77-4.76 (m, 2H).

Step E: Preparation of 5-fluoro-3-(methoxymethyl)quinoline.

To a stirred solution of (5-fluoroquinolin-3-yl)methanol (i.e., the product of Step D) (650 mg, 3.67 mmol) in tetrahydrofuran (15 mL) at 0 °C was added sodium hydride (60% dispersion in mineral oil, 176 mg, 4.4 mmol), stirred cold for 10 minutes, warmed to room temperature and stirred for 15 minutes. The reaction mixture was cooled to 0 °C and iodomethane (0.27 mL, 4.3 mmol) was added. The progress of the reaction was monitored for completion by thin-layer chromatography (TLC) and LCMS. After completion, the reaction was quenched with cold water and extracted with ethyl acetate. The combined organic extract was washed with a saturated aqueous solution of sodium chloride, dried over anhydrous sodium sulfate, filtered, concentrated under reduced pressure, and purified by silica gel column chromatography (eluting with a 10% solution of ethyl acetate in hexanes) to afford the title compound as a colorless liquid (510 mg).

¹H NMR (CDCl₃) δ 8.92 (s, 1H), 8.39 (s, 1H), 7.93-7.91 (m, 1H), 7.66-7.60 (m, 1H), 7.22-7.20 (m, 1H), 4.67 (s, 2H), 3.47 (s, 3H).

Step F: Preparation of 5-fluoro-1,2,3,4-tetrahydro-3-(methoxymethyl)quinoline.

To a stirred solution of 5-fluoro-3-(methoxymethyl)quinoline (i.e., the product of Step E) (500 mg, 2.62 mmol) in a mixture of ethanol and water (3:1, 32 mL) was added ammonium formate (2.47 g, 39 mmol) and palladium (10% on carbon, 100 mg). The reaction mixture was heated at 80 °C in a sealed round bottom flask for 10 h. The mixture was filtered through a pad of Celite® with ethyl acetate. The filtrate was concentrated and the crude material was purified by silica gel column chromatography to afford the title compound as a colorless liquid (400 mg).

LCMS: m/z: 196.17 [M+H]⁺

Step G: Preparation of [(3*S*)-5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone and [(3*R*)-5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone.

To a stirred suspension of methyl 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methoxybenzoate (i.e., the product of Step D in Synthesis Example 1) (677 mg, 2.46 mmol) and 5-fluoro-1,2,3,4-tetrahydro-3-(methoxymethyl)quinoline (i.e., the product of Step F in Example 13) (400 mg, 2.05 mmol) in toluene (2 mL) was added triethylamine (2.3 mL, 16.5 mmol) followed by trimethylaluminum (2M in toluene, 4.1 mL, 8.2 mmol) at 0 °C. The reaction mixture was heated at 80 °C for 6 h, quenched with a cold saturated aqueous solution of ammonium chloride, and extracted with ethyl acetate. The organic extract was washed with a saturated aqueous solution of sodium chloride, dried over anhydrous sodium sulfate, filtered, concentrated, and purified by silica gel column chromatography to afford [5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone.

¹H NMR (DMSO-*d*₆, 100 °C) δ 8.93 (s, 1H), 7.80-7.79 (m, 2H), 7.11-6.95 (m, 3H), 6.89-6.85 (m, 1H), 4.03 (m, 1H), 3.63 (s, 3H), 3.44-3.32 (m, 3H), 3.27 (s, 3H), 3.10-3.03 (m, 1H), 2.93-2.91 (m, 1H), 2.45 (m, 1H), 2.43-2.33 (m, 1H), 1.32 (d, 6H).

The enantiomers of [5-fluoro-3,4-dihydro-3-(methoxymethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone were separated by chiral supercritical fluid chromatography (eluting with a 20:40:40:0.1 solution of hexane:dichloromethane:ethanol:isopropylamine on a 5 μm, 4.6 x 250 mm Chiralpak IG column to yield Compound 29 (99 mg), a compound of the present invention, with an optical rotation of [α]_D²⁵ +74.6° (concentration = 0.516 in methanol); and Compound 30 (140 mg), also a compound of the present invention, with an optical rotation of [α]_D²⁵ -68.5° (concentration = 0.518 in methanol).

SYNTHESIS EXAMPLE 14

Preparation of 8-fluoro-3,4-dihydro-4-[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]benzoyl]-2(1*H*)-quinoxalinone (Compound 47).

Step A: Preparation of 8-fluoro-3,4-dihydro-2(1*H*)-quinoxalinone.

To a solution of 2-bromo-6-fluoro-aniline (1.0 g, 5.3 mmol) in dimethyl sulfoxide (10 mL) was added tripotassium phosphate (2.24 g, 10.6 mmol) and degassed with argon for 15 minutes. To the degassed mixture was added copper(I) chloride (26 mg, 0.26 mmol) and glycine (0.79 g, 10.5 mmol). The mixture was stirred at 120 °C for 6 h, diluted with water

(100 mL) and extracted with ethyl acetate (2 x 100 mL). The combined organic extract was washed with a saturated aqueous solution of ammonium chloride (50 mL), dried over anhydrous sodium sulfate, filtered, concentrated under reduced pressure, and purified by silica gel column chromatography (eluting with 40 to 50% ethyl acetate in hexanes) to afford the title compound as an off-white solid (600 mg).

¹H NMR (DMSO-*d*₆) δ 10.24 (br s, 1H), 6.77-6.71 (m, 1H), 6.50-6.45 (m, 2H), 6.24 (br s, 1H), 3.73 (d, 2H).

Step B: Preparation of 8-fluoro-3,4-dihydro-4-[2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]benzoyl]-2(1*H*)-quinoxalinone (Compound 47).

To a solution of 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoic acid (i.e., the product of Step D in Synthesis Example 7) (600 mg, 2.45 mmol) in chloroform (10 mL) at 0 °C was added thionyl chloride (0.9 mL, 12 mmol) followed by *N,N*-dimethylformamide (0.1 mL). The reaction mixture was stirred at 60 °C for 3 h. The reaction mixture was concentrated under reduced pressure to remove to provide an acid chloride intermediate (i.e., 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoyl chloride), which was used without further purification.

To a stirred solution of 8-fluoro-3,4-dihydro-2(1*H*)-quinoxalinone (i.e., the product of Step A of Synthesis Example 14) (400 mg, 2.41 mmol) in dichloromethane (6 mL) at 0 °C was added triethylamine (0.4 mL, 2.9 mmol) followed by a solution of the acid chloride intermediate (i.e., 5-(3-isopropyl-1,2,4-triazol-1-yl)-2-methyl-benzoyl chloride) in dichloromethane (6 mL). The reaction mixture was stirred at 25 °C for 16 h, diluted with water (100 mL) and extracted with ethyl acetate (2 x 100 mL). The combined organic extract was washed with a saturated aqueous solution of sodium chloride (50 mL), dried over sodium sulfate, filtered, concentrated, and purified by silica gel column chromatography (eluting with 40 to 50% ethyl acetate in hexanes). The resultant material was further purified by preparative high-performance liquid chromatography, to afford the title compound, a compound of the present invention, as an off-white solid (110 mg).

¹H NMR (DMSO-*d*₆, 90 °C) δ 10.58 (br s, 1H), 8.97 (s, 1H), 7.77-7.75 (m, 2H), 7.37-7.35 (m, 1H), 7.04-6.99 (m, 1H), 6.84-6.81 (m, 2H), 4.38 (br s, 2H), 3.02 (m, 1H), 2.15 (s, 3H), 1.30 (d, 6H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 817 can be prepared. The following abbreviations are used in the Tables which follow: *t* means tertiary, *s* means secondary, *n* means normal, *i* means iso, *c* means cyclo, Me means methyl, Et means ethyl, Pr means propyl, Bu means butyl, *i*-Pr means isopropyl, *c*-Pr means cyclopropyl, *t*-Bu means tertiary butyl, Ph means phenyl, OMe means methoxy, OEt means ethoxy, SMe means methylthio, -CN means

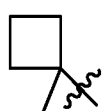
cyano, -NO₂ means nitro, TMS means trimethylsilyl, S_{OMe} means methylsulfinyl, C₂F₅ means CF₂CF₃ and SO₂Me means methylsulfonyl.

5 In Tables 1 through 817, J-1 through J-25 have the following meanings as defined below in Exhibit 3. As illustrated below for J-1 to J-17, the bond projecting to the lower right is connected at the position designated in the tables (e.g., R^{1a} and R^{3a}). As illustrated below for J-18 to J-25, the bond projecting to the left represents the bond in Formula 1 connecting the aromatic ring containing X¹-X⁴ to group “A” and the bond projecting to the right represents the bond of Formula 1 connecting the aromatic ring containing X¹-X⁴ to the (C=Y) moiety.

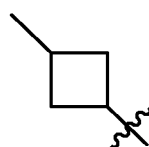
Exhibit 3



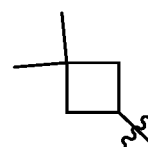
J-1



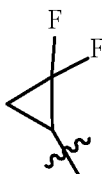
J-2



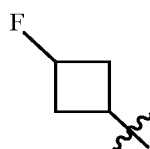
J-3



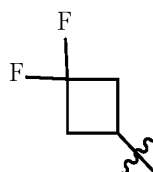
J-4



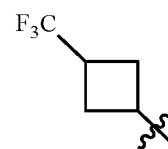
J-5



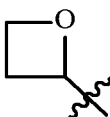
J-6



J-7



J-8



J-9



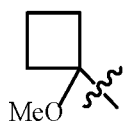
J-10



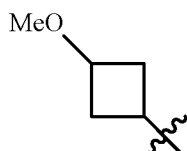
J-11



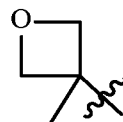
J-12



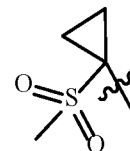
J-13



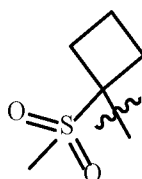
J-14



J-15



J-16



J-17

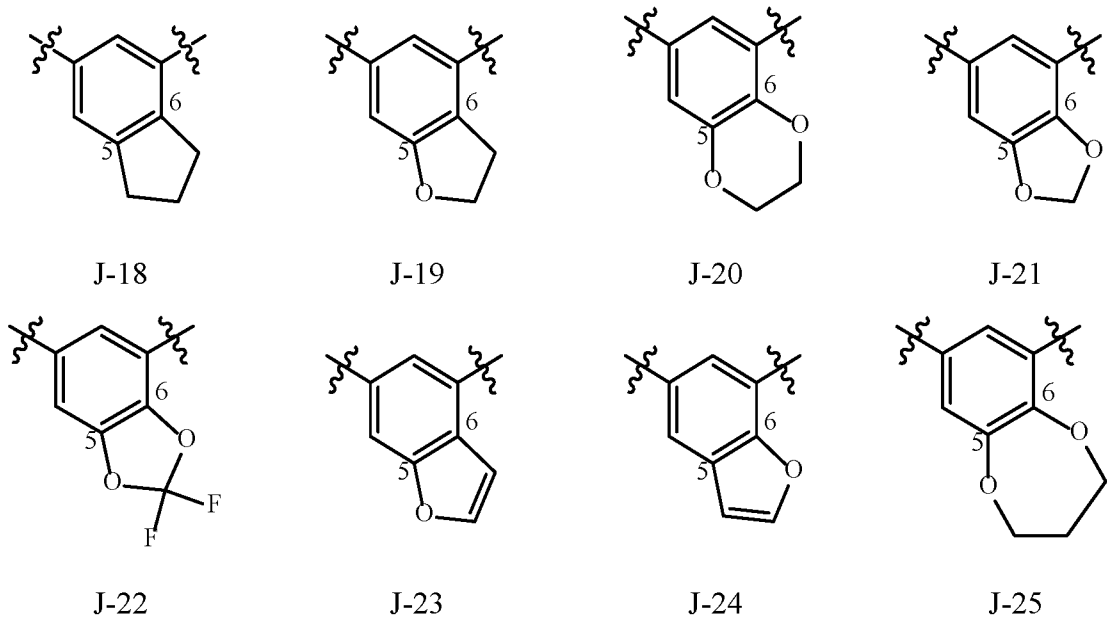
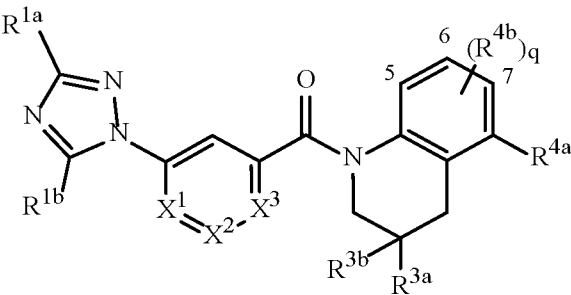


TABLE 1



R^{1b} is H, X¹ is CH, X² is CH, X³ is C-OMe, R^{3b} is H, R^{3a} is Me, R^{4a} is Cl and (R^{4b})_q is H.

R ^{1a}	R ^{1a}	R ^{1a}
H	CH(Me)CHF ₂	C(Me)=CF ₂
Me	CH(Me)CF ₃	CH ₂ OMe
Et	C(Me) ₂ CH ₂ F	CH(Me)OMe
<i>n</i> -Pr	C(Me) ₂ CHF ₂	C(Me) ₂ OMe
<i>i</i> -Pr	C(Me) ₂ CF ₃	CH ₂ CH ₂ OMe
<i>t</i> -Bu	CClMe ₂	C(Me) ₂ CH ₂ OMe
CH=CH ₂	CH(Me)OH	CH ₂ OCHF ₂
C(Me)=CH ₂	C(Me) ₂ OH	CH ₂ OCF ₃
CH(Me)C≡CH	J-5	CH ₂ CH ₂ OCHF ₂
C(Me) ₂ C≡CH	J-6	CH ₂ CH ₂ OCF ₃
<i>c</i> -Pr	J-7	CH ₂ SO ₂ Me
<i>c</i> -Bu	J-8	CH(Me)SO ₂ Me
J-1	J-9	C(Me) ₂ SO ₂ Me

R^{1a}	R^{1a}	R^{1a}
J-2	J-10	CH ₂ CH ₂ SO ₂ Me
J-3	J-11	CH ₂ SCF ₃
J-4	J-12	CH(Me)SCF ₃
CH ₂ F	J-13	C(Me) ₂ SCF ₃
CHF ₂	J-14	CH ₂ SO ₂ CF ₃
CH ₂ CH ₂ F	CF ₂ OMe	CH(Me)SO ₂ CF ₃
CH ₂ CHF ₂	CF ₂ CH ₂ OMe	C(Me) ₂ SO ₂ CF ₃
CH ₂ CF ₃	CH ₂ CF ₂ OMe	J-16
CF ₂ Me	CF(Me)OMe	J-17
CFMe ₂	J-15	C(Me) ₂ CN
CH(Me)CH ₂ F	CH=CF ₂	TMS

Table 2 is constructed in the same manner as Table 1 above except that the Row Heading “R^{1b} is H, X¹ is CH, X² is CH, X³ is C-OMe, R^{3b} is H, R^{3a} is Me, R^{4a} is Cl and (R^{4b})_q is H.” is replaced with the Row Heading listed for Table 2 below (i.e., “R^{1b} is H, X¹ is CH, X² is CH, X³ is CH, R^{3b} is H, R^{3a} is Me, R^{4a} is Cl and (R^{4b})_q is H.”). Therefore the first entry in Table 2 is a compound of Formula 1 wherein R^{1b} is H, X¹ is CH, X² is CH, X³ is CH, R^{3b} is H, R^{3a} is Me, R^{4a} is Cl, (R^{4b})_q is H and R^{1a} is H. Tables 3 through 104 are constructed similarly, except that the row heading in Table 1 is replaced with the respective row headings shown below.

Table	Row Heading
2	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
3	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-F, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
4	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Cl, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
5	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
6	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Et, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
7	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH=CH ₂ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
8	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ C≡CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
9	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OCH ₂ C≡CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
10	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C- <i>c</i> -Pr, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.

Table	Row Heading
11	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ - <i>c</i> -Pr, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
12	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ F, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
13	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CHF ₂ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
14	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ CH ₂ F, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
15	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ CHF ₂ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
16	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ CF ₃ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
17	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CF ₂ Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
18	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-CH ₂ OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
19	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OEt, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
20	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OCHF ₂ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
21	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OCF ₃ , R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
22	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-SMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
23	R ^{1b} is H, X ¹ is CH, X ² is C-F, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
24	R ^{1b} is H, X ¹ is CH, X ² is C-Me, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
25	R ^{1b} is H, X ¹ is CH, X ² is C- <i>c</i> -Pr, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
26	R ^{1b} is H, X ¹ is CH, X ² is C-OMe, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
27	R ^{1b} is H, X ¹ is CH, X ² is C-OEt, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
28	R ^{1b} is H, X ¹ is CH, X ² is C-SMe, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.

Table	Row Heading
29	R ^{1b} is H, X ¹ is CH, X ² is C-F, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
30	R ^{1b} is H, X ¹ is CH, X ² is C-F, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
31	R ^{1b} is H, X ¹ is CH, X ² is C-Me, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
32	R ^{1b} is H, X ¹ is CH, X ² is C-Me, X ³ is C-F, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
33	R ^{1b} is H, X ¹ is CH, X ² is C-Me, X ³ is C-Cl, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
34	R ^{1b} is H, X ¹ is N, X ² is N, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
35	R ^{1b} is H, X ¹ is N, X ² is N, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
36	R ^{1b} is H, X ¹ is N, X ² is N, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
37	R ^{1b} is H, X ¹ is CH, X ² is N, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
38	R ^{1b} is H, X ¹ is CH, X ² is N, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
39	R ^{1b} is H, X ¹ is CH, X ² is N, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
40	R ^{1b} is H, X ¹ is N, X ² is CH, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
41	R ^{1b} is H, X ¹ is N, X ² is C-F, X ³ is CH, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
42	R ^{1b} is H, X ¹ is N, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
43	R ^{1b} is H, X ¹ is N, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
44	R ^{1b} is H, X ¹ is N, X ² is C-F, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
45	R ^{1b} is H, X ¹ is N, X ² is C-F, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
46	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-18, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
47	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-19, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
48	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-20, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
49	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-21, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
50	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-22, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.

Table	Row Heading
51	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-23, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
52	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-24, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
53	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-25, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
54	R ^{1b} is F, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
55	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH=CH ₂ , R ^{4a} is Cl and (R ^{4b}) _q is H.
56	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is C≡CH, R ^{4a} is Cl and (R ^{4b}) _q is H.
57	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is <i>c</i> -Pr, R ^{4a} is Cl and (R ^{4b}) _q is H.
58	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is <i>c</i> -Bu, R ^{4a} is Cl and (R ^{4b}) _q is H.
59	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is OMe, R ^{4a} is Cl and (R ^{4b}) _q is H.
60	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is OCF ₃ , R ^{4a} is Cl and (R ^{4b}) _q is H.
61	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ F, R ^{4a} is Cl and (R ^{4b}) _q is H.
62	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CHF ₂ , R ^{4a} is Cl and (R ^{4b}) _q is H.
63	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CF ₃ , R ^{4a} is Cl and (R ^{4b}) _q is H.
64	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is J-10, R ^{4a} is Cl and (R ^{4b}) _q is H.
65	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OMe, R ^{4a} is Cl and (R ^{4b}) _q is H.
66	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OEt, R ^{4a} is Cl and (R ^{4b}) _q is H.
67	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OCH ₂ F, R ^{4a} is Cl and (R ^{4b}) _q is H.

Table	Row Heading
68	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OCHF ₂ , R ^{4a} is Cl and (R ^{4b}) _q is H.
69	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OCF ₃ , R ^{4a} is Cl and (R ^{4b}) _q is H.
70	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ SMe, R ^{4a} is Cl and (R ^{4b}) _q is H.
71	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ SO ₂ Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
72	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CN, R ^{4a} is Cl and (R ^{4b}) _q is H.
73	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is F, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is H.
74	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is H and (R ^{4b}) _q is H.
75	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
76	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Br and (R ^{4b}) _q is H.
77	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is CN and (R ^{4b}) _q is H.
78	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
79	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is CH ₂ F and (R ^{4b}) _q is H.
80	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is CHF ₂ and (R ^{4b}) _q is H.
81	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is CF ₃ and (R ^{4b}) _q is H.
82	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is CH ₂ OMe and (R ^{4b}) _q is H.
83	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is 5-F.
84	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is 5-F.

Table	Row Heading
85	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Cl and (R ^{4b}) _q is 6-F.
86	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is 6-F.
87	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
88	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
89	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Et, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
90	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Et, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
91	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-19, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
92	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-19, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
93	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-20, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
94	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-20, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
95	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-21, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is Me and (R ^{4b}) _q is H.
96	R ^{1b} is H, X ¹ is CH, X ² and X ³ are CR ² wherein the R ² groups are taken together to form J-21, R ^{3b} is H, R ^{3a} is Me, R ^{4a} is F and (R ^{4b}) _q is H.
97	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OMe, R ^{4a} is Me and (R ^{4b}) _q is H.
98	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CH ₂ OMe, R ^{4a} is F and (R ^{4b}) _q is H.
99	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CF ₃ , R ^{4a} is Me and (R ^{4b}) _q is H.
100	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-OMe, R ^{3b} is H, R ^{3a} is CF ₃ , R ^{4a} is F and (R ^{4b}) _q is H.
101	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is CH ₂ OMe, R ^{4a} is Me and (R ^{4b}) _q is H.
102	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is CH ₂ OMe, R ^{4a} is F and (R ^{4b}) _q is H.

Table	Row Heading
103	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is CF ₃ , R ^{4a} is Me and (R ^{4b}) _q is H.
104	R ^{1b} is H, X ¹ is CH, X ² is CH, X ³ is C-Me, R ^{3b} is H, R ^{3a} is CF ₃ , R ^{4a} is F and (R ^{4b}) _q is H.

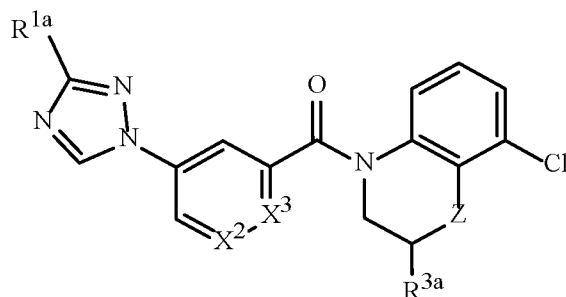
Table 105

Table 105 is constructed the same as Table 1 except that the structure in Table 1 is replaced with the structure above for Table 105 wherein the row heading is X² is CH, X³ is C-OMe, R^{3a} is Me, Z is CH(Me); and R^{1a} is as defined in Table 1.

Table 106 through Table 282

Table 106 is constructed in the same manner as Table 105 except that the Row Heading “X² is CH, X³ is C-OMe, R^{3a} is Me and Z is CH(Me).” is replaced with the Row Heading listed for Table 106 below (i.e., “X² is CH, X³ is C-OMe, R^{3a} is Me and Z is C(Me)₂.”). Therefore the first entry in Table 106 is a compound with the structure shown immediately above wherein X² is CH, X³ is C-OMe, R^{3a} is Me and Z is C(Me)₂ and R^{1a} is H. Tables 107 through 282 are constructed similarly, except that the row heading in Table 105 is replaced with the respective row headings shown below.

Table	Row Heading
106	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is C(Me) ₂ .
107	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(F).
108	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CF ₂ .
109	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(OH).
110	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(CF ₃).
111	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(CH ₂ OMe).
112	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(OMe).
113	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is C(OMe) ₂ .
114	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(OEt).
115	X ² is CH, X ³ is C-OMe, R ^{3a} is Me and Z is CH(OCF ₃).

Table	Row Heading
116	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(OCF ₂ H).
117	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(OCFH ₂).
118	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(SMe).
119	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is C(SMe) ₂ .
120	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(SO ₂ Me).
121	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(CH ₂ SMe).
122	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(CH ₂ SO ₂ Me).
123	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(CN).
124	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(C≡CH).
125	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(SCF ₃).
126	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(CH ₂ SCF ₃).
127	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(CH ₂ CN).
128	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O- <i>c</i> -Pr).
129	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)Me).
130	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)Et).
131	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)- <i>t</i> -Bu).
132	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)CF ₃).
133	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)- <i>c</i> -Pr).
134	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)OMe).
135	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)O- <i>t</i> -Bu).
136	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)NHMe).
137	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is CH(O(C=O)NMe ₂).
138	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is C(R ^{3c}) ₂ wherein the two R ^{3c} groups are taken together to form a 3-membered ring,.
139	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is NH.
140	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is N(C=O)Me.
141	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is N(C=O)CF ₃ .
142	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is N(C=O)OMe.
143	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is N(C=O)NHMe.
144	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is N(C=O)NMe ₂ .
145	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is NSO ₂ Me.
146	X^2 is CH, X^3 is C-OMe, R^{3a} is Me and Z is NSO ₂ CF ₃ .
147	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is C(Me) ₂ .
148	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(F).
149	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CF ₂ .

Table	Row Heading
150	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OH).
151	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CF ₃).
152	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CH ₂ OMe).
153	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OMe).
154	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is C(OMe) ₂ .
155	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OEt).
156	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OCF ₃).
157	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OCF ₂ H).
158	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(OCFH ₂).
159	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(SMe).
160	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is C(SMe) ₂ .
161	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(SO ₂ Me).
162	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CH ₂ SMe).
163	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CH ₂ SO ₂ Me).
164	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CN).
165	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(C≡CH).
166	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(SCF ₃).
167	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CH ₂ SCF ₃).
168	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(CH ₂ CN).
169	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O- <i>c</i> -Pr).
170	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)Me).
171	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)Et).
172	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)- <i>t</i> -Bu).
173	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)CF ₃).
174	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)- <i>c</i> -Pr).
175	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)OMe).
176	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)O- <i>t</i> -Bu).
177	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)NHMe).
178	X^2 is CH, X^3 is C-Me, R^{3a} is Me and Z is CH(O(C=O)NMe ₂).
179	X^2 and X^3 are CR ² wherein the R ² groups are taken together to form J-21, R^{3a} is Me and Z is C(Me) ₂ .
180	X^2 and X^3 are CR ² wherein the R ² groups are taken together to form J-21, R^{3a} is Me and Z is CH(F).
181	X^2 and X^3 are CR ² wherein the R ² groups are taken together to form J-21, R^{3a} is Me and Z is CF ₂ .

Table	Row Heading
182	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OH).
183	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(CF ₃).
184	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(CH ₂ OMe).
185	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OMe).
186	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is C(OMe) ₂ .
187	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OEt).
188	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OCF ₃).
189	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OCF ₂ H).
190	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(OCFH ₂).
191	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(SMe).
192	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is C(SMe) ₂ .
193	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(SO ₂ Me).
194	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(CH ₂ SMe).
195	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(CH ₂ SO ₂ Me).
196	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(CN).
197	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(C≡CH).
198	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is CH(SCF ₃).

Table	Row Heading
199	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(CH_2SCF_3)$.
200	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(CH_2CN)$.
201	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O-c-Pr)$.
202	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)Me)$.
203	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)Et)$.
204	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)-t-Bu)$.
205	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)CF_3)$.
206	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)-c-Pr)$.
207	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)OMe)$.
208	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)O-t-Bu)$.
209	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)NHMe)$.
210	X^2 and X^3 are CR^2 wherein the R^2 groups are taken together to form J-21, R^{3a} is Me and Z is $CH(O(C=O)NMe_2)$.
211	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $C(Me)_2$.
212	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(F)$.
213	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is CF_2 .
214	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(OH)$.
215	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(CF_3)$.
216	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(CH_2OMe)$.
217	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(OMe)$.
218	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $C(OMe)_2$.
219	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(OEt)$.
220	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(OCF_3)$.
221	X^2 is CH, X^3 is C-OMe, R^{3a} is CF_3 and Z is $CH(OCF_2H)$.

Table	Row Heading
222	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(OCFH ₂).
223	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(SMe).
224	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is C(SMe) ₂ .
225	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(SO ₂ Me).
226	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(CH ₂ SMe).
227	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(CH ₂ SO ₂ Me).
228	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(CN).
229	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(C≡CH).
230	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(SCF ₃).
231	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(CH ₂ SCF ₃).
232	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(CH ₂ CN).
233	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O- <i>c</i> -Pr).
234	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)Me).
235	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)Et).
236	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)- <i>t</i> -Bu).
237	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)CF ₃).
238	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)- <i>c</i> -Pr).
239	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)OMe).
240	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)O- <i>t</i> -Bu).
241	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)NHMe).
242	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is CH(O(C=O)NMe ₂).
243	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is NH.
244	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is N(C=O)Me.
245	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is N(C=O)CF ₃ .
246	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is N(C=O)OMe.
247	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is N(C=O)NHMe.
248	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is N(C=O)NMe ₂ .
249	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is NSO ₂ Me.
250	X ² is CH, X ³ is C-OMe, R ^{3a} is CF ₃ and Z is NSO ₂ CF ₃ .
251	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is C(Me) ₂ .
252	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is CH(F).
253	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is CF ₂ .
254	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is CH(OH).
255	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is CH(CF ₃).
256	X ² is CH, X ³ is C-Me, R ^{3a} is CH ₂ OMe and Z is CH(CH ₂ OMe).

Table	Row Heading
257	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(OMe)$.
258	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $C(OMe)_2$.
259	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(OEt)$.
260	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(OCF_3)$.
261	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(OCF_2H)$.
262	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(OCFH_2)$.
263	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(SMe)$.
264	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $C(SMe)_2$.
265	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(SO_2Me)$.
266	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(CH_2SMe)$.
267	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(CH_2SO_2Me)$.
268	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(CN)$.
269	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(C\equiv CH)$.
270	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(SCF_3)$.
271	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(CH_2SCF_3)$.
272	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(CH_2CN)$.
273	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O-c-Pr)$.
274	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)Me)$.
275	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)Et)$.
276	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)-t-Bu)$.
277	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)CF_3)$.
278	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)-c-Pr)$.
279	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)OMe)$.
280	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)O-t-Bu)$.
281	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)NHMe)$.
282	X^2 is CH, X^3 is C-Me, R^{3a} is CH_2OMe and Z is $CH(O(C=O)NMe_2)$.

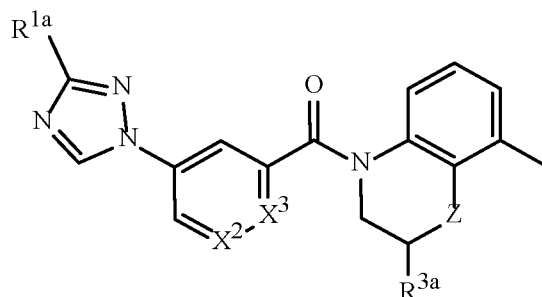
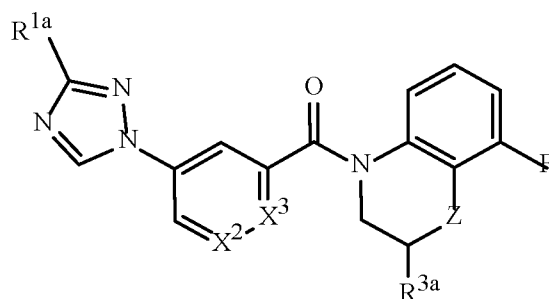
Table 283

Table 283 is constructed the same as Table 105 except that the structure in Table 105 is replaced with the structure above for Table 283.

5

Table 284 through Table 460

Table 284 is constructed the same as Table 106 except that the structure in Table 284 is replaced with the structure above for Table 283. Tables 285 through 460 are constructed in the same fashion as Tables 107 through 282.

Table 461

10

Table 461 is constructed the same as Table 105 except that the structure in Table 105 is replaced with the structure above for Table 461.

Table 462 through Table 638

Table 462 is constructed the same as Table 106 except that the structure in Table 462 is replaced with the structure above for Table 461. Tables 463 through 638 are constructed in the same fashion as Tables 107 through 282.

15

Table 639

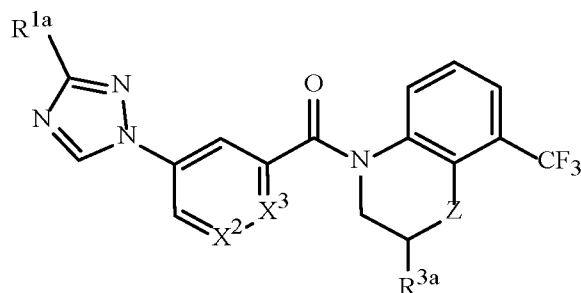


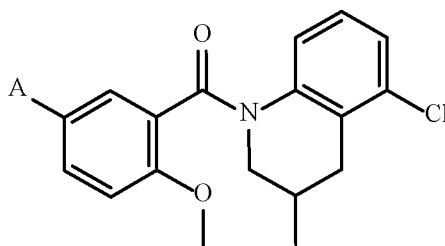
Table 639 is constructed the same as Table 105 except that the structure in Table 105 is replaced with the structure above for Table 639.

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Table 640 through Table 816

Table 640 is constructed the same as Table 106 except that the structure in Table 640 is replaced with the structure above for Table 639. Tables 642 through 816 are constructed in the same fashion as Tables 107 through 282.

Table 817



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A	A
2-methyl-1,2,3-triazol-4-yl	4-methylpyrazol-1-yl
2-ethyl-1,2,3-triazol-4-yl	4-ethylpyrazol-1-yl
2-isopropyl-1,2,3-triazol-4-yl	4-isopropylpyrazol-1-yl
2- <i>tert</i> -butyl-1,2,3-triazol-4-yl	4- <i>tert</i> -butylpyrazol-1-yl
2-cyclopropyl-1,2,3-triazol-4-yl	4-cyclopropylpyrazol-1-yl
2-cyclobutyl-1,2,3-triazol-4-yl	4-cyclobutylpyrazol-1-yl
2-(difluoromethyl)-1,2,3-triazol-4-yl	4-(1-fluoro-1-methyl-ethyl)pyrazol-1-yl
1-methylpyrazol-4-yl	1-methyl-5-oxo-1,2,4-triazol-4-yl
1-ethylpyrazol-4-yl	1-ethyl-5-oxo-1,2,4-triazol-4-yl
1-isopropylpyrazol-4-yl	1-isopropyl-5-oxo-1,2,4-triazol-4-yl
1- <i>tert</i> -butylpyrazol-4-yl	1- <i>tert</i> -butyl-5-oxo-1,2,4-triazol-4-yl
1-cyclopropylpyrazol-4-yl	1-cyclopropyl-5-oxo-1,2,4-triazol-4-yl
1-cyclobutylpyrazol-4-yl	1-cyclobutyl-5-oxo-1,2,4-triazol-4-yl

1-(difluoromethyl)pyrazol-4-yl	1-(difluoromethyl)-5-oxo-1,2,4-triazol-4-yl
5-fluoro-1-isopropyl-pyrazol-4-yl	2-methylpyrimidin-4-yl
2-ethylpyrimidin-4-yl	3-ethyl-1,2,4-thiadiazol-5-yl
2-isopropylpyrimidin-4-yl	3-isopropyl-1,2,4-thiadiazol-5-yl
2- <i>tert</i> -butylpyrimidin-4-yl	3- <i>tert</i> -butyl-1,2,4-thiadiazol-5-yl
2-cyclopropylpyrimidin-4-yl	3-cyclopropyl-1,2,4-thiadiazol-5-yl
2-cyclobutylpyrimidin-4-yl	3-cyclobutyl-1,2,4-thiadiazol-5-yl
2-(1-fluoro-1-methyl-ethyl)pyrimidin-4-yl	3-(1-fluoro-1-methyl-ethyl)-1,2,4-thiadiazol-5-yl
2-methylthiazol-5-yl	3-methylisothiazol-5-yl
2-ethylthiazol-5-yl	3-ethylisothiazol-5-yl
2-isopropylthiazol-5-yl	3-isopropylisothiazol-5-yl
2- <i>tert</i> -butylthiazol-5-yl	3- <i>tert</i> -butylisothiazol-5-yl
2-cyclopropylthiazol-5-yl	3-cyclopropylisothiazol-5-yl
2-cyclobutylthiazol-5-yl	3-cyclobutylisothiazol-5-yl
2-(1-fluoro-1-methyl-ethyl)thiazol-5-yl	3-(1-fluoro-1-methyl-ethyl)isothiazol-5-yl
3-methyl-1,2,4-thiadiazol-5-yl	

Formulation/Utility

A compound of this invention will generally be used as a herbicidal active ingredient in a composition, i.e., formulation, with at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, which serves as a carrier.

- 5 The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.

Useful formulations include both liquid and solid compositions. Liquid compositions include solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions, oil-in-water emulsions, flowable concentrates 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, oil-in-water emulsion, flowable concentrate and suspo-emulsion. The general types of nonaqueous liquid compositions are emulsifiable concentrate, microemulsifiable concentrate, dispersible concentrate and oil dispersion.

15 The general types of solid compositions are dusts, powders, granules, pellets, pills, 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 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 further formulation.

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, but occasionally another suitable medium like an aromatic or paraffinic hydrocarbon or vegetable oil. 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 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.

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.

	Weight Percent		
	<u>Active Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Water-Dispersible and Water-soluble Granules, Tablets and Powders	0.001–90	0–99.999	0–15
Oil Dispersions, Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	1–50	40–99	0–50
Dusts	1–25	70–99	0–5
Granules and Pellets	0.001–99	5–99.999	0–15
High Strength Compositions	90–99	0–10	0–2

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 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.

Liquid diluents include, for example, water, *N,N*-dimethylalkanamides (e.g., *N,N*-dimethylformamide), limonene, dimethyl sulfoxide, *N*-alkylpyrrolidones (e.g., *N*-methylpyrrolidinone), alkyl phosphates (e.g., triethyl phosphate), 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, alkyl and aryl benzoates and γ -butyrolactone, and alcohols, which can be linear, branched, saturated or unsaturated, such as methanol, ethanol, *n*-propanol, isopropyl alcohol, *n*-butanol, isobutyl alcohol, *n*-hexanol, 2-ethylhexanol, *n*-octanol, decanol, isodecyl alcohol, isooctadecanol, cetyl alcohol, lauryl alcohol, tridecyl alcohol, oleyl alcohol, cyclohexanol, tetrahydrofurfuryl alcohol, diacetone alcohol, cresol and benzyl alcohol. Liquid diluents also include glycerol esters of saturated and unsaturated fatty acids (typically C₆–C₂₂), 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.

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.

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.

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 alkoxyates, phosphate esters of alkylphenol alkoxyates 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.

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.

Also useful for the present compositions 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 Emulsifiers 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.

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 as 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.

The compound of Formula 1 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 taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be

prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox—Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. 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.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A–C. Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except where otherwise indicated.

Example A

High Strength Concentrate

Compound 1	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%

Example B

Wettable Powder

Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example C

Granule

Compound 1	10.0%
attapulgit granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example DExtruded Pellet

Compound 1	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkyl naphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example EEmulsifiable Concentrate

Compound 1	10.0%
polyoxyethylene sorbitol hexoleate	20.0%
C ₆ -C ₁₀ fatty acid methyl ester	70.0%

Example FMicroemulsion

Compound 1	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example GSuspension Concentrate

Compound 1	35%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%
xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
water	53.7%

Example HEmulsion in Water

Compound 1	10.0%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%

xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
aromatic petroleum based hydrocarbon	20.0
water	58.7%

Example I

Oil Dispersion

Compound 1	25%
polyoxyethylene sorbitol hexaoleate	15%
organically modified bentonite clay	2.5%
fatty acid methyl ester	57.5%

The present disclosure also includes Examples A through I above except that “Compound 1” is replaced with any one of “Compound 2” through “Compound 20”.

5 Test results indicate that the compounds of the present invention are highly active preemergent and/or postemergent herbicides and/or plant growth regulants. The compounds of the invention generally show highest activity for postemergence weed control (i.e., applied after weed seedlings emerge from the soil) and preemergence weed control (i.e., applied before weed seedlings emerge from the soil). Many of them have utility for broad-spectrum

10 pre- and/or postemergence weed control in areas where complete control of all vegetation is desired such as around fuel storage tanks, industrial storage areas, parking lots, drive-in theaters, air fields, river banks, irrigation and other waterways, around billboards and highway and railroad structures. Many of the compounds of this invention, by virtue of selective metabolism in crops versus weeds, or by selective activity at the locus of physiological

15 inhibition in crops and weeds, or by selective placement on or within the environment of a mixture of crops and weeds, are useful for the selective control of grass and broadleaf weeds within a crop/weed mixture. One skilled in the art will recognize that the preferred combination of these selectivity factors within a compound or group of compounds can readily be determined by performing routine biological and/or biochemical assays. Compounds of

20 this invention may show tolerance to important agronomic crops including, but is 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). Compounds of this invention can be used in crops genetically transformed or bred to incorporate resistance to herbicides, express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* toxin), and/or express other useful traits. Those skilled in the art will appreciate that not all compounds are equally effective against all weeds. Alternatively, the subject compounds are useful to modify plant growth.

As the compounds of the invention have both preemergent and postemergent herbicidal activity, to control undesired vegetation by killing or injuring the vegetation or reducing its growth, the compounds can be usefully applied by a variety of methods involving contacting a herbicidally effective amount of a compound of the invention, or a composition comprising said compound and at least one of a surfactant, a solid diluent or a liquid diluent, to the foliage or other part of the undesired vegetation or to the environment of the undesired vegetation such as the soil or water in which the undesired vegetation is growing or which surrounds the seed or other propagule of the undesired vegetation. Undesired vegetation includes at least one selected from the group consisting of grass weeds and broadleaf weeds. Undesired vegetation is selected from the group consisting of annual bluegrass, Benghal dayflower, blackgrass, black nightshade, broadleaf signalgrass, Canada thistle, cheat, common cocklebur (*Xanthium pensylvanicum*), common ragweed, corn poppies, field violet, giant foxtail, goosegrass, green foxtail, guinea grass, hairy beggarticks, herbicide-resistant black grass, horseweed, Italian rye grass, jimsonweed, Johnson grass (*Sorghum halepense*), large crabgrass, little seed canary grass, morning glory, Pennsylvania smartweed, pitted morning glory, prickly sida, quackgrass, redroot pigweed, shattercane, shepherd's purse, silky windgrass, sunflower (as weed in potato), wild buckwheat (*Polygonum convolvulus*), wild mustard (*Brassica kaber*), wild oat (*Avena fatua*), wild pointsettia, yellow foxtail, and yellow nutsedge (*Cyperus esculentus*).

A herbicidally effective amount of the compounds of this invention is determined by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. In general, a herbicidally effective amount of compounds of this invention is about 0.001 to 20 kg/ha with a preferred range of about 0.004 to 1 kg/ha. One skilled in the art can easily determine the herbicidally effective amount necessary for the desired level of weed control.

In one common embodiment, a compound of the invention is applied, typically in a formulated composition, to a locus comprising desired vegetation (e.g., crops) and undesired vegetation (i.e., weeds), both of which may be seeds, seedlings and/or larger plants, in contact with a growth medium (e.g., soil). In this locus, a composition comprising a compound of the

invention can be directly applied to a plant or a part thereof, particularly of the undesired vegetation, and/or to the growth medium in contact with the plant.

Plant varieties and cultivars of the desired vegetation in the locus treated with a compound of the invention can be obtained by conventional propagation and breeding methods or by genetic engineering methods. Genetically modified plants (transgenic plants) are those in which a heterologous gene (transgene) has been stably integrated into the plant's genome. A transgene that is defined by its particular location in the plant genome is called a transformation or transgenic event.

Genetically modified plant cultivars in the locus which can be treated according to the invention include those that are resistant against one or more biotic stresses (pests such as nematodes, insects, mites, fungi, etc.) or abiotic stresses (drought, cold temperature, soil salinity, etc.), or that contain other desirable characteristics. Plants can be genetically modified to exhibit traits of, for example, herbicide tolerance, insect-resistance, modified oil profiles or drought tolerance. Useful genetically modified plants containing single gene transformation events or combinations of transformation events are listed in Exhibit C. Additional information for the genetic modifications listed in Exhibit C can be obtained from publicly available databases maintained, for example, by the U.S. Department of Agriculture.

The following abbreviations, T1 through T37, are used in Exhibit C for traits. A “-“ means the entry is not available; “tol.” means “tolerance” and “res.” means resistance.

Trait	Description	Trait	Description	Trait	Description
T1	Glyphosate tol.	T15	Cold tol.	T27	High tryptophan
T2	High lauric acid oil	T16	Imidazolinone herb. tol.	T28	Erect leaves semidwarf
T3	Glufosinate tol.	T17	Modified alpha-amylase	T29	Semidwarf
T4	Phytate breakdown	T18	Pollination control	T30	Low iron tol.
T5	Oxynil tol.	T19	2,4-D tol.	T31	Modified oil/fatty acid
T6	Disease res.	T20	Increased lysine	T32	HPPD tol.
T7	Insect res.	T21	Drought tol.	T33	High oil
T9	Modified flower color	T22	Delayed ripening/senescence	T34	Aryloxyalkanoate tol.
T11	ALS Herbicide tol.	T23	Modified product quality	T35	Mesotrione tol.
T12	Dicamba tol.	T24	High cellulose	T36	Reduced nicotine
T13	Anti-allergy	T25	Modified starch/carbohydrate	T37	Modified product
T14	Salt tol.	T26	Insect & disease resist.		

Exhibit C

Crop	Event Name	Event Code	Trait(s)	Gene(s)
Alfalfa	J101	MON-00101-8	T1	cp4 epsps (aroA:CP4)
Alfalfa	J163	MON-ØØ163-7	T1	cp4 epsps (aroA:CP4)
Canola*	23-18-17 (Event 18)	CGN-89465-2	T2	te

Canola*	23-198 (Event 23)	CGN-89465-2	T2	te
Canola*	61061	DP-061061-7	T1	gat4621
Canola*	73496	DP-073496-4	T1	gat4621
Canola*	GT200 (RT200)	MON-89249-2	T1	cp4 epsps (aroA:CP4); goxv247
Canola*	GT73 (RT73)	MON-00073-7	T1	cp4 epsps (aroA:CP4); goxv247
Canola*	HCN10 (Topas 19/2)	-	T3	bar
Canola*	HCN28 (T45)	ACS-BN008-2	T3	pat (syn)
Canola*	HCN92 (Topas 19/2)	ACS-BN007-1	T3	bar
Canola*	MON88302	MON-88302-9	T1	cp4 epsps (aroA:CP4)
Canola*	MPS961	-	T4	phyA
Canola*	MPS962	-	T4	phyA
Canola*	MPS963	-	T4	phyA
Canola*	MPS964	-	T4	phyA
Canola*	MPS965	-	T4	phyA
Canola*	MS1 (B91-4)	ACS-BN004-7	T3	bar
Canola*	MS8	ACS-BN005-8	T3	bar
Canola*	OXY-235	ACS-BN011-5	T5	bxn
Canola*	PHY14	-	T3	bar
Canola*	PHY23	-	T3	bar
Canola*	PHY35	-	T3	bar
Canola*	PHY36	-	T3	bar
Canola*	RF1 (B93-101)	ACS-BN001-4	T3	bar
Canola*	RF2 (B94-2)	ACS-BN002-5	T3	bar
Canola*	RF3	ACS-BN003-6	T3	bar
Bean	EMBRAPA 5.1	EMB-PV051-1	T6	ac1 (sense and antisense)
Brinjal #	EE-1	-	T7	cry1Ac
Cotton	19-51a	DD-01951A-7	T11	S4-HrA
Cotton	281-24-236	DAS-24236-5	T3,T7	pat (syn); cry1F
Cotton	3006-210-23	DAS-21023-5	T3,T7	pat (syn); cry1Ac
Cotton	31707	-	T5,T7	bxn; cry1Ac
Cotton	31803	-	T5,T7	bxn; cry1Ac
Cotton	31807	-	T5,T7	bxn; cry1Ac
Cotton	31808	-	T5,T7	bxn; cry1Ac
Cotton	42317	-	T5,T7	bxn; cry1Ac

Cotton	BNLA-601	-	T7	cry1Ac
Cotton	BXN10211	BXN10211-9	T5	bxn; cry1Ac
Cotton	BXN10215	BXN10215-4	T5	bxn; cry1Ac
Cotton	BXN10222	BXN10222-2	T5	bxn; cry1Ac
Cotton	BXN10224	BXN10224-4	T5	bxn; cry1Ac
Cotton	COT102	SYN-IR102-7	T7	vip3A(a)
Cotton	COT67B	SYN-IR67B-1	T7	cry1Ab
Cotton	COT202	-	T7	vip3A
Cotton	Event 1	-	T7	cry1Ac
Cotton	GMF Cry1A	GTL- GMF311-7	T7	cry1Ab-Ac
Cotton	GHB119	BCS-GH005- 8	T7	cry2Ae
Cotton	GHB614	BCS-GH002- 5	T1	2mepsps
Cotton	GK12	-	T7	cry1Ab-Ac
Cotton	LLCotton25	ACS-GH001- 3	T3	bar
Cotton	MLS 9124	-	T7	cry1C
Cotton	MON1076	MON-89924- 2	T7	cry1Ac
Cotton	MON1445	MON-01445- 2	T1	cp4 epsps (aroA:CP4)
Cotton	MON15985	MON-15985- 7	T7	cry1Ac; cry2Ab2
Cotton	MON1698	MON-89383- 1	T7	cp4 epsps (aroA:CP4)
Cotton	MON531	MON-00531- 6	T7	cry1Ac
Cotton	MON757	MON-00757- 7	T7	cry1Ac
Cotton	MON88913	MON-88913- 8	T1	cp4 epsps (aroA:CP4)
Cotton	Nqwe Chi 6 Bt	-	T7	-
Cotton	SKG321	-	T7	cry1A; CpTI
Cotton	T303-3	BCS-GH003- 6	T3,T7	cry1Ab; bar
Cotton	T304-40	BCS-GH004- 7	T3,T7	cry1Ab; bar
Cotton	CE43-67B	-	T7	cry1Ab
Cotton	CE46-02A	-	T7	cry1Ab
Cotton	CE44-69D	-	T7	cry1Ab
Cotton	1143-14A	-	T7	cry1Ab
Cotton	1143-51B	-	T7	cry1Ab
Cotton	T342-142	-	T7	cry1Ab
Cotton	PV-GHGT07 (1445)	-	T1	cp4 epsps (aroA:CP4)
Cotton	EE-GH3	-	T1	mepsps

Cotton	EE-GH5	-	T7	cry1Ab
Cotton	MON88701	MON-88701-3	T3,T12	Modified dmo; bar
Cotton	OsCr11	-	T13	Modified Cry j
Flax	FP967	CDC-FL001-2	T11	als
Lentil	RH44	-	T16	als
Maize	3272	SYN-E3272-5	T17	amy797E
Maize	5307	SYN-05307-1	T7	ecry3.1Ab
Maize	59122	DAS-59122-7	T3,T7	cry34Ab1; cry35Ab1; pat
Maize	676	PH-000676-7	T3,T18	pat; dam
Maize	678	PH-000678-9	T3,T18	pat; dam
Maize	680	PH-000680-2	T3,T18	pat; dam
Maize	98140	DP-098140-6	T1,T11	gat4621; zm-hra
Maize	Bt10	-	T3,T7	cry1Ab; pat
Maize	Bt176 (176)	SYN-EV176-9	T3,T7	cry1Ab; bar
Maize	BVLA430101	-	T4	phyA2
Maize	CBH-351	ACS-ZM004-3	T3,T7	cry9C; bar
Maize	DAS40278-9	DAS40278-9	T19	aad-1
Maize	DBT418	DKB-89614-9	T3,T7	cry1Ac; pinII; bar
Maize	DLL25 (B16)	DKB-89790-5	T3	bar
Maize	GA21	MON-00021-9	T1	mepsps
Maize	GG25	-	T1	mepsps
Maize	GJ11	-	T1	mepsps
Maize	F1117	-	T1	mepsps
Maize	GAT-ZM1	-	T3	pat
Maize	LY038	REN-00038-3	T20	cordapA
Maize	MIR162	SYN-IR162-4	T7	vip3Aa20
Maize	MIR604	SYN-IR604-5	T7	mcry3A
Maize	MON801 (MON80100)	MON801	T1,T7	cry1Ab; cp4 epsps (aroA:CP4); goxv247
Maize	MON802	MON-80200-7	T1,T7	cry1Ab; cp4 epsps (aroA:CP4); goxv247
Maize	MON809	PH-MON-809-2	T1,T7	cry1Ab; cp4 epsps (aroA:CP4); goxv247
Maize	MON810	MON-00810-6	T1,T7	cry1Ab; cp4 epsps (aroA:CP4); goxv247
Maize	MON832	-	T1	cp4 epsps (aroA:CP4); goxv247
Maize	MON863	MON-00863-5	T7	cry3Bb1
Maize	MON87427	MON-87427-7	T1	cp4 epsps (aroA:CP4)
Maize	MON87460	MON-87460-4	T21	cspB

Maize	MON88017	MON-88017-3	T1,T7	cry3Bb1; cp4 epsps (aroA:CP4)
Maize	MON89034	MON-89034-3	T7	cry2Ab2; cry1A.105
Maize	MS3	ACS-ZM001-9	T3,T18	bar; barnase
Maize	MS6	ACS-ZM005-4	T3,T18	bar; barnase
Maize	NK603	MON-00603-6	T1	cp4 epsps (aroA:CP4)
Maize	T14	ACS-ZM002-1	T3	pat (syn)
Maize	T25	ACS-ZM003-2	T3	pat (syn)
Maize	TC1507	DAS-01507-1	T3,T7	cry1Fa2; pat
Maize	TC6275	DAS-06275-8	T3,T7	moery1F; bar
Maize	VIP1034	-	T3,T7	vip3A; pat
Maize	43A47	DP-043A47-3	T3,T7	cry1F; cry34Ab1; cry35Ab1; pat
Maize	40416	DP-040416-8	T3,T7	cry1F; cry34Ab1; cry35Ab1; pat
Maize	32316	DP-032316-8	T3,T7	cry1F; cry34Ab1; cry35Ab1; pat
Maize	4114	DP-004114-3	T3,T7	cry1F; cry34Ab1; cry35Ab1; pat
Melon	Melon A	-	T22	sam-k
Melon	Melon B	-	T22	sam-k
Papaya	55-1	CUH-CP551-8	T6	prsv cp
Papaya	63-1	CUH-CP631-7	T6	prsv cp
Papaya	Huanong No. 1	-	T6	prsv rep
Papaya	X17-2	UFL-X17CP-6	T6	prsv cp
Plum	C-5	ARS-PLMC5-6	T6	ppv cp
Canola**	ZSR500	-	T1	cp4 epsps (aroA:CP4); goxv247
Canola**	ZSR502	-	T1	cp4 epsps (aroA:CP4); goxv247
Canola**	ZSR503	-	T1	cp4 epsps (aroA:CP4); goxv247
Rice	7Crp#242-95-7	-	T13	7crp
Rice	7Crp#10	-	T13	7crp
Rice	GM Shanyou 63	-	T7	cry1Ab; cry1Ac
Rice	Huahui-1/TT51-1	-	T7	cry1Ab; cry1Ac
Rice	LLRICE06	ACS-OS001-4	T3	bar
Rice	LLRICE601	BCS-OS003-7	T3	bar
Rice	LLRICE62	ACS-OS002-5	T3	bar
Rice	Tarom molaii + cry1Ab	-	T7	cry1Ab (truncated)
Rice	GAT-OS2	-	T3	bar
Rice	GAT-OS3	-	T3	bar
Rice	PE-7	-	T7	Cry1Ac

Rice	7Crp#10	-	T13	7crp
Rice	KPD627-8	-	T27	OASA1D
Rice	KPD722-4	-	T27	OASA1D
Rice	KA317	-	T27	OASA1D
Rice	HW5	-	T27	OASA1D
Rice	HW1	-	T27	OASA1D
Rice	B-4-1-18	-	T28	Δ OsBRI1
Rice	G-3-3-22	-	T29	OSGA2ox1
Rice	AD77	-	T6	DEF
Rice	AD51	-	T6	DEF
Rice	AD48	-	T6	DEF
Rice	AD41	-	T6	DEF
Rice	13pNasNa800725atAprt1	-	T30	HvNAS1; HvNAAT-A; APRT
Rice	13pAprt1	-	T30	APRT
Rice	gHvNAS1-gHvNAAT-1	-	T30	HvNAS1; HvNAAT-A; HvNAAT-B
Rice	gHvIDS3-1	-	T30	HvIDS3
Rice	gHvNAAT1	-	T30	HvNAAT-A; HvNAAT-B
Rice	gHvNAS1-1	-	T30	HvNAS1
Rice	NIA-OS006-4	-	T6	WRKY45
Rice	NIA-OS005-3	-	T6	WRKY45
Rice	NIA-OS004-2	-	T6	WRKY45
Rice	NIA-OS003-1	-	T6	WRKY45
Rice	NIA-OS002-9	-	T6	WRKY45
Rice	NIA-OS001-8	-	T6	WRKY45
Rice	OsCr11	-	T13	Modified Cry j
Rice	17053	-	T1	cp4 epsps (aroA:CP4)
Rice	17314	-	T1	cp4 epsps (aroA:CP4)
Rose	WKS82 / 130-4-1	IFD-52401-4	T9	5AT; bp40 (f3'5'h)
Rose	WKS92 / 130-9-1	IFD-52901-9	T9	5AT; bp40 (f3'5'h)
Soybean	260-05 (G94-1, G94-19, G168)	-	T9	gm-fad2-1 (silencing locus)
Soybean	A2704-12	ACS-GM005-3	T3	pat
Soybean	A2704-21	ACS-GM004-2	T3	pat
Soybean	A5547-127	ACS-GM006-4	T3	pat
Soybean	A5547-35	ACS-GM008-6	T3	pat
Soybean	CV127	BPS-CV127-9	T16	csr1-2
Soybean	DAS68416-4	DAS68416-4	T3	pat
Soybean	DP305423	DP-305423-1	T11,T31	gm-fad2-1 (silencing locus); gm-hra

Soybean	DP356043	DP-356043-5	T1,T31	gm-fad2-1 (silencing locus); gat4601
Soybean	FG72	MST-FG072-3	T32,T1	2mepsps; hppdPF W336
Soybean	GTS 40-3-2 (40-3-2)	MON-04032-6	T1	cp4 epsps (aroA:CP4)
Soybean	GU262	ACS-GM003-1	T3	pat
Soybean	MON87701	MON-87701-2	T7	cry 1Ac
Soybean	MON87705	MON-87705-6	T1,T31	fatb1-A (sense & antisense); fad2-1A (sense & antisense); cp4 epsps (aroA:CP4)
Soybean	MON87708	MON-87708-9	T1,T12	dmo; cp4 epsps (aroA:CP4)
Soybean	MON87769	MON-87769-7	T1,T31	Pj.D6D; Nc.Fad3; cp4 epsps (aroA:CP4)
Soybean	MON89788	MON-89788-1	T1	cp4 epsps (aroA:CP4)
Soybean	W62	ACS-GM002-9	T3	bar
Soybean	W98	ACS-GM001-8	T3	bar
Soybean	MON87754	MON-87754-1	T33	dgat2A
Soybean	DAS21606	DAS-21606	T34,T3	Modified aad-12; pat
Soybean	DAS44406	DAS-44406-6	T1,T3,T34	Modified aad-12; 2mepsps; pat
Soybean	SYHT04R	SYN-0004R-8	T35	Modified avhppd
Soybean	9582.814.19.1	-	T3,T7	cry 1Ac, cry 1F, PAT
Squash	CZW3	SEM-OCZW3-2	T6	cmv cp, zymv cp, wmv cp
Squash	ZW20	SEM-0ZW20-7	T6	zymv cp, wmv cp
Sugar Beet	GTSB77 (T9100152)	SY-GTSB77-8	T1	cp4 epsps (aroA:CP4); goxv247
Sugar Beet	H7-1	KM-000H71-4	T1	cp4 epsps (aroA:CP4)
Sugar Beet	T120-7	ACS-BV001-3	T3	pat
Sugar Beet	T227-1	-	T1	cp4 epsps (aroA:CP4)
Sugarcane	NXI-1T	-	T21	EcbetA
Sunflower	X81359	-	T16	als
Pepper	PK-SP01	-	T6	cmv cp
Tobacco	C/F/93/08-02	-	T5	bxn
Tobacco	Vector 21-41	-	T36	NtQPT1 (antisense)
Sunflower	X81359	-	T16	als
Wheat	MON71800	MON-71800-3	T1	cp4 epsps (aroA:CP4)

* Argentine (*Brassica napus*), ** Polish (*B. rapa*), # Eggplant

Although most typically, compounds of the invention are used to control undesired vegetation, contact of desired vegetation in the treated locus with compounds of the invention may result in super-additive or enhanced effects with genetic traits in the desired vegetation, including traits incorporated through genetic modification. For example, resistance to phytophagous insect pests or plant diseases, tolerance to biotic/abiotic stresses or storage stability may be greater than expected from the genetic traits in the desired vegetation.

Compounds of this invention can also be mixed with one or more other biologically active compounds or agents including herbicides, herbicide safeners, fungicides, insecticides, nematocides, bactericides, acaricides, growth regulators such as insect molting inhibitors and rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, plant nutrients, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Mixtures of the compounds of the invention with other herbicides can broaden the spectrum of activity against additional weed species, and suppress the proliferation of any resistant biotypes. Thus the present invention also pertains to a composition comprising a compound of Formula 1 (in a herbicidally effective amount) and at least one additional biologically active compound or agent (in a biologically effective amount) and can further comprise at least one of a surfactant, a solid diluent or a liquid diluent. The other biologically active compounds or agents can be formulated in compositions comprising at least one of a surfactant, solid or liquid diluent. For mixtures of the present invention, one or more other biologically active compounds or agents can be formulated together with a compound of Formula 1, to form a premix, or one or more other biologically active compounds or agents can be formulated separately from the compound of Formula 1, and the formulations combined together before application (e.g., in a spray tank) or, alternatively, applied in succession.

A mixture of one or more of the following herbicides with a compound of this invention may be particularly useful for weed control: allidochlor, acetochlor, acifluorfen and its sodium salt, aclonifen, acrolein (2-propenal), alachlor, alloxydim, ametryn, amicarbazone, amidosulfuron, aminocyclopyrachlor and its esters (e.g., methyl, ethyl) and salts (e.g., sodium, potassium), 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-2-pyridinecarboxylic 2-propyn-1-yl ester (CAS No. 2251111-17-6), 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-2-pyridinecarboxylic cyanomethyl ester (CAS No. 2251111-18-7), aminopyralid, amitrole, ammonium sulfamate, 2,5-anhydro-3,4-dideoxy-4-[[[(5*S*)-3-(3,5-difluorophenyl)-5-ethenyl-4,5-dihydro-5-isoxazolyl]carbonyl]amino]-threo-pentonic methyl ester (CAS No. 27499989-21-6), anilofos, anisiflupurin, asulam, atrazine, azimsulfuron, beflubutamid, beflubutamid-M, benazolin, benazolin-ethyl, bencarbazone, benfluralin, benfuresate,

benquitrione, bensulfuron-methyl, bensulide, bentazone, benzobicyclon, benzofenap, bicyclopyrone, bifenox, bilanafos, bipyrazone, bispyribac and its sodium salt, bixlozone, broclozone, bromacil, bromobutide, bromofenoxim, bromoxynil, bromoxynil octanoate, butachlor, butafenacil, butamifos, butralin, butroxydim, butylate, cafenstrole, carbetamide, 1-

5 (2-carboxyethyl)-4-(2-pyrimidinyl)pyridazinium (CAS No. 2285384-11-2) and salts thereof, carfentrazone-ethyl, catechin, chlomethoxyfen, chloramben, chlorbromuron, chlorflurenol-methyl, chloridazon, chlorimuron-ethyl, 3-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4,5-dihydro-5-methyl-5-isoxazole-

10 carboxylic ethyl ester (CAS No. 1949837-17-5), chlorotoluron, chlorpropham, chlorsulfuron, chlorthal-dimethyl, chlorthiamid, cinidon-ethyl, cinflubrolin, cinmethylin, cinosulfuron, clacyfos, clefoxydim, clethodim, clodinafop-propargyl, clomazone, clomeprop, clopyralid, clopyralid-olamine, cloransulam-methyl, cumyluron, cyanazine, cycloate, cyclopyranil, cyclopyrimorate, cyclosulfamuron, cycloxydim, cyhalofop-butyl, cypirafluone, 2,4-D and its butotyl, butyl, isoctyl and isopropyl esters and its dimethylammonium, diolamine and

15 trolamine salts, daimuron, dalapon, dalapon-sodium, dazomet, 2,4-DB and its dimethylammonium, potassium and sodium salts, desmedipham, desmetryn, dicamba and its diglycolammonium, dimethylammonium, potassium and sodium salts, dichlobenil, dichlorprop, diclofop-methyl, diclosulam, difenzoquat metilsulfate, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimesulfazet, dimethachlor, dimethametryn,

20 dimethenamid, dimethenamid-P, dimethipin, dimethylarsinic acid and its sodium salt, dinitramine, dinoterb, dioxopyrithione, diphenamid, diquat dibromide, dithiopyr, diuron, DNOC, endothal, EPTC, epyrifenacil, esprocarb, ethalfluralin, ethametsulfuron-methyl, ethiozin, ethofumesate, ethoxyfen, ethoxysulfuron, etobenzanid, fenoxaprop-ethyl, fenoxaprop-P-ethyl, fenoxasulfone, fenpyrazone, fenquinotrione, fentrazamide, fenuron,

25 fenuron-TCA, fepoxydim, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, florasulam, fluazifop-butyl, fluazifop-P-butyl, fluazolate, flucarbazone, flucetosulfuron, fluchloralin, fluchloraminopyr, fluchloraminopyr-tefuryl, flufenacet, flufenoximacil, flufenpyr, flufenpyr-ethyl, flumetsulam, flumiclorac-pentyl, flumioxazin, fluometuron, fluoroglycofen-ethyl, flupoxam, flupyrsulfuron-methyl and its sodium salt,

30 flurenol, flurenol-butyl, fluridone, flurochloridone, fluroxypyr, flurtamone, flusulfinam, fluthiacet-methyl, fomesafen, foramsulfuron, fosamine-ammonium, glufosinate, glufosinate-ammonium, L-glufosinate-ammonium, glufosinate-P, glyphosate and its salts such as ammonium, isopropylammonium, potassium, sodium (including sesquisodium) and trimesium (alternatively named sulfosate), halauxifen, halauxifen-methyl,

35 halosulfuron-methyl, haloxyfop-etotyl, haloxyfop-methyl, hexazinone, hydantocidin, icafolin, icafolin-methyl, imazamethabenz-methyl, imazamox, imazapic, imazapyr, imazaquin,

imazaquin-ammonium, imazethapyr, imazethapyr-ammonium, imazosulfuron, indanofan,
 indaziflam, indolauxipyr, indolauxipyr-cyanomethyl, iofensulfuron, iofensulfuron-sodium,
 iodosulfuron-methyl, iodosulfuron-sodium, ioxynil, ioxynil octanoate, ioxynil-sodium,
 ipfencarbazone, iptriazopyrid, isoproturon, isouron, isoxaben, isoxaflutole, isoxachlortole,
 5 lactofen, lancotrione, lenacil, linuron, maleic hydrazide, MCPA and its salts (e.g., MCPA-
 dimethylammonium, MCPA-potassium and MCPA-sodium, esters (e.g., MCPA-2-ethylhexyl,
 MCPA-butotyl) and thioesters (e.g., MCPA-thioethyl), MCPB and its salts (e.g., MCPB-
 sodium) and esters (e.g., MCPB-ethyl), mecoprop, mecoprop-P, mefenacet, mefluidide,
 mesosulfuron-methyl, mesotrione, metam-sodium, metamifop, metamitron, metazachlor,
 10 metazosulfuron, methabenzthiazuron, methylarsonic acid and its calcium, monoammonium,
 monosodium and disodium salts, methyldymron, metobenzuron, metobromuron, metolachlor,
 S-metolachlor, metosulam, metoxuron, metproxycyclone, metribuzin, metsulfuron-methyl,
 molinate, monolinuron, naproanilide, napropamide, napropamide-M, naptalam, neburon,
 nicosulfuron, norflurazon, orbencarb, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon,
 15 oxasulfuron, oxaziclomefone, oxyfluorfen, paraquat dichloride, pebulate, pelargonic acid,
 pendimethalin, penoxsulam, pentanochlor, pentoxazone, perfluidone, pethoxamid,
 pethoxyamid, phenmedipham, picloram, picloram-potassium, picolinafen, pinoxaden,
 piperophos, pretilachlor, primisulfuron-methyl, prodiamine, profoxydim, prometon,
 prometryn, propachlor, propanil, propaquizafop, propazine, propham, propisochlor,
 20 propoxycarbazone, propyrisulfuron, propyzamide, prosulfocarb, prosulfuron, pyraclonil,
 pyraflufen-ethyl, pyraquate, pyrasulfotole, pyrazogyl, pyrazolynate, pyrazoxyfen,
 pyrazosulfuron-ethyl, pyribenzoxim, pyributicarb, pyridate, pyriflubenzoxim, pyriftalid,
 pyriminobac-methyl, pyrimisulfan, pyriothiac, pyriothiac-sodium, pyroxasulfone,
 pyroxsulam, quinclorac, quinmerac, quinclamine, quizalofop-ethyl, quizalofop-P-ethyl,
 25 quizalofop-P-tefuryl, rimisoxafen, rimsulfuron, saflufenacil, sethoxydim, siduron, simazine,
 simetryn, sulcotrione, sulfentrazone, sulfometuron-methyl, sulfosulfuron, 2,3,6-TBA, TCA,
 TCA-sodium, tebutam, tebuthiuron, tefuryltrione, tembotrione, tepraloxym, terbacil,
 terbutometon, terbuthylazine, terbutryn, tetflupyrrolimet, thenylchlor, thiazopyr, thiencarbazone,
 thifensulfuron-methyl, thiobencarb, tiafenacil, tiocarbamil, tolpyralate, topramezone,
 30 tralkoxydim, tri-allate, triafamone, triasulfuron, triaziflam, tribenuron-methyl, triclopyr,
 triclopyr-butotyl, triclopyr-triethylammonium, tridiphane, trietazine, trifloxysulfuron,
 trifludimoxazin, trifluralin, triflusulfuron-methyl, tripyrasulfone, tritosulfuron, vernolate, 3-
 (2-chloro-3,6-difluorophenyl)-4-hydroxy-1-methyl-1,5-naphthyridin-2(1*H*)-one, 6-chloro-4-
 (2,7-dimethyl-1-naphthalenyl)-5-hydroxy-2-methyl-3(2*H*)-pyridazinone, 5-chloro-3-[(2-
 35 hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-1-(4-methoxyphenyl)-2(1*H*)-quinoxalinone, 2-
 chloro-*N*-(1-methyl-1*H*-tetrazol-5-yl)-6-(trifluoromethyl)-3-pyridinecarboxamide, 7-(3,5-

dichloro-4-pyridinyl)-5-(2,2-difluoroethyl)-8-hydroxypyrido[2,3-*b*]pyrazin-6(5*H*)-one), 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone), 5-[(2,6-difluorophenyl)methoxy]methyl]-4,5-dihydro-5-methyl-3-(3-methyl-2-thienyl)isoxazole (previously methioxolin), 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5(2*H*,4*H*)-dione, methyl 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-5-fluoro-2-pyridinecarboxylate, 2-methyl-3-(methylsulfonyl)-*N*-(1-methyl-1*H*-tetrazol-5-yl)-4-(trifluoromethyl)benzamide, 2-methyl-*N*-(4-methyl-1,2,5-oxadiazol-3-yl)-3-(methylsulfinyl)-4-(trifluoromethyl)benzamide, methyl 2-[2-[2-bromo-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2*H*)-pyrimidinyl]-4-fluorophenoxy]phenoxy]-2-methoxyacetate, ethyl 3-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2*H*)-pyrimidinyl]-4-fluorophenyl]-4,5-dihydro-5-methyl-5-isoxazolecarboxylate, 1-(2-carboxyethyl)-4-(2-pyrimidinyl)pyridazinium and its salts and esters. The compound of Formula 1 can also be mixed with a compound selected from the group consisting of

N-[2,4-dimethyl-5-(1-piperidinylcarbonyl)phenyl]-1,1,1-trifluoromethanesulfonamide;
N-[2-chloro-4-methyl-5-(4-morpholinylcarbonyl)phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2,4-dimethyl-5-(4-morpholinylcarbonyl)phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2-chloro-4-methyl-5-(1-piperidinylcarbonyl)phenyl]-1,1,1-trifluoromethanesulfonamide;

3-fluoro-*N*,*N*,2,4-tetramethyl-5-[[trifluoromethyl)sulfonyl]amino]benzamide;
 1,1,1-trifluoro-*N*-[3-fluoro-2,4-dimethyl-5-(4-morpholinylcarbonyl)phenyl]methanesulfonamide;

N-[2,4-dimethyl-5-(1-oxa-2-azaspiro[4.4]non-2-en-3-yl)phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2,4-dimethyl-5-[(3*a*R,6*a*R)-3*a*,5,6,6*a*-tetrahydro-4*H*-cyclopent[*d*]isoxazol-3-yl]phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2,4-dimethyl-5-(1-oxa-2-azaspiro[4.5]dec-2-en-3-yl)phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2,4-dimethyl-5-(3*a*,4,7,7*a*-tetrahydro-5*H*-pyrano[4,3-*d*]isoxazol-3-yl)phenyl]-1,1,1-trifluoromethanesulfonamide;

N-[2,4-dimethyl-5-(3*a*,6,7,7*a*-tetrahydro-4*H*-pyrano[3,4-*d*]isoxazol-3-yl)phenyl]-1,1,1-trifluoromethanesulfonamide;

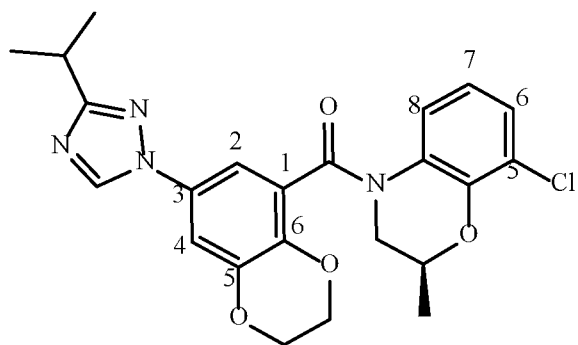
N-[2,4-dimethyl-5-(1-oxo-2-azaspiro[4.5]dec-2-yl)phenyl]-1,1,1-trifluoro-*N*-[(trifluoromethyl)sulfonyl]methanesulfonamide;

[[2,4-dimethyl-5-(1-oxa-2-azaspiro[4.4]non-2-en-3-yl)phenyl][(trifluoromethyl)sulfonyl]amino]methyl 2,2-dimethylpropanoate;
 [[2,4-dimethyl-5-(1-oxa-2-azaspiro[4.5]dec-2-en-3-yl)phenyl][(trifluoromethyl)sulfonyl]amino]methyl 2,2-dimethylpropanoate;
 5 [[2,4-dimethyl-5-[(3aR,6aR)-3a,5,6,6a-tetrahydro-4*H*-cyclopent[d]isoxazol-3-yl)phenyl][(trifluoromethyl)sulfonyl]amino]methyl 2,2-dimethylpropanoate;
 [[2,4-dimethyl-5-(1-oxo-2-azaspiro[4.5]dec-2-yl)phenyl][(trifluoromethyl)sulfonyl]amino]methyl 2,2-dimethylpropanoate;
 10 [[(trifluoromethyl)sulfonyl][2,3,4-trimethyl-5-(4-morpholinylcarbonyl)phenyl]amino]methyl 2,2-dimethylpropanoate;
 ethyl *N*-[(trifluoromethyl)sulfonyl]-*N*-[2,3,4-trimethyl-5-(1-piperidiny carbonyl)phenyl]carbamate;
 [[(trifluoromethyl)sulfonyl][2,3,4-trimethyl-5-(1-piperidiny carbonyl)phenyl]amino]methyl 2,2-dimethylpropanoate;
 15 1,1,1-trifluoro-*N*-[2,3,4-trimethyl-5-(4-morpholinylcarbonyl)phenyl]methanesulfonamide; and
 [[(trifluoromethyl)sulfonyl][2,3,4-trimethyl-5-[(3aR,6aR)-3a,5,6,6a-tetrahydro-4*H*-cyclopent[d]isoxazol-3-yl)phenyl]amino]methyl 2,2-dimethylpropanoate.

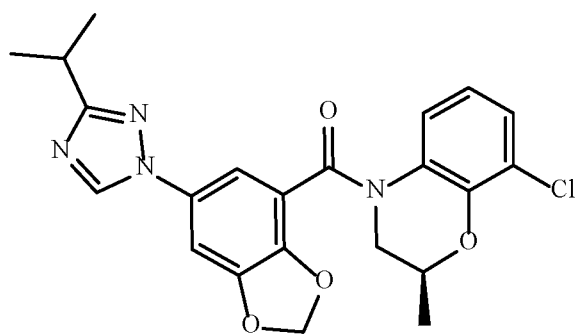
The compound of Formula 1 can also be mixed with a compound selected from the group
 20 consisting of

[(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][5-[3-(1,1-dimethylethyl)-1*H*-1,2,4-triazol-1-yl]-2-methylphenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][5-(3-ethyl-1*H*-1,2,4-triazol-1-yl)-2-methoxyphenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][2-ethyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][5-(3-cyclobutyl-1*H*-1,2,4-triazol-1-yl)-2-methylphenyl]methanone;
 [(2*S*)-8-chloro-2,3-dihydro-2-methyl-4*H*-1,4-benzoxazin-4-yl][3-(3-cyclobutyl-1*H*-1,2,4-triazol-1-yl)phenyl]methanone;
 [(2*S*)-2,3-dihydro-2,8-dimethyl-4*H*-1,4-benzoxazin-4-yl][2-methoxy-5-(1*H*-1,2,4-triazol-1-yl)phenyl]methanone;

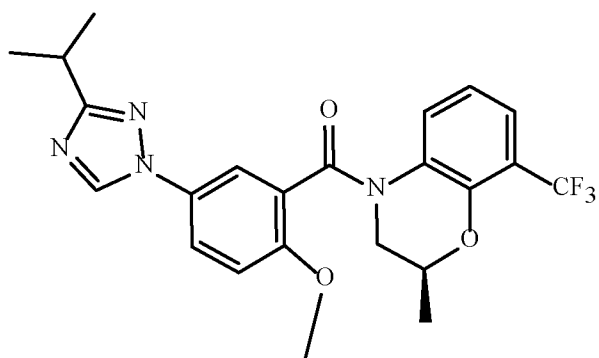
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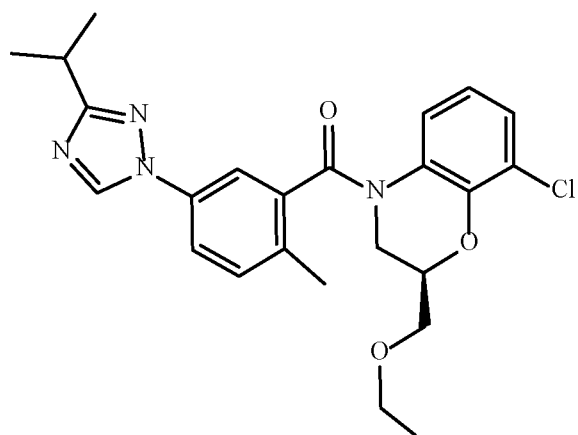
;



;



; and



.

The compound of Formula 1 can also be mixed with a compound selected from the group consisting of

2,2,2-trifluoroethyl (4S)-4-[[[(5S)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 2,2,2-trifluoroethyl (4S)-4-[[[(5R)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 2-(methylthio)ethyl (4S)-4-[[[(5S)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 2-(methylthio)ethyl (4S)-4-[[[(5R)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 methyl (4S)-4-[[[(5S)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 methyl (4S)-4-[[[(5R)-3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 methyl (4S)-4-[[[3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 1-methylethyl (1S,4R)-4-[[[3-(3-chloro-5-fluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-2-cyclopentene-1-carboxylate;
 methyl (1S,4R)-4-[[[3-(3-chloro-5-fluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-2-cyclopentene-1-carboxylate;
 2-methylpropyl (4S)-4-[[[3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylate;
 (1S,4R)-4-[[[3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-2-cyclopentene-1-carboxylic acid;
 (4S)-4-[[[3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-1-cyclopentene-1-carboxylic acid;
 (1S,4R)-4-[[[3-(3-chloro-5-fluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-2-cyclopentene-1-carboxylic acid;
 and
 ethyl (1S,4R)-4-[[[3-(3,5-difluorophenyl)-5-methyl-2-oxo-5-oxazolidinyl]carbonyl]amino]-2-cyclopentene-1-carboxylate.

The compound of Formula 1 can also be mixed with a compound selected from the group consisting of

- 4-[(*E*)-(3-bromo-1-naphthalenyl)(methoxyimino)methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone;
- 5 4-[(*Z*)-(3-bromo-1-naphthalenyl)(methoxyimino)methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone;

4-[(*E*)-(3-bromo-1-naphthalenyl)](2-propyn-1-yloxy)imino]methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone;

4-[(*E*)-(3-bromo-1-naphthalenyl)(ethoxyimino)methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone;

5 4-[(*Z*)-(4-fluoro-1-naphthalenyl)](2-propyn-1-yloxy)imino]methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone; and

4-[(*E*)-(4-fluoro-1-naphthalenyl)](2-propyn-1-yloxy)imino]methyl]-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone.

The compound of Formula 1 can also be mixed with a compound selected from the group
10 consisting of

4-[[2-(4-fluorophenyl)-5-methyl-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[2-(4-fluorophenyl)-5-methyl-2*H*-1,2,3-triazol-4-yl]oxy]-2-(trifluoromethyl)pyridine;

15 4-[[5-ethoxy-2-(4-fluorophenyl)-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[5-methoxy-2-[4-(trifluoromethyl)phenyl]-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

20 4-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[5-ethoxy-2-[4-(trifluoromethyl)phenyl]-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[5-(2,2,2-trifluoroethoxy)-2-[4-(trifluoromethyl)phenyl]-2*H*-1,2,3-triazol-4-yl]methyl]-2-(trifluoromethyl)pyridine;

25 4-[[5-ethyl-3-[4-(trifluoromethyl)phenyl]-1*H*-1,2,4-triazol-1-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[3-(4-fluorophenyl)-5-propyl-1*H*-1,2,4-triazol-1-yl]methyl]-2-(trifluoromethyl)pyridine;

30 4-[[5-ethoxy-3-(4-fluorophenyl)-1*H*-1,2,4-triazol-1-yl]methyl]-2-(trifluoromethyl)pyridine;

4-[[3-(4-fluorophenyl)-1-propyl-1*H*-1,2,4-triazol-5-yl]methyl]-2-(trifluoromethyl)pyridine; and

4-[[3-(4-fluorophenyl)-5-methoxy-1*H*-1,2,4-triazol-1-yl]methyl]-2-(trifluoromethyl)pyridine.

35 Other herbicides also include bioherbicides such as *Alternaria destruens* Simmons, *Colletotrichum gloeosporioides* (Penz.) Penz. & Sacc., *Drechslera monoceras* (MTB-951),

Myrothecium verrucaria (Albertini & Schweinitz) Ditmar: Fries, *Phytophthora palmivora* (Butl.) Butl. and *Puccinia thlaspeos* Schub.

Preferred for better control of undesired vegetation (e.g., lower use rate such as from enhanced effects, broader spectrum of weeds controlled, or enhanced crop safety) or for preventing the development of resistant weeds are mixtures of a compound of this invention with a herbicide selected from the group consisting of atrazine, azimsulfuron, *S*-bifluthiamid, benzisothiazolinone, bixlozone, beflubutamid-M, carfentrazone, carfentrazone-ethyl, chlorimuron, chlorimuron-ethyl, clomazone, clopyralid potassium, cloransulam-methyl, 2-[(2,4-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone, 2-[(2,5-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone, ethametsulfuron-methyl, florasulam, flumetsulam, 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5-(2*H*,4*H*)-dione, flupyr-sulfuron, flupyr-sulfuron-methyl, fluthiacet, fluthiacet-methyl, fomesafen, imazethapyr, lenacil, mesotrione, metolachlor, *S*-metolachlor, metribuzin, metsulfuron-methyl, nicosulfuron, pethoxamid, picloram, pinoxaden, pyroxasulfone, pyrox-sulam, quinclorac, rimsosafen, sulfentrazone, tembotrione, tetflupyrrolimet, thifensulfuron, thifensulfuron-methyl, tribenuron and tribenuron-methyl.

Compounds of this invention can also be used in combination with plant growth regulators such as aviglycine, *N*-(phenylmethyl)-1*H*-purin-6-amine, epocholeone, gibberellic acid, gibberellin A₄ and A₇, harpin protein, mepiquat chloride, prohexadione calcium, prohydrojasmon, sodium nitrophenolate and trinexapac-methyl, and plant growth modifying organisms such as *Bacillus cereus* strain BP01.

Compounds of this invention can also be used in combination with pheromones. Examples of pheromones include Formaldehyde; 2,2-Dibromoacetaldehyde; Acetaldehyde; 2-Methyl-2-propenal; 2-Methylpropanal; 2-Propenal; 3,3-Dibromo-2-propenal; Propanal; 2-Butenal; 2-Methyl-2-butenal; 2-Methylbutanal; 2-Methylenebutanal; 3-Methyl-2-butenal; 3-Methyl-3-butenal; 3-Methylbutanal; Butanal; (E)-2-Pentenal; 2-Methylenepentanal; 2-Pentenal; 3-Methyl-1-(vinyl-oxy)-butane; 4-Methylpentanal; 4-Pentenal; 5-Methylfurfural; Furan-2-carbaldehyde; Pentanal; (E)-2-Hexenal; (E)-2-Methyl-2-hexenal; (E)-3-Hexenal; (E)-4-oxo-2-Hexenal; (E,E)-2,4-Dimethyl-2,4-hexadienal; (E,E)-2,4-Hexadienal; (Z)-2-Hexenal; (Z)-3-Hexenal; (Z)-4-oxo-2-Hexenal; 1-Hexenal; 2,3-Dihydroxybenzaldehyde; 2-Hexenal; 3-((E)-2-Hexenoxy)-hexanal; 3,5-Dimethylhexanal; 3-Ethoxyhexanal; 3-Hydroxybenzaldehyde; 3-Hydroxyhexanal; 4-Hydroxy-3,5-dimethoxybenzaldehyde; 4-Hydroxybenzaldehyde; 5-Methylhexanal; Hexanal; (1*R*,2*S*,5*R*)-2-Methyl-5-((*R*)-1-oxopropan-2-yl)-cyclopentanecarbaldehyde; (1*R*,2*S*,5*S*)-2-Methyl-5-((*R*)-1-oxopropan-2-yl)-cyclopentanecarbaldehyde; (1*R*,5*S*)-6,6-Dimethylbicyclo[3.1.1]hept-2-ene-2-carbaldehyde; (1*S*,2*S*,5*R*)-2-Methyl-5-((*R*)-1-oxopropan-2-yl)-cyclopentanecarbaldehyde;

(3S,8R)-2-Methyl-5-(1-formylethyl)-1-cyclopentene-1-carbaldehyde; (3S,8S)-2-Methyl-5-(1-formylethyl)-1-cyclopentene-1-carbaldehyde; (5S,8S)-2-Methyl-5-(1-formylethyl)-1-cyclopentene-1-carbaldehyde; (E)-2-(2-Hydroxyethyl)-6-methyl-2,5-heptadienal; (E)-2-(2-Hydroxyethylidene)-6-methyl-5-heptenal; (E)-2-Heptenal; (E)-2-Isopropyl-5-methyl-2-hexenal; (E)-2-Methyl-2-heptenal; (E,Z)-2,4-Heptadienal; (R)-2,6-Dimethyl-5-heptenal; (S)-4-(Prop-1-en-2-yl)-cyclohex-1-enecarbaldehyde; (Z)-2-Isopropyl-5-methyl-2-hexenal; (Z,Z)-2,4-Heptadienal; 2-(3-Methylcyclopentyl)-propanal; 2-(3-Methylcyclopentyl)-propanal; 2,3,6-Tribromo-4,5-dihydroxybenzaldehyde; 2,3-Dibromo-4,5-dihydroxybenzaldehyde; 2,6-Dimethyl-5-heptenal; 2-Methoxybenzaldehyde; 2-Methyl-1-cyclopentenecarboxaldehyde; 2-Methyl-2-heptenal; 2-Methyl-5-(1-oxopropan-2-yl)-cyclopentanecarbaldehyde; 2-Methylcyclopent-1-enecarbaldehyde; 3,3-Dimethyl-5-oxo-7-oxabicyclo[4.1.0]heptane-1-carbaldehyde; 3,4-Dimethylbenzaldehyde; 3,5-Dibromo-4,5-dihydroxybenzaldehyde; 3,5-Dibromo-4-hydroxybenzaldehyde; 3-Bromo-4,5-dihydroxybenzaldehyde; 3-Bromo-4-hydroxybenzaldehyde; 3-Bromo-5-hydroxy-4-methoxybenzaldehyde; 3-Hydroxybenzene-1,2-dicarbaldehyde; 3-Methylbenzaldehyde; 4-(Heptyloxy)-butanal; 4-Methoxybenzaldehyde; 5-(1-Formylethyl)-2-methyl-2-cyclopentene-1-carbaldehyde; 6-Methyl-5-heptenal; 6-Methylheptanal; Benzaldehyde; Cartilagineal; Cyclohexanedial; Heptanal; Taxifolial D; (1R,2S)-cis-2-Isopropenyl-1-methylcyclobutaneethanal; (1S,2R,3S)-2-(1-Formylvinyl)-5-methylcyclopentanecarbaldehyde; (1S,2S,3S)-2-(1-Formylvinyl)-5-methylcyclopentanecarbaldehyde; (2Z,6E)-8-Chloro-6-chloromethyl-2-methyl-2,6-octadienal; (4S)-(3-Oxoprop-1-en-2-yl)-cyclohex-1-enecarbaldehyde; (E)-(3,3-Dimethyl)-cyclohexylideneacetaldehyde; (E)-2-(3,3-Dimethylcyclohexylidene)-acetaldehyde; (E)-2-(4-Methyl-3-pentenyl)-butenedial; (E)-2-(4-Methyl-3-pentenylidene)-butenedial; (E)-2,7-Octadienal; (E)-2-Methyl-2-octenal; (E)-2-Methyl-5-(3-furyl)-2-pentenal; (E)-2-Octenal; (E)-3,7-Dimethyl-2,6-octadienal; (E)-3,7-Dimethyl-2,6-octadienal; (E)-3-Octenal; (E)-4-oxo-2-Octenal; (E)-7-Methyl-2-octenal; (E,E)-2,4-Octadienal; (E,E)-2,6-Dimethyl-8-hydroxy-2,6-octadienal; (E,E)-2,6-Octadienal; (E,E)-2,6-Octadienedial; (E,Z)-2,4-Octadienal; (E,Z)-2,6-Octadienal; (R)-1,2-Dimethyl-3-methylenecyclopentyl-acetaldehyde; (R)-3,7-Dimethyl-6-octenal; (Z)-(3,3-Dimethyl)-cyclohexylideneacetaldehyde; (Z)-2-(3,3-Dimethylcyclohexylidene)-acetaldehyde; (Z)-3,7-Dimethyl-2,6-octadienal; (Z,E)-3,7-Dimethyl-2,6-octadienal; 1-Octenal; 2-(1-Formylvinyl)-5-methylcyclopentanecarbaldehyde; 2-(3,4-Dihydroxyphenyl)-2-oxoacetaldehyde; 2,6,6-Trimethyl-1-cyclohexene-1-carbaldehyde; 2-Ethyl-octanal; 2-Hydroxy-6-methylbenzaldehyde; 2-Methyl benzaldehyde; 2-Methyl-5-(1-formylethyl)-1-cyclopentene-1-carbaldehyde; 2-Octenal; 2-Phenylacetaldehyde; 2-Phenylpropenal; 3,4-Dihydroxyphenylglyoxal; 3,7-Dimethyl-6-octenal; 3-Ethoxy-4-hydroxybenzaldehyde; 3-Ethyl benzaldehyde; 3-Isopropyl-6-methyl benzaldehyde; 3-

Octenal; 3-oxo-4-Isopropylidene-1-cyclohexene-1-carboxyaldehyde; 4-Ethylbenzaldehyde; 4-Hydroxy-2-methyl benzaldehyde; 4-Hydroxy-3-methoxybenzaldehyde; 4-Isopropenyl-1-cyclohexene-1-carbaldehyde; 4-Isopropenyl-3-oxo-1-cyclohexene-1-carboxyaldehyde; 4S-4-Isopropenyl-3-oxo-1-cyclohexene-1-carboxyaldehyde; 5-Ethylcyclopent-1-ene-carbaldehyde; 6,6-Dimethylbicyclo[3.1.1]hept-2-ene-2-carbaldehyde; 6-Methyloctanal; 7-Methyloctanal; Anisomorphal; cis-2-Isopropenyl-1-methylcyclobutaneethanal; Octanal; Peruphasmal; (1R,2S,6R)-2,6-Dimethyl-3-oxabicyclo[4.2.0]octane-2-carbaldehyde; (E)-2-Methyl-2-nonenal; (E)-2-Nonenal; (E)-3-Phenyl-2-propenal; (E)-4,8-Nonadienal; (E)-8-Methyl-2-nonenal; (E,E)-2,4-Nonadienal; (E,E,E)-2,4,6-Nonatrienal; (E,E,Z)-2,4,6-Nonatrienal; (E,Z)-2,6-Nonadienal; (E,Z,Z)-2,4,6-Nonatrienal; (Z)-2-Methyl-2-nonenal; (Z)-3-Nonenal; (Z)-4,8-Nonadienal; (Z)-4-Nonenal; (Z)-8-Methyl-2-nonenal; 2,6-Nonadienal; 2-Formyl-3-methylcyclopenteneacetaldehyde; 2-Nonenal; 2-Phenyl-2-butenal; 3-(4-Methoxyphenyl)-2-propenal; 3,5-di-tert-Butyl-4-hydroxybenzaldehyde; 3-Phenyl-2-propenal; 3-Phenylpropanal; 6-Ethyl benzaldehyde; 7,7-Dimethylbicyclo[4.1.0]hept-3-ene-3-carbaldehyde; 7-Methylnonanal; 8-Methylnonanal; 9-Acetyloxynonanal; Gibepyrone C; Nonanal; (4R,8R)-4,8-Dimethyldecanal; (4R,8S)-4,8-Dimethyldecanal; (E)-17,18,19,20-Tetranorloba-8,10,13(15)-trien-16-al; (E)-2,9-Decadienal; (E)-2-Decenal; (E)-2-Methyl-2-decenal; (E)-2-Methyl-3-(2,3-dibromo-4,5-dihydroxyphenyl)-propenal; (E)-4-oxo-2-Decenal; (E)-8-Hydroxy-4,8-dimethyl-4,9-decadienal; (E)-9-Methyl-2-decenal; (E,E)-2,4-Decadienal; (E,Z)-2,4-Decadienal; (Z)-4-Decenal; (Z)-5-Decenal; (Z)-9-Methyl-2-decenal; (Z,Z)-2,4-Decadienal; 1-Decenal; 2-Decenal; 2-Ethyldecanal; 3-(2,3-Dibromo-4,5-dihydroxyphenyl)-2-methylpropanal; 4,5-Dimethyldecanal; 4,8-Dimethyldecanal; Caraibical; Decanal; Rogiolal; (2E,4E)-2,6,10-Trimethylundeca-2,4,9-trienal; (2E,4E,7Z)-2,6,10-Trimethylundeca-2,4,7,9-tetraenal; (5E)-2,6,10-Trimethylundeca-5,9-dienal; (E)-2-Undecenal; (E)-6-Ethyl-2,10-dimethyl-5,9-undecadienal; (Z)-4-Undecenal; 10-Undecenal; 2-Butyl-2-octenal; 2-Undecenal; 3-Isopropyl-6-methyl-10-oxoundeca-2,6-dienal; 5-Methyl-2-phenyl-2-hexenal; 8-Isopropyl-5-methyl-3,4,4a,5,6,7,8,8a-octahydronaphthalene-2-carbaldehyde; Austrodoral; Oxytoxin 1; syn-4,6-Dimethylundecanal; Taxifolial A; Taxifolial B; Taxifolial C; Undecanal; (1R,6R,7S,10R)-1-Hydroxy-4-cadinen-15-al; (2R,7S,11R)-7-Acetoxy-2-hydroxynardosin-1(10)-en-12-al; (3R,5R,9R)-3,5,9-Trimethyldodecanal; (3S,6E)-7-Ethyl-3,11-dimethyldodeca-6,10-dienal; (9R)-3,5,9-Trimethyldodecanal; (E)-10-Dodecenal; (E)-2-Dodecenal; (E)-3,7,11-Trimethyl-6,10-dodecadienal; (E)-5-Dodecenal; (E)-6-Dodecenal; (E)-7-Dodecenal; (E)-8-Dodecenal; (E)-9,11-Dodecadienal; (E)-9-Dodecenal; (E,E)-3,7,11-Trimethyl-2,6,10-dodecatrienal; (E,E)-7-Ethyl-3,11-dimethyl-2,6,10-dodecatrienal; (E,E)-8,10-Dodecadienal; (E,E,E)-3,7-Dimethyl-8,11-dioxo-2,6,9-dodecatrienal; (E,E,Z)-3,7-Dimethyl-8,11-dioxo-2,6,9-dodecatrienal; (E,Z)-2,6-

- Dodecadienal; (E,Z)-5,7-Dodecadienal; (E,Z)-7,9-Dodecadienal; (E,Z)-8,10-Dodecadienal; (R)-10-Oxo-isodauc-3-en-15-al; (S,E)-3,7,11-Trimethyl-6,10-dodecadienal; (Z)-2-Methyl-5-((1R,5R,6S)-2,6-dimethylbicyclo[3.1.1]hept-2-en-6-yl)-pent-2-enal; (Z)-5-Dodecenal; (Z)-7-Dodecenal; (Z)-9,11-Dodecadienal; (Z)-9-Dodecenal; (Z,E)-3,7,11-Trimethyl-2,6,10-dodecatrienal; (Z,E)-5,7-Dodecadienal; (Z,E)-7-Ethyl-3,11-dimethyl-2,6,10-dodecatrienal; (Z,E)-8,10-Dodecadienal; (Z,Z)-5,7-Dodecadienal; 10-Methyldodecanal; 2,10-Dibromo-3-chloro-7-chamigrene; 2-Dodecenal; 2-Ethyldodecanal; 2-Formylguaiazulene; 3,7,11-Trimethyl-(E)-6,10-dodecadienal; 5-Hydroxy-8-methoxycalamanen-15-al; 5-Hydroxy-8-methoxycalamenene-15-al; Aplysinal; Debromoaplysinal; Dodecanal; Parahigginol D; Polygodial; Sclerosporal; Sinuketol; syn-4,6-Dimethyldodecanal; trans-Calamenen-13-al; (3R,5S,9R,7E,11E)-3,5,9,11-Tetramethyl-7,11-tridecadienal; (3S,4R,6E,10Z)-3,4,7,11-Tetramethyl-6,10-tridecadienal; (E,E)-3,5,9,11-Tetramethyltrideca-7,11-dienal; (Z)-4-Tridecenal; 13,14,15,16-Tetranorclerod-3-en-12-al; 13-Acetyloxytridecanal; 4,6-bis(4-Methylpent-3-en-1-yl)-6-methylcyclo-1,3-hexadienecarbaldehyde; Acanthodoral; Ancistrodial; Cespitulinal F; Isoacanthodoral; Tridecanal; (E)-11,13-Tetradecadienal; (E)-11-Tetradecenal; (E,E)-8,10-Tetradecadienal; (E,Z)-4,9-Tetradecadienal; (E,Z)-8,10-Tetradecadienal; (Z)-11,13-Tetradecadienal; (Z)-11-Tetradecenal; (Z)-5-Tetradecenal; (Z)-7-Tetradecenal; (Z)-8-Tetradecenal; (Z)-9,13-Tetradecadien-11-ynal; (Z)-9-Tetradecenal; (Z,E)-9,11,13-Tetradecatrienal; (Z,E)-9,11-Tetradecadienal; (Z,E)-9,12-Tetradecadienal; (Z,Z)-5,8-Tetradecadienal; (Z,Z)-8,10-Tetradecadienal; (Z,Z)-9,11-Tetradecadienal; 10,12-Tetradecadienal; 2,4-Tetradecadienal; 2-Ethyltetradecanal; 3-oxo-13-Tetradecenal; 3-oxo-Tetradecanal; 5,8-Tetradecadienal; 5-Tetradecenal; Norasperenal A; Norasperenal B; Norasperenal C; Norasperenal D; Sargasal I; Sargasal II; Tetradecanal; (6R)-6-Acetoxidichotoma-3,14-diene-1,17-dial; (6R)-6-Hydroxydichotoma-3,14-diene-1,17-dial; (E,E)-3,7,11,15-Tetramethyl-6,10,14-hexadecatrienal; (E,Z)-6,8-Pentadecadienal; (E,Z)-9,11-Pentadecadienal; (E,Z,Z)-2,6,9-Pentadecatrienal; (Z)-10-Pentadecenal; (Z)-2-Chloropentadec-2-enal; (Z)-6,14-Pentadecadienal; (Z,Z)-6,9-Pentadecadienal; (Z,Z)-9,11-Pentadecadienal; 2-Hexyl-2-decenal; Azamial A; Azamial B; Isopachydictyolal; Pentadecanal; Sinularial A; Umbellacin A; Xeniafaraunol A; (1R)-Pimaral; (E)-10-Hexadecenal; (E)-11-Hexadecenal; (E)-14-Hexadecenal; (E)-14-Methyl-8-hexadecenal; (E)-3,7,11,15-Tetramethyl-2-hexadecenal; (E,E)-10,12-Hexadecadienal; (E,E)-10,14-Hexadecadienal; (E,E)-11,13-Hexadecadienal; (E,E)-9,11-Hexadecadienal; (E,E,E)-10,12,14-Hexadecatrienal; (E,E,E)-3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenal; (E,E,Z)-10,12,14-Hexadecatrienal; (E,E,Z)-4,6,11-Hexadecatrienal; (E,E,Z,Z)-4,6,11,13-Hexadecatetraenal; (E,Z)-10,12-Hexadecadienal; (E,Z)-11,13-Hexadecadienal; (E,Z)-4,6-Hexadecadienal; (E,Z)-6,11-Hexadecadienal; (E,Z)-8,11-Hexadecadienal; (E,Z)-9,11-

- Hexadecadienal; (R)-(E)-14-Methyl-8-hexadecenal; (R)-(Z)-14-Methyl-8-hexadecenal; (S)-(E)-14-Methyl-8-hexadecenal; (S)-(Z)-14-Methyl-8-hexadecenal; (Z)-10-Hexadecenal; (Z)-11-Hexadecenal; (Z)-12-Hexadecenal; (Z)-13-Hexadecen-11-ynal; (Z)-14-Methyl-8-hexadecenal; (Z)-3,7,11,15-Tetramethyl-2-hexadecenal; (Z)-3-oxo-9-Hexadecenal; (Z)-7-Hexadecenal; (Z)-9-Hexadecenal; (Z,E)-10,12-Hexadecadienal; (Z,E)-11,13-Hexadecadienal; (Z,E)-7,11-Hexadecadienal; (Z,E)-9,11-Hexadecadienal; (Z,Z)-10,12-Hexadecadienal; (Z,Z)-11,13-Hexadecadienal; (Z,Z)-7,10-Hexadecadienal; (Z,Z)-7,11-Hexadecadienal; (Z,Z)-9,11-Hexadecadienal; (Z,Z,E)-7,11,13-Hexadecatrienal; 11-Hexadecenal; 11-Hexadecynal; 13(16),14-Spongiadien-19-al; 2-Methylhexadecanal; 7-Hexadecenal; 9-Hexadecenal; Deacetyl-dihydro-nor-thuridillonal; Dictyodial A; Dihydro-nor-thuridillonal; Hexadecanal; Keikipukalide A; Keikipukalide B; Keikipukalide C; Keikipukalide D; Keikipukalide E; Nor-thuridillonal; Pseudoplexaural; Pukalide aldehyde; Sanadaol; (E)-2-Tridecyl-2-heptadecenal; (Z)-9-Heptadecenal; 1-Heptadecenal; 2-Heptadecenal; Globostelletin C; Globostelletin D; Heptadecanal; (E)-11-Octadecenal; (E)-13-Octadecenal; (E)-14-Octadecenal; (E)-2-Octadecenal; (E)-6-Octadecenal; (E,E)-11,14-Octadecadienal; (E,Z)-2,13-Octadecadienal; (E,Z)-3,13-Octadecadienal; (E,Z)-6,11-Octadecadienal; (Z)-11-Octadecenal; (Z)-13-Octadecenal; (Z)-9-Octadecenal; (Z,E)-13,15-Octadecadienal; (Z,Z)-11,13-Octadecadienal; (Z,Z)-13,15-Octadecadienal; (Z,Z)-3,13-Octadecadienal; (Z,Z)-9,12-Octadecadienal; (Z,Z,Z)-9,12,15-Octadecatrienal; 11-Octadecenal; 13,15-Octadecadienal; 13-Octadecenal; 16-Methyloctadecanal; 1-Octadecenal; 3,6-Dihydroxy-24-nor-9-oxo-9,11-secocholesta-7,22-dien-11-al; 9-Octadecenal; Methyloctadecanal; Octadecanal; Panicein B2; Panicein B3; Panicein C; (Z)-10-Nonadecenal; (Z)-9-Nonadecenal; 9(11)-Pargueren-16-al; Hyrtiosal; Nonadecanal; (2E,6Z,9Z)-2-Methyl-2,6,9-eicosatrienal; (Z)-11-Eicosenal; 11-Eicosenal; 12,18-di-Episcalaradial; 12b-(3'b-Hydroxybutanoyloxy)-20,24-dimethyl-24-oxo-scalaral-16-en-25-al; 12b-(3'b-Hydroxypentanoyloxy)-20,24-dimethyl-24-oxo-scalaral-16-en-25-al; 12-Deacetoxy-12-oxo-scalaradial; 12-Episcalaradial; 15-Eicosenal; 1-Eicosenal; 3-Deacetyl-22,23-dihydro-24,28-dehydroluffasterol B; 3-Deacetyl-luffasterol B; 9-Eicosenal; Anthogorgiene B; Deacetyl-scalaradial; Eicosadienal; Eicosanal; Mooloolabene A; Mooloolabene B; Scalaradial; and combinations thereof.
- Of note as an example of pheromones include (Z)-5-decenyl acetate, dodecanyl acetate, (Z)-7-dodecenyl acetate, (E)-7-dodecenyl acetate, (Z)-8-dodecenyl acetate, (E)-8-dodecenyl acetate, (Z)-9-dodecenyl acetate, (E)-9-dodecenyl acetate, (E)-10-dodecenyl acetate, 11-dodecenyl acetate, (Z)-9,11-dodecadienyl acetate, (E)-9,11-dodecadienyl acetate, (Z)-11-tridecenyl acetate, (E)-11-tridecenyl acetate, tetradecanyl acetate, (E)-7-tetradecenyl acetate, (Z)-8-tetradecenyl acetate, (E)-8-tetradecenyl acetate, (Z)-9-tetradecenyl acetate, (E)-9-tetradecenyl acetate, (Z)-10-tetradecenyl acetate, (E)-10-tetradecenyl acetate, (Z)-11-

tetradecenyl acetate, (E)-11-tetradecenyl acetate, (Z)-12-pentadecenyl acetate, (E)-12-pentadecenyl acetate, hexadecanyl acetate, (Z)-7-hexadecenyl acetate, (Z)-11-hexadecenyl acetate, (E)-11-hexadecenyl acetate, octadecanyl acetate, (E,Z)-7,9-dodecadienyl acetate, (Z,E)-7,9-dodecadienyl acetate, (E,E)-7,9-dodecadienyl acetate, (Z,Z)-7,9-dodecadienyl acetate, (E,E)-8,10-dodecadienyl acetate, (E,Z)-9,12-dodecadienyl acetate, (E,Z)-4,7-tridecadienyl acetate, (E,E)-9,11-tetradecadienyl acetate, (Z,Z)-9,12-tetradecadienyl acetate, (Z,Z)-7,11-hexadecadienyl acetate, (E,Z)-7,11-hexadecadienyl acetate, (Z,E)-7,11-hexadecadienyl acetate, (E,E)-7,11-hexadecadienyl acetate, (Z,E)-3,13-octadecadienyl acetate, (E,Z)-3,13-octadecadienyl acetate, (E,E)-3,13-octadecadienyl acetate, decanol, (Z)-6-nonenol, (E)-6-nonenol, dodecanol, (Z)-5-decenol, 11-dodecenol, (Z)-7-dodecenol, (E)-7-dodecenol, (Z)-8-dodecenol, (E)-8-dodecenol, (E)-9-dodecenol, (Z)-9-dodecenol, (E)-9,11-dodecadienol, (Z)-9,11-dodecadienol, (Z,E)-5,7-dodecadienol, (E,E)-5,7-dodecadienol, (E,E)-8,10-dodecadien-1-ol, (E,Z)-8,10-dodecadienol, (Z,Z)-8,10-dodecadienol, (Z,E)-8,10-dodecadienol, (E,Z)-7,9-dodecadienol, (Z,Z)-7,9-dodecadienol, (E)-5-tetradecenol, (Z)-8-tetradecenol, (Z)-9-tetradecenol, (E)-9-tetradecenol, (Z)-10-tetradecenol, (Z)-11-tetradecenol, (E)-11-tetradecenol, (Z)-11-hexadecenol, (Z,E)-9,11-tetradecadienol, (Z,E)-9,12-tetradecadienol, (Z,Z)-9,12-tetradecadienol, (Z,Z)-10,12-tetradecadienol, (Z,Z)-7,11-hexadecadienol, (Z,E)-7,11-hexadecadienol, (E)-14-methyl-8-hexadecen-1-ol, (Z)-14-methyl-8-hexadecen-1-ol, (E,E)-10,12-hexadecadienol, (E,Z)-10,12-hexadecadienol, dodecanal, (Z)-9-dodecanal, tetradecanal, (Z)-7-tetradecenal, (Z)-9-tetradecenal, (Z)-11-tetradecenal, (E)-11-tetradecenal, (E)-11,13-tetradecadienal, (E,E)-8,10-tetradecadienal, (Z,E)-9,11-tetradecadienal, (Z,E)-9,12-tetradecadienal, hexadecanal, (Z)-8-hexadecenal, (Z)-9-hexadecenal, (Z)-10-hexadecenal, (E)-10-hexadecenal, (Z)-11-hexadecenal, (E)-hexadecenal, (Z)-12-hexadecenal, (Z)-13-hexadecenal, (Z)-14-methyl-8-hexadecenal, (E)-14-methyl-8-hexadecenal, (Z,Z)-7,11-hexadecadienal, (Z,E)-7,11-hexadecadienal, (Z,E)-9,11-hexadecadienal, (E,E)-10,12-hexadecadienal, (E,Z)-10,12-hexadecadienal, (Z,E)-10,12-hexadecadienal, (Z,Z)-10,12-hexadecadienal, (Z,Z)-11,13-hexadecadienal, octadecenal, (Z)-11-octadecenal, (E)-13-octadecenal, (Z)-13-octadecenal, (Z)-5-decenyl 3-methylbutanoate, (+) cis-7,8-epoxy-2-methyloctadecane.

Also of note as examples of pheromones include citral; geranial; neral; tetradecan-1-al; pentadecan-1-al; pentadecen-1-al; hexadecan-1-al; (Z)-9-hexadecen-1-al; (Z)-11-hexadecen-1-al; (7E,9E)-undeca-7,9-dien-1-al; (11Z, 13Z)-hexadecadien-1-al; (9Z,12E)-tetradecadien-1-al; (8E,10E)-dodecadien-1-al; (11Z)-hexadecadien-1-al; (9Z)-tetradecen-1-al; 6,10-dimethyl-5,9-undecadien-2-ol; (6E)-7,11-dimethyl-3-methylene-1,6,10-dodecatriene; [1S-(1a,2b,5 a)]-4,6,6-trimethyl-Bicyclo[3.1.1]hept-3-en-2-ol; 10-Hexadecenal; (Z)-10-hexadecenal; (E)-10-hexadecenal; and combinations thereof.

General references for agricultural protectants (i.e., herbicides, herbicide safeners, insecticides, fungicides, nematocides, acaricides and biological agents) include *The Pesticide Manual, 13th Edition*, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2003 and *The BioPesticide Manual, 2nd Edition*, L. G. Copping, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2001.

For embodiments where one or more of these various mixing partners are used, the mixing partners are typically used in the amounts similar to amounts customary when the mixture partners are used alone. More particularly in mixtures, active ingredients are often applied at an application rate between one-half and the full application rate specified on product labels for use of active ingredient alone. These amounts are listed in references such as *The Pesticide Manual* and *The BioPesticide Manual*. The weight ratio of these various mixing partners (in total) to the compound of Formula 1 is typically between about 1:3000 and about 3000:1. Of note are weight ratios between about 1:300 and about 300:1 (for example ratios between about 1:30 and about 30:1). One skilled in the art can easily determine through simple experimentation the biologically effective amounts of active ingredients necessary for the desired spectrum of biological activity. It will be evident that including these additional components may expand the spectrum of weeds controlled beyond the spectrum controlled by the compound of Formula 1 alone.

In certain instances, combinations of a compound of this invention with other biologically active (particularly herbicidal) compounds or agents (i.e., active ingredients) can result in a greater-than-additive (i.e., enhanced) effect on weeds and/or a less-than-additive effect (i.e., safening) on crops or other desirable plants. Reducing the quantity of active ingredients released in the environment while ensuring effective pest control is always desirable. Ability to use greater amounts of active ingredients to provide more effective weed control without excessive crop injury is also desirable. When the enhanced effects of herbicidal mixtures of active ingredients occurs on weeds at application rates giving agronomically satisfactory levels of weed control, such combinations can be advantageous for reducing crop production cost and decreasing environmental load. When safening of herbicidal active ingredients occurs on crops, such combinations can be advantageous for increasing crop protection by reducing weed competition.

Of note is a combination of a compound of the invention with at least one other herbicidal active ingredient. Of particular note is such a combination where the other herbicidal active ingredient has different site of action from the compound of the invention. In certain instances, a combination with at least one other herbicidal active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a composition of the present invention can further comprise

(in a herbicidally effective amount) at least one additional herbicidal active ingredient having a similar spectrum of control but a different site of action.

Compounds of this invention can also be used in combination with herbicide safeners such as allidochlor, benoxacor, cloquintocet-mexyl, cumyluron, cyometrinil, cyprosulfonamide, daimuron, dichlormid, dicyclonon, dietholate, dimepiperate, fenchlorazole-ethyl, fenclorim, flurazole, fluxofenim, furilazole, isoxadifen-ethyl, mefenpyr-diethyl, mephenate, methoxyphenone naphthalic anhydride (1,8-naphthalic anhydride), oxabetrinil, *N*-(aminocarbonyl)-2-methylbenzenesulfonamide, *N*-(aminocarbonyl)-2-fluorobenzenesulfonamide, 1-bromo-4-[(chloromethyl)sulfonyl]benzene (BCS), 4-(dichloroacetyl)-1-oxa-4-azospiro[4.5]decane (MON 4660), 2-(dichloromethyl)-2-methyl-1,3-dioxolane (MG 191), ethyl 1,6-dihydro-1-(2-methoxyphenyl)-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2-hydroxy-*N,N*-dimethyl-6-(trifluoromethyl)pyridine-3-carboxamide, and 3-oxo-1-cyclohexen-1-yl 1-(3,4-dimethylphenyl)-1,6-dihydro-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2,2-dichloro-1-(2,2,5-trimethyl-3-oxazolidinyl)-ethanone and 2-methoxy-*N*-[[4-[[[(methylamino)carbonyl]amino]phenyl]sulfonyl]-benzamide to increase safety to certain crops. Antidotally effective amounts of the herbicide safeners can be applied at the same time as the compounds of this invention, or applied as seed treatments. Therefore an aspect of the present invention relates to a herbicidal mixture comprising a compound of this invention and an antidotally effective amount of a herbicide safener. Seed treatment is particularly useful for selective weed control, because it physically restricts antidoting to the crop plants. Therefore a particularly useful embodiment of the present invention is a method for selectively controlling the growth of undesired vegetation in a crop comprising contacting the locus of the crop with a herbicidally effective amount of a compound of this invention wherein seed from which the crop is grown is treated with an antidotally effective amount of safener. Antidotally effective amounts of safeners can be easily determined by one skilled in the art through simple experimentation.

Compounds of the invention can also be mixed with: (1) polynucleotides including but not limited to DNA, RNA, and/or chemically modified nucleotides influencing the amount of a particular target through down regulation, interference, suppression or silencing of the genetically derived transcript that render a herbicidal effect; or (2) polynucleotides including but not limited to DNA, RNA, and/or chemically modified nucleotides influencing the amount of a particular target through down regulation, interference, suppression or silencing of the genetically derived transcript that render a safening effect.

Of note is a composition comprising a compound of the invention (in a herbicidally effective amount), at least one additional active ingredient selected from the group consisting

of other herbicides and herbicide safeners (in an effective amount), and at least one component selected from the group consisting of surfactants, solid diluents and liquid diluents.

Table A1 lists specific combinations of a Component (a) with Component (b) illustrative of the mixtures, compositions and methods of the present invention. Compound No. (Compound Number) (i.e., Compound 1) in the Component (a) column is identified in Index Table A. The second column of Table A1 lists the specific Component (b) compound (e.g., “2,4-D” in the first line). The third, fourth and fifth columns of Table A1 lists ranges of weight ratios for rates at which the Component (a) compound is typically applied to a field-grown crop relative to Component (b) (i.e., (a):(b)). Thus, for example, the first line of Table A1 specifically discloses the combination of Component (a) (i.e., Compound 1 in Index Table A) with 2,4-D is typically applied in a weight ratio between 1:384–6:1. The remaining lines of Table A1 are to be construed similarly.

TABLE A1

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	2,4-D	1:384–6:1	1:128 – 2:1	1:12 – 1:2
1	Acetochlor	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Acifluorfen	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Aclonifen	1:1714 – 2:1	1:571 – 1:3	1:53 – 1:6
1	Alachlor	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Ametryn	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Amicarbazone	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Amidosulfuron	1:13 – 168:1	1:4 – 56:1	2:1 – 21:1
1	Aminocyclopyrachlor	1:96 – 24:1	1:32 – 8:1	1:3 – 3:1
1	Aminopyralid	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Amitrole	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Anilofos	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Asulam	1:1920 – 2:1	1:640 – 1:3	1:60 – 1:7
1	Atrazine	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Azimsulfuron	1:13 – 168:1	1:4 – 56:1	2:1 – 21:1
1	Beflubutamid	1:685 – 4:1	1:228 – 2:1	1:21 – 1:3
1	Benfuresate	1:1234 – 2:1	1:411 – 1:2	1:38 – 1:5
1	Bensulfuron-methyl	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Bentazone	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Benzobicyclon	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Benzofenap	1:514 – 5:1	1:171 – 2:1	1:16 – 1:2

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	Bicyclopyrone	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Bifenox	1:514 – 5:1	1:171 – 2:1	1:16 – 1:2
1	Bispyribac-sodium	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Bromacil	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Bromobutide	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Bromoxynil	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Butachlor	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Butafenacil	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Butylate	1:3085 – 1:2	1:1028 – 1:5	1:96 – 1:11
1	Carfenstrole	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Carfentrazone-ethyl	1:257 – 9:1	1:85 – 3:1	1:8 – 2:1
1	Chlorimuron-ethyl	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Chlorotoluron	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Chlorsulfuron	1:13 – 168:1	1:4 – 56:1	2:1 – 21:1
1	Cincosulfuron	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Cinidon-ethyl	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Cinmethylin	1:68 – 34:1	1:22 – 12:1	1:2 – 5:1
1	Clacyfos	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Clethodim	1:96 – 24:1	1:32 – 8:1	1:3 – 3:1
1	Clodinafop-propargyl	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Clomazone	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Clomeprop	1:342 – 7:1	1:114 – 3:1	1:10 – 1:2
1	Clopyralid	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Cloransulam-methyl	1:24 – 96:1	1:8 – 32:1	1:1 – 12:1
1	Cumyluron	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Cyanazine	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Cyclopyrimorate	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Cyclosulfamuron	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Cycloxydim	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Cyhalofop	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Daimuron	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Desmedipham	1:644 – 4:1	1:214 – 2:1	1:20 – 1:3
1	Dicamba	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	Dichlobenil	1:2742 – 1:2	1:914 – 1:4	1:85 – 1:10
1	Dichlorprop	1:1851 – 2:1	1:617 – 1:3	1:57 – 1:7
1	Diclofop-methyl	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Diclosulam	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Difenzoquat	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Diflufenican	1:1714 – 2:1	1:571 – 1:3	1:53 – 1:6
1	Diflufenzopyr	1:24 – 96:1	1:8 – 32:1	1:1 – 12:1
1	Dimethachlor	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Dimethametryn	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Dimethenamid-P	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Dithiopyr	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Diuron	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	EPTC	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Esprocarb	1:2742 – 1:2	1:914 – 1:4	1:85 – 1:10
1	Ethalfuralin	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Ethametsulfuron-methyl	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Ethoxyfen	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Ethoxysulfuron	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Etobenzanid	1:514 – 5:1	1:171 – 2:1	1:16 – 1:2
1	Fenoxaprop-ethyl	1:240 – 10:1	1:80 – 4:1	1:7 – 2:1
1	Fenoxasulfone	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Fenquinotrione	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Fentrazamide	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Flazasulfuron	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Florasulam	1:5 – 420:1	1:1 – 140:1	5:1 – 53:1
1	Fluazifop-butyl	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Flucarbazone	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Flucetosulfuron	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Flufenacet	1:514 – 5:1	1:171 – 2:1	1:16 – 1:2
1	Flumetsulam	1:48 – 48:1	1:16 – 16:1	1:1 – 6:1
1	Flumiclorac-pentyl	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Flumioxazin	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Fluometuron	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	Flupyrsulfuron-methyl	1:6 – 336:1	1:2 – 112:1	4:1 – 42:1
1	Fluridone	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Fluroxypyr	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Flurtamone	1:1714 – 2:1	1:571 – 1:3	1:53 – 1:6
1	Fluthiacet-methyl	1:96 – 42:1	1:32 – 14:1	1:1 – 6:1
1	Fomesafen	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Foramsulfuron	1:27 – 84:1	1:9 – 28:1	1:1 – 11:1
1	Glufosinate	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Glyphosate	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Halosulfuron-methyl	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Halauxifen	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Halauxifen methyl	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Haloxypyr-methyl	1:68 – 34:1	1:22 – 12:1	1:2 – 5:1
1	Hexazinone	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Imazamox	1:27 – 84:1	1:9 – 28:1	1:1 – 11:1
1	Imazapic	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Imazapyr	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Imazaquin	1:68 – 34:1	1:22 – 12:1	1:2 – 5:1
1	Imazethabenz-methyl	1:342 – 7:1	1:114 – 3:1	1:10 – 1:2
1	Imazethapyr	1:48 – 48:1	1:16 – 16:1	1:1 – 6:1
1	Imazosulfuron	1:54 – 42:1	1:18 – 14:1	1:1 – 6:1
1	Indanofan	1:685 – 4:1	1:228 – 2:1	1:21 – 1:3
1	Indaziflam	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Iodosulfuron-methyl	1:6 – 336:1	1:2 – 112:1	4:1 – 42:1
1	Ioxynil	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Ipfencarbazone	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Isoproturon	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Isoxaben	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Isoxaflutole	1:120 – 20:1	1:40 – 7:1	1:3 – 3:1
1	Lactofen	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Lenacil	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Linuron	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	MCPA	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	MCPB	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Mecoprop	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Mefenacet	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Mefluidide	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Mesosulfuron-methyl	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Mesotrione	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Metamifop	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Metazachlor	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Metazosulfuron	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Methabenzthiazuron	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Metolachlor	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Metosulam	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Metribuzin	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Metsulfuron-methyl	1:4 – 560:1	1:1 – 187:1	7:1 – 70:1
1	Molinate	1:2057 – 2:1	1:685 – 1:3	1:64 – 1:8
1	Napropamide	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Napropamide-M	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Naptalam	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Nicosulfuron	1:24 – 96:1	1:8 – 32:1	1:1 – 12:1
1	Norflurazon	1:2304 – 1:1	1:768 – 1:3	1:72 – 1:8
1	Orbencarb	1:2742 – 1:2	1:914 – 1:4	1:85 – 1:10
1	Orthosulfamuron	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Oryzalin	1:1028 – 3:1	1:342 – 1:2	1:32 – 1:4
1	Oxadiargyl	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Oxadiazon	1:1097 – 3:1	1:365 – 1:2	1:34 – 1:4
1	Oxasulfuron	1:54 – 42:1	1:18 – 14:1	1:1 – 6:1
1	Oxaziclomefone	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Oxyfluorfen	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Paraquat	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Pendimethalin	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Penoxsulam	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Pentoxamid	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Pentoxazone	1:205 – 12:1	1:68 – 4:1	1:6 – 2:1

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	Phenmedipham	1:205 – 12:1	1:68 – 4:1	1:6 – 2:1
1	Picloram	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Picolinafen	1:68 – 34:1	1:22 – 12:1	1:2 – 5:1
1	Pinoxaden	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Pretilachlor	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Primisulfuron-methyl	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Prodiamine	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Profoxydim	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Prometryn	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Propachlor	1:2304 – 1:1	1:768 – 1:3	1:72 – 1:8
1	Propanil	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Propaquizafop	1:96 – 24:1	1:32 – 8:1	1:3 – 3:1
1	Propoxycarbazone	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Propyrisulfuron	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Propyzamide	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Prosulfocarb	1:2400 – 1:2	1:800 – 1:4	1:75 – 1:9
1	Prosulfuron	1:13 – 168:1	1:4 – 56:1	2:1 – 21:1
1	Pyraclonil	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Pyraflufen-ethyl	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Pyrasulfotole	1:27 – 84:1	1:9 – 28:1	1:1 – 11:1
1	Pyrazolynate	1:1714 – 2:1	1:571 – 1:3	1:53 – 1:6
1	Pyrazosulfuron-ethyl	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Pyrazoxyfen	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Pyribenzoxim	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Pyributicarb	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Pyridate	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Pyriftalid	1:20 – 112:1	1:6 – 38:1	1:1 – 14:1
1	Pyriminobac-methyl	1:41 – 56:1	1:13 – 19:1	1:1 – 7:1
1	Pyrimisulfan	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Pyriothiobac	1:48 – 48:1	1:16 – 16:1	1:1 – 6:1
1	Pyroxasulfone	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Pyroxulam	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Quinclorac	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2

Component (a) (Compound No.)	Component (b)	Typical Weight Ratio	More Typical Weight Ratio	Most Typical Weight Ratio
1	Quizalofop-ethyl	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Rimsulfuron	1:27 – 84:1	1:9 – 28:1	1:1 – 11:1
1	Saflufenacil	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Sethoxydim	1:192 – 12:1	1:64 – 4:1	1:6 – 2:1
1	Simazine	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Sulcotrione	1:240 – 10:1	1:80 – 4:1	1:7 – 2:1
1	Sulfentrazone	1:294 – 8:1	1:98 – 3:1	1:9 – 1:2
1	Sulfometuron-methyl	1:68 – 34:1	1:22 – 12:1	1:2 – 5:1
1	Sulfosulfuron	1:17 – 135:1	1:5 – 45:1	1:1 – 17:1
1	Tebuthiuron	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Tefuryltrione	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Tembotrione	1:63 – 37:1	1:21 – 13:1	1:1 – 5:1
1	Tepraloxydim	1:51 – 45:1	1:17 – 15:1	1:1 – 6:1
1	Terbacil	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Terbutylazine	1:1714 – 2:1	1:571 – 1:3	1:53 – 1:6
1	Terbutryn	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Thenylchlor	1:171 – 14:1	1:57 – 5:1	1:5 – 2:1
1	Thiazopyr	1:768 – 3:1	1:256 – 1:1	1:24 – 1:3
1	Thiencarbazone	1:6 – 336:1	1:2 – 112:1	4:1 – 42:1
1	Thifensulfuron-methyl	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Tiafenacil	1:85 – 27:1	1:28 – 9:1	1:2 – 4:1
1	Thiobencarb	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Topramezone	1:13 – 168:1	1:4 – 56:1	2:1 – 21:1
1	Tralkoxydim	1:137 – 17:1	1:45 – 6:1	1:4 – 3:1
1	Triallate	1:1536 – 2:1	1:512 – 1:2	1:48 – 1:6
1	Triasulfuron	1:10 – 224:1	1:3 – 75:1	3:1 – 28:1
1	Triaziflam	1:342 – 7:1	1:114 – 3:1	1:10 – 1:2
1	Tribenuron-methyl	1:6 – 336:1	1:2 – 112:1	4:1 – 42:1
1	Triclopyr	1:384 – 6:1	1:128 – 2:1	1:12 – 1:2
1	Trifloxysulfuron	1:5 – 420:1	1:1 – 140:1	5:1 – 53:1
1	Trifluralin	1:576 – 4:1	1:192 – 2:1	1:18 – 1:2
1	Triflusulfuron-methyl	1:34 – 68:1	1:11 – 23:1	1:1 – 9:1
1	Tritosulfuron	1:27 – 84:1	1:9 – 28:1	1:1 – 11:1

Table A2 is constructed the same as Table A1 above except that those entries below the “Component (a)” column heading are replaced with the respective Component (a) Column Entry shown below. Compound No. in the Component (a) column is identified in Index Table A. Thus, for example, in Table A2 the entries below the “Component (a)” column heading all recite “Compound 2” (i.e., Compound 2 identified in Index Table A), and the first line below the column headings in Table A2 specifically discloses a mixture of Compound 2 with 2,4-D. Tables A3 through A58 are constructed similarly.

<u>Table Number</u>	<u>Component (a) Column Entries</u>
A2	Compound 2
A3	Compound 3
A4	Compound 4
A5	Compound 5
A6	Compound 6
A7	Compound 7
A8	Compound 8
A9	Compound 9
A10	Compound 10
A11	Compound 11
A12	Compound 12
A13	Compound 13
A14	Compound 14
A15	Compound 15
A16	Compound 16
A17	Compound 17
A18	Compound 18
A19	Compound 19
A20	Compound 20

<u>Table Number</u>	<u>Component (a) Column Entries</u>
A21	Compound 21
A22	Compound 22
A23	Compound 23
A24	Compound 24
A25	Compound 25
A26	Compound 26
A27	Compound 27
A28	Compound 28
A29	Compound 29
A30	Compound 30
A31	Compound 31
A32	Compound 32
A33	Compound 33
A34	Compound 34
A35	Compound 35
A36	Compound 36
A37	Compound 37
A38	Compound 38
A39	Compound 39

<u>Table Number</u>	<u>Component (a) Column Entries</u>
A40	Compound 40
A41	Compound 41
A42	Compound 42
A43	Compound 43
A44	Compound 44
A45	Compound 45
A46	Compound 46
A47	Compound 47
A48	Compound 48
A49	Compound 49
A50	Compound 50
A51	Compound 51
A52	Compound 52
A53	Compound 53
A54	Compound 54
A55	Compound 55
A56	Compound 56
A57	Compound 57
A58	Compound 58

10

Preferred for better control of undesired vegetation (e.g., lower use rate such as from enhanced effects, broader spectrum of weeds controlled, or enhanced crop safety) or for preventing the development of resistant weeds are mixtures of a compound of this invention with a herbicide selected from the group consisting of bixlozone, beflubutamid-M, carfentrazone, carfentrazone-ethyl, chlorimuron, chlorimuron-ethyl, clomazone, florasulam, flupyr-sulfuron, flupyr-sulfuron-methyl, fluthiacet, fluthiacet-methyl, mesotrione, metolachlor,

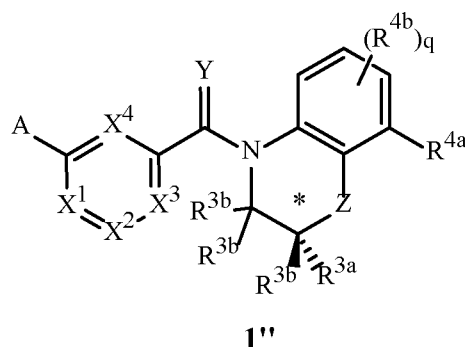
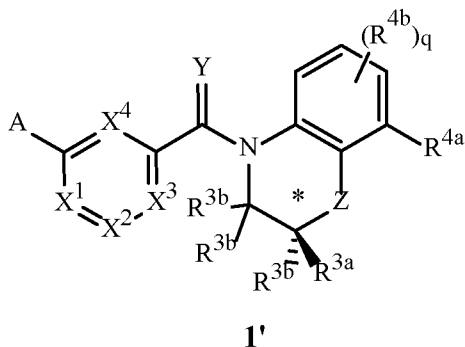
15

S-metolachlor, nicosulfuron, pinoxaden, pyroxasulfone, pyroxsulam, rimisoxafen, sulfentrazone, tembotrione, tetflupyrolimet, thifensulfuron, thifensulfuron-methyl, tribenuron and tribenuron-methyl.

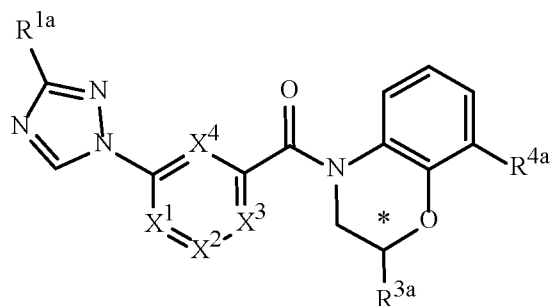
The following Tests demonstrate the control efficacy of the compounds of this invention against specific weeds. The weed control afforded by the compounds is not limited, however, to these species. See Index Tables A through D for compound descriptions. The following abbreviations are used in the Index Tables which follow: Me is methyl, *i*-Pr is isopropyl, OMe is methoxy, and OH is hydroxy. The abbreviation "Cmpd. No." stands for "Compound Number". The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared. The abbreviation "m.p." stands for melting point. The abbreviation "M.S." means mass spectra.

Mass spectra are reported with an estimated precision within ± 0.5 Da as the molecular weight of the highest isotopic abundance positively charged parent ion (M+1) formed by addition of H^+ (molecular weight of 1) to the molecule having the highest isotopic abundance by using atmospheric pressure chemical ionization (AP+). The presence of molecular ions containing one or more higher atomic weight isotopes of lower abundance (e.g., ^{37}Cl , ^{81}Br) is not reported.

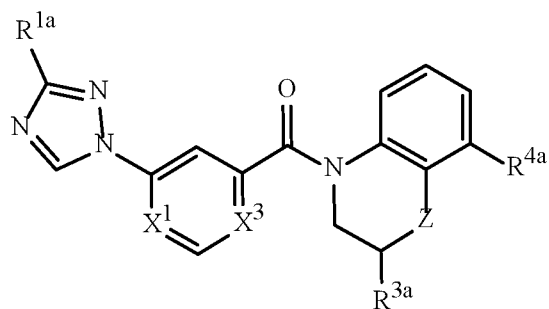
Unless otherwise indicated, the compounds in Index Tables A, B and C are mixtures containing compounds of Formula **1'** and **1''**.



In Index Table A, the abbreviation “(1')-Me” in the R^{3a} column denotes the absolute chirality of the asymmetric carbon center (*) is the configuration of the compound of Formula **1'** as shown above. The abbreviation “(1'')-Me” in the R^{3a} column denotes the configuration of the chiral compound of Formula **1''**.

INDEX TABLE A

Cmpd. No.	X ¹	X ²	X ³	X ⁴	R ^{1a}	R ^{3a}	R ^{4a}	M.S.
1 (Ex. 3)	N	CH	CH	N	<i>i</i> -Pr	(1')-Me	Me	379
2 (Ex. 2)	N	CH	N	CH	<i>i</i> -Pr	(1')-Me	Me	379
4 (Ex. 4)	CH	N	CH	N	<i>i</i> -Pr	(1')-Me	Me	379
5	CH	CH	N	CH	H	Et	Cl	370.1
7	CH	CH	CH	N	H	Et	Cl	370.1
21(Ex. 5)	CH	CH	N	N	<i>i</i> -Pr	(1')-Me	Me	379.2
22 (Ex. 6)	CH	N	N	CH	<i>i</i> -Pr	(1')-Me	Me	379

INDEX TABLE B

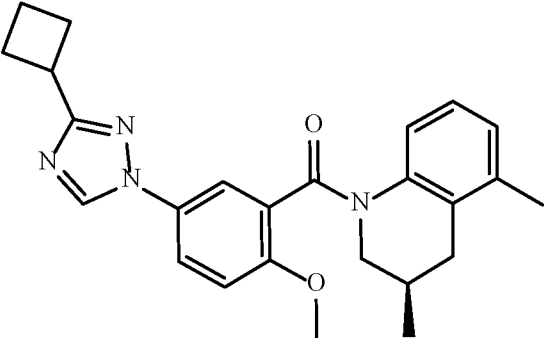
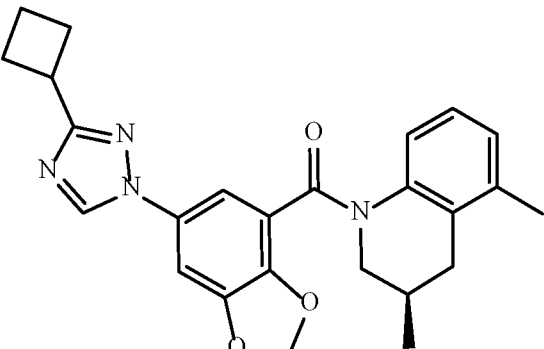
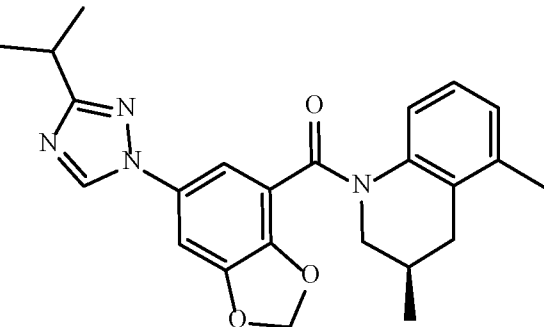
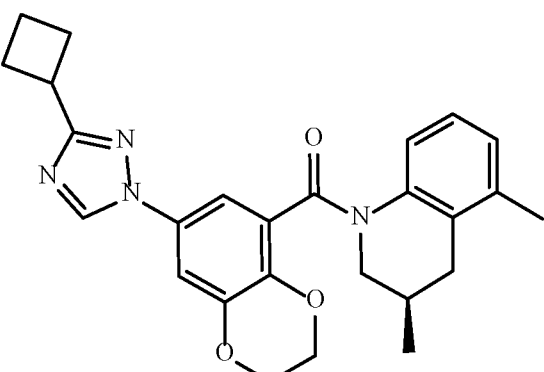
5

Cmpd. No.	Z	X ¹	X ³	R ^{1a}	R ^{3a}	R ^{4a}	M.S.
3 (Ex. 1)	CH ₂	CH	COMe	<i>i</i> -Pr	Me	Me	405.2
6	CH ₂	N	CH	H	Me	H	320
8	NH	CH	COMe	<i>i</i> -Pr	Me	Cl	426
9	NMe	CH	CMe	<i>i</i> -Pr	Me	Me	404
10	NMe	CH	COMe	<i>i</i> -Pr	Me	H	420
11 (Ex. 8)	CHOH	CH	CMe	<i>i</i> -Pr	Me	H	391.38
12	CHF	CH	CMe	<i>i</i> -Pr	Me	H	393.28
13	CHOMe	CH	CMe	<i>i</i> -Pr	Me	H	405.37
14 (Ex. 9)	CHO(C=O)Me	CH	CMe	<i>i</i> -Pr	Me	H	433.33

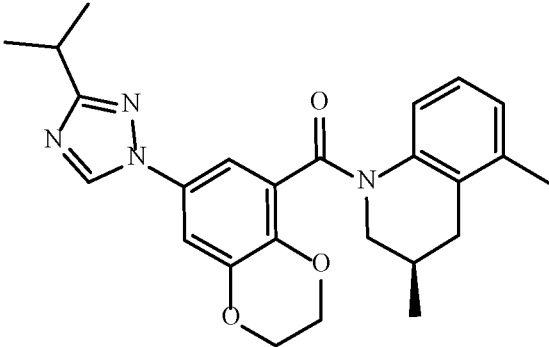
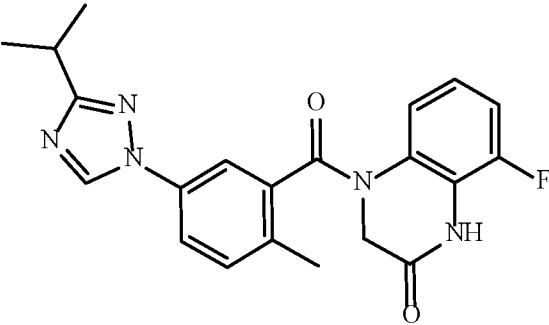
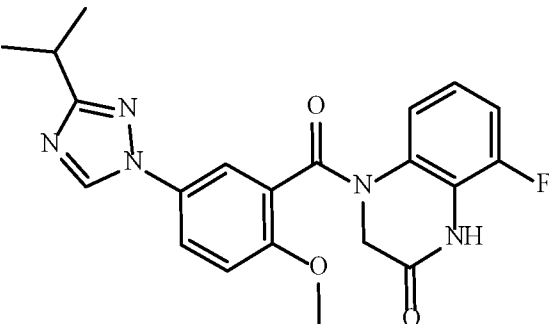
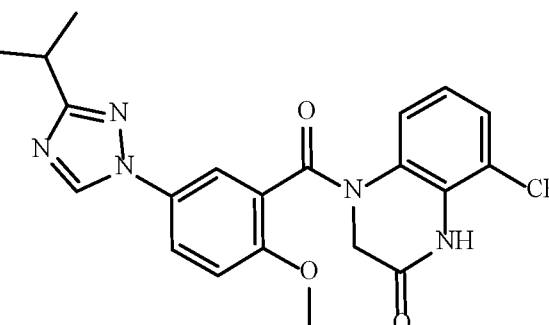
Cmpd. No.	Z	X ¹	X ³	R ^{1a}	R ^{3a}	R ^{4a}	M.S.
15 (Ex. 7)	C=O	CH	CMe	<i>i</i> -Pr	Me	H	389.29
16 (Ex. 10)	NH	CH	CMe	<i>i</i> -Pr	Me	Cl	410
17	NH	CH	CMe	<i>i</i> -Pr	Me	Me	390
18	NMe	CH	COMe	<i>i</i> -Pr	Me	Cl	440.3
19 (Ex. 11)	NMe	CH	CMe	<i>i</i> -Pr	Me	Cl	424.3
20	NH	CH	COMe	<i>i</i> -Pr	Me	Me	406
23	CH ₂	CH	CMe	<i>i</i> -Pr	Me	Me	**
24	CH ₂	CH	CMe	<i>i</i> -Pr	Me	Me	**
25	CH ₂	CH	COMe	<i>i</i> -Pr	Me	Me	**
26	CH ₂	CH	COMe	<i>i</i> -Pr	Me	Me	**
27	CH ₂	CH	COMe	<i>i</i> -Pr	Me	F	**
28	CH ₂	CH	COMe	<i>i</i> -Pr	Me	F	**
29 (Ex. 13)	CH ₂	CH	COMe	<i>i</i> -Pr	CH ₂ OMe	F	**
30 (Ex. 13)	CH ₂	CH	COMe	<i>i</i> -Pr	CH ₂ OMe	F	**
31	CH ₂	CH	CMe	<i>i</i> -Pr	CF ₃	F	**
32	CH ₂	CH	CMe	<i>i</i> -Pr	CF ₃	F	**
38	CH ₂	CH	COMe	<i>i</i> -Pr	CF ₃	F	**
39	CH ₂	CH	COMe	<i>i</i> -Pr	CF ₃	F	**
40 (Ex. 12)	CH ₂	CH	COMe	<i>i</i> -Pr	CF ₃	Me	**
41 (Ex. 12)	CH ₂	CH	COMe	<i>i</i> -Pr	CF ₃	Me	**
42	CH ₂	CH	CMe	<i>i</i> -Pr	CF ₃	Me	**
43	CH ₂	CH	CMe	<i>i</i> -Pr	CF ₃	Me	**
44	CH ₂	CH	CMe	<i>i</i> -Pr	Me	F	**
45	CH ₂	CH	CMe	<i>i</i> -Pr	Me	F	**
46	NC(=O)Me	CH	COMe	<i>i</i> -Pr	Me	Cl	468
51	NH	CH	COMe	<i>i</i> -Pr	Me	F	410
52	NH	CH	COMe	<i>i</i> -Pr	Me	CF ₃	460
53	NC(=O)Me	CH	COMe	<i>i</i> -Pr	Me	F	452
54	NC(=O)Me	CH	COMe	<i>i</i> -Pr	Me	CF ₃	502
55	NC(=O)CF ₃	CH	COMe	<i>i</i> -Pr	Me	Cl	522

**See Index Table D for specific rotation data.

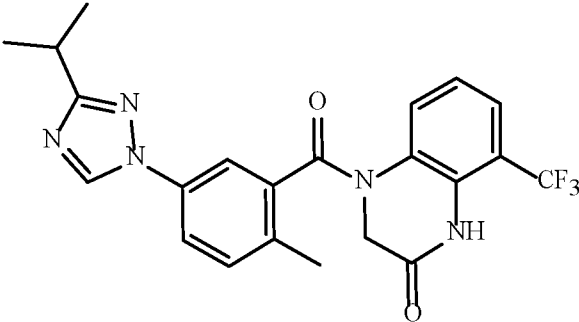
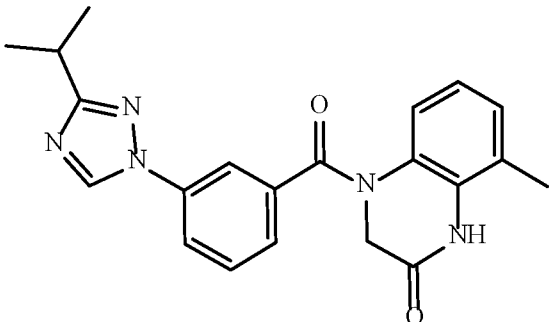
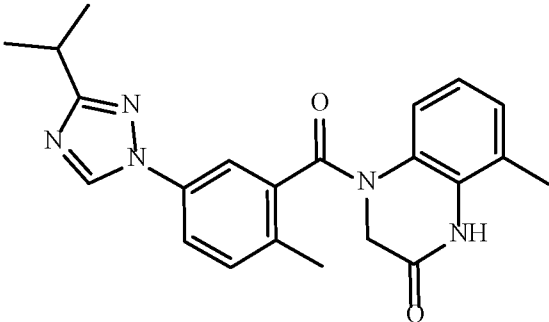
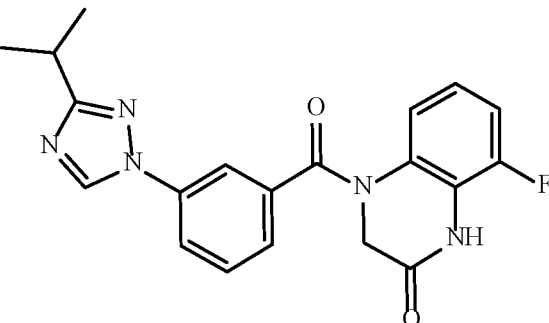
INDEX TABLE C

Cmpd. No.	Structure	M.S.	m.p.
33			90-93
34			77-80
35			207-210
36			209-212

161

Cmpd. No.	Structure	M.S.	m.p.
37			214-217
47 (Ex. 14)			169-172
48		410	
49		460	

162

Cmpd. No.	Structure	M.S.	m.p.
50		444	
56			177-180
57			222-225
58			214-217

INDEX TABLE D

Cmpd. #	Specific Rotation ($[\alpha]^{25}_D$)*** of Enantiomer at R ^{3a}
23	-115 (<i>c</i> 1.03 in methanol)
24	+113 (<i>c</i> 1.01 in methanol)
25	-102 (<i>c</i> 1.04 in methanol)
26	+99.4 (<i>c</i> 1.01 in methanol)
27	-97.9 (<i>c</i> 1.02 in methanol)

Cmpd. #	Specific Rotation ($[\alpha]^{25}_D$)*** of Enantiomer at R ^{3a}
28	+89.4 (c 1.04 in methanol)
29	+74.6 (c 0.516 in methanol)
30	−68.55 (c 0.518 in methanol)
31	−55.6 (c 0.50 in methanol)
32	+54.2 (c 0.50 in methanol)
38	−96.0 (c 0.50 in methanol)
39	+90.2 (c 0.50 in methanol)
40	−91.5 (c 0.513 in methanol)
41	+87.8 (c 0.515 in methanol)
42	−50.5 (c 0.505 in methanol)
43	+59.4 (c 0.503 in methanol)
44	−89.1 (c 1.01 in methanol)
45	+93.0 (c 1.02 in methanol)

*** Specific rotations ($[\alpha]^{25}_D$) are based on the equation $[\alpha]^{t^\circ}_\lambda = (100 \times \alpha) / (l \times c)$, wherein the observed rotation (α) is in degrees; the sample concentration (c) is in g/100 mL; the path length (l) is one decimeter; the temperature (t°) of the sample was 25° C; and the wavelength (λ) of light used was 589.6 nm (i.e., the D-line of the sodium spectrum).

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BIOLOGICAL EXAMPLES OF THE INVENTION

TEST A

Seeds of plant species selected from barnyardgrass (*Echinochloa crus-galli*), blackgrass (*Alopecurus myosuroides*), corn (*Zea mays*), green foxtail (*Setaria viridis*), giant foxtail (*Setaria faberi*), kochia (*Bassia scoparia*), wild oat (*Avena fatua*), Palmer amaranth (Palmer pigweed, *Amaranthus palmeri*), ragweed (common ragweed, *Ambrosia artemisiifolia*), redroot pigweed (*Amaranthus retroflexus*), Italian ryegrass (*Lolium multiflorum*), soybean (*Glycine max*) and wheat (*Triticum aestivum*) were planted into a blend of loam soil and sand and treated preemergence with a directed soil spray using test chemicals formulated in a non-phytotoxic solvent mixture which included a surfactant.

At the same time, plants selected from these crops and weed species and galium (catchweed bedstraw, *Galium aparine*) and horseweed (*Erigeron canadensis*) were planted in pots containing the same blend of loam soil and sand and treated with postemergence applications of test chemicals formulated in the same manner. Plants ranged in height from 2 to 10 cm and were in the one- to two-leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for 10 days, after which time all treated, plants were compared to untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table A, are based on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

25

Table A		Compounds													
1000 g ai/ha		1	2	3	4	5	6	7	14	22	47	48	49	50	56
Preemergence															
5	Barnyardgrass	90	100	90	90	0	0	0	100	100	90	20	80	80	0
	Blackgrass	90	90	80	60	-	-	-	90	80	90	50	70	90	30
	Foxtail, Green	100	100	100	100	-	-	-	100	100	90	80	100	100	20
	Giant Foxtail	-	-	-	-	60	80	0	-	-	-	-	-	-	-
	Kochia	70	100	0	80	0	0	0	100	100	90	30	100	90	20
10	Pigweed, Palmer	50	100	100	40	-	-	-	100	100	100	50	100	100	0
	Ragweed	20	100	80	50	0	0	0	0	90	40	0	80	30	0
	Redroot Pigweed	-	-	-	-	20	0	0	-	-	-	-	-	-	-
	Ryegrass, Italian	100	100	100	80	20	0	-	100	90	80	90	90	100	60

Table A Compounds

1000 g ai/ha 57 58

15 Preemergence

Barnyardgrass 50 0

Blackgrass 70 0

Foxtail, Green 70 0

Giant Foxtail - -

20 Kochia 90 50

Pigweed, Palmer 100 20

Ragweed 40 0

Redroot Pigweed - -

Ryegrass, Italian 90 0

25 Table A

Compounds

500 g ai/ha 8 10 11 12 13 15 16 17 18 19 20 23 24 25

Preemergence

Barnyardgrass 90 20 100 100 100 100 80 0 80 0 20 100 20 -

Blackgrass 80 50 90 60 90 90 90 0 70 90 0 60 50 90

30 Corn 0 0 20 20 30 30 10 0 0 0 40 40 0 50

Foxtail, Green - - 100 100 100 100 - - - - 100 90 100

Giant Foxtail 90 30 - - - - 100 0 100 100 30 - - -

Kochia 90 80 20 80 20 40 90 80 80 80 40 90 0 90

Oat, Wild 30 20 - - - 90 20 0 30 0 20 40 30 90

35 Pigweed, Palmer 100 60 40 90 60 100 100 90 100 100 60 100 50 100

Ragweed 0 0 10 0 20 20 0 0 0 0 0 80 0 50

5	Barnyardgrass	20	0	0	50	40	60	60	10	0	30	60	10	0	50	
	Blackgrass	10	0	0	60	40	50	50	50	0	40	80	0	0	50	
	Corn	0	0	0	20	0	10	20	0	0	0	0	0	0	0	
	Foxtail, Green	-	10	-	90	60	90	100	-	-	-	-	-	10	100	
	Giant Foxtail	90	-	0	-	-	-	-	90	0	70	40	20	-	-	
	Kochia	70	0	30	0	0	0	0	90	20	50	50	0	0	70	
	Oat, Wild	0	0	20	-	-	-	70	20	0	0	0	10	0	30	
	Pigweed, Palmer	100	20	20	10	0	0	10	70	0	90	50	0	0	90	
	Ragweed	0	-	0	10	0	0	20	0	0	0	0	0	0	40	
10	Ryegrass, Italian	70	10	40	90	90	80	90	90	30	70	50	50	0	80	
	Soybean	20	0	10	0	0	20	10	20	0	30	0	0	0	50	
	Wheat	0	0	0	20	20	0	20	0	0	0	0	0	0	0	
Table A		Compounds														
15	125 g ai/ha	24	25	26	27	28	29	30	31	32	33	34	35	36	37	
	Preemergence															
	Barnyardgrass	0	-	-	-	10	30	70	90	40	40	0	30	0	30	
	Blackgrass	20	60	60	0	40	50	40	80	80	20	10	30	0	50	
20	Corn	0	70	0	30	10	0	10	20	0	0	0	10	0	10	
	Foxtail, Green	0	100	80	100	60	10	70	100	90	90	80	90	70	90	
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-	-	-	
	Kochia	0	80	0	50	0	0	20	0	20	0	0	10	0	70	
	Oat, Wild	0	60	20	60	30	30	60	70	50	40	20	30	20	0	
	Pigweed, Palmer	10	100	50	100	30	-	-	100	90	90	30	90	70	100	
	Ragweed	0	50	0	10	0	0	0	50	50	0	0	0	0	90	
	Ryegrass, Italian	80	90	60	100	90	90	80	100	90	60	70	90	60	90	
	Soybean	20	50	30	100	20	0	70	80	0	0	0	50	0	60	
25	Wheat	0	30	0	0	0	10	20	30	10	0	0	10	10	0	
	Table A		Compounds													
	125 g ai/ha	38	39	40	41	42	43	44	45	46	51	52	53	54	55	
	Preemergence															
30	Barnyardgrass	50	40	40	20	50	30	60	0	0	50	80	0	0	0	
	Blackgrass	20	30	50	30	60	20	40	20	0	30	80	0	0	10	
	Corn	10	10	30	0	0	10	10	0	0	0	10	0	0	0	
	Foxtail, Green	100	100	100	90	100	100	100	0	0	70	100	0	0	0	
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-	-	-	
35	Kochia	80	70	90	80	40	90	20	0	0	0	70	0	0	0	

	Oat, Wild	60	40	60	30	0	20	10	10	0	0	20	0	0	0
	Pigweed, Palmer	100	100	–	90	100	100	50	10	0	30	100	0	0	30
	Ragweed	30	0	60	10	30	0	0	0	0	0	0	0	0	0
	Ryegrass, Italian	90	90	100	90	90	70	100	40	0	50	90	0	0	0
5	Soybean	100	80	100	40	70	0	0	0	0	0	60	0	0	0
	Wheat	10	10	50	10	10	0	10	0	0	20	0	0	0	0
	Table A	Compounds													
	31 g ai/ha	9	21												
	Preemergence														
10	Barnyardgrass	0	0												
	Blackgrass	0	0												
	Corn	0	0												
	Foxtail, Green	0	0												
	Kochia	0	0												
15	Oat, Wild	0	0												
	Pigweed, Palmer	20	0												
	Ragweed	–	0												
	Ryegrass, Italian	30	0												
	Soybean	0	0												
20	Wheat	0	0												
	Table A	Compounds													
	1000 g ai/ha	1	2	3	4	5	6	7	14	22	47	48	49	50	56
	Postemergence														
	Barnyardgrass	90	90	90	40	0	20	0	90	90	20	30	30	50	0
25	Blackgrass	90	90	90	50	0	0	0	90	80	60	90	90	100	10
	Corn	–	–	–	–	0	0	0	–	–	–	–	–	–	–
	Foxtail, Green	80	90	80	30	–	–	–	90	90	20	10	50	70	10
	Galium	100	100	100	60	0	0	0	90	–	80	100	100	90	30
	Giant Foxtail	–	–	–	–	10	0	0	–	–	–	–	–	–	–
30	Horseweed	0	0	60	0	–	–	–	0	90	20	20	60	30	0
	Kochia	80	90	90	30	10	0	0	70	90	90	90	90	80	20
	Pigweed, Palmer	20	80	90	0	–	–	–	30	90	40	80	90	80	10
	Ragweed	30	100	60	40	0	0	0	40	90	40	40	0	20	10
	Redroot Pigweed	–	–	–	–	30	0	0	–	–	–	–	–	–	–
35	Ryegrass, Italian	80	90	90	50	0	0	0	90	90	40	40	80	90	30
	Wheat	–	–	–	–	0	0	0	–	–	–	–	–	–	–

Table A Compounds

1000 g ai/ha 57 58

Postemergence

Barnyardgrass 30 0

5 Blackgrass 50 10

Corn - -

Foxtail, Green 20 0

Galium 80 40

Giant Foxtail - -

10 Horseweed 0 0

Kochia 70 0

Pigweed, Palmer 50 0

Ragweed 30 20

Redroot Pigweed - -

15 Ryegrass, Italian 60 10

Wheat - -

Table A

Compounds

500 g ai/ha 8 10 11 12 13 15 16 17 18 19 20 23 24 25

Postemergence

20 Barnyardgrass 60 10 70 60 40 90 30 10 30 30 20 60 50 70

Blackgrass 90 10 80 80 70 70 70 0 50 50 30 50 40 60

Corn 50 10 20 20 10 20 30 10 50 10 20 10 10 90

Foxtail, Green - - 80 70 90 70 - - - - - 80 30 80

Galium 100 90 80 90 - - 100 70 90 70 70 90 80 100

25 Giant Foxtail 60 20 - - - - 80 10 30 60 30 - - -

Horseweed 80 0 40 20 20 0 0 0 20 0 40 - 0 40

Kochia 80 70 50 60 30 40 90 70 60 70 80 90 30 90

Oat, Wild 10 0 90 90 90 90 60 0 30 10 10 30 10 40

Pigweed, Palmer 70 60 40 30 10 30 60 60 70 60 60 80 - 90

30 Ragweed 60 0 50 40 50 60 30 50 70 50 40 50 20 70

Ryegrass, Italian 70 10 90 90 100 90 40 10 60 40 20 80 20 70

Soybean 100 90 100 100 100 90 100 100 100 80 100 100 90 100

Wheat 30 10 80 50 50 80 40 10 30 20 30 30 10 40

Table A

Compounds

35 500 g ai/ha 26 27 28 29 30 31 32 33 34 35 36 37 38 39

Postemergence

5	Barnyardgrass	30	70	60	70	80	80	50	50	30	40	30	70	70	60
	Blackgrass	30	90	70	80	80	70	70	40	50	60	20	80	90	70
	Corn	50	90	40	50	80	80	70	50	10	30	40	80	60	30
	Foxtail, Green	40	70	60	60	80	70	30	80	60	80	50	90	90	60
	Galium	80	100	70	80	90	100	80	100	100	100	100	100	100	90
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-	-	-
	Horseweed	0	20	0	-	-	30	30	-	-	-	-	60	-	-
	Kochia	90	80	90	70	80	90	90	90	90	90	80	100	100	100
	Oat, Wild	20	60	20	60	70	80	40	10	20	30	0	60	60	80
	Pigweed, Palmer	50	90	-	60	80	80	50	-	-	-	-	100	100	90
10	Ragweed	10	80	0	40	70	90	40	40	50	50	60	90	90	70
	Ryegrass, Italian	70	90	90	80	90	90	80	70	50	80	30	60	70	80
	Soybean	100	100	100	100	100	100	90	100	100	100	100	100	100	100
	Wheat	30	40	20	40	60	80	30	10	10	20	10	50	80	70
15	Table A	Compounds													
	500 g ai/ha	40	41	42	43	44	45	46	51	52	53	54	55		
20	Postemergence														
	Barnyardgrass	60	60	60	50	70	0	10	40	50	20	20	40		
	Blackgrass	80	70	80	70	90	50	0	60	60	0	0	0		
	Corn	70	30	70	30	60	10	0	30	60	0	10	20		
	Foxtail, Green	90	70	70	30	80	0	0	20	90	0	10	10		
	Galium	100	100	100	90	80	30	30	80	100	20	30	20		
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-		
	Horseweed	-	-	-	-	30	0	0	20	50	0	0	10		
25	Kochia	100	100	80	90	60	40	30	80	90	0	70	70		
	Oat, Wild	70	30	50	40	90	20	0	30	50	0	20	20		
	Pigweed, Palmer	100	90	90	90	-	-	-	-	-	-	-	-		
	Ragweed	90	80	80	70	50	40	0	80	0	0	0	10		
	Ryegrass, Italian	90	80	90	70	80	50	0	80	80	0	0	20		
30	Soybean	100	100	100	100	100	50	60	100	100	40	50	50		
	Wheat	30	60	50	30	50	10	0	20	20	0	0	10		
	Table A	Compounds													
35	125 g ai/ha	8	9	10	11	12	13	15	16	17	18	19	20	21	23
	Postemergence														
	Barnyardgrass	10	10	10	20	20	10	30	10	10	10	10	10	0	50
	Blackgrass	50	0	0	20	40	10	50	10	0	0	0	20	0	50

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5	Corn	10	0	10	10	10	0	10	10	10	10	0	10	0	10
	Foxtail, Green	-	0	-	20	20	20	60	-	-	-	-	-	0	30
	Galium	90	70	50	70	40	0	-	70	50	70	50	50	-	90
	Giant Foxtail	20	-	10	-	-	-	-	20	10	30	10	10	-	-
	Horseweed	0	0	0	20	0	0	0	0	0	0	0	0	0	-
	Kochia	70	60	40	50	20	30	0	70	60	60	60	40	0	50
	Oat, Wild	0	0	0	30	70	70	70	0	0	0	0	10	0	20
	Pigweed, Palmer	40	40	30	20	10	0	10	50	30	30	40	40	0	70
	Ragweed	10	0	0	30	30	30	50	10	0	20	0	30	0	30
10	Ryegrass, Italian	40	0	10	70	90	50	80	20	10	30	20	20	0	70
	Soybean	100	50	80	100	80	60	50	100	100	100	80	90	20	100
	Wheat	20	0	10	30	20	10	30	20	10	20	0	10	0	20
Table A		Compounds													
125 g ai/ha		24	25	26	27	28	29	30	31	32	33	34	35	36	37
15	Postemergence														
	Barnyardgrass	10	50	20	40	30	30	50	50	20	20	10	20	20	50
	Blackgrass	10	30	30	70	50	30	50	70	50	0	0	10	0	30
	Corn	0	40	20	80	20	30	60	30	20	10	10	10	10	30
	Foxtail, Green	10	50	20	50	20	10	70	40	10	30	30	50	20	50
20	Galium	60	90	60	90	50	30	80	90	60	90	90	90	90	90
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-	-	-
	Horseweed	0	20	0	0	0	10	10	30	10	-	-	-	-	30
	Kochia	0	90	70	70	40	60	60	70	70	80	50	60	50	80
	Oat, Wild	0	0	30	30	0	20	30	60	10	0	0	0	0	10
25	Pigweed, Palmer	0	70	20	70	-	20	40	80	50	-	-	-	-	80
	Ragweed	0	40	10	60	0	20	40	50	0	50	20	40	60	30
	Ryegrass, Italian	20	40	20	40	60	40	60	70	50	20	20	30	30	30
	Soybean	50	100	90	100	100	100	100	100	70	100	100	100	100	100
	Wheat	10	20	20	20	20	20	20	20	10	10	10	10	10	40
30	Table A	Compounds													
	125 g ai/ha	38	39	40	41	42	43	44	45	46	51	52	53	54	55
35	Postemergence														
	Barnyardgrass	40	40	30	40	30	20	40	0	0	20	30	20	20	20
	Blackgrass	70	50	40	20	40	50	70	0	0	20	40	0	0	0
	Corn	20	20	20	10	30	10	40	0	0	10	30	0	0	10
	Foxtail, Green	60	30	50	20	30	20	50	0	0	20	20	0	0	10

5	Galium	90	70	80	90	80	60	70	0	30	60	100	20	20	30
	Giant Foxtail	-	-	-	-	-	-	-	-	-	-	-	-	-	-
	Horseweed	30	0	40	20	20	0	10	0	0	0	30	0	0	20
	Kochia	90	90	90	90	60	80	30	0	20	50	80	0	0	0
	Oat, Wild	30	10	20	30	10	10	80	0	0	0	30	0	0	0
	Pigweed, Palmer	90	90	90	90	80	70	40	20	10	0	80	10	0	10
	Ragweed	60	30	60	70	60	30	60	0	0	70	0	0	0	0
	Ryegrass, Italian	70	60	60	50	70	40	50	0	0	10	40	0	0	0
10	Soybean	100	100	100	100	90	60	90	40	50	90	100	50	40	50
	Wheat	50	20	20	20	20	20	20	10	0	10	20	0	0	0
15	Table A	Compounds													
	31 g ai/ha	9	21												
	Postemergence														
	Barnyardgrass	0	0												
	Blackgrass	0	0												
	Corn	0	0												
	Foxtail, Green	0	0												
	Galium	50	0												
20	Horseweed	0	0												
	Kochia	10	0												
	Oat, Wild	0	0												
	Pigweed, Palmer	10	0												
	Ragweed	0	0												
25	Ryegrass, Italian	0	0												
	Soybean	70	10												
	Wheat	0	0												

TEST B

Plant species in the flooded paddy test selected from barnyardgrass (*Echinochloa crus-*
 30 *galli*), ducksalad (*Heteranthera limosa*), rice (*Oryza sativa*), and sedge, umbrella (small-
 flower umbrella sedge, *Cyperus difformis*) were grown to the 2-leaf stage for testing. At time
 of treatment, test pots were flooded to 3 cm above the soil surface, treated by application of
 test compounds directly to the paddy water, and then maintained at that water depth for the
 duration of the test. Treated plants and controls were maintained in a greenhouse for 13 days,
 35 after which time all species were compared to controls and visually evaluated. Plant response

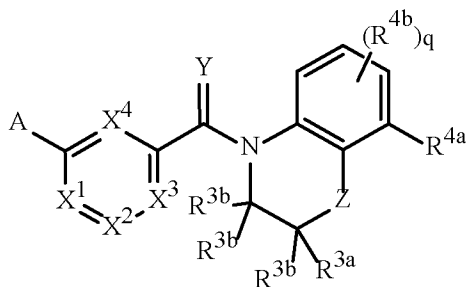
ratings, summarized in Table B, are based on a scale of 0 to 100 where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

Table B		Compounds													
5	250 g ai/ha	1	2	3	4	8	10	11	12	13	14	15	16	17	18
	Flood														
	Barnyardgrass	0	0	25	0	0	0	20	10	0	0	0	0	0	0
	Ducksalad	0	0	70	0	35	0	20	0	0	0	10	20	0	0
	Rice	0	0	25	0	0	0	0	25	0	0	10	0	0	0
10	Sedge, Umbrella	0	0	95	0	50	0	75	25	0	0	65	50	0	0
Table B		Compounds													
	250 g ai/ha	19	20	21	22	23	24	25	26	27	28	29	30	31	32
	Flood														
	Barnyardgrass	0	0	0	0	10	0	20	0	25	25	0	55	10	0
15	Ducksalad	0	45	0	0	50	0	40	0	50	15	0	20	45	0
	Rice	0	0	0	0	0	0	0	0	10	15	0	10	0	0
	Sedge, Umbrella	0	15	0	0	80	0	65	0	65	0	0	80	55	0
Table B		Compounds													
	250 g ai/ha	33	34	35	36	37	38	39	40	41	42	43	44	45	46
20	Flood														
	Barnyardgrass	0	0	0	0	20	45	30	25	35	45	15	0	0	0
	Ducksalad	0	0	0	0	15	60	35	55	65	60	0	0	0	0
	Rice	0	0	0	0	10	0	25	15	10	10	20	0	0	0
	Sedge, Umbrella	0	0	0	0	40	85	65	100	85	85	45	0	0	0
Table B		Compounds													
	250 g ai/ha	47	49	50	51	52	53	54	55						
	Flood														
	Barnyardgrass	10	0	15	0	10	0	0	45						
	Ducksalad	15	45	35	0	60	0	0	55						
30	Rice	0	0	0	0	10	0	0	10						
	Sedge, Umbrella	15	75	25	0	95	0	0	70						

CLAIMS

What is claimed is:

1. A compound of Formula 1, all stereoisomers, *N*-oxides, and salts thereof



1

wherein

A is a 5- or 6-membered heterocyclic ring, containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 oxygen atoms, up to 2 sulfur atoms and up to 4 nitrogen atoms, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, thiocarbonyl, sulfonyl, sulfinyl moiety, said ring bound to the remainder of Formula 1 through a carbon atom or a heteroatom, and optionally substituted with 1 to 4 R^1 ;

R^1 is independently R^{1a} , $(R^{1b})_m$, R^{1c} or any combination thereof;

R^{1a} is H, halogen, cyano, C_1 – C_7 alkyl, C_2 – C_7 alkenyl, C_2 – C_6 alkynyl, C_3 – C_7 cycloalkyl, C_4 – C_7 cycloalkylalkyl, C_4 – C_7 alkylcycloalkyl, C_1 – C_7 haloalkyl, C_1 – C_6 hydroxyalkyl, C_3 – C_7 hydroxycycloalkyl, C_1 – C_6 hydroxyhaloalkyl, C_4 – C_8 alkoxyalkyl, C_2 – C_7 alkoxyhaloalkyl, C_2 – C_6 oxacycloalkyl, C_3 – C_7 oxacycloalkylalkyl, C_3 – C_7 alkyloxacycloalkyl, C_2 – C_6 thiacycloalkyl, C_3 – C_7 thiacycloalkylalkyl, C_3 – C_7 alkylthiacycloalkyl, C_2 – C_6 (O-thia)cycloalkyl, C_3 – C_7 (O-thia)cycloalkylalkyl, C_3 – C_7 alkyl(O-thia)cycloalkyl, C_2 – C_6 (O₂thia)cycloalkyl, C_3 – C_7 (O₂thia)cycloalkylalkyl, C_3 – C_7 alkyl(O₂thia)cycloalkyl, C_2 – C_6 haloalkenyl, C_2 – C_6 haloalkynyl, C_3 – C_7 halocycloalkyl, C_4 – C_7 haloalkylcycloalkyl, C_2 – C_7 alkoxyalkyl, C_2 – C_7 haloalkoxyalkyl, C_1 – C_7 alkoxy, C_2 – C_5 alkenyloxy, C_2 – C_5 alkynyloxy, C_3 – C_7 cycloalkoxy, C_4 – C_7 cycloalkoxyalkyl, C_1 – C_7 haloalkoxy, C_1 – C_7 alkylthio, C_2 – C_7 alkylthioalkyl, C_1 – C_5 alkylsulfinyl, C_1 – C_5 alkylsulfonyl, C_1 – C_5 alkylsulfonate, C_1 – C_5 haloalkylthio, C_1 – C_5 haloalkylsulfinyl, C_1 – C_5

haloalkylsulfonyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₄-C₇ alkylthiocycloalkyl, C₄-C₇ alkylsulfinylcycloalkyl, C₄-C₇ alkylsulfonylcycloalkyl, C₄-C₇ haloalkylthiocycloalkyl, C₄-C₇ haloalkylsulfinylcycloalkyl, C₄-C₇ haloalkylsulfonylcycloalkyl, C₂-C₇ (HN=S(alkyl)=O)alkyl, C₄-C₇ (HN=S(alkyl)=O)cycloalkyl, C₃-C₇ (alkyl-N=S(alkyl)=O)alkyl, C₅-C₈ (alkyl-N=S(alkyl)=O)cycloalkyl, C₃-C₇ (cyano-N=S(alkyl)=O)alkyl, C₄-C₇ (alkylcarbonyl-N=S(alkyl)=O)alkyl, C₄-C₇ (alkoxycarbonyl-N=S(alkyl)=O)alkyl, C₂-C₅ cyanoalkyl, C₄-C₇ cyanocycloalkyl, C₁-C₄ nitroalkyl, C₂-C₆ dialkylamino, C₂-C₆ alkylcarbonyl, C₃-C₆ alkylcarbonylalkyl, C₂-C₆ alkoxycarbonyl, C₃-C₆ alkoxycarbonylalkyl or C₃-C₆ trialkylsilyl;

each R^{1b} is independently H, halogen, cyano, nitro, C₁-C₄ alkyl, C₃-C₅ cycloalkyl, C₁-C₄ haloalkyl, C₃-C₅ halocycloalkyl, C₂-C₄ alkoxyalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio or C₂-C₄ alkoxycarbonyl;

m is 0, 1 or 2;

R^{1c} is H, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₂-C₆ oxacycloalkyl or C₁-C₇ haloalkyl;

X¹, X², X³ and X⁴ are each independently N or CR² wherein up to two X¹, X², X³ and X⁴ can be N;

each R² is independently H, halogen, cyano, nitro, hydroxy, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₅ haloalkyl, C₂-C₅ haloalkenyl, C₂-C₅ haloalkynyl, C₃-C₆ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₅ alkoxyalkyl, C₂-C₅ haloalkoxyalkyl, C₁-C₅ alkoxy, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₂-C₆ oxacycloalkoxy, C₁-C₅ haloalkoxy, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylsulfonate, C₃-C₅ cycloalkylsulfonate, C₁-C₄ haloalkylsulfonate, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl or C₂-C₅ alkoxycarbonyl; or

two adjacent R² may be taken together to form a saturated or unsaturated 5- to 8-membered ring, containing carbon atoms and optionally 1 to 3 oxygen, sulfur or nitrogen atoms as ring members, one or two carbon or sulfur ring members of the heterocycle can optionally be in the oxidized form of a carbonyl, sulfonyl,

sulfinyl moiety, said ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy and C₁-C₄ haloalkoxy;

5 Y is O or S;

Z is O, C(R^{3c})_p, C(=O), C(=S), or NR^{3d};

R^{3a} is halogen, cyano, nitro, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkoxy, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₄-C₇ cycloalkylhaloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₂-C₅ alkenyloxyalkyl, C₂-C₅ alkynyloxyalkyl, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₂-C₆ oxacycloalkoxy, C₃-C₆ oxacycloalkoxyalkyl, C₁-C₅ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₂-C₇ alkoxyhaloalkyl, C₂-C₇ haloalkoxyhaloalkyl, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₂-C₇ haloalkylsulfinylalkyl, C₂-C₇ haloalkylsulfonylalkyl, C₂-C₅ cyanoalkyl, C₄-C₆ cyanocycloalkyl, C₁-C₄ nitroalkyl, C₃-C₆ alkylcarbonylalkyl or C₃-C₆ alkoxycarbonylalkyl;

each R^{3b} is independently H, halogen or C₁-C₃ alkyl; or

R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂; or

R^{3a} and R^{3b} are taken together with the carbon atom to which they are attached to form C(=O), provided Z is NR^{3d} and R^{3d} is H; or

two R^{3b} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or S(O)₂;

each R^{3c} is independently H, halogen, cyano, nitro, hydroxy, C_1 - C_5 alkyl, C_2 - C_5 alkenyl, C_2 - C_5 alkynyl, C_3 - C_5 cycloalkyl, C_1 - C_5 haloalkyl, C_2 - C_5 haloalkenyl, C_2 - C_5 haloalkynyl, C_2 - C_5 alkoxyalkyl, C_2 - C_5 haloalkoxyalkyl, C_1 - C_5 alkoxy, C_1 - C_5 haloalkoxy, C_1 - C_5 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_5 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_4 - C_7 cycloalkylalkyl, C_4 - C_7 alkylcycloalkyl, C_3 - C_7 halocycloalkyl, C_4 - C_7 haloalkylcycloalkyl, C_2 - C_7 alkylthioalkyl, C_2 - C_7 alkylsulfinylalkyl, C_2 - C_7 alkylsulfonylalkyl, C_2 - C_7 haloalkylthioalkyl, C_2 - C_7 haloalkylsulfinylalkyl, C_2 - C_7 haloalkylsulfonylalkyl, C_2 - C_5 cyanoalkyl, C_4 - C_6 cyanocycloalkyl, C_1 - C_4 nitroalkyl, C_2 - C_6 oxacycloalkyl, C_3 - C_6 oxacycloalkylalkyl, C_3 - C_7 cycloalkoxy, C_4 - C_7 cycloalkoxyalkyl, C_3 - C_6 alkylcarbonylalkyl, C_3 - C_6 alkoxy carbonylalkyl or C_2 - C_5 alkoxy carbonyl, C_2 - C_6 alkylcarbonyloxy, C_2 - C_6 haloalkylcarbonyloxy, C_4 - C_6 cycloalkylcarbonyloxy, C_2 - C_6 alkoxy carbonyloxy, C_2 - C_6 alkylaminocarbonyloxy, C_3 - C_6 dialkylaminocarbonyloxy; or

one R^{3c} is taken together with the adjacent R^{3a} or R^{3b} and the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, $S(O)$ or $S(O)_2$; or

two R^{3c} are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from 1 oxygen atom, 1 sulfur atom, and up to 3 nitrogen atoms, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, $S(O)$ or $S(O)_2$;

p is 0, 1 or 2;

R^{3d} is H, C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_5 alkylcarbonyl, C_2 - C_5 haloalkylcarbonyl, C_2 - C_5 alkoxy carbonyl, C_2 - C_5 alkylaminocarbonyl, C_2 - C_5 dialkylaminocarbonyl, C_1 - C_5 alkylsulfonyl or C_1 - C_5 haloalkylsulfonyl;

R^{4a} is H, halogen, cyano, nitro, C_1 - C_5 alkyl, C_2 - C_5 alkenyl, C_2 - C_5 alkynyl, C_3 - C_5 cycloalkyl, C_1 - C_5 haloalkyl, C_2 - C_5 haloalkenyl, C_2 - C_5 haloalkynyl, C_2 - C_5 alkoxyalkyl, C_2 - C_5 haloalkoxyalkyl, C_1 - C_5 alkoxy, C_1 - C_5 haloalkoxy, C_3 - C_6 trialkylsilyl, C_1 - C_5 alkylthio, C_1 - C_5 haloalkylthio or C_2 - C_5 alkoxy carbonyl;

q is 0, 1 or 2; and

each R^{4b} is independently H, halogen, cyano, nitro, C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₁–C₄ alkoxy or C₁–C₄ alkylthio;

provided that:

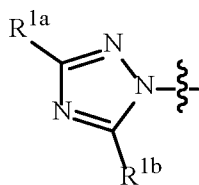
(a) when Z is O, then at least one of X³ and X⁴ is N; and

5

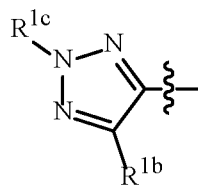
(b) the compound of Formula 1 is other than:

(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(1-pyrrolidinyl)phenyl]methanone,
 (3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(1*H*-pyrrol-1-yl)phenyl]methanone,
 1-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-2-pyrrolidinone,
 (3,4-dihydro-3-methoxy-1(2*H*)-quinolinyl)[5-(4-morpholinyl)-3-pyridinyl]-
 methanone,
 (3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[5-(1*H*-1,2,3-triazol-1-yl)-3-pyridinyl]-
 methanone,
 [3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxaliny]l[6-(1-pyrrolidinyl)pyrazin-2-
 yl]methanone,
 (3,4-dihydro-3-methoxy-1(2*H*)-quinolinyl)[5-(1*H*-1,2,3-triazol-1-yl)-3-pyridinyl]-
 methanone,
 (3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[3-(tetrahydro-1,1-dioxido-2*H*-1,2-thiazin-
 2-yl)phenyl]methanone,
 [3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxaliny]l[3-(1*H*-1,2,4-triazol-1-yl)-
 phenyl]methanone,
 (3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)[4-methoxy-3-(1*H*-tetrazol-1-yl)phenyl]-
 methanone,
 [3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxaliny]l[4-(1*H*-pyrazol-1-yl)-2-
 pyridinyl]methanone,
 [3,4-dihydro-3-(1-methylethyl)-1(2*H*)-quinoxaliny]l[6-(1*H*-imidazol-1-yl)-2-
 pyridinyl]methanone,
 2-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-3-isothiazolidinone
 1,1-dioxide,
 2-[3-[(3,4-dihydro-3-methyl-1(2*H*)-quinolinyl)carbonyl]phenyl]-4-methyl-3-
 isothiazolidinone 1,1-dioxide,
 ethyl 2-ethyl-3,4-dihydro-6,7-dimethyl-4-[3-(5-methyl-1,2,4-oxadiazol-3-
 yl)benzoyl]-1(2*H*)-quinoxalinecarboxylate, and
 ethyl 4-[3-(3,5-dimethyl-1*H*-pyrazol-1-yl)benzoyl]-2-ethyl-3,4-dihydro-6,7-
 dimethyl-1(2*H*)-quinoxalinecarboxylate.

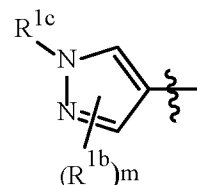
2. The compound of Claim 1 wherein
A is selected from



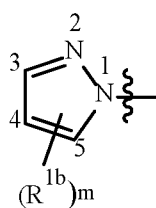
A-1



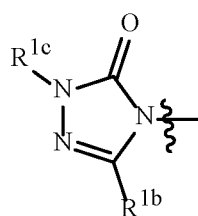
A-2



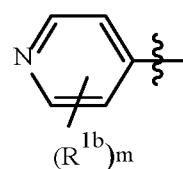
A-3



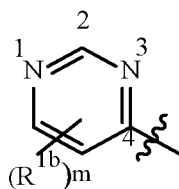
A-4



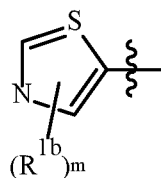
A-5



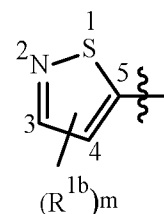
A-6



A-7

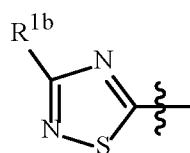


A-8



A-9

and



A-10

3. The compound of Claim 2 wherein
Z is C(R^{3c})_p or NR^{3d}.
4. The compound of Claim 3 wherein
A is A-1, A-2, A-3, A-5, A-7, A-8 or A-10;

X¹, X², X³ and X⁴ are each independently CR²; or X¹ is N, and X², X³ and X⁴ are each independently N or CR²; or X¹ and X² are N, and X³ and X⁴ are each independently CR²; or X² and X³ are N, and X¹ and X⁴ are each independently CR²; or X¹ and X³ are N, and X² and X⁴ are each independently CR²; or X² is N, and X¹, X³ and X⁴ are CR².

R^{1a} is H, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₃–C₇ hydroxycycloalkyl, C₁–C₆ hydroxyhaloalkyl, C₄–C₈ alkoxyalkyl, C₂–C₇ alkoxyhaloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ oxacycloalkylalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₆ thiacycloalkyl, C₃–C₇ thiacycloalkylalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl, C₂–C₇ haloalkoxyalkyl, C₁–C₇ alkoxy, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₁–C₇ haloalkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₁–C₅ alkylsulfinyl, C₁–C₅ alkylsulfonyl, C₁–C₅ haloalkylthio, C₂–C₇ alkylsulfinylalkyl, C₂–C₇ alkylsulfonylalkyl, C₂–C₇ haloalkylthioalkyl, C₄–C₇ haloalkylthiocycloalkyl, C₄–C₇ haloalkylsulfonylcycloalkyl or C₂–C₅ cyanoalkyl;

each R^{1b} is independently H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ alkoxyalkyl, C₁–C₄ alkoxy or C₁–C₄ alkylthio;

R^{1c} is H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₂–C₆ oxacycloalkyl or C₁–C₇ haloalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₃–C₆ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₁–C₅ haloalkyl, C₂–C₅ haloalkenyl, C₂–C₅ haloalkynyl, C₂–C₅ alkoxyalkyl, C₂–C₅ haloalkoxyalkyl, C₁–C₅ alkoxy, C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring, containing up to 2 oxygen atoms as the ring members.

R^{3a} is halogen, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₁–C₇ alkoxy, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl or C₂–C₇ haloalkoxyalkyl;

each R^{3c} is independently H, halogen, hydroxy, C₁–C₅ alkyl, C₁–C₅ alkoxy, C₃–C₆ alkylcarbonylalkyl, C₃–C₆ alkoxyalkyl or C₂–C₆ alkylcarbonyloxy;

R^{3d} is H, C₁-C₄ alkyl, C₂-C₅ alkylcarbonyl, C₂-C₅ haloalkylcarbonyl, C₂-C₅ alkoxy carbonyl, C₂-C₅ alkylaminocarbonyl, C₂-C₅ dialkylaminocarbonyl, C₁-C₅ alkylsulfonyl or C₁-C₅ haloalkylsulfonyl; and

R^{4a} is H, halogen, C₁-C₅ alkyl, C₁-C₅ haloalkyl.

5 5. The compound of Claim 4 wherein

A is A-1, A-2 or A-8;

R^{1a} is H, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₄-C₈ alkoxy cycloalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ alkyloxacycloalkyl, C₂-C₇ alkoxyalkyl, C₁-C₇ alkoxy, C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl, C₂-C₇ alkylsulfinylalkyl or C₂-C₇ alkylsulfonylalkyl;

each R^{1b} is independently H, C₁-C₄ alkyl or C₃-C₄ cycloalkyl;

R^{1c} is H, C₁-C₄ alkyl or C₃-C₅ cycloalkyl;

each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₅ alkoxy or C₁-C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring, containing up to 2 oxygen atoms as the ring members;

R^{3a} is halogen, C₁-C₇ alkyl, C₃-C₇ cycloalkyl, C₁-C₇ alkoxy, C₁-C₇ haloalkyl or C₂-C₇ alkoxyalkyl;

R^{3c} is independently H, F, Cl, hydroxy, Me, OMe or -OC(=O)Me; and

R^{3d} is H or C₁-C₄ alkyl.

6. The compound of Claim 5 wherein

A is A-1;

X¹, X², X³ and X⁴ are CR²;

R^{1a} is C₁-C₅ alkyl, C₃-C₅ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₆ hydroxyalkyl, C₂-C₅ oxacycloalkyl or C₂-C₇ alkylsulfonylalkyl;

each R² is independently H, halogen, cyano, OH, C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, C₃-C₆ cycloalkyl, C₁-C₅ haloalkyl, C₁-C₅ alkoxy or C₁-C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-;

R^{3a} is halogen, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₃ alkoxy, C₁-C₄ haloalkyl or C₂-C₅ alkoxyalkyl;

each R^{3b} is independently H or halogen; and

R^{3d} is H or Me.

7. The compound of Claim 6 wherein
 R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH;
 R^{1b} is H;
 R^{1c} is H, Me, *c*-Pr or *i*-Pr;
each R^2 is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF₃, OCF₃ or CH₂CF₃;
Y is O;
 R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;
 R^{3b} is H;
 R^{3d} is H or Me; and
 R^{4a} is H, Cl or Me.
8. The compound of any one of the Claims 1 to 7 wherein
Z is C(R^{3c})_p.
9. The compound of Claim 2 wherein
Z is O.
10. The compound of Claim 9 wherein
X² and X³ are N, and X¹ and X⁴ are each independently CR²; or X¹ and X³ are N, and X² and X⁴ are each independently CR².
11. The compound of Claim 10 wherein
A is A-1, A-2, A-3, A-5, A-7, A-8 or A-10;
 R^{1a} is H, C₁-C₇ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl, C₄-C₇ cycloalkylalkyl, C₄-C₇ alkylcycloalkyl, C₁-C₇ haloalkyl, C₁-C₆ hydroxyalkyl, C₃-C₇ hydroxycycloalkyl, C₁-C₆ hydroxyhaloalkyl, C₄-C₈ alkoxyalkyl, C₂-C₇ alkoxyhaloalkyl, C₂-C₆ oxacycloalkyl, C₃-C₇ oxacycloalkylalkyl, C₃-C₇ alkyloxacycloalkyl, C₂-C₆ thiacycloalkyl, C₃-C₇ thiacycloalkylalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₇ halocycloalkyl, C₄-C₇ haloalkylcycloalkyl, C₂-C₇ alkoxyalkyl, C₂-C₇ haloalkoxyalkyl, C₁-C₇ alkoxy, C₂-C₅ alkenyloxy, C₂-C₅ alkynyloxy, C₃-C₇ cycloalkoxy, C₄-C₇ cycloalkoxyalkyl, C₁-C₇ haloalkoxy, C₁-C₇ alkylthio, C₂-C₇ alkylthioalkyl, C₁-C₅ alkylsulfinyl, C₁-C₅ alkylsulfonyl, C₁-C₅ haloalkylthio, C₂-C₇ alkylsulfinylalkyl, C₂-C₇ alkylsulfonylalkyl, C₂-C₇ haloalkylthioalkyl, C₄-C₇ haloalkylthiocycloalkyl, C₄-C₇ haloalkylsulfonylcycloalkyl or C₂-C₅ cyanoalkyl;

each R^{1b} is independently H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₁–C₄ haloalkyl, C₂–C₄ alkoxyalkyl, C₁–C₄ alkoxy or C₁–C₄ alkylthio;

R^{1c} is H, C₁–C₄ alkyl, C₃–C₅ cycloalkyl, C₂–C₆ oxacycloalkyl or C₁–C₇ haloalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₂–C₅ alkenyloxy, C₂–C₅ alkynyloxy, C₃–C₇ cycloalkoxy, C₄–C₇ cycloalkoxyalkyl, C₃–C₆ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₁–C₅ haloalkyl, C₂–C₅ haloalkenyl, C₂–C₅ haloalkynyl, C₂–C₅ alkoxyalkyl, C₂–C₅ haloalkoxyalkyl, C₁–C₅ alkoxy, C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6- membered ring, containing up to 2 oxygen atoms as the ring members;

R^{3a} is halogen, C₁–C₇ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl, C₁–C₇ alkoxy, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₂–C₆ haloalkenyl, C₂–C₆ haloalkynyl, C₃–C₇ halocycloalkyl, C₄–C₇ haloalkylcycloalkyl, C₂–C₇ alkoxyalkyl or C₂–C₇ haloalkoxyalkyl;

each R^{3c} is independently H, halogen, hydroxy, C₁–C₅ alkyl, C₁–C₅ alkoxy, C₃–C₆ alkylcarbonylalkyl, C₃–C₆ alkoxy carbonylalkyl or C₂–C₆ alkylcarbonyloxy;

R^{3d} is H, C₁–C₄ alkyl, C₂–C₅ alkylcarbonyl, C₂–C₅ haloalkylcarbonyl, C₂–C₅ alkoxy carbonyl, C₂–C₅ alkylaminocarbonyl, C₂–C₅ dialkylaminocarbonyl, C₁–C₅ alkylsulfonyl or C₁–C₅ haloalkylsulfonyl; and

R^{4a} is H, halogen, C₁–C₅ alkyl, C₁–C₅ haloalkyl.

12. The compound of Claim 11 wherein

A is A-1, A-2 or A-8;

R^{1a} is H, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₄–C₇ cycloalkylalkyl, C₄–C₇ alkylcycloalkyl, C₁–C₇ haloalkyl, C₁–C₆ hydroxyalkyl, C₄–C₈ alkoxy cycloalkyl, C₂–C₆ oxacycloalkyl, C₃–C₇ alkyloxacycloalkyl, C₂–C₇ alkoxyalkyl, C₁–C₇ alkoxy, C₁–C₇ alkylthio, C₂–C₇ alkylthioalkyl, C₂–C₇ alkylsulfinylalkyl or C₂–C₇ alkylsulfonylalkyl;

each R^{1b} is independently H, C₁–C₄ alkyl or C₃–C₄ cycloalkyl;

R^{1c} is H, C₁–C₄ alkyl or C₃–C₅ cycloalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6- membered ring, containing up to 2 oxygen atoms as the ring members;

R^{3a} is halogen, C₁–C₇ alkyl, C₃–C₇ cycloalkyl, C₁–C₇ alkoxy, C₁–C₇ haloalkyl or C₂–C₇ alkoxyalkyl;

R^{3c} is independently H, F, Cl, hydroxy, Me, OMe or -OC(=O)Me; and

R^{3d} is H or C₁–C₄ alkyl.

- 5 13. The compound of Claim 12 wherein
 A is A-1;
 X¹ and X³ are N, and X² and X⁴ are independently CR²;
 R^{1a} is C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl,
 C₂–C₅ oxacycloalkyl or C₂–C₇ alkylsulfonylalkyl;
 10 each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl,
 C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–
 C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-
 membered ring and the said ring contains a group of -OCH₂O- or -
 O(CH₂)₂O- or -O(CH₂)₂-;
 15 R^{3a} is halogen, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₃ alkoxy, C₁–C₄ haloalkyl
 or C₂–C₅ alkoxyalkyl;
 each R^{3b} is independently H or halogen; and
 R^{3d} is H or Me.
- 20 14. The compound of Claim 13 wherein
 R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or
 CMe₂OH;
 R^{1b} is H;
 R^{1c} is H, Me, *c*-Pr or *i*-Pr;
 each R² is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me,
 25 Et, OMe, CF₃, OCF₃ or CH₂CF₃;
 Y is O;
 R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;
 R^{3b} is H;
 R^{3d} is H or Me; and
 30 R^{4a} is H or Me.
- 35 15. The compound of Claim 12 wherein
 A is A-1;
 X² and X³ are N, and X¹ and X⁴ are independently CR²;
 R^{1a} is C₁–C₅ alkyl, C₃–C₅ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₆ hydroxyalkyl,
 C₂–C₅ oxacycloalkyl or C₂–C₇ alkylsulfonylalkyl;

each R² is independently H, halogen, cyano, OH, C₁–C₅ alkyl, C₂–C₅ alkenyl, C₂–C₅ alkynyl, C₃–C₆ cycloalkyl, C₁–C₅ haloalkyl, C₁–C₅ alkoxy or C₁–C₅ haloalkoxy; or two adjacent R² may be taken together to form a 5- or 6-membered ring and the said ring contains a group of -OCH₂O- or -O(CH₂)₂O- or -O(CH₂)₂-;

R^{3a} is halogen, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₃ alkoxy, C₁–C₄ haloalkyl or C₂–C₅ alkoxyalkyl;

each R^{3b} is independently H or halogen; and

R^{3d} is H or Me.

16. The compound of Claim 15 wherein
R^{1a} is Et, *i*-Pr, *t*-Bu, *c*-Bu, CFMe₂, CF₂Me, oxetan-3-yl, CH₂SO₂Me or CMe₂OH;

R^{1b} is H;

R^{1c} is H, Me, *c*-Pr or *i*-Pr;

each R² is independently H, OH, OEt, propargyl, allyl, *c*-Pr, F, Cl, Br, CN, Me, Et, OMe, CF₃, OCF₃ or CH₂CF₃;

Y is O;

R^{3a} is halogen, Me, *c*-Pr, CF₃, CH₂OMe, or CH₂OEt;

R^{3b} is H;

R^{3d} is H or Me; and

R^{4a} is H or Me.

17. The compound of Claim 1 selected from the group consisting of:
(3,4-dihydro-3,5-dimethyl-1(2*H*)-quinolinyl)-[2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
[(3*S*)-3,4-dihydro-3,5-dimethyl-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
[(3*R*)-3,4-dihydro-3,5-dimethyl-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
[5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;
[(3*S*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*R*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*S*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*R*)-5-fluoro-3,4-dihydro-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methyl-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*S*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

[(3*R*)-3,4-dihydro-5-methyl-3-(trifluoromethyl)-1(2*H*)-quinolinyl][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone; and

[3,4-dihydro-3-methyl-5-(trifluoromethyl)-1(2*H*)-quinoxaliny]l][2-methoxy-5-[3-(1-methylethyl)-1*H*-1,2,4-triazol-1-yl]phenyl]methanone;

and combinations thereof.

18. A herbicidal composition comprising a compound of Claim 1 and at least one component selected from the group consisting of surfactants, solid diluents and liquid diluents.

19. A herbicidal composition comprising a compound of Claim 1, at least one
5 additional active ingredient selected from the group consisting of other herbicides and
herbicide safeners, and at least one component selected from the group consisting of
surfactants, solid diluents and liquid diluents.

20. A herbicidal mixture comprising (a) a compound of any one of Claims 1 through 17, and (b) at least one additional active ingredient selected from photosystem II inhibitors (b1), AHAS inhibitors (b2), ACCase inhibitors (b3), auxin mimics (b4), EPSP synthase

inhibitors (b5), photosystem I electron diverters (b6), PPO inhibitors (b7), GS inhibitors (b8), VLCFA elongase inhibitors (b9), auxin transport inhibitors (b10), PDS inhibitors (b11), HPPD inhibitors (b12), DXP synthase inhibitors (b13), HST inhibitors (b14), cellulose biosynthesis inhibitors (b15), DHODH inhibitors (b16), other herbicides including mitotic disruptors, organic arsenicals, asulam, bromobutide, cinflubrolin, cinmethylin, cumyluron, dazomet, difenzoquat, dymron, etobenzanid, flurenol, fosamine, fosamine-ammonium, hydantocidin, metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid and pyributicarb (b17), and herbicide safeners (b18); and salts of compounds of (b1) through (b18).

21. A method for controlling the growth of undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a compound of Claim 1.

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2024/055871

A. CLASSIFICATION OF SUBJECT MATTER		
INV. C07D401/10 C07D401/14 C07D403/10 C07D405/14 C07D413/14 A01N43/64		
ADD.		
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) EPO-Internal, CHEM ABS Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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A	US 2012/065197 A1 (CRISTAU PIERRE [FR] ET AL) 15 March 2012 (2012-03-15) compound 16 on page 30 and compound 81 on page 32; use examples on pages 56-57; paragraphs [0002], [0281] -----	1 - 21
A	EP 0 733 625 A1 (BAYER AGROCHEM KK [JP]) 25 September 1996 (1996-09-25) compounds 38, 62, 87, 110, 122, 133, 136, 138, 145 in Table 1; claims 1, 5-8 ----- - / - -	1 - 21
☒ 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 11 February 2025		Date of mailing of the international search report 24/02/2025
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer Guspanová, Jana

INTERNATIONAL SEARCH REPORT

International application No

PCT/US2024/055871

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
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A,P	WO 2024/015425 A1 (FMC CORP [US]) 18 January 2024 (2024-01-18) Tasts A-D; claims 1,13-17 -----	1 - 21

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