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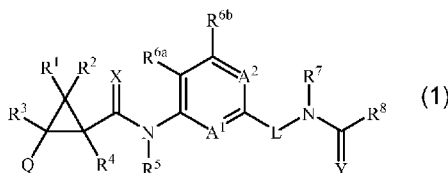
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(54) Title: META-DIAMIDE COMPOUNDS FOR CONTROLLING INVERTEBRATE PESTS



(57) Abstract: Disclosed are compounds of Formula (1), *N*-oxides, and salts thereof, wherein Q, X, Y, A¹, A², L, R¹, R², R³, R⁴, R⁵, R^{6a}, R^{6b}, R⁷ and R⁸ are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (1) and methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound or a composition of the disclosure.



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TITLE

META-DIAMIDE COMPOUNDS FOR CONTROLLING INVERTEBRATE PESTS

CROSS-REFERENCE TO RELATED APPLICATION

This application claims the benefit of U.S. Provisional Application No. 62/771,414 filed
 5 November 26, 2018.

FIELD OF THE DISCLOSURE

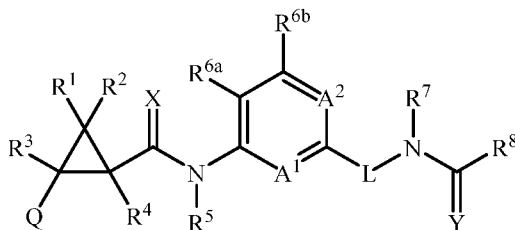
This disclosure relates to certain diamide compounds, their *N*-oxides, salts and
 compositions suitable for agronomic and nonagronomic uses, and methods of their use for
 10 controlling invertebrate pests such as arthropods in both agronomic and nonagronomic
 environments.

BACKGROUND OF THE DISCLOSURE

The control of invertebrate pests is extremely important in achieving high crop
 efficiency. Damage by invertebrate pests to growing and stored agronomic crops can cause
 15 significant reduction in productivity and thereby result in increased costs to the consumer. The
 control of invertebrate pests in forestry, greenhouse crops, ornamentals, nursery crops, stored
 food and fiber products, livestock, household, turf, wood products, and public and animal
 health 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,
 20 environmentally safer or have different sites of action.

SUMMARY OF THE DISCLOSURE

This disclosure is directed to compounds of Formula 1, *N*-oxides, and salts thereof, and
 compositions containing them and their use for controlling invertebrate pests:



wherein

R¹ is H, F, Cl, Br, I, CH₃, or CF₃

R² is F, Cl, Br, I, CH₃, or CF₃;

R³ and R⁴ are each independently H or C₁–C₃ alkyl;

5 Q is phenyl, thiophenyl, furanyl, pyridinyl or naphthalenyl, each unsubstituted or substituted with 1 to 3 R¹⁰;

X is O or S;

Y is O or S;

10 R⁵ is H, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴ or S(O)_nR¹⁵; or C₁–C₆ alkyl, C₃–C₆ cycloalkyl, C₂–C₆ alkenyl or C₂–C₆ alkynyl, each unsubstituted or substituted with at least one R^x;

A¹ is CR^{9a} or N;

A² is CR^{9b} or N;

15 R^{6a} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or substituted with at least one R^x;

20 R^{6b} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or substituted with at least one R^x;

L is C₁–C₂ alkylenyl, unsubstituted or substituted with 1 or 2 C₁–C₃ alkyl;

25 R⁷ is H, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴ or S(O)_nR¹⁵; or C₁–C₆ alkyl, C₃–C₆ cycloalkyl, C₂–C₆ alkenyl or C₂–C₆ alkynyl, each unsubstituted or substituted with at least one R^x;

30 R⁸ is H, NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or substituted with at least one R^x; or a phenyl, a 5- or 6-membered heterocyclic aromatic ring or a 3- to 7-membered heterocyclic non-aromatic ring, each ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one oxygen atom, one sulfur atom, and up to 2 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 ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, 35 nitro, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₁–C₄ alkoxy, C₁–C₄ haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄

haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylcarbonyl or C₁–C₄ alkoxy carbonyl;

each R^x is independently halogen, cyano, nitro, hydroxy, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₃–C₆ cycloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C(O)OR¹¹,
 5 C(O)NR¹²R¹³, NR¹²R¹³, C(O)R¹⁴, S(O)_nR¹⁵ or SO₂NR¹²R¹³;

R^{9a} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶,
 S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–
 C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or substituted with at
 least one R^x;

10 R^{9b} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶,
 S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–C₆ alkynyl, C₃–
 C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or substituted with at
 least one R^x;

each R¹⁰ is independently halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴,
 15 NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁–C₆ alkyl, C₂–C₆ alkenyl, C₂–
 C₆ alkynyl, C₃–C₇ cycloalkyl or C₄–C₈ cycloalkylalkyl, each unsubstituted or
 substituted with at least one R^x; and when two R¹⁰ are attached to adjacent
 carbon atoms, said two R¹⁰ can be taken together with the carbon atoms to which
 20 they are attached to form a 3- to 7-membered ring containing ring members
 selected from carbon atoms and up to 2 heteroatoms independently selected from
 two oxygen atoms, one sulfur atom, and up to 2 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, said ring
 being unsubstituted or substituted with at least one substituent independently
 25 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;

each R¹¹ is independently C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₃–C₆ cycloalkyl or C₃–C₆
 halocycloalkyl; or phenyl, unsubstituted or substituted with at least one
 substituent independently selected from the group consisting of halogen, cyano,
 30 nitro, C₁–C₄ alkyl, C₃–C₆ cycloalkyl, C₁–C₄ haloalkyl, C₁–C₄ alkoxy, C₁–C₄
 haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄
 haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄
 alkylcarbonyl or C₁–C₄ alkoxy carbonyl;

each R¹² is independently H, C₁–C₆ alkyl, C₁–C₄ haloalkyl, C(O)R¹⁷ or S(O)₂R¹⁷; or
 35 phenyl or a 5- or 6-membered heterocyclic aromatic ring, each 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxycarbonyl;

each R¹³ is independently H, C₁-C₆ alkyl or C₁-C₄ haloalkyl; or

R¹² and R¹³ are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one oxygen atom, one sulfur atom, and up to 2 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, said ring being 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxycarbonyl;

each R¹⁴ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxycarbonyl;

each R¹⁵ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxycarbonyl;

each R¹⁶ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄

haloalkoxy, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylcarbonyl or C₁–C₄ alkoxy carbonyl;
each R¹⁷ is independently C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₃–C₆ cycloalkyl or C₃–C₆ halocycloalkyl; and
each n is independently 0, 1 or 2.

This disclosure also provides a composition comprising a compound of Formula **1**, an *N*-oxide or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents. In one embodiment, this disclosure also provides a composition for controlling an invertebrate pest comprising a compound of Formula **1**, an *N*-oxide or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising at least one additional biologically active compound or agent.

This disclosure also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula **1**, an *N*-oxide or a salt thereof, (e.g., as a composition described herein). This disclosure also relates to such method wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula **1**, an *N*-oxide or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

This disclosure also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is a plant.

This disclosure also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is an animal.

This disclosure also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is a seed.

This disclosure also provides a method for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of Formula **1**, an *N*-oxide or a salt thereof, (e.g., as a composition described herein). This disclosure also relates to the treated seed (i.e. seed contacted with a compound of Formula **1**).

This disclosure also provides a method for increasing vigor of a crop plant comprising contacting the crop plant, the seed from which the crop plant is grown or the locus (e.g., growth medium) of the crop plant with a biologically effective amount of a compound of Formula 1 (e.g., as a composition described herein).

5

DETAILS OF THE DISCLOSURE

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.

10

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.

15

The transitional phrase “consisting essentially of” is used to define a composition or method 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 disclosure. The term “consisting essentially of” occupies a middle ground between “comprising” and “consisting of”.

20

Where applicants have defined a disclosure 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 a disclosure using the terms “consisting essentially of” or “consisting of.”

25

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).

30

Also, the indefinite articles “a” and “an” preceding an element or component of the disclosure 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.

35

It also is understood that any numerical range recited herein includes all values from the lower value to the upper value. For example, if a weight ratio range is stated as 1 : 50, it is intended that values such as 2 : 40, 10 : 30, or 1 : 3, etc., are expressly enumerated in this specification. These are only examples of what is specifically intended, and all possible combinations of numerical values between and including the lowest value and the highest value enumerated are to be considered to be expressly stated in this application.

As referred to in this disclosure, the term “invertebrate pest” includes arthropods, gastropods, nematodes and helminths of economic importance as pests. The term “arthropod” includes insects, mites, spiders, scorpions, centipedes, millipedes, pill bugs and symphylans. The term “gastropod” includes snails, slugs and other Stylommatophora. The term “nematode” includes members of the phylum Nematoda, such as phytophagous nematodes and helminth nematodes parasitizing animals. The term “helminth” includes all of the parasitic worms, such as roundworms (phylum Nematoda), heartworms (phylum Nematoda, class Secernentea), flukes (phylum Platyhelminthes, class Tematoda), acanthocephalans (phylum Acanthocephala), and tapeworms (phylum Platyhelminthes, class Cestoda).

In the context of this disclosure “invertebrate pest control” means inhibition of invertebrate pest development (including mortality, feeding reduction, and/or mating disruption), and related expressions are defined analogously.

The term “agronomic” refers to the production of field crops such as for food and fiber and includes the growth of maize or corn, soybeans and other legumes, rice, cereal (e.g., wheat, oats, barley, rye and rice), leafy vegetables (e.g., lettuce, cabbage, and other cole crops), fruiting vegetables (e.g., tomatoes, pepper, eggplant, crucifers and cucurbits), potatoes, sweet potatoes, grapes, cotton, tree fruits (e.g., pome, stone and citrus), small fruit (e.g., berries and cherries) and other specialty crops (e.g., canola, sunflower and olives).

The term “nonagronomic” refers to other than field crops, such as horticultural crops (e.g., greenhouse, nursery or ornamental plants not grown in a field), residential, agricultural, commercial and industrial structures, turf (e.g., sod farm, pasture, golf course, lawn, sports field, etc.), wood products, stored product, agro-forestry and vegetation management, public health (i.e. human) and animal health (e.g., domesticated animals such as pets, livestock and poultry, undomesticated animals such as wildlife) applications.

The term “crop vigor” refers to rate of growth or biomass accumulation of a crop plant. An “increase in vigor” refers to an increase in growth or biomass accumulation in a crop plant relative to an untreated control crop plant. The term “crop yield” refers to the return on crop material, in terms of both quantity and quality, obtained after harvesting a crop plant. An “increase in crop yield” refers to an increase in crop yield relative to an untreated control crop plant.

The term “biologically effective amount” refers to the amount of a biologically active compound (e.g., a compound of Formula 1) sufficient to produce the desired biological effect when applied to (i.e. contacted with) an invertebrate pest to be controlled or its environment, or to a plant, the seed from which the plant is grown, or the locus of the plant (e.g., growth medium) to protect the plant from injury by the invertebrate pest or for other desired effect (e.g., increasing plant vigor).

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 or hexyl 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. “Alkylene” denotes a straight-chain or branched alkanediyl. Examples of “alkylene” include CH₂, CH₂CH₂, CH(CH₃), CH₂CH₂CH₂, CH₂CH(CH₃) and the different butylene isomers.

“Alkoxy” includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers.

“Cycloalkyl” includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term “alkylcycloalkyl” denotes alkyl substitution on a cycloalkyl moiety and includes, for example, ethylcyclopropyl, *i*-propylcyclobutyl, 3-methylcyclopentyl and 4-methylcyclohexyl. The term “cycloalkylalkyl” denotes cycloalkyl substitution on an alkyl moiety. Examples of “cycloalkylalkyl” include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups.

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 F₃C-, ClCH₂-, CF₃CH₂- and CF₃CCl₂-.

The chemical abbreviations S(O) and S(=O) as used herein represent a sulfinyl moiety. 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. “CHO” means formyl.

Unless otherwise indicated, a “ring” or “ring system” as a component of Formula **1** is carbocyclic or heterocyclic. The term “ring system” denotes two or more fused rings. 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.

5 The terms “heterocyclic ring”, “heterocycle” or “heterocyclic ring system” denote a ring or ring system 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 carbocyclic ring or heterocyclic ring can be a saturated or unsaturated ring.

10 Unless otherwise indicated, heterocyclic rings and ring systems 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 in which $(4n + 2) \pi$ electrons, where *n* is a positive integer, are associated with the ring to comply with Hückel’s rule. The term “aromatic ring system” denotes a carbocyclic or heterocyclic ring system in which at least one ring of the ring system is aromatic. When a fully unsaturated carbocyclic ring satisfies Hückel’s rule, then said ring is also called an “aromatic ring” or “aromatic carbocyclic ring”.
15 The term “aromatic carbocyclic ring system” denotes a carbocyclic ring system in which at least one ring of the ring system is aromatic. When a fully unsaturated heterocyclic ring satisfies Hückel’s rule, then said ring is also called a “heteroaromatic ring” or “aromatic heterocyclic ring”. The term “aromatic heterocyclic ring system” denotes a heterocyclic ring system in which at least one ring of the ring system is aromatic.

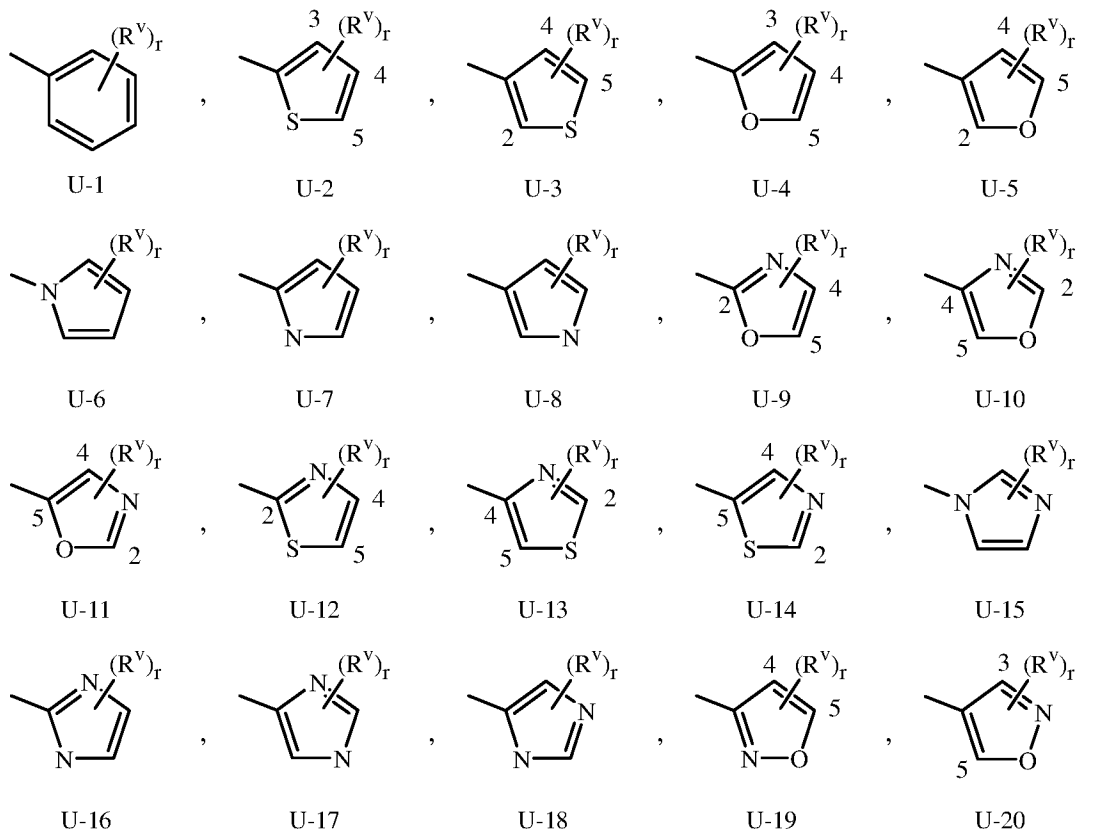
The term “optionally substituted” in connection with the heterocyclic rings refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the biological activity possessed by the unsubstituted analog. As used herein, the following definitions shall apply 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
25 30 the other.

When R⁸ is a 5- or 6-membered nitrogen-containing heterocyclic ring, it may be attached to the remainder of Formula **1** through any available carbon or nitrogen ring atom, unless otherwise described. As noted above, R⁸ can be (among others) phenyl optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Disclosure. An example of phenyl optionally substituted with one to five substituents is the
35

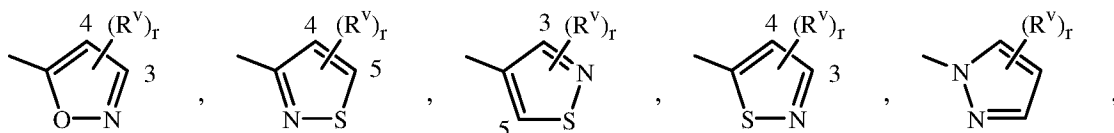
ring illustrated as U-1 in Exhibit 1, wherein R^v is as defined in the Summary of the Disclosure for R^8 and r is an integer from 0 to 5.

As noted above, R^8 can be (among others) 5- or 6-membered heterocyclic ring, which may be saturated or unsaturated, optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Disclosure. 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-61 illustrated in Exhibit 1 wherein R^v is any substituent as defined in the Summary of the Disclosure for R^8 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 $(R^v)_r$.

Exhibit 1



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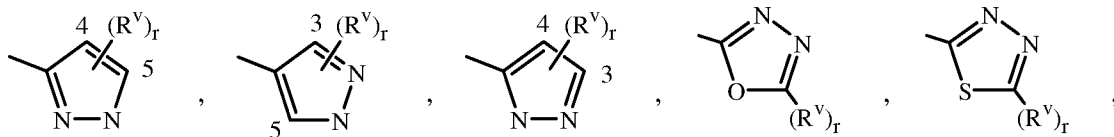
U-21

U-22

U-23

U-24

U-25



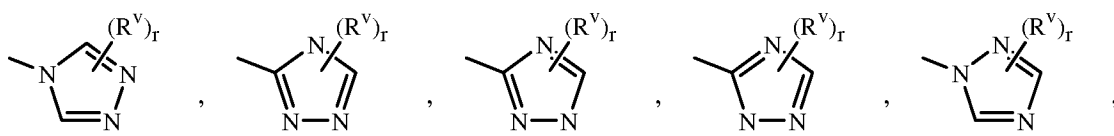
U-26

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U-28

U-29

U-30



U-31

U-32

U-33

U-34

U-35



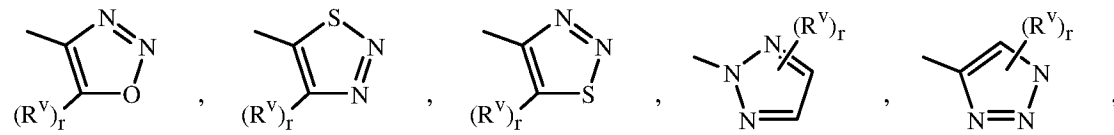
U-36

U-37

U-38

U-39

U-40



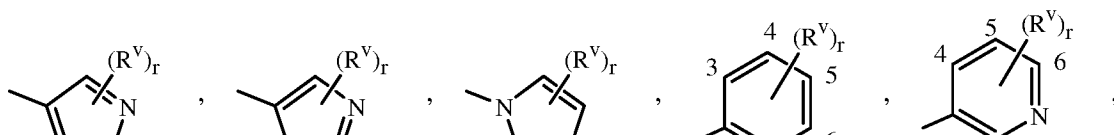
U-41

U-42

U-43

U-44

U-45



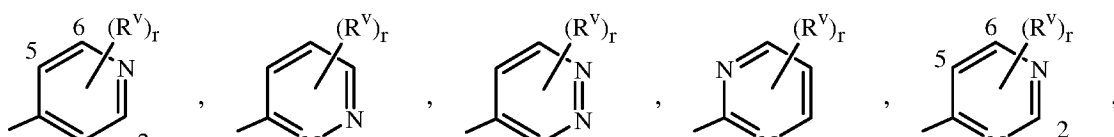
U-46

U-47

U-48

U-49

U-50



U-51

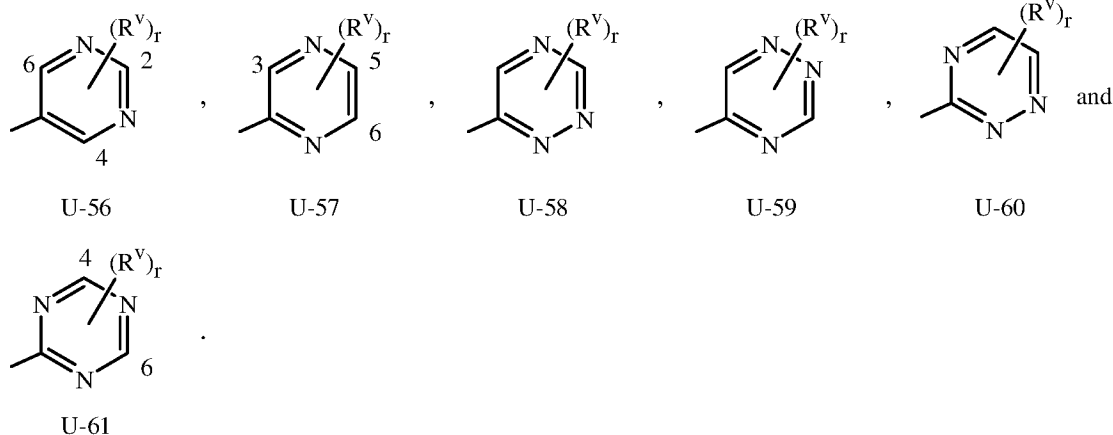
U-52

U-53

U-54

U-55

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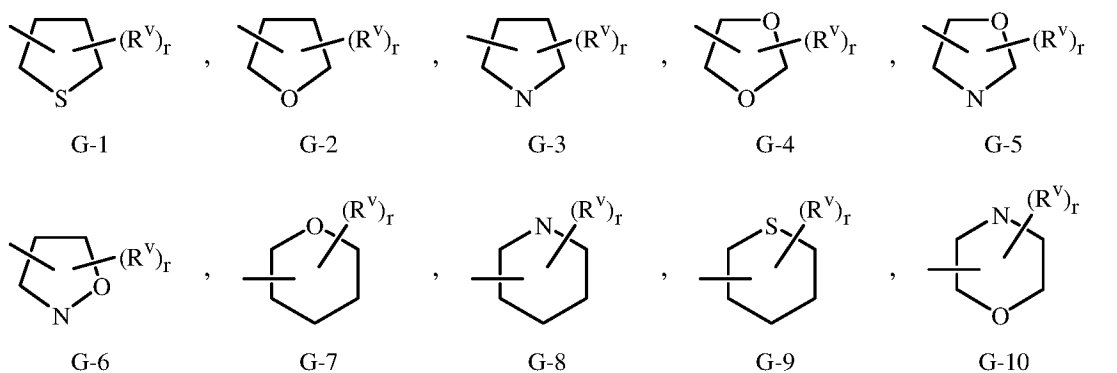


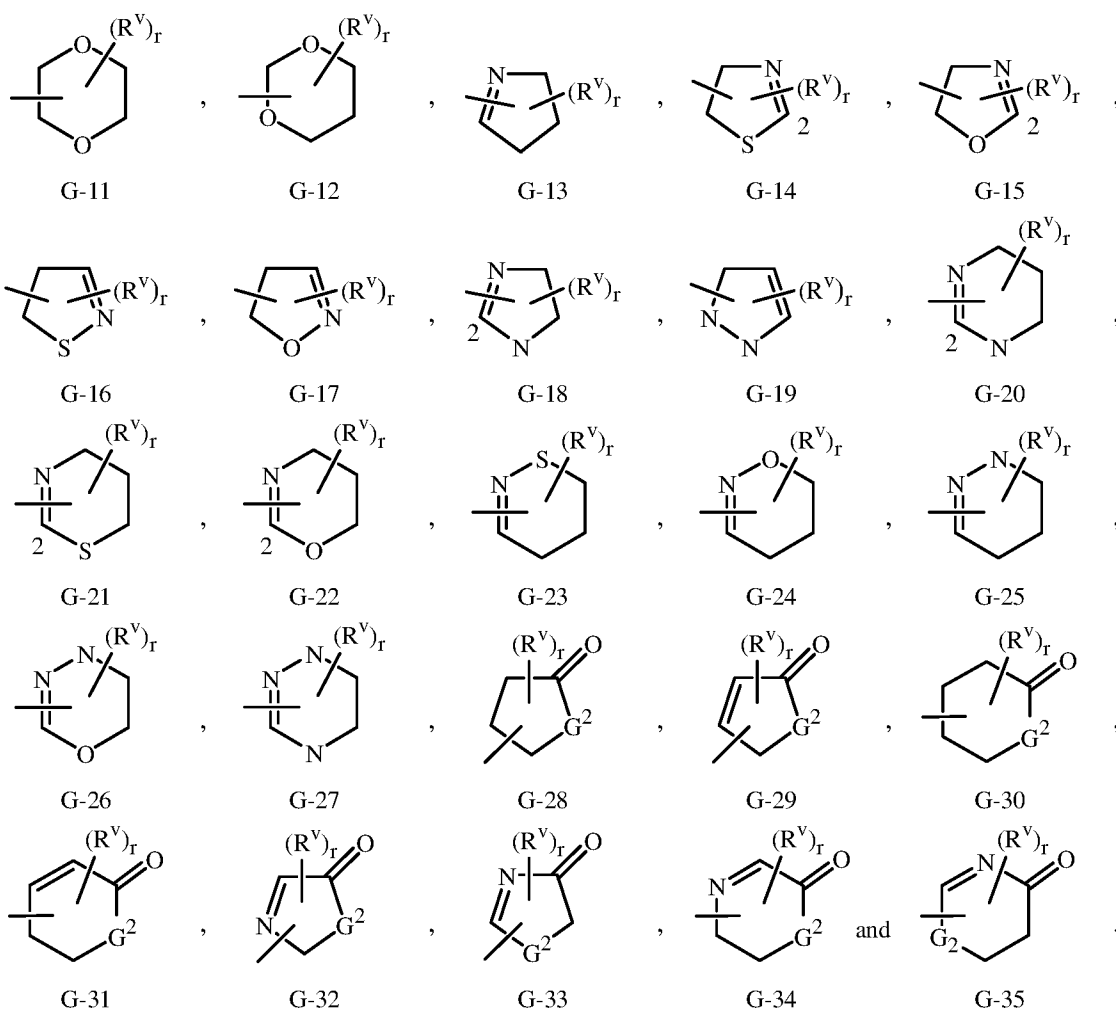
Note that when R⁸ is a 3- to 7-membered heterocyclic non-aromatic ring optionally substituted with one or more substituents selected from the group of substituents as defined in the Summary of Disclosure for R⁸, one or two carbon ring members of the heterocycle can optionally be in the oxidized form of a carbonyl moiety.

Examples of a 5- or 6-membered non-aromatic heterocyclic ring include the rings G-1 through G-35 as illustrated in Exhibit 2. Note that when the attachment point on the G group is illustrated as floating, the G group can be attached to the remainder of Formula 1 through any available carbon or nitrogen of the G group by replacement of a hydrogen atom. The optional substituents corresponding to R^v can be attached to any available carbon or nitrogen by replacing a hydrogen atom. For these G rings, r is typically an integer from 0 to 4, limited by the number of available positions on each G group.

Note that when R⁸ comprises a ring selected from G-28 through G-35, G² is selected from O, S or N. Note that when G² 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 of Disclosure for R⁸.

Exhibit 2





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.

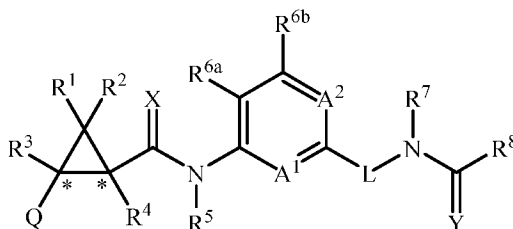
Compounds of this disclosure can exist as one or more stereoisomers. Stereoisomers are isomers of identical constitution but differing in the arrangement of their atoms in space and include enantiomers, diastereomers, cis-trans isomers (also known as geometric isomers) and atropisomers. Atropisomers result from restricted rotation about single bonds where the rotational barrier is high enough to permit isolation of the isomeric 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, *Stereochemistry of Organic*
 5 *Compounds*, John Wiley & Sons, 1994.

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
 10 below the plane of the drawing and away from the viewer are denoted by dashed wedges wherein the narrow end of the wedge is attached to the atom further away from the viewer.

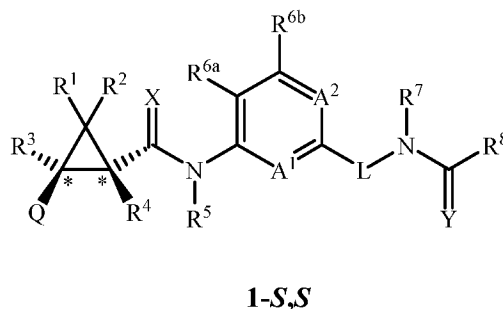
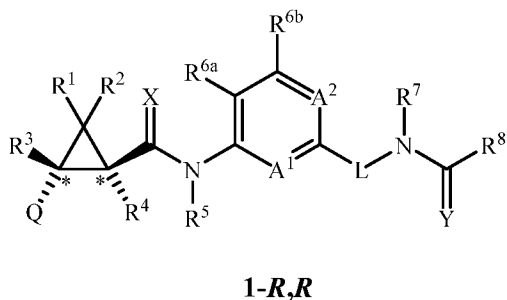
The compounds of the disclosure can exist as stereoisomers due to the possible chiral carbon atoms present in Formula **1**. Thus, this disclosure comprises the individual stereoisomers of the compounds of Formula **1**, as well as mixtures of stereoisomers of the
 15 compounds of Formula **1**.

An embodiment of this disclosure comprises the individual stereoisomers of the compounds of Formula **1-trans**, as well as mixtures of stereoisomers of the compounds of Formula **1-trans**. Formula **1-trans** represents Formula **1** wherein the Q group and the -C(X)N(R⁵)- group attached to the cyclopropane ring at the carbon atoms marked with
 20 asterisks (*) are trans to each other.

**1-trans**

wherein Q and -C(X)N(R⁵)- are trans

Formula **1-trans** thus represents two enantiomeric trans stereoisomers, depicted below as Formula **1-R,R** and Formula **1-S,S**. Formula **1-R,R** has the (*R*) configuration at both chiral
 25 carbon atoms of the cyclopropane ring marked by asterisks in the structures above, and Formula **1-S,S** has the (*S*) configuration at both chiral carbon atoms of the cyclopropane ring marked by asterisks in the structures above.



The more biologically active enantiomer is believed to be Formula **1-*R,R***.

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

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

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

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

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

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

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

An embodiment of this disclosure comprises the compounds of Formula **1-*R,R***.

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 well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and 3-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 *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, 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 forms, salts share the biological utility of the nonsalt forms. Thus a wide variety of salts of the compounds of Formula **1** are useful for control of invertebrate pests. The salts of the compounds 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 such as a carboxylic acid or phenol, 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 disclosure comprises compounds selected from Formula **1**, *N*-oxides and suitable salts thereof.

Compounds selected from Formula **1**, stereoisomers, tautomers, *N*-oxides, and salts thereof, typically exist in more than one form, and Formula **1** thus includes all crystalline and non-crystalline forms of the compounds that Formula **1** represents. 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 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. 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 represented by Formula 1 can exhibit beneficial effects (e.g., suitability for preparation of useful formulations, improved biological performance) relative to another polymorph or a mixture of polymorphs of the same compound represented by Formula 1. Preparation and isolation of a particular polymorph of a compound represented by Formula 1 can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures. Compounds of this disclosure may exist as one or more crystalline polymorphs. This disclosure comprises both individual polymorphs and mixtures of polymorphs, including mixtures enriched in one polymorph relative to others. For a comprehensive discussion of polymorphism see R. Hilfiker, Ed., *Polymorphism in the Pharmaceutical Industry*, Wiley-VCH, Weinheim, 2006.

Embodiments of the present disclosure as described in the Summary of the Disclosure include those described below. In the following Embodiments, reference to “a compound of Formula 1” includes the definitions of substituents specified in the Summary of the Disclosure unless further defined in the Embodiments.

- Embodiment 1. A compound of Formula 1 wherein R¹ is H, F, Cl, Br, CH₃ or CF₃.
- Embodiment 1a. A compound of Formula 1 wherein R¹ is F, Cl, Br, or CH₃.
- Embodiment 1b. A compound of Formula 1 wherein R¹ is F, Cl, or Br.
- Embodiment 1c. A compound of Formula 1 wherein R¹ is F or Cl.
- Embodiment 1d. A compound of Formula 1 wherein R¹ is Cl.
- Embodiment 2. A compound of Formula 1 wherein R² is F, Cl Br, CH₃ or CF₃.
- Embodiment 2a. A compound of Formula 1 wherein R² is F, Cl, Br or CH₃.
- Embodiment 2b. A compound of Formula 1 wherein R² is F, Cl, or Br.
- Embodiment 2c. A compound of Formula 1 wherein R² is F or Cl.
- Embodiment 2d. A compound of Formula 1 wherein R² is Cl.
- Embodiment 3. A compound of Formula 1 wherein R¹ is F and R² is F, or R¹ is Cl and R² is Cl.
- Embodiment 3a. A compound of Formula 1 wherein R¹ is F and R² is F.
- Embodiment 3b. A compound of Formula 1 wherein R¹ is Cl and R² is Cl.
- Embodiment 3c. A compound of Formula 1 wherein R¹ is Br and R² is Br.
- Embodiment 3 d. A compound of Formula 1 wherein R¹ is CH₃ and R² is CH₃.

Embodiment 3 d. A compound of Formula **1** wherein R¹ is CF₃ and R² is CF₃.

Embodiment 4. A compound of Formula **1** wherein R³ is H.

Embodiment 5. A compound of Formula **1** wherein R⁴ is H.

Embodiment 6. A compound of Formula **1** wherein R³ is H and R⁴ is H.

5 Embodiment 7. A compound of Formula **1** wherein Q is phenyl or pyridinyl, each unsubstituted or substituted with 1 to 3 R¹⁰.

Embodiment 7a. A compound of Formula **1** wherein Q is phenyl, unsubstituted or substituted with 1 to 3 R¹⁰.

10 Embodiment 7b. A compound of Formula **1** wherein Q is pyridinyl, unsubstituted or substituted with 1 to 3 R¹⁰.

Embodiment 7c. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰.

15 Embodiment 7d. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰, and each R¹⁰ is independently halogen, C₁-C₃ haloalkyloxy, or C₁-C₃ haloalkyl.

Embodiment 7e. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰, and each R¹⁰ is independently F, Cl or CF₃.

20 Embodiment 7f. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰, wherein the first R¹⁰ is in the 3-position of the phenyl ring, and the second R¹⁰, if present, is in the 4- or 5-position of the phenyl ring; and each R¹⁰ is independently F, Cl or CF₃.

25 Embodiment 7g. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰, wherein the first R¹⁰ is in the 3-position of the phenyl ring, and the second R¹⁰, if present, is in the 4- or 5-position of the phenyl ring; and each R¹⁰ is independently F or Cl.

Embodiment 7h. A compound of Formula **1** wherein Q is phenyl substituted with 1 to 3 R¹⁰, wherein the first R¹⁰ is in the 2-position of the phenyl ring, and the second R¹⁰, if present, is in the 3-, 4-, or 5-position of the phenyl ring; and each R¹⁰ is independently F, Cl or CF₃.

30 Embodiment 7. A compound of Formula **1** wherein Q is thiophenyl or pyridinyl, each unsubstituted or substituted with 1 to 3 R¹⁰.

Embodiment 8. A compound of Formula **1** wherein X is O.

Embodiment 8a. A compound of Formula **1** wherein Y is O.

Embodiment 8b. A compound of Formula **1** wherein X is O and Y is O.

35 Embodiment 9. A compound of Formula **1** wherein R⁵ is H or methyl.

Embodiment 9a. A compound of Formula **1** wherein R⁵ is H.

- Embodiment 10. A compound of Formula **1** wherein R⁷ is H or methyl.
- Embodiment 10a. A compound of Formula **1** wherein R⁷ is H.
- Embodiment 11. A compound of Formula **1** wherein A¹ is CR^{9a}.
- Embodiment 11a. A compound of Formula **1** wherein A² is CR^{9b}.
- 5 Embodiment 11b. A compound of Formula **1** wherein A¹ is CR^{9a} and A² is CR^{9b}.
- Embodiment 11c. A compound of Formula **1** wherein A¹ is N.
- Embodiment 11d. A compound of Formula **1** wherein A² is N.
- Embodiment 11e. A compound of Formula **1** wherein A¹ is N and A² is N.
- Embodiment 12. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is H or
10 halogen.
- Embodiment 12a. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is H, F or Cl.
- Embodiment 12b. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is H or F.
- Embodiment 12c. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is H.
- Embodiment 12d. A compound of Formula **1** wherein A² is CR^{9b}, and R^{9b} is cyano,
15 halogen or C₁-C₃ alkyl.
- Embodiment 12e. A compound of Formula **1** wherein A² is CR^{9b}, and R^{9b} is cyano, F,
Cl, Br or methyl.
- Embodiment 12f. A compound of Formula **1** wherein A² is CR^{9b}, and R^{9b} is F or Cl.
- Embodiment 12g. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is F or Cl
20 and A² is CR^{9b}, and R^{9b} is F or Cl.
- Embodiment 12e. A compound of Formula **1** wherein A¹ is CR^{9a}, and R^{9a} is H and A²
is CR^{9b}, and R^{9b} is F or Cl.
- Embodiment 13. A compound of Formula **1** wherein R^{6a} is H.
- Embodiment 13a. A compound of Formula **1** wherein R^{6b} is H.
- 25 Embodiment 13b. A compound of Formula **1** wherein R^{6a} is H and R^{6b} is H.
- Embodiment 14. A compound of Formula **1** wherein L is -CH₂-.
- Embodiment 14a. A compound of Formula **1** wherein L is -CH(CH₃)-.
- Embodiment 14b. A compound of Formula **1** wherein L is -C(CH₃)₂-.
- Embodiment 14c. A compound of Formula **1** wherein L is -CH₂CH₂-.
- 30 Embodiment 15. A compound of Formula **1** wherein R⁸ is H, NR¹²R¹³ or R¹⁶; or C₁-
C₆ alkyl or C₃-C₇ cycloalkyl, each unsubstituted or substituted with at least one
R^x.
- Embodiment 15a. A compound of Formula **1** wherein R⁸ is H, NR¹²R¹³ or¹⁶; or C₁-C₆
alkyl or C₃-C₇ cycloalkyl, each unsubstituted or substituted with at least one R^x;
35 wherein R¹² and R¹³ are each independently H, C₁-C₄ alkyl or C₁-C₄ haloalkyl;

R¹⁶ is C₁–C₄ alkyl, C₁–C₄ haloalkyl; and each R^x is independently halogen, cyano, C₁–C₄ haloalkyl or C₁–C₄ alkoxy.

Embodiment 15b. A compound of Formula **1** wherein R⁸ is -CF₃, -CH₂CF₃, or cyclopropyl.

5 Embodiment 16. A compound of Formula **1-trans**.

Embodiment 17. A compound of Formula **1-R,R**.

Embodiments of this disclosure, including Embodiments 1–17 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 disclosure, including Embodiments 1–17 above as well as any other embodiments described herein, and any combination thereof, pertain to the compositions and methods of the present disclosure.

15 Combinations of Embodiments 1–17 are illustrated by:

Embodiment A. A compound of Formula **1** wherein

R³ and R⁴ are H;

X and Y are O;

R⁵ is H; and

20 R⁷ is H.

Embodiment B. A compound of Embodiment A wherein

R¹ is F, Cl or Br;

R² is F, Cl or Br;

Q is phenyl, unsubstituted or substituted with 1 to 3 R¹⁰;

25 A¹ is CR^{9a};

A² is CR^{9b};

R^{6a} is H;

R^{6b} is H;

R^{9a} is H or halogen; and

30 R^{9b} is halogen, cyano or C₁–C₆ alkyl.

Embodiment C. A compound of Embodiment B wherein

R¹ is F or Cl;

R² is F or Cl;

L is -CH₂-;

35 R^{9a} is H or F; and

R^{9b} is F or Cl.

Embodiment D. A compound of Formula **1-trans** wherein

R³ and R⁴ are H;

X and Y are O;

R⁵ is H; and

5 R⁷ is H.

Embodiment E. A compound of Embodiment D wherein

R¹ is F, Cl or Br;

R² is F, Cl or Br;

Q is phenyl, unsubstituted or substituted with 1 to 3 R¹⁰;

10 A¹ is CR^{9a};

A² is CR^{9b};

R^{6a} is H;

R^{6b} is H;

R^{9a} is H or halogen; and

15 R^{9b} is halogen, cyano or C₁-C₆ alkyl.

Embodiment F. A compound of Embodiment E wherein

R¹ is F or Cl;

R² is F or Cl;

L is -CH₂-;

20 R^{9a} is H or F; and

R^{9b} is F or Cl.

Embodiment G. A compound of Formula **1-R,R** wherein

R³ and R⁴ are H;

X and Y are O;

25 R⁵ is H; and

R⁷ is H.

Embodiment H. A compound of Embodiment G wherein

R¹ is F, Cl or Br;

R² is F, Cl or Br;

30 Q is phenyl, unsubstituted or substituted with 1 to 3 R¹⁰;

A¹ is CR^{9a};

A² is CR^{9b};

R^{6a} is H;

R^{6b} is H;

35 R^{9a} is H or halogen; and

R^{9b} is halogen, cyano or C₁-C₆ alkyl.

Embodiment I. A compound of Embodiment H wherein

R¹ is F or Cl;

R² is F or Cl;

L is -CH₂-;

5 R^{9a} is H or F; and

R^{9b} is F or Cl.

Specific embodiments include compounds of Formula 1 selected from the group consisting of compounds 33, 38, 39, 40, 42 and 43. Specific embodiments also include compounds of
 10 Formula I selected from 2,2-dichloro-3-(3,4-dichlorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 79), 2,2-dichloro-N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]-3-(3,4-dichlorophenyl)cyclopropanecarboxamide (Compound 175), 2,2-dichloro-3-[4-fluoro-3-(trifluoromethyl)phenyl]-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 78), 2,2-dichloro-N-[3-[(cyclopropylcarbonyl)amino]methyl]-4-fluorophenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 77), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[2,4-difluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 170), 2,2-dichloro-
 15 3-(4-chloro-3,5-difluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 169), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[3-[(cyclopropylcarbonyl)amino]methyl]-2,4-difluorophenyl]cyclopropanecarboxamide (Compound 164), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[4-chloro-3-[(2,2,2-
 20 trifluoroacetyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 19), 2,2-dichloro-N-[4-chloro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 116), 2,2-dichloro-N-[4-chloro-3-[(cyclopropylcarbonyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 39), 2,2-dichloro-3-(3-chloro-5-fluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]
 25 cyclopropanecarboxamide (Compound 129), 2,2-dichloro-N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 174), 2,2-dichloro-N-[4-chloro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]-3-(3,4,5-
 30 trifluorophenyl)cyclopropanecarboxamide (Compound 118), 2,2-dichloro-3-(3-chloro-4-

fluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide

(Compound 219), and 3-[3,5-Bis(trifluoromethyl)phenyl]-2,2-dichloro-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 220). Compound numbers refer to the Index Tables.

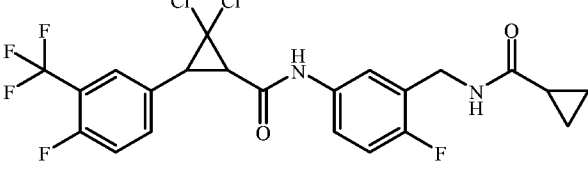
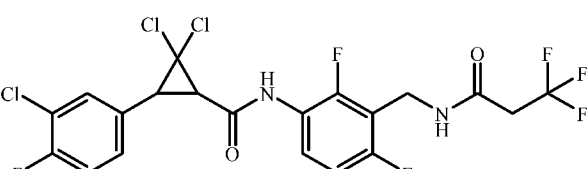
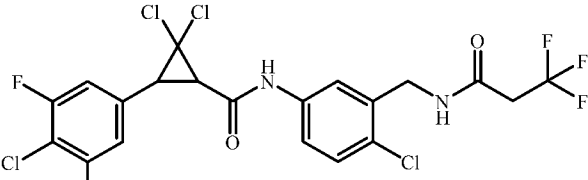
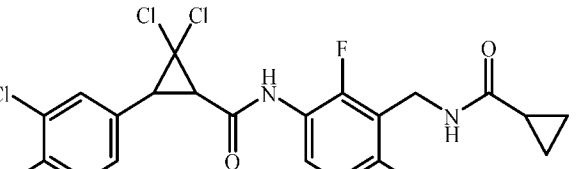
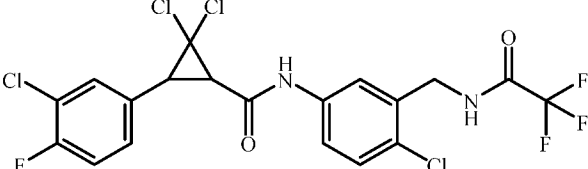
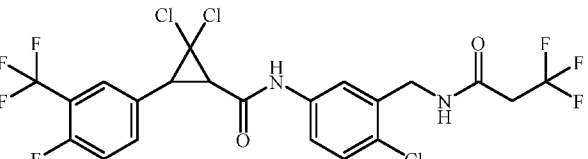
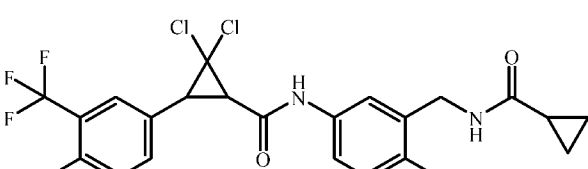
In one embodiment, the compounds of Formula I are those wherein the compound is selected from the compounds in index Table 1.

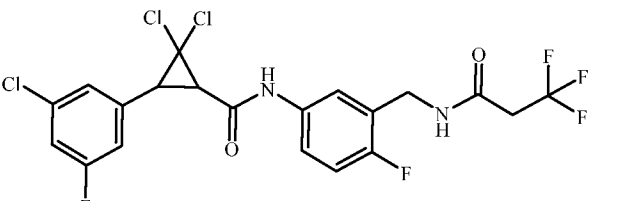
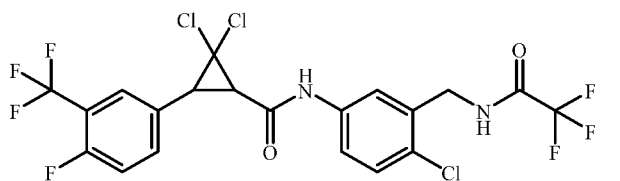
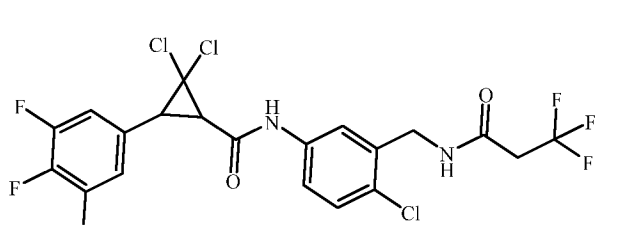
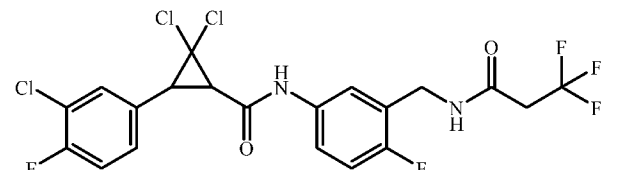
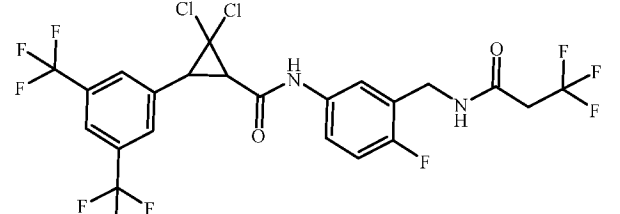
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Table 1.

Compound No.	Compound Structure	Chemical Name & Compound Number
79		2,2-dichloro-3-(3,4-dichlorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide
175		2,2-dichloro-N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]-3-(3,4-dichlorophenyl)cyclopropanecarboxamide
78		2,2-dichloro-3-[4-fluoro-3-(trifluoromethyl)phenyl]-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]Cyclopropanecarboxamide (

77		2,2-dichloro-N-[[[(cyclopropylcarbonyl)amino]methyl]-4-fluorophenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 77)
170		2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[2,4-difluoro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl] Cyclopropanecarboxamide
169		2,2-dichloro-3-(4-chloro-3,5-difluorophenyl)-N-[4-fluoro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl] Cyclopropanecarboxamide
164		2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[3-[[[(cyclopropylcarbonyl)amino]methyl]-2,4-difluorophenyl]cyclopropanecarboxamide
19		2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[4-chloro-3-[[2,2,2-trifluoroacetyl]amino]methyl]phenyl] Cyclopropanecarboxamide
116		2,2-dichloro-N-[4-chloro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide
39		2,2-dichloro-N-[4-chloro-3-[[[(cyclopropylcarbonyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide

129		2,2-dichloro-3-(3-chloro-5-fluorophenyl)-N-[4-fluoro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl] cyclopropanecarboxamide
174		2,2-dichloro-N-[4-chloro-3-[[2,2,2-trifluoroacetyl]amino]methyl]phenyl]-3-[4-fluoro-(trifluoromethyl)phenyl]cyclopropanecarboxamide
118		2,2-dichloro-N-[4-chloro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl]-3-(3,4,5-trifluorophenyl)cyclopropanecarboxamide
219		2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[4-fluoro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl] Cyclopropanecarboxamide
220		3-[3,5-Bis(trifluoromethyl)phenyl]-2,2-dichloro-N-[4-fluoro-3-[[3,3,3-trifluoro-1-oxopropyl]amino]methyl]phenyl] Cyclopropanecarboxamide

or enantiomers, or diastereomers, or combinations of the foregoing compounds.

Of note is that compounds of this disclosure are characterized by favorable metabolic and/or soil residual patterns and exhibit activity controlling a spectrum of agronomic and nonagronomic invertebrate pests.

Of particular note, for reasons of invertebrate pest control spectrum and economic importance, protection of agronomic crops from damage or injury caused by invertebrate pests by controlling invertebrate pests are embodiments of the disclosure. Compounds of this disclosure because of their favorable translocation properties or systemicity in plants also

protect foliar or other plant parts which are not directly contacted with a compound of Formula **1** or a composition comprising the compound.

Also noteworthy as embodiments of the present disclosure are compositions comprising a compound of any of the preceding Embodiments, as well as any other embodiments
5 described herein, and any combinations thereof, and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said compositions optionally further comprising at least one additional biologically active compound or agent.

Further noteworthy as embodiments of the present disclosure are compositions for
10 controlling an invertebrate pest comprising a compound of any of the preceding Embodiments, as well as any other embodiments described herein, and any combinations thereof, and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said compositions optionally further comprising at least one additional biologically active compound or agent. Embodiments of the disclosure further include
15 methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of any of the preceding Embodiments (e.g., as a composition described herein).

Embodiments of the disclosure also include a composition comprising a compound of any of the preceding Embodiments, in the form of a soil drench liquid formulation. Embodiments of the disclosure further include methods for controlling an invertebrate pest
20 comprising contacting the soil with a liquid composition as a soil drench comprising a biologically effective amount of a compound of any of the preceding Embodiments.

Embodiments of the disclosure also include a spray composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of any of the preceding Embodiments and a propellant. Embodiments of the disclosure further include a
25 bait composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of any of the preceding Embodiments, one or more food materials, optionally an attractant, and optionally a humectant. Embodiments of the disclosure also include a device for controlling an invertebrate pest comprising said bait composition and a housing adapted to receive said bait composition, wherein the housing has at least one opening
30 sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to said bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

In one embodiment, the compositions disclosed herein are solid compositions, such as
35 dusts, powders, granules, pellets, prills, pastilles, tablets, or filled films. In some embodiments,

the compositions disclosed herein are solid compositions and are water-dispersible or water-soluble.

In one embodiment, a liquid or dry formulation comprising the compositions as disclosed herein for use in a drip irrigation system, furrow during planting, handheld sprayer, backpack sprayer, boom sprayer, ground sprayer, aerial application, unmanned aerial vehicle, or a seed treatment.

In one embodiment, the compositions as disclosed herein for use in a drip irrigation system, furrow during planting, handheld sprayer, backpack sprayer, boom sprayer, ground sprayer, aerial application, unmanned aerial vehicle, or a seed treatment wherein said formulation is sprayed at an ultra-low volume.

Embodiments of the disclosure also include methods for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of any of the preceding Embodiments.

Embodiments of the disclosure also include methods for protecting an animal from an invertebrate parasitic pest comprising administering to the animal a parasitically effective amount of a compound of any of the preceding Embodiments.

Embodiments of the disclosure also include methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula 1, an *N*-oxide or a salt thereof, (e.g., as a composition described herein), provided that the methods are not methods of medical treatment of a human or animal body by therapy.

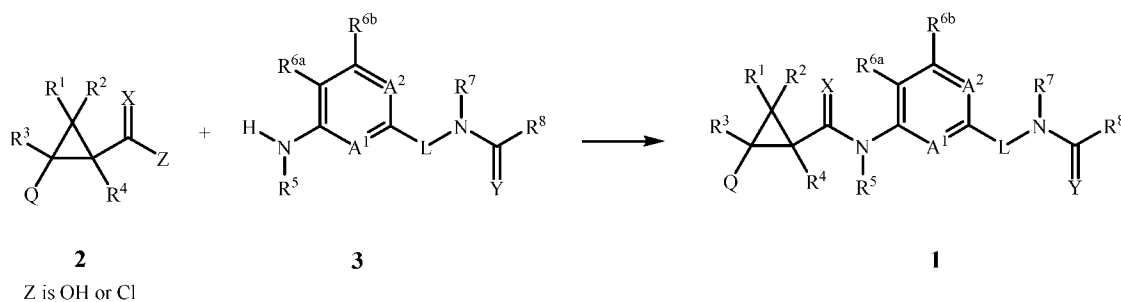
This disclosure also relates to such methods wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula 1, an *N*-oxide or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent, provided that the methods are not methods of medical treatment of a human or animal body by therapy.

The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1–21. The definitions of substituents in the compounds of Formulae 1–26 below are as defined above in the Summary of the Disclosure unless otherwise noted. The following abbreviations may be used: DMF is *N,N*-dimethylformamide, and DBU is 1,8-diazabicyclo[5.4.0]undec-7-ene. Ambient or room temperature is defined as about 20–25 °C.

Compounds of Formula 1 can be prepared by coupling acids or acid chlorides of Formula 2 with amines of Formula 3 as shown in Scheme 1. This method involves the use of a coupling

reagent such as HATU, EDC or TATU, or the in-situ generation of the acid chloride with oxalyl chloride and DMF prior to addition of the amine of Formula 3 in the presence of an organic base, such as triethylamine or Hunnig's base. Typical reaction conditions include organic solvents such as methylene chloride, DMSO or DMF, and typical reaction temperatures are between 0 and 80 °C (see, for example, Jiang, Xiaolong et al. *Bioorganic & Medicinal Chemistry*, **2015**, 23(3), pages 564-578).

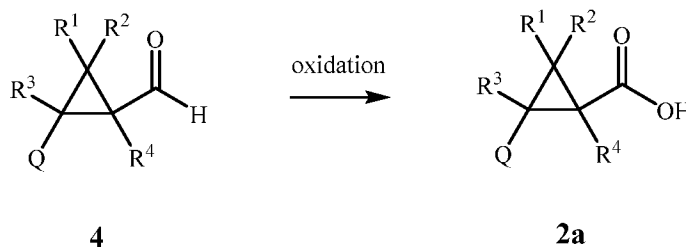
Scheme 1



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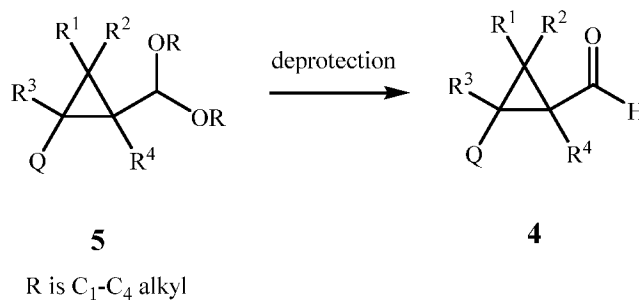
Compounds of Formula 2a (compounds of Formula 2 wherein X is O) can be prepared by the method shown in Scheme 2. In this method, the appropriately substituted aldehyde of Formula 4 is oxidized with reagents such as potassium permanganate or DDQ in solvents such as acetone at temperatures ranging from 0 to 50 °C (see, for example, Kryshstal, G.V. et al. *Izvestiya Akademi Nauk SSR, Seriya Khimicheskaya*, **1979**, 10, pages 2381-2383).

Scheme 2



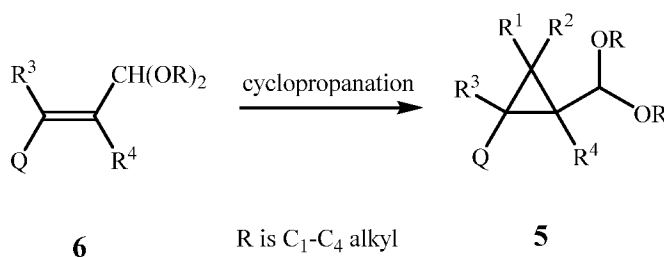
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Compounds of Formula 4 can be synthesized by the deprotection the acetals of Formula 5 in the presence of an acid. Typical reaction conditions include treatment with hydrochloric acid, a catalytic amount of para-toluenesulfonic acid, or trifluoroacetic acid in solvents such as methylene chloride at temperatures between 0 and 50 °C (see, for example, Shim, Su Yong, et al. *Organic Letters*, **2016**, 18(2), pages 160-163). This method is shown in Scheme 3.

Scheme 3

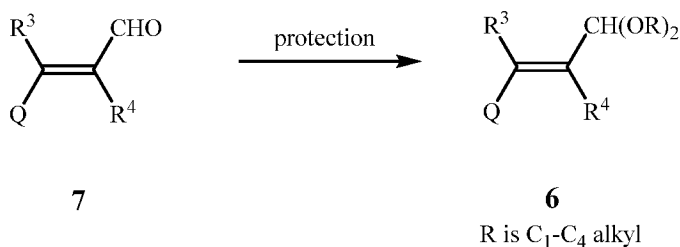
5 Compounds of Formula **5** can be prepared by a variety of methods from alkene
 compounds of Formula **6** depending on the substitution of R¹ and R². As shown in Scheme
 4, when R¹ and R² are fluorine, reaction of a compound of Formula **6** with
 dibromodifluoromethane in the presence of a strong base such as sodium hydroxide and a
 phase transfer catalyst such as tetrabutylammonium hydrogen sulfate provides the compound
 10 of Formula **5** wherein R¹ and R² are fluorine (see, for example, Bakerzak, Pavel, et al. *Journal
 of the Chemical Society, Chemical Communications*, **1991**, 12, pages 826-827). When R¹ and
 R² are chlorine or bromine, chloroform or bromoform in the presence of a strong base such as
 potassium tertbutoxide or potassium hydroxide, with or without a phase transfer catalyst, in a
 solvent such as ethanol, water, or cyclohexane at a temperature between 0 and 80 °C provides
 15 the desired halogenated cyclopropane compound of Formula **5** wherein R¹ and R² are chlorine
 or bromine (for chlorine, see for example, Karwowska, H. et al. *Polish Journal of Chemistry*,
2007, 81(1), pages 45-49; for bromine, see for example Jackson, James E. et al. *Tetrahedron*,
1985, 41(8), pages 1453-1464).

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Scheme 4

Acetal compounds of Formula **6** can be prepared by the protection of aldehydes of Formula **7** with trialkyl orthoformates in the presence of a catalytic amount of *N*-bromosuccinimide or pyridine-1-ium-4-methylbenzenesulfonate in solvents such as ethanol, at temperatures of 0 to 50 °C, as shown in Scheme 5 (see for example, Sheshenev, Andrey et al. *Tetrahedron*, **2009**, 65(48), pages 10036-10046).

Scheme 5

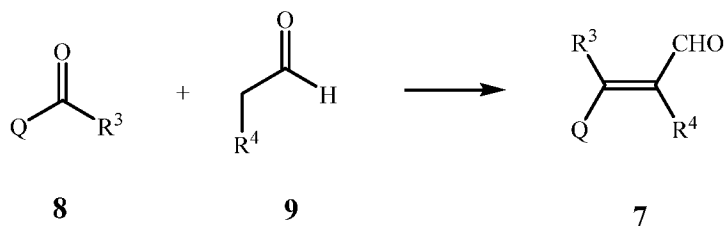


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Compounds of Formula **7** can be prepared by an aldol condensation between compounds of Formulae **8** and **9** as shown in Scheme 6. In this method, a compound of Formula **8** is treated with a strong base such as potassium hydroxide and the resulting enolate is reacted with an aldehyde of Formula **9** to form an intermediate aldol compound, which then undergoes dehydration at elevated temperatures of 50 to 150 °C and/or treatment with an acid such as hydrogen chloride to form a compound of Formula **7** (see for example Hayashi, Yujiro et al. *Organic Letters*, **2016**, 18(1), pages 4-7). Compounds of Formulae **8** and **9** are commercially available or can be prepared by well-established methods known in the art.

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Scheme 6



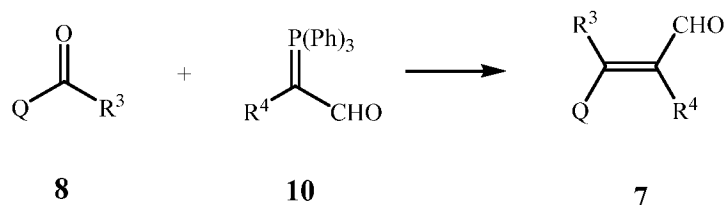
Compounds of Formula **7** can also be synthesized by reacting a compound of Formula **8** with a Wittig reagent of Formula **10** such as triphenylphosphoranylidene acetaldehyde, in solvents such as toluene at temperatures ranging from 0 to 110 °C (see McGarraugh, Patrick

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G. et al. *Journal of Organic Chemistry*, **2011**, 76(15), pages 6309 to 6319). This method is shown in Scheme 7. Compounds of Formula **8** are either commercially available or can be prepared by well-established methods known in the literature.

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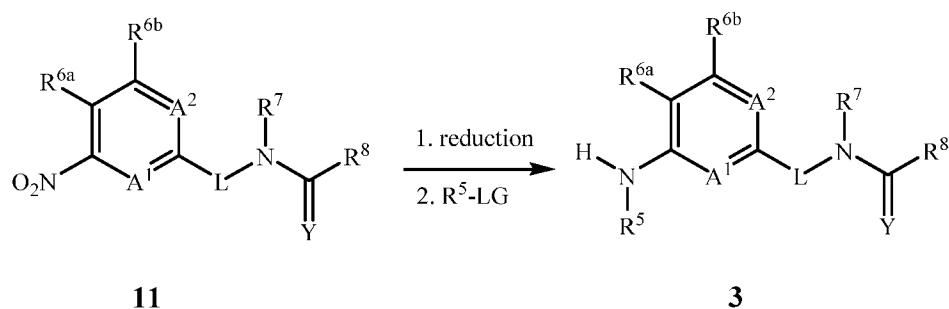
Scheme 7



Compounds of Formula **3** can be prepared by the method shown in Scheme 8. In this method, a nitro-containing compound of Formula **11** is reduced in the presence of a catalyst such as platinum oxide or palladium on carbon under an atmosphere of hydrogen gas in a solvent such as ethanol, ethyl acetate or tetrahydrofuran (see for example, Lee, Nicholas et al. *Organic Letters*, 2017, 19(24), pages 6518-6521). Compounds of Formula **3** can also be prepared by the reduction of the nitro group under various other conditions such as with iron in acetic acid or aqueous hydrochloric acid at temperatures between 25 and 80 °C (see for example, Spalding, David et al. *Journal of Organic Chemistry*, **1954**, 19, pages 357-364). Compounds of Formula **3** wherein R⁵ is other than H can be prepared by reaction of compounds of Formula **3** wherein R⁵ is H with alkylating or acylating agents R⁵-LG (wherein LG is an appropriate leaving group) by methods known in the art.

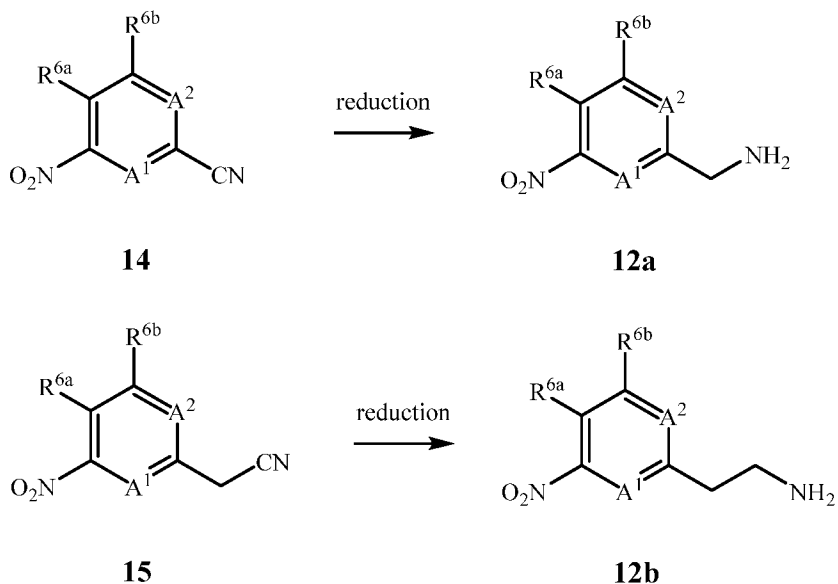
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Scheme 8



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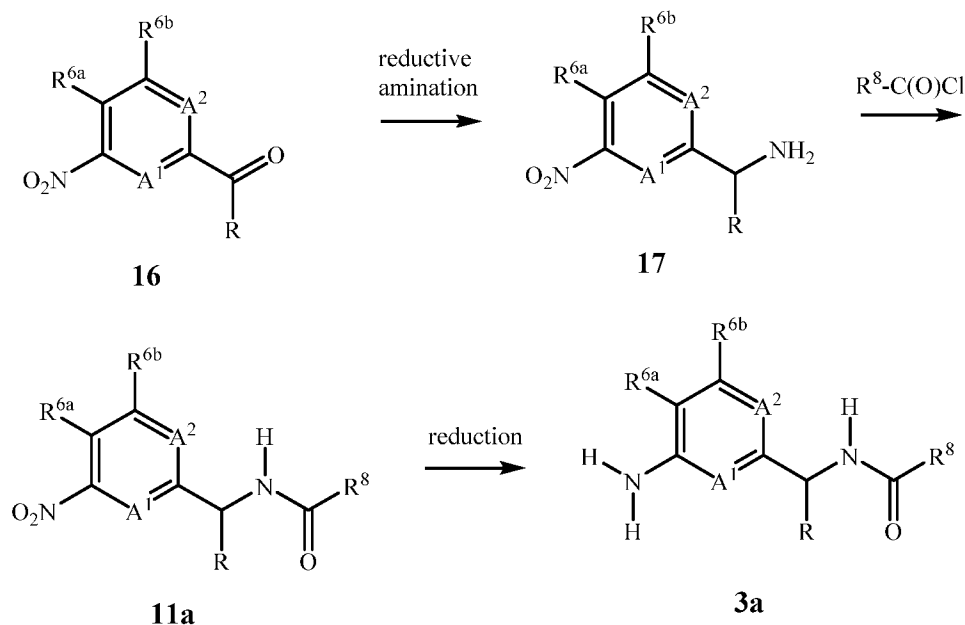
Scheme 10



Compounds of Formula **3a** (compounds of Formula **3** wherein L is a C_1 alkylenyl group substituted with C_1 - C_3 alkyl) can be prepared by the method shown in Scheme 11. In this method, a compound of Formula **16** undergoes reductive amination to provide an amine of Formula **17**, which can be optionally treated with an appropriate acid chloride to provide the compound of Formula **11a** (when R^8 is other than H). Reduction of the compound of Formula **11a** provides the compound of Formula **3a**. Compounds of Formula **16** are either commercially available or can be prepared by well-established methods known in the art.

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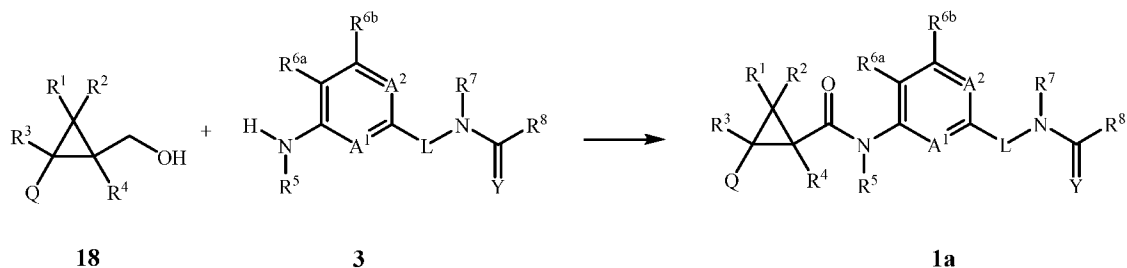
Scheme 11

R is C₁-C₃ alkyl

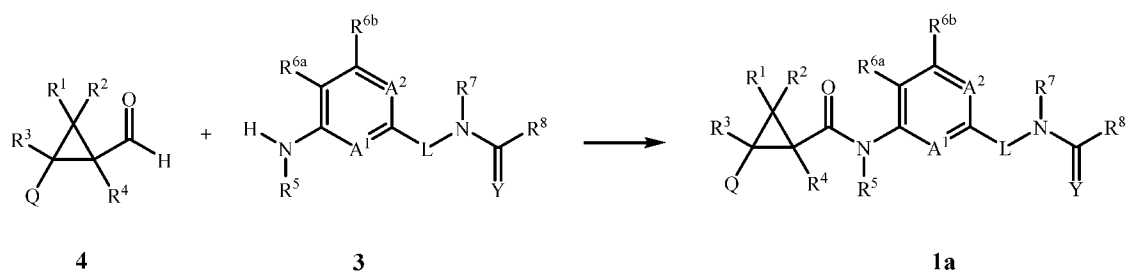
5 Compounds of Formula **1a** (Formula **1** wherein X is O) can also be prepared by rhodium-catalyzed oxidative amidation of alcohols of Formula **18** with amines of Formula **3** by the method shown in Scheme 12. This method involves the coupling of compounds of Formulae **18** and **3** in the presence of a catalyst such as [Rh(COD)₂]BF₄, ligands such as Xantphos or DPPB, a transfer hydrogenator generator such as trifluoroacetophenone, and a base such as cesium acetate or cesium carbonate. Typical reaction conditions include organic solvents such as THF or dioxane, and reaction temperatures between 0 and 100 °C (see, for example, Nguyen, Trang T. and Hull, Kami L. *ACS Catalysis*, **2016**, 6, pages 8214 to 8218).

10 An analogous coupling method utilizes ruthenium(II) thiocarboxamide complexes under aerobic conditions. This method involves the coupling of compounds of Formulae **18** and **3** in the presence of a catalyst such as [RuHClCO(AsPh₃)₃] with thiocarboxamide ligands in refluxing ethanol (see, for example, Sindhuja, E., et. al.. *Organometalics*, **2014**, 33, pages 4269 to 4278).

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Scheme 12

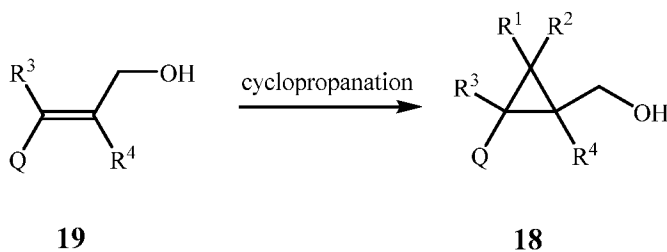
5 Compounds of Formula **1a** can also be prepared by rhodium-catalyzed oxidative amidation of aldehydes of Formula **4** with amines of Formula **3** as shown in Scheme 13. This method is analogous to the method described in Scheme 12.

Scheme 13

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Cyclopropane alcohol compounds of Formula **18** can be prepared by a variety of methods from alkene compounds of Formula **19** depending on the substitution of R¹ and R². These methods are analogous to the methods described in Scheme 4 above.

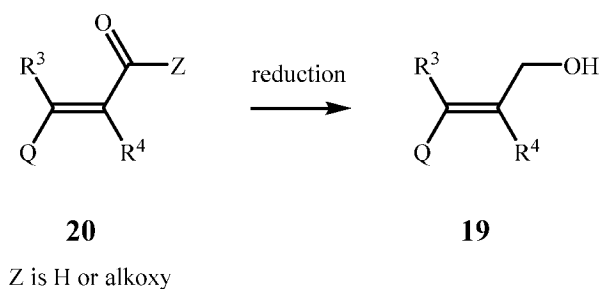
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Scheme 14

Alcohols of Formula **19** can be prepared by the reduction of cinnamic esters and aldehydes of Formula **20** as shown in Scheme 15.

Scheme 15

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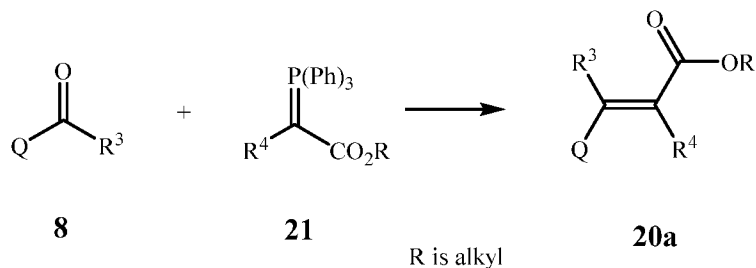
Typical reducing agents in the method include aluminum reducing agents such triisobutyl aluminum hydride, lithium aluminum hydride and sodium borohydride. Typical reaction conditions include solvents such as methylene chloride, dioxane or tetrahydrofuran, and reaction temperatures ranging from -90 to 100 °C. For examples of these types of reductions, see Spoehrle, Stephanie S. M. et al. *Journal of the American Chemical Society*, **2017**, 139(34), pages 11895-11902, and Wei, Hanwen et al. *European Journal of Medicinal Chemistry*, **2018**, 145, pages 235-251. Compounds of Formula **20** wherein Z is hydrogen can be prepared by the procedures described in Scheme 6 and 7.

Compounds of Formula **20a** (Formula **20** wherein Z is alkoxy) can be prepared by the method shown in Scheme 16. In this method, an aldehyde of Formula **8** is treated with a Wittig reagent of Formula **21** to provide the compound of Formula **20a**. Typical reaction conditions include solvents such as toluene and reaction temperatures ranging from 0 to 110 °C (see, for example, Obi, Grace and Van Heerden, Fanie R. *Synthetic Communications*, **2018**, 48(12), pages 1482 to 1486). Compounds of Formula **8** are either commercially available or can be prepared by well-established methods known in the literature.

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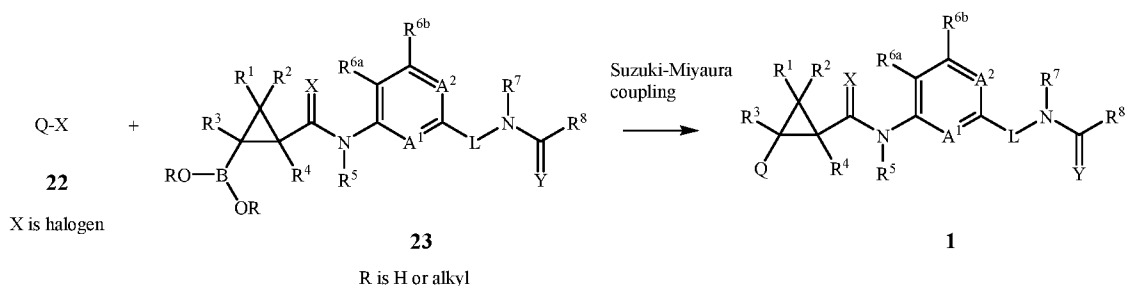
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Scheme 16



- 5 Compounds of Formula **1** can also be prepared by the Suzuki-Miyaura coupling of boronic esters or acids of Formula **23** with aromatic halide compounds of Formula **22** as shown in Scheme 17.

Scheme 17



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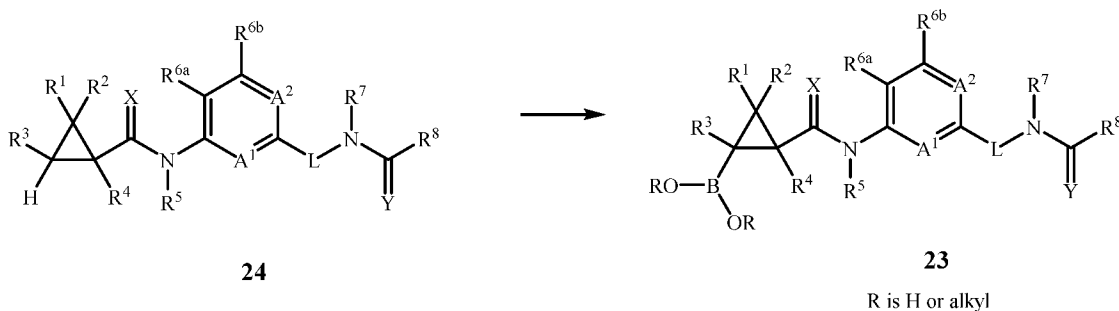
This method involves the use of a catalyst such as Pd(dba)₂ with ligands such as triphenylphosphine in the presence of a base such as potassium tert-butoxide. Typical reaction conditions include organic solvents such as THF or dioxane, and typical reaction temperatures are between 0 and 100 °C (see, for example, Liskey, Carl W. and Harwig, John F. *Journal of the American Chemical Society*, **2013**, 135(9), pages 3375 to 3378). Compounds of Formula **22** are commercially available or can be prepared by well-established methods known in the art.

15 Compounds of Formula **23** can be prepared as shown in Scheme 18. This method involves the C(sp³)-H borylation of compounds of Formula **24** with bis(pinacolato)diboron and an optimal bidentate oxazoline ligand, a catalytic amount of Pd(CH₃CN)₄(OTf)₂ in the presence of oxygen, potassium hydrogen phosphate as a base, and varying ratios of acetonitrile, dichloroethane and water as solvents. Typical reaction temperatures range from

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room temperature to 100 °C (see, for example, He, Jian et. al. *Journal of the American Chemical Society*, **2017**, 139, pages 3344 to 3347).

Scheme 18

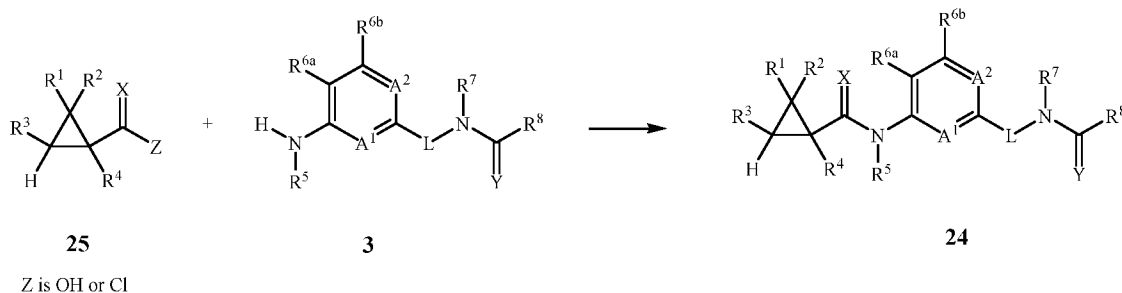


5

Compounds of Formula **24** can be prepared by a variety of methods. In the method shown in Scheme 19, an acid or acid chloride of Formula **25** is treated with an amine of Formula **3**. This method is analogous to the method described in Scheme 1. Compounds of Formula **25** are commercially available or can be prepared by well-established methods known in the art.

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Scheme 19



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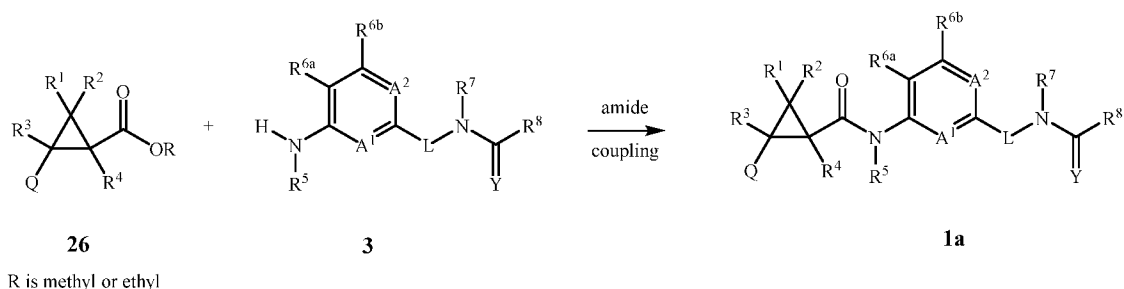
Compounds of Formula **1a** can also be prepared by the method shown in Scheme 20. In this method, an ester of Formula **26** is reacted with an amine of Formula **3** in the presence of a trialkyl aluminum complex to provide the compound of Formula **1a**. Typical reaction conditions include solvents such as toluene or hexane, and typical reaction temperatures range from 0 to 150 °C (see, for example, Takahashi, Masashi et al. *Tetrahedron*, **2010**, 66(1), pages 288-296). Alternatively, esters of Formula **26** can undergo tert-butoxide-mediated amidation with amines of Formula **3** in tetrahydrofuran at temperatures between 0 and 50 °C to yield

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amides of Formula **1a** (see, for example, Kim, Bo Ram et al. *Synthesis*, **2012**, 44(1), pages 42-50).

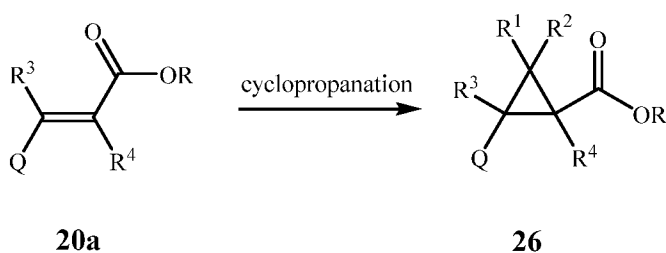
Scheme 20

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- 10 Compounds of Formula **26** can be prepared as shown in Scheme 21 by a variety of methods. Esters of Formula **20a**, when treated with trihalogenated sodium acetate in the presence of a silver catalyst, undergo dihalogen cyclopropanation in good yields at temperatures from room temperature to 125 °C in solvents such as dichloroethane (see, for example Andrianova, Anastasia A. et al. *Journal of Fluorine Chemistry*, **2018**, 209, pages 49-55).
- 15 Compounds of Formula **26** can also be prepared by treatment with compounds of Formula **20a** and chloroform or bromoform in the presence of strong bases such as sodium hydroxide and a phase transfer catalyst such as tetrabutylammonium hydrogen sulfate (see, for example, Boitsov, V. M. et al. *Russian Journal of Organic Chemistry*, **2004**, 40(12), pages 1760-1763). Compounds of Formula **20a** are commercially available or can be prepared by well-established
- 20 methods known in the art.

Scheme 21



Compounds of Formula **1** wherein X or Y are S can also be prepared from corresponding compounds of Formula **1** wherein X or Y are O by general methods known in the art involving treatment with thionating reagents such as P₄S₁₀ or Lawesson's Reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane 2,4-disulfide).

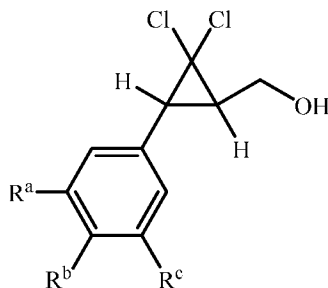
Schemes 1 through 21 illustrate methods to prepare compounds of Formula **1** having a variety of substituents. Compounds of Formula **1** having substituents other than those particularly noted for Schemes 1 through 21 can be prepared by general methods known in the art of synthetic organic chemistry, including methods analogous to those described for Schemes 1 to 21.

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 introduction of the reagents depicted in the individual schemes, additional routine synthetic steps not described in detail may be needed 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 sequence 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.

Examples of intermediates useful in the preparation of compounds of this disclosure are shown in Tables I-1 to I-2a.

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TABLE I-1

<u>Cmpd. No.</u>	<u>R^a</u>	<u>R^b</u>	<u>R^c</u>
I-1	Cl	H	Cl
I-2	Cl	F	Cl
I-3	F	F	F
I-4	F	F	H
I-5	Cl	Cl	H
I-6	F	Cl	H
I-7	Cl	F	H
I-8	CF ₃	F	H
I-9	F	CF ₃	H
I-10	CF ₃	Cl	H
I-11	Cl	CF ₃	H
I-12	H	F	H
I-13	H	Cl	H
I-14	H	CF ₃	H
I-15	Cl	Cl	Cl
I-16	Cl	H	CF ₃
I-17	Br	F	H

5

TABLE I-1a

Table I-1a is identical to Table I-1 except that in Table I-1a the structure immediately below the heading "TABLE I-1a" is the structure

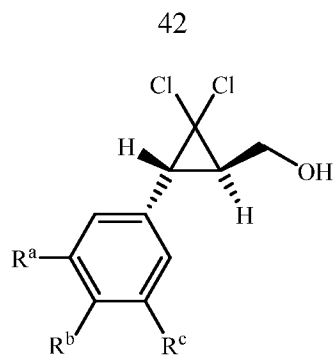
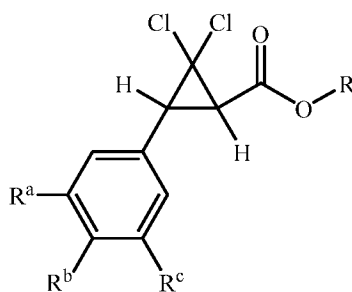


TABLE I-2



5

R is Me

<u>Cmpd. No.</u>	<u>R^a</u>	<u>R^b</u>	<u>R^c</u>
I-1	Cl	H	Cl
I-2	Cl	F	Cl
I-3	F	F	F
I-4	F	F	H
I-5	Cl	Cl	H
I-6	F	Cl	H
I-7	Cl	F	H
I-8	CF ₃	F	H
I-9	F	CF ₃	H
I-10	CF ₃	Cl	H
I-11	Cl	CF ₃	H
I-12	H	F	H
I-13	H	Cl	H

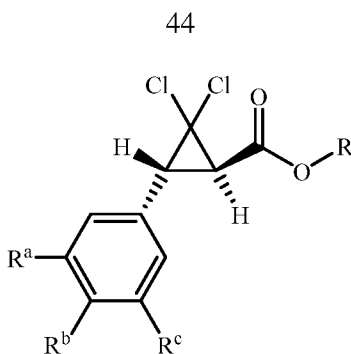
I-14	H	CF ₃	H
I-15	Cl	Cl	Cl
I-16	Cl	H	CF ₃
I-17	Br	F	H

R is Et

<u>Cmpd. No.</u>	<u>R^a</u>	<u>R^b</u>	<u>R^c</u>
I-1	Cl	H	Cl
I-2	Cl	F	Cl
I-3	F	F	F
I-4	F	F	H
I-5	Cl	Cl	H
I-6	F	Cl	H
I-7	Cl	F	H
I-8	CF ₃	F	H
I-9	F	CF ₃	H
I-10	CF ₃	Cl	H
I-11	Cl	CF ₃	H
I-12	H	F	H
I-13	H	Cl	H
I-14	H	CF ₃	H
I-15	Cl	Cl	Cl
I-16	Cl	H	CF ₃
I-17	Br	F	H

TABLE I-2a

5 Table I-2a is identical to Table I-2 except that in Table I-2a the structure immediately below the heading "TABLE I-2a" is the structure



Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present disclosure to its fullest extent. The following Synthesis Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Steps in the following Synthesis 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. Ambient or room temperature is defined as about 20–25 °C. 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, “m” means multiplet, “dd” means doublet of doublets, “dt” means doublet of triplets, “br s” means broad singlet.

15

SYNTHESIS EXAMPLE 1

Preparation of 2,2-dichloro-N-[4-chloro-3-[(cyclopropanecarbonylamino)methyl]phenyl]-3-(3,5-dichlorophenyl)cyclopropane-1-carboxamide (compound 62)

20 Step A: Preparation of (E)-3-(3,5-Dichlorophenyl)acrylaldehyde

Acetaldehyde (75.41 g, 1.714 moles) was added to a stirred solution of 3,5-dichlorobenzaldehyde (60.00 g, 342.9 mmol) in 300 mL of toluene at 0 °C, stirring, under nitrogen. A solution of 10 mole percent potassium hydroxide (1.923 g, 34.29 mmol) in 4 mL of methanol was added dropwise. Allowed the reaction mixture to stir for 4 hours slowly warming up to room temperature. Ethyl acetate (300 mL) and concentrated aqueous hydrochloric acid (28.58 mL) was added at 10 °C to the reaction which was then heated to 80 °C, distilling off colorless liquid. The reaction then was cooled to room temperature and diluted with 500 mL of water and extracted with 250 mL of ethyl acetate, the organic layer was dried with magnesium sulfate, filtered, and the volatiles were removed under reduced

pressure to afford 49.86 g of the title compound which was used in the next step without further purification. ¹H NMR (CDCl₃): δ 9.71 (dd, 1H), 7.43 (q, 3H), 7.35 (d, 1H), 6.68 (dd, 1H).

Step B: Preparation of (E)-1,3-Dichloro-5-(3,3-diethoxyprop-1-en-1-yl)benzene

5 Triethylorthoformate (36.72 g, 248.1 mmol) was added to (E)-3-(3,5-dichlorophenyl)acrylaldehyde (49.86 g, 248.1 mmol) in ethanol (250 mL). A catalytic amount of pyridinium toluenesulfonic acid (500 mg) was added to the reaction mixture and was allowed to stir for 24 hours at room temperature. Ethanol was removed under reduced pressure. The resulting reaction mixture residue was added to an aqueous solution of sodium bicarbonate (250 mL) and extracted 3 x 250 mL of hexanes, dried over anhydrous magnesium sulfate, filtered, and volatiles were removed under reduced pressure to yield the desired product (42.71 g). ¹H NMR (CDCl₃): δ 7.25 (m, 3H) 6.62 (d, 1H), 6.21 (dd, 1H), 5.20 (d, 1H) 3.45-3.76 (t, 4H), 1.25 (q, 6H).

15 Step C: Preparation of 1,3-Dichloro-5-[2,2-dichloro-3-(diethoxymethyl)cyclopropyl]benzene

The (E)-1,3-dichloro-5-(3,3-diethoxyprop-1-en-1-yl)benzene (42.71 g, 155.3 mmol) was taken up in chloroform (400 mL) and tetrabutylammonium hexafluorophosphate (1.000 g) was added and with vigorous stirring the reaction mixture was heated to 45 °C. Aqueous sodium hydroxide (50% by weight, 90 mL) was added dropwise over the course of 20 30 minutes. The reaction continued heating overnight. The reaction was then cooled to room temperature and diluted with 400 mL of hexanes and the organic layer was filtered through celite, dried over anhydrous magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give 46.35 g of a dark oil which was used without further purification. ¹H NMR (CDCl₃): δ 7.30 (s, 1H), 7.16 (dd, 2H), 4.58 (d, 1H) 3.55-3.82 (m, 4H) 2.77 (d, 1H), 2.26 (dd, 1H), 1.20 – 1.30 (m, 6H).

Step D: Preparation of 2,2-Dichloro-3-(3,5-dichlorophenyl)cyclopropanecarboxaldehyde

30 The 1,3-Dichloro-5-[2,2-dichloro-3-(diethoxymethyl)cyclopropyl]benzene (46.35 g, 129.5 mmol) was taken up in acetonitrile (400 mL) and 42 mL of concentrated aqueous hydrochloric acid was added and the reaction was allowed to stir at room temperature for 16H. Water (200 mL) was added and volatiles were removed under reduced pressure, followed by extraction 2x400 mL hexanes. The organic layers were combined and dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure. This crude mixture was 35 purified by MPLC (silica gel eluted with 0-25% ethyl acetate in hexanes) to give the title

compound as a yellow oil (12.87 g). ¹H NMR (CDCl₃): δ 9.54 (d, 1H), 7.37 (m, 1H), 7.17 (m, 2H), 3.50 (d, 1H), 2.92 (dd, 1H).

5 Step E: Preparation of 2,2-Dichloro-3-(3,5-dichlorophenyl)cyclopropanecarboxylic acid

Sodium permanganate (40% aqueous solution, 18 g) was added dropwise to 2,2-dichloro-3-(3,5-dichlorophenyl)cyclopropanecarboxaldehyde (12.87 g, 42.90 mmol) in acetone (250 mL) at 15 °C. The reaction mixture was allowed to stir for 2 H warming up to room temperature over that time, then 5 mL of isopropyl alcohol was added and the reaction
10 was allowed to stir for 30 minutes. Volatiles were then removed under reduced pressure to give a crude oil and 100 mL of 1 N hydrochloric acid and 500 mL of ethyl acetate was added. The liquid mixture was added to 100 g of Celite filtering aid and filtered. The organic layer was separated, dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give the desired crude acid product which was washed with hexanes to
15 give a white powder (9.84 g). ¹H NMR (CDCl₃): δ 7.36 (m, 1H), 7.17 (dd, 2H), 3.43 (d, 1H), 2.87 (d, 1H).

Step F: Preparation of N-[(2-chloro-5-nitrophenyl)methyl]-cyclopropanecarboxamide

The 2-chloro-5-nitrobenzonnitrile (4.97 g, 27.23 mmol) is dissolved in 100 mL of tetrahydrofuran, stirring under nitrogen. Boran dimethylsulfide complex (2.0 M in THF, 55
20 mL, 110.0 mmol) is added dropwise at room temperature over 15 minutes. The reaction mixture is then heated to 80°C for 18H. Extremely carefully, 25 mL of 2N hydrochloric acid is added dropwise to the reaction mixture after the heat is removed but the reaction has not cooled down to room temperature. The reaction mixture is then heated to reflux for 1H, then
25 cooled to room temperature. Volatiles were removed under reduced pressure and heating to give the hydrochloric acid salt of 2-chloro-5-nitrobenzylamine which was used without further purification. The 2-chloro-5-nitrobenzylamine (427.0 mg, 2.289 mmol) was taken up in 10 mL of methylene chloride and 1 mL of triethylamine cooled to 0°C and after 15 minutes of stirring all solids dissolve. To this reaction mixture cyclopropylcarbonyl chloride (263.1 mg,
30 2.518 mmol) was added dropwise keeping the temperature below 5°C. The reaction mixture is allowed to slowly warm up to room temperature over 18 H. The reaction mixture is added to water (50 mL) and extracted with methylene chloride, dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give a crude oil which was chromatographed with eluent system hexanes to ethyl acetate to give 539 mg of the desired
35 product. ¹H NMR (CDCl₃): δ 8.25 (s, 1H), 8.10 (d, 1H), 7.58 (d, 1H), 6.25 (bs, 1H), 4.60 (d, 2H), 1.44 (m, 1H), 1.00 (m, 2H), 0.80 (m, 2H).

Step G: Preparation of N-[(2-chloro-5-aminophenyl)methyl]-cyclopropanecarboxamide

The N-[(2-chloro-5-nitrophenyl)methyl]-cyclopropanecarboxamide (539 mg, 2.118 mmol) was dissolved in 50 mL of ethyl acetate and 10 mL of ethanol and placed under nitrogen in a parr bottle. Platinum oxide (100 mg) was added in one portion and the reaction mixture was purged three times with nitrogen and then purged three times with hydrogen, obtaining a pressure of about 50 psi of hydrogen gas the reaction mixture was shaken for 3H. The hydrogen gas was removed and the reaction mixture was filtered through Celite. The filtrate was placed on a rotovap and the volatiles were removed to obtain 429 mg of the title compound as a light orange solid. ¹H NMR (CDCl₃): δ 7.12 (d, 1H), 6.72 (s, 1H), 6.55 (d, 1H), 6.02 (bs, 1H), 4.44 (d, 2H), 3.51 (bs, 2H), 1.37 (m, 1H), 0.99 (m, 2H), 0.74 (m, 2H)

Step H: Preparation of 2,2-dichloro-N-[4-chloro-3-(cyclopropanecarbonylamino)methyl]phenyl]-3-(3,5-dichlorophenyl)cyclopropane-1-carboxamide

The 2,2-dichloro-3-(3,5-dichlorophenyl)cyclopropanecarboxylic acid (600 mg, 2.000 mmol) was dissolved in 10 mL of methylene chloride and oxalyl chloride (279.4 mg, 2.200 mmol) was added and cooled to 0°C. Ten drops of dimethylformamide was then added and the reaction mixture was allowed to stir for 15 minutes, at which time bubbling occurred. The reaction mixture was allowed to warm up to room temperature over the course of 1H, at which time the N-[(2-chloro-5-aminophenyl)methyl]-cyclopropanecarboxamide (300 mg, 1.336 mmol) was added followed by 1 mL of triethylamine. The reaction mixture was allowed to stir at room temperature for 18 H. The reaction mixture was added to an aqueous sodium bicarbonate solution and extracted three times with 25 mL of methylene chloride, then dried over magnesium sulfate, filtered, and volatiles removed under reduced pressure to give a crude solid which was chromatographed on the MPLC with an eluent of hexanes to 50% ethyl acetate. This purification provided 129.9 mg of the title compound. ¹H NMR (CDCl₃): δ 9.78 (bs, 1H), 7.64 (d, 1H), 7.59 (s, 1H), 7.46 (d, 1H), 7.32 (s, 1H), 7.18 (s, 2H), 6.43 (bs, 1H), 4.50 (d, 2H), 3.49 (d, 1H), 3.03 (d, 1H), 1.29 (m, 1H), 0.99 (m, 2H), 0.76 (m, 2H).

SYNTHESIS EXAMPLE 2

Preparation of 2,2-dichloro-3-(3,5-dichlorophenyl)-N-[4-fluoro-3-[(propanoylamino)methyl]phenyl]cyclopropane-1-carboxamide (compound 55)

35

Step A: Preparation of N-[(2-fluoro-5-nitrophenyl)methyl]-propanamide

The 2-fluoro-5-nitrobenzonnitrile (5.000 g, 30.12 mmol) is dissolved in 100 mL of tetrahydrofuran, stirring under nitrogen. Boran dimethylsulfide complex (2.0 M in THF, 55 mL, 110.0 mmol) is added dropwise at room temperature over 15 minutes. The reaction mixture is then heated to 80°C for 18H. Extremely carefully, 25 mL of 2N hydrochloric acid is added dropwise to the reaction mixture after the heat is removed but the reaction has not cooled down to room temperature. The reaction mixture is then heated to reflux for 1H, then cooled to room temperature. Volatiles were removed under reduced pressure and heating to give the hydrochloric acid salt of 2-fluoro-5-nitrobenzylamine which was used without further purification. The 2-fluoro-5-nitrobenzylamine (1.000 g, 5.882 mmol) was taken up in 10 mL of methylene chloride and 1 mL of triethylamine cooled to 0°C and after 15 minutes of stirring all solids dissolve. To this reaction mixture propionyl chloride (821 mg, 6.471 mmol) was added dropwise keeping the temperature below 5°C. The reaction mixture is allowed to slowly warm up to room temperature over 18 H. The reaction mixture is added to water (50 mL) and extracted with methylene chloride, dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give a crude oil which was chromatographed with eluent system hexanes to ethyl acetate to give 799 mg of the desired product. ¹H NMR (CDCl₃): δ 8.26 (s, 1H), 8.14 (d, 1H), 7.19 (t, 1H), 6.60 (bs, 1H), 4.56 (d, 2H), 2.33 (q, 2H), 1.19 (t, 3H).

Step B: Preparation of N-[(2-fluoro-5-aminophenyl)methyl]-propanamide

The N-[(2-fluoro-5-nitrophenyl)methyl]-propanamide (779 mg, 3.466 mmol) was dissolved in 50 mL of ethyl acetate and 10 mL of ethanol and placed under nitrogen in a parr bottle. Platinum oxide (100 mg) was added in one portion and the reaction mixture was purged three times with nitrogen and then purged three times with hydrogen, obtaining a pressure of about 50 psi of hydrogen gas the reaction mixture was shaken for 3H. The hydrogen gas was removed and the reaction mixture was filtered through Celite. The filtrate was placed on a rotovap and the volatiles were removed to obtain 458 mg of the title compound as a light yellow solid. ¹H NMR (CDCl₃): δ 6.83 (t, 1H), 6.64 (dd, 1H), 6.52 (ddd, 1H), 5.81 (bs, 1H) 4.39 (d, 2H), 3.56 (bs, 2H), 2.23 (q, 2H), 1.16 (t, 3H).

Step C: Preparation of 2,2-dichloro-3-(3,5-dichlorophenyl)-N-[4-fluoro-3-[(propanoylamino)methyl]phenyl]cyclopropane-1-carboxamide

The 2,2-dichloro-3-(3,5-dichlorophenyl)cyclopropanecarboxylic acid (500 mg, 1.666 mmol) was dissolved in 10 mL of methylene chloride and oxalyl chloride (228 mg, 1.800 mmol) was added and cooled to 0°C. Ten drops of dimethylformamide was then added and the reaction mixture was allowed to stir for 15 minutes, at which time bubbling occurred. The reaction mixture was allowed to warm up to room temperature over the course of 1H, at which

magnesium sulfate, filtered, and volatiles were removed under reduced pressure to yield the desired product (29.33 g). ¹H NMR (CDCl₃): δ 7.61 (d, 1H), 7.56 (dt, 1H), 7.16 (t, 1H), 6.68 (d, 1H), 6.19 (dd, 1H), 5.08 (d, 1H), 3.56-3.72 (m, 4H), 1.22-1.26 (m, 6H).

5 Step C: Preparation of 2-Fluoro-1-trifluoromethyl-5-[2,2-dichloro-3-(diethoxymethyl)cyclopropyl]benzene

The (E)-2-Fluoro-1-trifluoromethyl-5-(3,3-diethoxyprop-1-en-1-yl)benzene (29.33 g, 100.4 mmol) was taken up in chloroform (400 mL) and tetrabutylammonium hexafluorophosphate (1.000 g) was added and with vigorous stirring the reaction mixture was heated to 40°C. Aqueous sodium hydroxide (50% by weight, 60 mL) was added dropwise over the course of 30 minutes. The reaction continued heating overnight. The reaction was then cooled to room temperature and diluted with 400 mL of hexanes and the organic layer was filtered through celite, dried over anhydrous magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give 18.36 g of a dark oil which was used without further purification. ¹H NMR (CDCl₃): δ 7.49 (d, 1H), 7.44 (dt, 1H) 7.19 (t, 1H) 4.59 (d, 1H), 3.58-3.77 (m, 4H), 2.83 (d, 1H), 2.27 (dd, 1H), 1.32 (t, 3H), 1.21 (t, 3H).

Step D: Preparation of 2,2-Dichloro-3-(4-fluoro-3-trifluoromethylphenyl)cyclopropanecarboxaldehyde

20 The (18.36 g, 48.96 mmol) was taken up in acetonitrile (400 mL) and 42 mL of concentrated aqueous hydrochloric acid was added and the reaction was allowed to stir at room temperature for 16H. Water (200 mL) was added and volatiles were removed under reduced pressure, followed by extraction 2x400 mL hexanes. The organic layers were combined and dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure. This crude mixture was purified by MPLC (silica gel eluted with 0-25% ethyl acetate in hexanes) to give the title compound as a yellow oil (9.681 g). ¹H NMR (CDCl₃): δ 9.55 (d, 1H), 7.51 (d, 1H), 7.47 (dt, 1H), 7.25 (t, 1H), 3.56 (d, 1H), 2.94 (dd, 1H)

30 Step E: Preparation of 2,2-Dichloro-3-(4-fluoro-3-trifluoromethylphenyl)cyclopropanecarboxylic acid

Sodium permanganate (40% aqueous solution, 13.50 g) was added dropwise to 2,2-dichloro-3-(4-fluoro-3-trifluoromethylphenyl)cyclopropanecarboxaldehyde (9.681 g, 30.54 mmol) in acetone (200 mL) at 15°C. The reaction mixture was allowed to stir for 2 H warming up to room temperature over that time, then 5 mL of isopropyl alcohol was added and the reaction was allowed to stir for 30 minutes. Volatiles were then removed under reduced pressure to give a crude oil and 100 mL of 1 N hydrochloric acid and 500 mL of ethyl acetate

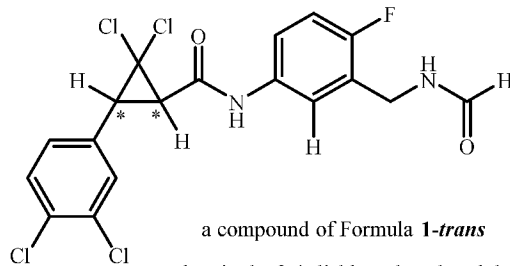
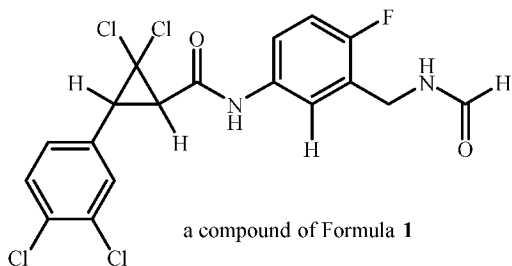
was added. The liquid mixture was added to 100 g of Celite filtering aid and filtered. The organic layer was separated, dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give the crude acid product which was washed with hexanes to give a white powder (4.36 g). ¹H NMR (CDCl₃): δ 7.51-7.54 (m, 2H), 7.26 (t, 1H), 5.01 (bs, 1H), 3.52 (d, 1H), 2.91 (d, 1H).

Step F: Preparation of 2,2-dichloro-N-[4-chloro-3-(cyclopropanecarbonylamino)methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropane-1-carboxamide

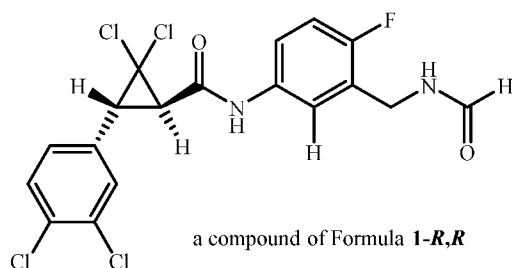
The 2,2-dichloro-3-(4-fluoro-3-trifluoromethylphenyl)cyclopropanecarboxylic acid (200 mg, 0.631 mmol) was dissolved in 7.5 mL of anhydrous dimethylformamide at room temperature, under nitrogen with vigorous stirring. 1-[Bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxide hexafluorophosphate (HATU) (300 mg, 0.789 mmol) was added and the resulting clear solution was stirred for 10 minutes. N-[(2-chloro-5-aminophenyl)methyl]-cyclopropanecarboxamide (141.7 mg, 0.631 mmol) was added to the reaction mixture. After 20 minutes, 1 mL of diisopropylethylamine was added dropwise and the reaction mixture was allowed to stir for 16H. The reaction mixture was added to a saturated solution of sodium bicarbonate and extracted three times with 50 mL of diethyl ether. The combined organic extracts was washed once with water, dried over magnesium sulfate, filtered, and volatiles were removed under reduced pressure to give a crude solid which was chromatographed using an MPLC with an eluent of hexanes to 50% ethyl acetate. This provided the title compound (187.4 mg). ¹H NMR (CDCl₃): δ 9.18 (s, 1H), 7.46-7.54 (m, 3H), 7.38 (s, 1H), 7.20-7.25 (m, 2H), 6.46 (bs, 1H), 4.48 (d, 2H), 3.51 (d, 1H), 2.97 (d, 1H), 1.48 (m, 1H), 0.99 (m, 2H), 0.80 (m, 2H).

By the procedures described herein together with methods known in the art, the following compounds of Tables A-1 to F-12 can be prepared. Each Table represents three groups of compounds: the first group of compounds are a subset of Formula **1**, the second group of compounds are a subset of Formula **1-trans**, and the third group of compounds are a subset of Formula **1-R,R**. For example, the first entry in Table A-1 represents the following three compounds: the compound of Formula **1** as defined in the first entry of Table A-1, the compound of Formula **1-trans** as defined in the first entry of Table A-1, and the compound of Formula **1-R,R** as defined in the first entry of Table A-1. Structures of these three compounds are shown below.

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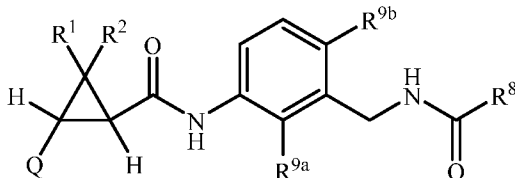
wherein the 3,4-dichlorophenyl and the -C(O)NH- groups attached to the cyclopropane ring at the carbon atoms marked with asterisks are trans to each other



The following abbreviations may be used in the Tables which follow: CN means cyano, Ph means phenyl.

5

TABLE A-1



R¹ is Cl; R² is Cl; R^{9a} is H; R^{9b} is F

Q	R ⁸	Q	R ⁸
3,4-di(Cl)phenyl	H	3,4-di(F)phenyl	H
3,4-di(Cl)phenyl	methyl	3,4-di(F)phenyl	methyl
3,4-di(Cl)phenyl	ethyl	3,4-di(F)phenyl	ethyl
3,4-di(Cl)phenyl	cyclopropyl	3,4-di(F)phenyl	cyclopropyl
3,4-di(Cl)phenyl	2-fluorocyclopropyl	3,4-di(F)phenyl	2-fluorocyclopropyl
3,4-di(Cl)phenyl	2-cyanocyclopropyl	3,4-di(F)phenyl	2-cyanocyclopropyl
3,4-di(Cl)phenyl	2-(CF ₃)cyclopropyl	3,4-di(F)phenyl	2-(CF ₃)cyclopropyl
3,4-di(Cl)phenyl	cyclobutyl	3,4-di(F)phenyl	cyclobutyl

3,4-di(Cl)phenyl	cyclopentyl	3,4-di(F)phenyl	cyclopentyl
3,4-di(Cl)phenyl	-CF ₃	3,4-di(F)phenyl	-CF ₃
3,4-di(Cl)phenyl	-CH ₂ CF ₃	3,4-di(F)phenyl	-CH ₂ CF ₃
3,4-di(Cl)phenyl	-CF ₂ CF ₃	3,4-di(F)phenyl	-CF ₂ CF ₃
3,4-di(Cl)phenyl	-CH ₂ OCH ₃	3,4-di(F)phenyl	-CH ₂ OCH ₃
3,4-di(Cl)phenyl	-OCH ₃	3,4-di(F)phenyl	-OCH ₃
3,4-di(Cl)phenyl	-OCH ₂ CF ₃	3,4-di(F)phenyl	-OCH ₂ CF ₃
3,4-di(Cl)phenyl	-NHCH ₃	3,4-di(F)phenyl	-NHCH ₃
3,4-di(Cl)phenyl	-N(CH ₃) ₃	3,4-di(F)phenyl	-N(CH ₃) ₃
3,4-di(Cl)phenyl	-NH(CH ₂ CF ₃)	3,4-di(F)phenyl	-NH(CH ₂ CF ₃)
3-Cl-4-F-phenyl	H	3-F-4-Cl-phenyl	H
3-Cl-4-F-phenyl	methyl	3-F-4-Cl-phenyl	methyl
3-Cl-4-F-phenyl	ethyl	3-F-4-Cl-phenyl	ethyl
3-Cl-4-F-phenyl	cyclopropyl	3-F-4-Cl-phenyl	cyclopropyl
3-Cl-4-F-phenyl	2-fluorocyclopropyl	3-F-4-Cl-phenyl	2-fluorocyclopropyl
3-Cl-4-F-phenyl	2-cyanocyclopropyl	3-F-4-Cl-phenyl	2-cyanocyclopropyl
3-Cl-4-F-phenyl	2-(CF ₃)cyclopropyl	3-F-4-Cl-phenyl	2-(CF ₃)cyclopropyl
3-Cl-4-F-phenyl	cyclobutyl	3-F-4-Cl-phenyl	cyclobutyl
3-Cl-4-F-phenyl	cyclopentyl	3-F-4-Cl-phenyl	cyclopentyl
3-Cl-4-F-phenyl	-CF ₃	3-F-4-Cl-phenyl	-CF ₃
3-Cl-4-F-phenyl	-CH ₂ CF ₃	3-F-4-Cl-phenyl	-CH ₂ CF ₃
3-Cl-4-F-phenyl	-CF ₂ CF ₃	3-F-4-Cl-phenyl	-CF ₂ CF ₃
3-Cl-4-F-phenyl	-CH ₂ OCH ₃	3-F-4-Cl-phenyl	-CH ₂ OCH ₃
3-Cl-4-F-phenyl	-OCH ₃	3-F-4-Cl-phenyl	-OCH ₃
3-Cl-4-F-phenyl	-OCH ₂ CF ₃	3-F-4-Cl-phenyl	-OCH ₂ CF ₃
3-Cl-4-F-phenyl	-NHCH ₃	3-F-4-Cl-phenyl	-NHCH ₃
3-Cl-4-F-phenyl	-N(CH ₃) ₃	3-F-4-Cl-phenyl	-N(CH ₃) ₃
3-Cl-4-F-phenyl	-NH(CH ₂ CF ₃)	3-F-4-Cl-phenyl	-NH(CH ₂ CF ₃)
3,5-di(Cl)-4-F-phenyl	H	3,4,5-tri(F)phenyl	H
3,5-di(Cl)-4-F-phenyl	methyl	3,4,5-tri(F)phenyl	methyl
3,5-di(Cl)-4-F-phenyl	ethyl	3,4,5-tri(F)phenyl	ethyl
3,5-di(Cl)-4-F-phenyl	cyclopropyl	3,4,5-tri(F)phenyl	cyclopropyl
3,5-di(Cl)-4-F-phenyl	2-fluorocyclopropyl	3,4,5-tri(F)phenyl	2-fluorocyclopropyl
3,5-di(Cl)-4-F-phenyl	2-cyanocyclopropyl	3,4,5-tri(F)phenyl	2-cyanocyclopropyl
3,5-di(Cl)-4-F-phenyl	2-(CF ₃)cyclopropyl	3,4,5-tri(F)phenyl	2-(CF ₃)cyclopropyl

3,5-di(Cl)-4-F-phenyl	cyclobutyl	3,4,5-tri(F)phenyl	cyclobutyl
3,5-di(Cl)-4-F-phenyl	cyclopentyl	3,4,5-tri(F)phenyl	cyclopentyl
3,5-di(Cl)-4-F-phenyl	-CF ₃	3,4,5-tri(F)phenyl	-CF ₃
3,5-di(Cl)-4-F-phenyl	-CH ₂ CF ₃	3,4,5-tri(F)phenyl	-CH ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-CF ₂ CF ₃	3,4,5-tri(F)phenyl	-CF ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-CH ₂ OCH ₃	3,4,5-tri(F)phenyl	-CH ₂ OCH ₃
3,5-di(Cl)-4-F-phenyl	-OCH ₃	3,4,5-tri(F)phenyl	-OCH ₃
3,5-di(Cl)-4-F-phenyl	-OCH ₂ CF ₃	3,4,5-tri(F)phenyl	-OCH ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-NHCH ₃	3,4,5-tri(F)phenyl	-NHCH ₃
3,5-di(Cl)-4-F-phenyl	-N(CH ₃) ₃	3,4,5-tri(F)phenyl	-N(CH ₃) ₃
3,5-di(Cl)-4-F-phenyl	-NH(CH ₂ CF ₃)	3,4,5-tri(F)phenyl	-NH(CH ₂ CF ₃)
3-(CF ₃)-4-F-phenyl	H	3,5-di(F)-4-Cl-phenyl	H
3-(CF ₃)-4-F-phenyl	methyl	3,5-di(F)-4-Cl-phenyl	methyl
3-(CF ₃)-4-F-phenyl	ethyl	3,5-di(F)-4-Cl-phenyl	ethyl
3-(CF ₃)-4-F-phenyl	cyclopropyl	3,5-di(F)-4-Cl-phenyl	cyclopropyl
3-(CF ₃)-4-F-phenyl	2-fluorocyclopropyl	3,5-di(F)-4-Cl-phenyl	2-fluorocyclopropyl
3-(CF ₃)-4-F-phenyl	2-cyanocyclopropyl	3,5-di(F)-4-Cl-phenyl	2-cyanocyclopropyl
3-(CF ₃)-4-F-phenyl	2-(CF ₃)cyclopropyl	3,5-di(F)-4-Cl-phenyl	2-(CF ₃)cyclopropyl
3-(CF ₃)-4-F-phenyl	cyclobutyl	3,5-di(F)-4-Cl-phenyl	cyclobutyl
3-(CF ₃)-4-F-phenyl	cyclopentyl	3,5-di(F)-4-Cl-phenyl	cyclopentyl
3-(CF ₃)-4-F-phenyl	-CF ₃	3,5-di(F)-4-Cl-phenyl	-CF ₃
3-(CF ₃)-4-F-phenyl	-CH ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-CF ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-CF ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-CH ₂ OCH ₃	3,5-di(F)-4-Cl-phenyl	-CH ₂ OCH ₃
3-(CF ₃)-4-F-phenyl	-OCH ₃	3,5-di(F)-4-Cl-phenyl	-OCH ₃
3-(CF ₃)-4-F-phenyl	-OCH ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-OCH ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-NHCH ₃	3,5-di(F)-4-Cl-phenyl	-NHCH ₃
3-(CF ₃)-4-F-phenyl	-N(CH ₃) ₃	3,5-di(F)-4-Cl-phenyl	-N(CH ₃) ₃
3-(CF ₃)-4-F-phenyl	-NH(CH ₂ CF ₃)	3,5-di(F)-4-Cl-phenyl	-NH(CH ₂ CF ₃)
3-Cl-5-F-phenyl	H	3-(CF ₃)-5-F-phenyl	H
3-Cl-5-F-phenyl	methyl	3-(CF ₃)-5-F-phenyl	methyl
3-Cl-5-F-phenyl	ethyl	3-(CF ₃)-5-F-phenyl	ethyl
3-Cl-5-F-phenyl	cyclopropyl	3-(CF ₃)-5-F-phenyl	cyclopropyl
3-Cl-5-F-phenyl	2-fluorocyclopropyl	3-(CF ₃)-5-F-phenyl	2-fluorocyclopropyl
3-Cl-5-F-phenyl	2-cyanocyclopropyl	3-(CF ₃)-5-F-phenyl	2-cyanocyclopropyl

3-Cl-5-F-phenyl	2-(CF ₃)cyclopropyl	3-(CF ₃)-5-F-phenyl	2-(CF ₃)cyclopropyl
3-Cl-5-F-phenyl	cyclobutyl	3-(CF ₃)-5-F-phenyl	cyclobutyl
3-Cl-5-F-phenyl	cyclopentyl	3-(CF ₃)-5-F-phenyl	cyclopentyl
3-Cl-5-F-phenyl	-CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₃
3-Cl-5-F-phenyl	-CH ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-CH ₂ CF ₃
3-Cl-5-F-phenyl	-CF ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₂ CF ₃
3-Cl-5-F-phenyl	-CH ₂ OCH ₃	3-(CF ₃)-5-F-phenyl	-CH ₂ OCH ₃
3-Cl-5-F-phenyl	-OCH ₃	3-(CF ₃)-5-F-phenyl	-OCH ₃
3-Cl-5-F-phenyl	-OCH ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-OCH ₂ CF ₃
3-Cl-5-F-phenyl	-NHCH ₃	3-(CF ₃)-5-F-phenyl	-NHCH ₃
3-Cl-5-F-phenyl	-N(CH ₃) ₃	3-(CF ₃)-5-F-phenyl	-N(CH ₃) ₃
3-Cl-5-F-phenyl	-NH(CH ₂ CF ₃)	3-(CF ₃)-5-F-phenyl	-NH(CH ₂ CF ₃)
3-(CF ₃)-phenyl	H	3-(OCF ₃)-phenyl	H
3-(CF ₃)-phenyl	methyl	3-(OCF ₃)-phenyl	methyl
3-(CF ₃)-phenyl	ethyl	3-(OCF ₃)-phenyl	ethyl
3-(CF ₃)-phenyl	cyclopropyl	3-(OCF ₃)-phenyl	cyclopropyl
3-(CF ₃)-phenyl	2-fluorocyclopropyl	3-(OCF ₃)-phenyl	2-fluorocyclopropyl
3-(CF ₃)-phenyl	2-cyanocyclopropyl	3-(OCF ₃)-phenyl	2-cyanocyclopropyl
3-(CF ₃)-phenyl	2-(CF ₃)cyclopropyl	3-(OCF ₃)-phenyl	2-(CF ₃)cyclopropyl
3-(CF ₃)-phenyl	cyclobutyl	3-(OCF ₃)-phenyl	cyclobutyl
3-(CF ₃)-phenyl	cyclopentyl	3-(OCF ₃)-phenyl	cyclopentyl
3-(CF ₃)-phenyl	-CF ₃	3-(OCF ₃)-phenyl	-CF ₃
3-(CF ₃)-phenyl	-CH ₂ CF ₃	3-(OCF ₃)-phenyl	-CH ₂ CF ₃
3-(CF ₃)-phenyl	-CF ₂ CF ₃	3-(OCF ₃)-phenyl	-CF ₂ CF ₃
3-(CF ₃)-phenyl	-CH ₂ OCH ₃	3-(OCF ₃)-phenyl	-CH ₂ OCH ₃
3-(CF ₃)-phenyl	-OCH ₃	3-(OCF ₃)-phenyl	-OCH ₃
3-(CF ₃)-phenyl	-OCH ₂ CF ₃	3-(OCF ₃)-phenyl	-OCH ₂ CF ₃
3-(CF ₃)-phenyl	-NHCH ₃	3-(OCF ₃)-phenyl	-NHCH ₃
3-(CF ₃)-phenyl	-N(CH ₃) ₃	3-(OCF ₃)-phenyl	-N(CH ₃) ₃
3-(CF ₃)-phenyl	-NH(CH ₂ CF ₃)	3-(OCF ₃)-phenyl	-NH(CH ₂ CF ₃)

TABLE A-2

Table A-2 is identical to Table A-1, except that R^{9b} is Cl.

TABLE A-3

Table A-3 is identical to Table A-1, except that R^{9b} is CN.

TABLE A-4

Table A-4 is identical to Table A-1, except that R^{9b} is Br.

5

TABLE A-5

Table A-5 is identical to Table A-1, except that R^{9b} is Me.

TABLE A-6

Table A-6 is identical to Table A-1, except that R^{9a} is F.

TABLE A-7

10 Table A-7 is identical to Table A-1, except that R^{9a} is F and R^{9b} is Cl.

TABLE A-8

Table A-8 is identical to Table A-1, except that R^{9a} is F and R^{9b} is CN.

TABLE A-9

Table A-9 is identical to Table A-1, except that R^{9a} is F and R^{9b} is Br.

15

TABLE A-10

Table A-10 is identical to Table A-1, except that R^{9a} is F and R^{9b} is Me.

TABLE A-11

Table A-11 is identical to Table A-1, except that R^{9a} is Cl.

TABLE A-12

20 Table A-12 is identical to Table A-1, except that R^{9a} is Cl and R^{9b} is Cl.

TABLE A-13

Table A-13 is identical to Table A-1, except that R^{9a} is Cl and R^{9b} is CN.

TABLE A-14

Table A-14 is identical to Table A-1, except that R^{9a} is Cl and R^{9b} is Br.

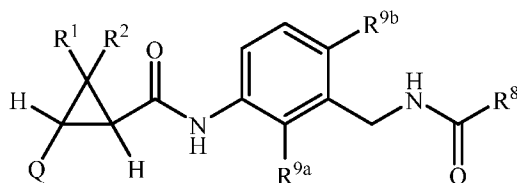
25

TABLE A-15

Table A-15 is identical to Table A-1, except that R^{9a} is Cl and R^{9b} is Me.

57

TABLE B-1



R^1 is F; R^2 is F; R^{9a} is H; R^{9b} is F

<u>Q</u>	<u>R⁸</u>	<u>Q</u>	<u>R⁸</u>
3,4-di(Cl)phenyl	H	3,4-di(F)phenyl	H
3,4-di(Cl)phenyl	methyl	3,4-di(F)phenyl	methyl
3,4-di(Cl)phenyl	ethyl	3,4-di(F)phenyl	ethyl
3,4-di(Cl)phenyl	cyclopropyl	3,4-di(F)phenyl	cyclopropyl
3,4-di(Cl)phenyl	2-fluorocyclopropyl	3,4-di(F)phenyl	2-fluorocyclopropyl
3,4-di(Cl)phenyl	2-cyanocyclopropyl	3,4-di(F)phenyl	2-cyanocyclopropyl
3,4-di(Cl)phenyl	2-(CF ₃)cyclopropyl	3,4-di(F)phenyl	2-(CF ₃)cyclopropyl
3,4-di(Cl)phenyl	cyclobutyl	3,4-di(F)phenyl	cyclobutyl
3,4-di(Cl)phenyl	cyclopentyl	3,4-di(F)phenyl	cyclopentyl
3,4-di(Cl)phenyl	-CF ₃	3,4-di(F)phenyl	-CF ₃
3,4-di(Cl)phenyl	-CH ₂ CF ₃	3,4-di(F)phenyl	-CH ₂ CF ₃
3,4-di(Cl)phenyl	-CF ₂ CF ₃	3,4-di(F)phenyl	-CF ₂ CF ₃
3,4-di(Cl)phenyl	-CH ₂ OCH ₃	3,4-di(F)phenyl	-CH ₂ OCH ₃
3,4-di(Cl)phenyl	-OCH ₃	3,4-di(F)phenyl	-OCH ₃
3,4-di(Cl)phenyl	-OCH ₂ CF ₃	3,4-di(F)phenyl	-OCH ₂ CF ₃
3,4-di(Cl)phenyl	-NHCH ₃	3,4-di(F)phenyl	-NHCH ₃
3,4-di(Cl)phenyl	-N(CH ₃) ₃	3,4-di(F)phenyl	-N(CH ₃) ₃
3,4-di(Cl)phenyl	-NH(CH ₂ CF ₃)	3,4-di(F)phenyl	-NH(CH ₂ CF ₃)
3-Cl-4-F-phenyl	H	3-F-4-Cl-phenyl	H
3-Cl-4-F-phenyl	methyl	3-F-4-Cl-phenyl	methyl
3-Cl-4-F-phenyl	ethyl	3-F-4-Cl-phenyl	ethyl
3-Cl-4-F-phenyl	cyclopropyl	3-F-4-Cl-phenyl	cyclopropyl
3-Cl-4-F-phenyl	2-fluorocyclopropyl	3-F-4-Cl-phenyl	2-fluorocyclopropyl
3-Cl-4-F-phenyl	2-cyanocyclopropyl	3-F-4-Cl-phenyl	2-cyanocyclopropyl
3-Cl-4-F-phenyl	2-(CF ₃)cyclopropyl	3-F-4-Cl-phenyl	2-(CF ₃)cyclopropyl
3-Cl-4-F-phenyl	cyclobutyl	3-F-4-Cl-phenyl	cyclobutyl

3-Cl-4-F-phenyl	cyclopentyl	3-F-4-Cl-phenyl	cyclopentyl
3-Cl-4-F-phenyl	-CF ₃	3-F-4-Cl-phenyl	-CF ₃
3-Cl-4-F-phenyl	-CH ₂ CF ₃	3-F-4-Cl-phenyl	-CH ₂ CF ₃
3-Cl-4-F-phenyl	-CF ₂ CF ₃	3-F-4-Cl-phenyl	-CF ₂ CF ₃
3-Cl-4-F-phenyl	-CH ₂ OCH ₃	3-F-4-Cl-phenyl	-CH ₂ OCH ₃
3-Cl-4-F-phenyl	-OCH ₃	3-F-4-Cl-phenyl	-OCH ₃
3-Cl-4-F-phenyl	-OCH ₂ CF ₃	3-F-4-Cl-phenyl	-OCH ₂ CF ₃
3-Cl-4-F-phenyl	-NHCH ₃	3-F-4-Cl-phenyl	-NHCH ₃
3-Cl-4-F-phenyl	-N(CH ₃) ₃	3-F-4-Cl-phenyl	-N(CH ₃) ₃
3-Cl-4-F-phenyl	-NH(CH ₂ CF ₃)	3-F-4-Cl-phenyl	-NH(CH ₂ CF ₃)
3,5-di(Cl)-4-F-phenyl	H	3,4,5-tri(F)phenyl	H
3,5-di(Cl)-4-F-phenyl	methyl	3,4,5-tri(F)phenyl	methyl
3,5-di(Cl)-4-F-phenyl	ethyl	3,4,5-tri(F)phenyl	ethyl
3,5-di(Cl)-4-F-phenyl	cyclopropyl	3,4,5-tri(F)phenyl	cyclopropyl
3,5-di(Cl)-4-F-phenyl	2-fluorocyclopropyl	3,4,5-tri(F)phenyl	2-fluorocyclopropyl
3,5-di(Cl)-4-F-phenyl	2-cyanocyclopropyl	3,4,5-tri(F)phenyl	2-cyanocyclopropyl
3,5-di(Cl)-4-F-phenyl	2-(CF ₃)cyclopropyl	3,4,5-tri(F)phenyl	2-(CF ₃)cyclopropyl
3,5-di(Cl)-4-F-phenyl	cyclobutyl	3,4,5-tri(F)phenyl	cyclobutyl
3,5-di(Cl)-4-F-phenyl	cyclopentyl	3,4,5-tri(F)phenyl	cyclopentyl
3,5-di(Cl)-4-F-phenyl	-CF ₃	3,4,5-tri(F)phenyl	-CF ₃
3,5-di(Cl)-4-F-phenyl	-CH ₂ CF ₃	3,4,5-tri(F)phenyl	-CH ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-CF ₂ CF ₃	3,4,5-tri(F)phenyl	-CF ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-CH ₂ OCH ₃	3,4,5-tri(F)phenyl	-CH ₂ OCH ₃
3,5-di(Cl)-4-F-phenyl	-OCH ₃	3,4,5-tri(F)phenyl	-OCH ₃
3,5-di(Cl)-4-F-phenyl	-OCH ₂ CF ₃	3,4,5-tri(F)phenyl	-OCH ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-NHCH ₃	3,4,5-tri(F)phenyl	-NHCH ₃
3,5-di(Cl)-4-F-phenyl	-N(CH ₃) ₃	3,4,5-tri(F)phenyl	-N(CH ₃) ₃
3,5-di(Cl)-4-F-phenyl	-NH(CH ₂ CF ₃)	3,4,5-tri(F)phenyl	-NH(CH ₂ CF ₃)
3-(CF ₃)-4-F-phenyl	H	3,5-di(F)-4-Cl-phenyl	H
3-(CF ₃)-4-F-phenyl	methyl	3,5-di(F)-4-Cl-phenyl	methyl
3-(CF ₃)-4-F-phenyl	ethyl	3,5-di(F)-4-Cl-phenyl	ethyl
3-(CF ₃)-4-F-phenyl	cyclopropyl	3,5-di(F)-4-Cl-phenyl	cyclopropyl
3-(CF ₃)-4-F-phenyl	2-fluorocyclopropyl	3,5-di(F)-4-Cl-phenyl	2-fluorocyclopropyl
3-(CF ₃)-4-F-phenyl	2-cyanocyclopropyl	3,5-di(F)-4-Cl-phenyl	2-cyanocyclopropyl
3-(CF ₃)-4-F-phenyl	2-(CF ₃)cyclopropyl	3,5-di(F)-4-Cl-phenyl	2-(CF ₃)cyclopropyl

3-(CF ₃)-4-F-phenyl	cyclobutyl	3,5-di(F)-4-Cl-phenyl	cyclobutyl
3-(CF ₃)-4-F-phenyl	cyclopentyl	3,5-di(F)-4-Cl-phenyl	cyclopentyl
3-(CF ₃)-4-F-phenyl	-CF ₃	3,5-di(F)-4-Cl-phenyl	-CF ₃
3-(CF ₃)-4-F-phenyl	-CH ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-CF ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-CF ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-CH ₂ OCH ₃	3,5-di(F)-4-Cl-phenyl	-CH ₂ OCH ₃
3-(CF ₃)-4-F-phenyl	-OCH ₃	3,5-di(F)-4-Cl-phenyl	-OCH ₃
3-(CF ₃)-4-F-phenyl	-OCH ₂ CF ₃	3,5-di(F)-4-Cl-phenyl	-OCH ₂ CF ₃
3-(CF ₃)-4-F-phenyl	-NHCH ₃	3,5-di(F)-4-Cl-phenyl	-NHCH ₃
3-(CF ₃)-4-F-phenyl	-N(CH ₃) ₃	3,5-di(F)-4-Cl-phenyl	-N(CH ₃) ₃
3-(CF ₃)-4-F-phenyl	-NH(CH ₂ CF ₃)	3,5-di(F)-4-Cl-phenyl	-NH(CH ₂ CF ₃)
3-Cl-5-F-phenyl	H	3-(CF ₃)-5-F-phenyl	H
3-Cl-5-F-phenyl	methyl	3-(CF ₃)-5-F-phenyl	methyl
3-Cl-5-F-phenyl	ethyl	3-(CF ₃)-5-F-phenyl	ethyl
3-Cl-5-F-phenyl	cyclopropyl	3-(CF ₃)-5-F-phenyl	cyclopropyl
3-Cl-5-F-phenyl	2-fluorocyclopropyl	3-(CF ₃)-5-F-phenyl	2-fluorocyclopropyl
3-Cl-5-F-phenyl	2-cyanocyclopropyl	3-(CF ₃)-5-F-phenyl	2-cyanocyclopropyl
3-Cl-5-F-phenyl	2-(CF ₃)cyclopropyl	3-(CF ₃)-5-F-phenyl	2-(CF ₃)cyclopropyl
3-Cl-5-F-phenyl	cyclobutyl	3-(CF ₃)-5-F-phenyl	cyclobutyl
3-Cl-5-F-phenyl	cyclopentyl	3-(CF ₃)-5-F-phenyl	cyclopentyl
3-Cl-5-F-phenyl	-CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₃
3-Cl-5-F-phenyl	-CH ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-CH ₂ CF ₃
3-Cl-5-F-phenyl	-CF ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₂ CF ₃
3-Cl-5-F-phenyl	-CH ₂ OCH ₃	3-(CF ₃)-5-F-phenyl	-CH ₂ OCH ₃
3-Cl-5-F-phenyl	-OCH ₃	3-(CF ₃)-5-F-phenyl	-OCH ₃
3-Cl-5-F-phenyl	-OCH ₂ CF ₃	3-(CF ₃)-5-F-phenyl	-OCH ₂ CF ₃
3-Cl-5-F-phenyl	-NHCH ₃	3-(CF ₃)-5-F-phenyl	-NHCH ₃
3-Cl-5-F-phenyl	-N(CH ₃) ₃	3-(CF ₃)-5-F-phenyl	-N(CH ₃) ₃
3-Cl-5-F-phenyl	-NH(CH ₂ CF ₃)	3-(CF ₃)-5-F-phenyl	-NH(CH ₂ CF ₃)
3-(CF ₃)-phenyl	H	3-(OCF ₃)-phenyl	H
3-(CF ₃)-phenyl	methyl	3-(OCF ₃)-phenyl	methyl
3-(CF ₃)-phenyl	ethyl	3-(OCF ₃)-phenyl	ethyl
3-(CF ₃)-phenyl	cyclopropyl	3-(OCF ₃)-phenyl	cyclopropyl
3-(CF ₃)-phenyl	2-fluorocyclopropyl	3-(OCF ₃)-phenyl	2-fluorocyclopropyl
3-(CF ₃)-phenyl	2-cyanocyclopropyl	3-(OCF ₃)-phenyl	2-cyanocyclopropyl

3-(CF ₃)-phenyl	2-(CF ₃)cyclopropyl	3-(OCF ₃)-phenyl	2-(CF ₃)cyclopropyl
3-(CF ₃)-phenyl	cyclobutyl	3-(OCF ₃)-phenyl	cyclobutyl
3-(CF ₃)-phenyl	cyclopentyl	3-(OCF ₃)-phenyl	cyclopentyl
3-(CF ₃)-phenyl	-CF ₃	3-(OCF ₃)-phenyl	-CF ₃
3-(CF ₃)-phenyl	-CH ₂ CF ₃	3-(OCF ₃)-phenyl	-CH ₂ CF ₃
3-(CF ₃)-phenyl	-CF ₂ CF ₃	3-(OCF ₃)-phenyl	-CF ₂ CF ₃
3-(CF ₃)-phenyl	-CH ₂ OCH ₃	3-(OCF ₃)-phenyl	-CH ₂ OCH ₃
3-(CF ₃)-phenyl	-OCH ₃	3-(OCF ₃)-phenyl	-OCH ₃
3-(CF ₃)-phenyl	-OCH ₂ CF ₃	3-(OCF ₃)-phenyl	-OCH ₂ CF ₃
3-(CF ₃)-phenyl	-NHCH ₃	3-(OCF ₃)-phenyl	-NHCH ₃
3-(CF ₃)-phenyl	-N(CH ₃) ₃	3-(OCF ₃)-phenyl	-N(CH ₃) ₃
3-(CF ₃)-phenyl	-NH(CH ₂ CF ₃)	3-(OCF ₃)-phenyl	-NH(CH ₂ CF ₃)

TABLE B-2

Table B-2 is identical to Table B-1, except that R^{9b} is Cl.

TABLE B-3

- 5 Table B-3 is identical to Table B-1, except that R^{9b} is CN.

TABLE B-4

Table B-4 is identical to Table B-1, except that R^{9b} is Br.

TABLE B-5

Table B-5 is identical to Table B-1, except that R^{9b} is Me.

10

TABLE B-6

Table B-6 is identical to Table B-1, except that R^{9a} is F.

TABLE B-7

Table B-7 is identical to Table B-1, except that R^{9a} is F and R^{9b} is Cl.

TABLE B-8

- 15 Table B-8 is identical to Table B-1, except that R^{9a} is F and R^{9b} is CN.

TABLE B-9

Table B-9 is identical to Table B-1, except that R^{9a} is F and R^{9b} is Br.

TABLE B-10

Table B-10 is identical to Table B-1, except that R^{9a} is F and R^{9b} is Me.

TABLE B-11

Table B-11 is identical to Table B-1, except that R^{9a} is Cl.

TABLE B-12

Table B-12 is identical to Table B-1, except that R^{9a} is Cl and R^{9b} is Cl.

5

TABLE B-13

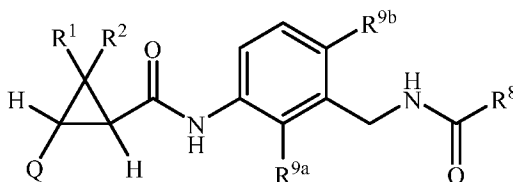
Table B-13 is identical to Table B-1, except that R^{9a} is Cl and R^{9b} is CN.

TABLE B-14

Table B-14 is identical to Table B-1, except that R^{9a} is Cl and R^{9b} is Br.

TABLE B-15

10 Table B-15 is identical to Table B-1, except that R^{9a} is Cl and R^{9b} is Me.

TABLE C-1

15 R¹ is Cl; R² is Cl; R^{9a} is H; R^{9b} is F

<u>Q</u>	<u>R⁸</u>	<u>Q</u>	<u>R⁸</u>
3-F-phenyl	methyl	3-F-phenyl	ethyl
3-Cl-phenyl	methyl	3-Cl-phenyl	ethyl
3-(CF ₃)-phenyl	methyl	3-(CF ₃)-phenyl	ethyl
4-F-phenyl	methyl	4-F-phenyl	ethyl
4-Cl-phenyl	methyl	4-Cl-phenyl	ethyl
4-(CF ₃)-phenyl	methyl	4-(CF ₃)-phenyl	ethyl
3,5-di(Cl)phenyl	methyl	3,5-di(Cl)phenyl	ethyl
3,5-di(F)phenyl	methyl	3,5-di(F)phenyl	ethyl
3-Cl-5-F-phenyl	methyl	3-Cl-5-F-phenyl	ethyl
3-(CF ₃)-4-Cl-phenyl	methyl	3-(CF ₃)-4-Cl-phenyl	ethyl
3-(CF ₃)-5-Cl-phenyl	methyl	3-(CF ₃)-5-Cl-phenyl	ethyl
3-(CF ₃)-5-F-phenyl	methyl	3-(CF ₃)-5-F-phenyl	ethyl
3,4,5-tri(Cl)phenyl	methyl	3,4,5-tri(Cl)phenyl	ethyl
2-pyridinyl	methyl	2-pyridinyl	ethyl

3-pyridinyl	methyl	3-pyridinyl	ethyl
4-pyridinyl	methyl	4-pyridinyl	ethyl
2-naphthalenyl	methyl	2-naphthalenyl	ethyl
3-F-phenyl	cyclopropyl	3-F-phenyl	-CH ₂ CF ₃
3-Cl-phenyl	cyclopropyl	3-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-phenyl	cyclopropyl	3-(CF ₃)-phenyl	-CH ₂ CF ₃
4-F-phenyl	cyclopropyl	4-F-phenyl	-CH ₂ CF ₃
4-Cl-phenyl	cyclopropyl	4-Cl-phenyl	-CH ₂ CF ₃
4-(CF ₃)-phenyl	cyclopropyl	4-(CF ₃)-phenyl	-CH ₂ CF ₃
3,5-di(Cl)phenyl	cyclopropyl	3,5-di(Cl)phenyl	-CH ₂ CF ₃
3,5-di(F)phenyl	cyclopropyl	3,5-di(F)phenyl	-CH ₂ CF ₃
3-Cl-5-F-phenyl	cyclopropyl	3-Cl-5-F-phenyl	-CH ₂ CF ₃
3-(CF ₃)-4-Cl-phenyl	cyclopropyl	3-(CF ₃)-4-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-5-Cl-phenyl	cyclopropyl	3-(CF ₃)-5-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-5-F-phenyl	cyclopropyl	3-(CF ₃)-5-F-phenyl	-CH ₂ CF ₃
3,4,5-tri(Cl)phenyl	cyclopropyl	3,4,5-tri(Cl)phenyl	-CH ₂ CF ₃
2-pyridinyl	cyclopropyl	2-pyridinyl	-CH ₂ CF ₃
3-pyridinyl	cyclopropyl	3-pyridinyl	-CH ₂ CF ₃
4-pyridinyl	cyclopropyl	4-pyridinyl	-CH ₂ CF ₃
2-naphthalenyl	cyclopropyl	2-naphthalenyl	-CH ₂ CF ₃
3-F-phenyl	-CF ₃	3-(CF ₃)-4-Cl-phenyl	-CF ₃
3-Cl-phenyl	-CF ₃	3-(CF ₃)-5-Cl-phenyl	-CF ₃
3-(CF ₃)-phenyl	-CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₃
4-F-phenyl	-CF ₃	3,4,5-tri(Cl)phenyl	-CF ₃
4-Cl-phenyl	-CF ₃	2-pyridinyl	-CF ₃
4-(CF ₃)-phenyl	-CF ₃	3-pyridinyl	-CF ₃
3,5-di(Cl)phenyl	-CF ₃	4-pyridinyl	-CF ₃
3,5-di(F)phenyl	-CF ₃	2-naphthalenyl	-CF ₃
3-Cl-5-F-phenyl	-CF ₃		

TABLE C-2

Table C-2 is identical to Table C-1, except that R^{9b} is Cl.

TABLE C-3

5 Table C-3 is identical to Table C-1, except that R^{9b} is CN.

TABLE C-4

Table C-4 is identical to Table C-1, except that R^{9b} is Br.

TABLE C-5

Table C-5 is identical to Table C-1, except that R^{9b} is Me.

5

TABLE C-6

Table C-6 is identical to Table C-1, except that R^{9a} is F.

TABLE C-7

Table C-7 is identical to Table C-1, except that R^{9a} is F and R^{9b} is Cl.

TABLE C-7a

10 Table C-7a is identical to Table C-1, except that R^{9a} is F and R^{9b} is F.

TABLE C-8

Table C-8 is identical to Table C-1, except that R^{9a} is F and R^{9b} is CN.

TABLE C-9

Table C-9 is identical to Table C-1, except that R^{9a} is F and R^{9b} is Br.

15

TABLE C-10

Table C-10 is identical to Table C-1, except that R^{9a} is F and R^{9b} is Me.

TABLE C-11

Table C-11 is identical to Table C-1, except that R^{9a} is Cl.

TABLE C-12

20 Table C-12 is identical to Table C-1, except that R^{9a} is Cl and R^{9b} is Cl.

TABLE C-13

Table C-13 is identical to Table C-1, except that R^{9a} is Cl and R^{9b} is CN.

TABLE C-14

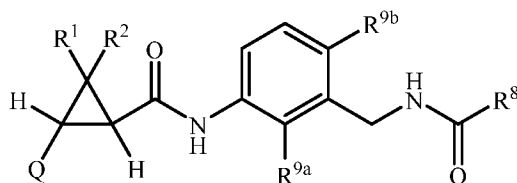
Table C-14 is identical to Table C-1, except that R^{9a} is Cl and R^{9b} is Br.

25

TABLE C-15

Table C-15 is identical to Table C-1, except that R^{9a} is Cl and R^{9b} is Me.

TABLE D-1



R^1 is F; R^2 is F; R^{9a} is H; R^{9b} is F

<u>Q</u>	<u>R⁸</u>	<u>Q</u>	<u>R⁸</u>
3-F-phenyl	methyl	3-F-phenyl	ethyl
3-Cl-phenyl	methyl	3-Cl-phenyl	ethyl
3-(CF ₃)-phenyl	methyl	3-(CF ₃)-phenyl	ethyl
4-F-phenyl	methyl	4-F-phenyl	ethyl
4-Cl-phenyl	methyl	4-Cl-phenyl	ethyl
4-(CF ₃)-phenyl	methyl	4-(CF ₃)-phenyl	ethyl
3,5-di(Cl)phenyl	methyl	3,5-di(Cl)phenyl	ethyl
3,5-di(F)phenyl	methyl	3,5-di(F)phenyl	ethyl
3-Cl-5-F-phenyl	methyl	3-Cl-5-F-phenyl	ethyl
3-(CF ₃)-4-Cl-phenyl	methyl	3-(CF ₃)-4-Cl-phenyl	ethyl
3-(CF ₃)-5-Cl-phenyl	methyl	3-(CF ₃)-5-Cl-phenyl	ethyl
3-(CF ₃)-5-F-phenyl	methyl	3-(CF ₃)-5-F-phenyl	ethyl
3,4,5-tri(Cl)phenyl	methyl	3,4,5-tri(Cl)phenyl	ethyl
2-pyridinyl	methyl	2-pyridinyl	ethyl
3-pyridinyl	methyl	3-pyridinyl	ethyl
4-pyridinyl	methyl	4-pyridinyl	ethyl
2-naphthalenyl	methyl	2-naphthalenyl	ethyl
3-F-phenyl	cyclopropyl	3-F-phenyl	-CH ₂ CF ₃
3-Cl-phenyl	cyclopropyl	3-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-phenyl	cyclopropyl	3-(CF ₃)-phenyl	-CH ₂ CF ₃
4-F-phenyl	cyclopropyl	4-F-phenyl	-CH ₂ CF ₃
4-Cl-phenyl	cyclopropyl	4-Cl-phenyl	-CH ₂ CF ₃
4-(CF ₃)-phenyl	cyclopropyl	4-(CF ₃)-phenyl	-CH ₂ CF ₃
3,5-di(Cl)phenyl	cyclopropyl	3,5-di(Cl)phenyl	-CH ₂ CF ₃
3,5-di(F)phenyl	cyclopropyl	3,5-di(F)phenyl	-CH ₂ CF ₃
3-Cl-5-F-phenyl	cyclopropyl	3-Cl-5-F-phenyl	-CH ₂ CF ₃

3-(CF ₃)-4-Cl-phenyl	cyclopropyl	3-(CF ₃)-4-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-5-Cl-phenyl	cyclopropyl	3-(CF ₃)-5-Cl-phenyl	-CH ₂ CF ₃
3-(CF ₃)-5-F-phenyl	cyclopropyl	3-(CF ₃)-5-F-phenyl	-CH ₂ CF ₃
3,4,5-tri(Cl)phenyl	cyclopropyl	3,4,5-tri(Cl)phenyl	-CH ₂ CF ₃
2-pyridinyl	cyclopropyl	2-pyridinyl	-CH ₂ CF ₃
3-pyridinyl	cyclopropyl	3-pyridinyl	-CH ₂ CF ₃
4-pyridinyl	cyclopropyl	4-pyridinyl	-CH ₂ CF ₃
2-naphthalenyl	cyclopropyl	2-naphthalenyl	-CH ₂ CF ₃
3-F-phenyl	-CF ₃	3-(CF ₃)-4-Cl-phenyl	-CF ₃
3-Cl-phenyl	-CF ₃	3-(CF ₃)-5-Cl-phenyl	-CF ₃
3-(CF ₃)-phenyl	-CF ₃	3-(CF ₃)-5-F-phenyl	-CF ₃
4-F-phenyl	-CF ₃	3,4,5-tri(Cl)phenyl	-CF ₃
4-Cl-phenyl	-CF ₃	2-pyridinyl	-CF ₃
4-(CF ₃)-phenyl	-CF ₃	3-pyridinyl	-CF ₃
3,5-di(Cl)phenyl	-CF ₃	4-pyridinyl	-CF ₃
3,5-di(F)phenyl	-CF ₃	2-naphthalenyl	-CF ₃
3-Cl-5-F-phenyl	-CF ₃		

TABLE D-2

Table D-2 is identical to Table D-1, except that R^{9b} is Cl.

TABLE D-3

- 5 Table D-3 is identical to Table D-1, except that R^{9b} is CN.

TABLE D-4

Table D-4 is identical to Table D-1, except that R^{9b} is Br.

TABLE D-5

Table D-5 is identical to Table D-1, except that R^{9b} is Me.

10

TABLE D-6

Table D-6 is identical to Table D-1, except that R^{9a} is F.

TABLE D-7

Table D-7 is identical to Table D-1, except that R^{9a} is F and R^{9b} is Cl.

TABLE D-7a

- 15 Table D-7 is identical to Table D-1, except that R^{9a} is F and R^{9b} is F.

TABLE D-8

Table D-8 is identical to Table D-1, except that R^{9a} is F and R^{9b} is CN.

TABLE D-9

Table D-9 is identical to Table D-1, except that R^{9a} is F and R^{9b} is Br.

5

TABLE D-10

Table D-10 is identical to Table D-1, except that R^{9a} is F and R^{9b} is Me.

TABLE D-11

Table D-11 is identical to Table D-1, except that R^{9a} is Cl.

TABLE D-12

10 Table D-12 is identical to Table D-1, except that R^{9a} is Cl and R^{9b} is Cl.

TABLE D-13

Table D-13 is identical to Table D-1, except that R^{9a} is Cl and R^{9b} is CN.

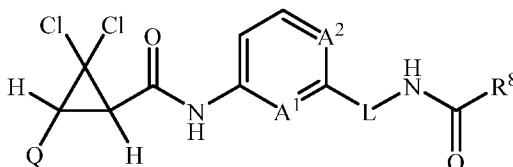
TABLE D-14

Table D-14 is identical to Table D-1, except that R^{9a} is Cl and R^{9b} is Br.

15

TABLE D-15

Table D-15 is identical to Table D-1, except that R^{9a} is Cl and R^{9b} is Me.

TABLE E-1

20

A¹ is CH; A² is CH; L is -CH₂CH₂-;

<u>Q</u>	<u>R⁸</u>	<u>Q</u>	<u>R⁸</u>
3,4-di(Cl)phenyl	methyl	3,4-di(F)phenyl	methyl
3,4-di(Cl)phenyl	ethyl	3,4-di(F)phenyl	ethyl
3,4-di(Cl)phenyl	cyclopropyl	3,4-di(F)phenyl	cyclopropyl
3,4-di(Cl)phenyl	-CH ₂ CF ₃	3,4-di(F)phenyl	-CH ₂ CF ₃
3,4-di(Cl)phenyl	-CF ₃	3,4-di(F)phenyl	-CF ₃
3,5-di(Cl)-4-F-phenyl	methyl	3,4,5-tri(F)phenyl	methyl
3,5-di(Cl)-4-F-phenyl	ethyl	3,4,5-tri(F)phenyl	ethyl
3,5-di(Cl)-4-F-phenyl	cyclopropyl	3,4,5-tri(F)phenyl	cyclopropyl

3,5-di(Cl)-4-F-phenyl	-CH ₂ CF ₃	3,4,5-tri(F)phenyl	-CH ₂ CF ₃
3,5-di(Cl)-4-F-phenyl	-CF ₃	3,4,5-tri(F)phenyl	-CF ₃
3-(CF ₃)-4-F-phenyl	methyl	3-(CF ₃)-4-F-phenyl	-CH ₂ CF ₃
3-(CF ₃)-4-F-phenyl	ethyl	3-(CF ₃)-4-F-phenyl	-CF ₃
3-(CF ₃)-4-F-phenyl	cyclopropyl		

TABLE E-2

Table E-2 is identical to Table E-1, except that L is -CH(CH₃)-.

TABLE E-3

Table E-3 is identical to Table E-1, except that L is -C(CH₃)₂-.

5

TABLE E-4

Table E-4 is identical to Table E-1, except that A¹ is N.

TABLE E-5

Table E-5 is identical to Table E-1, except that A¹ is N and L is -CH(CH₃)-.

TABLE E-6

10 Table E-6 is identical to Table E-1, except that A¹ is N and L is -C(CH₃)₂-.

TABLE E-7

Table E-7 is identical to Table E-1, except that A² is N.

TABLE E-8

Table E-8 is identical to Table E-1, except that A² is N and L is -CH(CH₃)-.

15

TABLE E-9

Table E-9 is identical to Table E-1, except that A² is N and L is -C(CH₃)₂-.

TABLE E-10

Table E-10 is identical to Table E-1, except that A¹ is N and A² is N.

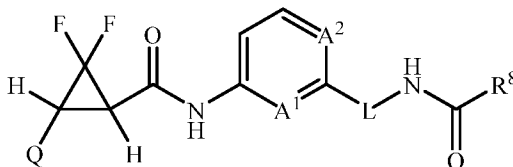
TABLE E-11

20 Table E-11 is identical to Table E-1, except that A¹ is N, A² is N, and L is -CH(CH₃)-.

TABLE E-12

Table E-12 is identical to Table E-1, except that A¹ is N, A² is N, and L is -C(CH₃)₂-.

TABLE F-1



A^1 is CH; A^2 is CH; L is $-CH_2CH_2-$;

Q	R^8	Q	R^8
3,4-di(Cl)phenyl	methyl	3,4-di(F)phenyl	methyl
3,4-di(Cl)phenyl	ethyl	3,4-di(F)phenyl	ethyl
3,4-di(Cl)phenyl	cyclopropyl	3,4-di(F)phenyl	cyclopropyl
3,4-di(Cl)phenyl	$-CH_2CF_3$	3,4-di(F)phenyl	$-CH_2CF_3$
3,4-di(Cl)phenyl	$-CF_3$	3,4-di(F)phenyl	$-CF_3$
3,5-di(Cl)-4-F-phenyl	methyl	3,4,5-tri(F)phenyl	methyl
3,5-di(Cl)-4-F-phenyl	ethyl	3,4,5-tri(F)phenyl	ethyl
3,5-di(Cl)-4-F-phenyl	cyclopropyl	3,4,5-tri(F)phenyl	cyclopropyl
3,5-di(Cl)-4-F-phenyl	$-CH_2CF_3$	3,4,5-tri(F)phenyl	$-CH_2CF_3$
3,5-di(Cl)-4-F-phenyl	$-CF_3$	3,4,5-tri(F)phenyl	$-CF_3$
3-(CF_3)-4-F-phenyl	methyl	3-(CF_3)-4-F-phenyl	$-CH_2CF_3$
3-(CF_3)-4-F-phenyl	ethyl	3-(CF_3)-4-F-phenyl	$-CF_3$
3-(CF_3)-4-F-phenyl	cyclopropyl		

5

TABLE F-2

Table F-2 is identical to Table F-1, except that L is $-CH(CH_3)-$.

TABLE F-3

Table F-3 is identical to Table F-1, except that L is $-C(CH_3)_2-$.

TABLE F-4

10 Table F-4 is identical to Table F-1, except that A^1 is N.

TABLE F-5

Table F-5 is identical to Table F-1, except that A^1 is N and L is $-CH(CH_3)-$.

TABLE F-6

Table F-6 is identical to Table F-1, except that A^1 is N and L is $-C(CH_3)_2-$.

15

TABLE F-7

Table F-7 is identical to Table F-1, except that A^2 is N.

TABLE F-8

Table F-8 is identical to Table F-1, except that A² is N and L is -CH(CH₃)-.

TABLE F-9

Table F-9 is identical to Table F-1, except that A² is N and L is -C(CH₃)₂-.

5

TABLE F-10

Table F-10 is identical to Table F-1, except that A¹ is N and A² is N.

TABLE F-11

Table F-11 is identical to Table F-1, except that A¹ is N, A² is N, and L is -CH(CH₃)-.

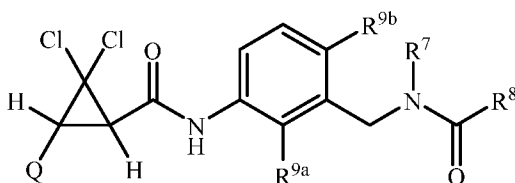
TABLE F-12

10 Table F-12 is identical to Table F-1, except that A¹ is N, A² is N, and L is -C(CH₃)₂-.

Specific compounds of Formula 1, prepared by the methods and variations as described in preceding Schemes 1-19 and Synthesis Examples 1-3, are shown in the Index Tables below. The following abbreviations may be used: Cmpd means Compound, *t* is tertiary, *c* is cyclo, Me is methyl, Et is ethyl and Ph is phenyl. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which Synthesis Example the compound is prepared. For mass spectral data (AP⁺ (M+1)), the numerical value reported is the molecular weight of the parent molecular ion (M) formed by addition of H⁺ (molecular weight of 1) to the molecule to give a M+1 peak observed by mass spectrometry using atmospheric pressure chemical ionization (AP⁺). The alternate molecular ion peaks (e.g., M+2 or M+4) that occur with compounds containing multiple halogens are not reported.

15

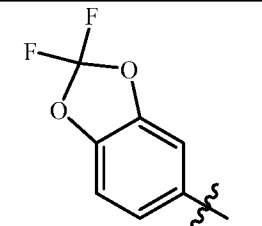
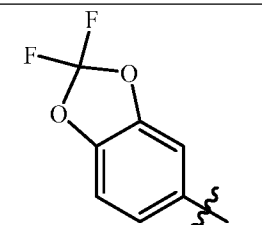
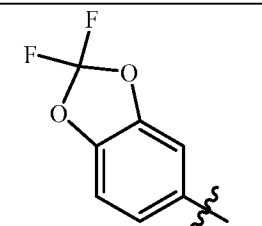
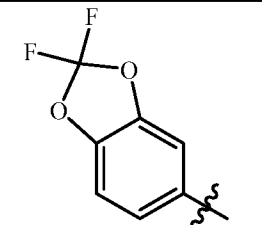
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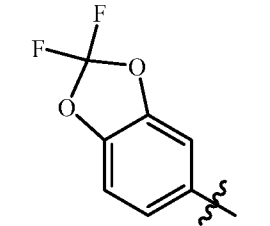
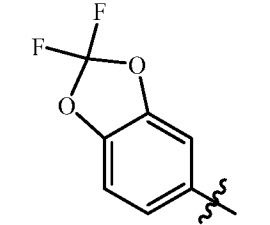
INDEX TABLE A

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R⁷ is H

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R⁸</u>	<u>MS data</u>
1	3,5-dichlorophenyl	F	F	1-(trifluoromethyl)cyclopropyl	577
2	3,5-dichlorophenyl	F	F	-CF ₃	537
3	3,4-dichlorophenyl	H	F	-CH ₃	460.9*

4	4-fluoro-3-(trifluoromethyl)phenyl	H	F	-CH ₃	479.2*
5		H	F	-CH ₃	475.2
6	4-fluorophenyl	H	F	-CH ₃	413
7	4-fluorophenyl	H	F	cyclopropyl	439
8	4-fluorophenyl	H	Cl	-CH ₃	429
9	4-fluorophenyl	H	Cl	-CH ₂ CH ₃	443
10	4-fluorophenyl	H	F	-CH ₂ CH ₃	427
11	phenyl	H	F	cyclopropyl	421
12	phenyl	H	Cl	-CH ₃	411
13	phenyl	H	Cl	-CH ₂ CH ₃	425
14		H	F	cyclopropyl	501
15		H	Cl	cyclopropyl	517.1
16		H	F	-CH ₂ CH ₃	490.1

17		H	Cl	-CH ₃	491
18		H	Cl	-CH ₂ CH ₃	505
19	3-chloro-4-fluorophenyl	H	Cl	-CF ₃	516.9
20	3,5-dichlorophenyl	F	H	-CH ₃	465.1
21	3,5-dichlorophenyl	F	H	-CH(CH ₃) ₂	493.1
22	3,5-dichlorophenyl	F	H	cyclopropyl	491.1
23	3,5-dichlorophenyl	F	F	-CH ₃	483
24	3,5-dichlorophenyl	F	F	-CH(CH ₃) ₂	511
25	3-chloro-4-fluorophenyl	H	F	-CH ₂ CH ₃	461
26	3-chloro-4-fluorophenyl	H	Cl	-CH ₂ CH ₃	477
27	3-chloro-4-fluorophenyl	H	Cl	-CH ₃	462.9
28	3,5-dichlorophenyl	F	F	-CH ₂ CH ₃	497
29	3,5-dichlorophenyl	F	F	1-cyanocyclopropyl	534
33	3,5-dichlorophenyl	F	F	cyclopropyl	509
34	3-chloro-4-fluorophenyl	H	Cl	cyclopropyl	489
35	3,4-dichlorophenyl	H	Cl	-CH ₂ CH ₃	492.9
36	4-fluoro-3-(trifluoromethyl)phenyl	H	F	-CH ₂ CH ₃	495
37	4-fluoro-3-(trifluoromethyl)phenyl	H	F	-CH(CH ₃) ₂	508.1
38	4-fluoro-3-(trifluoromethyl)phenyl	H	Cl	-CH ₂ CH ₃	511
39	4-fluoro-3-(trifluoromethyl)phenyl	H	Cl	cyclopropyl	522.1
40	3,4-dichlorophenyl	H	Cl	cyclopropyl	504.9
41	3,4-dichlorophenyl	H	Cl	-OCH ₂ CH ₃	508.9

42	3,4-dichlorophenyl	H	F	cyclopropyl	477
43	3,4-dichlorophenyl	H	F	-CH ₂ CH ₃	477
44	3,4-dichlorophenyl	H	F	-CH(CH ₃) ₂	491
45	3,5-dichlorophenyl	H	Cl	-CH ₂ CH ₂ OCH ₂ CH ₂ -	549.9
49	3,5-dichlorophenyl	H	Cl	-OCH ₂ CH ₃	508.9
50	3,5-dichlorophenyl	H	Cl	-CF ₃	532.9
51	3,5-dichlorophenyl	H	Cl	1-cyanocyclopropyl	529.9
52	3,5-dichlorophenyl	H	Cl	-CH ₂ CH ₃	492.9
53	3,5-dichlorophenyl	H	Cl	-CH(CH ₃) ₂	507
54	3,5-dichlorophenyl	H	F	-CH ₃	462.9
55	3,5-dichlorophenyl	H	F	-CH ₂ CH ₃	477
56	3,5-dichlorophenyl	H	F	-CH(CH ₃) ₂	491
57	3,5-dichlorophenyl	H	Cl	-CH ₃	478.9
58	3,5-dichloro-4-fluorophenyl	H	Cl	cyclopropyl	522.9
59	3,5-dichloro-4-fluorophenyl	H	Cl	-CH ₂ CH ₃	510.9
60	3,5-dichloro-4-fluorophenyl	H	Cl	-CH(CH ₃) ₂	522.9*
61	3,5-dichloro-4-fluorophenyl	H	Cl	-CH ₃	496.9
62	3,5-dichlorophenyl	H	Cl	cyclopropyl	504.9
63	3,5-dichlorophenyl	H	Cl	2,4-difluorophenyl	574.9*
64	3,5-dichlorophenyl	H	Cl	4-fluorophenyl	557.9*
65	3,4-dichlorophenyl	H	Cl	cyclobutyl	519.2
66	3,5-dichlorophenyl	H	Cl	cyclobutyl	519.2
67	3,5-difluorophenyl	H	Cl	cyclobutyl	487.2
68	4-fluorophenyl	H	Cl	cyclobutyl	469.2
69	3,5-difluorophenyl	H	Cl	-CH ₂ OCH ₃	477.2
70	4-fluorophenyl	H	Cl	-CH ₂ OCH ₃	459.2
71	3,4-dichlorophenyl	H	Cl	-CH ₂ OCH ₃	511.1*
72	3,5-difluorophenyl	H	Cl	-CH ₂ OCH ₃	509.1
73	3,4-dichlorophenyl	H	Cl	-C(CH ₃) ₃	521.2

74	3,5-difluorophenyl	H	Cl	-C(CH ₃) ₃	521.2
75	3,4-dichlorophenyl	H	Cl	-CH ₃	476.9*
76	4-fluoro-3-(trifluoromethyl)phenyl	H	Cl	-CH ₃	497.2
77	4-fluoro-3-(trifluoromethyl)phenyl	H	F	cyclopropyl	507.2
78	4-fluoro-3-(trifluoromethyl)phenyl	H	F	-CH ₂ CF ₃	549.1
79	3,4-dichlorophenyl	H	F	-CH ₂ CF ₃	531.1
80	3,4-dichlorophenyl	H	F	-CF ₃	517
81	3,5-difluorophenyl	H	Cl	-CH ₂ CH ₃	461.2
82	3,5-difluorophenyl	H	Cl	-CH ₃	447.1*
83	3,5-difluorophenyl	H	F	cyclopropyl	457.2
84	3,5-dichlorophenyl	H	F	1-fluorocyclopropyl	508.1
85	3,4-dichlorophenyl	H	F	1-fluorocyclopropyl	508.1
90	3,4-dichlorophenyl	H	Cl	-COOCH ₃	522.9
91	3,4-difluorophenyl	H	F	cyclopropyl	457.1
92	3,4-difluorophenyl	H	Cl	CH ₂ CH ₃	461.1
93	3,4-difluorophenyl	H	Cl	C(CH ₃) ₃	489.1
94	3,4-difluorophenyl	H	F	1-fluorocyclopropyl	475.1
95	3,4-difluorophenyl	H	Cl	CH ₃	447
96	3,4-difluorophenyl	H	Cl	cyclopropyl	473
97	3-chloro-5-fluorophenyl	H	Cl	CH ₂ CH ₃	477
98	3-chloro-5-fluorophenyl	H	Cl	cyclopropyl	489
99	3-chloro-5-fluorophenyl	H	F	cyclopropyl	473
100	3,4-difluorophenyl	H	Cl	cyclobutyl	487
101	4-fluoro-3-trifluoromethylphenyl	F	F	cyclopropyl	525
102	4-fluoro-3-trifluoromethylphenyl	F	F	1-trifluoromethylcyclopropyl	593
103	4-fluoro-3-trifluoromethylphenyl	F	F	CH ₂ CN	524
104	3,4,5-trifluorophenyl	H	F	cyclopropyl	491.1

105	3,4,5-trifluorophenyl	H	Cl	CH3	465
106	3,4,5-trifluorophenyl	H	F	CH2CF3	517.2
107	3,4,5-trifluorophenyl	H	Cl	cyclobutyl	505.2
108	3,4,5-trifluorophenyl	H	Cl	CH2CH3	479.2
109	3,5-dichlorophenyl	H	Cl	CH2COOCH3	539.1
110	3,4-dichlorophenyl	H	Cl	CH2COOCH3	540
111	3,4,5-trifluorophenyl	H	Cl	CH2COOCH3	525.1
112	4-fluoro-3-trifluoromethylphenyl	H	Cl	CH2COOCH3	557.1
115	3,4-dichlorophenyl	H	Cl	CH2CF3	547
116	4-fluoro-3-trifluoromethylphenyl	H	Cl	CH2CF3	565
117	3-chloro-5-fluorophenyl	H	Cl	CH2CF3	531.1
118	3,4,5-trifluorophenyl	H	Cl	CH2CF3	532.9
119	3-chloro-4-fluorophenyl	H	Cl	CH2CF3	531
120	3,5-dichlorophenyl	H	Br	cyclopropyl	103-107
121	3,5-dichlorophenyl	H	Br	CH3	205-209
124	3,5-dichlorophenyl	H	CH3	CH3	222-226
126	3,4-dichlorophenyl	H	Cl	CH2CH(CH3)2	521
127	3-chloro-5-fluorophenyl	H	Cl	CH2CH(CH3)2	505
128	3-chloro-4-fluorophenyl	H	Cl	CH2CH(CH3)2	505
129	3-chloro-5-fluorophenyl	H	F	CH2CF3	515
130	4-chloro-3-fluorophenyl	H	F	CH2CF3	515.1
131	4-chloro-3-fluorophenyl	H	Cl	CH3	462.9
132	4-chloro-3-fluorophenyl	H	Cl	cyclopropyl	489
133	3-chloro-4-fluorophenyl	H	Br	CH3	183-187
134	3,5-dichlorophenyl	H	CH3	cyclopropyl	226-230
138	3-chloro-4-fluorophenyl	H	Br	cyclopropyl	116-120
139	3-chloro-4-fluorophenyl	H	Me	CH3	104-108

140	4-fluoro-3-trifluoromethylphenyl	F	F	CF ₃	553
141	4-fluoro-3-trifluoromethylphenyl	F	F	CHCN(CH ₃)	538
142	3-chloro-4-fluorophenyl	H	Me	cyclopropyl	98-112
143	3-fluoro-4-trifluoromethylphenyl	H	Cl	cyclopropyl	523
151	3-chloro-4-fluorophenyl	H	F	2-trifluoromethylcyclopropyl	541.1
152	3-chloro-4-fluorophenyl	H	F	CF ₃	501.1
155	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ NHCH ₂ CF ₃	94-98
156	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ NH ₂	76-80
157	4-fluoro-3-trifluoromethylphenyl	H	F	CH(NH ₂)CH ₃	78-82
158	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ NHCOOC(CH ₃) ₃	226-230
159	4-fluoro-3-trifluoromethylphenyl	H	F	CH(CH ₃)NHCOOC(CH ₃) ₃	136-140
160	4-fluoro-3-trifluoromethylphenyl	H	F	CH(CH ₃)NH ₂ HCl	194-198
161	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ NH ₂ HCl	201-205
162	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ SO ₂ CH ₃	181-185
163	4-fluoro-3-trifluoromethylphenyl	H	F	3-methylsulfonylazetidine	221-225
164	3-chloro-4-fluorophenyl	F	F	cyclopropyl	491
165	3-chloro-4-fluorophenyl	F	F	CH ₂ CH ₃	479
166	3-chloro-4-fluorophenyl	F	F	CH ₃	465
167	3-chloro-4-fluorophenyl	F	F	CF ₃	519
168	4-chloro-3,5-difluorophenyl	H	Cl	CF ₃	534.9

169	4-chloro-3,5-difluorophenyl	H	F	CH ₂ CF ₃	533.1
170	3-chloro-4-fluorophenyl	F	F	CH ₂ CF ₃	533
171	4-fluoro-3-trifluoromethylphenyl	H	F	2,2-difluorocyclopropyl	543
172	3-chloro-4-fluorophenyl	H	F	2,2-difluorocyclopropyl	509
173	3-fluoro-5-trifluoromethylphenyl	H	Cl	CF ₃	551.1
174	4-fluoro-3-trifluoromethylphenyl	H	Cl	CF ₃	551.3
175	3,4-dichlorophenyl	H	Cl	CF ₃	535
176	3-chloro-5-fluorophenyl	H	Cl	CF ₃	517
177	3,4,5-trifluorophenyl	H	Cl	CF ₃	519.1
178	3-trifluoromethoxyphenyl	H	Cl	CF ₃	549
179	3-trifluoromethoxyphenyl	H	Cl	cyclopropyl	521.1
180	3-trifluoromethoxyphenyl	H	Cl	CHCF ₃	563.1
181	4-fluoro-3-trifluoromethylphenyl	H	Cl	CH ₂ (2-pyrimidine)	575.3
182	3,4,5-trifluorophenyl	H	Cl	CH ₂ (2-pyrimidine)	543.2
183	3,4-dichlorophenyl	H	Cl	CH ₂ (2-pyrimidine)	557.2
184	4-chloro-3,5-difluorophenyl	H	Cl	CH ₂ (2-pyrimidine)	558.2
185	3-chloro-4-fluorophenyl	H	Cl	CH ₂ (2-pyrimidine)	541
186	3-chloro-4-fluorophenyl	H	F	CF ₂ Cl	96-100
187	3-chloro-4-fluorophenyl	H	F	CF ₂ CF ₂ H	101-105
188	3-chloro-4-fluorophenyl	H	F	CH(Cl)CH ₃	104-107
189	3-chloro-4-fluorophenyl	H	F	CH ₂ Cl	151-155
190	3-chloro-4-fluorophenyl	H	F	CCl ₂ H	166-170
191	4-trifluoromethylphenyl	H	Cl	CH ₂ CH ₃	111-115

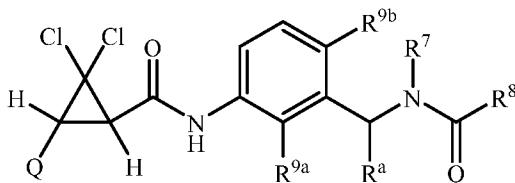
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194	4-trifluoromethylphenyl	H	Cl	CH ₃	175-179
195	3,4,5-trifluorophenyl	H	F	CH ₂ SO ₂ CH ₃	527
196	4-chloro-3,5-difluorophenyl	H	F	CH ₂ SO ₂ CH ₃	542.9
197	3-chloro-4-fluorophenyl	H	F	CH ₂ SO ₂ CH ₃	524.9
198	3,5-bistrifluoromethylphenyl	H	Cl	cyclopropyl	573
199	4-trifluoromethylphenyl	H	Cl	4-fluorophenyl	162-166
200	4-trifluoromethylphenyl	H	Cl	CH ₂ (cyclopropyl)	109-113
201	4-trifluoromethylphenyl	H	Cl	CF ₃	172-176
202	3-trifluoromethylphenyl	H	Cl	CH ₃	106-110
203	3-trifluoromethylphenyl	H	Cl	4-fluorophenyl	158-162
206	4-fluoro-3-trifluorophenyl	F	F	CH ₂ CF ₃	567
207	3-trifluoromethylphenyl	H	Cl	CF ₃	174-177
208	3-trifluoromethylphenyl	H	Cl	CH ₂ CH ₃	167-170
209	3-trifluoromethylphenyl	H	Cl	cyclopropyl	199-203
210	3-trifluoromethylphenyl	H	Cl	CH ₂ (cyclopropyl)	164-167
211	3-trifluoromethylphenyl	H	Cl	CH(CH ₃) ₂	156-159
212	3,5-bistrifluoromethylphenyl	H	Cl	CH ₂ CF ₃	615.2
213	2,4-dichlorophenyl	H	Cl	cyclopropyl	504.9
214	2,4-dichlorophenyl	H	Cl	CH ₂ CF ₃	546.9
215	2,4-dichlorophenyl	H	Cl	CF ₃	533.9
219	3-chloro-4-fluorophenyl	H	F	CH ₂ CF ₃	515

220	3,5-bistrifluoromethylphenyl	H	F	CH ₂ CF ₃	599.1
222	3-trifluoromethylphenyl	H	Cl	CH ₂ CF ₃	206-209
223	4-trifluoromethylphenyl	H	Cl	CH ₂ CF ₃	184-187
224	4-trifluoromethoxyphenyl	H	F	CH ₂ CF ₃	545.2*
225	4-trifluoromethoxyphenyl	H	Cl	cyclopropyl	521.2
226	3-fluoro-4-trifluoromethoxyphenyl	H	Cl	cyclopropyl	539.1
227	3-fluoro-4-trifluoromethoxyphenyl	H	F	CH ₂ CF ₃	565.2
228	2-fluoro-5-trifluoromethylphenyl	H	F	CH ₂ CF ₃	549.2
229	2-fluoro-5-trifluoromethylphenyl	H	F	cyclopropyl	507.2
230	2-fluoro-5-trifluoromethylphenyl	H	Cl	CF ₃	551
232	3,4-dichlorophenyl	H	F	-OCH ₃	480.1
233	3,5-dichlorophenyl	H	F	-OCH ₃	480.1
234	4-fluorophenyl	H	F	-OCH ₃	429.2
243	3-chloro-5-trifluoromethylphenyl	H	Cl	cyclopropyl	539
244	3-chloro-5-trifluoromethylphenyl	H	F	CH ₂ CF ₃	565
245	3-chloro-5-trifluoromethylphenyl	H	F	cyclopropyl	523.1
246	3-chloro-5-trifluoromethylphenyl	H	Cl	CF ₃	566.9

249	3-chloro-5-trifluoromethylphenyl	H	Cl	cyclopropyl	539
250	3-chloro-5-trifluoromethylphenyl	H	F	CH ₂ CF ₃	565
251	3-chloro-5-trifluoromethylphenyl	H	F	cyclopropyl	523.1
252	3-chloro-5-trifluoromethylphenyl	H	Cl	CF ₃	566.9
258	3,5-dichloro-4-fluorophenyl	H	F	CH ₂ CF ₃	549.1
259	3,5-dichloro-4-fluorophenyl	H	Cl	CF ₃	551
260	3-methyl-4-fluorophenyl	H	F	cyclopropyl	453

* designates MS parent - 1

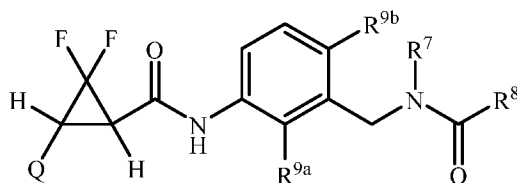
INDEX TABLE B



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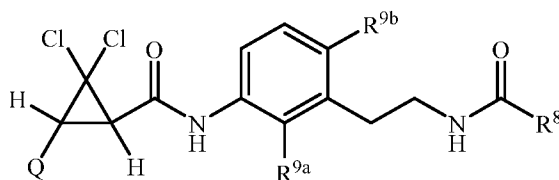
<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R^a</u>	<u>R⁸</u>	<u>R⁷</u>	<u>MS data</u>
86	3,5-dichlorophenyl	H	Cl	-CH ₃	-CH ₂ CH ₃	H	507.1
87	3,5-dichlorophenyl	H	Cl	-CH ₃	cyclopropyl	H	519.1
204	3,5-dichlorophenyl	H	Cl	H	CH ₃	CH ₃	495
205	3,5-dichlorophenyl	H	Cl	H	CH ₂ CH ₃	CH ₃	509
216	3,5-dichlorophenyl	H	Cl	H	cyclopropyl	CH ₃	521
217	3,5-dichlorophenyl	H	Cl	H	4-fluorophenyl	CH ₃	575
218	3,5-dichlorophenyl	H	Cl	H	CF ₃	CH ₃	549

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INDEX TABLE C

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R⁷</u>	<u>R⁸</u>	<u>MP data</u>
30	3,5-dichlorophenyl	H	Cl	Me	1-cyanocyclopropyl	196-200
31	3,5-dichlorophenyl	H	Cl	Me	-CH ₃	235-239
32	3,5-dichlorophenyl	H	Cl	Me	cyclopropyl	151-155
46	3,5-dichlorophenyl	H	Cl	H	1-cyanocyclopropyl	168-172
47	3,5-dichlorophenyl	H	Cl	H	-CH ₃	206-210
48	3,5-dichlorophenyl	H	Cl	H	cyclopropyl	282-286
235	2-thiophene	H	Cl	H	cyclopropyl	193-197
236	3-thiophene	H	Cl	H	cyclopropyl	101-105
237	5-chloro-2-thiophene	H	Cl	H	cyclopropyl	93-97
238	4-chloro-2-thiophene	H	Cl	H	cyclopropyl	102-106

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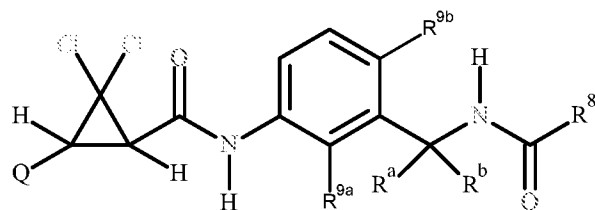
INDEX TABLE D

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R⁸</u>	<u>MS data</u>
88	3,5-dichlorophenyl	H	F	cyclopropyl	503
89	3,4-dichlorophenyl	H	F	cyclopropyl	503.2

10

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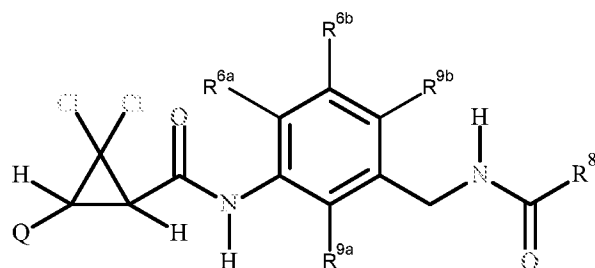
INDEX TABLE E

 R^7 is H

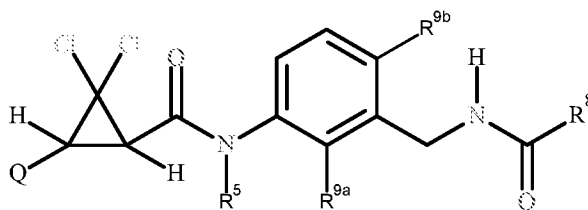
<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R^a</u>	<u>R^b</u>	<u>R⁸</u>	<u>MS data</u>
113	3,5-dichlorophenyl	H	Cl	CH ₃	CH ₃	CH ₂ CH ₃	521.1
114	3,5-dichlorophenyl	H	Cl	CH ₃	CH ₃	cyclopropyl	533

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INDEX TABLE F

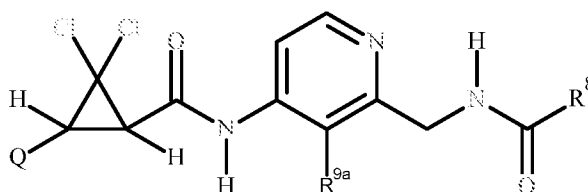
 R^7 is H

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R^{6a}</u>	<u>R^{6b}</u>	<u>R⁸</u>	<u>MP data</u>
122	3,5-dichlorophenyl	H	H	H	Cl	CH ₃	180-184
123	3,5-dichlorophenyl	H	H	H	Cl	cyclopropyl	207-211
125	3,5-dichlorophenyl	H	H	H	Cl	CH(CH ₃) ₂	231-235
135	3,5-dichlorophenyl	H	H	Cl	H	CH ₃	101-105
136	3,5-dichlorophenyl	H	H	Cl	H	CH(CH ₃) ₂	187-191
137	3,5-dichlorophenyl	H	H	Cl	H	cyclopropyl	108-112

INDEX TABLE G

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R^{9b}</u>	<u>R⁸</u>	<u>R⁵</u>	<u>MP data</u>
147	3,5-dichlorophenyl	H	Cl	CH ₂ CH ₃	CH ₃	194-198
148	3,5-dichlorophenyl	H	Cl	cyclopropyl	CH ₃	77-81
149	3-chloro-4-fluorophenyl	H	Cl	CH ₂ CH ₃	CH ₃	183-187
150	3-chloro-4-fluorophenyl	H	Cl	cyclopropyl	CH ₃	95-99
153	3,5-dichlorophenyl	H	F	CH ₂ CH ₃	CH ₃	86-90
154	3-chloro-4-fluorophenyl	H	F	CH ₂ CH ₃	CH ₃	99-103
231	3-trifluoromethylphenyl	H	F	cyclopropyl	CH ₃	61-64
248	4-fluoro-3-trifluoromethylphenyl	H	F	cyclopropyl	CH ₃	122-125
257	4-fluoro-3-trifluoromethylphenyl	H	F	CH ₂ CF ₃	CH ₃	67-70

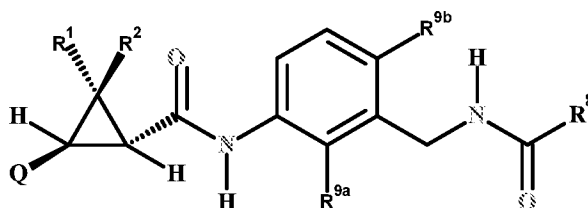
5

INDEX TABLE H

<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R⁸</u>	<u>MS data</u>
144	3,5-dichlorophenyl	H	4-fluorophenyl	526

145	3,5-dichlorophenyl	H	cyclopropyl	472
145	3,5-dichlorophenyl	H	CH ₃	445.9

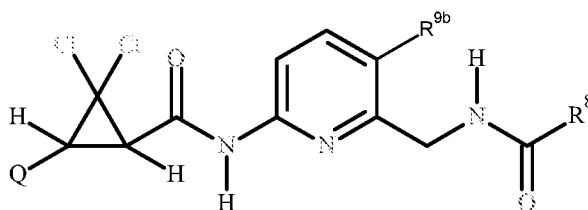
INDEX TABLE I



<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9a}</u>	<u>R⁸</u>	<u>R¹</u>	<u>R²</u>	<u>MS data</u>
239	3,4-dichlorophenyl	H	F	CH ₃	CH ₃	491.2
240	3,4-dichlorophenyl	H	Cl	CH ₃	CH ₃	494.9
241	3,5-dichlorophenyl	H	Cl	CF ₃	H	533.3
242	3,5-dichlorophenyl	H	Cl	H	CF ₃	533.3

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INDEX TABLE J



<u>Cmpd. No.</u>	<u>Q</u>	<u>R^{9b}</u>	<u>R⁸</u>	<u>MP data</u>
247	3-chloro-4-fluorophenyl	Br	CH ₃	170-173
254	3-chloro-4-fluorophenyl	Br	cyclopropyl	152-155
255	3-chloro-4-fluorophenyl	Br	4-fluorophenyl	131-134
256	3-chloro-4-fluorophenyl	Br	CH ₂ CF ₃	184-187

10 A compound of this disclosure will generally be used as an invertebrate pest control 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. 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.

5 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
10 compositions are soluble concentrate, suspension concentrate, capsule suspension, concentrated emulsion, microemulsion, oil in water emulsion, flowable concentrate and suspoemulsion. The general types of nonaqueous liquid compositions are emulsifiable concentrate, microemulsifiable concentrate, dispersible concentrate and oil dispersion.

The general types of solid compositions are dusts, powders, granules, pellets, prills, pastilles, tablets, filled films (including seed coatings) and the like, which can be
15 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
20 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,
25 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
30 of the plant. Liquid and dry formulations can be metered directly into drip irrigation systems or metered into the furrow during planting. Liquid and solid formulations can be applied onto seeds of crops and other desirable vegetation as seed treatments before planting to protect developing roots and other subterranean plant parts and/or foliage through systemic uptake.

The formulations will typically contain effective amounts of active ingredient, diluent
35 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., triethylphosphate), 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, 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 disclosure 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 alkoxyates such as alcohol alkoxyates 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 ethoxyates, alkanolamides and ethoxylated alkanolamides; alkoxyated triglycerides such as ethoxylated soybean, castor and rapeseed oils; alkylphenol alkoxyates such as octylphenol ethoxyates, nonylphenol ethoxyates, dinonyl phenol ethoxyates and dodecyl phenol ethoxyates (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 ethoxyates; 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 ethoxyates;

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

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 formulations are prepared in conventional ways. Compound numbers refer to compounds in the Index Tables. Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present disclosure 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 33	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%

5

Example B

Wettable Powder

compound 38	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example C

Granule

compound 39	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example D

Extruded Pellet

compound 40	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example E

Emulsifiable Concentrate

compound 42	10.0%
polyoxyethylene sorbitol hexoleate	20.0%
C ₆ –C ₁₀ fatty acid methyl ester	70.0%

Example F

Microemulsion

compound 43	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%

90

alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example GSeed Treatment

compound 33	20.00%
polyvinylpyrrolidone-vinyl acetate copolymer	5.00%
montan acid wax	5.00%
calcium ligninsulfonate	1.00%
polyoxyethylene/polyoxypropylene block copolymers	1.00%
stearyl alcohol (POE 20)	2.00%
polyorganosilane	0.20%
colorant red dye	0.05%
water	65.75%

Example HFertilizer Stick

compound 38	2.5%
pyrrolidone-styrene copolymer	4.8%
tristyrylphenyl 16-ethoxylate	2.3%
talc	0.8%
corn starch	5.0%
slow-release fertilizer	36.0%
kaolin	38.0%
water	10.6%

Example ISuspension Concentrate

compound 39	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 JEmulsion in Water

compound 40	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 KOil Dispersion

compound 42	25%
polyoxyethylene sorbitol hexaoleate	15%
organically modified bentonite clay	2.5%
fatty acid methyl ester	57.5%

Example LSuspoemulsion

compound 43	10.0%
imidacloprid	5.0%
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	53.7%

- 5 Compounds of this disclosure exhibit activity against a wide spectrum of invertebrate pests. These pests include invertebrates inhabiting a variety of environments such as, for example, plant foliage, roots, soil, harvested crops or other foodstuffs, building structures or

animal integuments. These pests include, for example, invertebrates feeding on foliage (including leaves, stems, flowers and fruits), seeds, wood, textile fibers or animal blood or tissues, and thereby causing injury or damage to, for example, growing or stored agronomic crops, forests, greenhouse crops, ornamentals, nursery crops, stored foodstuffs or fiber products, or houses or other structures or their contents, or being harmful to animal health or public health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests.

These present compounds and compositions are thus useful agronomically for protecting field crops from phytophagous invertebrate pests, and also nonagronomically for protecting other horticultural crops and plants from phytophagous invertebrate pests. This utility includes protecting crops and other plants (i.e. both agronomic and nonagronomic) that contain genetic material introduced by genetic engineering (i.e. transgenic) or modified by mutagenesis to provide advantageous traits. Examples of such traits include tolerance to herbicides, resistance to phytophagous pests (e.g., insects, mites, aphids, spiders, nematodes, snails, plant-pathogenic fungi, bacteria and viruses), improved plant growth, increased tolerance of adverse growing conditions such as high or low temperatures, low or high soil moisture, and high salinity, increased flowering or fruiting, greater harvest yields, more rapid maturation, higher quality and/or nutritional value of the harvested product, or improved storage or process properties of the harvested products. Transgenic plants can be modified to express multiple traits. Examples of plants containing traits provided by genetic engineering or mutagenesis include varieties of corn, cotton, soybean and potato expressing an insecticidal *Bacillus thuringiensis* toxin such as YIELD GARD[®], KNOCKOUT[®], STARLINK[®], BOLLGARD[®], NuCOTN[®] and NEWLEAF[®], INVICTA RR2 PRO[™], and herbicide-tolerant varieties of corn, cotton, soybean and rapeseed such as ROUNDUP READY[®], LIBERTY LINK[®], IMI[®], STS[®] and CLEARFIELD[®], as well as crops expressing *N*-acetyltransferase (GAT) to provide resistance to glyphosate herbicide, or crops containing the HRA gene providing resistance to herbicides inhibiting acetolactate synthase (ALS). The present compounds and compositions may exhibit enhanced effects with traits introduced by genetic engineering or modified by mutagenesis, thus enhancing phenotypic expression or effectiveness of the traits or increasing the invertebrate pest control effectiveness of the present compounds and compositions. In particular, the present compounds and compositions may exhibit enhanced effects with the phenotypic expression of proteins or other natural products toxic to invertebrate pests to provide greater-than-additive control of these pests.

Compositions of this disclosure can also optionally comprise plant nutrients, e.g., a fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium, magnesium, iron, copper, boron, manganese, zinc,

and molybdenum. Of note are compositions comprising at least one fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium and magnesium. Compositions of the present disclosure which further comprise at least one plant nutrient can be in the form of liquids or solids. Of note are solid formulations in the form of granules, small sticks or tablets. Solid formulations comprising a fertilizer composition can be prepared by mixing the compound or composition of the present disclosure with the fertilizer composition together with formulating ingredients and then preparing the formulation by methods such as granulation or extrusion. Alternatively solid formulations can be prepared by spraying a solution or suspension of a compound or composition of the present disclosure in a volatile solvent onto a previously prepared fertilizer composition in the form of dimensionally stable mixtures, e.g., granules, small sticks or tablets, and then evaporating the solvent.

Nonagronomic uses refer to invertebrate pest control in the areas other than fields of crop plants. Nonagronomic uses of the present compounds and compositions include control of invertebrate pests in stored grains, beans and other foodstuffs, and in textiles such as clothing and carpets. Nonagronomic uses of the present compounds and compositions also include invertebrate pest control in ornamental plants, forests, in yards, along roadsides and railroad rights of way, and on turf such as lawns, golf courses and pastures. Nonagronomic uses of the present compounds and compositions also include invertebrate pest control in houses and other buildings which may be occupied by humans and/or companion, farm, ranch, zoo or other animals. Nonagronomic uses of the present compounds and compositions also include the control of pests such as termites that can damage wood or other structural materials used in buildings.

Nonagronomic uses of the present compounds and compositions also include protecting human and animal health by controlling invertebrate pests that are parasitic or transmit infectious diseases. The controlling of animal parasites includes controlling external parasites that are parasitic to the surface of the body of the host animal (e.g., shoulders, armpits, abdomen, inner part of the thighs) and internal parasites that are parasitic to the inside of the body of the host animal (e.g., stomach, intestine, lung, veins, under the skin, lymphatic tissue). External parasitic or disease transmitting pests include, for example, chiggers, ticks, lice, mosquitoes, flies, mites and fleas. Internal parasites include heartworms, hookworms and helminths. Compounds and compositions of the present disclosure are suitable for systemic and/or non-systemic control of infestation or infection by parasites on animals. Compounds and compositions of the present disclosure are particularly suitable for combating external parasitic or disease transmitting pests. Compounds and compositions of the present disclosure are suitable for combating parasites that infest agricultural working animals, such as cattle,

sheep, goats, horses, pigs, donkeys, camels, buffalos, rabbits, hens, turkeys, ducks, geese and bees; pet animals and domestic animals such as dogs, cats, pet birds and aquarium fish; as well as so-called experimental animals, such as hamsters, guinea pigs, rats and mice. By combating these parasites, fatalities and performance reduction (in terms of meat, milk, wool, skins, eggs, honey, etc.) are reduced, so that applying a composition comprising a compound of the present disclosure allows more economic and simple husbandry of animals.

Examples of agronomic or nonagronomic invertebrate pests include eggs, larvae and adults of the order Lepidoptera, such as armyworms, cutworms, loopers, and heliothines in the family Noctuidae (e.g., pink stem borer (*Sesamia inferens* Walker), corn stalk borer (*Sesamia nonagrioides* Lefebvre), southern armyworm (*Spodoptera eridania* Cramer), fall armyworm (*Spodoptera frugiperda* J. E. Smith), beet armyworm (*Spodoptera exigua* Hübner), cotton leafworm (*Spodoptera littoralis* Boisduval), yellowstriped armyworm (*Spodoptera ornithogalli* Guenée), black cutworm (*Agrotis ipsilon* Hufnagel), velvetbean caterpillar (*Anticarsia gemmatilis* Hübner), green fruitworm (*Lithophane antennata* Walker), cabbage armyworm (*Barathra brassicae* Linnaeus), soybean looper (*Pseudoplusia includens* Walker), cabbage looper (*Trichoplusia ni* Hübner), tobacco budworm (*Heliothis virescens* Fabricius)); borers, casebearers, webworms, coneworms, cabbageworms and skeletonizers from the family Pyralidae (e.g., European corn borer (*Ostrinia nubilalis* Hübner), navel orangeworm (*Amyelois transitella* Walker), corn root webworm (*Crambus caliginosellus* Clemens), sod webworms (Pyralidae: *Crambinae*) such as sod worm (*Herpetogramma licarsisalis* Walker), sugarcane stem borer (*Chilo infuscatellus* Snellen), tomato small borer (*Neoleucinodes elegantalis* Guenée), green leafroller (*Cnaphalocrocis medinalis*), grape leafroller (*Desmia funeralis* Hübner), melon worm (*Diaphania nitidalis* Stoll), cabbage center grub (*Helluala hydralis* Guenée), yellow stem borer (*Scirpophaga incertulas* Walker), early shoot borer (*Scirpophaga infuscatellus* Snellen), white stem borer (*Scirpophaga innotata* Walker), top shoot borer (*Scirpophaga nivella* Fabricius), dark-headed rice borer (*Chilo polychrysus* Meyrick), striped riceborer (*Chilo suppressalis* Walker), cabbage cluster caterpillar (*Crocidolomia binotalis* English)); leafrollers, budworms, seed worms, and fruit worms in the family Tortricidae (e.g., codling moth (*Cydia pomonella* Linnaeus), grape berry moth (*Endopiza viteana* Clemens), oriental fruit moth (*Grapholita molesta* Busck), citrus false codling moth (*Cryptophlebia leucotreta* Meyrick), citrus borer (*Ecdytolopha aurantiana* Lima), redbanded leafroller (*Argyrotaenia velutinana* Walker), obliquebanded leafroller (*Choristoneura rosaceana* Harris), light brown apple moth (*Epiphyas postvittana* Walker), European grape berry moth (*Eupoecilia ambiguella* Hübner), apple bud moth (*Pandemis pyrusana* Kearfott), omnivorous leafroller (*Platynota stultana* Walsingham), barred fruit-tree tortrix (*Pandemis cerasana* Hübner), apple brown tortrix (*Pandemis heparana* Denis &

Schiffermüller)); and many other economically important lepidoptera (e.g., diamondback moth (*Plutella xylostella* Linnaeus), pink bollworm (*Pectinophora gossypiella* Saunders), gypsy moth (*Lymantria dispar* Linnaeus), peach fruit borer (*Carposina niponensis* Walsingham), peach twig borer (*Anarsia lineatella* Zeller), potato tuberworm (*Phthorimaea operculella* Zeller), spotted teniform leafminer (*Lithocolletis blancardella* Fabricius), Asiatic apple leafminer (*Lithocolletis ringoniella* Matsumura), rice leaf folder (*Lerodea eufala* Edwards), apple leafminer (*Leucoptera scitella* Zeller)); eggs, nymphs and adults of the order Blattodea including cockroaches from the families Blattellidae and Blattidae (e.g., oriental cockroach (*Blatta orientalis* Linnaeus), Asian cockroach (*Blattella asahinai* Mizukubo), German cockroach (*Blattella germanica* Linnaeus), brownbanded cockroach (*Supella longipalpa* Fabricius), American cockroach (*Periplaneta americana* Linnaeus), brown cockroach (*Periplaneta brunnea* Burmeister), Madeira cockroach (*Leucophaea maderae* Fabricius)), smoky brown cockroach (*Periplaneta fuliginosa* Service), Australian Cockroach (*Periplaneta australasiae* Fabr.), lobster cockroach (*Nauphoeta cinerea* Olivier) and smooth cockroach (*Symploce pallens* Stephens)); eggs, foliar feeding, fruit feeding, root feeding, seed feeding and vesicular tissue feeding larvae and adults of the order Coleoptera including weevils from the families Anthribidae, Bruchidae, and Curculionidae (e.g., boll weevil (*Anthonomus grandis* Boheman), rice water weevil (*Lissorhoptrus oryzophilus* Kuschel), granary weevil (*Sitophilus granarius* Linnaeus), rice weevil (*Sitophilus oryzae* Linnaeus)), annual bluegrass weevil (*Listronotus maculicollis* Dietz), bluegrass billbug (*Sphenophorus parvulus* Gyllenhal), hunting billbug (*Sphenophorus venatus vestitus*), Denver billbug (*Sphenophorus cicatristriatus* Fahraeus)); flea beetles, cucumber beetles, rootworms, leaf beetles, potato beetles, and leafminers in the family Chrysomelidae (e.g., Colorado potato beetle (*Leptinotarsa decemlineata* Say), western corn rootworm (*Diabrotica virgifera virgifera* LeConte)); chafers and other beetles from the family Scarabaeidae (e.g., Japanese beetle (*Popillia japonica* Newman), oriental beetle (*Anomala orientalis* Waterhouse, *Exomala orientalis* (Waterhouse) Baraud), northern masked chafer (*Cyclocephala borealis* Arrow), southern masked chafer (*Cyclocephala immaculata* Olivier or *C. lurida* Bland), dung beetle and white grub (*Aphodius* spp.), black turfgrass ataenius (*Ataenius spretulus* Haldeman), green June beetle (*Cotinis nitida* Linnaeus), Asiatic garden beetle (*Maladera castanea* Arrow), May/June beetles (*Phyllophaga* spp.) and European chafer (*Rhizotrogus majalis* Razoumowsky)); carpet beetles from the family Dermestidae; wireworms from the family Elateridae; bark beetles from the family Scolytidae and flour beetles from the family Tenebrionidae.

In addition, agronomic and nonagronomic pests include: eggs, adults and larvae of the order Dermaptera including earwigs from the family Forficulidae (e.g., European earwig

(*Forficula auricularia* Linnaeus), black earwig (*Chelisoches morio* Fabricius)); eggs, immatures, adults and nymphs of the order Hemiptera such as, plant bugs from the family Miridae, cicadas from the family Cicadidae, leafhoppers (e.g. *Empoasca* spp.) from the family Cicadellidae, bed bugs (e.g., *Cimex lectularius* Linnaeus) from the family Cimicidae, 5 planthoppers from the families Fulgoridae and Delphacidae, treehoppers from the family Membracidae, psyllids from the families Liviidae, Psyllidae, and Triozidae, whiteflies from the family Aleyrodidae, aphids from the family Aphididae, phylloxera from the family Phylloxeridae, mealybugs from the family Pseudococcidae, scales from the families Coccidae, Diaspididae and Margarodidae, lace bugs from the family Tingidae, stink bugs from the family 10 Pentatomidae, chinch bugs (e.g., hairy chinch bug (*Blissus leucopterus hirtus* Montandon) and southern chinch bug (*Blissus insularis* Barber)) and other seed bugs from the family Lygaeidae, spittlebugs from the family Cercopidae squash bugs from the family Coreidae, and red bugs and cotton stainers from the family Pyrrhocoridae.

Agronomic and nonagronomic pests also include: eggs, larvae, nymphs and adults of the 15 order Acari (mites) such as spider mites and red mites in the family Tetranychidae (e.g., European red mite (*Panonychus ulmi* Koch), two spotted spider mite (*Tetranychus urticae* Koch), McDaniel mite (*Tetranychus mcdanieli* McGregor)); flat mites in the family Tenuipalpidae (e.g., citrus flat mite (*Brevipalpus lewisi* McGregor)); rust and bud mites in the family Eriophyidae and other foliar feeding mites and mites important in human and animal 20 health, i.e. dust mites in the family Epidermoptidae, follicle mites in the family Demodicidae, grain mites in the family Glycyphagidae; ticks in the family Ixodidae, commonly known as hard ticks (e.g., deer tick (*Ixodes scapularis* Say), Australian paralysis tick (*Ixodes holocyclus* Neumann), American dog tick (*Dermacentor variabilis* Say), lone star tick (*Amblyomma americanum* Linnaeus)) and ticks in the family Argasidae, commonly known as soft ticks (e.g., 25 relapsing fever tick (*Ornithodoros turicata*), common fowl tick (*Argas radiatus*)); scab and itch mites in the families Psoroptidae, Pyemotidae, and Sarcoptidae; eggs, adults and immatures of the order Orthoptera including grasshoppers, locusts and crickets (e.g., migratory grasshoppers (e.g., *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), American grasshoppers (e.g., *Schistocerca americana* Drury), desert locust (*Schistocerca gregaria* Forskal), migratory locust (*Locusta migratoria* Linnaeus), bush locust (*Zonocerus* spp.), house cricket (*Acheta domesticus* Linnaeus), mole crickets (e.g., tawny mole cricket (*Scapteriscus vicinus* Scudder) and southern mole cricket (*Scapteriscus borellii* Giglio-Tos)); 30 eggs, adults and immatures of the order Diptera including leafminers (e.g., *Liriomyza* spp. such as serpentine vegetable leafminer (*Liriomyza sativae* Blanchard)), midges, fruit flies (Tephritidae), frit flies (e.g., *Oscinella frit* Linnaeus), soil maggots, house flies (e.g., *Musca domestica* Linnaeus), lesser house flies (e.g., *Fannia canicularis* Linnaeus, *F. femoralis* Stein),

stable flies (e.g., *Stomoxys calcitrans* Linnaeus), face flies, horn flies, blow flies (e.g., *Chrysomya* spp., *Phormia* spp.), and other muscoid fly pests, horse flies (e.g., *Tabanus* spp.), bot flies (e.g., *Gastrophilus* spp., *Oestrus* spp.), cattle grubs (e.g., *Hypoderma* spp.), deer flies (e.g., *Chrysops* spp.), keds (e.g., *Melophagus ovinus* Linnaeus) and other Brachycera, mosquitoes (e.g., *Aedes* spp., *Anopheles* spp., *Culex* spp.), black flies (e.g., *Prosimulium* spp., *Simulium* spp.), biting midges, sand flies, sciarids, and other Nematocera; eggs, adults and immatures of the order Thysanoptera including onion thrips (*Thrips tabaci* Lindeman), flower thrips (*Frankliniella* spp.), and other foliar feeding thrips; insect pests of the order Hymenoptera including ants of the Family Formicidae including the Florida carpenter ant (5 *Camponotus floridanus* Buckley), red carpenter ant (*Camponotus ferrugineus* Fabricius), black carpenter ant (*Camponotus pennsylvanicus* De Geer), white-footed ant (*Technomyrmex albipes* fr. Smith), big headed ants (*Pheidole* sp.), ghost ant (*Tapinoma melanocephalum* Fabricius); Pharaoh ant (*Monomorium pharaonis* Linnaeus), little fire ant (*Wasmannia auropunctata* Roger), fire ant (*Solenopsis geminata* Fabricius), red imported fire ant (15 *Solenopsis invicta* Buren), Argentine ant (*Iridomyrmex humilis* Mayr), crazy ant (*Paratrechina longicornis* Latreille), pavement ant (*Tetramorium caespitum* Linnaeus), cornfield ant (*Lasius alienus* Förster) and odorous house ant (*Tapinoma sessile* Say). Other Hymenoptera including bees (including carpenter bees), hornets, yellow jackets, wasps, and sawflies (*Neodiprion* spp.; *Cephus* spp.); insect pests of the order Isoptera including termites (20 in the Termitidae (e.g., *Macrotermes* sp., *Odontotermes obesus* Rambur), Kalotermitidae (e.g., *Cryptotermes* sp.), and Rhinotermitidae (e.g., *Reticulitermes* sp., *Coptotermes* sp., *Heterotermes tenuis* Hagen) families, the eastern subterranean termite (*Reticulitermes flavipes* Kollar), western subterranean termite (*Reticulitermes hesperus* Banks), Formosan subterranean termite (*Coptotermes formosanus* Shiraki), West Indian drywood termite (25 *Incisitermes immigrans* Snyder), powder post termite (*Cryptotermes brevis* Walker), drywood termite (*Incisitermes snyderi* Light), southeastern subterranean termite (*Reticulitermes virginicus* Banks), western drywood termite (*Incisitermes minor* Hagen), arboreal termites such as *Nasutitermes* sp. and other termites of economic importance; insect pests of the order Thysanura such as silverfish (*Lepisma saccharina* Linnaeus) and firebrat (30 *Thermobia domestica* Packard); insect pests of the order Mallophaga and including the head louse (*Pediculus humanus capitis* De Geer), body louse (*Pediculus humanus* Linnaeus), chicken body louse (*Menacanthus stramineus* Nitzsch), dog biting louse (*Trichodectes canis* De Geer), fluff louse (*Goniocotes gallinae* De Geer), sheep body louse (*Bovicola ovis* Schrank), short-nosed cattle louse (*Haematopinus eurysternus* Nitzsch), long-nosed cattle (35 louse (*Linognathus vituli* Linnaeus) and other sucking and chewing parasitic lice that attack man and animals; insect pests of the order Siphonoptera including the oriental rat flea

(*Xenopsylla cheopis* Rothschild), cat flea (*Ctenocephalides felis* Bouche), dog flea (*Ctenocephalides canis* Curtis), hen flea (*Ceratophyllus gallinae* Schrank), sticktight flea (*Echidnophaga gallinacea* Westwood), human flea (*Pulex irritans* Linnaeus) and other fleas afflicting mammals and birds. Additional arthropod pests covered include: spiders in the order
5 Araneae such as the brown recluse spider (*Loxosceles reclusa* Gertsch & Mulaik) and the black widow spider (*Latrodectus mactans* Fabricius), and centipedes in the order Scutigeraomorpha such as the house centipede (*Scutigera coleoptrata* Linnaeus).

Examples of invertebrate pests of stored grain include larger grain borer (*Prostephanus truncatus*), lesser grain borer (*Rhyzopertha dominica*), rice weevil (*Stiophilus oryzae*), maize
10 weevil (*Stiophilus zeamais*), cowpea weevil (*Callosobruchus maculatus*), red flour beetle (*Tribolium castaneum*), granary weevil (*Stiophilus granarius*), Indian meal moth (*Plodia interpunctella*), Mediterranean flour beetle (*Ephestia kuhniella*) and flat or rusty grain beetle (*Cryptolestis ferrugineus*).

Compounds of the disclosure show particularly high activity against pests in the order
15 Lepidoptera (e.g., *Alabama argillacea* Hübner (cotton leaf worm), *Archips argyrospila* Walker (fruit tree leaf roller), *A. rosana* Linnaeus (European leaf roller) and other *Archips* species, *Chilo suppressalis* Walker (rice stem borer), *Cnaphalocrosis medinalis* Guenée (rice leaf roller), *Crambus caliginosellus* Clemens (corn root webworm), *Crambus teterrellus* Zincken (bluegrass webworm), *Cydia pomonella* Linnaeus (codling moth), *Earias insulana*
20 Boisduval (spiny bollworm), *Earias vittella* Fabricius (spotted bollworm), *Helicoverpa armigera* Hübner (American bollworm), *Helicoverpa zea* Boddie (corn earworm), *Heliothis virescens* Fabricius (tobacco budworm), *Herpetogramma licarsisalis* Walker (sod webworm), *Lobesia botrana* Denis & Schiffermüller (grape berry moth), *Pectinophora gossypiella* Saunders (pink bollworm), *Phyllocnistis citrella* Stainton (citrus leafminer), *Pieris brassicae*
25 Linnaeus (large white butterfly), *Pieris rapae* Linnaeus (small white butterfly), *Plutella xylostella* Linnaeus (diamondback moth), *Spodoptera exigua* Hübner (beet armyworm), *Spodoptera litura* Fabricius (tobacco cutworm, cluster caterpillar), *Spodoptera frugiperda* J. E. Smith (fall armyworm), *Trichoplusia ni* Hübner (cabbage looper) and *Tuta absoluta* Meyrick (tomato leafminer)).

30 Compounds of the disclosure also have significant activity on members from the order Hemiptera including: *Acyrtosiphon pisum* Harris (pea aphid), *Aphis craccivora* Koch (cowpea aphid), *Aphis fabae* Scopoli (black bean aphid), *Aphis gossypii* Glover (cotton aphid, melon aphid), *Aphis pomi* De Geer (apple aphid), *Aphis spiraeicola* Patch (spirea aphid), *Aulacorthum solani* Kaltenbach (foxglove aphid), *Chaetosiphon fragaefolii* Cockerell
35 (strawberry aphid), *Diuraphis noxia* Kurdjumov/Mordvilko (Russian wheat aphid), *Dysaphis plantaginea* Passerini (rosy apple aphid), *Eriosoma lanigerum* Hausmann (woolly apple

aphid), *Hyalopterus pruni* Geoffroy (mealy plum aphid), *Lipaphis pseudobrassicae* Davis (turnip aphid), *Metopolophium dirhodum* Walker (rose-grain aphid), *Macrosiphum euphorbiae* Thomas (potato aphid), *Myzus persicae* Sulzer (peach-potato aphid, green peach aphid), *Nasonovia ribisnigri* Mosley (lettuce aphid), *Pemphigus* spp. (root aphids and gall aphids), *Rhopalosiphum maidis* Fitch (corn leaf aphid), *Rhopalosiphum padi* Linnaeus (bird cherry-oat aphid), *Schizaphis graminum* Rondani (greenbug), *Sitobion avenae* Fabricius (English grain aphid), *Therioaphis maculata* Buckton (spotted alfalfa aphid), *Toxoptera aurantii* Boyer de Fonscolombe (black citrus aphid), and *Toxoptera citricidus* Kirkaldy (brown citrus aphid); *Adelges* spp. (adelgids); *Phylloxera devastatrix* Pergande (pecan phylloxera); *Bemisia tabaci* Gennadius (tobacco whitefly, sweetpotato whitefly), *Bemisia argentifolii* Bellows & Perring (silverleaf whitefly), *Dialeurodes citri* Ashmead (citrus whitefly) and *Trialeurodes vaporariorum* Westwood (greenhouse whitefly); *Empoasca fabae* Harris (potato leafhopper), *Laodelphax striatellus* Fallen (smaller brown planthopper), *Macrostelus quadrilineatus* Forbes (aster leafhopper), *Nephotettix cincticeps* Uhler (green rice leafhopper), *Nephotettix nigropictus* Stål (rice leafhopper), *Nilaparvata lugens* Stål (brown planthopper), *Peregrinus maidis* Ashmead (corn planthopper), *Sogatella furcifera* Horvath (white-backed planthopper), *Tagosodes orizicolus* Muir (rice delphacid), *Typhlocyba pomaria* McAtee (white apple leafhopper), *Erythroneura* spp. (grape leafhoppers); *Magicidada septendecim* Linnaeus (periodical cicada); *Icerya purchasi* Maskell (cottony cushion scale), *Quadraspidotus perniciosus* Comstock (San Jose scale); *Planococcus citri* Risso (citrus mealybug); *Pseudococcus* spp. (other mealybug complex); *Cacopsylla pyricola* Foerster (pear psylla), *Trioza diospyri* Ashmead (persimmon psylla).

Compounds of this disclosure also have activity on members from the order Hemiptera including: *Acrosternum hilare* Say (green stink bug), *Anasa tristis* De Geer (squash bug), *Blissus leucopterus* Say (chinch bug), *Cimex lectularius* Linnaeus (bed bug) *Corythuca gossypii* Fabricius (cotton lace bug), *Cyrtopeltis modesta* Distant (tomato bug), *Dysdercus suturellus* Herrich-Schäffer (cotton stainer), *Euchistus servus* Say (brown stink bug), *Euchistus variolarius* Palisot de Beauvois (one-spotted stink bug), *Graptosthetus* spp. (complex of seed bugs), *Halymorpha halys* Stål (brown marmorated stink bug), *Leptoglossus corculus* Say (leaf-footed pine seed bug), *Lygus lineolaris* Palisot de Beauvois (tarnished plant bug), *Nezara viridula* Linnaeus (southern green stink bug), *Oebalus pugnax* Fabricius (rice stink bug), *Oncopeltus fasciatus* Dallas (large milkweed bug), *Pseudatomoscelis seriatus* Reuter (cotton fleahopper). Other insect orders controlled by compounds of the disclosure include Thysanoptera (e.g., *Frankliniella occidentalis* Pergande (western flower thrips), *Scirtothrips citri* Moulton (citrus thrips), *Sericothrips variabilis* Beach (soybean thrips), and *Thrips tabaci* Lindeman (onion thrips); and the order Coleoptera (e.g., *Leptinotarsa*

decemlineata Say (Colorado potato beetle), *Epilachna varivestis* Mulsant (Mexican bean beetle) and wireworms of the genera *Agriotes*, *Athous* or *Limonius*).

Of note is use of compounds of this disclosure for controlling silverleaf whitefly (*Bemisia argentifolii*). Of note is use of compounds of this disclosure for controlling western
5 flower thrip (*Frankliniella occidentalis*). Of note is use of compounds of this disclosure for controlling potato leafhopper (*Empoasca fabae*). Of note is use of compounds of this disclosure for controlling corn planthopper (*Peregrinus maidis*). Of note is use of compounds of this disclosure for controlling cotton melon aphid (*Aphis gossypii*). Of note is use of
10 compounds of this disclosure for controlling green peach aphid (*Myzus persicae*). Of note is use of compounds of this disclosure for controlling diamondback moth (*Plutella xylostella*). Of note is use of compounds of this disclosure for controlling fall armyworm (*Spodoptera frugiperda*).

Compounds of the present disclosure are also useful for increasing vigor of a crop plant. This method comprises contacting the crop plant (e.g., foliage, flowers, fruit or roots) or the
15 seed from which the crop plant is grown with a compound of Formula 1 in amount sufficient to achieve the desired plant vigor effect (i.e. biologically effective amount). Typically the compound of Formula 1 is applied in a formulated composition. Although the compound of Formula 1 is often applied directly to the crop plant or its seed, it can also be applied to the locus of the crop plant, i.e. the environment of the crop plant, particularly the portion of the
20 environment in close enough proximity to allow the compound of Formula 1 to migrate to the crop plant. The locus relevant to this method most commonly comprises the growth medium (i.e. medium providing nutrients to the plant), typically soil in which the plant is grown. Treatment of a crop plant to increase vigor of the crop plant thus comprises contacting the crop plant, the seed from which the crop plant is grown or the locus of the crop plant with a
25 biologically effective amount of a compound of Formula 1.

Increased crop vigor can result in one or more of the following observed effects: (a) optimal crop establishment as demonstrated by excellent seed germination, crop emergence and crop stand; (b) enhanced crop growth as demonstrated by rapid and robust leaf growth (e.g., measured by leaf area index), plant height, number of tillers (e.g., for rice), root mass
30 and overall dry weight of vegetative mass of the crop; (c) improved crop yields, as demonstrated by time to flowering, duration of flowering, number of flowers, total biomass accumulation (i.e. yield quantity) and/or fruit or grain grade marketability of produce (i.e. yield quality); (d) enhanced ability of the crop to withstand or prevent plant disease infections and arthropod, nematode or mollusk pest infestations; and (e) increased ability of the crop to
35 withstand environmental stresses such as exposure to thermal extremes, suboptimal moisture or phytotoxic chemicals.

The compounds of the present disclosure can increase the vigor of treated plants compared to untreated plants by killing or otherwise preventing feeding of phytophagous invertebrate pests in the environment of the plants. In the absence of such control of phytophagous invertebrate pests, the pests reduce plant vigor by consuming plant tissues or sap, or transmitting plant pathogens such as viruses. Even in the absence of phytophagous invertebrate pests, the compounds of the disclosure may increase plant vigor by modifying metabolism of plants. Generally, the vigor of a crop plant will be most significantly increased by treating the plant with a compound of the disclosure if the plant is grown in a nonideal environment, i.e. an environment comprising one or more aspects adverse to the plant achieving the full genetic potential it would exhibit in an ideal environment.

Compounds of this disclosure can also be mixed with one or more other biologically active compounds or agents including insecticides, fungicides, nematocides, bactericides, acaricides, herbicides, herbicide safeners, growth regulators such as insect molting inhibitors and rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agronomic and nonagronomic utility. Thus the present disclosure also pertains to a composition comprising a biologically effective amount of a compound of Formula 1, at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, and at least one additional biologically active compound or agent. For mixtures of the present disclosure, the other biologically active compounds or agents can be formulated together with the present compounds, including the compounds of Formula 1, to form a premix, or the other biologically active compounds or agents can be formulated separately from the present compounds, including the compounds of Formula 1, and the two formulations combined together before application (e.g., in a spray tank) or, alternatively, applied in succession.

Examples of such biologically active compounds or agents with which compounds of this disclosure can be formulated are insecticides such as abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, acynonapyr, afidopyropen ([*(3S,4R,4aR,6S,6aS,12R,12aS,12bS)*-3-[(cyclopropylcarbonyl)oxy]-1,3,4,4a,5,6,6a,12,12a,12b-decahydro-6,12-dihydroxy-4,6a,12b-trimethyl-11-oxo-9-(3-pyridinyl)-2*H,11H*-naphtho[2,1-*b*]pyrano[3,4-*e*]pyran-4-yl)methyl cyclopropanecarboxylate), amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, benfuracarb, bensultap, benzpyrimoxan, bifenthrin, kappa-bifenthrin, bifenazate, bistrifluron, borate, broflanilide, buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorprallethrin, chlorpyrifos, chlorpyrifos-*e*, chlorpyrifos-methyl, chromafenozide, clofentezin, chlorprallethrin, clothianidin, cyantraniliprole (3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-

[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide), cyclanilprole (3-bromo-*N*-[2-bromo-4-chloro-6-[(1-cyclopropylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-1*H*-pyrazole-5-carboxamide), cycloprothrin, cycloxaprid ((5*S*,8*R*)-1-[(6-chloro-3-pyridinyl)methyl]-2,3,5,6,7,8-hexahydro-9-nitro-5,8-Epoxy-1*H*-imidazo[1,2-*a*]azepine),
5 cyenopyrafen, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalodiamide, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicloromesotiaz, dieldrin, diflubenzuron, dimefluthrin, dimehypo, dimethoate, dimpropyridaz, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, etofenprox, epsilon-metofluthrin,
10 etoxazole, fenbutatin oxide, fenitrothion, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flometoquin (2-ethyl-3,7-dimethyl-6-[4-(trifluoromethoxy)phenoxy]-4-quinolinyl methyl carbonate), flonicamid, fluazaindolizine, flubendiamide, flucythrinate, flufenerim, flufenoxuron, flufenoxystrobin (methyl (αE)-2-[[2-chloro-4-(trifluoromethyl)phenoxy]methyl]- α -(methoxymethylene)benzeneacetate), fluensulfone (5-
15 chloro-2-[(3,4,4-trifluoro-3-buten-1-yl)sulfonyl]thiazole), fluhexafon, fluopyram, flupiprole (1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[(2-methyl-2-propen-1-yl)amino]-4-[(trifluoromethyl)sulfinyl]-1*H*-pyrazole-3-carbonitrile), flupyradifurone (4-[[[(6-chloro-3-pyridinyl)methyl](2,2-difluoroethyl)amino]-2(5*H*)-furanone), flupyrimin, fluvalinate, tau-fluvalinate, fluxametamide, fonophos, formetanate, fosthiazate, gamma-cyhalothrin,
20 halofenozide, heptafluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 2,2-dimethyl-3-[(1*Z*)-3,3,3-trifluoro-1-propen-1-yl]cyclopropanecarboxylate), hexaflumuron, hexythiazox, hydramethylnon, imidacloprid, indoxacarb, insecticidal soaps, isofenphos, isocycloseram, kappa-tefluthrin, lambda-cyhalothrin, lufenuron, malathion, meperfluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl (1*R*,3*S*)-3-(2,2-dichloroethenyl)-2,2-
25 dimethylcyclopropanecarboxylate), metaflumizone, metaldehyde, methamidophos, methidathion, methiocarb, methomyl, methoprene, methoxychlor, metofluthrin, methoxyfenozone, epsilon-metofluthrin, epsilon-momfluorothrin, monocrotophos, monofluorothrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 3-(2-cyano-1-propen-1-yl)-2,2-dimethylcyclopropanecarboxylate), nicotine, nitenpyram, nithiazine,
30 novaluron, noviflumuron, oxamyl, oxazosulfyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, propargite, protrifenbute, pyflubumide (1,3,5-trimethyl-*N*-(2-methyl-1-oxopropyl)-*N*-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1*H*-pyrazole-4-carboxamide), pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriminostrobin (methyl (αE)-2-[[2-[(2,4-dichlorophenyl)amino]-6-(trifluoromethyl)-4-
35 pyrimidinyl]oxy]methyl]- α -(methoxymethylene)benzeneacetate), pyriprole, pyriproxyfen,

rotenone, ryanodine, silafluofen, spinetoram, spinosad, spiroadiclofen, spiromesifen, spiropidion, spirotetramat, sulprofos, sulfoxaflor (*N*-[methyloxy[1-[6-(trifluoromethyl)-3-pyridinyl]ethyl]- λ^4 -sulfanylidene]cyanamide), tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, kappa-tefluthrin, terbufos, tetrachlorantraniliprole, tetrachlorvinphos, tetramethrin, tetramethylfluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 2,2,3,3-tetramethylcyclopropanecarboxylate), tetraniliprole, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tioazafen (3-phenyl-5-(2-thienyl)-1,2,4-oxadiazole), tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumezopyrim (2,4-dioxo-1-(5-pyrimidinylmethyl)-3-[3-(trifluoromethyl)phenyl]-2*H*-pyrido[1,2-*a*]pyrimidinium inner salt), triflumuron, tyclopyrazoflor, zeta-cypermethrin, *Bacillus thuringiensis* delta-endotoxins, entomopathogenic bacteria, entomopathogenic viruses or entomopathogenic fungi.

Of note are insecticides such as abamectin, acetamiprid, acrinathrin, acynonapyr, afidopyropen, amitraz, avermectin, azadirachtin, benfuracarb, bensultap, bifenthrin, buprofezin, broflanilide, cadusafos, carbaryl, cartap, chlorantraniliprole, chloroprallethrin, chlorfenapyr, chlorpyrifos, clothianidin, cyantraniliprole, cyclaniliprole, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, epsilon-metofluthrin, esfenvalerate, ethiprole, etofenprox, etoxazole, fenitrothion, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flometoquin, fluxametamide, flonicamid, flubendiamide, fluensulfone, flufenoxuron, flufenoxystrobin, flufensulfone, flupiprole, flupyrimin, flupyradifurone, fluvalinate, formetanate, fosthiazate, gamma-cyhalothrin, heptafluthrin, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, isocycloseram, kappa-tefluthrin, lambda-cyhalothrin, lufenuron, meperfluthrin, metaflumizone, methiodicarb, methomyl, methoprene, methoxyfenozide, metofluthrin, monofluorothrin, nitenpyram, nithiazine, novaluron, oxamyl, pyflubumide, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriminostrobin, pyriproxyfen, ryanodine, spinetoram, spinosad, spiroadiclofen, spiromesifen, spirotetramat, sulfoxaflor, tebufenozide, tetramethrin, tetramethylfluthrin, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumezopyrim, triflumuron, tyclopyrazoflor, zeta-cypermethrin, *Bacillus thuringiensis* delta-endotoxins, all strains of *Bacillus thuringiensis* and all strains of nucleopolyhedrosis viruses.

One embodiment of biological agents for mixing with compounds of this disclosure include entomopathogenic bacteria such as *Bacillus thuringiensis*, and the encapsulated delta-endotoxins of *Bacillus thuringiensis* such as MVP[®] and MVPII[®] bioinsecticides prepared by the CellCap[®] process (CellCap[®], MVP[®] and MVPII[®] are trademarks of Mycogen Corporation, Indianapolis, Indiana, USA); entomopathogenic fungi such as green muscardine

fungus; and entomopathogenic (both naturally occurring and genetically modified) viruses including baculovirus, nucleopolyhedro virus (NPV) such as *Helicoverpa zea* nucleopolyhedrovirus (HzNPV), *Anagrapha falcifera* nucleopolyhedrovirus (AfNPV); and granulosis virus (GV) such as *Cydia pomonella* granulosis virus (CpGV).

5 One embodiment of biological agents for mixing with compounds of this disclosure include one or a combination of (i) a bacterium of the genus *Actinomyces*, *Agrobacterium*, *Arthrobacter*, *Alcaligenes*, *Aureobacterium*, *Azobacter*, *Bacillus*, *Beijerinckia*, *Bradyrhizobium*, *Brevibacillus*, *Burkholderia*, *Chromobacterium*, *Clostridium*, *Clavibacter*, *Comamonas*, *Corynebacterium*, *Curtobacterium*, *Enterobacter*, *Flavobacterium*,
10 *Gluconobacter*, *Hydrogenophaga*, *Klebsiella*, *Methylobacterium*, *Paenibacillus*, *Pasteuria*, *Photorhabdus*, *Phyllobacterium*, *Pseudomonas*, *Rhizobium*, *Serratia*, *Sphingobacterium*, *Stenotrophomonas*, *Streptomyces*, *Variovorax*, or *Xenorhabdus*, for example a bacterium of *Bacillus amyloliquefaciens*, *Bacillus cereus*, *Bacillus firmus*, *Bacillus licheniformis*, *Bacillus pumilus*, *Bacillus sphaericus*, *Bacillus subtilis*, *Bacillus thuringiensis*, *Bradyrhizobium*
15 *japonicum*, *Chromobacterium subtsugae*, *Pasteuria nishizawae*, *Pasteuria penetrans*, *Pasteuria usage*, *Pseudomonas fluorescens*, and *Streptomyces lydicus*; (ii) a fungus such as green muscardine fungus; (iii) a virus including baculovirus, nucleopolyhedro virus such as *Helicoverpa zea* nucleopolyhedrovirus, *Anagrapha falcifera* nucleopolyhedrovirus; granulosis virus such as *Cydia pomonella* granulosis virus.

20 Of particular note is such a combination where the other invertebrate pest control active ingredient belongs to a different chemical class or has a different site of action than compounds of Formula I or Formula II. In certain instances, a combination with at least one other invertebrate pest control active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a
25 composition of the present disclosure can further comprise a biologically effective amount of at least one additional invertebrate pest control active ingredient having a similar spectrum of control but belonging to a different chemical class or having a different site of action. These additional biologically active compounds or agents include, but are not limited to, acetylcholinesterase (AChE) inhibitors such as the carbamates methomyl, oxamyl, thiodicarb, triazamate, and the organophosphates chlorpyrifos; GABA-gated chloride channel
30 antagonists such as the cyclodienes dieldrin and endosulfan, and the phenylpyrazoles ethiprole and fipronil; sodium channel modulators such as the pyrethroids bifenthrin, cyfluthrin, *beta*-cyfluthrin, cyhalothrin, *lambda*-cyhalothrin, cypermethrin, deltamethrin, dimefluthrin, esfenvaterate, metofluthrin and profluthrin; nicotinic acetylcholinereceptor (nAChR) agonists
35 such as the neonicotinoids acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, nithiazine, thiacloprid, and thiamethoxam, and sulfoxaflor; nicotinic acetylcholine receptor

(nAChR) allosteric activators such as the spinosyns spinetoram and spinosad; chloride channel activators such as the avermectins abamectin and emamectin; juvenile hormone mimics such as diofenolan, methoprene, fenoxycarb and pyriproxyfen; selective homopteran feeding blockers such as pymetrozine and flonicamid; mite growth inhibitors such as etoxazole; inhibitors of mitochondrial ATP synthase such as propargite; uncouplers of oxidative phosphorylation via disruption of the proton gradient such as chlorfenapyr; nicotinic acetylcholine receptor (nAChR) channel blockers such as the nereistoxin analogs cartap; inhibitors of chitin biosynthesis such as the benzoylureas flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron and triflumuron, and buprofezin; dipteran moulting disrupters such as cyromazine; ecdysone receptor agonists such as the diacylhydrazines methoxyfenozide and tebufenozide; octopamine receptor agonists such as amitraz; mitochondrial complex III electron transport inhibitors such as hydramethylnon; mitochondrial complex I electron transport inhibitors such as pyridaben; voltage-dependent sodium channel blockers such as indoxacarb; inhibitors of acetyl CoA carboxylase such as the tetrionic and tetramic acids spirodiclofen, spiromesifen and spirotetramat; mitochondrial complex II electron transport inhibitors such as the β -ketonitriles cyenopyrafen and cyflumetofen; ryanidine receptor modulators such as the anthranilic diamides chlorantraniliprole, cyantraniliprole and cyantraniliprole, diamides such as flubendiamide, diamides such as bromoantraniliprole, dichlorantraniliprole, and ryanodine receptor ligands such as ryanodine; compounds wherein the target site responsible for biological activity is unknown or uncharacterized such as azadirachtin, bifenazate, pyridalyl, pyrifluquinazon and triflumezopyrim; microbial disrupters of insect midgut membranes such as *Bacillus thuringiensis* and the delta-endotoxins they produce and *Bacillus sphaericus*; and biological agents including nucleopolyhedroviruses (NPV) and other naturally occurring or genetically modified insecticidal viruses.

Further examples of biologically active compounds or agents with which compounds of this disclosure can be formulated are: fungicides such as acibenzolar-S-methyl, aldimorph, ametoctradin, aminopyrifin, amisulbrom, anilazine, azaconazole, azoxystrobin, benalaxyl (including benalaxyl-M), benodanil, benomyl, bentiavalicarb (including bentiavalicarb-isopropyl), benzovindiflupyr, bethoxazin, binapacryl, biphenyl, bitertanol, bixafen, blasticidin-S, boscalid, bromuconazole, bupirimate, buthiobate, carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolinate, copper hydroxide, copper oxychloride, copper sulfate, coumoxystrobin, cyazofamid, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, dichlobentiaxox, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, diflumetorim, dimethirimol, dimethomorph, dimoxystrobin, diniconazole (including diniconazole-M), dinocap, dipymetitrone, dithianon, dithiolanes,

dodemorph, dodine, econazole, etaconazole, edifenphos, enoxastrobin (also known as enestroburin), epoxiconazole, ethaboxam, ethirimol, etridiazole, famoxadone, fenamidone, fenaminstrobin, fenarimol, fenbuconazole, fenfuram, fenhexamide, fenoxanil, fempiclonil, fempicoxamid, fenpropidin, fenpropimorph, fenpyrazamine, fentin acetate, fentin hydroxide, 5 ferbam, ferimzone, flometoquin, florylpicoxamid, fluopimomide, fluazinam, fludioxonil, flufenoxystrobin, fluindapyr, flumorph, fluopicolide, fluopyram, fluoxapiprolin, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutianil, flutolanil, flutriafol, fluxapyroxad, folpet, fthalide (also known as phthalide), fuberidazole, furalaxyl, furametpyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine albesilate, 10 iminoctadine triacetate, inpyrfluxam, iodcarb, ipconazole, ipfentrifluconazole, ipflufenquin, isofetamid, iprobenfos, iprodione, iprovalicarb, isoflucypram, isoprothiolane, isopyrazam, isotianil, kasugamycin, kresoxim-methyl, lancotrione, mancozeb, mandipropamid, mandestrobin, maneb, mapanipyrin, mefentrifluconazole, mepronil, meptyldinocap, metalaxyl (including metalaxyl-M/mefenoxam), metconazole, methasulfocarb, metiram, 15 metominostrobin, metyltetraprole, metrafenone, myclobutanil, naftitine, neo-asozin (ferric methanearsonate), nuarimol, octhilonone, ofurace, oryastrobin, oxadixyl, oxathiapiprolin, oxolinic acid, oxpoconazole, oxycarboxin, oxytetracycline, penconazole, pencycuron, penflufen, penhiopyrad, perfurazoate, phosphorous acid (including salts thereof, e.g., fosetyl-aluminm), picoxystrobin, piperalin, polyoxin, probenazole, prochloraz, procymidone, 20 propamocarb, propiconazole, propineb, proquinazid, prothiocarb, prothioconazole, pydiflumetofen (Adepidyn®), pyraclostrobin, pyrametostrobin, pyrapropoyne, pyraoxystrobin, pyraziflumid, pyrazophos, pyribencarb, pyributacarb, pyridachlometyl, pyrifenox, pyriofenone, perisoxazole, pyrimethanil, pyrifenox, pyrrolnitrin, pyroquilon, quinconazole, quinmethionate, quinofumelin, quinoxyfen, quintozone, silthiofam, sedaxane, 25 simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, tebufloquin, teclofthalam, tecloftalam, tecnazene, terbinafine, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolprocarb, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tribasic copper sulfate, triclopyricarb, tridemorph, trifloxystrobin, triflumizole, trimoprhamide, tricyclazole, trifloxystrobin, triforine, 30 triticonazole, uniconazole, validamycin, valifenalate (also known as valifenal), vinclozolin, zineb, ziram, zoxamide and 1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]ethanone; nematocides such as fluopyram, spirotetramat, thiodicarb, fosthiazate, abamectin, iprodione, fluensulfone, dimethyl disulfide, tioazafen, 1,3-dichloropropene (1,3-D), metam (sodium and 35 potassium), dazomet, chloropicrin, fenamiphos, ethoprophos, cadusaphos, terbufos, imicyafos, oxamyl, carbofuran, tioazafen, *Bacillus firmus* and *Pasteuria nishizawae*;

bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad.

In certain instances, combinations of a compound of this disclosure with other
5 biologically active (particularly invertebrate pest control) compounds or agents (i.e. active ingredients) can result in a greater-than-additive (i.e. synergistic) effect. Reducing the quantity of active ingredients released in the environment while ensuring effective pest control is always desirable. When synergism of invertebrate pest control active ingredients occurs at application rates giving agronomically satisfactory levels of invertebrate pest control, such
10 combinations can be advantageous for reducing crop production cost and decreasing environmental load.

Compounds of this disclosure and compositions thereof can be applied to plants genetically transformed to express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* delta-endotoxins). Such an application may provide a broader spectrum of plant
15 protection and be advantageous for resistance management. The effect of the exogenously applied invertebrate pest control compounds of this disclosure may be synergistic with the expressed toxin proteins.

General references for these agricultural protectants (i.e. insecticides, fungicides, nematocides, acaricides, herbicides and biological agents) include *The Pesticide Manual, 13th*
20 *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.

Invertebrate pests are controlled in agronomic and nonagronomic applications by applying one or more compounds of this disclosure, typically in the form of a composition, in
25 a biologically effective amount, to the environment of the pests, including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled.

Thus the present disclosure comprises a method for controlling an invertebrate pest in agronomic and/or nonagronomic applications, comprising contacting the invertebrate pest or
30 its environment with a biologically effective amount of one or more of the compounds of the disclosure, or with a composition comprising at least one such compound or a composition comprising at least one such compound and a biologically effective amount of at least one additional biologically active compound or agent. Examples of suitable compositions comprising a compound of the disclosure and a biologically effective amount of at least one
35 additional biologically active compound or agent include granular compositions wherein the

additional active compound is present on the same granule as the compound of the disclosure or on granules separate from those of the compound of the disclosure.

To achieve contact with a compound or composition of the disclosure to protect a field crop from invertebrate pests, the compound or composition is typically applied to the seed of the crop before planting, to the foliage (e.g., leaves, stems, flowers, fruits) of crop plants, or to the soil or other growth medium before or after the crop is planted.

One embodiment of a method of contact is by spraying. Alternatively, a granular composition comprising a compound of the disclosure can be applied to the plant foliage or the soil. Compounds of this disclosure can also be effectively delivered through plant uptake by contacting the plant with a composition comprising a compound of this disclosure applied as a soil drench of a liquid formulation, a granular formulation to the soil, a nursery box treatment or a dip of transplants. Of note is a composition of the present disclosure in the form of a soil drench liquid formulation. Also of note is a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of the present disclosure or with a composition comprising a biologically effective amount of a compound of the present disclosure. Of further note is this method wherein the environment is soil and the composition is applied to the soil as a soil drench formulation. Of further note is that compounds of this disclosure are also effective by localized application to the locus of infestation. Other methods of contact include application of a compound or a composition of the disclosure by direct and residual sprays, aerial sprays, gels, seed coatings, microencapsulations, systemic uptake, baits, ear tags, boluses, foggers, fumigants, aerosols, dusts and many others. One embodiment of a method of contact is a dimensionally stable fertilizer granule, stick or tablet comprising a compound or composition of the disclosure. The compounds of this disclosure can also be impregnated into materials for fabricating invertebrate control devices (e.g., insect netting).

Compounds of the disclosure are useful in treating all plants, plant parts and seeds. Plant and seed varieties and cultivars can be obtained by conventional propagation and breeding methods or by genetic engineering methods. Genetically modified plants or seeds (transgenic plants or seeds) are those in which a heterologous gene (transgene) has been stably integrated into the plant's or seed'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 and seed cultivars which can be treated according to the disclosure 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 and seeds can be

genetically modified to exhibit traits of, for example, herbicide tolerance, insect-resistance, modified oil profiles or drought tolerance.

Treatment of genetically modified plants and seeds with compounds of the disclosure may result in enhanced effects. For example, reduction in application rates, broadening of the activity spectrum, increased tolerance to biotic/abiotic stresses or enhanced storage stability may be greater than expected from just simple additive effects of the application of compounds of the disclosure on genetically modified plants and seeds.

Compounds of this disclosure are also useful in seed treatments for protecting seeds from invertebrate pests. In the context of the present disclosure and claims, treating a seed means contacting the seed with a biologically effective amount of a compound of this disclosure, which is typically formulated as a composition of the disclosure. This seed treatment protects the seed from invertebrate soil pests and generally can also protect roots and other plant parts in contact with the soil of the seedling developing from the germinating seed. The seed treatment may also provide protection of foliage by translocation of the compound of this disclosure or a second active ingredient within the developing plant. Seed treatments can be applied to all types of seeds, including those from which plants genetically transformed to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* toxin or those expressing herbicide resistance such as glyphosate acetyltransferase, which provides resistance to glyphosate. Seed treatments with compounds of this disclosure can also increase vigor of plants growing from the treated seed.

One method of seed treatment is by spraying or dusting the seed with a compound of the disclosure (i.e. as a formulated composition) before sowing the seeds. Compositions formulated for seed treatment generally comprise a film former or adhesive agent. Therefore typically a seed coating composition of the present disclosure comprises a biologically effective amount of a compound of Formula 1, an *N*-oxide or salt thereof, and a film former or adhesive agent. Seed can be coated by spraying a flowable suspension concentrate directly into a tumbling bed of seeds and then drying the seeds. Alternatively, other formulation types such as wetted powders, solutions, suspoemulsions, emulsifiable concentrates and emulsions in water can be sprayed on the seed. This process is particularly useful for applying film coatings on seeds. Various coating machines and processes are available to one skilled in the art. Suitable processes include those listed in P. Kusters et al., *Seed Treatment: Progress and Prospects*, 1994 BCPC Monograph No. 57, and references listed therein.

Compounds of Formula 1 and their compositions, both alone and in combination with other insecticides and fungicides, are particularly useful in seed treatment for crops including,

but not limited to, maize or corn, soybeans, cotton, cereal (e.g., wheat, oats, barley, rye and rice), potatoes, vegetables and oilseed rape.

Other insecticides with which compounds of Formula 1 can be formulated to provide mixtures useful in seed treatment include abamectin, acetamiprid, acrinathrin, amitraz, avermectin, azadirachtin, bensultap, bifenthrin, buprofezin, carbaryl, carbofuran, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyantraniliprole, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etofenprox, etoxazole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, fluvalinate, formetanate, fosthiazate, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methiocarb, methomyl, methoprene, methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spirotetramat, sulfoxaflor, tebufenozide, tetramethrin, thiocloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* delta-endotoxins, all strains of *Bacillus thuringiensis* and all strains of nuclear polyhedrosis viruses.

Fungicides with which compounds of Formula 1 can be formulated to provide mixtures useful in seed treatment include amisulbrom, azoxystrobin, boscalid, carbendazim, carboxin, cymoxanil, cyproconazole, difenoconazole, dimethomorph, fluazinam, fludioxonil, fluquinconazole, fluopicolide, fluoxastrobin, flutriafol, fluxapyroxad, ipconazole, iprodione, metalaxyl, mefenoxam, metconazole, myclobutanil, paclobutrazole, penflufen, picoxystrobin, prothioconazole, pyraclostrobin, sedaxane, silthiofam, tebuconazole, thiabendazole, thiophanate-methyl, thiram, trifloxystrobin and triticonazole.

Compositions comprising compounds of Formula 1 useful for seed treatment can further comprise bacteria and fungi that have the ability to provide protection from the harmful effects of plant pathogenic fungi or bacteria and/or soil born animals such as nematodes. Bacteria exhibiting nematicidal properties may include but are not limited to *Bacillus firmus*, *Bacillus cereus*, *Bacillus subtilis* and *Pasteuria penetrans*. A suitable *Bacillus firmus* strain is strain CNCM I-1582 (GB-126) which is commercially available as BioNem™. A suitable *Bacillus cereus* strain is strain NCMM I-1592. Both *Bacillus* strains are disclosed in US 6,406,690. Other suitable bacteria exhibiting nematicidal activity are *B. amyloliquefaciens* IN937a and *B. subtilis* strain GB03. Bacteria exhibiting fungicidal properties may include but are not limited to *B. pumilus* strain GB34. Fungal species exhibiting nematicidal properties may include but are not limited to *Myrothecium verrucaria*, *Paecilomyces lilacinus* and *Purpureocillium lilacinum*.

Seed treatments can also include one or more nematicidal agents of natural origin such as the elicitor protein called harpin which is isolated from certain bacterial plant pathogens such as *Erwinia amylovora*. An example is the Harpin-N-Tek seed treatment technology available as N-Hibit™ Gold CST.

5 Seed treatments can also include one or more species of legume-root nodulating bacteria such as the microsymbiotic nitrogen-fixing bacteria *Bradyrhizobium japonicum*. These inoculants can optionally include one or more lipo-chitooligosaccharides (LCOs), which are nodulation (Nod) factors produced by rhizobia bacteria during the initiation of nodule formation on the roots of legumes. For example, the Optimize® brand seed treatment
10 technology incorporates LCO Promoter Technology™ in combination with an inoculant.

Seed treatments can also include one or more isoflavones which can increase the level of root colonization by mycorrhizal fungi. Mycorrhizal fungi improve plant growth by enhancing the root uptake of nutrients such as water, sulfates, nitrates, phosphates and metals. Examples of isoflavones include, but are not limited to, genistein, biochanin
15 A, formononetin, daidzein, glycitein, hesperetin, naringenin and pratensein. Formononetin is available as an active ingredient in mycorrhizal inoculant products such as PHC Colonize® AG.

Seed treatments can also include one or more plant activators that induce systemic acquired resistance in plants following contact by a pathogen. An example of a plant activator
20 which induces such protective mechanisms is acibenzolar-*S*-methyl.

The treated seed typically comprises a compound of the present disclosure in an amount from about 0.1 g to 1 kg per 100 kg of seed (i.e. from about 0.0001 to 1% by weight of the seed before treatment). A flowable suspension formulated for seed treatment typically
25 comprises from about 0.5 to about 70% of the active ingredient, from about 0.5 to about 30% of a film-forming adhesive, from about 0.5 to about 20% of a dispersing agent, from 0 to about 5% of a thickener, from 0 to about 5% of a pigment and/or dye, from 0 to about 2% of an antifoaming agent, from 0 to about 1% of a preservative, and from 0 to about 75% of a volatile liquid diluent.

The compounds of this disclosure can be incorporated into a bait composition that is
30 consumed by an invertebrate pest or used within a device such as a trap, bait station, and the like. Such a bait composition can be in the form of granules which comprise (a) active ingredients, namely a biologically effective amount of a compound of Formula 1, an *N*-oxide, or salt thereof; (b) one or more food materials; optionally (c) an attractant, and optionally (d) one or more humectants. Of note are granules or bait compositions which comprise between
35 about 0.001-5% active ingredients, about 40-99% food material and/or attractant; and optionally about 0.05-10% humectants, which are effective in controlling soil invertebrate

pests at very low application rates, particularly at doses of active ingredient that are lethal by ingestion rather than by direct contact. Some food materials can function both as a food source and an attractant. Food materials include carbohydrates, proteins and lipids. Examples of food materials are vegetable flour, sugar, starches, animal fat, vegetable oil, yeast extracts and milk solids. Examples of attractants are odorants and flavorants, such as fruit or plant extracts, perfume, or other animal or plant component, pheromones or other agents known to attract a target invertebrate pest. Examples of humectants, i.e. moisture retaining agents, are glycols and other polyols, glycerine and sorbitol. Of note is a bait composition (and a method utilizing such a bait composition) used to control at least one invertebrate pest selected from the group consisting of ants, termites and cockroaches. A device for controlling an invertebrate pest can comprise the present bait composition and a housing adapted to receive the bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to the bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

The compounds of this disclosure can be applied without other adjuvants, but most often application will be of a formulation comprising one or more active ingredients with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. One method of application involves spraying a water dispersion or refined oil solution of a compound of the present disclosure. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and piperonyl butoxide often enhance compound efficacy. For nonagronomic uses such sprays can be applied from spray containers such as a can, a bottle or other container, either by means of a pump or by releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further comprise propellants, foaming agents, etc. as the case may be. Of note is a spray composition comprising a biologically effective amount of a compound or a composition of the present disclosure and a carrier. One embodiment of such a spray composition comprises a biologically effective amount of a compound or a composition of the present disclosure and a propellant. Representative propellants include, but are not limited to, methane, ethane, propane, butane, isobutane, butene, pentane, isopentane, neopentane, pentene, hydrofluorocarbons, chlorofluorocarbons, dimethyl ether, and mixtures of the foregoing. Of note is a spray composition (and a method utilizing such a spray composition dispensed from a spray container) used to control at least one invertebrate pest selected from the group consisting of mosquitoes, black flies, stable flies, deer flies, horse flies, wasps,

yellow jackets, hornets, ticks, spiders, ants, gnats, and the like, including individually or in combinations.

One embodiment of the present disclosure relates to a method for controlling invertebrate pests, comprising diluting the pesticidal composition of the present disclosure (a
5 compound of Formula **1** formulated with surfactants, solid diluents and liquid diluents or a formulated mixture of a compound of Formula **1** and at least one other pesticide) with water, and optionally adding an adjuvant to form a diluted composition, and contacting the invertebrate pest or its environment with an effective amount of said diluted composition.

Although a spray composition formed by diluting with water a sufficient concentration
10 of the present pesticidal composition can provide sufficient efficacy for controlling invertebrate pests, separately formulated adjuvant products can also be added to spray tank mixtures. These additional adjuvants are commonly known as “spray adjuvants” or “tank-mix adjuvants”, and include any substance mixed in a spray tank to improve the performance of a pesticide or alter the physical properties of the spray mixture. Adjuvants can be surfactants,
15 emulsifying agents, petroleum-based crop oils, crop-derived seed oils, acidifiers, buffers, thickeners or defoaming agents. Adjuvants are used to enhancing efficacy (e.g., biological availability, adhesion, penetration, uniformity of coverage and durability of protection), or minimizing or eliminating spray application problems associated with incompatibility, foaming, drift, evaporation, volatilization and degradation. To obtain optimal performance,
20 adjuvants are selected with regard to the properties of the active ingredient, formulation and target (e.g., crops, insect pests).

Among the spray adjuvants, oils including crop oils, crop oil concentrates, vegetable oil concentrates and methylated seed oil concentrates are most commonly used to improve the efficacy of pesticides, possibly by means of promoting more even and uniform spray deposits.
25 In situations where phytotoxicity potentially caused by oils or other water-immiscible liquids are of concern, spray compositions prepared from the composition of the present disclosure will generally not contain oil-based spray adjuvants. However, in situations where phytotoxicity caused by oil-based spray adjuvants is commercially insignificant, spray compositions prepared from the composition of the present composition can also contain oil-
30 based spray adjuvants, which can potentially further increase control of invertebrate pests, as well as rainfastness.

Products identified as “crop oil” typically contain 95 to 98% paraffin or naphtha-based petroleum oil and 1 to 2% of one or more surfactants functioning as emulsifiers. Products identified as “crop oil concentrates” typically consist of 80 to 85% of emulsifiable petroleum-
35 based oil and 15 to 20% of nonionic surfactants. Products correctly identified as “vegetable oil concentrates” typically consist of 80 to 85% of vegetable oil (i.e. seed or fruit oil, most

commonly from cotton, linseed, soybean or sunflower) and 15 to 20% of nonionic surfactants. Adjuvant performance can be improved by replacing the vegetable oil with methyl esters of fatty acids that are typically derived from vegetable oils. Examples of methylated seed oil concentrates include MSO[®] Concentrate (UAP-Loveland Products, Inc.) and Premium MSO Methylated Spray Oil (Helena Chemical Company).

The amount of adjuvants added to spray mixtures generally does not exceed about 2.5% by volume, and more typically the amount is from about 0.1 to about 1% by volume. The application rates of adjuvants added to spray mixtures are typically between about 1 to 5 L per hectare. Representative examples of spray adjuvants include: Adigor[®] (Syngenta) 47% methylated rapeseed oil in liquid hydrocarbons, Silwet[®] (Helena Chemical Company) polyalkyleneoxide modified heptamethyltrisiloxane and Assist[®] (BASF) 17% surfactant blend in 83% paraffin based mineral oil.

The following Tests demonstrate the control efficacy of compounds of this disclosure on specific pests. “Control efficacy” represents inhibition of invertebrate pest development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-D for compound descriptions.

BIOLOGICAL EXAMPLES OF THE DISCLOSURE

Formulation and Spray Methodology for Tests A-H

Test compounds were formulated using a solution containing 10% acetone, 90% water and 300 ppm Activator 90[®] non-ionic surfactant (Loveland Products, Loveland, Colorado, USA). The formulated compounds were applied in 1 mL of liquid through an atomizer nozzle positioned 1.27 cm (0.5 inches) above the top of each test unit. Test compounds were sprayed at the rates indicated, and each test was replicated three times.

Test A

For evaluating control of diamondback moth (*Plutella xylostella* (L.)) the test unit consisted of a small open container with a 12–14-day-old mustard plant inside. This was pre-infested with ~50 neonate larvae that were dispensed into the test unit via corn cob grits using an inoculator. The larvae moved onto the test plant after being dispensed into the test unit.

Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying of the formulated test compound, each test unit was allowed to dry for 1 hour and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at 25 °C and 70% relative humidity. Plant feeding damage was then visually assessed based on foliage consumed, and larvae were assessed for mortality.

Of the compounds of Formula **1** tested at 250 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 31, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 59, 60, 62, 63, 64, 92, 120, 121, 122, 123, 124, 125, 133, 134, 137, 138, 139, 142, 144, 145, 146, 147, 148, 149, 150, 153, 154, 155, 156, 157, 160, 161, 162, 187, 188, 189, 190, 191, 192, 193, 194, 199, 200, 201, 236, 237, and 238.

Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 59, 60, 62, 64, 65, 66, 67, 68, 69, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 103, 104, 105, 106, 107, 108, 109, 110, 111, 112, 115, 116, 117, 118, 119, 120, 121, 125, 126, 127, 128, 129, 130, 132, 133, 137, 138, 139, 140, 141, 142, 143, 144, 145, 146, 147, 148, 149, 150, 151, 152, 153, 154, 155, 160, 162, 164, 165, 166, 167, 171, 172, 173, 174, 175, 176, 177, 178, 180, 181, 182, 183, 184, 186, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 197, 198, 200, 201, 202, 203, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 232, 233, 234, 237, and 238.

Test B

For evaluating control of fall armyworm (*Spodoptera frugiperda* (J.E. Smith)) the test unit consisted of a small open container with a 4–5-day-old corn (maize) plant inside. This was pre-infested with 10–15 1-day-old larvae on a piece of insect diet.

Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying of the formulated test compound, the test units were maintained in a growth chamber for 6 days at 25 °C and 70% relative humidity. Plant feeding damage was then visually assessed based on foliage consumed, and larvae were assessed for mortality.

Of the compounds of Formula **1** tested at 250 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 3, 4, 7, 8, 9, 10, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 47, 49, 50, 52, 53, 54, 55, 56, 57, 59, 60, 62, 64, 92, 120, 121, 122, 123, 124, 125, 133, 138, 139, 142, 144, 145, 147, 148, 149, 150, 153, 154, 155, 156, 157, 160, 161, 162, 187, 188, 189, 190, 191, 192, 193, 194, 199, 200, and 201.

Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 3, 4, 9, 15, 16, 19, 20, 22, 23, 24, 25, 26, 27, 28, 29, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 59, 62, 64, 65, 66, 71, 72, 75, 76, 77, 78, 79, 80, 83, 84,

85, 86, 87, 91, 92, 95, 96, 97, 98, 99, 100, 101, 102, 103, 104, 106, 109, 115, 116, 117, 118, 119, 120, 121, 122, 123, 125, 126, 127, 128, 129, 130, 132, 133, 138, 140, 143, 145, 147, 148, 149, 150, 151, 152, 153, 154, 162, 164, 165, 166, 167, 168, 169, 170, 171, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 185, 186, 187, 188, 190, 191, 192, 193, 194, 196, 197, 198, 200,
5 201, 202, 203, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 233, 239, and 240.

Test C

For evaluating control of corn planthopper (*Peregrinus maidis* (Ashmead)) through contact and/or systemic means, the test unit consisted of a small open container with a 3–4-day-old corn (maize) plant inside. White sand was added to the top of the soil prior to
10 application of the test compound.

Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying of the formulated test compound, the test units were allowed to dry for 1 h before they were post-infested with ~15–20 nymphs (18-to-21-day-old). A black, screened cap was placed on the top of each test unit, and the test units were held for 6 days in a growth chamber at 22–24 °C
15 and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula 1 tested at 250 ppm, the following resulted in at least 80% mortality: 59, 153, 154, 187.

Test D

For evaluating control of potato leafhopper (*Empoasca fabae* (Harris)) through contact
20 and/or systemic means, the test unit consisted of a small open container with a 5–6-day-old Soleil bean plant (primary leaves emerged) inside. White sand was added to the top of the soil, and one of the primary leaves was excised prior to application of the test compound.

Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying of the formulated test compound, the test units were allowed to dry for 1 hour before they were
25 post-infested with 5 potato leafhoppers (18-to-21-day-old adults). A black, screened cap was placed on the top of the test unit, and the test units were held for 6 days in a growth chamber at 20 °C and 70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula 1 tested at 250 ppm, the following resulted in at least 80%
30 mortality: 3, 4, 6, 7, 8, 10, 11, 14, 15, 19, 23, 25, 26, 27, 28, 29, 33, 34, 35, 36, 37, 38, 39, 40, 42, 43, 44, 47, 48, 49, 50, 53, 54, 55, 56, 57, 59, 60, 62, 120, 121, 133, 138, 139, 142, 147, 149, 153, 154, 157, 160, 161, 162, 188, and 190.

Of the compounds of Formula 1 tested at 50 ppm, the following resulted in at least 80%
35 mortality: 3, 4, 6, 7, 8, 19, 23, 25, 27, 34, 35, 36, 37, 38, 39, 40, 42, 43, 47, 48, 50, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 75, 76, 77, 78, 79, 80, 83, 86, 87, 91, 96, 101, 103, 104, 106, 115,

116, 117, 118, 119, 120, 129, 130, 132, 133, 138, 140, 147, 149, 151, 152, 153, 154, 162, 164, 165, 166, 167, 168, 169, 170, 171, 172, 173, 174, 175, 176, 177, 178, 186, 188, and 233.

Test E

5 For evaluating control of green peach aphid (*Myzus persicae* (Sulzer)) through contact and/or systemic means, the test unit consisted of a small open container with a 12–15-day-old radish plant inside. This was pre-infested by placing on a leaf of the test plant 30–40 aphids on a piece of leaf excised from a culture plant (cut-leaf method). The aphids moved onto the test plant as the leaf piece desiccated. After pre-infestation, the soil of the test unit was covered with a layer of sand.

10 Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying of the formulated test compound, each test unit was allowed to dry for 1 hour and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at 19–21 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

15 Of the compounds of Formula 1 tested at 250 ppm, the following resulted in at least 80% mortality: 3, 4, 6, 7, 8, 9, 10, 14, 15, 16, 19, 25, 26, 27, 34, 35, 36, 37, 38, 39, 40, 42, 43, 44, 47, 50, 53, 54, 55, 56, 57, 59, 60, 62, 92, 120, 133, 138, 139, 142, 147, 148, 153, 154, 155, 162, 187, 188, 191, 192, and 200.

20 Of the compounds of Formula 1 tested at 50 ppm, the following resulted in at least 80% mortality: 3, 4, 6, 7, 8, 10, 14, 16, 19, 25, 26, 27, 34, 35, 36, 37, 38, 39, 40, 42, 43, 44, 50, 54, 55, 56, 57, 58, 59, 61, 62, 71, 75, 77, 78, 80, 83, 91, 92, 96, 99, 100, 103, 104, 106, 109, 110, 111, 112, 120, 129, 130, 131, 132, 138, 139, 142, 147, 148, 152, 153, 154, 155, 162, 165, 166, 169, 170, 171, 172, 173, 174, 175, 177, 186, 187, 188, 195, 196, 197, 198, 202, 206, 207, 208, 212, 214, 232, 233, and 234.

25

Test F

For evaluating control of cotton melon aphid (*Aphis gossypii* (Glover)) through contact and/or systemic means, the test unit consisted of a small open container with a 5-day-old okra plant inside. This was pre-infested with 30–40 insects on a piece of leaf according to the cut-leaf method, and the soil of the test unit was covered with a layer of sand.

30 Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying, the test units were maintained in a growth chamber for 6 days at 19 °C and 70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula 1 tested at 250 ppm, the following resulted in at least 80% mortality: 3, 4, 6, 7, 8, 9, 10, 11, 12, 14, 15, 16, 19, 25, 26, 27, 28, 34, 35, 36, 37, 38, 39, 40,

41, 42, 43, 44, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 59, 60, 62, 120, 133, 138, 139, 142, 147, 148, 149, 153, 154, 155, 162, 187, 188, 189, 190, 192, 194, 200, and 201.

Of the compounds of Formula 1 tested at 50 ppm, the following resulted in at least 80% mortality: 3, 4, 6, 7, 8, 9, 10, 11, 12, 14, 19, 25, 26, 27, 34, 35, 36, 37, 38, 39, 40, 42, 43, 44,
5 47, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 71, 75, 76, 77, 78, 79, 83, 91, 92, 96, 99, 100, 101, 104, 106, 108, 111, 112, 129, 130, 131, 132, 133, 138, 139, 147, 148, 151, 152, 153, 154, 162, 165, 166, 169, 170, 171, 172, 174, 175, 177, 178, 181, 186, 187, 188, 194, 195, 196, 197, 198, 202, 207, 211, 214, 232, 233, and 234.

Test G

10 For evaluating control of the sweetpotato whitefly (*Bemisia tabaci* (Gennadius)) through contact and/or systemic means, the test unit consisted of a small open container with a 12–14-day-old cotton plant or 7–9-day-old soybean plant inside. Prior to the spray application, both cotyledons were removed from the plant, leaving one true leaf for the assay. Adult whiteflies
15 were allowed to lay eggs on the plant and then were removed from the test unit. Cotton or soybean plants infested with at least 15 eggs were submitted to the test for spraying.

Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying, the test units were allowed to dry for 1 hour. The cylinders were then removed, and the units were taken to a growth chamber and held for 13 days at 28 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

20 Of the compounds of Formula 1 tested at 250 ppm, the following resulted in at least 70% mortality: 3, 4, 14, 19, 25, 26, 27, 34, 35, 36, 38, 39, 40, 42, 43, 44, 50, 62, 75, 77, 79, 91, 96, 104, 106, 118, 120, 129, 139, 147, 148, 151, 152, 153, 173, and 174.

Of the compounds of Formula 1 tested at 50 ppm, the following resulted in at least 70% mortality: 3, 10, 19, 25, 26, 27, 36, 38, 39, 42, 43, 50, 77, 78, 91, 104, 106, 129, 147, 148, 152,
25 and 153.

Test H

For evaluating control of the Western Flower Thrips (*Frankliniella occidentalis* (Pergande)) through contact and/or systemic means, the test unit consisted of a small open container with a 5–7-day-old Soleil bean plant inside.

30 Test compounds were formulated and sprayed at 250 and/or 50 ppm. After spraying, the test units were allowed to dry for 1 hour, and then about 60 thrips (adults and nymphs) were added to each unit. A black, screened cap was placed on top, and the test units were held for 6 days at 25 °C and 45–55% relative humidity. Each test unit was then visually assessed for plant damage and insect mortality.

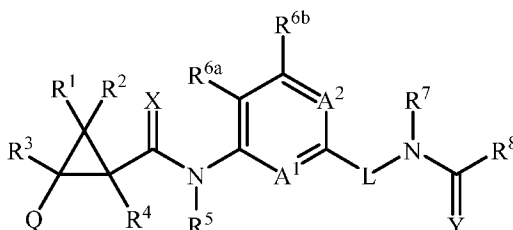
Of the compounds of Formula **1** tested at 250 ppm, the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 3, 4, 6, 8, 9, 10, 12, 25, 26, 27, 34, 35, 36, 38, 43, 49, 62, 76, 77, 78, 91, 96, 102, 104, 106, 109, 111, 116, 118, 119, 129, 133, 138, 146, 148, 151, 153, 155, 161, 162, 166, 169, 171, 172, 173, 174, 5 175, 177, 178, 179, 181, 182, 183, 184, 185, 187, 219, 237, and 238.

Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 3, 4, 6, 8, 26, 27, 34, 35, 36, 43, 49, 62, 75, 104, 106, 116, 118, 129, 138, 148, 153, 162, 169, 171, 172, 177, 181, and 185.

CLAIMS

What is claimed is:

1. A compound selected from Formula 1, N-oxides and salts thereof,



1

5

wherein

R¹ is H, F, Cl, Br, I, CH₃, or CF₃;

R² is F, Cl, Br, I, CH₃, or CF₃;

R³ and R⁴ are each independently H or C₁-C₃ alkyl;

10 Q is phenyl, thiophenyl, furanyl, pyridinyl or naphthalenyl, each unsubstituted or substituted with 1 to 3 R¹⁰;

X is O or S;

Y is O or S;

15 R⁵ is H, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴ or S(O)_nR¹⁵; or C₁-C₆ alkyl, C₃-C₆ cycloalkyl, C₂-C₆ alkenyl or C₂-C₆ alkynyl, each unsubstituted or substituted with at least one R^x;

A¹ is CR^{9a} or N;

A² is CR^{9b} or N;

20 R^{6a} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl or C₄-C₈ cycloalkylalkyl, each unsubstituted or substituted with at least one R^x;

25 R^{6b} is H, halogen, cyano, nitro, C(O)OR¹¹, C(O)NR¹²R¹³, C(O)R¹⁴, NR¹²R¹³, OR¹⁶, S(O)_nR¹⁵ or SO₂NR¹²R¹³; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₇ cycloalkyl or C₄-C₈ cycloalkylalkyl, each unsubstituted or substituted with at least one R^x;

L is C₁-C₂ alkylenyl, unsubstituted or substituted with 1 or 2 C₁-C₃ alkyl;

R^7 is H, $C(O)OR^{11}$, $C(O)NR^{12}R^{13}$, $C(O)R^{14}$ or $S(O)_nR^{15}$; or C_1-C_6 alkyl, C_3-C_6 cycloalkyl, C_2-C_6 alkenyl or C_2-C_6 alkynyl, each unsubstituted or substituted with at least one R^x ;

5 R^8 is H, $NR^{12}R^{13}$, OR^{16} , $S(O)_nR^{15}$ or $SO_2NR^{12}R^{13}$; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl or C_4-C_8 cycloalkylalkyl, each unsubstituted or substituted with at least one R^x ; or a phenyl, a 5- or 6-membered heterocyclic aromatic ring or a 3- to 7-membered heterocyclic non-aromatic ring, each ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one oxygen atom, one sulfur atom, and up to 2
10 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 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, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 haloalkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_4 haloalkylsulfonyl, C_1-C_4 alkylcarbonyl or C_1-C_4 alkoxy carbonyl;

each R^x is independently halogen, cyano, nitro, hydroxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, $C(O)OR^{11}$,
20 $C(O)NR^{12}R^{13}$, $NR^{12}R^{13}$, $C(O)R^{14}$, $S(O)_nR^{15}$ or $SO_2NR^{12}R^{13}$;

R^{9a} is H, halogen, cyano, nitro, $C(O)OR^{11}$, $C(O)NR^{12}R^{13}$, $C(O)R^{14}$, $NR^{12}R^{13}$, OR^{16} , $S(O)_nR^{15}$ or $SO_2NR^{12}R^{13}$; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl or C_4-C_8 cycloalkylalkyl, each unsubstituted or substituted with at least one R^x ;

25 R^{9b} is H, halogen, cyano, nitro, $C(O)OR^{11}$, $C(O)NR^{12}R^{13}$, $C(O)R^{14}$, $NR^{12}R^{13}$, OR^{16} , $S(O)_nR^{15}$ or $SO_2NR^{12}R^{13}$; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl or C_4-C_8 cycloalkylalkyl, each unsubstituted or substituted with at least one R^x ;

each R^{10} is independently halogen, cyano, nitro, $C(O)OR^{11}$, $C(O)NR^{12}R^{13}$, $C(O)R^{14}$,
30 $NR^{12}R^{13}$, OR^{16} , $S(O)_nR^{15}$ or $SO_2NR^{12}R^{13}$; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl or C_4-C_8 cycloalkylalkyl, each unsubstituted or substituted with at least one R^x ; and when two R^{10} are attached to adjacent carbon atoms, said two R^{10} can be taken together with the carbon atoms to which they are attached to form a 3- to 7-membered ring containing ring members
35 selected from carbon atoms and up to 2 heteroatoms independently selected from two oxygen atoms, one sulfur atom, and up to 2 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, said ring being 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 each R¹¹ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

10 each R¹² is independently H, C₁-C₆ alkyl, C₁-C₄ haloalkyl, C(O)R¹⁷ or S(O)₂R¹⁷; or phenyl or a 5- or 6-membered heterocyclic aromatic ring, each 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

15 each R¹³ is independently H, C₁-C₆ alkyl or C₁-C₄ haloalkyl; or R¹² and R¹³ are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one oxygen atom, one sulfur atom, and up to 2 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, said ring being 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

20 each R¹⁴ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano,

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nitro, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

5 each R¹⁵ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

10 each R¹⁶ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; or phenyl, 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, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylcarbonyl or C₁-C₄ alkoxy carbonyl;

15 each R¹⁷ is independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl or C₃-C₆ halocycloalkyl; and

20 each n is independently 0, 1 or 2.

2. The compound of Claim 1 wherein:

R³ and R⁴ are H;

X and Y are O;

25 R⁵ is H; and

R⁷ is H.

3. The compound of Claim 1 or 2 wherein:

R¹ is F, Cl or Br;

R² is F, Cl or Br;

30 Q is phenyl, unsubstituted or substituted with 1 to 3 R¹⁰;

A¹ is CR^{9a};

A² is CR^{9b};

R^{6a} is H;

R^{6b} is H;

35 R^{9a} is H or halogen; and

R^{9b} is halogen, cyano or C₁-C₆ alkyl.

4. The compound of any one of claims 1-3 wherein:

R¹ is F or Cl;

R² is F or Cl;

5 L is -CH₂-;

R^{9a} is H or F; and

R^{9b} is F or Cl.

5. The compound of any one of claims 1-4 wherein:

10 R¹ is Cl;

R² is Cl; and

R^{9a} is H;

6. The compound of any one of claims 1-5 wherein:

15 Q is phenyl, substituted with 1 to 3 R¹⁰; and

R¹⁰ is halogen or C¹-C⁶ alkyl substituted with at least one R^x; and R^x is Cl or F.

7. The compound of any one of claim 1-6 wherein:

R⁸ is -CH₂CF₃, -CF₃, or cyclopropyl.

20

8. The compound of any one of claims 1-7 wherein:

R¹ is Cl;

R² is Cl;

R³ and R⁴ are H;

25 X and Y are O;

R⁵ is H;

R⁷ is H;

R⁸ is -CH₂CF₃, -CF₃, or cyclopropyl

Q is phenyl, substituted with 1 to 3 R¹⁰; and

30 R¹⁰ is halogen or C¹-C⁶ alkyl substituted with at least one R^x; and R^x is Cl or F;

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9. The compound of Claim 1 wherein said compound is selected from 2,2-dichloro-3-(3,4-dichlorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 79), 2,2-dichloro-
5 N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]-3-(3,4-dichlorophenyl)cyclopropanecarboxamide (Compound 175), 2,2-dichloro-3-[4-fluoro-3-(trifluoromethyl)phenyl]-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 78), 2,2-dichloro-N-[3-[(cyclopropylcarbonyl)amino]methyl]-4-fluorophenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 77), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[2,4-difluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 170), 2,2-dichloro-3-(4-chloro-3,5-difluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 169), 2,2-dichloro-
15 3-(3-chloro-4-fluorophenyl)-N-[3-[(cyclopropylcarbonyl)amino]methyl]-2,4-difluorophenyl]cyclopropanecarboxamide (Compound 164), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 19), 2,2-dichloro-N-[4-chloro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 116), 2,2-dichloro-N-[4-chloro-3-[(cyclopropylcarbonyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 39), 2,2-dichloro-3-(3-chloro-5-fluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 129), 2,2-dichloro-N-[4-chloro-3-[(2,2,2-trifluoroacetyl)amino]methyl]phenyl]-3-[4-fluoro-3-(trifluoromethyl)phenyl]cyclopropanecarboxamide (Compound 174), 2,2-dichloro-N-[4-chloro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]-3-(3,4,5-trifluorophenyl)cyclopropanecarboxamide (Compound 118), 2,2-dichloro-3-(3-chloro-4-fluorophenyl)-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide
30 (Compound 219), and 3-[3,5-Bis(trifluoromethyl)phenyl]-2,2-dichloro-N-[4-fluoro-3-[(3,3,3-trifluoro-1-oxopropyl)amino]methyl]phenyl]cyclopropanecarboxamide (Compound 220).

10. A composition comprising a compound of any one of claims 1-6 and at least one
35 additional component selected from the group consisting of surfactants, solid diluents and

liquid diluents, said composition optionally further comprising at least one additional biologically active compound or agent.

11. The composition of Claim 6 wherein the at least one additional biologically active compound or agent is selected from abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, acynonapyr, afidopyropen ([*(3S,4R,4aR,6S,6aS,12R,12aS,12bS)*-3-[(cyclopropylcarbonyl)oxy]-1,3,4,4a,5,6,6a,12,12a,12b-decahydro-6,12-dihydroxy-4,6a,12b-trimethyl-11-oxo-9-(3-pyridinyl)-2*H,11H*-naphtho[2,1-*b*]pyrano[3,4-*e*]pyran-4-yl)methyl cyclopropanecarboxylate), amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, benfuracarb, bensultap, benzpyrimoxan, bifenthrin, kappa-bifenthrin, bifenazate, bistrifluron, borate, broflanilide, bromoantraniliprole, buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluzaron, chlorprallethrin, chlorpyrifos, chlorpyrifos-*e*, chlorpyrifos-methyl, chromafenozide, clofentezin, chlorprallethrin, clothianidin, cyantraniliprole, (3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide), cyclaniliprole (3-bromo-*N*-[2-bromo-4-chloro-6-[[*(1-cyclopropylethyl)*amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-1*H*-pyrazole-5-carboxamide), cycloprothrin, cycloxaprid (*(5S,8R)*-1-[(6-chloro-3-pyridinyl)methyl]-2,3,5,6,7,8-hexahydro-9-nitro-5,8-Epoxy-1*H*-imidazo[1,2-*a*]azepine), cyenopyrafen, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalodiamide, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicloromezotiaz, dichlorantraniliprole, dieldrin, diflubenzuron, dimefluthrin, dimehypo, dimethoate, dimpropridaz, dinotefuran, diofenolan, emamectin, emamectin benzoate, endosulfan, esfenvalerate, ethiprole, etofenprox, epsilon-metofluthrin, etoxazole, fenbutatin oxide, fenitrothion, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flometoquin (2-ethyl-3,7-dimethyl-6-[4-(trifluoromethoxy)phenoxy]-4-quinolinyl methyl carbonate), flonicamid, fluazaindolizine, flubendiamide, flucythrinate, flufenimer, flufenoxuron, flufenoxystrobin (methyl (*αE*)-2-[[2-chloro-4-(trifluoromethyl)phenoxy]methyl]-*α*-(methoxymethylene)benzeneacetate), fluensulfone (5-chloro-2-[(3,4,4-trifluoro-3-buten-1-yl)sulfonyl]thiazole), fluhexafon, fluopyram, flupiprole (1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[(2-methyl-2-propen-1-yl)amino]-4-[(trifluoromethyl)sulfinyl]-1*H*-pyrazole-3-carbonitrile), flupyradifurone (4-[[*(6-chloro-3-pyridinyl)*methyl](2,2-difluoroethyl)amino]-2(*5H*)-furanone), flupyrimin, fluvalinate, tau-fluvalinate, fluxametamide, fonophos, formetanate, fosthiazate, gamma-cyhalothrin, halofenozide, heptafluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 2,2-dimethyl-3-[(*1Z*)-3,3,3-trifluoro-1-propen-1-yl]cyclopropanecarboxylate), hexaflumuron, hexythiazox, hydramethylnon, imidacloprid,

indoxacarb, insecticidal soaps, isofenphos, isocycloseram, kappa-tefluthrin, lambda-cyhalothrin, lufenuron, malathion, meperfluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl (1*R*,3*S*)-3-(2,2-dichloroethenyl)-2,2-dimethylcyclopropanecarboxylate), metaflumizone, metaldehyde, methamidophos, 5 methidathion, methiocarb, methomyl, methoprene, methoxychlor, metofluthrin, methoxyfenozide, epsilon-metofluthrin, epsilon-momfluorothrin, monocrotophos, monofluorothrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 3-(2-cyano-1-propen-1-yl)-2,2-dimethylcyclopropanecarboxylate), nicotine, nitenpyram, nithiazine, novaluron, noviflumuron, oxamyl, oxazosulfonyl, parathion, parathion-methyl, permethrin, 10 phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, propargite, protrifenbutate, pyflubumide (1,3,5-trimethyl-*N*-(2-methyl-1-oxopropyl)-*N*-[3-(2-methylpropyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-1*H*-pyrazole-4-carboxamide), pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriminostrobin (methyl (α *E*)-2-[[[2-[(2,4-dichlorophenyl)amino]-6-(trifluoromethyl)-4-pyrimidinyl]oxy]methyl]- α -(methoxymethylene)benzeneacetate), pydiflumetofen, pyriprole, 15 pyriproxifen, rotenone, ryanodine, silafluofen, spinetoram, spinosad, spirodiclofen, spiromesifen, spiropidion, spirotetramat, sulprofos, sulfoxaflor (*N*-[methyloxido[1-[6-(trifluoromethyl)-3-pyridinyl]ethyl]- λ^4 -sulfanylidene]cyanamide), tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, kappa-tefluthrin, terbufos, tetrachlorantraniliprole, tetraniliprole, tetrachlorvinphos, tetramethrin, tetramethylfluthrin ([2,3,5,6-tetrafluoro-4-(methoxymethyl)phenyl]methyl 2,2,3,3-tetramethylcyclopropanecarboxylate), tetraniliprole, 20 thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tioazafen (3-phenyl-5-(2-thienyl)-1,2,4-oxadiazole), tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumezopyrim (2,4-dioxo-1-(5-pyrimidinylmethyl)-3-[3-(trifluoromethyl)phenyl]-2*H*-pyrido[1,2-*a*]pyrimidinium inner salt), triflumuron, tyclopyrazoflor, zeta-cypermethrin, *Bacillus thuringiensis* delta-endotoxins, entomopathogenic bacteria, entomopathogenic viruses, and entomopathogenic fungi.

12. The composition of Claim 7 wherein the at least one additional biologically 30 active compound or agent is selected from the group consisting of abamectin, acetamiprid, acrinathrin, afidopyropen, amitraz, avermectin, azadirachtin, benfuracarb, bensultap, bifenthrin, buprofezin, carbaryl, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyantraniliprole, cyclaniliprole, cycloprothrin, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, 35 zeta-cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etofenprox, etoxazole, fenitrothion, fenothiocarb,

fenoxycarb, fenvalerate, fipronil, flometoquin, flonicamid, flubendiamide, flufenoxuron, flufenoxystrobin, fluensulfone, flupiprole, flupyradifurone, fluvalinate, formetanate, fosthiazate, heptafluthrin, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, meperfluthrin, metaflumizone, methiocarb, methomyl, methoprene,
5 methoxyfenozone, metofluthrin, monofluorothrin, nitenpyram, nithiazine, novaluron, oxamyl, pyflubumide, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriminostrobin, pyriproxyfen, ryanodine, spinetoram, spinosad, spirotetramat, sulfoxaflo, tebufenozide, tetramethrin, tetramethylfluthrin, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumezopyrim, triflumuron,
10 *Bacillus thuringiensis* delta-endotoxins, all strains of *Bacillus thuringiensis* and all strains of nuclear polyhedrosis viruses.

13. The composition of any one of claims 10-12 further comprising a liquid fertilizer.

15 14. The composition of claim 13 wherein the liquid fertilizer is aqueous-based.

15. The composition of any one claims 10-14 for use in a drip irrigation system, furrow during planting, handheld sprayer, backpack sprayer, boom sprayer, ground sprayer, aerial application, unmanned aerial vehicle, or a seed treatment.

20 16. The composition of claim 15 wherein said composition is sprayed at an ultra-low volume.

25 17. A composition for protecting an animal from an invertebrate parasitic pest comprising a parasitically effective amount of a compound of Claim 1 and at least one carrier.

18. A method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Claim 1.

30 19. The method of claim 18 wherein the environment is soil or plant foliage.

20. A treated seed comprising a compound of Claim 1 in an amount of from about 0.0001 to 1 % by weight of the seed before treatment.

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2019/061764

A. CLASSIFICATION OF SUBJECT MATTER
 INV. C07D213/26 A01N53/00 C07C237/42 C07D333/12
 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 C07C

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, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2018/071327 A1 (DOW AGROSCIENCES LLC [US]) 19 April 2018 (2018-04-19) page 1; examples -----	1-20
A	WO 2016/168059 A1 (DOW AGROSCIENCES LLC [US]) 20 October 2016 (2016-10-20) page 1; examples -----	1-20
A	WO 2006/055922 A2 (DU PONT [US]; LAHM GEORGE PHILIP [US] ET AL.) 26 May 2006 (2006-05-26) page 1; examples -----	1-20

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
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- "O" document referring to an oral disclosure, use, exhibition or other means
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Date of the actual completion of the international search 4 February 2020	Date of mailing of the international search report 05/03/2020
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2019/061764

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
WO 2018071327	A1	19-04-2018	AU 2017343995 A1	30-05-2019
			BR 112019006746 A2	03-09-2019
			CA 3038847 A1	19-04-2018
			CL 2019000966 A1	19-07-2019
			CN 110072842 A	30-07-2019
			CO 2019003651 A2	30-04-2019
			EP 3526192 A1	21-08-2019
			KR 20190070342 A	20-06-2019
			TW 201819355 A	01-06-2018
			US 2018098541 A1	12-04-2018
			US 2019150452 A1	23-05-2019
			US 2019166847 A1	06-06-2019
			UY 37439 A	31-05-2018
			WO 2018071327 A1	19-04-2018

WO 2016168059	A1	20-10-2016	AU 2016247768 A1	14-09-2017
			AU 2016247769 A1	21-09-2017
			AU 2016249814 A1	14-09-2017
			AU 2019201950 A1	11-04-2019
			AU 2019201951 A1	11-04-2019
			BR 112017020015 A2	19-06-2018
			BR 112017020140 A2	29-05-2018
			BR 112017021585 A2	03-07-2018
			CA 2980345 A1	20-10-2016
			CA 2980351 A1	20-10-2016
			CA 2980356 A1	20-10-2016
			CN 107466291 A	12-12-2017
			CN 107529748 A	02-01-2018
			CN 107734969 A	23-02-2018
			CO 2017009940 A2	05-01-2018
			CO 2017010383 A2	09-03-2018
			CO 2017011578 A2	31-01-2018
			EP 3283458 A1	21-02-2018
			EP 3283459 A1	21-02-2018
			EP 3283460 A1	21-02-2018
			EP 3578541 A1	11-12-2019
			EP 3578542 A1	11-12-2019
			EP 3578543 A1	11-12-2019
			ES 2735924 T3	20-12-2019
			ES 2736849 T3	08-01-2020
			JP 2018516241 A	21-06-2018
			JP 2018516242 A	21-06-2018
			JP 2018516862 A	28-06-2018
			KR 20180008408 A	24-01-2018
			KR 20180008443 A	24-01-2018
			KR 20180009045 A	25-01-2018
			NZ 734919 A	22-02-2019
NZ 734931 A	26-04-2019			
NZ 735019 A	26-04-2019			
RU 2017135874 A	17-05-2019			
RU 2017138090 A	17-05-2019			
RU 2017139079 A	17-05-2019			
TR 201909218 T4	22-07-2019			
TR 201909746 T4	22-07-2019			
TR 201910940 T4	21-08-2019			
TW 201638065 A	01-11-2016			
TW 201639805 A	16-11-2016			
TW 201641478 A	01-12-2016			

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2019/061764

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		US 2016302417 A1	20-10-2016
		US 2016302418 A1	20-10-2016
		US 2016304522 A1	20-10-2016
		US 2017339961 A1	30-11-2017
		US 2018000087 A1	04-01-2018
		US 2018007911 A1	11-01-2018
		US 2019090488 A1	28-03-2019
		UY 36631 A	30-11-2016
		UY 36632 A	30-11-2016
		UY 36633 A	30-11-2016
		WO 2016168056 A1	20-10-2016
		WO 2016168058 A1	20-10-2016
		WO 2016168059 A1	20-10-2016

WO 2006055922	A2	26-05-2006	AU 2005306363 A1
			BR PI0516781 A
			CA 2585378 A1
			EA 200701076 A1
			EP 1812421 A2
			EP 2564706 A1
			ES 2413442 T3
			JP 5215669 B2
			JP 2008520724 A
			JP 2013056915 A
			KR 20070086280 A
			MA 29078 B1
			US 2009133318 A1
			WO 2006055922 A2
