



(51) International Patent Classification:

C07D 405/12 (2006.01) A01N 43/647 (2006.01)

A01N 43/54 (2006.01) C07D 409/12 (2006.01)

(21) International Application Number:

PCT/US2021/022251

(22) International Filing Date:

13 March 2021 (13.03.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/989,113 13 March 2020 (13.03.2020) US

63/092,479 15 October 2020 (15.10.2020) US

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, ZA, ZM, ZW.

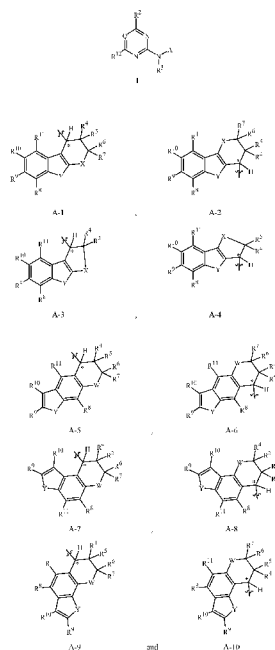
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

(54) Title: SUBSTITUTED PYRIMIDINES AND TRIAZINES AS HERBICIDES

(57) Abstract: Disclosed are compounds of Formula I, stereoisomers, TV-oxides, and salts thereof, wherein; A is selected from A-2, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9 and A-10 and A, A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, R¹ through R^{20b}, W, X and Y are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula I and methods for controlling undesired vegetation comprising contacting the undesired vegetation or its environment with an effective amount of a compound or a composition of the invention.



Published:

— *with international search report (Art. 21(3))*

TITLE

SUBSTITUTED PYRIMIDINES AND TRIAZINES AS HERBICIDES

FIELD

This disclosure relates to certain pyrimidines and triazines, their *N*-oxides, salts and compositions, and methods of their use for controlling undesirable vegetation.

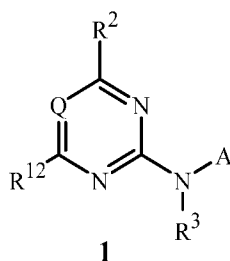
BACKGROUND

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

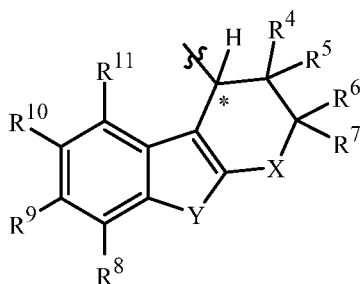
Published patent applications WO 2010/076010, WO 2013/144187 and WO 2017/016914 disclose aminopyrimidine derivatives as herbicides. The pyrimidines and triazines, their *N*-oxides, salts and compositions, and methods of their use of the present disclosure are not disclosed in these publications.

SUMMARY

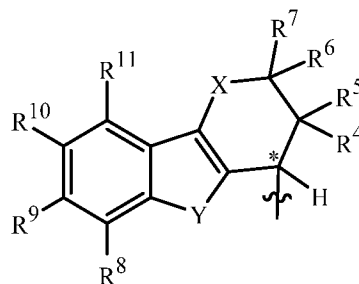
This disclosure is directed to a compound of Formula 1, all stereoisomers, *N*-oxides, and salts thereof, agricultural compositions containing them and their use as herbicides:



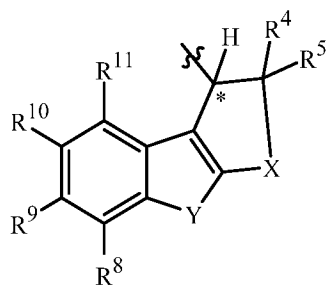
wherein A is selected from



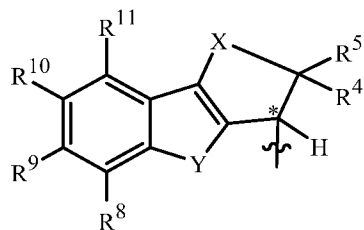
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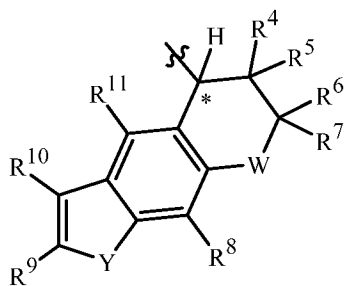
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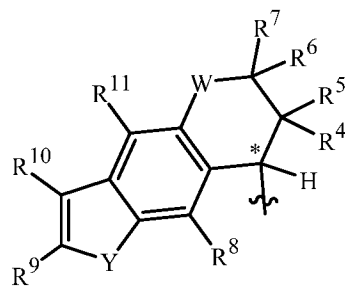
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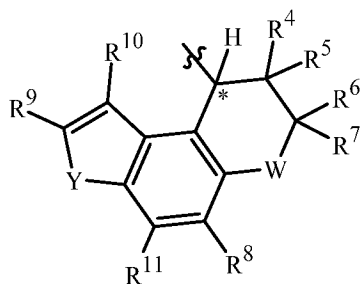
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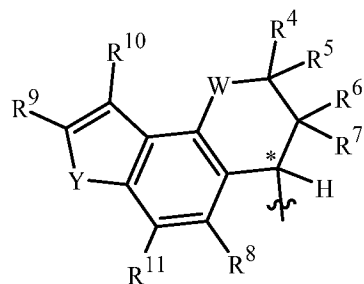
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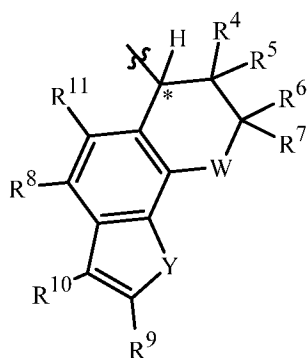
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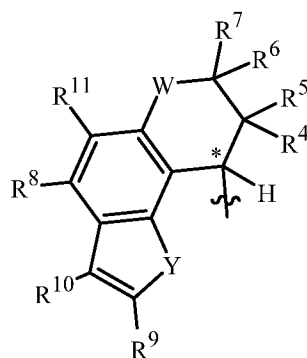
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A-8



A-9



A-10

and

Q is N or CR¹;

R¹ is H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂,
 CHO, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkylcarbonyl, C₂–C₆
 haloalkylcarbonyl, C₂–C₆ alkylcarbonyloxy, C₂–C₆ haloalkylcarbonyloxy,
 C₁–C₆ hydroxyalkyl, C₂–C₁₂ alkoxyalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy,

- C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkoxy carbonyl, C₂–C₆ haloalkoxy carbonyl, C₃–C₁₂ alkoxy carbonyl haloalkyl, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₃–C₆ alkenyl carbonyl, C₃–C₆ haloalkenyl carbonyl, C₂–C₆ alkenyloxy, C₂–C₆ haloalkenyloxy, C₃–C₆ alkenyloxy carbonyl, C₃–C₆ haloalkenyloxy carbonyl, C₂–C₄ cyanoalkyl, C₂–C₄ cyanoalkoxy, C₁–C₄ nitroalkyl, C₂–C₆ alkynyl, C₂–C₆ haloalkynyl, C₃–C₆ alkynyl carbonyl, C₃–C₆ haloalkynyl carbonyl, C₂–C₆ alkynyloxy, C₂–C₆ haloalkynyloxy, C₃–C₆ alkynyloxy carbonyl, C₃–C₆ haloalkynyloxy carbonyl, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₂–C₄ alkyl carbonylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylsulfonyloxy, C₁–C₄ haloalkylsulfonyloxy, C₁–C₆ hydroxyalkoxy, C₂–C₁₂ alkoxyalkyl, C₂–C₁₂ alkylthioalkyl, C₂–C₁₂ haloalkoxyalkyl, C₂–C₁₀ haloalkylthioalkoxy, C₂–C₁₂ alkoxyalkoxy, C₂–C₁₀ alkylthioalkoxy, C₂–C₁₂ haloalkoxyalkoxy, C₂–C₁₀ haloalkylthio, C₁–C₄ aminoalkyl, C₂–C₈ alkylaminoalkyl, C₃–C₁₂ dialkylaminoalkyl, C₁–C₄ aminoalkoxy, C₂–C₈ alkylaminoalkoxy or C₃–C₁₂ dialkylamino; or
- R¹ is C₃–C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ haloalkoxy, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkyl carbonyl, C₂–C₆ alkoxy carbonyl, C₂–C₆ alkoxy carbonyloxy, C₂–C₆ haloalkyl carbonyloxy, C₄–C₈ cycloalkyl carbonyl, C₄–C₈ cycloalkoxy carbonyl, C₂–C₆ haloalkoxy carbonyl, C₄–C₁₀ cycloalkyl carbonyloxy, C₃–C₈ cycloalkoxy carbonyloxy or C₂–C₆ haloalkoxy carbonyloxy;
- R² is independently H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, CHO, C(S)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkyl carbonyl, C₂–C₆ haloalkyl carbonyl, C₂–C₆ alkyl carbonyloxy, C₂–C₆ haloalkyl carbonyloxy, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₄–C₁₂ cycloalkylalkoxy, C₂–C₆ alkoxy carbonyl, C₂–C₆ haloalkoxy carbonyl, C₂–C₁₂ alkoxyalkyl, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₃–C₆ alkenyl carbonyl, C₃–C₆ haloalkenyl carbonyl, C₂–C₆ alkenyloxy, C₂–C₆ haloalkenyloxy, C₃–C₆ alkenyloxy carbonyl, C₃–C₆ haloalkenyloxy carbonyl, C₂–C₄ cyanoalkyl, C₂–C₄ cyanoalkoxy, C₁–C₄ nitroalkyl, C₁–C₄ nitroalkoxy, C₂–C₆ alkynyl, C₂–C₆ haloalkynyl, C₃–C₆ alkynyl carbonyl, C₃–C₆ haloalkynyl carbonyl, C₂–C₆ alkynyloxy, C₂–C₆ haloalkynyloxy, C₃–C₆ alkynyloxy carbonyl, C₃–C₆ haloalkynyloxy carbonyl, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₂–C₄ alkyl carbonylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylsulfonyloxy, C₁–C₄

- haloalkylsulfonyloxy C₁-C₆ hydroxyalkyl, C₁-C₆ hydroxyalkoxy, C₂-C₁₂ alkoxyalkyl, C₂-C₁₂ alkylthioalkyl, C₂-C₁₂ haloalkoxyalkyl, C₂-C₁₀ haloalkylthioalkoxy, C₂-C₁₂ alkoxyalkoxy, C₂-C₁₀ alkylthioalkoxy, C₂-C₁₂ haloalkoxyalkoxy, C₂-C₁₀ haloalkylthio, C₁-C₄ aminoalkyl, C₂-C₈ alkylaminoalkyl, C₃-C₁₂ dialkylaminoalkyl, C₁-C₄ aminoalkoxy, C₂-C₈ alkylaminoalkoxy or C₃-C₁₂ dialkylamino; or
- R² is independently C₃-C₈ cycloalkyl, each cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkoxy carbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₄-C₈ cycloalkylcarbonyl, C₄-C₈ cycloalkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₄-C₁₀ cycloalkylcarbonyloxy, C₃-C₈ cycloalkoxy carbonyloxy, C₂-C₆ haloalkoxy carbonyloxy;
- R³ is H, C₁-C₄ alkyl, C₁-C₆ alkylcarbonyl, C₁-C₆ haloalkylcarbonyl, C₂-C₆ alkoxy carbonyl or C₂-C₆ haloalkoxy carbonyl;
- R⁴ and R⁵ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, hydroxy, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy; or
- R⁴ and R⁵ are taken together with the carbon atom to which they are attached to form a three to seven membered ring; the ring containing one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and the respective halogen substituents may be the same or different;
- R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₆-C₁₄ aryl, C₆-C₁₄ aryloxy, C₆-C₁₄ arylcarbonyl and C₆-C₁₄ aryloxy carbonyl; or
- R⁶ and R⁷ are taken together with the carbon atom to which they are attached to form a three to seven membered ring; the ring containing one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different;
- each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, formyl, C₁-C₆ alkyl, C₁-C₆ alkylcarbonyl, C₁-C₆ alkyloxy carbonyl, C₁-C₆ alkylaminocarbonyl, C₃-C₈ cycloalkyl, C₁-C₆ dialkylaminocarbonyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₂-C₆ alkynylcarbonyl, C₂-C₆ haloalkynylcarbonyl, C₂-C₆

alkynyloxy, C₂–C₆ haloalkynyloxy, C₂–C₆ alkynyloxycarbonyl and C₂–C₆ haloalkynyloxycarbonyl;

R¹² is C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₃–C₈ cycloalkyl, NH₂, N-(C=O)-OR¹³, N-(C=S)-OR¹³, N-(C=O)-R¹⁴;

5 each R¹³ and R¹⁴ is independently C₁–C₆ alkyl;

X is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶;

10 Y is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b}, —C(R¹⁷)=C(R¹⁸)—, —C(R^{19a})(R^{19b})-C(R^{20a})C(R^{20b})— and NR¹⁶;

W is a direct bond; or

W is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶;

each R^{15a} or R^{15b} is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

15 each R¹⁶, R¹⁷, R¹⁸, R^{19a}, R^{19b}, R^{20a} or R^{20b} is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl.

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

25 This invention also includes a herbicidal mixture comprising (a) a compound selected from Formula **1**, stereoisomers, *N*-oxides, and salts thereof, and (b) at least one additional active ingredient selected from (b1) through (b16), and salts of compounds of (b1) through (b16), as described below.

DETAILS OF THE INVENTION

30 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, method, article, or apparatus 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, method, article, or apparatus.

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.

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

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

15 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).

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

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

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

In the above recitations, the term “alkyl”, used either alone or in compound words such
30 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
35 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.

“Alkoxy” includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. “Alkoxyalkyl” denotes alkoxy substitution on alkyl. Examples of “alkoxyalkyl” include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. “Alkoxyalkoxy” denotes alkoxy substitution on alkoxy. “Alkenyloxy” includes straight-chain or branched alkenyloxy moieties. Examples of “alkenyloxy” include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$. “Alkynyloxy” includes straight-chain or branched alkynyloxy moieties. Examples of “alkynyloxy” include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$. “Alkylthio” includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. “Alkylsulfinyl” includes both enantiomers of an alkylsulfinyl group. Examples of “alkylsulfinyl” include $\text{CH}_3\text{S}(\text{O})-$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})-$, $(\text{CH}_3)_2\text{CHS}(\text{O})-$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of “alkylsulfonyl” include $\text{CH}_3\text{S}(\text{O})_2-$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2-$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2-$, and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. “Alkylthioalkyl” denotes alkylthio substitution on alkyl. Examples of “alkylthioalkyl” include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SCH}_2$ and $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$. “Alkylthioalkoxy” denotes alkylthio substitution on alkoxy. “Alkyldithio” denotes branched or straight-chain alkyldithio moieties. Examples of “alkyldithio” include $\text{CH}_3\text{SS}-$, $\text{CH}_3\text{CH}_2\text{SS}-$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SS}-$, $(\text{CH}_3)_2\text{CHSS}-$ and the different butyldithio and pentyldithio isomers. “Cyanoalkyl” denotes an alkyl group substituted with at least one cyano group. Examples of “cyanoalkyl” include NCCH_2 , NCCH_2CH_2 and $\text{CH}_3\text{CH}(\text{CN})\text{CH}_2$. “Hydroxyalkyl” denotes an alkyl group substituted with at least one hydroxy group. Examples of “hydroxyalkyl” include HOCH_2 , HOCH_2CH_2 and $\text{CH}_3\text{CH}(\text{OH})\text{CH}_2$. “Alkylamino”, “dialkylamino”, “alkenylthio”, “alkenylsulfinyl”, “alkenylsulfonyl”, “alkynylthio”, “alkynylsulfinyl”, “alkynylsulfonyl”, and the like, are defined analogously to the above examples.

“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 “cycloalkoxy” denotes cycloalkyl linked through an oxygen atom such as cyclopentyloxy and cyclohexyloxy. “Cycloalkylalkoxy” denotes cycloalkylalkyl linked through an oxygen atom attached to the alkyl chain. Examples of “cycloalkylalkoxy” include cyclopropylmethoxy, cyclopentylethoxy, and other cycloalkyl moieties bonded to straight-chain or branched alkoxy groups. “Cyanocycloalkyl” denotes a cycloalkyl group substituted with one cyano group.

Examples of “cyanocycloalkyl” include 4-cyanocyclohexyl and 3-cyanocyclopentyl. “Cycloalkenyl” includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl.

The term “halogen”, either alone or in compound words such as “haloalkyl”, or when used in descriptions such as “alkyl substituted with halogen” includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as “haloalkyl”, or when used in descriptions such as “alkyl substituted with halogen” said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of “haloalkyl” or “alkyl substituted with halogen” include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms “halocycloalkyl”, “haloalkoxy”, “haloalkylthio”, “haloalkenyl”, “haloalkynyl” and the like, are defined analogously to the term “haloalkyl”. Examples of “haloalkoxy” include CF_3O- , CCl_3CH_2O- , $HCF_2CH_2CH_2O-$ and CF_3CH_2O- . Examples of “haloalkylthio” include CCl_3S- , CF_3S- , CCl_3CH_2S- and $ClCH_2CH_2CH_2S-$. Examples of “haloalkylsulfinyl” include $CF_3S(O)-$, $CCl_3S(O)-$, $CF_3CH_2S(O)-$ and $CF_3CF_2S(O)-$. Examples of “haloalkylsulfonyl” include $CF_3S(O)_2-$, $CCl_3S(O)_2-$, $CF_3CH_2S(O)_2-$ and $CF_3CF_2S(O)_2-$. Examples of “haloalkenyl” include $(Cl)_2C=CHCH_2-$ and $CF_3CH_2CH=CHCH_2-$. Examples of “haloalkynyl” include $HC\equiv CCHCl-$, $CF_3C\equiv C-$, $CCl_3C\equiv C-$ and $FCH_2C\equiv CCH_2-$. Examples of “haloalkoxyalkoxy” include CF_3OCH_2O- , $ClCH_2CH_2OCH_2CH_2O-$, $Cl_3CCH_2OCH_2O-$ as well as branched alkyl derivatives.

“Alkylcarbonyl” denotes a straight-chain or branched alkyl moieties bonded to a $C(=O)$ moiety. Examples of “alkylcarbonyl” include $CH_3C(=O)-$, $CH_3CH_2CH_2C(=O)-$ and $(CH_3)_2CHC(=O)-$. Examples of “alkoxycarbonyl” include $CH_3OC(=O)-$, $CH_3CH_2OC(=O)-$, $CH_3CH_2CH_2OC(=O)-$, $(CH_3)_2CHOC(=O)-$ and the different butoxy- or pentoxycarbonyl isomers. “Haloalkylcarbonyl”, “alkoxycarbonylhaloalkyl” and the like, are defined analogously to the term “haloalkyl”.

The total number of carbon atoms in a substituent group is indicated by the “ C_i-C_j ” prefix where i and j are numbers from 1 to 12. For example, C_1-C_4 alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2- ; C_3 alkoxyalkyl designates, for example, $CH_3CH(OCH_3)-$, $CH_3OCH_2CH_2-$ or $CH_3CH_2OCH_2-$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including $CH_3CH_2CH_2OCH_2-$ and $CH_3CH_2OCH_2CH_2-$.

When a group contains a substituent which can be hydrogen, for example (R^1 or R^2), then when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted. When one or more positions on a group are said to be “not substituted” or “unsubstituted”, then hydrogen atoms are attached to take up any free valency.

When a carbon atom with its substituents bears a subscript, for example $X-(CR^6R^7)_n-(CR^4R^5)-$ in Scheme 11, n indicates the number of the group of CR^6R^7 in the compound.

When n is 0, the group of CR^6R^7 becomes a direct bond connecting the neighboring groups of X and CR^4R^5 .

Unless otherwise indicated, a “ring” as a component of Formula 1 (e.g., R^4 and R^5 taken together with the carbon atom to which they are attached to form a ring) is carbocyclic or heterocyclic. The term “ring member” refers to an atom or other moiety (e.g., $C(=O)$, $C(=S)$, $S(O)$ or $S(O)_2$) forming the backbone of a ring or ring system.

The terms “carbocyclic ring” or “carbocycle” denotes a ring wherein the atoms forming the ring backbone are selected only from carbon. Unless otherwise indicated, a carbocyclic ring can be a saturated, partially unsaturated, or fully unsaturated ring. When a fully unsaturated carbocyclic ring satisfies Hückel’s rule, then said ring is also called an “aromatic ring”. “Saturated carbocyclic” refers to a ring having a backbone consisting of carbon atoms linked to one another by single bonds; unless otherwise specified, the remaining carbon valences are occupied by hydrogen atoms.

The terms “heterocyclic ring” or “heterocycle” denote a ring in which at least one atom forming the ring backbone is not carbon, e.g., nitrogen, oxygen or sulfur. Typically, a heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. Unless otherwise indicated, a heterocyclic ring can be a saturated, partially unsaturated, or fully unsaturated ring. When a fully unsaturated heterocyclic ring satisfies Hückel’s rule, then said ring is also called a “heteroaromatic ring” or “aromatic heterocyclic ring”. Unless otherwise indicated, heterocyclic rings 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 that $(4n + 2) \pi$ 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. The term “aromatic carbocyclic ring system” denotes a carbocyclic ring system in which at least one ring of the ring system is aromatic. 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 “nonaromatic ring system” denotes a carbocyclic or heterocyclic ring system that may be fully saturated, as well as partially or fully unsaturated, provided that none of the rings in the ring system are aromatic. The term “nonaromatic carbocyclic ring system” in which no ring in the ring system is aromatic. The term “nonaromatic heterocyclic ring system” denotes a heterocyclic ring system in which no ring in the ring system is aromatic.

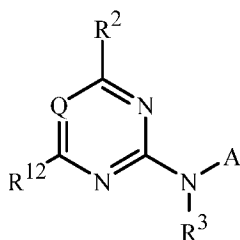
The term “optionally substituted” in connection with the heterocyclic rings refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the biological activity possessed by the unsubstituted analog. As used herein, the following definitions shall apply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

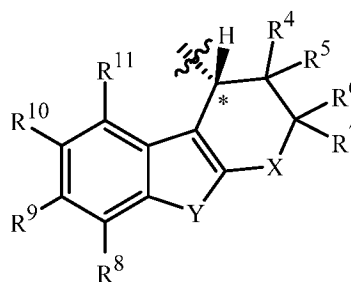
5 A wide variety of synthetic methods are known in the art to enable preparation of aromatic and nonaromatic heterocyclic rings and ring systems; for extensive reviews see the eight volume set of *Comprehensive Heterocyclic Chemistry*, A. R. Katritzky and C. W. Rees editors-in-chief, Pergamon Press,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

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. Stereoisomers are isomers of identical constitution but differing in the arrangement of their atoms in space and include enantiomers, diastereomers, cis-trans isomers (also known as
15 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
20 separate, enrich, and/or to selectively prepare said stereoisomers. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers or as an optically active form.

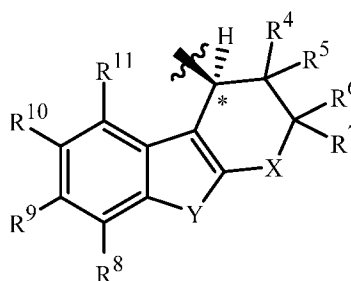
For example, a compound of Formula **1** possesses at least one chiral center in the A group when A is A-1, resulting at least two stereoisomers for a compound of Formula **1**. The
25 two stereoisomers are depicted below as Formula **1'** and Formula **1''** with the chiral center identified with an asterisk (*). For a comprehensive discussion of all aspects of stereoisomerism, see Ernest L. Eliel and Samuel H. Wilen, *Stereochemistry of Organic Compounds*, John Wiley & Sons, 1994.



1' wherein A is



1'' wherein A is



Molecular depictions drawn herein follow standard conventions for depicting stereochemistry. A wavy line in a structure fragment denotes the attachment point of the fragment to the remainder of the molecule. To indicate stereoconfiguration, bonds rising from the plane of the drawing and towards the viewer are denoted by solid wedges wherein the broad end of the wedge is attached to the atom rising from the plane of the drawing towards the viewer. Bonds going below the plane of the drawing and away from the viewer are denoted by dashed wedges wherein the broad end of the wedge is attached to the atom further away from the viewer. Constant width lines indicate bonds with a direction opposite or neutral relative to bonds shown with solid or dashed wedges; constant width lines also depict bonds in molecules or parts of molecules in which no particular stereoconfiguration is intended to be specified.

The more herbicidally-active enantiomer is believed to be the compound of Formula **1'**. Formula **1'** has the *R* configuration at the chiral center designated by *.

One embodiment comprises racemic mixtures, for example, equal amounts of the enantiomers of Formulae **1'** and **1''**. Another embodiment includes compounds that are enriched compared to the racemic mixture in an enantiomer of Formula **1**. Also included are the essentially pure enantiomers of compounds of Formula **1**, for example, Formula **1'** and Formula **1''**.

When enantiomerically enriched, one enantiomer is present in greater amounts than the other, and the extent of enrichment can be defined by an expression of enantiomeric excess ("ee"), which is defined as $(2x-1) \cdot 100\%$, where *x* is the mole fraction of the dominant enantiomer in the mixture (e.g., an ee of 20 % corresponds to a 60:40 ratio of enantiomers).

As used herein, the term "predominantly in the *R*-configuration" refers to a stereocenter wherein at least 60% of the molecules have the stereocenter in the *R*-configuration. For

example, a compound with a single stereocenter indicated by a *, would have an enantiomeric excess of 20%. Preferably the compositions of an embodiment have at least a 50% enantiomeric excess; more preferably at least a 75 % enantiomeric excess; still more preferably at least a 90 % enantiomeric excess; and the most preferably at least a 94 % enantiomeric excess; more preferably at least a 95% enantiomeric excess; more preferably at least a 98% enantiomeric excess; more preferably at least a 99% enantiomeric excess; of the more active isomer. Of particular note are enantiomerically pure embodiments of the more active isomer.

Compounds of Formula **1** can comprise additional chiral centers. For example, substituents and other molecular constituents such as R² and R¹² may themselves contain chiral centers. This invention comprises racemic mixtures as well as enriched and essentially pure stereoconfigurations at these additional chiral centers. Preferably, compounds of Formula **1** comprising additional chiral centers are enriched or essentially pure at the chiral carbon atom indicated by a *, such that Formula **1'** has the R configuration at the carbon atom indicated by the *.

Compounds of this invention can exist as one or more conformational isomers due to any restricted bond rotation in Formula **1**. This invention comprises mixtures of conformational isomers. In addition, this invention includes compounds that are enriched in one conformational isomer relative to others.

Compounds of Formula **1** typically exist in more than one form, and Formula **1** thus include all crystalline and non-crystalline forms of the compounds they represent. Non-crystalline forms include embodiments which are solids such as waxes and gums as well as embodiments which are liquids such as solutions and melts. Crystalline forms include 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 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 of 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 of Formula **1**. Preparation and isolation of a particular polymorph of a compound of Formula **1** can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures. For

a comprehensive discussion of polymorphism see R. Hilfiker, Ed., *Polymorphism in the Pharmaceutical Industry*, Wiley-VCH, Weinheim, 2006.

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 *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *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 a compound of Formula 1 are useful for control of undesired vegetation (i.e. are agriculturally suitable). The salts of a compound of Formula 1 include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. When a compound of Formula 1 contains an acidic moiety 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 invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof.

Embodiments of the present invention as described in the Summary of the Invention include those wherein a compound of Formula 1 is as described in any of the following Embodiments:

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

Embodiment 1a. A compound of Embodiment 1 wherein Q is CR¹.

5 Embodiment 1b. A compound of Embodiment 1 wherein Q is N.

Embodiment 2. A compound of Formula 1 or Embodiment 1 wherein A is selected from A-1, A-2, A-3 and A-4.

Embodiment 2a. A compound of Embodiment 2 wherein A is selected from A-1 and A-2.

10 Embodiment 2b. A compound of Embodiment 2 wherein A is selected from A-3 and A-4.

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

Embodiment 2d. A compound of Embodiment 2a wherein A is A-2.

Embodiment 2e. A compound of Embodiment 2b wherein A is A-3.

15 Embodiment 2f. A compound of Embodiment 2b wherein A is A-4.

Embodiment 2g. A compound of Formula 1 or Embodiment 1 wherein A is selected from A-5, A-6, A-7, A-8, A-9 and A-10.

Embodiment 2gg. A compound of Embodiment 2g wherein A is selected from A-5 and A-7.

20 Embodiment 2h. A compound of Embodiment 2g wherein A is A-5.

Embodiment 2i. A compound of Embodiment 2g wherein A is A-6.

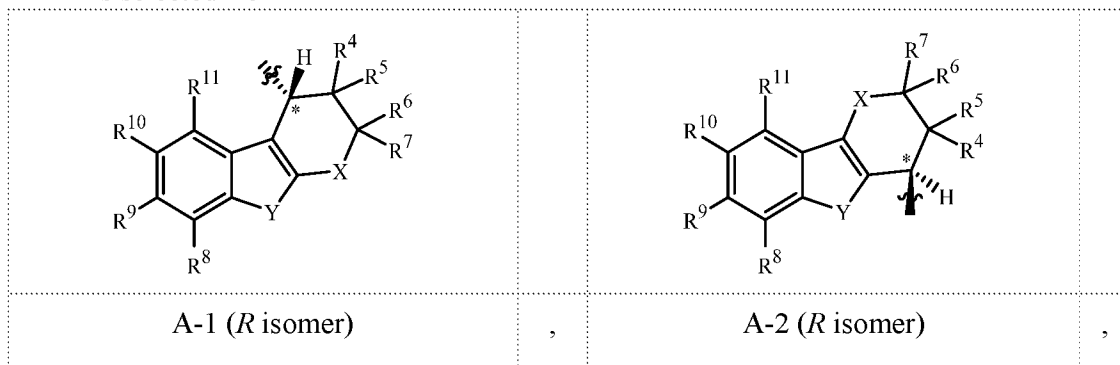
Embodiment 2j. A compound of Embodiment 2g wherein A is A-7.

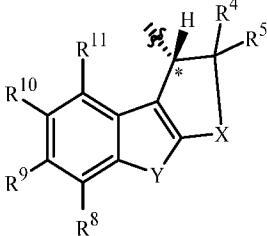
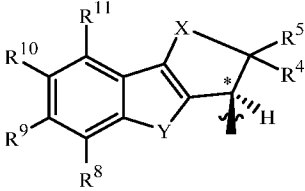
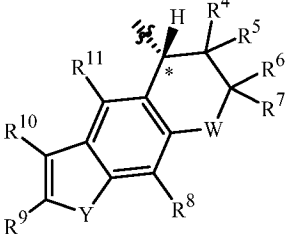
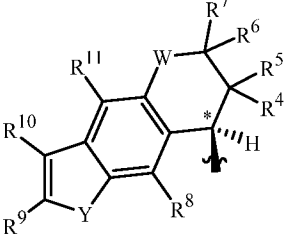
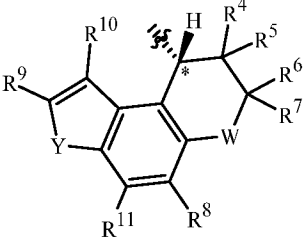
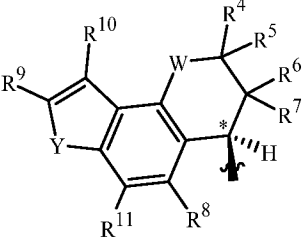
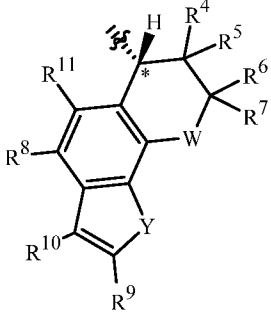
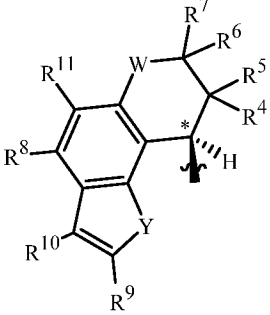
Embodiment 2k. A compound of Embodiment 2g wherein A is A-8.

Embodiment 2l. A compound of Embodiment 2g wherein A is A-9.

25 Embodiment 2m. A compound of Embodiment 2g wherein A is A-10.

Embodiment 2n. A compound of Formula 1 or Embodiment 1 wherein the stereocenter in A indicated by the * is predominantly in the *R*-configuration as shown below
A is selected from



			
A-3 (<i>R</i> isomer)	,	A-4 (<i>R</i> isomer)	,
			
A-5 (<i>R</i> isomer)	,	A-6 (<i>R</i> isomer)	,
			
A-7 (<i>R</i> isomer)	,	A-8 (<i>R</i> isomer)	,
			
A-9 (<i>R</i> isomer)	and	A-10 (<i>R</i> isomer)	.

Embodiment 3. A compound of Formula 1 or any one of Embodiments 1a and 2 through 2g wherein R¹ is H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, CHO, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkylcarbonyl, C₂–C₆ haloalkylcarbonyl, C₂–C₆ alkylcarbonyloxy, C₂–C₆

haloalkylcarbonyloxy, C₁-C₆ hydroxyalkyl, C₂-C₁₂-alkoxyalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkoxycarbonyl, C₂-C₆ haloalkoxycarbonyl, C₃-C₁₂ alkoxycarbonylhaloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₃-C₆ alkenylcarbonyl, C₃-C₆ haloalkenylcarbonyl, C₂-C₆ alkenyloxy, C₂-C₆ haloalkenyloxy, C₃-C₆ alkenyloxycarbonyl, C₃-C₆ haloalkenyloxycarbonyl, C₂-C₄ cyanoalkyl, C₂-C₄ cyanoalkoxy, C₁-C₄ nitroalkyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkynylcarbonyl, C₃-C₆ haloalkynylcarbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₃-C₆ alkynyloxycarbonyl, C₃-C₆ haloalkynyloxycarbonyl, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₂-C₄ alkylcarbonylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonyloxy, C₁-C₄ haloalkylsulfonyloxy, C₁-C₆ hydroxyalkoxy, C₂-C₁₂ alkoxyalkyl, C₂-C₁₂ alkylthioalkyl, C₂-C₁₂ haloalkoxyalkyl, C₂-C₁₀ haloalkylthioalkoxy, C₂-C₁₂ alkoxyalkoxy, C₂-C₁₀ alkylthioalkoxy or C₂-C₁₂ haloalkoxyalkoxy; or R¹ is C₃-C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkoxycarbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₄-C₈ cycloalkylcarbonyl, C₄-C₈ cycloalkoxycarbonyl, C₂-C₆ haloalkoxycarbonyl, C₄-C₁₀ cycloalkylcarbonyloxy, C₃-C₈ cycloalkoxycarbonyloxy or C₂-C₆ haloalkoxycarbonyloxy.

Embodiment 3a. A compound of Embodiment 3 wherein R¹ is H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, CHO, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ haloalkylcarbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₁-C₆ hydroxyalkyl, C₂-C₁₂ alkoxyalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkoxycarbonyl, C₂-C₆ haloalkoxycarbonyl, C₃-C₁₂ alkoxycarbonylhaloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₃-C₆ alkenylcarbonyl, C₃-C₆ haloalkenylcarbonyl, C₂-C₆ alkenyloxy, C₂-C₆ haloalkenyloxy, C₃-C₆ alkenyloxycarbonyl, C₃-C₆ haloalkenyloxycarbonyl, C₂-C₄ cyanoalkyl, C₂-C₄ cyanoalkoxy, C₁-C₄ nitroalkyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkynylcarbonyl, C₃-C₆ haloalkynylcarbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₃-C₆ alkynyloxycarbonyl, C₃-C₆ haloalkynyloxycarbonyl, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₂-C₄ alkylcarbonylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonyloxy, C₁-C₄ haloalkylsulfonyloxy, C₁-C₆ hydroxyalkoxy, C₂-C₁₂ alkoxyalkyl, C₂-C₁₂

alkylthioalkyl, C₂-C₁₂ haloalkoxyalkyl, C₂-C₁₀ haloalkylthioalkoxy, C₂-C₁₂ alkoxyalkoxy, C₂-C₁₀ alkylthioalkoxy or C₂-C₁₂ haloalkoxyalkoxy.

Embodiment 3b. A compound of Embodiment 3a wherein R¹ is halogen, cyano, SF₅, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄ cycloalkylalkyl, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₄-C₁₂ cyloalkylalkoxy, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkenyloxy, C₂-C₆ haloalkenyloxy, C₂-C₄ cyanoalkyl, C₂-C₄ cyanoalkoxy, C₁-C₄ nitroalkyl, C₁-C₄ nitroalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₂-C₁₂ alkoxyalkyl, C₂-C₁₂ alkylthioalkyl, or C₂-C₁₂ haloalkoxyalkyl.

Embodiment 3c. A compound of Embodiment 3b wherein R¹ is cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl or C₂-C₆ haloalkynyl.

Embodiment 3d. A compound of Embodiment 3c wherein R¹ is cyano.

Embodiment 3e. A compound of Embodiment 3c wherein R¹ is C₁-C₆ haloalkyl.

Embodiment 3f. A compound of Embodiment 3e wherein R¹ is CF₃, CHFCH₃, CF(CH₃)₂ or CF₂H.

Embodiment 3g. A compound of Embodiment 3f wherein R¹ is CF₃.

Embodiment 3h. A compound of Embodiment 3f wherein R¹ is CHFCH₃.

Embodiment 3i. A compound of Embodiment 3f wherein R¹ is CF₂H.

Embodiment 3j. A compound of Embodiment 3 wherein R¹ is C₃-C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkoxy carbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₄-C₈ cycloalkylcarbonyl, C₄-C₈ cycloalkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₄-C₁₀ cycloalkylcarbonyloxy, C₃-C₈ cycloalkoxy carbonyloxy or C₂-C₆ haloalkoxy carbonyloxy.

Embodiment 3k. A compound of Embodiment 3j wherein R¹ is C₃-C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl or C₁-C₆ haloalkoxy.

Embodiment 3l. A compound of Embodiment 3k wherein R¹ is cyclopropyl.

Embodiment 4. A compound of Formula 1 or any one of the preceding Embodiments wherein R² is independently H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, CHO, C(S)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₆ haloalkylcarbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄ cycloalkylalkyl, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₄-C₁₂

- cyloalkylalkoxy, C₂-C₆ alkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₃-C₁₂ alkoxy carbonyl haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₃-C₆ alkenyl carbonyl, C₃-C₆ haloalkenyl carbonyl, C₂-C₆ alkenyloxy, C₂-C₆ haloalkenyloxy, C₃-C₆ alkenyloxy carbonyl, C₃-C₆ haloalkenyloxy carbonyl,
- 5 C₂-C₄ cyanoalkyl, C₂-C₄ cyanoalkoxy, C₁-C₄ nitroalkyl, C₁-C₄ nitroalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkynyl carbonyl, C₃-C₆ haloalkynyl carbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₃-C₆ alkynyloxy carbonyl, C₃-C₆ haloalkynyloxy carbonyl, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₂-C₄ alkyl carbonylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonyloxy, C₁-C₄ haloalkylsulfonyloxy C₁-C₆ hydroxyalkyl, C₁-C₆ hydroxyalkoxy, C₂-C₁₂ alkoxyalkyl, C₂-C₁₂ alkylthioalkyl, C₂-C₁₂ haloalkoxyalkyl, C₂-C₁₀ haloalkylthioalkoxy, C₂-C₁₂ alkoxyalkoxy, C₂-C₁₀ alkylthioalkoxy or C₂-C₁₂ haloalkoxyalkoxy; or
- 10 R² is C₃-C₈ cycloalkyl, each cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkyl carbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkoxy carbonyloxy, C₂-C₆ haloalkyl carbonyloxy, C₄-C₈ cycloalkyl carbonyl, C₄-C₈ cycloalkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₄-C₁₀ cycloalkyl carbonyloxy, C₃-C₈ cycloalkoxy carbonyloxy or C₂-C₆ haloalkoxy carbonyloxy.
- 15 Embodiment 4a. A compound of Embodiment 4 wherein R² is H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkyl carbonyl, C₂-C₆ haloalkyl carbonyl, C₂-C₆ alkyl carbonyloxy, C₂-C₆ haloalkyl carbonyloxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄ cycloalkylalkyl, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₄-C₁₂ cyloalkylalkoxy, C₂-C₆ alkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₃-C₁₂ alkoxy carbonyl haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₃-C₆ alkenyl carbonyl, C₃-C₆ haloalkenyl carbonyl, C₂-C₆ alkenyloxy, C₂-C₆ haloalkenyloxy, C₃-C₆ alkenyloxy carbonyl, C₃-C₆ haloalkenyloxy carbonyl, C₂-C₄ cyanoalkyl, C₂-C₄ cyanoalkoxy, C₁-C₄ nitroalkyl, C₁-C₄ nitroalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkynyl carbonyl, C₃-C₆ haloalkynyl carbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₃-C₆ alkynyloxy carbonyl, C₃-C₆ haloalkynyloxy carbonyl,
- 30 C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₂-C₄ alkyl carbonylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonyloxy, C₁-C₄ haloalkylsulfonyloxy C₁-C₆
- 35

hydroxyalkyl, C₁–C₆ hydroxyalkoxy, C₂–C₁₂ alkoxyalkyl, C₂–C₁₂ alkylthioalkyl, C₂–C₁₂ haloalkoxyalkyl, C₂–C₁₀ haloalkylthioalkoxy, C₂–C₁₂ alkoxyalkoxy, C₂–C₁₀ alkylthioalkoxy or C₂–C₁₂ haloalkoxyalkoxy.

Embodiment 4b. A compound of Embodiment 4a wherein R² is H, halogen, cyano,
 5 nitro, SF₅, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₄–C₁₂ cycloalkylalkoxy, C₃–C₁₂ alkoxyalkoxy, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₃–C₆ alkenylcarbonyl, C₃–C₆ haloalkenylcarbonyl, C₂–C₆ alkenyloxy, C₂–C₄ cyanoalkyl, C₂–C₄ cyanoalkoxy, C₁–C₄ nitroalkyl, C₂–C₆ alkynyl, C₂–C₆ haloalkynyl, C₂–C₆ alkynyloxy, C₂–C₆ haloalkynyloxy, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₁–C₆ hydroxyalkyl, C₁–C₆ hydroxyalkoxy, C₂–C₁₂ alkoxyalkyl, C₂–C₁₂ alkylthioalkyl, C₂–C₁₂ haloalkoxyalkyl, C₂–C₁₀ haloalkylthioalkoxy or C₂–C₁₂ alkoxyalkoxy.

Embodiment 4c. A compound of Embodiment 4b wherein R² is H, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl or C₃–C₈ cycloalkoxy.

Embodiment 4d. A compound of Embodiment 4c wherein R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl.

Embodiment 4e. A compound of Embodiment 4c wherein R² is H, CF₃, CF(CH₃)₂, CF₂H, CFH(CH₃) or Me.

Embodiment 4f. A compound of Embodiment 4e wherein R² is H, CF₃, CF(CH₃)₂, CF₂H and CFH(CH₃).

Embodiment 4g. A compound of Embodiment 4d wherein R² is C₁–C₆ haloalkyl.

Embodiment 4h. A compound of Embodiment 4g wherein R² is C₁–C₃ haloalkyl.

Embodiment 4i. A compound of Embodiment 4f wherein R² is H.

Embodiment 4j. A compound of Embodiment 4 wherein R² is C₃–C₈ cycloalkyl, each cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ haloalkoxy, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkylcarbonyl, C₂–C₆ alkoxyalkoxy, C₂–C₆ alkoxyalkoxyloxy, C₂–C₆ haloalkylcarbonyloxy, C₄–C₈ cycloalkylcarbonyl, C₄–C₈ cycloalkoxyalkoxy, C₂–C₆ haloalkoxyalkoxy, C₄–C₁₀ cycloalkylcarbonyloxy, C₃–C₈ cycloalkoxyalkoxyloxy or C₂–C₆ haloalkoxyalkoxyloxy.

Embodiment 4k. A compound of Embodiment 4j wherein R² is C₃–C₈ cycloalkyl, each cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ haloalkoxy, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkylcarbonyl or C₂–C₆ alkoxyalkoxy.

- Embodiment 4l. A compound of Embodiment 4k wherein R^2 is C_3 – C_8 cycloalkyl, each cycloalkyl optionally substituted with halogen, cyano or C_1 – C_6 alkyl.
- Embodiment 4m. A compound of Embodiment 4l wherein R^2 is C_3 – C_8 cycloalkyl.
- Embodiment 4n. A compound of Embodiment 4m wherein R^2 is cyclopropyl.
- 5 Embodiment 4o. A compound of Embodiment 4m wherein R^2 is cyclopentyl.
- Embodiment 4p. A compound of Embodiment 4m wherein R^2 is cyclohexyl.
- Embodiment 5. A compound of Formula 1 or Embodiment 1 wherein R^3 is H, C_1 – C_4 alkyl or C_1 – C_6 alkylcarbonyl.
- Embodiment 5a. A compound of Embodiment 5 wherein R^3 is H or C_1 – C_4 alkyl.
- 10 Embodiment 5b. A compound of Embodiment 5a wherein R^3 is H.
- Embodiment 6. A compound of Formula 1 or Embodiment 1 wherein R^4 and R^5 are each independently selected from the group consisting of hydrogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, hydroxy, C_1 – C_6 alkoxy and C_1 – C_6 haloalkoxy; or R^4 and R^5 are taken together with the carbon atom to which they are attached to
- 15 form a three- to seven-membered ring; the ring containing one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different;
- Embodiment 6a. A compound of Embodiment 6 wherein R^4 and R^5 are each
- 20 independently selected from the group consisting of hydrogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, hydroxy, C_1 – C_6 alkoxy and C_1 – C_6 haloalkoxy.
- Embodiment 6b. A compound of Embodiment 6a wherein R^4 and R^5 are each independently selected from the group consisting of hydrogen, C_1 – C_6 alkyl and C_1 – C_6 haloalkyl.
- 25 Embodiment 6c. A compound of Embodiment 6b wherein R^4 and R^5 are each independently hydrogen.
- Embodiment 6d. A compound of Embodiment 6 wherein the radicals R^4 and R^5 are taken together with the carbon atom to which they are attached to form a three- to seven-membered ring; and the ring may contain one or more oxygen and/or
- 30 sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different.
- Embodiment 6f. A compound of Embodiment 6d wherein the ring is a three membered ring.
- 35 Embodiment 7. A compound of Formula 1 or Embodiment 1 wherein R^6 and R^7 are each independently selected from the group consisting of hydrogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, C_1 – C_6 alkoxy, C_1 – C_6 haloalkoxy, C_6 – C_{14} aryl, C_6 – C_{14} aryloxy, C_6 – C_{14} arylcarbonyl and C_6 – C_{14} aryloxycarbonyl; or

R⁶ and R⁷ are taken together with the carbon atom to which they are attached to form a three to seven membered ring; and the ring may contain one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different.

Embodiment 7a. A compound of Embodiment 7 wherein R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₆-C₁₄ aryl, C₆-C₁₄ aryloxy, C₆-C₁₄ arylcarbonyl and C₆-C₁₄ aryloxycarbonyl.

Embodiment 7b. A compound of Embodiment 7a wherein R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy.

Embodiment 7c. A compound of Embodiment 7b wherein R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl and C₁-C₆ -haloalkyl.

Embodiment 7d. A compound of Embodiment 7c wherein R⁶ and R⁷ are each independently hydrogen.

Embodiment 7e. A compound of Embodiment 7 wherein the radicals R⁶ and R⁷ are taken together with the carbon atom to which they are attached to form a three-to seven-membered ring; and the ring may contain one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different.

Embodiment 7f. A compound of Embodiment 7d wherein the ring is a three-membered ring.

Embodiment 8. A compound of Formula 1 or Embodiment 1 wherein each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, formyl, C₁-C₆ alkyl, C₁-C₆ alkylcarbonyl, C₁-C₆ alkyloxycarbonyl, C₁-C₆ alkylaminocarbonyl, C₃-C₈ cycloalkyl, C₁-C₆ dialkylaminocarbonyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₂-C₆ alkynylcarbonyl, C₂-C₆ haloalkynylcarbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₂-C₆ alkynyloxycarbonyl and C₂-C₆ haloalkynyloxycarbonyl.

Embodiment 8a. A compound of Embodiment 8 wherein each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl and C₂-C₆ haloalkynyl.

- Embodiment 8b. A compound of Embodiment 8a wherein each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, cyano and C₁–C₆ alkyl.
- Embodiment 8c. A compound of Embodiment 8b wherein each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me.
- Embodiment 8d. A compound of Embodiment 8c wherein each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, F and Me.
- Embodiment 8d. A compound of Embodiment 8c wherein each R⁸, R⁹, R¹⁰ and R¹¹ is H.
- Embodiment 9. A compound of Formula 1 or Embodiment 1 wherein R¹² is C₁–C₄ alkyl, C₁–C₄ haloalkyl, C₃–C₈ cycloalkyl, NH₂, N-(C=O)-OR¹³, N-(C=S)-OR¹³, N-(C=O)-R¹⁴.
- Embodiment 9a. A compound of Embodiment 9 wherein R¹² is NH₂, N-(C=O)-OR¹³, N-(C=S)-OR¹³, N-(C=O)-R¹⁴.
- Embodiment 9b. A compound of Embodiment 9a wherein R¹² is NH₂.
- Embodiment 10. A compound of Formula 1 or Embodiment 1 wherein each R¹³ and R¹⁴ is independently C₁–C₆ alkyl.
- Embodiment 10a. A compound of Embodiment 10 wherein each R¹³ and R¹⁴ is independently methyl or ethyl.
- Embodiment 11. A compound of Formula 1 or Embodiment 1 wherein X is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶.
- Embodiment 11a. A compound of Embodiment 11 wherein X is O, S or CR^{15a}R^{15b}.
- Embodiment 11b. A compound of Embodiment 11a wherein X is CR^{15a}R^{15b}.
- Embodiment 12. A compound of Formula 1 or Embodiment 1 wherein Y is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b}, —C(R¹⁷)=C(R¹⁸)—, —C(R^{19a})(R^{19b})-C(R^{20a})C(R^{20b})— and NR¹⁶.
- Embodiment 12a. A compound of Embodiment 12 wherein Y is O or S.
- Embodiment 12b. A compound of Embodiment 12a wherein Y is O.
- Embodiment 12c. A compound of Embodiment 12b wherein Y is S.
- Embodiment 13. A compound of Formula 1 or Embodiment 1 wherein W is a direct bond; or independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶.
- Embodiment 13a. A compound of Embodiment 13 wherein W is a direct bond.
- Embodiment 13b. A compound of Embodiment 13 wherein W is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶.

Embodiment 13c. A compound of Embodiment 13b wherein W is O, S or CR^{15a}R^{15b}.

Embodiment 13d. A compound of Embodiment 13c wherein W is CR^{15a}R^{15b}.

Embodiment 13e. A compound of Embodiment 13c wherein W is O.

Embodiment 13f. A compound of Embodiment 13c wherein W is S.

5 Embodiment 14. A compound of any one of Embodiments 11 through 13d wherein each R^{15a} and R^{15b} is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl.

Embodiment 14a. A compound of Embodiment 14 wherein each R^{15a} and R^{15b} is independently H, methyl, ethyl or CF₃.

Embodiment 14b. A compound of Embodiment 14a wherein each R^{15a} and R^{15b} is H.

10 Embodiment 15. A compound of Embodiment 12 wherein each R¹⁶, R¹⁷, R¹⁸, R^{19a}, R^{19b}, R^{20a} and R^{20b} is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl.

Embodiment 15a. A compound of Embodiment 15 wherein each R¹⁶, R¹⁷, R¹⁸, R^{19a}, R^{19b}, R^{20a} and R^{20b} is independently H, methyl, ethyl or CF₃.

15 Embodiment 15b. A compound of Embodiment 15a wherein each R¹⁶, R¹⁷, R¹⁸, R^{19a}, R^{19b}, R^{20a} and R^{20b} is H.

Embodiments of this invention, including Embodiments 1–15b above as well as any other embodiments described herein, can be combined in any manner, and the descriptions of variables in the embodiments pertain not only to the compounds of Formula 1 but also to the starting compounds and intermediate compounds useful for preparing the compounds of Formula 1. In addition, embodiments of this invention, including Embodiments 1–15b above as well as any other embodiments described herein, and any combination thereof, pertain to the compositions and methods of the present invention.

Combinations of Embodiments 1–15b are illustrated by:

25 Embodiment A. A compound of Formula 1 as described in the Summary of the Disclosure wherein

Q is CR¹;

R¹ is CN, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₂–C₆ alkynyl or C₂–C₆ haloalkynyl; or

30 R¹ is C₃–C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, C₁–C₆ alkyl, C₁–C₆ haloalkyl or C₁–C₆ haloalkoxy;

R² is H, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl or C₃–C₈ cycloalkoxy;

R³ is H or C₁–C₄ alkyl;

35 R⁴ and R⁵ are each independently selected from the group consisting of hydrogen, C₁–C₆ alkyl and C₁–C₆ haloalkyl;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy and C₁–C₆ haloalkoxy;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen, cyano, C₁–C₆ alkyl, C₃–C₈ cycloalkyl, C₁–C₆ haloalkyl,
C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₂–C₆ alkynyl and C₂–C₆ haloalkynyl;

R¹² is NH₂;

5 X is O, S or CR^{15a}R^{15b};

each R^{15a} and R^{15b} is independently H, C₁–C₆ alkyl or C₁–C₆ haloalkyl; and

Y is O or S.

Embodiment B. A compound of Embodiment A wherein

10 R⁴ and R⁵ are each independently hydrogen; and

R⁶ and R⁷ are each independently hydrogen.

Embodiment C. A compound of Embodiment B wherein

A is A-1;

15 R¹ is C₁–C₆ haloalkyl;

R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;

20 X is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

Embodiment D. A compound of Embodiment C wherein

R¹ is CF₃, CFH(CH₃), CF(CH₃)₂ or CF₂H;

25 R² is H, CF₃, CF(CH₃)₂, CF₂H, CFH(CH₃) or Me;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, F and Me; and

Y is O.

30 Embodiment E. A compound of Embodiment D wherein

R¹ is CF₃;

R² is H;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen and F.

35

Embodiment F. A compound of Embodiment A wherein

A is A-1;

R¹ is CN;

R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me;

5 X is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

Embodiment G. A compound of Embodiment F wherein

R² is H, CF₃, CF(CH₃)₂, CF₂H, CFH(CH₃) or Me;

10 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, F and Me; and

Y is O.

Embodiment H. A compound of Embodiment G wherein

15 R² is H.

Embodiment I. A compound of Embodiment A wherein

A is A-3;

R¹ is C₁–C₆ haloalkyl;

20 R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me;

X is CR^{15a}R^{15b};

25 each R^{15a} and R^{15b} is H.

Embodiment J. A compound of Embodiment I wherein

Y is O.

30 Embodiment K. A compound of Formula 1 as described in the Summary wherein

Q is N;

R² is H, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl or C₃–C₈ cycloalkoxy;

R³ is H or C₁–C₄ alkyl;

35 R⁴ and R⁵ are each independently selected from the group consisting of hydrogen, C₁–C₆ alkyl and C₁–C₆ haloalkyl;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy and C₁–C₆ haloalkoxy;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen, cyano, C₁–C₆ alkyl, C₃–C₈ cycloalkyl, C₁–C₆ haloalkyl,
C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₂–C₆ alkynyl and C₂–C₆ haloalkynyl;

R¹² is NH₂;

5 X is O, S or CR^{15a}R^{15b};

each R^{15a} and R^{15b} is independently H, methyl, ethyl or CF₃; and

Y is O or S.

Embodiment L. A compound of Embodiment K wherein

10 A is A-1;

R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

R⁴ and R⁵ are both hydrogens;

R⁶ and R⁷ are both hydrogens;

15 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;

X is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

20 Embodiment M. A compound of Embodiment L wherein

R² is H, CF₃, C(CH₃)₂F, CF₂H, CFH(CH₃) or Me;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, F and Me; and

Y is O.

25

Embodiment N. A compound of Embodiment M wherein

R² is H; and

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen and F.

30

Embodiment O. A compound of Embodiment K wherein

A is A-3;

R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

35 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;

X is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

Embodiment P. A compound of Embodiment O wherein

R² is H, CF₃, C(CH₃)₂F, CF₂H, CFHCH₃ or Me; and

Y is O.

5

Embodiment Q. A compound of Formula 1 as described in the Summary wherein

Q is N;

A is selected from A-5, A-6, A-7, A-8, A-9 and A-10;

R² is H, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄
cycloalkylalkyl or C₃–C₈ cycloalkoxy;

10

R³ is H or C₁–C₄ alkyl;

R⁴ and R⁵ are each independently selected from the group consisting of hydrogen,
C₁–C₆ alkyl and C₁–C₆ haloalkyl;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen,
C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ alkoxy and C₁–C₆ haloalkoxy;

15

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen, cyano, C₁–C₆ alkyl, C₃–C₈ cycloalkyl, C₁–C₆ haloalkyl,
C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₂–C₆ alkynyl and C₂–C₆ haloalkynyl;

R¹² is NH₂;

20

W is S or CR^{15a}R^{15b};

each R^{15a} and R^{15b} is independently H, methyl, ethyl or CF₃; and

Y is O or S.

Embodiment R. A compound of Embodiment Q wherein

25

A is selected from A-5 and A-7;

R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;

R³ is H;

R⁴ and R⁵ are both hydrogens;

R⁶ and R⁷ are both hydrogens;

30

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;

W is CR^{15a}R^{15b};

Y is O; and

each R^{15a} and R^{15b} is H.

35

Embodiment S. A compound of Formula 1 as described in the Summary of the
Disclosure wherein

Q is CR¹;

A is selected from A-5, A-6, A-7, A-8, A-9 and A-10;

R¹ is CN, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl or C₂-C₆ haloalkynyl; or

5 R¹ is C₃-C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl or C₁-C₆ haloalkoxy;

R² is H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄ cycloalkylalkyl or C₃-C₈ cycloalkoxy;

R³ is H or C₁-C₄ alkyl;

10 R⁴ and R⁵ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl and C₁-C₆ haloalkyl;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy;

15 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl and C₂-C₆ haloalkynyl;

R¹² is NH₂;

W is O, S or CR^{15a}R^{15b};

each R^{15a} and R^{15b} is independently H, C₁-C₆ alkyl or C₁-C₆ haloalkyl; and

Y is O or S.

20

Embodiment T. A compound of S wherein

A is selected from A-5 and A-7;

R¹ is C₁-C₆ haloalkyl;

R² is H, C₁-C₆ alkyl or C₁-C₆ haloalkyl;

25 R³ is H;

R⁴ and R⁵ are both hydrogens;

R⁶ and R⁷ are both hydrogens;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me;

30 W is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

35

Embodiment U. A compound of Formula 1 wherein each stereocenter in A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9 and A-10 indicated by the * is predominantly in the *R*-configuration.

Specific embodiments include compounds of Formula 1 selected from the group consisting of:

N-[2,4-Dimethyl-5-(1-piperidinylcarbonyl)phenyl]-1,1,1-trifluoromethanesulfonamide
(Compound 45);

N2-[(1*R*)-6-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-
pyrimidinediamine (Compound 28);

5 N2-[(1*R*)-9-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-
pyrimidinediamine (Compound 43);

6-(1-fluoroethyl)-N2-[(1*R*)-6-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-
triazine-2,4-diamine (Compound 37);

6-(difluoromethyl)-N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-
10 diamine (Compound 46);

6-(1-fluoroethyl)-N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-
diamine (Compound 25);

N2-[(5*R*)-5,6,7,8-Tetrahydronaphtho[2,3-*b*]furan-5-yl]-5-(trifluoromethyl)-2,4-
pyrimidinediamine (Compound 47);

15 N2-[(9*R*)-6,7,8,9-Tetrahydronaphtho[2,1-*b*]furan-9-yl]-5-(trifluoromethyl)-2,4-
pyrimidinediamine (Compound 48);

6-(1-Fluoroethyl)-N2-[(5*R*)-5,6,7,8-tetrahydronaphtho[2,3-*b*]furan-5-yl]-1,3,5-triazine-
2,4-diamine (Compound 49);

6-(1-Fluoroethyl)-N2-[(9*R*)-6,7,8,9-tetrahydronaphtho[2,1-*b*]furan-9-yl]-1,3,5-triazine-
20 2,4-diamine (Compound 50);

a compound of Formula 1 wherein A is A-9, Q is CR¹ is CF₃, each of R², R³, R⁴, R⁵,
R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ is H, R¹² is NH₂, W is CH₂ and Y is O; (Compound 51); and

a compound of Formula 1 wherein A is A-7, Q is CR¹ is CF₃, each of R², R³, R⁴, R⁵,
R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ is H, R¹² is NH₂, W is direct bond and Y is O (Compound 52).

25

This disclosure also relates to a method for controlling undesired vegetation comprising
applying to the locus of the vegetation herbicidally effective amounts of the compounds of the
invention (e.g., as a composition described herein). Of note as embodiments relating to
methods of use are those involving the compounds of embodiments described above.
30 Compounds of the invention are particularly useful for selective control of weeds in crops such
as wheat, barley, maize, soybean, sunflower, cotton, oilseed rape and rice, and specialty crops
such as sugarcane, citrus, fruit and nut crops; particularly rice.

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

35

This invention also includes a herbicidal mixture comprising (a) a compound selected
from Formula 1, *N*-oxides, and salts thereof, and (b) at least one additional active ingredient
selected from (b1) photosystem II inhibitors, (b2) acetohydroxy acid synthase (AHAS)
inhibitors, (b3) acetyl-CoA carboxylase (ACCase) inhibitors, (b4) auxin mimics, (b5) 5-enol-

pyruvylshikimate-3-phosphate (EPSP) synthase inhibitors, (b6) photosystem I electron diverters, (b7) protoporphyrinogen oxidase (PPO) inhibitors, (b8) glutamine synthetase (GS) inhibitors, (b9) very long chain fatty acid (VLCFA) elongase inhibitors, (b10) auxin transport inhibitors, (b11) phytoene desaturase (PDS) inhibitors, (b12) 4-hydroxyphenyl-pyruvate dioxygenase (HPPD) inhibitors, (b13) homogentisate solanesyltransferase (HST) inhibitors, (b14) cellulose biosynthesis inhibitors, (b15) other herbicides including mitotic disruptors, organic arsenicals, asulam, bromobutide, cinmethylin, cumyluron, dazomet, difenzoquat, dymron, etobenzanid, flurenol, fosamine, fosamine-ammonium, hydantocidin, metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid and pyributicarb, (b16) herbicide safeners, and salts of compounds of (b1) through (b16).

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

“AHAS inhibitors” (b2) are chemical compounds that inhibit acetohydroxy acid synthase (AHAS), also known as acetolactate synthase (ALS), and thus kill plants by inhibiting the production of the branched-chain aliphatic amino acids such as valine, leucine and isoleucine, which are required for protein synthesis and cell growth. Examples of AHAS inhibitors include amidosulfuron, azimsulfuron, bensulfuron-methyl, bispyribac-sodium, cloransulam-methyl, chlorimuron-ethyl, chlorsulfuron, cinosulfuron, cyclosulfamuron, diclosulam, ethametsulfuron-methyl, ethoxysulfuron, flazasulfuron, florasulam, flucarbazone-sodium, flumetsulam, flupyrsulfuron-methyl, flupyrsulfuron-sodium, foramsulfuron, halosulfuron-methyl, imazamethabenz-methyl, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, iodosulfuron-methyl (including sodium

salt), iofensulfuron (2-iodo-*N*-[[4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]benzenesulfonamide), mesosulfuron-methyl, metazosulfuron (3-chloro-4-(5,6-dihydro-5-methyl-1,4,2-dioxazin-3-yl)-*N*-[[4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-1-methyl-1*H*-pyrazole-5-sulfonamide), metosulam, 5 metsulfuron-methyl, nicosulfuron, oxasulfuron, penoxsulam, primisulfuron-methyl, propoxycarbazone-sodium, propyrisulfuron (2-chloro-*N*-[[4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-6-propylimidazo[1,2-*b*]pyridazine-3-sulfonamide), prosulfuron, pyrazosulfuron-ethyl, pyribenzoxim, pyrifthalid, pyriminobac-methyl, pyrithiobac-sodium, rimsulfuron, sulfometuron-methyl, sulfosulfuron, thiencarbazone, 10 thifensulfuron-methyl, triafamone (*N*-[2-[(4,6-dimethoxy-1,3,5-triazin-2-yl)carbonyl]-6-fluorophenyl]-1,1-difluoro-*N*-methylmethanesulfonamide), triasulfuron, tribenuron-methyl, trifloxysulfuron (including sodium salt), triflusulfuron-methyl and tritosulfuron.

“ACCase inhibitors” (b3) are chemical compounds that inhibit the acetyl-CoA carboxylase enzyme, which is responsible for catalyzing an early step in lipid and fatty acid 15 synthesis in plants. Lipids are essential components of cell membranes, and without them, new cells cannot be produced. The inhibition of acetyl CoA carboxylase and the subsequent lack of lipid production leads to losses in cell membrane integrity, especially in regions of active growth such as meristems. Eventually shoot and rhizome growth ceases, and shoot meristems and rhizome buds begin to die back. Examples of ACCase inhibitors include 20 alloxydim, butoxydim, clethodim, clodinafop, cycloxydim, cyhalofop, diclofop, fenoxaprop, fluazifop, haloxyfop, pinoxaden, profoxydim, propaquizafop, quizalofop, sethoxydim, tepraloxym and tralkoxydim, including resolved forms such as fenoxaprop-P, fluazifop-P, haloxyfop-P and quizalofop-P and ester forms such as clodinafop-propargyl, cyhalofop-butyl, diclofop-methyl and fenoxaprop-P-ethyl.

Auxin is a plant hormone that regulates growth in many plant tissues. “Auxin mimics” 25 (b4) are chemical compounds mimicking the plant growth hormone auxin, thus causing uncontrolled and disorganized growth leading to plant death in susceptible species. Examples of auxin mimics include aminocyclopyrachlor (6-amino-5-chloro-2-cyclopropyl-4-pyrimidinecarboxylic acid) and its methyl and ethyl esters and its sodium and potassium salts, 30 aminopyralid, benazolin-ethyl, chloramben, clacyfos, clomeprop, clopyralid, dicamba, 2,4-D, 2,4-DB, dichlorprop, fluroxypyr, halauxifen (4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-2-pyridinecarboxylic acid), halauxifen-methyl (methyl 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-2-pyridinecarboxylate), MCPA, MCPB, mecoprop, picloram, quinclorac, quinmerac, 2,3,6-TBA, triclopyr, and methyl 4-amino-3-chloro-6-(4- 35 chloro-2-fluoro-3-methoxyphenyl)-5-fluoro-2-pyridinecarboxylate.

“EPSP synthase inhibitors” (b5) are chemical compounds that inhibit the enzyme, 5-enol-pyruvylshikimate-3-phosphate synthase, which is involved in the synthesis of aromatic amino acids such as tyrosine, tryptophan and phenylalanine. EPSP inhibitor herbicides are

readily absorbed through plant foliage and translocated in the phloem to the growing points. Glyphosate is a relatively nonselective postemergence herbicide that belongs to this group. Glyphosate includes esters and salts such as ammonium, isopropylammonium, potassium, sodium (including sesquisodium) and trimesium (alternatively named sulfosate).

5 “Photosystem I electron diverters” (b6) are chemical compounds that accept electrons from Photosystem I, and after several cycles, generate hydroxyl radicals. These radicals are extremely reactive and readily destroy unsaturated lipids, including membrane fatty acids and chlorophyll. This destroys cell membrane integrity, so that cells and organelles “leak”, leading to rapid leaf wilting and desiccation, and eventually to plant death. Examples of this second
10 type of photosynthesis inhibitor include diquat and paraquat.

 “PPO inhibitors” (b7) are chemical compounds that inhibit the enzyme protoporphyrinogen oxidase, quickly resulting in formation of highly reactive compounds in plants that rupture cell membranes, causing cell fluids to leak out. Examples of PPO inhibitors include acifluorfen-sodium, azafenidin, benzfendazole, bifenox, butafenacil, carfentrazone,
15 carfentrazone-ethyl, chlormethoxyfen, cinidon-ethyl, fluazolate, flufenpyr-ethyl, flumiclorac-pentyl, flumioxazin, fluoroglyphen-ethyl, fluthiacet-methyl, fomesafen, halosafen, lactofen, oxadiargyl, oxadiazon, oxyfluorfen, pentoxazone, proflumazone, pyraclostrobin, pyraflufen-ethyl, saflufenacil, sulfentrazone, thidiazimin, trifludimoxazin (dihydro-1,5-dimethyl-6-thioxo-3-[2,2,7-trifluoro-3,4-dihydro-3-oxo-4-(2-propyn-1-yl)-2H-1,4-
20 benzoxazin-6-yl]-1,3,5-triazine-2,4(1*H*,3*H*)-dione) and tiafenacil (methyl *N*-[2-[[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2*H*)-pyrimidinyl]-4-fluorophenyl]thio]-1-oxopropyl]-β-alaninate).

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

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

pethoxamid, piperophos, pretilachlor, propachlor, propisochlor, pyroxasulfone, and thenylchlor, including resolved forms such as S-metolachlor and chloroacetamides and oxyacetamides.

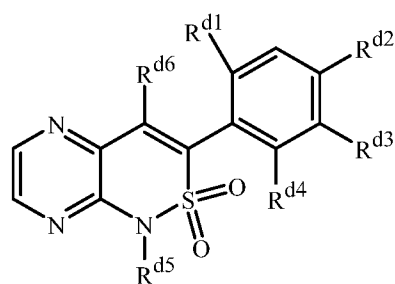
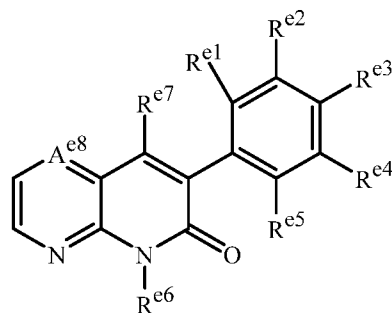
“Auxin transport inhibitors” (b10) are chemical substances that inhibit auxin transport in plants, such as by binding with an auxin-carrier protein. Examples of auxin transport inhibitors include diflufenzopyr, naptalam (also known as *N*-(1-naphthyl)phthalamic acid and 2-[(1-naphthalenylamino)carbonyl]benzoic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

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

“HPPD inhibitors” (b12) are chemical substances that inhibit the biosynthesis of synthesis of 4-hydroxyphenyl-pyruvate dioxygenase. Examples of HPPD inhibitors include benzobicyclon, benzofenap, bicyclopyrone (4-hydroxy-3-[[2-[(2-methoxyethoxy)methyl]-6-(trifluoromethyl)-3-pyridinyl]carbonyl]bicyclo[3.2.1]oct-3-en-2-one), fenquinotrine (2-[[8-chloro-3,4-dihydro-4-(4-methoxyphenyl)-3-oxo-2-quinoxaliny]carbonyl]-1,3-cyclohexanedione), isoxachlortole, isoxaflutole, mesotrione, pyrasulfotole, pyrazolynate, pyrazoxyfen, sulcotrione, tefuryltrione, tembotrione, tolpyralate (1-[[1-ethyl-4-[3-(2-methoxyethoxy)-2-methyl-4-(methylsulfonyl)benzoyl]-1H-pyrazol-5-yl]oxy]ethyl methyl carbonate), topramezone, 5-chloro-3-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-1-(4-methoxyphenyl)-2(1*H*)-quinoxalinone, 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone, 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5(2*H*,4*H*)-dione, 5-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-(3-methoxyphenyl)-3-(3-methoxypropyl)-4(3*H*)-pyrimidinone, 2-methyl-*N*-(4-methyl-1,2,5-oxadiazol-3-yl)-3-(methylsulfinyl)-4-(trifluoromethyl)benzamide and 2-methyl-3-(methylsulfonyl)-*N*-(1-methyl-1*H*-tetrazol-5-yl)-4-(trifluoromethyl)benzamide.

“HST inhibitors” (b13) disrupt a plant’s ability to convert homogentisate to 2-methyl-6-solanyl-1,4-benzoquinone, thereby disrupting carotenoid biosynthesis. Examples of HST inhibitors include haloxydine, pyriclor, 3-(2-chloro-3,6-difluorophenyl)-4-hydroxy-1-methyl-1,5-naphthyridin-2(1*H*)-one, 7-(3,5-dichloro-4-pyridinyl)-5-(2,2-difluoroethyl)-8-hydroxypyrido[2,3-*b*]pyrazin-6(5*H*)-one and 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone.

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

**A****B**

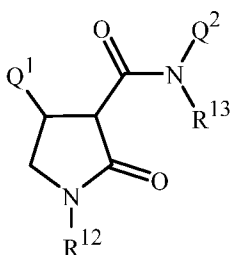
wherein R^{d1} is H, Cl or CF_3 ; R^{d2} is H, Cl or Br; R^{d3} is H or Cl; R^{d4} is H, Cl or CF_3 ; R^{d5} is CH_3 , CH_2CH_3 or CH_2CHF_2 ; and R^{d6} is OH, or $-OC(=O)-i-Pr$; and R^{e1} is H, F, Cl, CH_3 or CH_2CH_3 ; R^{e2} is H or CF_3 ; R^{e3} is H, CH_3 or CH_2CH_3 ; R^{e4} is H, F or Br; R^{e5}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

“Cellulose biosynthesis inhibitors” (b14) inhibit the biosynthesis of cellulose in certain plants. They are most effective when applied preemergence or early postemergence on young or rapidly growing plants. Examples of cellulose biosynthesis inhibitors include chlorthiamid, dichlobenil, flupoxam, indaziflam ($N^2-[(1R,2S)-2,3-dihydro-2,6-dimethyl-1H-inden-1-yl]-6-(1-fluoroethyl)-1,3,5-triazine-2,4-diamine$), isoxaben and triaziflam.

“Other herbicides” (b15) include herbicides that act through a variety of different modes of action such as mitotic disruptors (e.g., flamprop-M-methyl and flamprop-M-isopropyl), organic arsenicals (e.g., DSMA, and MSMA), 7,8-dihydropteroate synthase inhibitors, chloroplast isoprenoid synthesis inhibitors and cell-wall biosynthesis inhibitors. Other herbicides include those herbicides having unknown modes of action or do not fall into a specific category listed in (b1) through (b14) or act through a combination of modes of action listed above. Examples of other herbicides include aclonifen, asulam, amitrole, bromobutide, cinmethylin, clomazone, cumyluron, cyclopyrimorate (6-chloro-3-(2-cyclopropyl-6-methylphenoxy)-4-pyridazinyl 4-morpholinecarboxylate), daimuron, difenzoquat, etobenzanid, fluometuron, flurenol, fosamine, fosamine-ammonium, dazomet, dymron, ipfencarbazone (1-(2,4-dichlorophenyl)-*N*-(2,4-difluorophenyl)-1,5-dihydro-*N*-(1-methylethyl)-5-oxo-4*H*-1,2,4-triazole-4-carboxamide), metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid, pyributicarb and 5-[(2,6-difluorophenyl)methoxy]methyl]-4,5-dihydro-5-methyl-3-(3-methyl-2-thienyl)isoxazole.

“Other herbicides” (b15) include herbicides that act through a variety of different modes of action such as mitotic disruptors (e.g., flamprop-M-methyl and flamprop-M-isopropyl), organic arsenicals (e.g., DSMA, and MSMA), 7,8-dihydropteroate synthase inhibitors, chloroplast isoprenoid synthesis inhibitors and cell-wall biosynthesis inhibitors. Other herbicides include those herbicides having unknown modes of action or do not fall into a specific category listed in (b1) through (b14) or act through a combination of modes of action

listed above. Examples of other herbicides include aclonifen, asulam, amitrole, bromobutide, cinmethylin, clomazone, cumyluron, cyclopyrimorate (6-chloro-3-(2-cyclopropyl-6-methylphenoxy)-4-pyridazinyl 4-morpholinecarboxylate), daimuron, difenzoquat, etobenzanid, fluometuron, flurenol, fosamine, fosamine-ammonium, dazomet, dymron, 2-[(2,4-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone (CA No. 81777-95-9), 2-[(2,5-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone (CA No. 81778-66-7), ipfencarbazone (1-(2,4-dichlorophenyl)-*N*-(2,4-difluorophenyl)-1,5-dihydro-*N*-(1-methylethyl)-5-oxo-4*H*-1,2,4-triazole-4-carboxamide), metam, methyldymron, oleic acid, oxaziclomefone, pelargonic acid, pyributicarb and 5-[(2,6-difluorophenyl)methoxy]methyl]-4,5-dihydro-5-methyl-3-(3-methyl-2-thienyl)isoxazole. “Other herbicides” (b15) also include a compound of Formula (b15A)



(b15A)

wherein

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

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

Q^1 is an optionally substituted ring system selected from the group consisting of phenyl, thienyl, pyridinyl, benzodioxolyl, naphthalenyl, benzofuranyl, furanyl, benzothiophenyl and pyrazolyl, wherein when substituted said ring system is substituted with 1 to 3 R^{14} ;

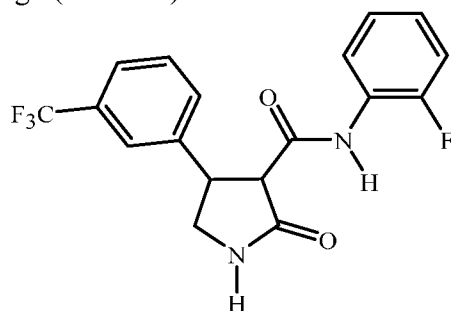
Q^2 is an optionally substituted ring system selected from the group consisting of phenyl, pyridinyl, benzodioxolyl, pyridinonyl, thiadiazolyl, thiazolyl, and oxazolyl, wherein when substituted said ring system is substituted with 1 to 3 R^{15} ;

each R^{14} is independently halogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, C_1 – C_6 alkoxy, C_1 – C_6 haloalkoxy, C_3 – C_8 cycloalkyl, cyano, C_1 – C_6 alkylthio, C_1 – C_6 alkylsulfinyl, C_1 – C_6 alkylsulfonyl, SF_5 , NHR^{17} ; or phenyl optionally substituted by 1 to 3 R^{16} ; or pyrazolyl optionally substituted by 1 to 3 R^{16} ;

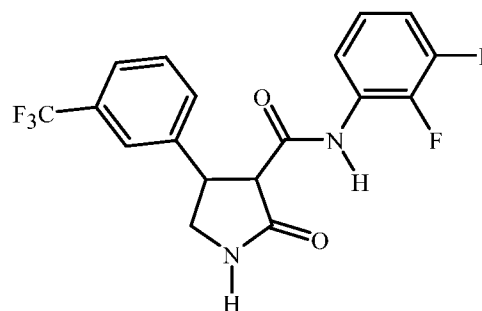
each R^{15} is independently halogen, C_1 – C_6 alkyl, C_1 – C_6 haloalkyl, C_1 – C_6 alkoxy, C_1 – C_6 haloalkoxy, cyano, nitro, C_1 – C_6 alkylthio, C_1 – C_6 alkylsulfinyl, C_1 – C_6 alkylsulfonyl;

each R^{16} is independently halogen, C_1 – C_6 alkyl or C_1 – C_6 haloalkyl; and R^{17} is C_1 – C_4 alkoxycarbonyl.

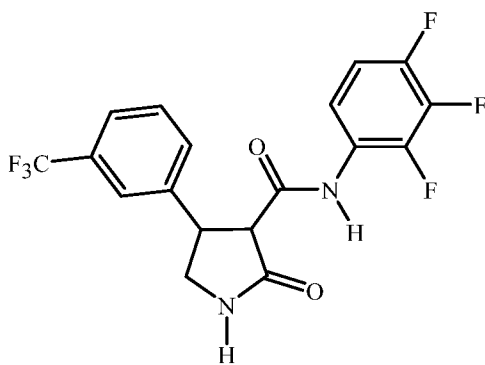
In one Embodiment wherein “other herbicides” (b15) also include a compound of Formula (b15A), it is preferred that R^{12} is H or C_1-C_6 alkyl; more preferably R^{12} is H or methyl. Preferably R^{13} is H. Preferably Q^1 is either a phenyl ring or a pyridinyl ring, each ring substituted by 1 to 3 R^{14} ; more preferably Q^1 is a phenyl ring substituted by 1 to 2 R^{14} .
 5 Preferably Q^2 is a phenyl ring substituted with 1 to 3 R^{15} ; more preferably Q^2 is a phenyl ring substituted by 1 to 2 R^{15} . Preferably each R^{14} is independently halogen, C_1-C_4 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy or C_1-C_3 haloalkoxy; more preferably each R^{14} is independently chloro, fluoro, bromo, C_1-C_2 haloalkyl, C_1-C_2 haloalkoxy or C_1-C_2 alkoxy. Preferably each R^{15} is independently halogen, C_1-C_4 alkyl, C_1-C_3 haloalkoxy; more preferably each R^{15} is
 10 independently chloro, fluoro, bromo, C_1-C_2 haloalkyl, C_1-C_2 haloalkoxy or C_1-C_2 alkoxy. Specifically preferred as “other herbicides” (b15) include any one of the following (b15A-1) through (b15A-15):



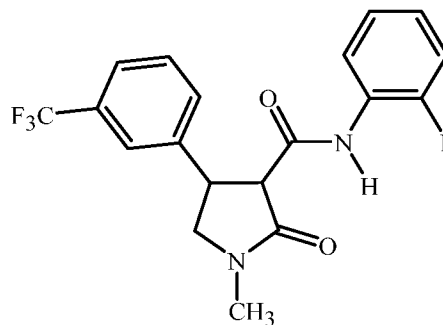
(b15A-1)



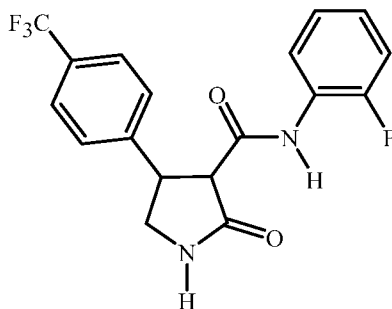
(b15A-2)



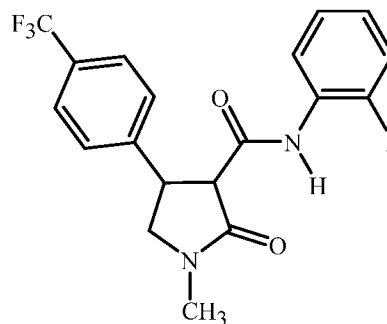
(b15A-3)



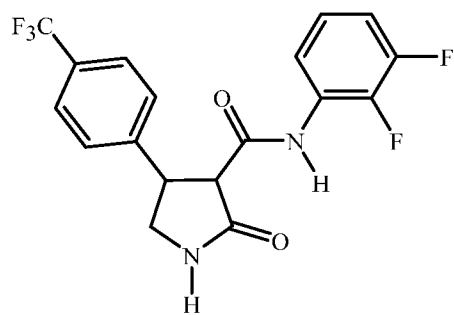
(b15A-4)



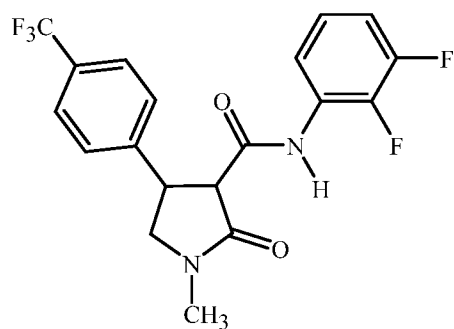
(b15A-5)



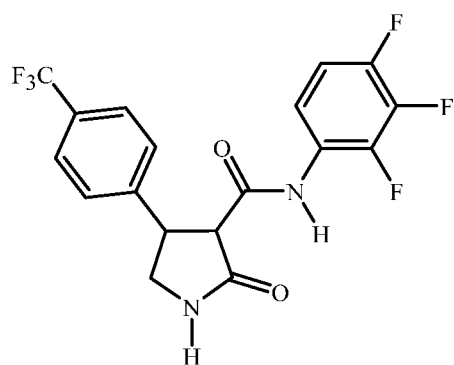
(b15A-6)



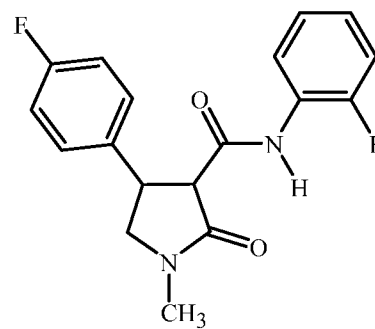
(b15A-7)



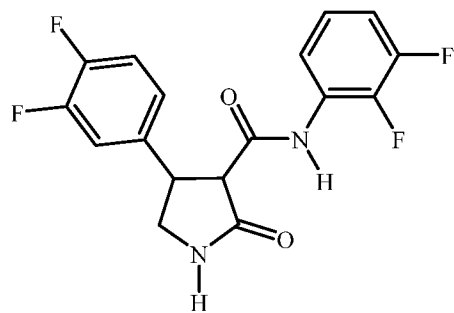
(b15A-8)



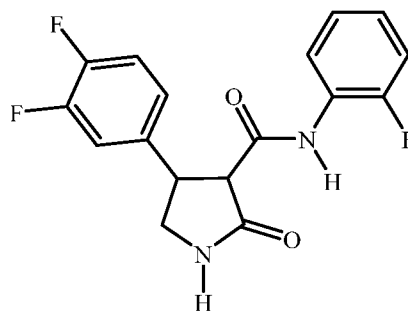
(b15A-9)



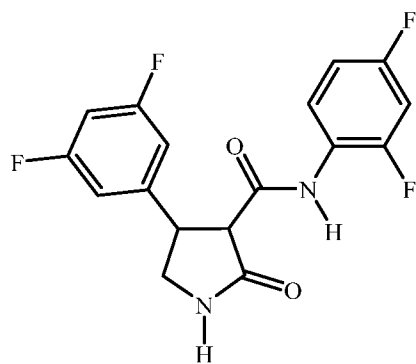
(b15A-10)



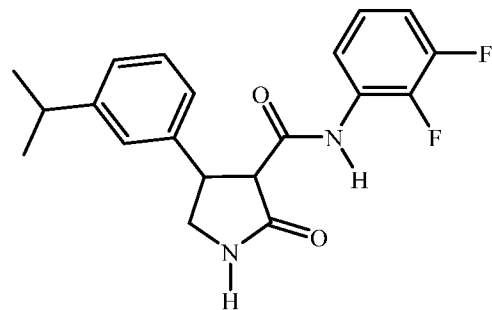
(b15A-11)



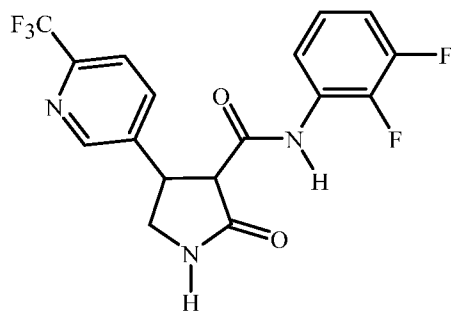
(b15A-12)



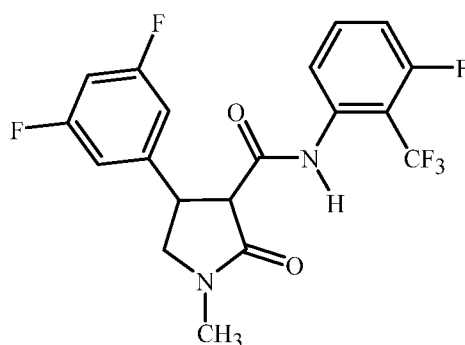
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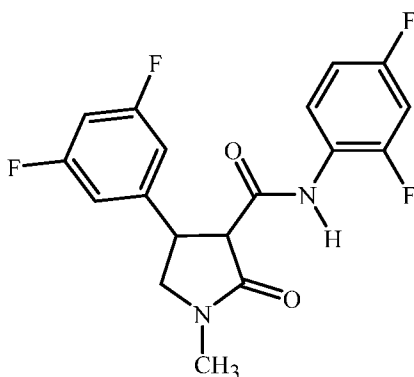
(b15A-14)



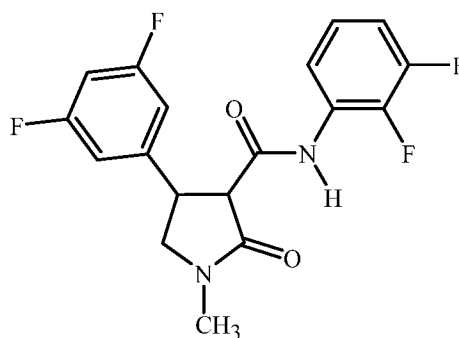
(b15A-15)



(b15A-16)

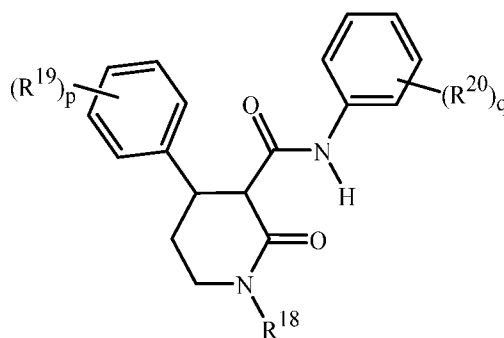


(b15A-17)



(b15A-18)

“Other herbicides” (b15) also include a compound of Formula (b15B)



(b15B)

wherein

R¹⁸ is H, C₁–C₆ alkyl, C₁–C₆ haloalkyl or C₄–C₈ cycloalkyl;

5 each R¹⁹ is independently halogen, C₁–C₆ haloalkyl or C₁–C₆ haloalkoxy;

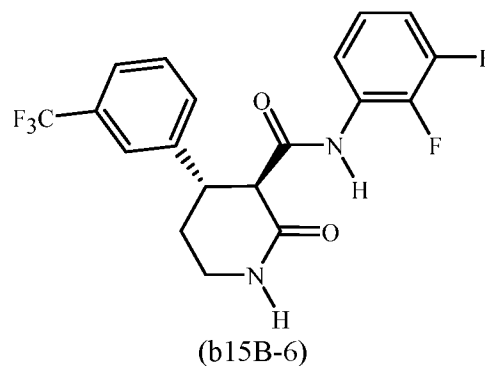
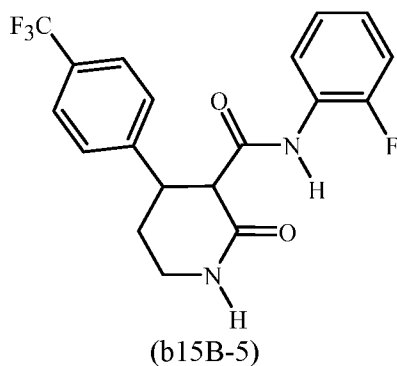
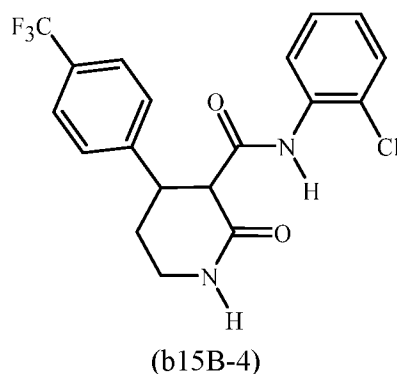
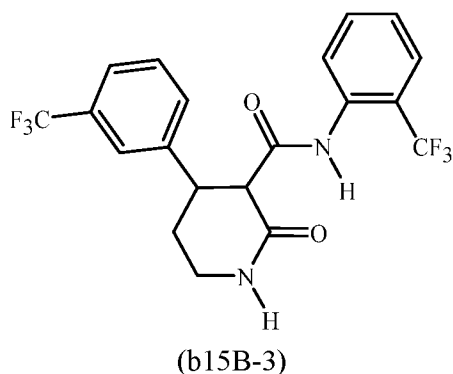
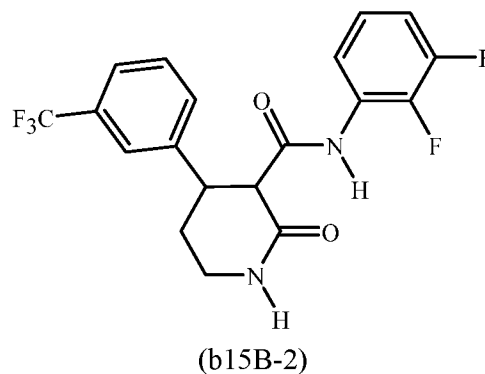
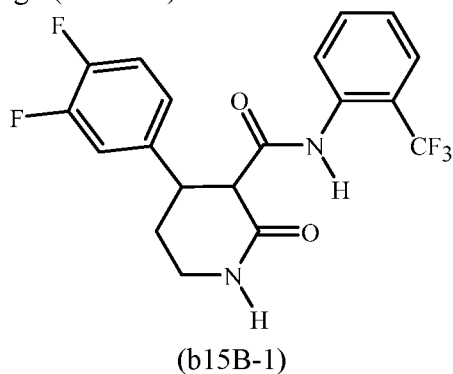
p is an integer of 0, 1, 2 or 3;

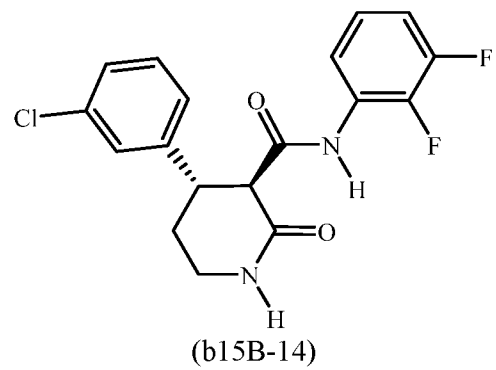
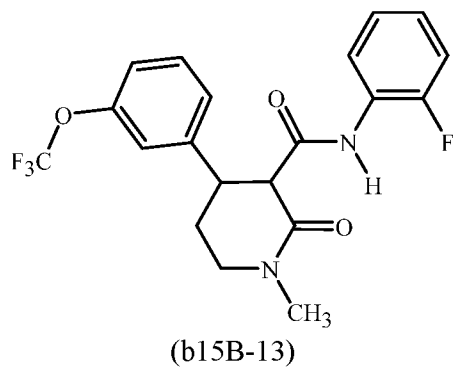
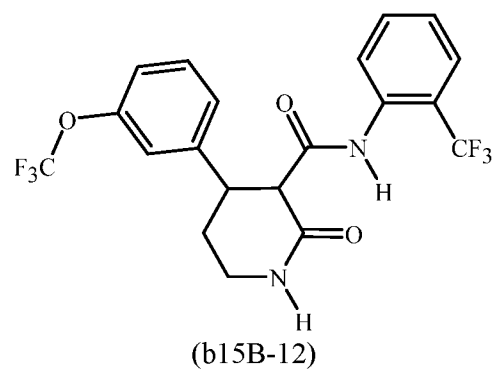
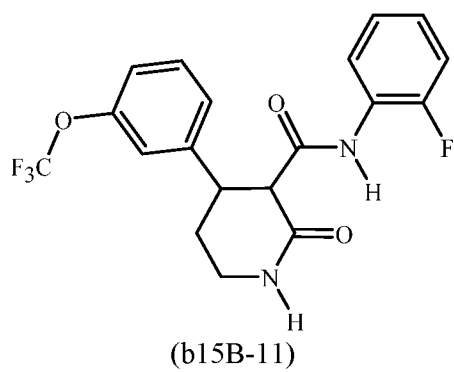
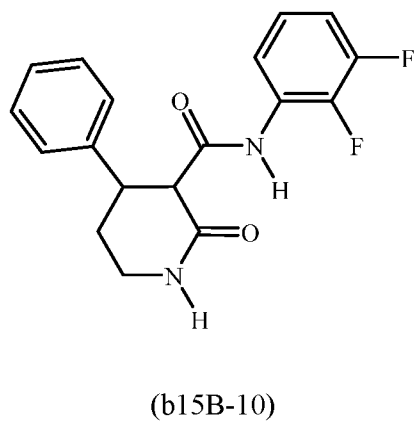
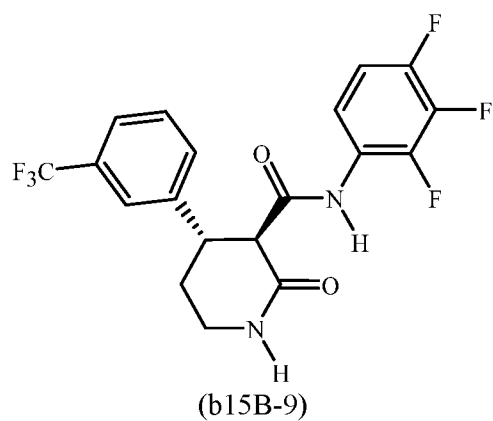
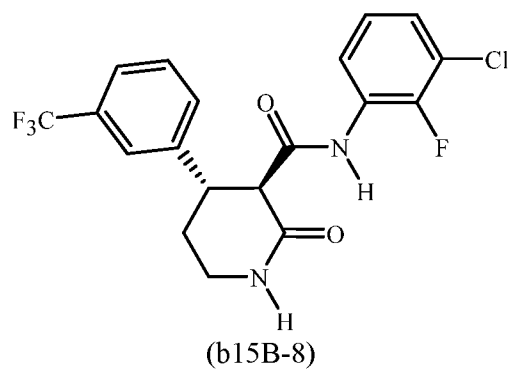
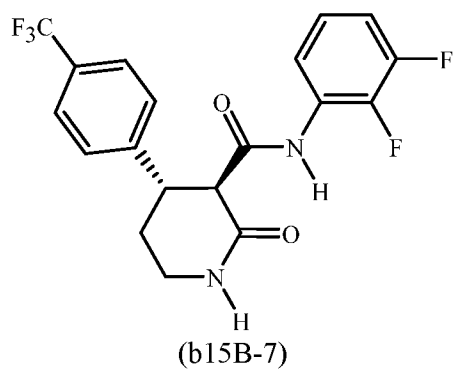
each R²⁰ is independently halogen, C₁–C₆ haloalkyl or C₁–C₆ haloalkoxy; and

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

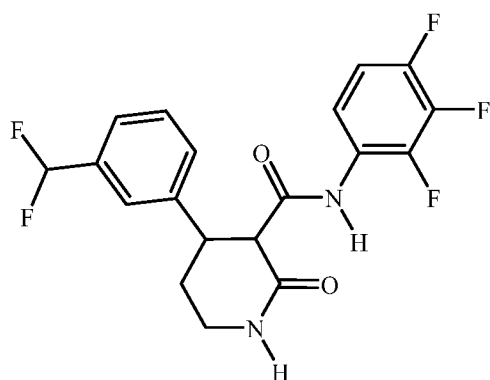
In one Embodiment wherein “other herbicides” (b15) also include a compound of
 10 Formula (b15B), it is preferred that R¹⁸ is H, methyl, ethyl or propyl; more preferably R¹⁸ is H or methyl; most preferably R¹⁸ is H. Preferrably each R¹⁹ is independently chloro, fluoro,

- C₁–C₃ haloalkyl or C₁–C₃ haloalkoxy; more preferably each R¹⁹ is independently chloro, fluoro, C₁ fluoroalkyl (i.e. fluoromethyl, difluoromethyl or trifluoromethyl) or C₁ fluoroalkoxy (i.e. trifluoromethoxy, difluoromethoxy or fluoromethoxy). Preferably each R²⁰ is independently chloro, fluoro, C₁ haloalkyl or C₁ haloalkoxy; more preferably each R²⁰ is independently chloro, fluoro, C₁ fluoroalkyl (i.e. fluoromethyl, difluoromethyl or trifluoromethyl) or C₁ fluoroalkoxy (i.e. trifluoromethoxy, difluoromethoxy or fluoromethoxy). Specifically preferred as “other herbicides” (b15) include any one of the following (b15B-1) through (b15B-19):

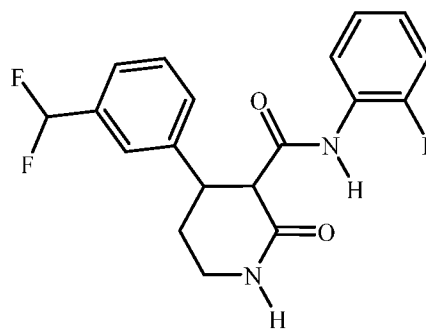




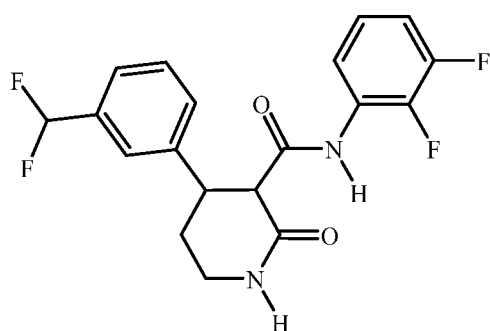
41



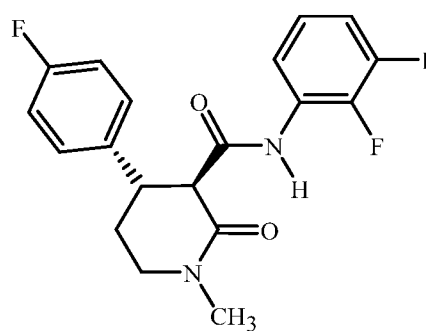
(b15B-15)



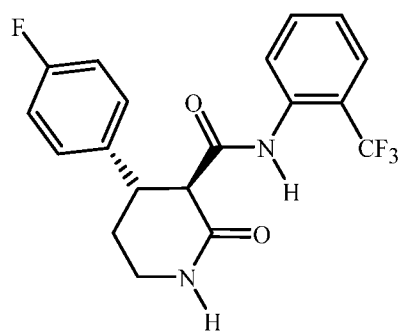
(b15B-16)



(b15B-17)

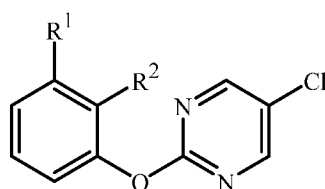


(b15B-18)



(b15B-19).

Another Embodiment wherein “other herbicides” (b15) also include a compound of Formula (b15C),



(b15C)

wherein R^1 is Cl, Br or CN; and R^2 is $C(=O)CH_2CH_2CF_3$, $CH_2CH_2CH_2CH_2CF_3$ or 3-CHF₂-isoxazol-5-yl.

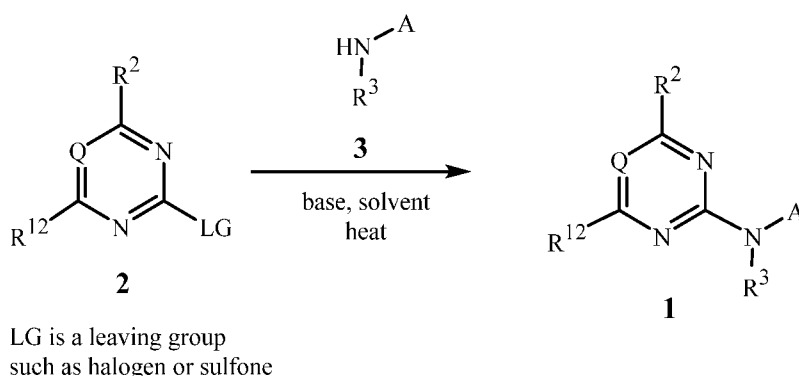
“Herbicide safeners” (b16) are substances added to a herbicide formulation to eliminate or reduce phytotoxic effects of the herbicide to certain crops. These compounds protect crops from injury by herbicides but typically do not prevent the herbicide from controlling undesired vegetation. Examples of herbicide safeners include but are not limited to benoxacor, cloquintocet-mexyl, cumyluron, cyometrinil, cyprosulfamide, daimuron, dichlormid, dicyclonon, dietholate, dimepiperate, fenchlorazole-ethyl, fencloirim, flurazole, fluxofenim, furilazole, isoxadifen-ethyl, mefenpyr-diethyl, mephenate, methoxyphenone, naphthalic anhydride, oxabetrinil, *N*-(aminocarbonyl)-2-methylbenzenesulfonamide and *N*-(aminocarbonyl)-2-fluorobenzenesulfonamide, 1-bromo-4-[(chloromethyl)sulfonyl]benzene, 2-(dichloromethyl)-2-methyl-1,3-dioxolane (MG 191), 4-(dichloroacetyl)-1-oxa-4-azospiro[4.5]decane (MON 4660), 2,2-dichloro-1-(2,2,5-trimethyl-3-oxazolidinyl)-ethanone and 2-methoxy-*N*-[[4-[[[(methylamino)carbonyl]amino]phenyl]sulfonyl]-benzamide.

One or more of the following methods and variations as described in Schemes 1-16 can be used to prepare the compounds of Formula 1. The definitions of A, A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9, A-10, R¹-R^{20b}, W, X and Y in the compounds of Formulae 1-19 below are as defined above in the Summary of unless otherwise noted. Compounds of Formulae 1a, 2a, 2b, 2c, 2d, 3a, 15a, 15b, 15c, 15d and 15e are various subsets of the compounds of Formulae 1, 2, 3 and 15, and all substituents for Formulae 1a, 2a, 2b, 2c, 2d, 3a, 15a, 15b, 15c, 15d and 15e are as defined above for Formula 1 unless otherwise noted in the disclosure including the schemes.

As shown in Scheme 1, a compound of Formula 1 can be prepared through nucleophilic substitution by heating a compound of Formula 2 (wherein LG is a leaving group such as halogen or sulfone) with an amine compound of Formula 3 or acid addition salt thereof, in a suitable solvent, such as acetonitrile, tetrahydrofuran, 1,4-dioxane or *N,N*-dimethylformamide in the presence of a base such as potassium or cesium carbonate, at temperatures ranging from 50 to 120 °C. The transformation in Scheme 1 may be conducted similarly with compounds of Formula 2 wherein LG comprises other leaving groups such as C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylsulfonyloxy or C₁-C₄ haloalkylsulfonyloxy.

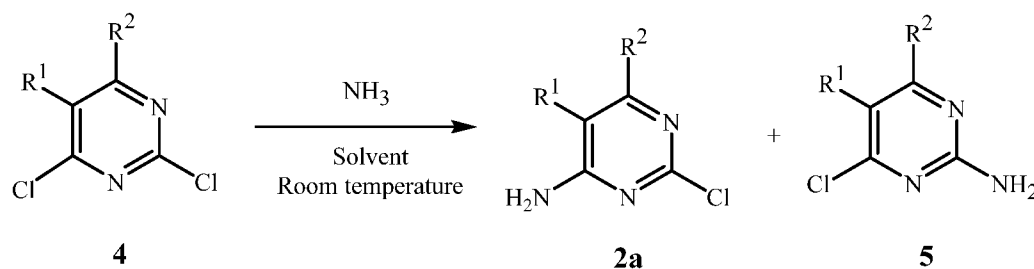
43

Scheme 1



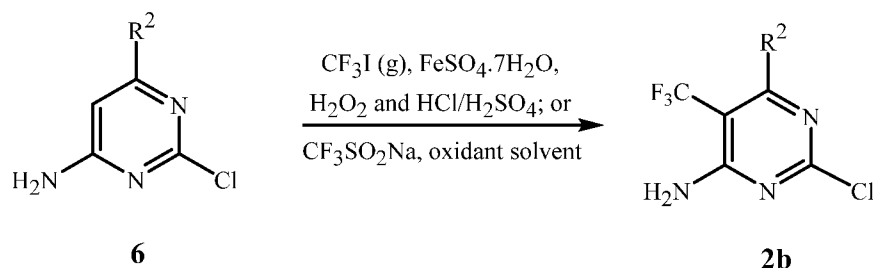
Aminopyrimidines of Formula **2a** (i.e a compound of Formula **2** wherein R^{12} is NH_2 and LG is Cl) are commercially available or can be prepared as shown in Scheme 2 by reacting a dichloropyrimidine of Formula **4** with ammonia in a suitable solvent such as methanol or ethanol, at temperatures typically ranging from 0 °C to the reflux temperature of the solvent. The resulting isomer mixture of **2a** and **5** can be separated by chromatography. The dichloropyrimidine compounds of Formula **4** are commercially available or can be prepared according to the methods described in WO 2008/077885.

Scheme 2



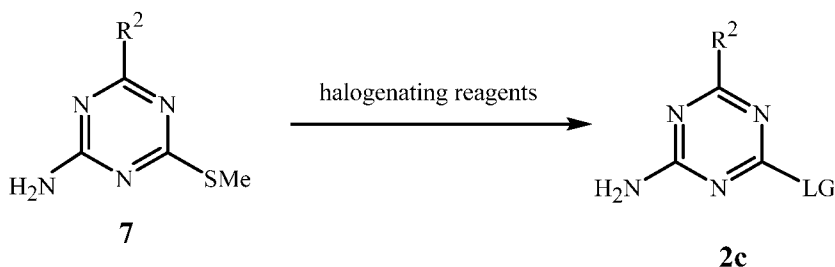
Aminopyrimidines of Formula **2b** (i.e a compound of Formula **2** wherein R^{12} is NH_2 , LG is Cl and R^1 is CF_3) can be prepared in a single regio-isomeric step by a CF_3 insertion reaction as shown in Scheme 3. This can be achieved by reacting commercially available 2-chloropyrimidin-4-amines of Formula **6** with trifluoromethane iodide (CF_3I) in the presence of ferrous sulphate ($FeSO_4 \cdot 7H_2O$), hydrogen peroxide (H_2O_2) and hydrochloric acid (HCl) at a temperature from 0 °C to ambient temperature. Specific examples of similar reactions can be found in WO 2007/055170. Alternatively, as described in Scheme 3, the same CF_3 insertion can also be achieved by reacting **6** with sodium trifluoromethanesulfinate (CF_3SO_2Na) and a suitable oxidant like manganese (III) acetate or *tert*-butyl hydroperoxide at ambient temperature. The synthesis can be achieved using procedures reported in *Chem. Comm.* **2014**, 50, 3359-3362 or *Proc. Natl. Acad. Sci. USA* **2011**, 108, 14411-14415.

Scheme 3



5 Halo-triazines of Formula **2c** (i.e. a compound of Formula **2** wherein Q is N and R¹² is NH₂) can be purchased commercially or as shown in Scheme 4, can be prepared by reacting thiotriazines of Formula **7**, with a suitable halogenating reagent such as chlorine gas (Cl₂) or sulfonyl chloride (SO₂Cl₂) in a suitable solvent such as dichloromethane, dichloroethane, chloroform at a temperature ranging from 0 °C to boiling point of the solvent as reported in WO 2018/166822.

Scheme 4



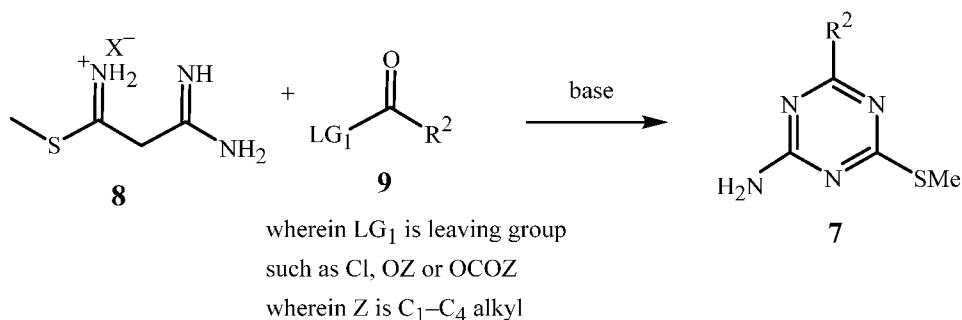
wherein LG is halogen

10

Thiotriazines of Formula **7** can be purchased commercially or as shown in Scheme 5, can be prepared by reacting a suitable guanidine salt of Formula **8** with a carbonyl compound of Formula **9** (wherein LG₁ is leaving group such as Cl, OMe, OEt, OCOR₂) in the presence of a base such as triethyl amine in a suitable solvent such as diethyl ether, tetrahydrofuran, acetonitrile or 1,4-dioxane at the temperature of 50 °C. The synthesis can be achieved using procedures reported in WO 2018/166822. The guanidine salts of Formula **8** (wherein X = I) can be synthesized according the procedure described in WO 2012/047630 by the reaction of commercially available iodomethane and amidinothiourea.

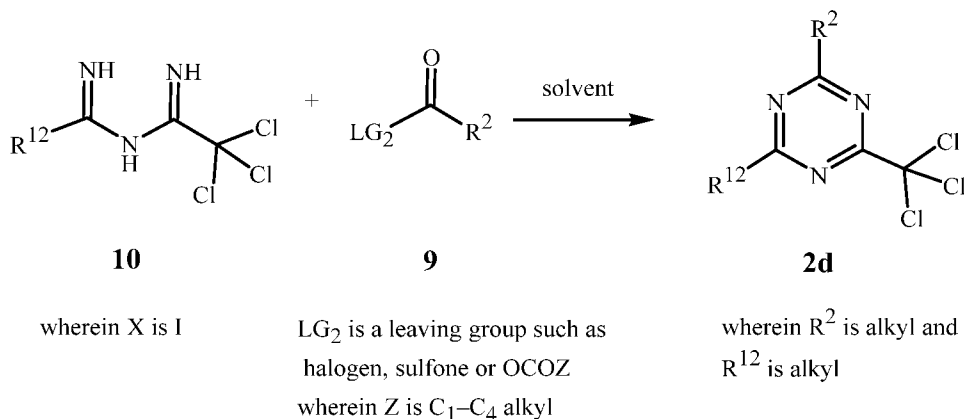
15

Scheme 5



As shown in Scheme 6, dialkyl triazines of Formula **2d** (a compound of Formula **2** wherein Q is N, R^2 is alkyl and R^{12} is alkyl) can be prepared by reacting guanidine compounds of Formula **10** with carbonyl compounds of Formula **9** (wherein LG_1 is a leaving group such as halogen, OZ or OCOZ wherein Z is $\text{C}_1\text{--C}_4$ alkyl) in a suitable solvent such as diethyl ether, at a temperature ranging from ambient temperature to 35°C . The guanidine compounds of Formula **10** can easily be prepared by reaction of commercially available alkyl amidines and trichloro acetonitrile in the presence of a strong base such as sodium hydroxide in a suitable solvent, such as methanol or ethanol at ambient temperature. The synthesis can be achieved using procedures reported in EP 2327700.

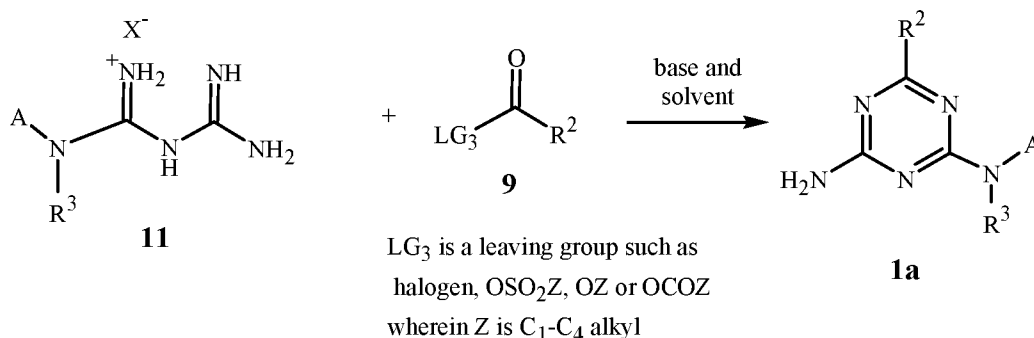
Scheme 6



Alternatively, triazine diamines of Formula **1a** (i.e. a compound of Formula **1** wherein Q is N and R^{12} is NH_2) can also be synthesized as shown in Scheme 7, by the reaction of a biguanidine salt of Formula **11** with a carbonyl compound of Formula **9** (wherein LG_3 is a leaving group such as halogen, OSO_2Z , OZ or OCOZ, wherein Z is $\text{C}_1\text{--C}_4$ alkyl) in the presence of organic amine bases such as triethylamine or inorganic alkoxide bases such as sodium ethoxide or sodium methoxide in suitable solvent such as dichloromethane, tetrahydrofuran or 1,4-dioxane at a temperature from 0°C to reflux temperature of the respective solvents. Specific examples of the above Scheme can be found in WO 2009/077059 or WO 2017/042126.

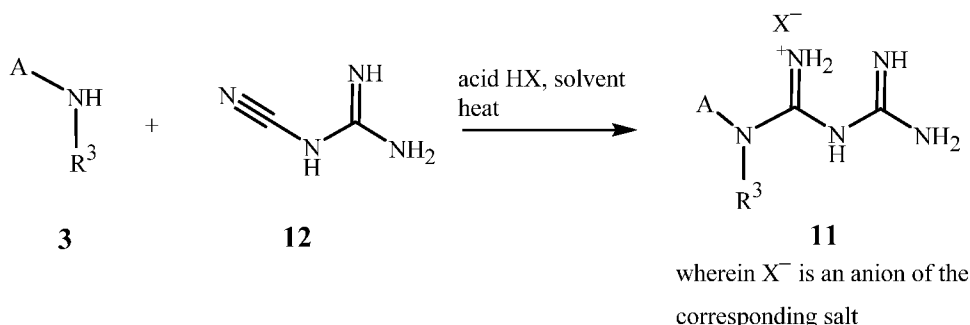
46

Scheme 7



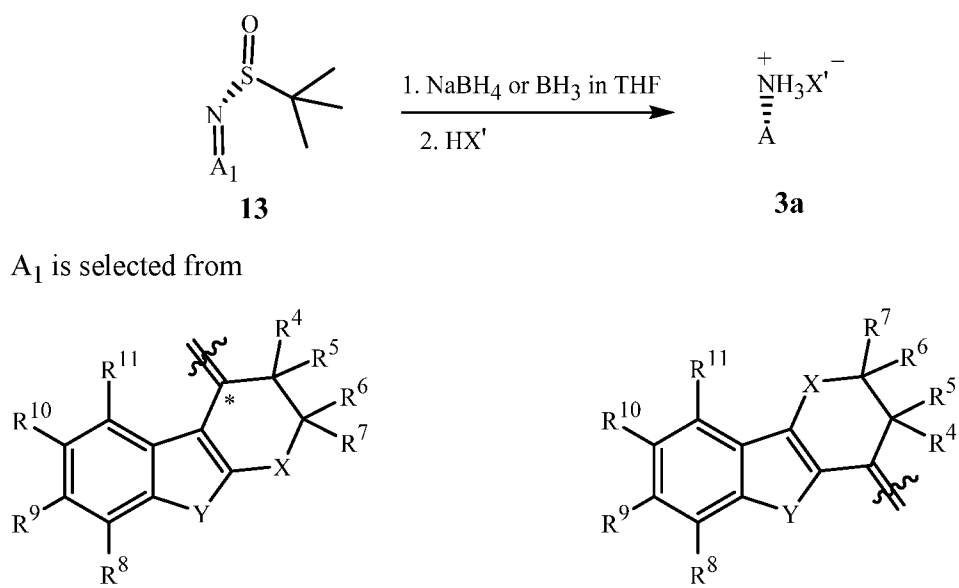
As shown in Scheme 8, a biguanidine salt of Formula **11** can be synthesized by reaction of an amine compound of Formula **3** with commercially available *N*-cyano guanidine **12** in the presence of an inorganic acid such as hydrochloric acid, in a high boiling solvent like *n*-decane at a temperature of 135 °C. Similar examples can be found in WO 2009/077059 or WO 2017/042126.

Scheme 8

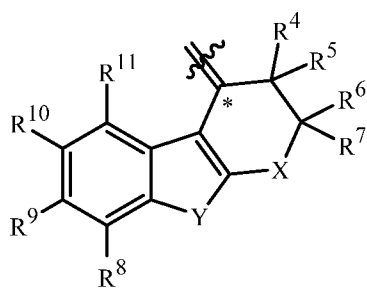


As shown in Scheme 9, chiral amines of the Formula **3a** (wherein the stereocenter is as illustrated in scheme 9) or acid addition salts thereof, can be prepared by stereoselective reduction of chiral *N-tert*-butanesulfinyl imines of Formula **13**, followed by selective removal of *N-tert*-butanesulfonamide group by treatment with a suitable acid HX' . Suitable reducing agents for the reaction include commercially available sodium borohydride or borane in tetrahydrofuran. The reaction can be performed at a temperature ranging from 0 °C to ambient temperature. Suitable acids for the sulfonamide removal are strong mineral acids such as hydrochloric acid in methanol or 1,4-dioxane and the reaction of the sulfonamide removal can be conducted at a temperature from 0 °C to ambient temperature. For conditions and reagents employed in the above methods using Ellman auxiliary can be found in *J. Org. Chem.* **2006**, 71(18), 6859–6862 or *Chemical Reviews* **2010**, 110(6), 3600–3740 and references cited therein.

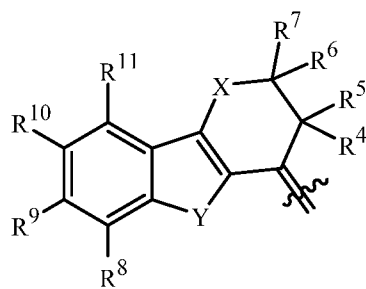
Scheme 9



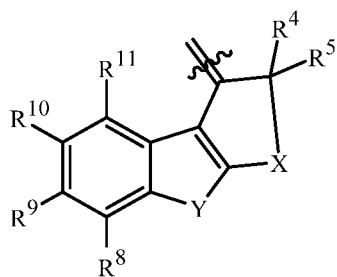
A_1 is selected from



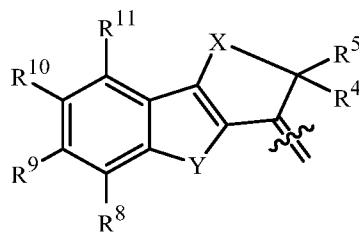
A₁-1



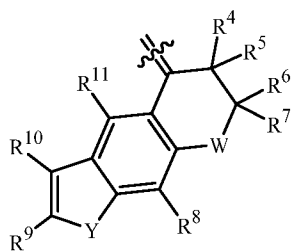
A₁-2



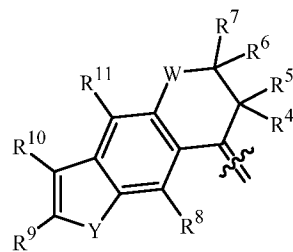
A₁-3



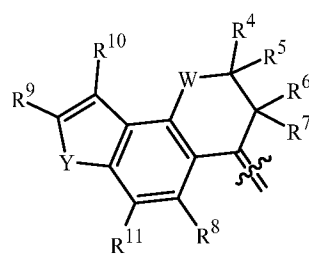
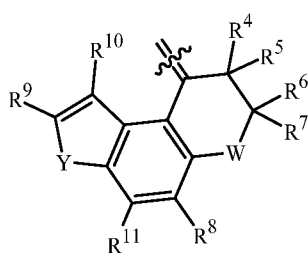
A₁-4

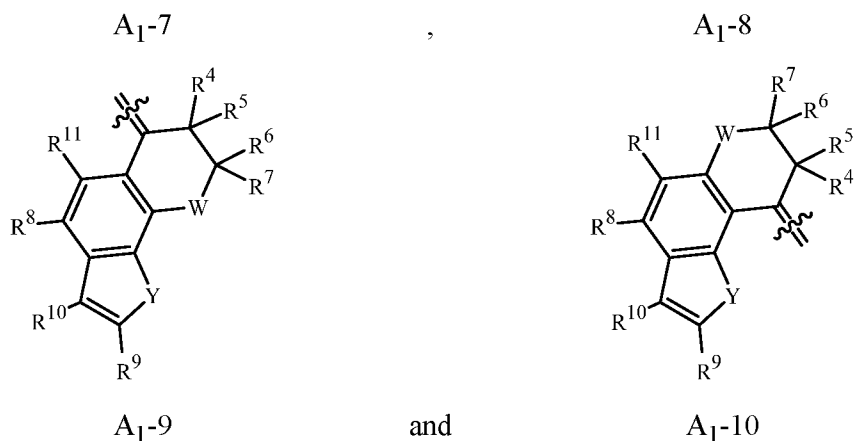


A₁-5



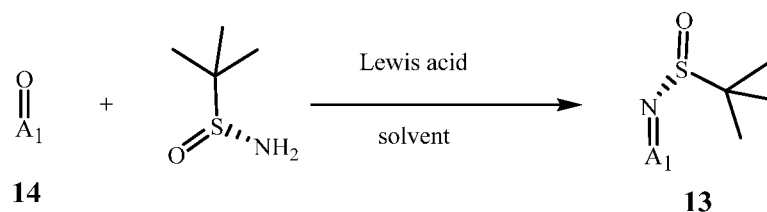
A₁-6



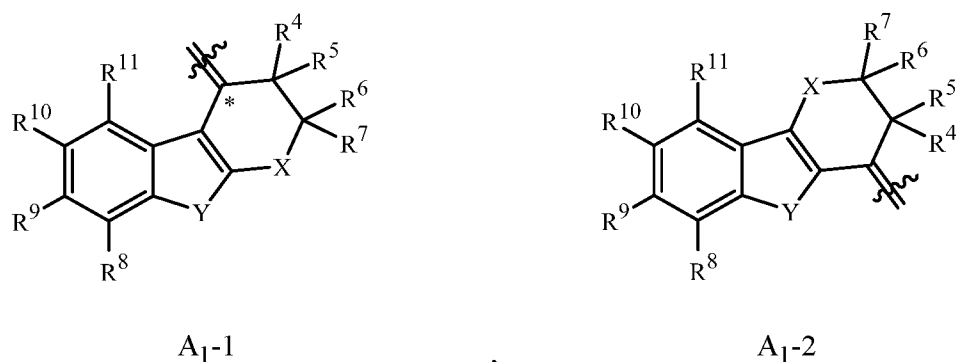


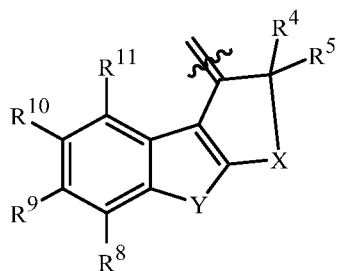
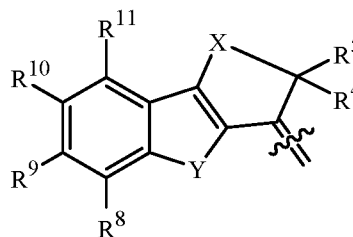
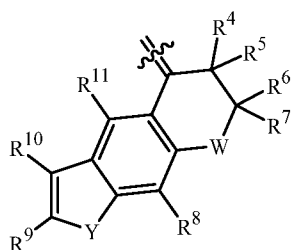
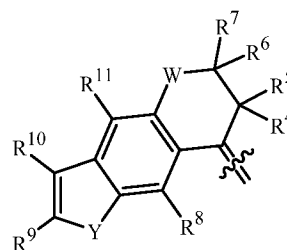
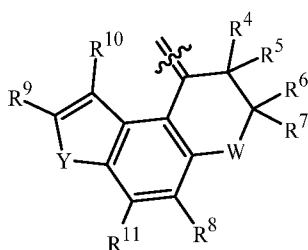
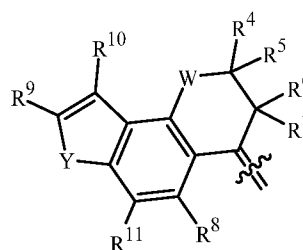
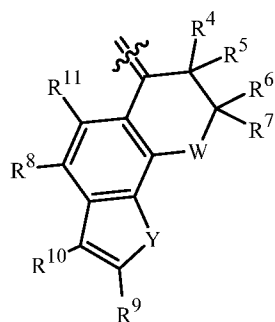
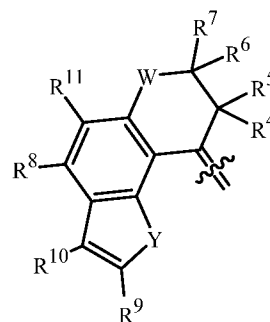
As shown in Scheme 10, chiral sulfinyl imines of Formula **13** can be synthesized using condensation reactions of a ketone of Formula **14** with commercially available chiral 2-methyl-2-propanesulfinamide, in the presence of Lewis acids such as titanium tetraethoxide, copper sulphate or magnesium sulphate, in anhydrous solvents such as tetrahydrofuran, diethyl ether, 1,4-dioxane or dichloromethane. For detailed conditions and reagents for the Ellman
5 procedure see *Chemical Reviews* **2010**, 110(6), 3600–3740 and references cited therein.

Scheme 10



A_1 is selected from



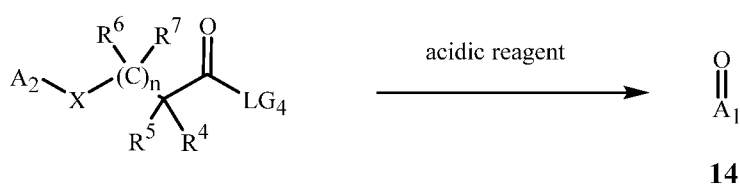
A_I-3A_I-4A_I-5A_I-6A_I-7A_I-8A_I-9A_I-10

and

5 The ketone of Formula **14** can be prepared as shown in Scheme 11, by an intramolecular cyclization of a carboxylic acid (wherein LG₄ is OH) of Formula **15a** or its corresponding acyl derivative (wherein LG₄ is Cl) of Formula **15b** using strong acidic reagents such as polyphosphoric acid, methane sulfonic acid or trifluoromethane sulfonic acid; or by an intramolecular Friedel-Crafts acylation using a Lewis acid such as anhydrous aluminum chloride at a temperature ranging from 80 to 120 °C. The synthesis can be achieved using methods reported in *Euro. J. Med. Chem.* **2013**, 62, 632–648 or WO 2011/140488. The intramolecular

Friedel-Crafts acylation reactions to synthesize six membered ketones of Formula **14** can alternatively be achieved by treating acyl chloride derivative of Formula **15b** with hexafluoro isopropanol (HFIP) as described in *Org. Lett.* **2015**, 17, 5484–5487. The ketone of Formula **14** can be also be alternatively prepared by other different literature methods described in *Org. Lett.* **2018**, 20, 8030–8034; *J. Org. Chem.* **2019**, 84, 2941–2950 or *J. Org. Chem.* **2012**, 77, 7793–7803.

Scheme 11



15 wherein n is 0 or 1; and

LG_4 is OH or halogen;

15a wherein n is 0 or 1; and

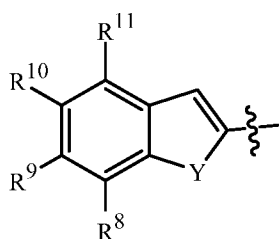
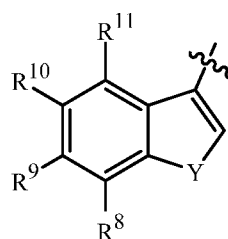
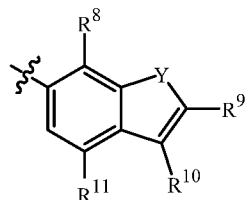
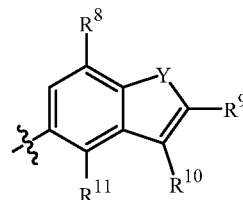
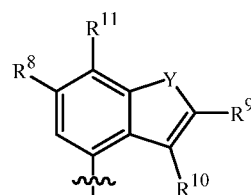
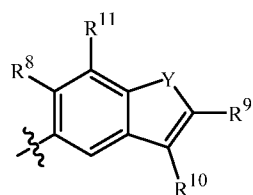
LG_4 is OH;

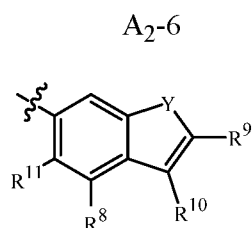
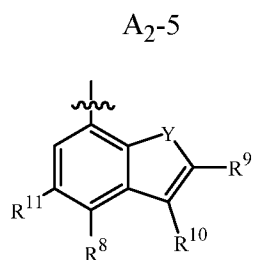
15b wherein n is 0 or 1; and

LG_4 is halogen

wherein A_1 is as defined in Scheme 10

A_2 is selected from

A₂-1A₂-2A₂-3A₂-4



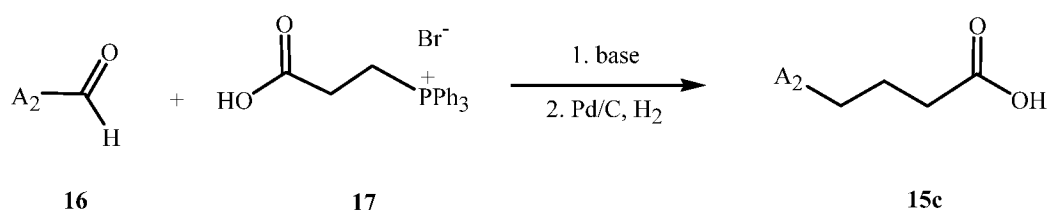
and



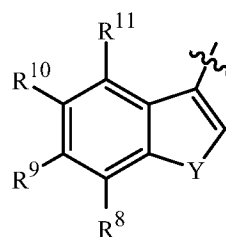
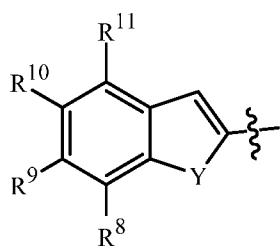
When the cyclization is carried out using A₂-3, A₂-4, A₂-5 and A₂-8 (when R¹¹ and/or R⁸ are H), a regioisomeric mixture of ketones of formula **14** can be obtained where separation of the two regioisomers by silica gel chromatography may be required.

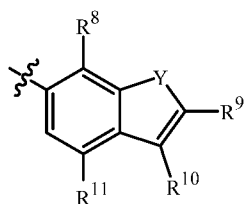
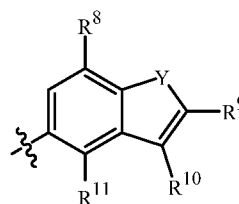
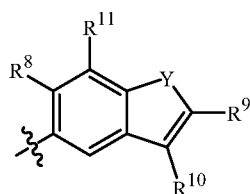
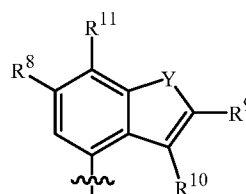
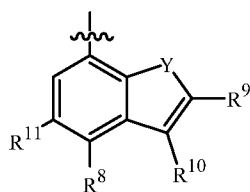
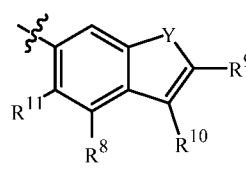
As shown in Scheme 12, carboxylic acid of Formula **15c** (a compound of Formula **15** wherein X = CH₂, Y = O, S or NR¹⁶, LG₄ is OH and n is 1) can be prepared by a Wittig reaction involving carbonyl derivative of Formula **16** and a suitable commercially available phosphonium salt of Formula **17**, in the presence of a base such as sodium hydride, potassium tert-butoxide, butyl lithium, lithium diisopropylamide, sodium bis(trimethylsilyl)amide etc., in suitable solvents such as tetrahydrofuran, dimethyl sulfoxide; followed by reduction of resulting alkene acid by using palladium on charcoal in acetic acid in the presence of hydrogen gas and followed by base mediated hydrolysis of the resulting ester. For similar condition and reagents for the above scheme see *Org. Lett.* **2015**, *17*, 5484–5487.

Scheme 12



15 A₂ is selected from

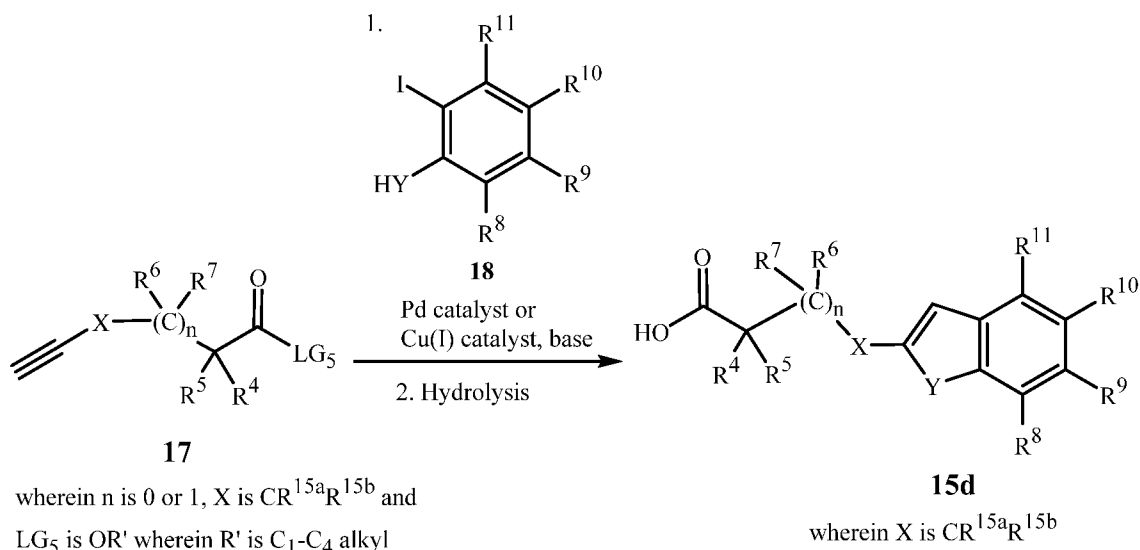


A₂-3A₂-4A₂-5A₂-6A₂-7A₂-8

As shown in Scheme 13, carboxylic acids of Formula **15d** (wherein X is CH₂, n is 0 or 1 and Y is O, S or NR¹⁶) can be prepared in one pot by a Sonogashira coupling followed by cyclization, with a commercially available suitable alkyne ester of Formula **17** and a properly substituted iodophenol of Formula **18** in a dry solvent such as acetonitrile, 1,4-dioxane, tetrahydrofuran, dimethylsulfoxide or *N,N*-dimethylformamide. Sonogashira couplings typically are conducted in the presence of palladium(0) or a palladium(II) salt, a ligand, a copper(I) salt (e.g., copper(I) iodide) and a base (e.g., piperidine). Temperatures typically range from ambient temperature to the reflux temperature of the solvent. For conditions and reagents employed in Sonogashira couplings, see *Chemical Reviews* **2007**, 107(3), 874–922 and references cited therein. Specific examples can be found in *Eur. J. Org. Chem.* **2016**, 13, 2268–2273. The resulting esters can be easily hydrolyzed by using a suitable hydroxide base such as lithium hydroxide and sodium hydroxide.

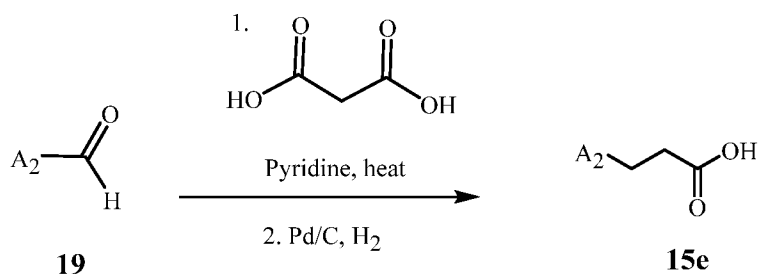
53

Scheme 13

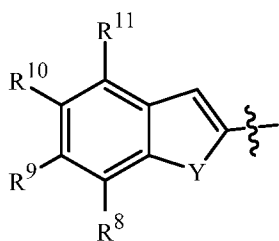
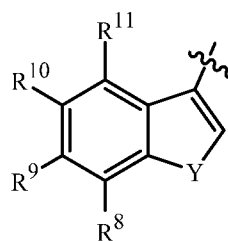
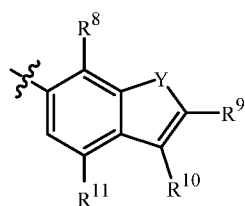
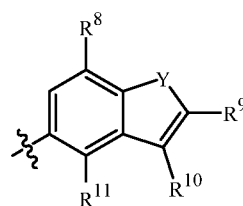
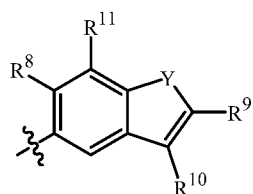
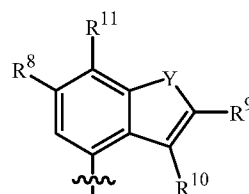
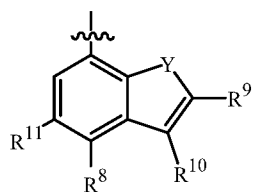
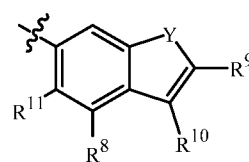


- As shown in Scheme 14, carboxylic acids of Formula **15e** (a compound of Formula 15 wherein X is CH₂, n is 0, each of R⁴ and R⁵ is H, Y is O, S or NR¹⁶ and LG₄ is OH) can be prepared by a Knoevenagel condensation involving a suitable carbonyl of Formula **19** and commercially available malonic acid in the presence of pyridine at temperature 110 °C, followed by a reduction using palladium on charcoal in acetic acid in the presence of hydrogen gas. For similar condition and reagents for the above scheme see WO 2011/140488.

Scheme 14



A₂ is selected from

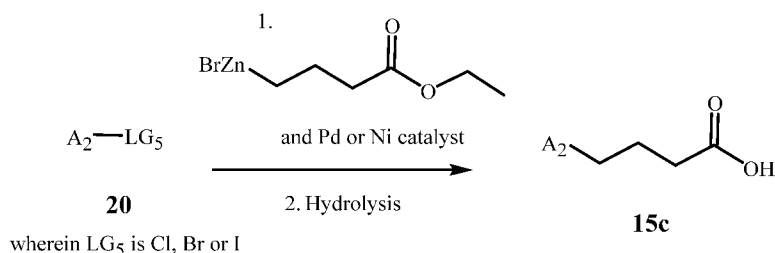
A₂-1A₂-2A₂-3A₂-4A₂-5A₂-6A₂-7A₂-8

and

As shown in Scheme 15, carboxylic acids of Formula **15c** (a compound of Formula **15** wherein X = CH₂, Y = O, S or NR¹⁶, LG₄ is OH and n is 1) can be prepared by a Negishi coupling involving a halide derivative of formula **20** and a commercially available zinc reagent of Formula **21** in the presence of a palladium or nickel catalyst such as but not limited to tetrakis(triphenylphosphine)palladium (0) or bis(triphenylphosphine) palladium chloride in a suitable solvent such as tetrahydrofuran, toluene or dichloromethane. For similar conditions and reagents for the above scheme see *J. Org. Chem.*, **1991**, 56, 1445-1453. The resulting

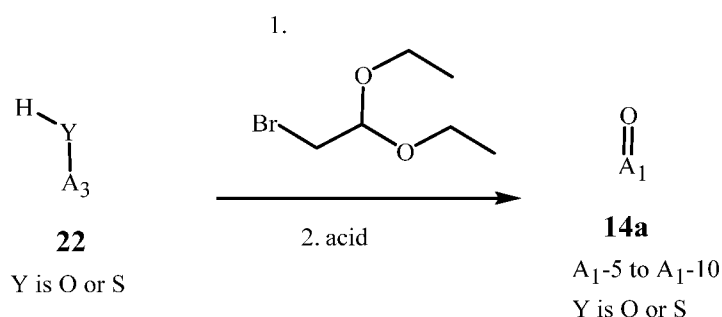
esters can be easily hydrolyzed by using a suitable hydroxide base such as lithium hydroxide or sodium hydroxide.

Scheme 15

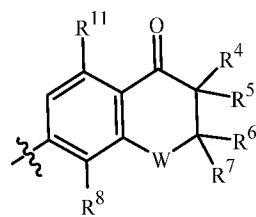
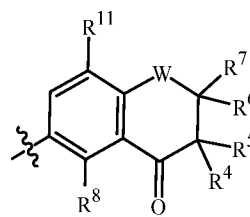
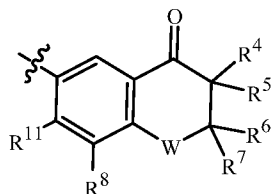
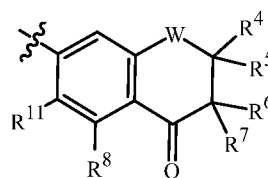
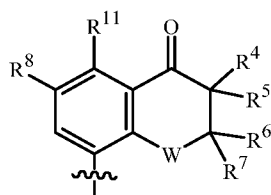
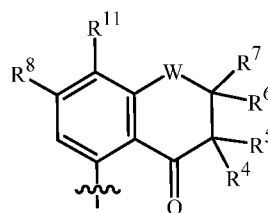


- 5 As shown in Scheme 16, ketones of formula **14a** (where A₁ is selected from A₁-5, A₁-6, A₁-7, A₁-8, A₁-9 and A₁-10, R⁹ = H, R¹⁰ = H and Y is O or S) can be obtained by the alkylation of a commercially available compound of formula **22** with an appropriate alkyl halide such as 2-bromo-1,1-diethoxy-ethane and a suitable base such as potassium carbonate, sodium hydride or potassium hydroxide in a solvent such as dimethylformamide or
- 10 dimethylacetamide. The compound can then undergo acid catalyzed cyclization to generate ketones of formula **14a** with an appropriate acid such as polyphosphoric acid or acetic acid in a solvent such as chlorobenzene, toluene or xylenes. For similar conditions and reagents for the above scheme see *Org. Chem. Front.*, **2019**, 6, 493-497. In cases where a regioisomeric mixture of ketones is obtained, separation of the two regioisomers by silica gel
- 15 chromatography may be required.

Scheme 16



A₃ is selected from

A₃-1A₃-2A₃-3A₃-4A₃-5A₃-6

It is recognized by one skilled in the art that various functional groups can be converted into others to provide different compounds of Formula 1. For a valuable resource that illustrates the interconversion of functional groups in a simple and straightforward fashion, see Larock, R. C., *Comprehensive Organic Transformations: A Guide to Functional Group Preparations*, 2nd Ed., Wiley-VCH, New York, 1999. For example, intermediates for the preparation of compounds of Formula 1 may contain aromatic nitro groups, which can be reduced to amino groups, and then be converted via reactions well known in the art such as the Sandmeyer reaction, to various halides, providing compounds of Formula 1. The above reactions can also in many cases be performed in alternate order.

For example, derivatives of Formula 1, wherein R², R¹², R⁸, R⁹, R¹⁰ or R¹¹ is halogen, e.g. iodine or bromine, can react with alkene, acetylenes, phenyl or 5- or 6-membered heteroaryl, in the presence of transition metal as a catalyst, e.g. a palladium (0) or palladium (II) catalyst, in an appropriate solvent in presence of suitable base at temperatures between 20 and 150° C to give compounds of Formula 1 wherein R², R¹², R⁸, R⁹, R¹⁰ or R¹¹ is substituted or unsubstituted alkene, alkyne, phenyl, 5- or 6-membered heteroaryl etc. Compounds of Formula 1, wherein R², R¹², R⁸, R⁹, R¹⁰ or R¹¹ is CN, can be hydrolyzed under acidic or basic conditions to give carboxylic acids that can be subsequently transformed into acid chlorides and, in turn, these can be converted into amides by simple organic

transformations. Derivatives of Formula **1** where R², R¹², R⁸, R⁹, R¹⁰ or R¹¹ is halogen can also be converted into corresponding alkoxyalkyl or aminoalkyl or diaminoalkyl substituted compounds through treatment with a suitable alcohol or amine in an appropriate solvent in the presence of suitable base at temperatures between 0 °C to 150 °C.

5 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
10 synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula **1**. One skilled in the art will also recognize
15 that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular presented to prepare the compounds of Formula **1**.

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

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following non-limiting Examples are illustrative of the invention. Steps in the following Examples illustrate a
25 procedure for each step in an overall synthetic transformation, and the starting material for each step may not have necessarily been prepared by a particular preparative run whose procedure is described in other Examples or Steps. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra
30 are reported in ppm downfield from tetramethylsilane; “s” means singlet, “d” means doublet, “t” means triplet, “q” means quartet, “m” means multiplet, “brd” means broad, “dd” means doublet of doublets. Mass spectra (MS) are reported as the molecular weight of the highest isotopic abundance parent ion (M+1) formed by addition of H⁺ (molecular weight of 1) to the molecule, or (M–1) formed by the loss of H⁺ (molecular weight of 1) from the molecule,
35 observed by using liquid chromatography coupled to a mass spectrometer (LCMS) using either atmospheric pressure chemical ionization (AP⁺) where “amu” stands for unified atomic mass units.

SYNTHESIS EXAMPLE 1

Preparation of N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine (i.e. Compound 45)

Step A: Preparation of 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine

5 To 2,4-dichloro-5-(trifluoromethyl)-pyrimidine (5 g, 23 mmol) was slowly added 7 N ammonia in methanol (15 mL) at -10 °C and stirred at ambient temperature for 3 h, during which time an off-white precipitate formed in the reaction mixture. The reaction mixture was concentrated under reduced pressure to afford crude material. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/petroleum ether (1:10) to provide the title product as a white solid (1.0 g, 22% yield). The regioisomer (i.e. 4-chloro-5-(trifluoromethyl)-2-pyrimidinamine) (1.2 g) was also obtained as a white solid.

10 ¹H NMR (CD₃OD, 400 MHz) δ 8.30 (s, 1H).

Step A2: Alternative Preparation of 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine

In a round-bottom flask, trifluoroiodomethane (CF₃I) gas (113.95 g, 581.39 mmol) was sparged into dimethylsulfoxide (150 mL) at 10 °C for 2 h. The resulting solution was added dropwise at 6 °C for 10 min to a stirred solution of 2-chloro-4-pyrimidinamine (25.0 g, 193.8 mmol) in dimethylsulfoxide (120 mL). Ferrous sulfate (FeSO₄·7 H₂O) (16.0 g, 58.1 mmol) in water (75 mL) was added to this mixture dropwise at 0 °C and then 30% hydrogen peroxide solution (13.17 g, 44 mL, 387.6 mmol) was added very slowly (dropwise) at 0 °C for 1 h. The resulting mixture was stirred at ambient temperature for 2 h. Concentrated hydrochloric acid (50 mL) was added dropwise to the reaction mixture at 0 °C for 30 min and the reaction mixture was stirred at 0 °C for 30 min. Progress of the reaction was monitored by thin layer chromatography. The reaction mixture was poured into ice water, and the resultant precipitated solid was collected by filtration and dried. The crude solid material was purified by column chromatography on silica gel and eluted with ethyl acetate/petroleum ether (1:10) to isolate the title compound as an off-white solid (12.0 g, 31% yield), the identity of which was confirmed by ¹H NMR and LCMS.

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Step A3: Alternative Preparation of 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine

To a stirred solution of 2-chloro-4-pyrimidinamine (1.0 g, 7.8 mmol) in acetic acid (10 mL) was added sodium trifluoromethanesulfinate (2.13 g, 23.3 mmol) at 10 °C. To this mixture was added portionwise manganese(III) acetate (8.31 g, 31.0 mmol) at the same temperature. The resulting mixture was stirred at ambient temperature for 24 h. The mixture was poured into ice water and extracted with ethyl acetate (2 x 50 mL). The combined organic layer was washed with water and brine solution, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The crude material was purified by silica gel column chromatography eluting with ethyl acetate and petroleum ether (1:10) to give the title compound as an off-white solid (0.30 g, 19% yield), the identity of which was confirmed by ¹H NMR and LCMS.

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Step B: Preparation of 2-benzofuranbutanoic acid, methyl ester

A stirred solution of 2-iodophenol (5.35 g, 23.77 mmol) and methyl 5-hexynoate (2 g, 15.8 mmol) in *N,N*-dimethylformamide (25 mL) was added piperidine (2 g, 23.5 mmol) and the reaction mixture was stirred and purged with nitrogen gas for 10-15 min, then
5 bis(triphenylphosphine)palladium(II) diacetate (237 mg, 0.312 mmol) and copper(I) iodide (120 mg, 0.635 mmol) were added and the solution was purged with nitrogen gas for further 10-15 min and stirred overnight at 80 °C. After complete consumption of starting material, the reaction mixture was diluted with ethyl acetate and washed with water and brine solution. Then the organic layer was dried over anhydrous sodium sulphate and distilled under reduced
10 pressure to afford crude material. The crude material was purified by column chromatography on silica gel and eluted with ethyl acetate/petether (1:20) to provide the desired title compound (2.6 g, 75%) as a colorless oil.

¹H NMR (CDCl₃, 500 MHz) δ 7.47–7.49 (d, 1H), 7.40–7.41 (d, 1H), 7.16–7.23 (m, 2H), 6.41 (s, 1H), 3.67 (s, 3H), 2.82–2.85 (t, 2H), 2.41–2.44 (t, 2H), 2.05–2.12 (m, 2H).

15 **Step C: Preparation of 2-benzofuranbutanoic acid**

To a stirred solution of 2-benzofuranbutanoic acid, methyl ester (i.e. the product of Step B, 2.6 g, 11.93 mmol) in tetrahydrofuran/water (1:1, 20 mL) at 0 °C was added (2.38 g, 59.6 mmol) lithium hydroxide (LiOH·7H₂O). The reaction mixture was stirred at ambient temperature for 4 h. After completion of the reaction, it was quenched with concentrated
20 hydrochloric acid and the pH value was brought to 1-2. The solution was then extracted with ethyl acetate. The organic layer was washed with water and brine solution and dried over anhydrous sodium sulphate. The combined solvent layer was distilled under reduced pressure to afford the desired product (2.4 g, 98%).

¹H NMR (CDCl₃, 500 MHz) δ 7.46–7.53 (d, 1H), 7.39–7.44 (d, 1H), 7.12–7.25 (m, 2H), 6.43 (s, 1H), 2.82–2.85 (t, 2H), 2.41–2.44 (t, 2H), 2.05–2.12 (m, 2H).

25 **Step D: Preparation of 3,4-dihydro-1(2H)-dibenzofuranone**

To a solution of 2-benzofuranbutanoic acid (i.e. the product of Step C, 1.09 g, 4.9 mmol) in anhydrous dichloromethane (20 mL) at ambient temperature was added 3 drops of *N,N*-dimethylformamide. Then oxalyl chloride (1 mL) was added dropwise to the above
30 solution and the reaction mixture was stirred at ambient temperature for 2 h. The reaction mixture was concentrated, and the residue obtained was dried under vacuum. The resulting crude acid chloride was used directly for the next step.

To the crude acid chloride obtained above was added hexafluoro isopropanol (6 mL) at ambient temperature. The resultant reaction mixture was stirred at ambient temperature for
35 16 h. The reaction mixture was concentrated, and the crude material was purified by column chromatography on silica gel and eluted with ethyl acetate/pet ether (1:3) to afford desired 3,4-dihydro-2H-dibenzofuran-1-one (0.85 g, 93%).

¹H NMR (CDCl₃, 500 MHz) δ 8.05–8.07 (d, 1H), 7.46–7.48 (d, 1H), 7.32–7.34 (m, 2H), 3.03–3.06 (t, 2H), 2.60–2.63 (t, 2H), 2.26–2.31 (m, 2H).

Step E: Preparation of [N(E),S(S)]-N-(3,4-Dihydro-1(2*H*)-dibenzofuranylidene)-2-methyl-2-propanesulfinamide

5 To a solution of 3,4-dihydro-1(2*H*)-dibenzofuranone (i.e. the product of Step D, 1.19 g, 5.91 mmol) in tetrahydrofuran (30 mL) at ambient temperature, (*R*)-(+)-2-methyl-2-propanesulfinamide (2.15 g, 17.74 mmol) and titanium tetraethoxide (8.09 g, 35.5 mmol) were added sequentially and the reaction mixture was heated to the reflux temperature of the solvent for 48 h. The reaction mixture was quenched with water and filtered through a short pad of
10 Celite[®] diatomaceous earth and washed with ethyl acetate. The filtrate was extracted with ethyl acetate (2 x 150 mL). The combined organic layer was dried over anhydrous sodium sulphate, filtered, and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:2) to provide the title compound (1.15 g) as a white solid.

15 ¹H NMR (CDCl₃, 500 MHz) δ 8.05–8.07 (d, 1H), 7.45–7.48 (d, 1H), 7.29–7.33 (m, 2H), 3.26–3.30 (dd, 1H), 3.01–3.09 (dd, 1H), 2.96–2.99 (t, 2H), 2.16–2.24 (m, 1H), 1.37 (s, 9H).

Step F: Preparation of [S(R)]-2-Methyl-N-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-2-propanesulfinamide

To a solution of [N(E),S(S)]-N-(3,4-Dihydro-1(2*H*)-dibenzofuranylidene)-2-methyl-
20 2-propanesulfinamide (i.e. the product of Step E, 2.09 g, 6.92 mmol) in anhydrous tetrahydrofuran (40 mL) at -50 °C, sodium borohydride (1.05 g, 27.68 mmol) was added portionwise. The reaction mixture was allowed to warm up to ambient temperature and stirred for additional 16 h. The reaction mixture was then quenched with slow addition of methanol at 0 °C and then extracted with ethyl acetate. The combined organic layer was dried over
25 anhydrous sodium sulphate, filtered, and concentrated under reduced pressure. The crude was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:2) to give the title compound (1.29 g) as off-white solid.

30 ¹H NMR (CDCl₃, 500 MHz) δ 7.74–7.76 (d, 1H), 7.41–7.42 (d, 1H), 7.22–7.24 (m, 2H), 4.76 (s, 1H), 3.41 (s, 1H), 2.77–2.82 (dd, 1H), 2.70–2.73 (dd, 1H), 1.99–2.36 (m, 2H), 1.90–1.95 (m, 2H), 1.21 (s, 9H).

Step G: Preparation of (1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranamine, hydrochloric acid salt

To a solution of [S(R)]-2-Methyl-N-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-2-propanesulfinamide (i.e. the product of Step F, 1.29 g, 4.12 mmol) in methanol (15 mL), 4 M
35 HCl in 1,4 dioxane solution (13 mL) was added dropwise at ambient temperature. The reaction mixture was stirred for 90 minutes. After completion of the reaction, the solvent was removed under reduced pressure and the residue was washed with diethyl ether and dried to afford hydrochloric acid salt of (1*R*)-1,2,3,4-tetrahydrodibenzofuran-1-amine as white solid (0.86 g).

¹H NMR (DMSO-*d*₆, 500 MHz) δ 8.55 (brs, 2H), 7.95–7.96 (d, 1H), 7.53–7.54 (d, 1H), 7.24–7.29 (m, 2H), 4.58 (bs, 1H), 2.74–2.77 (m, 2H), 2.04–2.10 (m, 3H), 1.91–1.94 (m, 1H).

Step H: Preparation of N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine

- 5 To a stirred solution of 2-chloro-5-(trifluoromethyl)pyrimidinamine (i.e. the product of Step A or A2 or A3, 0.524 g, 2.66 mmol) and the hydrochloric acid salt of (1*R*)-1,2,3,4-tetrahydrodibenzofuran-1-amine (i.e. the product of Step G, 0.85 g, 3.8 mmol) in anhydrous *N,N*-dimethylformamide (20 mL) was added anhydrous potassium carbonate (1.57 g, 11.4 mmol) at ambient temperature, then the reaction mixture was heated to 125 °C for 20 h.
- 10 Progress of the reaction was monitored by thin layer chromatography analysis. After completion of the reaction, the reaction mixture was allowed to cool to ambient temperature and the solvent was removed under reduced pressure. The reaction mixture was diluted with water and extracted with ethyl acetate. Combined solvent layer was distilled under reduced pressure to afford the crude material. The crude was purified by column chromatography on
- 15 silica gel eluting with ethyl acetate/petroleum ether (1:3) to give the title compound (0.39 g) as an off-white solid.

¹H NMR (CDCl₃, 500 MHz) δ 8.40–8.00 (brs, 1H), 7.46–7.38 (m, 2H), 7.28–7.12 (m, 2H), 5.60–4.90 (m, 4H), 2.90–2.70 (m, 2H), 2.15–1.90 (m, 4H).

SYNTHESIS EXAMPLE 2

- 20 Preparation of 6-(1-fluoroethyl)-N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-diamine (i.e. Compound 25)

To a stirred solution of the hydrochloric acid salt of (1*R*)-1,2,3,4-tetrahydrodibenzofuran-1-amine (0.2 g, 0.892 mmol) obtained in step G (Synthesis Example 1) above, in anhydrous *n*-decane (10 mL) was added 1-cyanoguanidine (0.112 g, 1.33 mmol) at ambient temperature, then the reaction mixture was heated to 145 °C for 20 h.

25 Upon completion of reaction, the reaction mixture was allowed to cool to ambient temperature and the solvent was removed under reduced pressure. The residue was triturated with pet ether and diethyl ether and dried under vacuum. 0.3 g of the crude material obtained was directly used for the next step.

- 30 To a stirred solution of the crude material obtained above in dry methanol (15 mL), sodium methoxide (1.8 mL, 1.78 mmol, 25% in methanol) was added. Then ethyl 2-fluoropropionate (0.214 g, 1.78 mmol) was added dropwise to the resulting solution and stirred at ambient temperature for 16 h. Upon completion of reaction, the solvent was removed and the crude material was purified by column chromatography on silica gel eluting with ethyl acetate/petether (1:3) to afford 6-(1-fluoroethyl)-N4-[(1*R*)-1,2,3,4-tetrahydrodibenzofuran-1-yl]-1,3,5-triazine-2,4-diamine (100 mg, 34% yield) as an off-white solid.
- 35

¹H NMR (DMSO-*d*₆, 500 MHz) δ 7.74–7.78 (m, 1H), 7.48–7.55 (m, 1H), 6.98–7.30 (m, 4H), 5.29–5.34 (m, 1H), 5.06–5.24 (m, 1H), 2.67–2.72 (m, 2H), 1.95–2.12 (m, 2H), 1.82–1.84 (t, 2H), 1.47–1.60 (m, 3H).

SYNTHESIS EXAMPLE 3

- 5 Preparation of N2-[(1R)-1,2,3,4-tetrahydro-1-dibenzothiienyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine (i.e. Compound 40)

Step A: Preparation of 4-benzo[*b*]thien-2-yl-3-butenic acid

To a cooled solution of (2-carboxyethyl)triphenylphosphonium bromide (7.67 g, 18.49 mmol) in dichloromethane (125 mL) at 0 °C under inert atmosphere was added
10 benzothiophene-2-carbaldehyde (2.5 g, 15.41 mmol). To the resulting mixture, potassium *tert*-butoxide (4.32 g, 38.53 mmol) was added portion wise and the reaction mixture was stirred at ambient temperature for 12 h. Then the reaction mixture was quenched with water and dichloromethane layer was separated and discarded. The aqueous layer was acidified with 1 M HCl to pH 1 and then extracted with ethyl acetate. The combined organic layers were
15 washed with water, then brine once, dried over anhydrous sodium sulphate and concentrated. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate to afford the title compound (2.7 g, 42% yield) as an off-white solid.

¹H NMR (DMSO-*d*₆, 500 MHz) δ 7.86–7.88 (d, 1H), 7.73–7.71 (d, 1H), 7.29–7.34 (m, 3H), 6.8–6.83 (d, 1H), 6.11–6.17 (m, 1H), 3.23–3.25 (d, 2H).

- 20 Step B: Preparation of 4-benzo[*b*]thien-2-yl-3-butenic acid, ethyl ester
-

To a solution of 4-benzo[*b*]thien-2-yl-3-butenic acid (i.e. the product of Step A) in ethanol (50 mL), 2 mL of concentrated sulphuric acid was added at ambient temperature. The solution was heated at the reflux temperature of the solvent for additional 16 h. After completion of the reaction, ethanol was removed, and the pH of the crude solution was
25 adjusted to 8 with saturated sodium bicarbonate solution. Aqueous layer was extracted with dichloromethane and the organic layer was separated and washed with water followed by brine. Combined organic layer was dried over anhydrous sodium sulphate and concentrated to afford the title compound (2.82 g) as a clear oil.

¹H NMR (CDCl₃, 500 MHz) δ 7.74–7.76 (d, 1H), 7.66–7.68 (d, 1H), 7.28–7.32 (m, 2H), 7.12 (s, 1H), 6.71–6.74 (d, 1H), 6.22–6.26 (m, 1H), 4.17–4.22 (q, 2H), 3.26–3.27 (d, 2H), 1.28–1.31 (t, 3H).

Step C: Preparation of benzo[*b*]thiophene-2-butanic acid

A solution of compound 4-benzo[*b*]thien-2-yl-3-butenic acid, ethyl ester (i.e. the product of Step B, 2.7 g, 10.97 mmol) in ethanol (50 mL) was passed through H-CUBE reactor
35 (a hydrogenation reactor) at 50 °C, 55 PSI (i.e. 379 kpa) pressure and flow rate of 1 mL/min. Then the reaction mixture was evaporated under vacuum. To a stirred solution of the material obtained above in tetrahydrofuran/water (2:1, 30 mL) at 0 °C was added (1.52 g, 36.3 mmol) lithium hydroxide (LiOH·7H₂O). The reaction mixture was stirred at ambient temperature for

16 h. After completion of the reaction, the reaction mixture was quenched with concentrated hydrochloric acid to bring the pH value to 1–2. The solution was then extracted with ethyl acetate. The combined organic layer was distilled under reduced pressure to afford the desired title compound (1.49 g).

- 5 ¹H NMR (CDCl₃, 500 MHz) δ 7.78–7.78 (d, 1H), 7.67–7.68 (d, 1H), 7.25–7.33 (m, 2H), 7.04 (s, 1H), 2.98–3.01 (t, 2H), 2.45–2.48 (t, 2H), 2.09–2.12 (m, 2H).

Step D: Preparation of 3,4-dihydro-1(2H)-dibenzothiophenone

- To a solution of benzo[*b*]thiophene-2-butanolic acid (i.e. the product of Step C, 1.4 g, 6.36 mmol) in anhydrous dichloromethane (20 mL) at ambient temperature was added 3 drops
10 of *N,N*-dimethylformamide. Then oxalyl chloride (1.4 mL) was added dropwise to the solution and the reaction mixture was stirred at ambient temperature for 2 h. Then the reaction mixture was concentrated, and the residue obtained was dried under vacuum. The crude acid chloride residue obtained was used directly for the next step.

- To the crude acid chloride residue obtained above, hexafluoro isopropanol (14 mL)
15 was added at ambient temperature. The resultant reaction mixture was stirred at ambient temperature for 3 h. Then the reaction mixture was concentrated, and the crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:3) to afford the title compound (1.1 g) as white solid.

- ¹H NMR (CDCl₃, 500 MHz) δ 8.67–8.68 (d, 1H), 7.76–7.78 (d, 1H), 7.45–7.47 (t, 1H), 7.35–
20 7.36 (t, 1H), 3.14–3.17 (t, 2H), 2.66–2.69 (t, 2H), 2.27–2.32 (m, 2H).

Step E: Preparation of [N(E),S(S)]-N-(3,4-Dihydro-1(2H)-dibenzothiénylidene)-2-methyl-2-propanesulfinamide

- To a solution of 3,4-dihydro-1(2H)-dibenzothiophenone (i.e. the product of Step D, 1.1 g, 5.44 mmol) in tetrahydrofuran (30 mL) at ambient temperature, (*R*)-(+)-2-methyl-2-propanesulfinamide (1.97 g, 16.63 mmol) and titanium tetraethoxide (7.44 g, 32.67 mmol)
25 were added sequentially and the reaction mixture was heated at the reflux temperature of the solvent for 48 h. The reaction mixture was quenched with water, filtered through a short pad of Celite® diatomaceous earth filter aid and washed with ethyl acetate. The filtrate was extracted with ethyl acetate. The combined organic layer was dried over anhydrous sodium sulphate, filtered, and concentrated under reduced pressure. The crude material was purified
30 by column chromatography on silica gel eluting with ethyl acetate/petroleum ether (1:2) to give the desired product (1.2 g) as a white solid.

- ¹H NMR (CDCl₃, 500 MHz) δ 8.81–8.83 (d, 1H), 7.76–7.78 (d, 1H), 7.42–7.44 (t, 1H), 7.37–
7.41 (t, 1H), 3.34–3.37 (m, 1H), 3.07–3.14 (m, 3H), 2.14–2.21 (m, 2H), 1.38 (s, 9H).

- 35 Step F: Preparation of 2-methyl-N-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzothiényl]-2-propanesulfinamide
-

To a solution of [N(E),S(S)]-N-(3,4-Dihydro-1(2H)-dibenzothiénylidene)-2-methyl-2-propanesulfinamide (i.e. the product of Step E, 1.2 g, 3.93 mmol) in tetrahydrofuran (20 mL)

at $-50\text{ }^{\circ}\text{C}$, was added sodium borohydride (0.6 g, 15.7 mmol) portion wise. The reaction mixture was allowed to warm up to ambient temperature and stirred for additional 16 h. The reaction mixture was then quenched with slow addition of methanol at $0\text{ }^{\circ}\text{C}$. The reaction mixture was then extracted with ethyl acetate. The combined organic layer was dried over anhydrous sodium sulphate, filtered, and concentrated under reduced pressure. The crude was purified by column chromatography on silica gel eluting with ethyl acetate/petroleum ether (1:3) to give the title compound (0.75 g) as off-white solid.

^1H NMR (CDCl_3 , 500 MHz) δ 7.94–7.96 (d, 1H), 7.76–7.78 (d, 1H), 7.39–7.41 (t, 1H), 7.28–7.31 (t, 1H), 4.85 (s, 1H), 3.35 (s, 1H), 2.92–2.96 (dd, 1H), 2.79–2.86 (m, 1H), 2.04–2.17 (m, 2H), 1.82–1.93 (m, 2H), 1.21 (s, 9H).

Step G: Preparation of (1R)-1,2,3,4-tetrahydro-1-dibenzothiophenamine hydrochloric acid salt

To a solution of 2-Methyl-N-[(1R)-1,2,3,4-tetrahydro-1-dibenzothiényl]-2-propanesulfonamide (i.e. the product of Step F, 0.75 g, 4.12 mmol) in methanol (15 mL), 4M HCl in 1,4 dioxane solution (7.5 mL) was added dropwise at ambient temperature. The reaction mixture was stirred for 1 h. After completion of the reaction, the solvent was evaporated under reduced pressure. The residue was washed with diethyl ether and dried to give the title compound as a white solid (0.45 g, 75% yield).

^1H NMR ($\text{DMSO}-d_6$, 500 MHz) δ 8.44 (bs, 2H), 8.00–8.01 (d, 1H), 7.92–7.94 (d, 1H), 7.41–7.43 (t, 1H), 7.34–7.35 (t, 1H), 4.71 (s, 1H), 2.94–2.98 (dd, 1H), 2.81–2.88 (m, 1H), 2.24–2.26 (m, 1H), 2.10–2.08 (m, 1H), 1.93–1.98 (m, 2H).

Step H: Preparation of N2-[(1R)-1,2,3,4-tetrahydro-1-dibenzothiényl]-5-(trifluoromethyl)-2,4-pyrimidinediamine

To a stirred solution of 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine (i.e. the product of Step A in Synthesis Example 1, 0.197 g, 1.00 mmol) and (1R)-1,2,3,4-tetrahydro-1-dibenzothiophenamine hydrochloric acid salt (i.e. the product of Step G, 0.3 g, 1.25 mmol) in anhydrous *N,N*-dimethylformamide (10 mL) was added anhydrous potassium carbonate (0.864 g, 6.26 mmol) at ambient temperature, then the reaction mixture was heated to $125\text{ }^{\circ}\text{C}$ and stirred for 16 h. Upon completion of the reaction, the reaction mixture was cooled to ambient temperature and the solvent was evaporated under reduced pressure. The reaction mixture was diluted with water, extracted with ethyl acetate and dried over anhydrous sodium sulphate. The combined organic layer was distilled under reduced pressure to afford the crude material. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/petether (1:3) to afford the desired product (85 mg) as an off-white solid.

^1H NMR ($\text{DMSO}-d_6$, 500 MHz) δ 8.00–8.22 (brd, 1H), 7.85–7.86 (d, 1H), 7.40–7.59 (m, 2H), 7.24–7.30 (m, 2H), 6.92 (brs, 1H), 6.62 (brs, 1H), 6.51 (brs, 1H), 2.85–2.89 (m, 1H), 2.73–2.78 (m, 1H), 2.07–2.08 (m, 1H), 1.84–1.91 (m, 3H).

SYNTHESIS EXAMPLE 4

Preparation of 6-(1-fluoroethyl)-N2-[(1R)-1,2,3,4-tetrahydro-1-dibenzothienyl]-1,3,5-triazine-2,4-diamine (i.e. Compound 36)

To a stirred solution of 4-chloro-6-(1-fluoroethyl)-1,3,5-triazin-2-amine (0.11 g, 0.626 mmol) and (1R)-1,2,3,4-tetrahydro-1-dibenzothiophenamine hydrochloric acid salt (i.e. the product of Step G in Synthesis Example 3, 0.15 g, 0.626 mmol) in anhydrous *N,N*-dimethylformamide (8 mL) was added anhydrous potassium carbonate (0.432 g, 3.13 mmol) at ambient temperature, then the reaction mixture was heated to 110 °C and stirred for 16 h. Upon completion of reaction, the reaction mixture was allowed to cool to ambient temperature and the solvent was removed under reduced pressure. The reaction mixture was diluted with water and extracted with ethyl acetate and dried over anhydrous sodium sulphate. The combined solvent layer was distilled under reduced pressure to afford the crude material. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/petroleum ether (1:3) to afford the title compound (50 mg) as an off-white solid.

¹H NMR (DMSO-*d*₆, 500 MHz) δ 7.75–7.77 (d, 1H), 7.6 (m, 1H), 7.27–7.3 (m, 2H), 5.16–5.58 (m, 5H), 2.94–2.98 (m, 1H), 2.822.87 (m, 1H), 1.69–1.78 (m, 1H), 1.59–1.64 (brd, 2H), 0.84–0.90 (m, 1H).

SYNTHESIS EXAMPLE 5

Preparation of N2-[(5R)-5,6,7,8-Tetrahydronaphtho[2,3-b]furan-5-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine (Compound 47)

Step A: Preparation of ethyl 4-(benzofuran-6-yl)butanoate

To a solution of 6-bromobenzofuran (5 g, 25.3 mmol) and 4-ethoxy-4-oxobutylzinc bromide (50 mL, 0.5 M in tetrahydrofuran, 25.3 mmol) in dry tetrahydrofuran (50 mL), was added tetrakis(triphenylphosphine)palladium(0) (2.9 g, 2.53 mmol) at ambient temperature. The reaction mixture was heated at 80 °C for 16 h. The reaction mixture was cooled to ambient temperature and filtered through Celite® diatomaceous earth filter aid. The filtrate was diluted with ethyl acetate (100 mL) and washed with water (100 mL). The combined organic layers were washed with brine (50 mL), dried (sodium sulfate), filtered and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a colorless liquid (2 g).

¹H NMR (400 MHz, CDCl₃) δ 7.57–7.56 (d, 1H), 7.50–7.48 (d, 1H), 7.32 (s, 1H), 7.08–7.06 (d, 1H), 6.72–6.72 (d, 1H), 4.14–4.09 (q, 2H), 2.78–2.75 (t, 1H), 2.35–2.26 (m, 2H), 2.01–1.98 (m, 1H), 1.64–1.58 (m, 1H), 1.35–1.31 (m, 1H), 1.27–1.23 (t, 3H).

Step B: Preparation of 4-(benzofuran-6-yl)butanoic acid

To a solution of ethyl 4-(benzofuran-6-yl)butanoate (i.e. the product of Step A, 1.8 g, 7.75 mmol) in tetrahydrofuran (20 mL) and methanol (20 mL), was added 2 N aqueous sodium hydroxide solution (10 mL) solution dropwise at 0 °C. The reaction mixture was stirred at

ambient temperature for 16 h. The reaction mixture was poured into ice water and washed with diethyl ether (80 mL). The aqueous layer was acidified with 2 N aqueous hydrochloric acid and the pH of the solution was adjusted to 3. The aqueous phase was extracted with ethyl acetate (50 mL x 2). The combined organic layers were washed with brine (25 mL), dried (sodium sulfate), filtered and concentrated under reduced pressure to provide the title compound (1.4 g) as an off-white solid.

¹H NMR (400 MHz, CDCl₃) δ 7.58–7.57 (d, 1H), 7.51–7.49 (d, 1H), 7.33 (s, 1H), 7.08–7.06 (d, 1H), 6.73–6.72 (d, 1H), 2.81–2.77 (t, 2H), 2.41–2.36 (m, 2H), 2.03–2.00 (m, 2H).

Step C: Preparation of 7,8-Dihydronaphtho[2,3-b]furan-5(6H)-one

To a solution of 4-(benzofuran-6-yl)butanoic acid (i.e. the product of Step B, 500 mg, 2.45 mmol) in dichloromethane (10 mL) was added oxalyl chloride (0.63 mL, 7.35 mmol) dropwise at 0 °C. The reaction mixture was stirred at ambient temperature for 2 h and then concentrated under reduced pressure. The crude acid chloride was dissolved in dichloromethane (10 mL) and tin(IV) chloride (1 M in dichloromethane, 2.98 mL, 2.98 mmol) was added dropwise at 0 °C. The reaction mixture was stirred at ambient temperature for 16 h. Ice cold water was added, and the aqueous phase was extracted with dichloromethane (25 mL x 2). The combined organic layers were washed with brine (25 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a colorless liquid (240 mg).

¹H NMR (400 MHz, CDCl₃) δ 8.35 (s, 1H), 7.62–7.61 (d, 1H), 7.34 (s, 1H), 6.81–6.81 (d, 1H), 3.10–3.07 (t, 2H), 2.71–2.68 (t, 2H), 2.20–2.13 (m, 2H).

Step D: Preparation of N-(7,8-Dihydronaphtho[2,3-b]furan-5(6H)-ylidene)-2-methyl-2-propanesulfonamide

To a solution of 7,8-Dihydronaphtho[2,3-b]furan-5(6H)-one (i.e. the product of Step C, 750 mg, 4.03 mmol) in tetrahydrofuran (15 mL) was added titanium tetraethoxide (3.4 mL, 16.1 mmol) and (*R*)-(+)-2-methyl-2-propanesulfinamide (1.95 g, 16.1 mmol) at 0 °C. The reaction mixture was heated at 120 °C for 6 h. The reaction mixture was cooled to ambient temperature, diluted with ethyl acetate (30 mL) and washed with water (25 mL). The combined organic phases were washed with brine (20 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as an off-white solid (710 mg).

¹H NMR (400 MHz, CDCl₃) δ 8.48 (s, 1H), 7.60–7.60 (d, 1H), 7.29 (s, 1H), 6.79–6.790 (d, 1H), 3.37–3.29 (m, 1H), 3.10–3.03 (m, 1H), 2.99–2.97 (m, 2H), 2.08–1.98 (m, 2H), 1.35 (s, 9H)

Step E: Preparation of 2-Methyl-N-[(5*R*)-5,6,7,8-tetrahydronaphtho[2,3-b]furan-5-yl]-2-propanesulfonamide

To a solution of N-(7,8-Dihydronaphtho[2,3-b]furan-5(6H)-ylidene)-2-methyl-2-propanesulfonamide (i.e. the product of Step D, 700 mg, 4.8 mmol) in tetrahydrofuran (10 mL) was added borane tetrahydrofuran complex (1M in tetrahydrofuran, 4.8 mL, 4.8 mmol) dropwise at -15 °C. Then the reaction mixture was stirred at -15 °C for 2 h. Saturated aqueous ammonium chloride was added to the reaction mixture and the aqueous phase was extracted with ethyl acetate (20 mL x 2). The combined organic layers were washed with brine (20 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:3) to provide the title compound as an off-white solid (500 mg).

¹H NMR (400 MHz, CDCl₃) δ 7.69 (s, 1H), 7.55–7.54 (d, 1H), 7.23 (s, 1H), 6.72–6.71 (d, 1H), 4.72–4.70 (d, 1H), 3.32–3.22 (d, 1H), 2.99–2.82 (m, 2H), 2.08–1.88 (m, 3H), 1.81–1.76 (m, 1H), 1.22 (s, 9H).

Step F: Preparation of (5R)-5,6,7,8-Tetrahydronaphtho[2,3-b]furan-5-amine hydrochloride

To a solution of 2-Methyl-N-[(5R)-5,6,7,8-tetrahydronaphtho[2,3-b]furan-5-yl]-2-propanesulfonamide (i.e. the product of Step E, 400 mg, 1.37 mmol) in 1,4-dioxane (6 mL) was added hydrogen chloride in dioxane (4 M, 6 mL) dropwise at 0 °C. The reaction mixture was stirred at ambient temperature for 2 h. The reaction mixture was concentrated under reduced pressure. The crude product was triturated with *n*-pentane (20 mL) to afford the title compound as an off-white solid (300 mg).

¹H NMR (400 MHz, CDCl₃) δ 8.47 (br, 2H), 7.97–7.96 (d, 1H), 7.83 (s, 1H), 7.42 (s, 1H), 6.95–6.95 (d, 1H), 4.55–4.53 (d, 1H), 2.96–2.80 (m, 2H), 2.11–1.95 (m, 3H), 1.79–1.74 (m, 1H).

Step G: Preparation of N2-[(5R)-5,6,7,8-Tetrahydronaphtho[2,3-b]furan-5-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine

To a solution of (5R)-5,6,7,8-Tetrahydronaphtho[2,3-b]furan-5-amine hydrochloride (i.e. the product of Step F, 150 mg, 0.67 mmol) in *N,N*-dimethylformamide (5 mL) was added potassium carbonate (360 mg, 2.68 mmol) and 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine (i.e. the product of Step A in Synthesis Example 1, 130 mg, 0.67 mmol) at ambient temperature. The reaction mixture was heated at 95 °C for 6 h. The reaction mixture was cooled to ambient temperature and diluted with ice cold water. The resulting precipitate was filtered, washed with water (10 mL) and dried. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a pale yellow solid (125 mg).

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.13–8.05 (d, 1H), 7.85 (s, 1H), 7.6–7.58 (d, 1H), 7.4 (s, 1H), 7.29 (s, 1H), 6.86 (s, 1H), 6.67 (br, 2H), 5.34 (s, 1H), 2.88–2.82 (m, 2H), 1.97 (br, 2H), 1.79–1.76 (m, 2H)

SYNTHESIS EXAMPLE 6

Preparation of 6-(1-Fluoroethyl)-N2-[(5R)-5,6,7,8-tetrahydronaphtho[2,3-b]furan-5-yl]-1,3,5-triazine-2,4-diamine (Compound 49)

Step A: Preparation of 6-(1-Fluoroethyl)-N2-[(5R)-5,6,7,8-tetrahydronaphtho[2,3-b]furan-5-yl]-1,3,5-triazine-2,4-diamine

To a solution of (5R)-5,6,7,8-Tetrahydronaphtho[2,3-b]furan-5-amine hydrochloride (i.e. the product of Step F in Synthesis Example 5, 150 mg, 0.67 mmol) in *N,N*-dimethylformamide (5 mL) was added potassium carbonate (360 mg, 2.68 mmol) and 4-chloro-6-(1-fluoroethyl)-1,3,5-triazin-2-amine (120 mg, 0.67 mmol) at ambient temperature. The reaction mixture was heated at 95 °C for 6 h. The reaction mixture was cooled to ambient temperature and diluted with ice cold water. The resulting precipitate was filtered, washed with water (10 mL) and dried. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a pale yellow solid (126 mg).

¹H NMR (400 MHz, DMSO-*d*₆) δ 7.85–7.84 (d, 1H), 7.81–7.78 (dd, 1H), 7.43 (s, 1H), 7.29 (s, 1H), 6.98 (br, 2H), 6.87–6.85 (d, 1H), 5.35–5.30 (m, 1H), 5.24–5.07 (m, 1H), 2.88–2.84 (m, 2H), 1.98–1.96 (m, 2H), 1.78–1.74 (m, 2H), 1.56–1.48 (dd, 3H).

SYNTHESIS EXAMPLE 7

Preparation of N2-[(9R)-6,7,8,9-Tetrahydronaphtho[2,1-b]furan-9-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine (Compound 48)

Step A: Preparation of 7-(2,2-diethoxyethoxy)tetralin-1-one

To a solution of 7-hydroxytetralone in *N,N*-dimethylformamide (150 mL) was added potassium carbonate (360 mg, 2.68 mmol) and 2-bromo-1,1-diethoxy-ethane (11.4 g, 58.3 mmol) at ambient temperature. The reaction mixture was heated at 120 °C for 16 h. The reaction mixture was cooled to ambient temperature, diluted with ice cold water and extracted with diethyl ether (100 mL x 2). The combined organic layers were washed with brine (50 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a pale-yellow liquid (7 g).

¹H NMR (400 MHz, CDCl₃) δ 7.53–7.52 (d, 1H), 7.17–7.15 (d, 1H), 7.10–7.08 (dd, 1H), 4.85–4.82 (t, 1H), 4.04–4.03 (d, 2H), 3.78–3.72 (m, 2H), 3.67–3.61 (m, 2H), 2.91–2.88 (t, 2H), 2.65–2.61 (t, 2H), 2.14–2.09 (m, 2H), 1.26–1.23 (t, 6H).

Step B: Preparation of 7,8-Dihydronaphtho[2,1-b]furan-9(6H)-one

A solution of polyphosphoric acid (10 g) in chlorobenzene (80 mL) was heated to 120 °C for 10 min and then 7-(2,2-diethoxyethoxy)tetralin-1-one (i.e. the product of Step A, 3.5 g, 21.6 mmol) in chlorobenzene (20 mL) was added dropwise. The reaction mixture was heated to 130 °C for 2 h. The reaction mixture was cooled to ambient temperature, diluted with ice cold water and extracted with ethyl acetate (100 mL x 2). The combined organic

layers were washed with brine (50 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a pale yellow solid (1.5 g).
¹H NMR (400 MHz, CDCl₃) δ 7.73–7.73 (d, 1H), 7.65–7.64 (d, 1H), 7.61–7.59 (d, 1H), 7.18–7.16 (d, 1H), 3.06–3.03 (t, 2H), 2.72–2.69 (t, 2H), 2.22–2.17 (m, 2H).

Step C: Preparation of N-(7,8-Dihydronaphtho[2,1-b]furan-9(6H)-ylidene)-2-methyl-2-propanesulfonamide

To a solution of 7,8-Dihydronaphtho[2,1-b]furan-9(6H)-one (i.e. the product of Step B, 1.3 g, 6.98 mmol) in tetrahydrofuran (30 mL) was added titanium tetraethoxide (6.4 mL, 27.9 mmol) and (*R*)-(+)-2-methyl-2-propanesulfonamide (3.3 g, 27.9 mmol) at 0 °C. The reaction mixture was heated at 90 °C for 6 h. The reaction mixture was cooled to ambient temperatures and diluted with ethyl acetate (50 mL). The reaction mixture was washed with water (25 mL), brine (25 mL), dried (sodium sulfate) and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:6) to provide the title compound as a brown liquid (950 mg).
¹H NMR (400 MHz, CDCl₃) δ 7.70–7.86 (m, 2H), 7.56–7.53 (d, 1H) 7.14–7.11 (d, 1H), 3.41–3.34 (m, 1H), 3.13–3.06 (m, 1H), 2.99–2.96 (t, 2H), 2.08–2.01 (m, 2H), 1.37 (s, 9H).

Step D: Preparation of 2-Methyl-N-[(9R)-6,7,8,9-tetrahydronaphtho[2,1-b]furan-9-yl]-2-propanesulfonamide

To a solution of N-(7,8-Dihydronaphtho[2,1-b]furan-9(6H)-ylidene)-2-methyl-2-propanesulfonamide (i.e. the product of Step C, 500 mg, 1.7 mmol) in tetrahydrofuran (15 mL) was added dropwise borane tetrahydrofuran complex (1 M in tetrahydrofuran, 3.4 mL, 3.4 mmol) at –15°C. The reaction mixture was stirred at –15°C for 2h. Saturated aqueous ammonium chloride was added to the reaction mixture and it was extracted with ethyl acetate (20 mL). The combined organic layers were washed with brine (20 mL), dried over sodium sulfate and concentrated under reduced pressure. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as a pale-yellow liquid (400 mg).
¹H NMR (400 MHz, CDCl₃) δ 7.62–7.61 (d, 1H), 7.39–7.36 (d, 1H), 7.21–7.21 (d, 1H), 7.05–7.03 (d, 1H), 4.88 (br, 1H), 3.27 (br, 1H), 2.87–2.79 (m, 2H), 2.15–2.12 (m, 1H), 2.00–1.95 (m, 1H) 1.87–1.80 (m, 2H), 1.20 (s, 9H).

Step E: Preparation of (9R)-6,7,8,9-Tetrahydronaphtho[2,1-b]furan-9-amine hydrochloride

To a solution of (R)-2-methyl-N-[(9R)-6,7,8,9-tetrahydrobenzo[e]benzofuran-9-yl]propane-2-sulfonamide (i.e. the product of Step D, 400 mg, 1.37 mmol) in 1,4-dioxane (5 mL) was added hydrogen chloride in dioxane (4 M, 5 mL) dropwise at 0 °C. The reaction mixture was stirred at ambient temperature for 2 h. The reaction mixture was concentrated

under reduced pressure. The crude product was triturated with n-pentane (20 mL) to afford the title compound as an off white solid (300 mg).

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.3 (br, 2H), 8.06–8.05 (d, 1H), 7.57–7.55 (d, 1H), 7.30–7.29 (d, 1H), 7.15–7.13 (d, 1H), 4.77 (br, 1H), 2.93–2.89 (m, 1H), 2.83–2.77 (m, 1H), 2.20 (br, 1H), 1.98–1.82 (m, 3H).

Step F: Preparation of N2-[(9R)-6,7,8,9-Tetrahydronaphtho[2,1-b]furan-9-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine

To a solution of (9R)-6,7,8,9-Tetrahydronaphtho[2,1-b]furan-9-amine hydrochloride (i.e. the product of Step E, 200 mg, 0.89 mmol) in *N,N*-dimethylformamide (8 mL) was added potassium carbonate (480 mg, 3.56 mmol) and 2-chloro-5-(trifluoromethyl)-4-pyrimidinamine (i.e. the product of Step A in Synthesis Example 1, 176 mg, 0.89 mmol) at ambient temperature. The reaction mixture was heated at 90 °C for 16 h. The reaction mixture was cooled to ambient temperature and diluted with ice cold water. The resulting precipitate was filtered, washed with water (10 mL) and dried. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:9) to provide the title compound as an off-white solid (110 mg).

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.22–8.03 (d, 1H), 7.85 (s, 1H), 7.63–7.62 (d, 1H), 7.40–7.38 (d, 1H), 7.05–7.03 (d, 1H), 6.92–6.55 (br, 3H), 5.57 (br, 1H), 2.87–2.66 (m, 2H), 2.07–1.88 (m, 3H), 1.76–1.71 (m, 1H).

SYNTHESIS EXAMPLE 8

Preparation of 6-(1-Fluoroethyl)-N2-[(9R)-6,7,8,9-tetrahydronaphtho[2,1-b]furan-9-yl]-1,3,5-triazine-2,4-diamine

Step A: Preparation of 6-(1-fluoroethyl)-N2-[(9R)-6,7,8,9-tetrahydrobenzo[e]benzofuran-9-yl]-1,3,5-triazine-2,4-diamine

To a solution of (9R)-6,7,8,9-Tetrahydronaphtho[2,1-b]furan-9-amine hydrochloride (i.e. the product of Step E in Synthesis Example 7, 150 mg, 0.67 mmol) in *N,N*-dimethylformamide (5 mL) was added potassium carbonate (360 mg, 2.68 mmol) and 4-chloro-6-(1-fluoroethyl)-1,3,5-triazin-2-amine (120 mg, 0.67 mmol) at ambient temperature. The reaction mixture was heated at 90° C for 16 h. The reaction mixture was cooled to ambient temperature and diluted with ice cold water. The resulting precipitate was filtered, washed with water (5 mL) and dried. The crude material was purified by column chromatography on silica gel eluting with ethyl acetate/pet ether (1:2.3) to provide the title compound as an off white solid (95 mg).

¹H NMR (400 MHz, DMSO-*d*₆) δ 7.87–7.82 (m, 2H), 7.40–7.38 (d, 1H), 7.09 (br, 2H), 6.97–6.92 (d, 1H), 6.60–6.57 (d, 1H), 5.59–5.54 (m, 1H), 5.33–5.07 (m, 1H), 2.89–2.70 (m, 2H), 2.01–1.88 (m, 3H), 1.76–1.71 (m, 1H), 1.55–1.45 (dd, 3H).

SYNTHESIS EXAMPLE 9

Preparation of 1-[4-methyl-2-[(1R)-1,2,3,4-tetrahydrodibenzofuran-1-yl]amino]pyrimidin-5-yl]ethenone (i.e compound 67)

5 Step A: Preparation of 1-(2-chloro-4-methyl-pyrimidin-5-yl)ethanone

To a solution of 5-bromo-2-chloro-4-methyl-pyrimidine (5 g, 25.51 mmol) in dry tetrahydrofuran (100 ml), Tributyl(1-ethoxyvinyl)tin (8.4 ml, 25.51 mmol) and Palladium bis(triphenylphosphine) dichloride (1.78 g, 2.5 mmol) were added; and the reaction mixture was refluxed overnight. The mixture was then quenched with potassium fluoride (2M) solution. The reaction mixture was then extracted with ethyl acetate (3x100 mL). The combined organic extract was washed with brine, dried over sodium sulfate and concentrated under vacuum. The crude obtained above was dissolved in methanol (100 mL); and 1M HCl solution (30 mL) was added. The resulting solution was stirred for 3 hr; and then the organic solvent was removed under reduced pressure. The residue was diluted with water (100 mL) and neutralized with 1N NaOH; and the resulted solution was extracted with ethyl acetate (2x 100 ml). The combined organic layer was washed with brine, dried over sodium sulfate, and concentrated under vacuum. The crude product was purified by column chromatography on silica gel eluting with ethyl acetate/hexane (1:10) to provide the title compound as an off white solid (2.2 g).

20 ¹H NMR (400 MHz, CDCl₃) δ 8.86 (s, 1H), 2.74 (s, 3H), 2.61 (s, 3H).

Step B: Preparation of 1-[4-methyl-2-[(1R)-1,2,3,4-tetrahydrodibenzofuran-1-yl]amino]pyrimidin-5-yl]ethanone

To a solution of 1-(2-chloro-4-methyl-pyrimidin-5-yl)ethenone (i.e. the product of Step A, 226 mg, 1.3 mmol) in dioxan (5 mL), the hydrochloric acid salt of (1R)-1,2,3,4-tetrahydrodibenzofuran-1-amine (i.e. the product of Step G of synthesis example 1, 300 mg, 1.3 mmol) and potassium carbonate (552 mg, 3.9 mmol) were added respectively and the reaction was refluxed overnight. After completion of the reaction, solvent was evaporated, and the crude was purified by column chromatography on silica gel eluting with ethyl acetate/hexane (1:10) to provide the title compound as a white solid (120 mg).

30 MS (M+1) = 322.4.

SYNTHESIS EXAMPLE 10

Preparation of 2-[4-methyl-2-[(1R)-1,2,3,4-tetrahydrodibenzofuran-1-yl]amino]pyrimidin-5-yl]propan-2-ol (i.e compound 68)

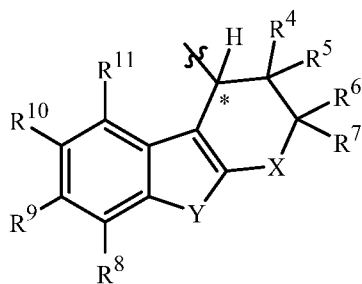
To a solution of 1-[4-methyl-2-[(1R)-1,2,3,4-tetrahydrodibenzofuran-1-yl]amino]pyrimidin-5-yl]ethenone obtained from Step B, synthesis example 9. (120 mg, 3.73 mmol) in anhydrous diethyl ether (5 ml), 3M methyl magnesium bromide (2 ml) was added drop wise at 0.°C temp. The reaction mixture was stirred for 3 hr at room temperature. After completion of the reaction, the mixture was quenched by aq. Ammonium chloride solution.

The resulting solution was extracted with diethyl ether (2x50 ml). The combined organic layer was washed with brine, dried over sodium sulfate, and concentrated under vacuum. The crude was purified by column chromatography on silica gel eluting with ethyl acetate/hexane (1:2.5) to provide the title compound as white solid (60 mg).

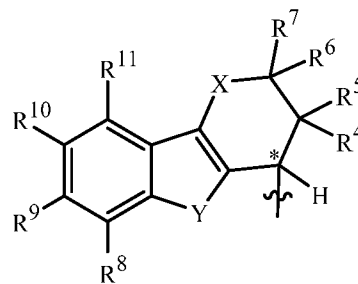
- 5 ¹H NMR (400 MHz, CDCl₃) δ 8.30 (s, 1H), 7.39-7.44 (m, 2H), 7.18-7.21 (t, 1H), 7.11-7.14 (t, 1H), 5.43-5.45 (m, 1H), 5.33-5.35 (m, 1H), 2.70-2.83 (m, 2H), 2.61 (m, 3H), 1.94-2.13 (m, 5 H), 1.72-1.77 (m, 3H), 1.65 (s, 3H), 1.64 (s, 3H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 370 can be prepared. The following abbreviations are used in the Tables which follow: *t* means tertiary, *s* means secondary, *n* means normal, *i* means iso, *c* means cyclo, Me means methyl, Et means ethyl, Pr means propyl, Bu means butyl, *i*-Pr means isopropyl, *c*-Pr means cyclopropyl, *t*-Bu means tertiary butyl, Ph means phenyl, OMe means methoxy, OEt means ethoxy, SMe means methylthio, -CN means cyano, -NO₂ means nitro, TMS means trimethylsilyl, SOMe means methylsulfinyl, C₂F₅ means CF₂CF₃ and SO₂Me means methylsulfonyl.

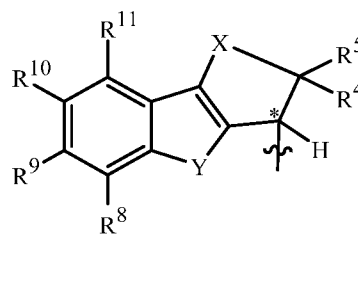
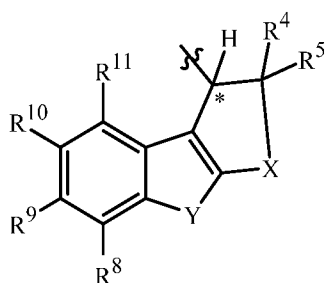
In the following Tables, A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9 and A-10 are defined as following:

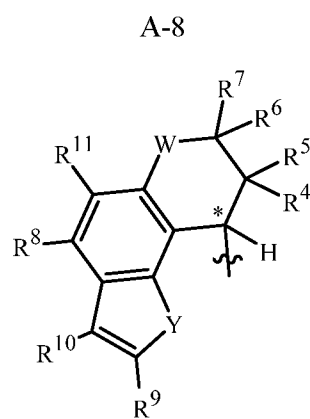
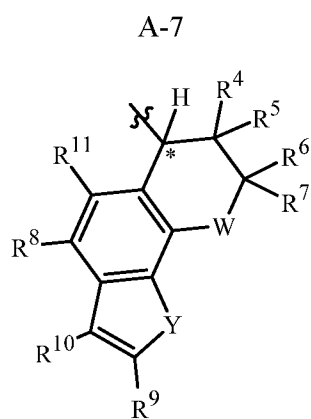
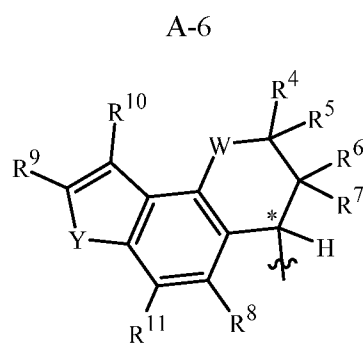
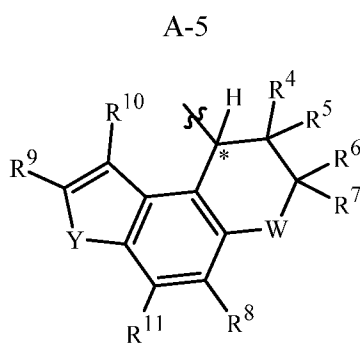
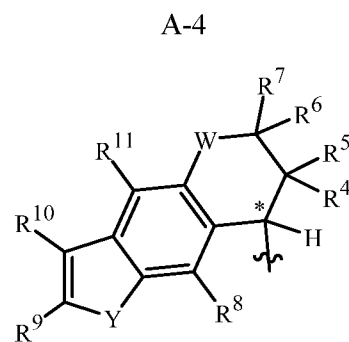
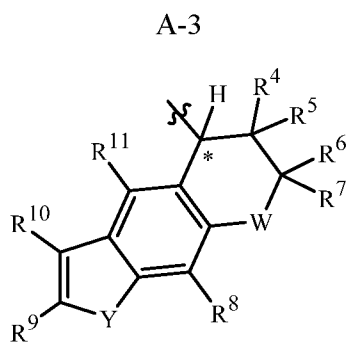


A-1



A-2

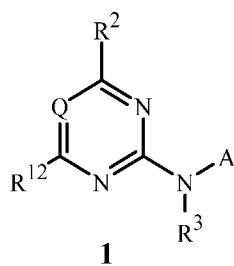




and



Table 1



wherein A is

5 A is A-1, Q is CR¹, R¹ = CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X = CH₂; and

R ⁸	R ⁹	R ¹⁰	R ¹¹		R ⁸	R ⁹	R ¹⁰	R ¹¹		R ⁸	R ⁹	R ¹⁰	R ¹¹
H	H	H	H		CH ₃	H	H	CH ₃		H	Cl	Cl	H
H	H	H	F		F	H	H	CH ₃		H	Cl	H	F
F	H	H	H		CH ₃	H	H	F		F	Cl	H	H
F	H	H	F		H	H	F	H		F	H	Cl	H
Cl	H	H	H		H	F	H	H		H	H	Cl	F
H	H	H	Cl		H	F	F	H		H	CH ₃	H	H
Cl	H	H	Cl		F	F	H	F		H	H	CH ₃	H
F	H	H	Cl		F	H	F	F		H	CH ₃	CH ₃	H
Cl	H	H	F		F	F	F	F		F	CH ₃	H	H
CH ₃	H	H	H		H	Cl	H	H		F	H	CH ₃	H
H	H	H	CH ₃		H	H	Cl	H		H	H	CH ₃	F

- 5 The present disclosure also includes Tables 2 through 370, each of which is constructed the same as Table 1 above, except that the Header Row in Table 1 (i.e. “A is A-1, Q is CR¹, R¹ is CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X = CH₂”) is replaced with the respective Header Row shown below in Tables 2 through 370. For example, the first entry in Table 2 is a compound of Formula 1 wherein A is A-1, Q is CR¹, R¹ is CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X = CH₂. Tables 3 through 370 are constructed similarly.

Table	Header Row
2	A is A-1, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
3	A is A-1, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂
4	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X = CH ₂
5	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
6	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂
7	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
8	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂
9	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂
10	A is A-4, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
11	A is A-4, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂
12	A is A-4, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂
13	A is A-5, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W = CH ₂

[illegible]

39	A is A-3, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂
40	A is A-4, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
41	A is A-4, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂
42	A is A-4, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂ A is A-5, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
43	CH ₂ A is A-5, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
44	CH ₂ A is A-5, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH,
45	W = CH ₂ A is A-6, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
46	CH ₂ A is A-6, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
47	CH ₂ A is A-6, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y =
48	CH=CH, W = CH ₂ A is A-7, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
49	CH ₂ A is A-7, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
50	CH ₂ A is A-7, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y =
51	CH=CH, W = CH ₂ A is A-8, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
52	CH ₂ A is A-8, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
53	CH ₂ A is A-8, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y =
54	CH=CH, W = CH ₂ A is A-9, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
55	CH ₂ A is A-9, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
56	CH ₂ A is A-9, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y =
57	CH=CH, W = CH ₂ A is A-10, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W =
58	CH ₂ A is A-10, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W =
59	CH ₂

	A is A-10, Q is CR ¹ , R ¹ is CF ₂ CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y =
60	CH=CH, W= CH ₂
61	A is A-1, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X= CH ₂
62	A is A-1, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X= CH ₂
	A is A-1, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X=
63	CH ₂
64	A is A-2, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X= CH ₂
65	A is A-2, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X= CH ₂
	A is A-2, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X=
66	CH ₂
67	A is A-3, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X= CH ₂
68	A is A-3, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X= CH ₂
69	A is A-3, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X= CH ₂
70	A is A-4, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X= CH ₂
71	A is A-4, Q is N or CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X= CH ₂
72	A is A-4, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X= CH ₂
73	A is A-5, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
74	A is A-5, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂
75	A is A-5, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W=
	CH ₂
76	A is A-6, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
77	A is A-6, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂
78	A is A-6, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W=
	CH ₂
79	A is A-7, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
80	A is A-7, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂
81	A is A-7, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W=
	CH ₂
82	A is A-8, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
83	A is A-8, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂
84	A is A-8, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W=
	CH ₂
85	A is A-9, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
86	A is A-9, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂
87	A is A-9, Q is CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W=
	CH ₂
88	A is A-10, or CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W= CH ₂
89	A is A-10, Q or CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W= CH ₂

- | | |
|-----|--|
| 90 | A is A-10, Q or CR ¹ , R ¹ is CN, R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W = CH ₂ |
| 91 | A is A-1, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X = CH ₂ |
| 92 | A is A-1, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
A is A-1, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂ |
| 93 | X = CH ₂ |
| 94 | A is A-2, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X = CH ₂ |
| 95 | A is A-2, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
A is A-2, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂ |
| 96 | X = CH ₂ |
| 97 | A is A-3, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂ |
| 98 | A is A-3, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂ |
| 99 | A is A-3, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂ |
| 100 | A is A-4, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂ |
| 101 | A is A-4, Q or CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂ |
| 102 | A is A-4, Q or CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂ |
| 103 | A is A-4, Q or CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
A is A-5, Q or CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W = CH ₂ |
| 104 | CH ₂
A is A-5, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W = CH ₂ |
| 105 | W = CH ₂
A is A-4, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W = CH ₂ |
| 106 | CH ₂ |
| 107 | A is A-6, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W = CH ₂
A is A-6, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W = CH ₂ |
| 108 | W = CH ₂
A is A-7, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W = CH ₂ |
| 109 | CH ₂ |
| 110 | A is A-7, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W = CH ₂
A is A-7, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W = CH ₂ |
| 111 | W = CH ₂
A is A-8, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W = CH ₂ |
| 112 | CH ₂ |
| 113 | A is A-8, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, W = CH ₂
A is A-8, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, W = CH ₂ |
| 114 | W = CH ₂
A is A-9, Q is CR ¹ , R ¹ is SCF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, W = CH ₂ |
| 115 | CH ₂ |

- 116 A is A-9, Q is CR¹, R¹ is SCF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-9, Q is CR¹, R¹ is SCF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
117 W = CH₂
A is A-10, Q is CR¹, R¹ is SCF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
118 CH₂
A is A-10, Q is CR¹, R¹ is SCF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
119 CH₂
A is A-10, Q is CR¹, R¹ is SCF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
120 W = CH₂
A is A-1, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
121 CH₂
A is A-1, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
122 CH₂
A is A-1, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
123 X = CH₂
A is A-2, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
124 CH₂
A is A-2, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
125 CH₂
A is A-2, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
126 X = CH₂
127 A is A-3, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
128 A is A-3, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
129 A is A-3, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
130 A is A-4, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
131 A is A-4, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S
132 A is A-4, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
A is A-5, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
133 CH₂
A is A-5, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
134 CH₂
A is A-5, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
135 W = CH₂
A is A-6, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
136 CH₂
A is A-6, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
137 CH₂

- 138 A is A-6, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 139 A is A-7, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 140 A is A-7, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 141 A is A-7, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 142 A is A-8, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 143 A is A-8, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 144 A is A-8, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 145 A is A-9, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 146 A is A-9, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 147 A is A-9, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 148 A is A-10, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 149 A is A-10, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 150 A is A-10, Q is CR¹, R¹ is SO₂CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y =
=CH=CH, W = CH₂
- 151 A is A-1, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
CH₂
- 152 A is A-1, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
CH₂
- 153 A is A-1, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y =
CH=CH, X = CH₂
- 154 A is A-2, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
CH₂
- 155 A is A-2, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
CH₂
- 156 A is A-2, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y =
CH=CH, X = CH₂

- 157 A is A-3, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 158 A is A-3, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 159 A is A-3, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
- 160 A is A-4, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 161 A is A-4, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 162 A is A-4, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
- 163 A is A-5, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 164 A is A-5, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 165 A is A-5, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 166 A is A-6, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 167 A is A-6, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 168 A is A-6, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 169 A is A-7, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 170 A is A-7, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 171 A is A-7, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 172 A is A-8, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 173 A is A-8, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 174 A is A-8, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 175 A is A-9, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 176 A is A-9, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 177 A is A-9, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 178 A is A-10, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂

- 179 A is A-10, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 180 A is A-10, Q is CR¹, R¹ is SO₂CH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W=CH₂
- 181 A is A-1, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X = CH₂
- 182 A is A-1, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X = CH₂
- 183 A is A-1, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, X = CH₂
- 184 A is A-2, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X = CH₂
- 185 A is A-2, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X = CH₂
- 186 A is A-2, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, X = CH₂
- 187 A is A-3, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 188 A is A-3, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 189 A is A-3, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
- 190 A is A-4, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 191 A is A-4, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 192 A is A-4, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
- 193 A is A-5, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 194 A is A-5, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 195 A is A-5, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 196 A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 197 A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 198 A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 199 A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 200 A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂

- 201 A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 202 A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 203 A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 204 A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 205 A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 206 A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 207 A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
W = CH₂
- 208 A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W =
CH₂
- 209 A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W =
CH₂
- 210 A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y =
CH=CH, W = CH₂
- 211 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O,
X = CH₂
- 212 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S,
X = CH₂
- 213 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y
= CH=CH, X = CH₂
- 214 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O,
X = CH₂
- 215 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S,
X = CH₂
- 216 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y
= CH=CH, X = CH₂
- 217 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 218 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 219 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X =
CH₂
- 220 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 221 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂

- 222 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X=CH₂
- 223 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 224 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 225 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W=CH₂
- 226 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 227 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 228 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 229 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 230 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 231 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W= CH₂
- 232 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 233 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 234 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y= CH=CH, W=CH₂
- 235 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 236 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 237 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 238 A is A-10, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 239 A is A-10, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 240 A is A-10, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂

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- 354 A is A-5, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 355 A is A-5, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 356 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 357 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 358 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 359 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 360 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 361 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 362 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 363 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 364 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 365 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 366 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 367 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 368 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 369 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 370 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂

The present disclosure also includes Tables 2 through 370, each of which is constructed the same as Table 1 above, except that the Header Row in Table 1 (i.e. “A is A-1, Q is CR¹, R¹ is CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X = CH₂”) is replaced with the respective Header Row shown below in Tables 2 through 370. For example, the first entry in Table 2 is a compound of Formula 1 wherein A is A-1, Q is CR¹, R¹ is CF₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X = CH₂. Tables 3 through 370 are constructed similarly.

Table	Header Row
2	A is A-1, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
3	A is A-1, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂
4	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = O, X = CH ₂
5	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = S, X = CH ₂
6	A is A-2, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = R ⁶ = R ⁷ = H, Y = CH=CH, X = CH ₂
7	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
8	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂
9	A is A-3, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = CH=CH, X = CH ₂
10	A is A-4, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = O, X = CH ₂
11	A is A-4, Q is CR ¹ , R ¹ is CF ₃ , R ² is H, R ³ is H, R ¹² is NH ₂ , R ⁴ = R ⁵ = H, Y = S, X = CH ₂

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- [illegible]

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- 194 A is A-5, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-5, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W =
- 195 CH₂
- 196 A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 197 A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-6, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W =
- 198 CH₂
- 199 A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 200 A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-7, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W =
- 201 CH₂
- 202 A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 203 A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-8, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W =
- 204 CH₂
- 205 A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 206 A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-9, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W =
- 207 CH₂
- 208 A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 209 A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
A is A-10, Q is CR¹, R¹ is COCH₃, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
- 210 W = CH₂
- 211 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
CH₂
- 212 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
CH₂
- 213 A is A-1, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
X = CH₂
- 214 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, X =
CH₂
- 215 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, X =
CH₂
- 216 A is A-2, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH,
X = CH₂
- 217 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 218 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 219 A is A-3, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂

- 220 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = O, X = CH₂
- 221 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = S, X = CH₂
- 222 A is A-4, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = H, Y = CH=CH, X = CH₂
- 223 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 224 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 225 A is A-5, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 226 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 227 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 228 A is A-6, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 229 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 230 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 231 A is A-7, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 232 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 233 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 234 A is A-8, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 235 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 236 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 237 A is A-9, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 238 A is A-10, Q is CR¹, R¹ is C(CH₃)₂OH R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 239 A is A-10, Q is CR¹, R¹ is C(CH₃)₂OH, R² is H, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂

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- 353 A is A-5, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 354 A is A-5, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 355 A is A-5, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 356 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 357 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 358 A is A-6, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 359 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 360 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 361 A is A-7, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 362 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 363 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 364 A is A-8, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 365 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 366 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 367 A is A-9, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂
- 368 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = O, W = CH₂
- 369 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = S, W = CH₂
- 370 A is A-10, Q is N, R² is CMe₂F, R³ is H, R¹² is NH₂, R⁴ = R⁵ = R⁶ = R⁷ = H, Y = CH=CH, W = CH₂

A compound of this invention will generally be used as a herbicidal active ingredient in a composition, i.e. formulation, with at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, which serves as a carrier. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.

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

The general types of solid compositions are dusts, powders, granules, pellets, prills, pastilles, tablets, filled films (including seed coatings) and the like, which can be water-dispersible ("wettable") or water-soluble. Films and coatings formed from film-forming solutions or flowable suspensions are particularly useful for seed treatment. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid

formulation; alternatively the entire formulation of active ingredient can be encapsulated (or “overcoated”). Encapsulation can control or delay release of the active ingredient. An emulsifiable granule combines the advantages of both an emulsifiable concentrate formulation and a dry granular formulation. High-strength compositions are primarily used as intermediates for further formulation.

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

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

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

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

Liquid diluents include, for example, water, *N,N*-dimethylalkanamides (e.g., *N,N*-dimethylformamide), limonene, dimethyl sulfoxide, *N*-alkylpyrrolidones (e.g., *N*-methylpyrrolidinone), alkyl phosphates (e.g., triethyl phosphate), ethylene glycol,

triethylene glycol, propylene glycol, dipropylene glycol, polypropylene glycol, propylene carbonate, butylene carbonate, paraffins (e.g., white mineral oils, normal paraffins, isoparaffins), alkylbenzenes, alkylnaphthalenes, glycerine, glycerol triacetate, sorbitol, aromatic hydrocarbons, dearomatized aliphatics, alkylbenzenes, alkylnaphthalenes, ketones
5 such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, acetates such as isoamyl acetate, hexyl acetate, heptyl acetate, octyl acetate, nonyl acetate, tridecyl acetate and isobornyl acetate, other esters such as alkylated lactate esters, dibasic esters, alkyl and aryl benzoates and γ -butyrolactone, and alcohols, which can be linear, branched, saturated or unsaturated, such as methanol, ethanol, *n*-propanol, isopropyl alcohol,
10 *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
15 (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
20 described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950.

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

Surfactants can be classified as nonionic, anionic or cationic. Nonionic surfactants useful for the present compositions include, but are not limited to: alcohol alkoxylates such as alcohol alkoxylates based on natural and synthetic alcohols (which may be branched or linear) and prepared from the alcohols and ethylene oxide, propylene oxide, butylene oxide or
30 mixtures thereof; amine ethoxylates, alkanolamides and ethoxylated alkanolamides; alkoxylated triglycerides such as ethoxylated soybean, castor and rapeseed oils; alkylphenol alkoxylates such as octylphenol ethoxylates, nonylphenol ethoxylates, dinonyl phenol ethoxylates and dodecyl phenol ethoxylates (prepared from the phenols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); block polymers prepared from ethylene
35 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
5 polymers; polyethylene glycols (pegs); polyethylene glycol fatty acid esters; silicone-based surfactants; and sugar-derivatives such as sucrose esters, alkyl polyglycosides and alkyl polysaccharides.

Useful anionic surfactants include, but are not limited to: alkylaryl sulfonic acids and their salts; carboxylated alcohol or alkylphenol ethoxylates; diphenyl sulfonate derivatives;
10 lignin and lignin derivatives such as lignosulfonates; maleic or succinic acids or their anhydrides; olefin sulfonates; phosphate esters such as phosphate esters of alcohol alkoxylates, phosphate esters of alkylphenol alkoxylates and phosphate esters of styryl phenol ethoxylates; protein-based surfactants; sarcosine derivatives; styryl phenol ether sulfate; sulfates and sulfonates of oils and fatty acids; sulfates and sulfonates of ethoxylated alkylphenols; sulfates
15 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
20 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
25 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
30 *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.

35 Compositions of this invention may also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids (some of which may be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such

polyorganosiloxanes), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), wash-off (film formers or stickers), evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Examples of formulation auxiliaries and additives include those listed in *McCutcheon's Volume 2: Functional Materials*, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

The compound of Formula 1 and any other active ingredients are typically incorporated into the present compositions by dissolving the active ingredient in a solvent or by grinding in a liquid or dry diluent. Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. If the solvent of a liquid composition intended for use as an emulsifiable concentrate is water-immiscible, an emulsifier is typically added to emulsify the active-containing solvent upon dilution with water. Active ingredient slurries, with particle diameters of up to 2,000 μm can be wet milled using media mills to obtain particles with average diameters below 3 μm . Aqueous slurries can be made into finished suspension concentrates (see, for example, U.S. 3,060,084) or further processed by spray drying to form water-dispersible granules. Dry formulations usually require dry milling processes, which produce average particle diameters in the 2 to 10 μm range. Dusts and powders can be prepared by blending and usually grinding (such as with a hammer mill or fluid-energy mill). Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed*

Control as a Science, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

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

10

Example AHigh Strength Concentrate

Compound 45	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%

Example BWettable Powder

Compound 45	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example CGranule

Compound 45	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example DExtruded Pellet

Compound 45	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example EEmulsifiable Concentrate

Compound 45	10.0%
polyoxyethylene sorbitol hexoleate	20.0%
C ₆ –C ₁₀ fatty acid methyl ester	70.0%

Example FMicroemulsion

Compound 45	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example GSuspension Concentrate

Compound 45	35%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%
xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
water	53.7%

Example HEmulsion in Water

Compound 45	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 IOil Dispersion

Compound 45	25%
polyoxyethylene sorbitol hexaoleate	15%
organically modified bentonite clay	2.5%
fatty acid methyl ester	57.5%

Additonal Example Formulations include Examples A through I above wherein “Compound 45” is replaced in each of the Examples A through I with the respective compounds from Index Table A as shown below.

<u>Compound No.</u>	<u>Compound No.</u>
Compound 28	Compound 25
Compound 43	Compound 46
Compound 37	Compound 47
Compound 48	Compound 49
Compound 50	

Test results indicate that the compounds of the present invention are highly active preemergent and/or postemergent herbicides and/or plant growth regulants. The compounds of the invention generally show highest activity for postemergence weed control (i.e. applied after weed seedlings emerge from the soil) and preemergence weed control (i.e. applied before weed seedlings emerge from the soil). Many of them have utility for broad-spectrum pre- and/or postemergence weed control in areas where complete control of all vegetation is desired such as around fuel storage tanks, industrial storage areas, parking lots, drive-in theaters, air fields, river banks, irrigation and other waterways, around billboards and highway and railroad structures. Many of the compounds of this invention, by virtue of selective metabolism in crops versus weeds, or by selective activity at the locus of physiological inhibition in crops and weeds, or by selective placement on or within the environment of a mixture of crops and weeds, are useful for the selective control of grass and broadleaf weeds within a crop/weed mixture. One skilled in the art will recognize that the preferred combination of these selectivity factors within a compound or group of compounds can readily be determined by performing routine biological and/or biochemical assays. Compounds of this invention may show tolerance to important agronomic crops including, but is not limited to, alfalfa, barley, cotton, wheat, rape, sugar beets, corn (maize), sorghum, soybeans, rice, oats, peanuts, vegetables, tomato, potato, perennial plantation crops including coffee, cocoa, oil palm, rubber, sugarcane, citrus, grapes, fruit trees, nut trees, banana, plantain, pineapple, hops, tea and forests such as eucalyptus and conifers (e.g., loblolly pine), and turf species (e.g., Kentucky bluegrass, St. Augustine grass, Kentucky fescue and Bermuda grass). Compounds of this invention can be used in crops genetically transformed or bred to incorporate resistance to herbicides, express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* toxin), and/or express other useful traits. Those skilled in the art will appreciate that not all compounds are equally effective against all weeds. Alternatively, the subject compounds are useful to modify plant growth.

As the compounds of the invention have both preemergent and postemergent herbicidal activity, to control undesired vegetation by killing or injuring the vegetation or reducing its growth, the compounds can be usefully applied by a variety of methods involving contacting

a herbicidally effective amount of a compound of the invention, or a composition comprising said compound and at least one of a surfactant, a solid diluent or a liquid diluent, to the foliage or other part of the undesired vegetation or to the environment of the undesired vegetation such as the soil or water in which the undesired vegetation is growing or which surrounds the seed or other propagule of the undesired vegetation.

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

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

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

Genetically modified plant cultivars in the locus which can be treated according to the invention include those that are resistant against one or more biotic stresses (pests such as nematodes, insects, mites, fungi, etc.) or abiotic stresses (drought, cold temperature, soil salinity, etc.), or that contain other desirable characteristics. Plants can be genetically modified to exhibit traits of, for example, herbicide tolerance, insect-resistance, modified oil profiles or drought tolerance.

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

Compounds of this invention can also be mixed with one or more other biologically active compounds or agents including herbicides, herbicide safeners, fungicides, insecticides, nematocides, bactericides, acaricides, growth regulators such as insect molting inhibitors and

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

A mixture of one or more of the following herbicides with a compound of this invention may be particularly useful for weed control: acetochlor, acifluorfen and its sodium salt, aclonifen, acrolein (2-propenal), alachlor, alloxydim, ametryn, amicarbazone, amidosulfuron, aminocyclopyrachlor and its esters (e.g., methyl, ethyl) and salts (e.g., sodium, potassium), aminopyralid, amitrole, ammonium sulfamate, anilofos, asulam, atrazine, azimsulfuron, beflubutamid, benazolin, benazolin-ethyl, bencarbazone, benfluralin, benfuresate, bensulfuron-methyl, bensulide, bentazone, benzobicyclon, benzofenap, bicyclopyrone, bifenox, bilanafos, bispyribac and its sodium salt, bromacil, bromobutide, bromofenoxim, bromoxynil, bromoxynil octanoate, butachlor, butafenacil, butamifos, butralin, butroxydim, butylate, cafenstrole, carbetamide, carfentrazone-ethyl, catechin, chlomethoxyfen, chloramben, chlorbromuron, chlorflurenol-methyl, chloridazon, chlorimuron-ethyl, chlorotoluron, chlorpropham, chlorsulfuron, chlorthal-dimethyl, chlorthiamid, cinidon-ethyl, cinmethylin, cinosulfuron, clacyfos, clefoxydim, clethodim, clodinafop-propargyl, clomazone, clomeprop, clopyralid, clopyralid-olamine, cloransulam-methyl, cumyluron, cyanazine, cycloate, cyclopyrimorate, cyclosulfamuron, cycloxydim, cyhalofop-butyl, 2,4-D and its butotyl, butyl, isooctyl and isopropyl esters and its dimethylammonium, diolamine and trolamine salts, daimuron, dalapon, dalapon-sodium, dazomet, 2,4-DB and its dimethylammonium, potassium and sodium salts, desmedipham, desmetryn, dicamba and its diglycolammonium, dimethylammonium, potassium and sodium salts, dichlobenil, dichlorprop, diclofop-methyl, diclosulam, difenzoquat metilsulfate, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimethylarsinic acid and its sodium salt, dinitramine, dinoterb,

diphenamid, diquat dibromide, dithiopyr, diuron, DNOC, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron-methyl, ethiozin, ethofumesate, ethoxyfen, ethoxysulfuron, etobenzanid, fenoxaprop-ethyl, fenoxaprop-P-ethyl, fenoxasulfone, fenquinotriene, fentrazamide, fenuron, fenuron-TCA, flamprop-methyl, flamprop-M-isopropyl, 5 flamprop-M-methyl, flazasulfuron, florasulam, fluazifop-butyl, fluazifop-P-butyl, fluazolate, flucarbazone, flucetosulfuron, fluchloralin, flufenacet, flufenpyr, flufenpyr-ethyl, flumetsulam, flumiclorac-pentyl, flumioxazin, fluometuron, fluoroglycofen-ethyl, flupoxam, flupyr-sulfuron-methyl and its sodium salt, flurenol, flurenol-butyl, fluridone, flurochloridone, fluroxypyr, flurtamone, fluthiacet-methyl, fomesafen, foramsulfuron, fosamine-ammonium, 10 glufosinate, glufosinate-ammonium, glufosinate-P, glyphosate and its salts such as ammonium, isopropylammonium, potassium, sodium (including sesquisodium) and trimesium (alternatively named sulfosate), halauxifen, halauxifen-methyl, halosulfuron-methyl, haloxyfop-etotyl, haloxyfop-methyl, hexazinone, hydantocidin, imazamethabenz-methyl, imazamox, imazapic, imazapyr, imazaquin, imazaquin-ammonium, 15 imazethapyr, imazethapyr-ammonium, imazosulfuron, indanofan, indaziflam, iofensulfuron, iodosulfuron-methyl, ioxynil, ioxynil octanoate, ioxynil-sodium, ipfencarbazone, isoproturon, isouron, isoxaben, isoxaflutole, isoxachlortole, lactofen, lenacil, linuron, maleic hydrazide, MCPA and its salts (e.g., MCPA-dimethylammonium, MCPA-potassium and MCPA-sodium, esters (e.g., MCPA-2-ethylhexyl, MCPA-butotyl) and thioesters (e.g., MCPA-thioethyl), 20 MCPB and its salts (e.g., MCPB-sodium) and esters (e.g., MCPB-ethyl), mecoprop, mecoprop-P, mefenacet, mefluidide, mesosulfuron-methyl, mesotrione, metam-sodium, metamifop, metamitron, metazachlor, metazosulfuron, methabenzthiazuron, methylarsonic acid and its calcium, monoammonium, monosodium and disodium salts, methyldymron, metobenzuron, metobromuron, metolachlor, S-metolachlor, metosulam, metoxuron, 25 metribuzin, metsulfuron-methyl, molinate, monolinuron, naproanilide, napropamide, napropamide-M, naptalam, neburon, nicosulfuron, norflurazon, orbencarb, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paraquat dichloride, pebulate, pelargonic acid, pendimethalin, penoxsulam, pentanochlor, pentoxazone, perfluidone, pethoxamid, pethoxyamid, phenmedipham, picloram, picloram-potassium, 30 picolinafen, pinoxaden, piperophos, pretilachlor, primisulfuron-methyl, prodiamine, profoxydim, prometon, prometryn, propachlor, propanil, propaquizafop, propazine, propham, propisochlor, propoxycarbazone, propyrisulfuron, propyzamide, prosulfocarb, prosulfuron, pyraclonil, pyraflufen-ethyl, pyrasulfotole, pyrazogyl, pyrazolynate, pyrazoxyfen, pyrazosulfuron-ethyl, pyribenzoxim, pyributicarb, pyridate, pyriftalid, pyriminobac-methyl, 35 pyrimisulfan, pyriothiobac, pyriothiobac-sodium, pyroxasulfone, pyroxsulam, quinclorac, quinmerac, quinclamine, quizalofop-ethyl, quizalofop-P-ethyl, quizalofop-P-tefuryl, rimsulfuron, saflufenacil, sethoxydim, siduron, simazine, simetryn, sulcotriene, sulfentrazone, sulfometuron-methyl, sulfosulfuron, 2,3,6-TBA, TCA, TCA-sodium, tebutam, tebuthiuron,

tefuryltrione, tembotrione, tepraloxym, terbacil, terbumeton, terbuthylazine, terbutryn, thenylchlor, thiazopyr, thiencarbazone, thifensulfuron-methyl, thiobencarb, tiafenacil, tiocarbazil, tolpyralate, topramezone, tralkoxydim, tri-allate, triafamone, triasulfuron, triaziflam, tribenuron-methyl, triclopyr, triclopyr-butotyl, triclopyr-triethylammonium, tridiphane, trietazine, trifloxysulfuron, trifludimoxazin, trifluralin, triflusulfuron-methyl, tritosulfuron, vernolate, 3-(2-chloro-3,6-difluorophenyl)-4-hydroxy-1-methyl-1,5-naphthyridin-2(1*H*)-one, 5-chloro-3-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-1-(4-methoxyphenyl)-2(1*H*)-quinoxalinone, 2-chloro-*N*-(1-methyl-1*H*-tetrazol-5-yl)-6-(trifluoromethyl)-3-pyridinecarboxamide, 7-(3,5-dichloro-4-pyridinyl)-5-(2,2-difluoroethyl)-8-hydroxypyrido[2,3-*b*]pyrazin-6(5*H*)-one, 4-(2,6-diethyl-4-methylphenyl)-5-hydroxy-2,6-dimethyl-3(2*H*)-pyridazinone, 5-[(2,6-difluorophenyl)methoxy]methyl-4,5-dihydro-5-methyl-3-(3-methyl-2-thienyl)isoxazole (previously methioxolin), 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5(2*H*,4*H*)-dione, methyl 4-amino-3-chloro-6-(4-chloro-2-fluoro-3-methoxyphenyl)-5-fluoro-2-pyridinecarboxylate, 2-methyl-3-(methylsulfonyl)-*N*-(1-methyl-1*H*-tetrazol-5-yl)-4-(trifluoromethyl)benzamide and 2-methyl-*N*-(4-methyl-1,2,5-oxadiazol-3-yl)-3-(methylsulfinyl)-4-(trifluoromethyl)benzamide. Other herbicides also include bioherbicides such as *Alternaria destruens* Simmons, *Colletotrichum gloeosporioides* (Penz.) Penz. & Sacc., *Drechslera monoceras* (MTB-951), *Myrothecium verrucaria* (Albertini & Schweinitz) Ditmar: Fries, *Phytophthora palmivora* (Butl.) Butl. and *Puccinia thlaspeos* Schub.

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

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

For embodiments where one or more of these various mixing partners are used, the mixing partners are typically used in the amounts similar to amounts customary when the mixture partners are used alone. More particularly in mixtures, active ingredients are often applied at an application rate between one-half and the full application rate specified on product labels for use of active ingredient alone. These amounts are listed in references such as *The Pesticide Manual* and *The BioPesticide Manual*. The weight ratio of these various mixing partners (in total) to the compound of Formula 1 is typically between about 1:3000 and about 3000:1. Of note are weight ratios between about 1:300 and about 300:1 (for example

ratios between about 1:30 and about 30:1). One skilled in the art can easily determine through simple experimentation the biologically effective amounts of active ingredients necessary for the desired spectrum of biological activity. It will be evident that including these additional components may expand the spectrum of weeds controlled beyond the spectrum controlled by the compound of Formula 1 alone.

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

Of note is a combination of a compound of the invention with at least one other herbicidal active ingredient. Of particular note is such a combination where the other herbicidal active ingredient has different site of action from the compound of the invention.

In certain instances, a combination with at least one other herbicidal active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a composition of the present invention can further comprise (in a herbicidally effective amount) at least one additional herbicidal active ingredient having a similar spectrum of control but a different site of action.

Compounds of this invention can also be used in combination with herbicide safeners such as allidochlor, benoxacor, cloquintocet-mexyl, cumyluron, cyometrinil, cyprosulfonamide, daimuron, dichlormid, dicyclonon, dietholate, dimepiperate, fenchlorazole-ethyl, fencloirim, flurazole, fluxofenim, furilazole, isoxadifen-ethyl, mefenpyr-diethyl, mephenate, methoxyphenone naphthalic anhydride (1,8-naphthalic anhydride), oxabetrinil, *N*-(aminocarbonyl)-2-methylbenzenesulfonamide, *N*-(aminocarbonyl)-2-fluorobenzenesulfonamide, 1-bromo-4-[(chloromethyl)sulfonyl]benzene (BCS), 4-(dichloroacetyl)-1-oxa-4-azospiro[4.5]decane (MON 4660), 2-(dichloromethyl)-2-methyl-1,3-dioxolane (MG 191), ethyl 1,6-dihydro-1-(2-methoxyphenyl)-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2-hydroxy-*N,N*-dimethyl-6-(trifluoromethyl)pyridine-3-carboxamide, and 3-oxo-1-cyclohexen-1-yl 1-(3,4-dimethylphenyl)-1,6-dihydro-6-oxo-2-phenyl-5-pyrimidinecarboxylate, 2,2-dichloro-1-(2,2,5-trimethyl-3-oxazolidinyl)-ethanone and 2-methoxy-*N*-[[4-[[[(methylamino)carbonyl]amino]phenyl]sulfonyl]-benzamide to increase safety to certain crops. Antidotally effective amounts of the herbicide safeners can be applied

at the same time as the compounds of this invention, or applied as seed treatments. Therefore an aspect of the present invention relates to a herbicidal mixture comprising a compound of this invention and an antidotally effective amount of a herbicide safener. Seed treatment is particularly useful for selective weed control, because it physically restricts antidoting to the crop plants. Therefore a particularly useful embodiment of the present invention is a method for selectively controlling the growth of undesired vegetation in a crop comprising contacting the locus of the crop with a herbicidally effective amount of a compound of this invention wherein seed from which the crop is grown is treated with an antidotally effective amount of safener. Antidotally effective amounts of safeners can be easily determined by one skilled in the art through simple experimentation.

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

Of note is a composition comprising a compound of the invention (in a herbicidally effective amount), at least one additional active ingredient selected from the group consisting of other herbicides and herbicide safeners (in an effective amount), and at least one component selected from the group consisting of surfactants, solid diluents and liquid diluents.

Preferred for better control of undesired vegetation (e.g., lower use rate such as from synergism, broader spectrum of weeds controlled, or enhanced crop safety) or for preventing the development of resistant weeds are mixtures of a compound of this invention with a herbicide selected from the group consisting of atrazine, azimsulfuron, beflubutamid, *S*-beflubutamid, benzisothiazolinone, carfentrazone-ethyl, chlorimuron-ethyl, chlorsulfuron-methyl, clomazone, clopyralid potassium, cloransulam-methyl, 2-[(2,4-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone (CA No. 81777-95-9) and 2-[(2,5-dichlorophenyl)methyl]-4,4-dimethyl-3-isoxazolidinone (CA No. 81778-66-7) ethametsulfuron-methyl, flumetsulam, 4-(4-fluorophenyl)-6-[(2-hydroxy-6-oxo-1-cyclohexen-1-yl)carbonyl]-2-methyl-1,2,4-triazine-3,5-(2*H*,4*H*)-dione, flupyrsulfuron-methyl, fluthiacet-methyl, fomesafen, imazethapyr, lenacil, mesotrione, metribuzin, metsulfuron-methyl, pethoxamid, picloram, pyroxasulfone, quinclorac, rimsulfuron, rinskor, *S*-metolachlor, sulfentrazone, thifensulfuron-methyl, triflurosulfuron-methyl and tribenuron-methyl. Table A1 lists specific combinations of a Component (a) with Component (b) illustrative of the mixtures, compositions and methods of the present invention. Compound # in the Component (a) column is identified in Index Table A. The second column of Table A1 lists the specific Component (b) compound (e.g., “2,4-D”

in the first line). The third, fourth and fifth columns of Table A1 lists ranges of weight ratios for rates at which the Component (a) compound is typically applied to a field-grown crop relative to Component (b) (i.e. (a):(b)). Thus, for example, the first line of Table A1 specifically discloses the combination of Component (a) (i.e. Compound 45 in Index Table A) with 2,4-D is typically applied in a weight ratio between 1:192 – 6:1. The remaining lines of Table A1 are to be construed similarly.

TABLE A1

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	2,4-D	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Acetochlor	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Acifluorfen	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Aclonifen	1:857 – 2:1	1:285 – 1:3	1:107 – 1:12
45	Alachlor	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Ametryn	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Amicarbazone	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Amidosulfuron	1:6 – 168:1	1:2 – 56:1	1:1 – 11:1
45	Aminocyclopyrachlor	1:48 – 24:1	1:16 – 8:1	1:6 – 2:1
45	Aminopyralid	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Amitrole	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Anilofos	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Asulam	1:960 – 2:1	1:320 – 1:3	1:120 – 1:14
45	Atrazine	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Azimsulfuron	1:6 – 168:1	1:2 – 56:1	1:1 – 11:1
45	Beflubutamid	1:342 – 4:1	1:114 – 2:1	1:42 – 1:5
45	S-Beflubutamid	1:171 – 2:1	1:57 – 1:1	1:21 – 1:2.5
45	Benfuresate	1:617 – 2:1	1:205 – 1:2	1:77 – 1:9
45	Bensulfuron-methyl	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Bentazone	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Benzobicyclon	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Benzofenap	1:257 – 5:1	1:85 – 2:1	1:32 – 1:4
45	Bicyclopyrone	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Bifenox	1:257 – 5:1	1:85 – 2:1	1:32 – 1:4
45	Bispyribac-sodium	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Bromacil	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Bromobutide	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Bromoxynil	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Butachlor	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Butafenacil	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Butylate	1:1542 – 1:2	1:514 – 1:5	1:192 – 1:22
45	Carfenstrole	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Carfentrazone-ethyl	1:128 – 9:1	1:42 – 3:1	1:16 – 1:2
45	Chlorimuron-ethyl	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Chlorotoluron	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Chlorsulfuron	1:6 – 168:1	1:2 – 56:1	1:1 – 11:1
45	Cincosulfuron	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Cinidon-ethyl	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Cinmethylin	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Clacyfos	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Clethodim	1:48 – 24:1	1:16 – 8:1	1:6 – 2:1
45	Clodinafop-propargyl	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Clomazone	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Clomeprop	1:171 – 7:1	1:57 – 3:1	1:21 – 1:3
45	Clopyralid	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Cloransulam-methyl	1:12 – 96:1	1:4 – 32:1	1:1 – 6:1
45	Cumyluron	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Cyanazine	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Cyclopyrimorate	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Cyclosulfamuron	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Cycloxydim	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Cyhalofop	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Daimuron	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Desmedipham	1:322 – 4:1	1:107 – 2:1	1:40 – 1:5
45	Dicamba	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Dichlobenil	1:1371 – 1:2	1:457 – 1:4	1:171 – 1:20
45	Dichlorprop	1:925 – 2:1	1:308 – 1:3	1:115 – 1:13
45	Diclofop-methyl	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Diclosulam	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Difenzoquat	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Diflufenican	1:857 – 2:1	1:285 – 1:3	1:107 – 1:12
45	Diflufenzopyr	1:12 – 96:1	1:4 – 32:1	1:1 – 6:1
45	Dimethachlor	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Dimethametryn	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Dimethenamid-P	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Dithiopyr	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Diuron	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	EPTC	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Esprocarb	1:1371 – 1:2	1:457 – 1:4	1:171 – 1:20
45	Ethalfuralin	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Ethametsulfuron-methyl	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Ethoxyfen	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Ethoxysulfuron	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Etobenzanid	1:257 – 5:1	1:85 – 2:1	1:32 – 1:4
45	Fenoxaprop-ethyl	1:120 – 10:1	1:40 – 4:1	1:15 – 1:2
45	Fenoxasulfone	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Fenquinotrione	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Fentrazamide	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Flazasulfuron	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Florasulam	1:2 – 420:1	1:1 – 140:1	2:1 – 27:1
45	Fluazifop-butyl	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Flucarbazone	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Flucetosulfuron	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Flufenacet	1:257 – 5:1	1:85 – 2:1	1:32 – 1:4
45	Flumetsulam	1:24 – 48:1	1:8 – 16:1	1:3 – 3:1
45	Flumiclorac-pentyl	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Flumioxazin	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Fluometuron	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Flupyr-sulfuron-methyl	1:3 – 336:1	1:1 – 112:1	2:1 – 21:1
45	Fluridone	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Fluroxypyr	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Flurtamone	1:857 – 2:1	1:285 – 1:3	1:107 – 1:12
45	Fluthiacet-methyl	1:48 – 42:1	1:16 – 14:1	1:3 – 3:1
45	Fomesafen	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Foramsulfuron	1:13 – 84:1	1:4 – 28:1	1:1 – 6:1
45	Glufosinate	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Glyphosate	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Halosulfuron-methyl	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Halauxifen	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Halauxifen methyl	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Haloxypop-methyl	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Hexazinone	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Hydantocidin	1:1100 – 16:1	1:385 – 8:1	1:144 – 4:1
45	Imazamox	1:13 – 84:1	1:4 – 28:1	1:1 – 6:1
45	Imazapic	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Imazapyr	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Imazaquin	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Imazethabenz-methyl	1:171 – 7:1	1:57 – 3:1	1:21 – 1:3
45	Imazethapyr	1:24 – 48:1	1:8 – 16:1	1:3 – 3:1
45	Imazosulfuron	1:27 – 42:1	1:9 – 14:1	1:3 – 3:1
45	Indanofan	1:342 – 4:1	1:114 – 2:1	1:42 – 1:5
45	Indaziflam	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Iodosulfuron-methyl	1:3 – 336:1	1:1 – 112:1	2:1 – 21:1
45	Ioxynil	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Ipfencarbazone	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Isoproturon	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Isoxaben	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Isoxaflutole	1:60 – 20:1	1:20 – 7:1	1:7 – 2:1
45	Lactofen	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Lenacil	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Linuron	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	MCPA	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	MCPB	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Mecoprop	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Mefenacet	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Mefluidide	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Mesosulfuron-methyl	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Mesotrione	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Metamifop	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Metazachlor	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Metazosulfuron	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Methabenzthiazuron	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Metolachlor	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Metosulam	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Metribuzin	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Metsulfuron-methyl	1:2 – 560:1	1:1 – 187:1	3:1 – 35:1
45	Molinate	1:1028 – 2:1	1:342 – 1:3	1:128 – 1:15
45	Napropamide	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Napropamide-M	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Naptalam	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Nicosulfuron	1:12 – 96:1	1:4 – 32:1	1:1 – 6:1
45	Norflurazon	1:1152 – 1:1	1:384 – 1:3	1:144 – 1:16
45	Orbencarb	1:1371 – 1:2	1:457 – 1:4	1:171 – 1:20
45	Orthosulfamuron	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Oryzalin	1:514 – 3:1	1:171 – 1:2	1:64 – 1:8
45	Oxadiargyl	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Oxadiazon	1:548 – 3:1	1:182 – 1:2	1:68 – 1:8
45	Oxasulfuron	1:27 – 42:1	1:9 – 14:1	1:3 – 3:1
45	Oxaziclonofone	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Oxyfluorfen	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Paraquat	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Pendimethalin	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Penoxsulam	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Pentoxamid	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Pentoxazone	1:102 – 12:1	1:34 – 4:1	1:12 – 1:2
45	Phenmedipham	1:102 – 12:1	1:34 – 4:1	1:12 – 1:2
45	Picloram	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Picolinafen	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Pinoxaden	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Pretilachlor	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Primisulfuron-methyl	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Prodiamine	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Profoxydim	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Prometryn	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Propachlor	1:1152 – 1:1	1:384 – 1:3	1:144 – 1:16
45	Propanil	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Propaquizafop	1:48 – 24:1	1:16 – 8:1	1:6 – 2:1
45	Propoxycarbazone	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Propyrisulfuron	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1

<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Propyzamide	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Prosulfocarb	1:1200 – 1:2	1:400 – 1:4	1:150 – 1:17
45	Prosulfuron	1:6 – 168:1	1:2 – 56:1	1:1 – 11:1
45	Pyraclonil	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Pyraflufen-ethyl	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Pyrasulfotole	1:13 – 84:1	1:4 – 28:1	1:1 – 6:1
45	Pyrazolynate	1:857 – 2:1	1:285 – 1:3	1:107 – 1:12
45	Pyrazosulfuron-ethyl	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Pyrazoxyfen	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Pyribenzoxim	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Pyributicarb	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Pyridate	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Pyriftalid	1:10 – 112:1	1:3 – 38:1	1:1 – 7:1
45	Pyriminobac-methyl	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Pyrimisulfan	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Pyriothiac	1:24 – 48:1	1:8 – 16:1	1:3 – 3:1
45	Pyroxasulfone	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Pyroxulam	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Quinclorac	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Quizalofop-ethyl	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Rimsulfuron	1:13 – 84:1	1:4 – 28:1	1:1 – 6:1
45	Rinskor	1:20 – 56:1	1:6 – 19:1	1:2 – 4:1
45	Saflufenacil	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Sethoxydim	1:96 – 12:1	1:32 – 4:1	1:12 – 1:2
45	Simazine	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Sulcotrione	1:120 – 10:1	1:40 – 4:1	1:15 – 1:2
45	Sulfentrazone	1:147 – 8:1	1:49 – 3:1	1:18 – 1:3
45	Sulfometuron-methyl	1:34 – 34:1	1:11 – 12:1	1:4 – 3:1
45	Sulfosulfuron	1:8 – 135:1	1:2 – 45:1	1:1 – 9:1
45	Tebuthiuron	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Tefuryltrione	1:42 – 27:1	1:14 – 9:1	1:5 – 2:1
45	Tembotrione	1:31 – 37:1	1:10 – 13:1	1:3 – 3:1
45	Tepraloxym	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Terbacil	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Terbutylazine	1:857 – 2:1	1:285 – 1:3	1:107 – 1:12

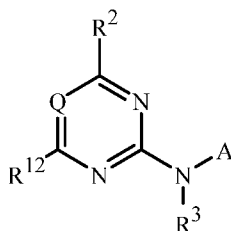
<u>Component (a)</u> <u>(Compound #)</u>	<u>Component (b)</u>	<u>Typical</u> <u>Weight Ratio</u>	<u>More Typical</u> <u>Weight Ratio</u>	<u>Most Typical</u> <u>Weight Ratio</u>
45	Terbutryn	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Thenylchlor	1:85 – 14:1	1:28 – 5:1	1:10 – 1:2
45	Thiazopyr	1:384 – 3:1	1:128 – 1:1	1:48 – 1:6
45	Thiencarbazone	1:3 – 336:1	1:1 – 112:1	2:1 – 21:1
45	Thifensulfuron-methyl	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Tiafenacil	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Thiobencarb	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Tolpyralate	1:31 – 37:1	1:10 – 13:1	1:3 – 3:1
45	Topramzone	1:6 – 168:1	1:2 – 56:1	1:1 – 11:1
45	Tralkoxydim	1:68 – 17:1	1:22 – 6:1	1:8 – 2:1
45	Triafamone	1:2 – 420:1	1:1 – 140:1	2:1 – 27:1
45	Triallate	1:768 – 2:1	1:256 – 1:2	1:96 – 1:11
45	Triasulfuron	1:5 – 224:1	1:1 – 75:1	1:1 – 14:1
45	Triaziflam	1:171 – 7:1	1:57 – 3:1	1:21 – 1:3
45	Tribenuron-methyl	1:3 – 336:1	1:1 – 112:1	2:1 – 21:1
45	Triclopyr	1:192 – 6:1	1:64 – 2:1	1:24 – 1:3
45	Trifloxysulfuron	1:2 – 420:1	1:1 – 140:1	2:1 – 27:1
45	Trifludimoxazin	1:25 – 45:1	1:8 – 15:1	1:3 – 3:1
45	Trifluralin	1:288 – 4:1	1:96 – 2:1	1:36 – 1:4
45	Triflusulfuron-methyl	1:17 – 68:1	1:5 – 23:1	1:2 – 5:1
45	Tritosulfuron	1:13 – 84:1	1:4 – 28:1	1:1 – 6:1

Table A2 is constructed the same as Table A1 above except that entries below the “Component (a)(compound #)” column heading are replaced with the respective Component (a) Column Entry shown below. Compound 3 in the Component (a) column is identified in Index Table A. Thus, for example, in Table A2 the entries below the “Component (a)” column heading all recite “Compound 28” (i.e. Compound 28 identified in Index Table A), and the first line below the column headings in Table A2 specifically discloses a mixture of Compound 28 with 2,4-D. Tables A3 through A6 are constructed similarly.

<u>Table Number</u>	<u>Component (a)(Compound#) Column Entry</u>
A2	28
A3	43
A4	37
A5	46
A6	25
A7	47

Table Number	Component (a)(Compound#) Column Entry
A8	48
A9	49
A10	50

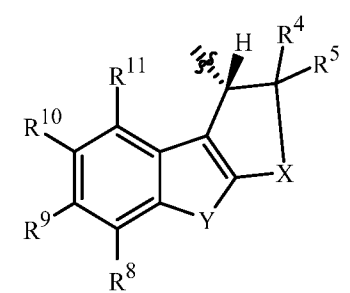
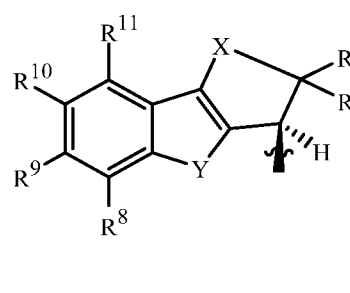
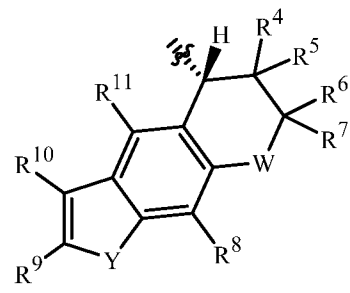
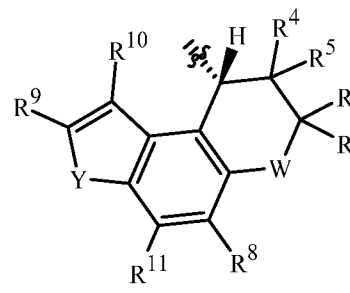
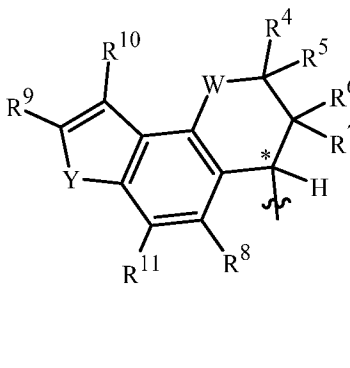
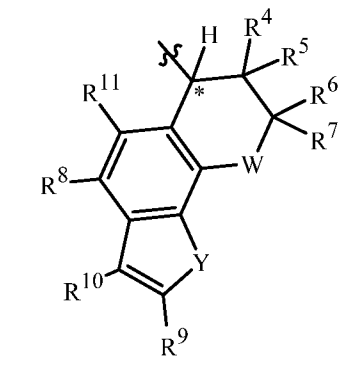
The following Tests demonstrate the control efficacy of the compounds of this invention against specific weeds. The weed control afforded by the compounds is not limited, however, to these species. See Index Tables A, B, C and D for compound descriptions. The following abbreviations are used in the Index Tables which follow: *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, Bu is butyl, *c*-Pr is cyclopropyl, *t*-Bu is *tert*-butyl, *c*-Pen is cyclopentyl, *c*-Hex is cyclohexyl, CN is cyano. (*R*) or (*S*) denotes the absolute chirality of the asymmetric carbon center. The abbreviation “(d)” indicates that the compound appeared to decompose on melting. The abbreviation “Cmpd. #” stands for “Compound Number”. The abbreviation “Ex.” stands for “Example” and is followed by a number indicating in which example the compound is prepared. Mass spectra are reported with an estimated precision within ± 0.5 Da as the molecular weight of the highest isotopic abundance parent ion ($M+1$) formed by addition of H^+ (molecular weight of 1) to the molecule observed by using atmospheric pressure chemical ionization (AP+).



1

15 A is

A-1	A-2

	
A-3	A-4
	
A-5	A-7
	
A-8	A-9

INDEX TABLE A

Q=CR¹, R³=R⁴=R⁵=R⁶=R⁷=H and X=CH₂

Cmpd #	A	R ¹	R ²	R ⁸	R ⁹	R ¹⁰	R ¹¹	R ¹²	Y	M.S.	M.P.(°C)
2	A-3	CF ₃	H	H	CH ₃	H	H	NH ₂	O		120–124
3	A-3	CF ₃	CH ₃	H	H	H	H	NH ₂	O		114–118
10	A-4	CF ₃	H	H	H	H	H	NH ₂	O	335.2	
11	A-4	CF ₃	H	H	H	H	H	NH ₂	S	351.1	
12	A-1	CN	H	H	H	H	H	NH ₂	O	306	
13	A-3	CF ₃	H	H	H	H	H	NH ₂	S	365	
16	A-3	CF ₃	H	H	H	F	H	NH ₂	O		150–154

Cmpd #	A	R ¹	R ²	R ⁸	R ⁹	R ¹⁰	R ¹¹	R ¹²	Y	M.S.	M.P.(°C)
17	A-3	CF ₃	H	H	F	H	H	NH ₂	O	353.16	
18	A-1	CF ₃	CH ₃	H	H	H	H	NH ₂	O	363.12	
20	A-1	CF ₃	H	H	H	F	H	NH ₂	O	367	
23	A-1	CF ₃	H	H	F	H	H	NH ₂	O	367.9	
24	A-3	CF ₃	H	H	H	H	H	NH ₂	O		115.7–133
26	A-1	CF ₃	H	H	F	F	H	NH ₂	O	385	
28	A-1	CF ₃	H	F	H	H	H	NH ₂	O	368.5	
30	A-1	CF ₃	H	H	H	CH ₃	H	NH ₂	O	363	
40	A-1	CF ₃	H	H	H	H	H	NH ₂	S	365	
41	A-1	CF ₃	H	F	H	F	H	NH ₂	O	385	
42	A-1	CF ₃	H	H	CH ₃	H	H	NH ₂	O	363.2	
43	A-1	CF ₃	H	H	H	H	F	NH ₂	O	367	
44	A-1	CF ₃	H	H	Cl	H	H	NH ₂	O	383	
45	A-1	CF ₃	H	H	H	H	H	NH ₂	O	349.09	
58	A-1	CF ₃	CFH(CH ₃)	H	H	H	H	NH ₂	O		127-131
59	A-1	CF ₃	CF ₂ H	H	H	H	H	NH ₂	O		73-76
60	A-1	SOCH ₃	H	H	H	H	H	NH ₂	O		144-147
61	A-1	CF ₃	H	H	H	H	H	NHCO ₂ Et	O		80-84
63	A-2	CF ₃	H	H	H	H	H	NH ₂	O		160-163
66	A-1	SO ₂ CH ₃	H	H	H	H	H	NH ₂	O		178-181
67	A-1	COCH ₃	H	H	H	H	H	CH ₃	O	322.4	
68	A-1	C(CH ₃) ₂ OH	H	H	H	H	H	CH ₃	O	338	

INDEX TABLE B

Q=N, R³=R⁴=R⁵=R⁶=R⁷=H, and X=CH₂

Cmpd #	A	R ²	R ⁸	R ⁹	R ¹⁰	R ¹¹	R ¹²	Y	M.S.	M.P. (°C)
1	A-3	CH ₂ F	H	CH ₃	H	H	NH ₂	O		100–104
4	A-1	<i>c</i> -Hex	H	H	H	H	NH ₂	O	364.2	
5	A-3	CH ₂ F	H	H	F	H	NH ₂	O		150–153
6	A-4	C(CH ₃) ₂ F	H	H	H	H	NH ₂	S		123.3–141.3
7	A-1	<i>c</i> -Pen	H	H	H	H	NH ₂	O	348.2	
8	A-4	CFH(CH ₃)	H	H	H	H	NH ₂	O	314.2	
9	A-4	CFH(CH ₃)	H	H	H	H	NH ₂	S		194.2–215.5
14	A-1	Et	H	H	H	H	NH ₂	O	310.2	
15	A-1	<i>i</i> -Pr	H	H	H	H	NH ₂	O	324.3	
19	A-1	CFH(CH ₃)	H	H	H	F	NH ₂	O	346.1	

Cmpd #	A	R ²	R ⁸	R ⁹	R ¹⁰	R ¹¹	R ¹²	Y	M.S.	M.P. (°C)
21	A-1	CF ₃	H	H	H	F	NH ₂	O		152–160
22	A-1	C(CH ₃) ₂ F	H	H	H	H	NH ₂	O		81.7–99.2
25	A-1	CFH(CH ₃)	H	H	H	H	NH ₂	O		85.3–99.6
27	A-1	CF ₃	F	H	H	H	NH ₂	O	367.9	
29	A-1	CFH(CH ₃)	F	H	F	H	NH ₂	O	364	
31	A-3	CF ₃	H	H	H	H	NH ₂	O		164.3–169.1
32	A-3	CFH(CH ₃)	H	H	H	H	NH ₂	O		86.9–119.1
33	A-1	<i>c</i> -Pr	H	H	H	H	NH ₂	O	322.1	
34	A-1	C(CH ₃) ₂ F	H	H	H	F	NH ₂	O	360	
35	A-1	CF ₃	H	H	H	F	NH ₂	O	368.2	
36	A-1	CFH(CH ₃)	H	H	H	H	NH ₂	S	344	
37	A-1	CFH(CH ₃)	F	H	H	H	NH ₂	O	346.6	
38	A-1	CFH(CH ₃)	H	F	H	H	NH ₂	O	345.9	
39	A-1	CFH(CH ₃)	H	F	F	H	NH ₂	O	364	
46	A-1	CF ₂ H	H	H	H	H	NH ₂	O	331.9	
62	A-3	CF(CH ₃) ₂	H	H	H	H	NH ₂	O		85.9–97.7
65	A-3	CFH(CH ₃)	H	F	H	H	NH ₂	O		86–90
69	A-1	CF ₂ H	H	H	H	H	CH ₃		331.3	

INDEX TABLE CQ=CR¹, R²=R³=R⁴=R⁵=R⁶=R⁷=R⁸=R⁹=R¹⁰=R¹¹=H, R¹²=NH₂, Y=O and W=CH₂

Cmpd #	A	R ¹	M.P. (°C)
47	A-5	CF ₃	148–151
48	A-7	CF ₃	103–107
51	A-9	CF ₃	76–80
56	A-8	CF ₃	132–135

INDEX TABLE DQ=N, R³=R⁴=R⁵=R⁶=R⁷=R⁸=R⁹=R¹⁰=R¹¹=H, R¹²=NH₂, Y=O and W=CH₂

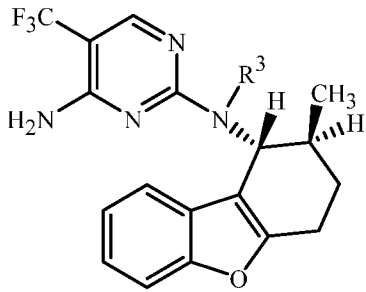
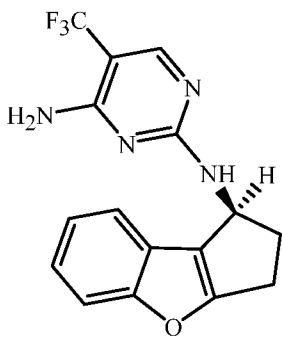
Cmpd #	A	R ²	M.P. (°C)
49	A-5	CFH(CH ₃)	103–106
50	A-7	CFH(CH ₃)	190–193
54	A-8	CFH(CH ₃)	177–180
55	A-9	CFH(CH ₃)	104–108

INDEX TABLE ER³=R⁴=R⁵=R⁶=R⁷=R⁸=R⁹=R¹⁰=R¹¹=H, R¹²=NH₂, Y=O and W=direct bond

Cmpd #	Q	A	R ¹	R ²	M.P.(°C)
52	CR ¹	A-7	CF ₃	H	133–136

Cmpd #	Q	A	R ¹	R ²	M.P.(°C)
53	N	A-7	-	H	155-158

INDEX TABLE F

Cmpd #					MS (M+1)	
57					363	
64						128-131

BIOLOGICAL EXAMPLES OF THE INVENTION5 TEST A

Seeds of plant species selected from barnyardgrass (*Echinochloa crus-galli*), kochia (*Bassia scoparia*), ragweed (common ragweed, *Ambrosia artemisiifolia*), ryegrass, Italian (Italian ryegrass, *Lolium multiflorum*), foxtail, giant (giant foxtail, *Setaria faberi*), and pigweed (*Amaranthus retroflexus*) were planted into a blend of loam soil and sand and treated preemergence with a directed soil spray using test chemicals formulated in a non-phytotoxic solvent mixture which included a surfactant.

At the same time, plants selected from these weed species and also wheat (*Triticum aestivum*), corn (*Zea mays*), blackgrass (*Alopecurus myosuroides*), and galium (catchweed bedstraw, *Galium aparine*) were planted in pots containing the same blend of loam soil and sand and treated with postemergence applications of test chemicals formulated in the same manner. Plants ranged in height from 2 to 10 cm and were in the one- to two-leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for approximately 10 days, after which time all treated plants were compared to

untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table A, are based on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

[illegible]

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	Ragweed	100	70	100	60	100	80	100	100	100	90	100	100	100	100
	Ryegrass, Italian	100	70	90	40	100	50	80	100	100	100	100	90	80	100
	Table A	Compounds													
	500 g ai/ha	44	45	46											
5	Preemergence														
	Barnyardgrass	90	100	100											
	Foxtail, Giant	90	100	100											
	Kochia	0	100	100											
	Pigweed	100	100	100											
10	Ragweed	100	100	100											
	Ryegrass, Italian	90	100	100											
	Table A	Compounds													
	125 g ai/ha	1	2	3	5	6	7	8	9	10	11	12	13	14	15
	Preemergence														
15	Barnyardgrass	0	0	0	50	0	0	0	0	0	0	40	0	30	40
	Foxtail, Giant	30	0	0	100	0	0	0	20	0	0	90	10	40	50
	Kochia	30	0	0	40	0	0	0	0	0	0	40	20	90	70
	Pigweed	30	0	0	100	10	0	0	60	0	10	90	60	20	80
	Ragweed	20	0	0	50	0	0	30	50	50	30	100	50	40	50
20	Ryegrass, Italian	0	0	0	40	-	-	-	-	-	-	90	20	50	50
	Table A	Compounds													
	125 g ai/ha	16	17	18	19	20	21	22	23	24	25	26	27	28	29
	Preemergence														
	Barnyardgrass	50	30	40	80	90	40	100	60	0	90	0	0	0	90
25	Foxtail, Giant	80	50	10	90	90	40	90	90	60	100	70	70	90	90
	Kochia	0	0	40	50	60	70	30	100	50	90	0	80	40	0
	Pigweed	60	20	0	100	90	100	100	100	20	100	30	90	100	100
	Ragweed	80	0	80	100	100	50	90	80	60	100	80	20	70	100
	Ryegrass, Italian	0	30	40	30	80	50	80	40	30	100	40	40	50	80
30	Table A	Compounds													
	125 g ai/ha	30	31	32	33	34	35	36	37	38	39	40	41	42	43
	Preemergence														
	Barnyardgrass	90	20	60	0	100	20	80	90	100	80	40	50	20	90
	Foxtail, Giant	100	70	100	10	90	0	40	90	90	80	90	60	0	90
35	Kochia	10	60	50	20	60	0	80	0	90	70	80	0	0	60
	Pigweed	90	100	100	60	100	50	100	100	100	100	100	90	60	100
	Ragweed	80	60	80	30	80	50	100	100	100	40	80	60	60	100

	Ryegrass, Italian	70	40	70	0	60	40	50	50	90	60	50	20	30	30
	Table A	Compounds													
	125 g ai/ha	44	45	46											
	Preemergence														
5	Barnyardgrass	0	100	100											
	Foxtail, Giant	40	100	80											
	Kochia	0	80	100											
	Pigweed	50	100	100											
	Ragweed	60	100	100											
10	Ryegrass, Italian	50	90	80											
	Table A	Compound													
	1000 g ai/ha	4													
	Postemergence														
15	Barnyardgrass	0													
	Blackgrass	0													
	Corn	0													
	Foxtail, Giant	0													
	Galium	50													
20	Kochia	0													
	Pigweed	40													
	Ragweed	20													
	Ryegrass, Italian	0													
	Wheat	0													
25	Table A	Compounds													
	500 g ai/ha	1	2	3	5	6	7	8	9	10	11	12	13	14	15
	Postemergence														
	Barnyardgrass	20	10	10	90	60	0	90	50	0	0	100	30	90	80
	Blackgrass	0	20	30	90	60	0	100	80	20	0	80	80	100	60
30	Corn	40	0	20	40	20	20	10	20	30	0	50	20	50	20
	Foxtail, Giant	20	0	20	90	30	0	90	50	10	10	90	20	50	30
	Galium	70	50	80	80	80	20	70	80	60	60	90	80	100	90
	Kochia	60	50	70	90	100	0	70	90	60	70	90	90	90	70
	Pigweed	40	80	100	70	90	30	80	90	100	100	100	100	100	100
35	Ragweed	80	50	50	100	80	0	60	70	60	30	100	50	50	60
	Ryegrass, Italian	0	0	0	80	80	0	40	70	40	0	100	40	80	50
	Wheat	20	0	0	60	0	30	0	0	0	0	60	50	40	40

Table A		Compounds													
500 g ai/ha		16	17	18	19	20	21	22	23	24	25	26	27	28	29
5	Postemergence														
	Barnyardgrass	40	20	70	100	70	40	90	30	10	100	20	80	100	90
	Blackgrass	50	70	90	90	50	70	100	100	40	100	40	40	100	100
	Corn	0	40	10	10	40	30	50	30	30	100	0	0	20	50
	Foxtail, Giant	50	20	70	80	90	50	80	40	50	100	60	40	30	80
10	Galium	80	80	90	90	90	90	90	90	90	90	80	90	90	90
	Kochia	50	80	90	70	90	90	70	90	80	90	80	90	90	80
	Pigweed	80	90	70	60	100	90	80	100	80	100	100	100	100	80
	Ragweed	70	70	100	100	100	70	100	100	90	100	80	90	100	90
	Ryegrass, Italian	0	0	100	100	80	40	70	20	80	100	30	80	60	70
	Wheat	0	0	20	60	0	30	70	20	0	80	0	0	30	20

Table A		Compounds													
15	500 g ai/ha	30	31	32	33	34	35	36	37	38	39	40	41	42	43
	Postemergence														
	Barnyardgrass	60	60	100	50	100	30	100	90	90	90	90	20	40	60
	Blackgrass	90	60	80	20	80	70	60	100	80	80	70	10	40	90
	Corn	60	10	40	0	20	20	30	100	60	50	0	20	10	0
20	Foxtail, Giant	60	30	100	50	90	20	60	90	90	90	60	40	50	60
	Galium	90	80	80	80	90	80	90	90	90	90	90	80	80	90
	Kochia	90	90	80	70	60	80	80	90	90	80	80	60	70	90
	Pigweed	100	80	60	90	90	100	90	100	100	80	100	100	100	100
	Ragweed	80	70	90	80	100	60	80	90	90	90	100	80	80	100
25	Ryegrass, Italian	70	70	100	60	100	80	90	100	100	100	80	30	40	100
	Wheat	0	60	50	0	50	20	80	60	70	0	0	0	0	0

Table A		Compounds		
500 g ai/ha		44	45	46
30	Postemergence			
	Barnyardgrass	30	90	100
	Blackgrass	20	100	80
	Corn	0	90	90
	Foxtail, Giant	50	90	90
35	Galium	80	90	100
	Kochia	80	90	100
	Pigweed	100	100	100
	Ragweed	90	80	100
	Ryegrass, Italian	70	90	90

	Wheat	0	0	80											
	Table A	Compounds													
	125 g ai/ha	1	2	3	5	6	7	8	9	10	11	12	13	14	15
	Postemergence														
5	Barnyardgrass	10	0	0	60	20	0	0	0	0	0	60	10	10	10
	Blackgrass	0	0	20	40	50	0	50	10	0	0	80	40	40	30
	Corn	0	0	0	10	0	10	0	0	0	0	20	20	10	10
	Foxtail, Giant	0	0	0	30	20	0	20	10	0	0	40	10	10	0
	Galium	30	20	50	50	10	0	50	40	20	0	70	40	70	80
10	Kochia	20	0	10	40	60	0	30	40	20	10	40	30	50	10
	Pigweed	20	50	20	30	60	0	50	40	70	50	80	100	60	70
	Ragweed	20	0	20	60	30	0	30	30	20	0	80	30	40	40
	Ryegrass, Italian	0	0	0	30	40	0	30	20	0	0	100	0	20	0
	Wheat	0	0	0	60	0	30	0	0	0	0	0	40	30	0
15	Table A	Compounds													
	125 g ai/ha	16	17	18	19	20	21	22	23	24	25	26	27	28	29
	Postemergence														
	Barnyardgrass	0	20	10	20	20	20	30	30	0	80	0	30	30	20
	Blackgrass	0	50	40	30	30	20	80	80	0	90	10	0	80	80
20	Corn	0	0	0	0	0	20	30	20	0	40	0	10	10	30
	Foxtail, Giant	10	0	0	20	10	10	30	30	10	80	10	20	20	20
	Galium	40	60	70	70	70	70	80	70	20	80	60	60	80	70
	Kochia	10	50	40	30	70	70	0	40	50	70	10	50	40	50
	Pigweed	40	70	40	40	80	70	60	80	50	80	40	60	100	50
25	Ragweed	20	50	70	60	70	20	80	50	60	70	30	40	60	40
	Ryegrass, Italian	0	0	100	50	30	0	70	30	0	40	0	0	50	0
	Wheat	0	0	0	0	0	20	40	20	0	30	0	0	0	0
	Table A	Compounds													
	125 g ai/ha	30	31	32	33	34	35	36	37	38	39	40	41	42	43
30	Postemergence														
	Barnyardgrass	10	30	30	0	40	0	50	20	20	10	30	0	10	20
	Blackgrass	60	20	20	0	40	0	50	40	70	60	70	10	20	90
	Corn	0	0	30	0	0	0	0	50	40	30	0	20	0	0
	Foxtail, Giant	10	10	20	0	20	0	10	60	20	20	20	10	10	30
35	Galium	70	30	60	60	70	60	60	60	80	70	60	60	50	70
	Kochia	60	40	50	40	20	40	70	60	70	50	50	20	40	60
	Pigweed	80	30	30	30	40	50	60	80	60	70	70	60	50	100

Ragweed	60	20	80	30	80	20	60	80	70	40	50	50	30	40
Ryegrass, Italian	30	20	80	0	30	20	20	60	10	40	50	30	0	60
Wheat	0	0	10	0	10	0	0	10	40	0	0	0	0	0

Table A	Compounds				Table A	Compounds			
125 g ai/ha	44	45	46		125 g ai/ha	44	45	46	
Postemergence					Postemergence				
Barnyardgrass	30	90	100		Kochia	20	90	90	
Blackgrass	0	80	40		Pigweed	70	100	90	
Corn	0	100	50		Ragweed	20	80	80	
Foxtail, Giant	10	90	30		Ryegrass, Italian	30	80	40	
Galium	50	80	80		Wheat	0	0	60	

5 TEST A1

Seeds of plant species selected from barnyardgrass (*Echinochloa crus-galli*), kochia (*Kochia scoparia*), ragweed (common ragweed, *Ambrosia elatior*), Ryegrass, Italian (Italian ryegrass, *Lolium multiflorum*), Foxtail, Giant (giant foxtail, *Setaria faberii*), green foxtail (*Setaria viridis*), and pigweed (*Amaranthus retroflexus*) were planted into a blend of loam soil and sand and treated preemergence with a directed soil spray using test chemicals formulated in a non-phytotoxic solvent mixture which included a surfactant.

At the same time, plants selected from these weed species and also wheat (*Triticum aestivum*), corn (*Zea mays*), blackgrass (*Alopecurus myosuroides*), and galium (catchweed bedstraw, *Galium aparine*) were planted in pots containing the same blend of loam soil and sand and treated with postemergence applications of test chemicals formulated in the same manner. Plants ranged in height from 2 to 10 cm and were in the one- to two-leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for approximately 10 days, after which time all treated plants were compared to untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table A, are based on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

Table A1	Compounds			
125 g ai/ha	47	48	49	50
Preemergence				
Barnyardgrass	90	100	100	0
Foxtail, Giant	100	100	100	100
Kochia	30	20	100	90
Pigweed	100	90	100	100
Ragweed	100	100	100	100

	Ryegrass, Italian	70	80	90	90
	Table A1	Compounds			
	31 g ai/ha	47	48	49	50
	Preemergence				
5	Barnyardgrass	50	50	50	0
	Foxtail, Giant	90	90	90	80
	Kochia	0	0	90	90
	Pigweed	40	40	100	80
	Ragweed	90	50	100	80
10	Ryegrass, Italian	40	30	40	40
	Table A1	Compounds			
	125 g ai/ha	47	48	49	50
	Postemergence				
	Barnyardgrass	90	80	100	90
15	Blackgrass	60	90	30	0
	Corn	60	30	30	30
	Foxtail, Giant	80	90	100	100
	Galium	90	80	90	90
	Kochia	80	70	90	70
20	Pigweed	100	100	80	70
	Ragweed	80	80	80	80
	Ryegrass, Italian	90	50	100	0
	Wheat	0	30	30	10
	Table A1	Compounds			
25	31 g ai/ha	47	48	49	50
	Postemergence				
	Barnyardgrass	30	20	0	0
	Blackgrass	20	60	0	0
	Corn	0	0	0	0
30	Foxtail, Giant	50	10	80	30
	Galium	70	50	50	50
	Kochia	30	20	70	30
	Pigweed	90	100	70	70
	Ragweed	50	60	40	40
35	Ryegrass, Italian	10	20	50	0
	Wheat	0	30	0	0

TEST B

Plant species in the flooded paddy test selected from rice (*Oryza sativa*), sedge, umbrella (small-flower umbrella sedge, *Cyperus difformis*), ducksalad (*Heteranthera limosa*), and barnyardgrass (*Echinochloa crus-galli*) were grown to the 2-leaf stage for testing. At time of treatment, test pots were flooded to 3 cm above the soil surface, treated by application of test compounds directly to the paddy water, and then maintained at that water depth for the duration of the test. Treated plants and controls were maintained in a greenhouse for 13 to 15 days, after which time all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table B, are based on a scale of 0 to 100 where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

Table B	Compounds														
250 g ai/ha	1	2	3	6	7	8	9	10	11	12	13	14	15	16	
Flood															
Barnyardgrass	0	0	20	35	0	0	10	0	0	40	5	55	0	35	
Ducksalad	0	0	0	0	0	15	25	0	0	65	0	45	0	35	
Rice	0	0	0	25	0	0	0	0	0	35	0	40	0	0	
Sedge, Umbrella	0	0	0	90	0	95	98	0	0	100	10	45	0	95	

Table B	Compounds														
250 g ai/ha	17	18	19	20	21	22	23	24	25	26	27	28	29	30	
Flood															
Barnyardgrass	0	0	30	0	0	65	80	0	80	0	0	0	30	0	
Ducksalad	0	0	35	25	35	70	35	0	75	0	0	30	50	0	
Rice	0	0	0	0	0	0	0	0	0	0	0	0	0	0	
Sedge, Umbrella	0	0	85	85	90	100	98	0	100	0	80	90	85	0	

Table B	Compounds													
250 g ai/ha	31	32	33	34	35	36	37	38	39	40	41	42	43	44
Flood														
Barnyardgrass	0	20	0	20	0	25	75	80	0	55	0	0	15	0
Ducksalad	20	30	0	15	40	45	30	70	35	20	0	0	90	30
Rice	0	0	0	0	0	15	0	0	0	0	0	0	0	0
Sedge, Umbrella	95	98	0	95	90	80	100	100	85	95	0	0	95	80

Table B	Compounds		Table B	Compounds	
250 g ai/ha	45	46	250 g ai/ha	45	46
Flood			Flood		
Barnyardgrass	75	30	Rice	10	0
Ducksalad	98	100	Sedge, Umbrella	98	100

TEST B1

Plant species in the flooded paddy test selected from rice (*Oryza sativa*), sedge, umbrella (small-flower umbrella sedge, *Cyperus difformis*), duck salad (*Heteranthera limosa*), and barnyardgrass (*Echinochloa crus-galli*) were grown to the 2-leaf stage for testing. At time of treatment, test pots were flooded to 3 cm above the soil surface, treated by application of test compounds directly to the paddy water, and then maintained at that water depth for the duration of the test. Treated plants and controls were maintained in a greenhouse for 13 to 15 days, after which time all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table B, are based on a scale of 0 to 100 where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

Table B1	Compounds		
250 g ai/ha	47	49	50
Flood			
15 Barnyardgrass	50	80	100
Ducksalad	70	85	100
Rice	10	45	55
Sedge, Umbrella	85	100	100

20 TEST C

Seeds of plant species selected from barnyardgrass (*Echinochloa crus-galli*), blackgrass (*Alopecurus myosuroides*), corn (*Zea mays*), foxtail, giant (giant foxtail, *Setaria faberi*), goosegrass (*Eleusine indica*), kochia (*Bassia scoparia*), oat, wild (wild oat, *Avena fatua*), pigweed, palmer (palmer amaranth, *Amaranthus palmeri*), pigweed, redroot (redroot pigweed, *Amaranthus retroflexus*), ragweed (common ragweed, *Ambrosia artemisiifolia*), ryegrass, Italian (Italian ryegrass, *Lolium multiflorum*), soybean (*Glycine max*) and wheat (*Triticum aestivum*) were planted into a blend of loam soil and sand and treated preemergence with a directed soil spray using test chemicals formulated in a non-phytotoxic solvent mixture which included a surfactant.

At the same time, plants selected from these crop and weed species and also galium (catchweed bedstraw, *Galium aparine*) and horseweed (*Erigeron canadensis*) were planted in pots containing the same blend of loam soil and sand and treated with postemergence applications of test chemicals formulated in the same manner. Plants ranged in height from 2 to 10 cm and were in the one- to two-leaf stage for the postemergence treatment. Treated plants and untreated controls were maintained in a greenhouse for 10 days, after which time all treated plants were compared to untreated controls and visually evaluated for injury. Plant response ratings, summarized in Table A, are based on a 0 to 100 scale where 0 is no effect and 100 is complete control. A dash (–) response means no test result.

	Table A	Compounds													
	500 g ai/ha	62	65												
	Preemergence														
	Barnyardgrass	100	100												
5	Foxtail, Giant	100	100												
	Kochia	90	100												
	Pigweed, Redroot	100	100												
	Ragweed	100	100												
	Ryegrass, Italian	100	100												
10	Table A	Compounds													
	125 g ai/ha	51	52	53	54	55	56	57	58	59	60	61	62	63	64
	Preemergence														
	Barnyardgrass	-	-	-	-	-	-	-	0	0	0	-	60	-	0
	Blackgrass	50	90	80	80	80	30	70	-	-	-	50	-	80	-
15	Corn	0	50	50	20	0	0	0	-	-	-	30	-	10	-
	Foxtail, Giant	50	100	100	100	100	90	100	10	0	80	100	60	100	0
	Goosegrass	90	100	100	100	90	80	100	-	-	-	100	-	100	-
	Kochia	10	80	100	100	60	30	80	0	0	0	0	80	20	0
	Oat, Wild	30	50	90	50	40	10	70	-	-	-	40	-	70	-
20	Pigweed, Palmer	80	100	100	100	100	90	100	-	-	-	100	-	100	-
	Pigweed, Redroot	-	-	-	-	-	-	-	50	50	70	-	100	-	-
	Ragweed	60	100	100	100	100	30	90	0	50	0	0	80	50	0
	Ryegrass, Italian	30	100	100	100	90	90	100	0	0	0	100	70	80	0
	Soybean	30	0	90	40	30	30	50	-	-	-	0	-	0	-
25	Wheat	10	10	40	10	30	0	30	-	-	-	20	-	30	-
	Table A	Compounds													
	125 g ai/ha	65	66	67	68	69									
	Preemergence														
	Barnyardgrass	0	100	20	80	0									
30	Blackgrass	-	-	-	-	-									
	Corn	-	-	-	-	-									
	Foxtail, Giant	50	100	50	60	0									
	Goosegrass	-	-	-	-	-									
	Kochia	90	80	0	100	80									
35	Oat, Wild	-	-	-	-	-									
	Pigweed, Palmer	-	-	-	-	-									
	Pigweed, Redroot	80	-	-	-	-									
	Ragweed	100	100	20	100	0									

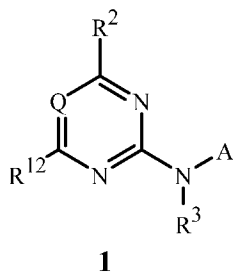
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140

CLAIMS

What is claimed is:

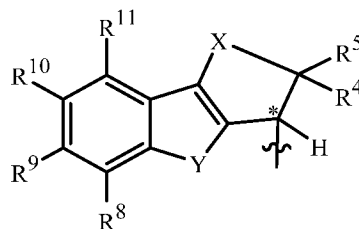
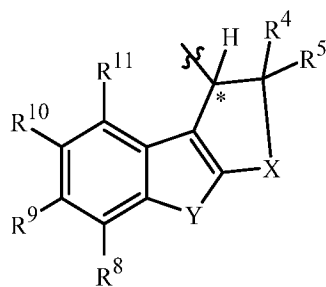
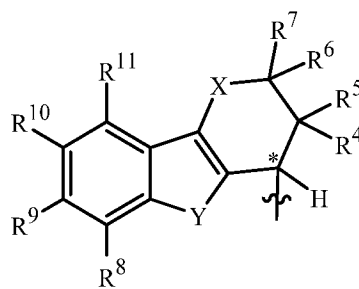
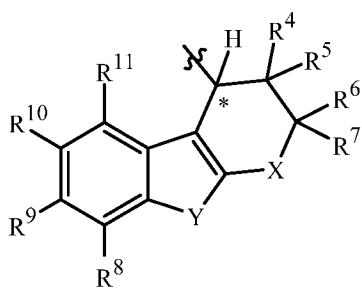
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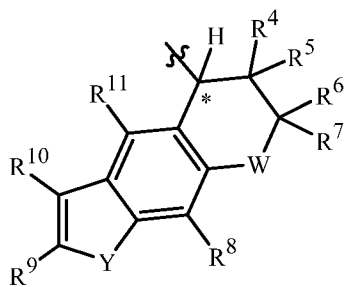


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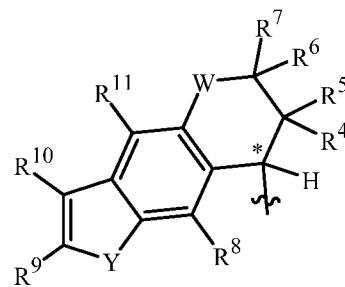
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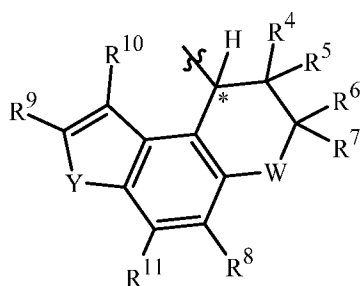




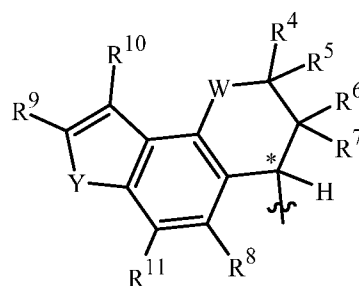
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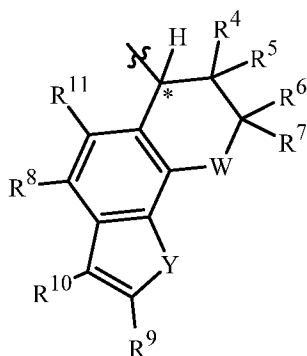
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A-7

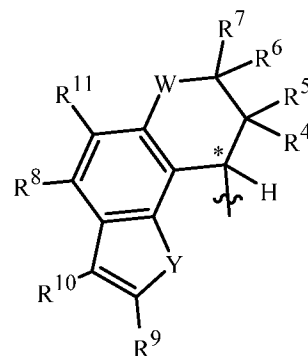


A-8



A-9

and



A-10

Q is N or CR¹;

R¹ is H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, CHO, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkylcarbonyl, C₂–C₆ haloalkylcarbonyl, C₂–C₆ alkylcarbonyloxy, C₂–C₆ haloalkylcarbonyloxy, C₁–C₆ hydroxyalkyl, C₂–C₁₂ alkoxyalkyl, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkoxycarbonyl, C₂–C₆ haloalkoxycarbonyl, C₃–C₁₂ alkoxycarbonylhaloalkyl, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₃–C₆ alkenylcarbonyl, C₃–C₆ haloalkenylcarbonyl, C₂–C₆ alkenyloxy, C₂–C₆ haloalkenyloxy, C₃–C₆ alkenyloxycarbonyl, C₃–C₆ haloalkenyloxycarbonyl, C₂–C₄ cyanoalkyl, C₂–C₄ cyanoalkoxy, C₁–C₄ nitroalkyl, C₂–C₆ alkynyl, C₂–C₆ haloalkynyl, C₃–C₆ alkynylcarbonyl, C₃–C₆ haloalkynylcarbonyl, C₂–C₆ alkynyloxy, C₂–C₆ haloalkynyloxy, C₃–C₆ alkynyloxycarbonyl, C₃–C₆ haloalkynyloxycarbonyl, C₁–C₄ alkylthio, C₁–C₄

- haloalkylthio, C₂–C₄ alkylcarbonylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylsulfonyloxy, C₁–C₄ haloalkylsulfonyloxy, C₁–C₆ hydroxyalkoxy, C₂–C₁₂ alkoxyalkyl, C₂–C₁₂ alkylthioalkyl, C₂–C₁₂ haloalkoxyalkyl, C₂–C₁₀ haloalkylthioalkoxy, C₂–C₁₂ alkoxyalkoxy, C₂–C₁₀ alkylthioalkoxy, C₂–C₁₂ haloalkoxyalkoxy, C₂–C₁₀ haloalkylthio, C₁–C₄ aminoalkyl, C₂–C₈ alkylaminoalkyl, C₃–C₁₂ dialkylaminoalkyl, C₁–C₄ aminoalkoxy, C₂–C₈ alkylaminoalkoxy or C₃–C₁₂ dialkylamino; or
- R¹ is C₃–C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₁–C₆ haloalkoxy, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₂–C₆ alkylcarbonyl, C₂–C₆ alkoxy carbonyl, C₂–C₆ alkoxy carbonyloxy, C₂–C₆ haloalkylcarbonyloxy, C₄–C₈ cycloalkylcarbonyl, C₄–C₈ cycloalkoxy carbonyl, C₂–C₆ haloalkoxy carbonyl, C₄–C₁₀ cycloalkylcarbonyloxy, C₃–C₈ cycloalkoxy carbonyloxy or C₂–C₆ haloalkoxy carbonyloxy;
- R² is independently H, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, CHO, C(S)NH₂, C₁–C₆ alkyl, C₁–C₆ haloalkyl, C₂–C₆ alkylcarbonyl, C₂–C₆ haloalkylcarbonyl, C₂–C₆ alkylcarbonyloxy, C₂–C₆ haloalkylcarbonyloxy, C₁–C₆ alkoxy, C₁–C₆ haloalkoxy, C₄–C₁₄ cycloalkylalkyl, C₃–C₈ cycloalkoxy, C₃–C₈ cyclohaloalkoxy, C₄–C₁₂ cycloalkylalkoxy, C₂–C₆ alkoxy carbonyl, C₂–C₆ haloalkoxy carbonyl, C₂–C₁₂ alkoxyalkyl, C₂–C₆ alkenyl, C₂–C₆ haloalkenyl, C₃–C₆ alkenylcarbonyl, C₃–C₆ haloalkenylcarbonyl, C₂–C₆ alkenyloxy, C₂–C₆ haloalkenyloxy, C₃–C₆ alkenyloxy carbonyl, C₃–C₆ haloalkenyloxy carbonyl, C₂–C₄ cyanoalkyl, C₂–C₄ cyanoalkoxy, C₁–C₄ nitroalkyl, C₁–C₄ nitroalkoxy, C₂–C₆ alkynyl, C₂–C₆ haloalkynyl, C₃–C₆ alkynylcarbonyl, C₃–C₆ haloalkynylcarbonyl, C₂–C₆ alkynyloxy, C₂–C₆ haloalkynyloxy, C₃–C₆ alkynyloxy carbonyl, C₃–C₆ haloalkynyloxy carbonyl, C₁–C₄ alkylthio, C₁–C₄ haloalkylthio, C₂–C₄ alkylcarbonylthio, C₁–C₄ alkylsulfinyl, C₁–C₄ haloalkylsulfinyl, C₁–C₄ alkylsulfonyl, C₁–C₄ haloalkylsulfonyl, C₁–C₄ alkylsulfonyloxy, C₁–C₄ haloalkylsulfonyloxy, C₁–C₆ hydroxyalkyl, C₁–C₆ hydroxyalkoxy, C₂–C₁₂ alkoxyalkyl, C₂–C₁₂ alkylthioalkyl, C₂–C₁₂ haloalkoxyalkyl, C₂–C₁₀ haloalkylthioalkoxy, C₂–C₁₂ alkoxyalkoxy, C₂–C₁₀ alkylthioalkoxy, C₂–C₁₂ haloalkoxyalkoxy, C₂–C₁₀ haloalkylthio, C₁–C₄ aminoalkyl, C₂–C₈ alkylaminoalkyl, C₃–C₁₂ dialkylaminoalkyl, C₁–C₄ aminoalkoxy, C₂–C₈ alkylaminoalkoxy or C₃–C₁₂ dialkylamino; or
- R² is independently C₃–C₈ cycloalkyl, each cycloalkyl optionally substituted with halogen, hydroxy, cyano, nitro, amino, C(O)OH, C(O)NH₂, C₁–C₆ alkyl, C₁–C₆

- haloalkyl, C₁-C₆ haloalkoxy, C₃-C₈ cycloalkoxy, C₃-C₈ cyclohaloalkoxy, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkoxy carbonyloxy, C₂-C₆ haloalkylcarbonyloxy, C₄-C₈ cycloalkylcarbonyl, C₄-C₈ cycloalkoxy carbonyl, C₂-C₆ haloalkoxy carbonyl, C₄-C₁₀ cycloalkylcarbonyloxy, C₃-C₈ cycloalkoxy carbonyloxy, C₂-C₆ haloalkoxy carbonyloxy;
- 5 R³ is H, C₁-C₄ alkyl, C₁-C₆ alkylcarbonyl, C₁-C₆ haloalkylcarbonyl, C₂-C₆ alkoxy carbonyl or C₂-C₆ haloalkoxy carbonyl;
- R⁴ and R⁵ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ -haloalkyl, hydroxy, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy;
- 10 or
- R⁴ and R⁵ are taken together with the carbon atom to which they are attached to form a three- to seven-membered ring; the ring containing one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different;
- 15 R⁶ and R⁷ are each independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₁-C₆ -haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₆-C₁₄ aryl, C₆-C₁₄ aryloxy, C₆-C₁₄ arylcarbonyl and C₆-C₁₄ aryloxy carbonyl; or
- R⁶ and R⁷ are taken together with the carbon atom to which they are attached to form a three to seven membered ring; the ring containing one or more oxygen and/or sulfur atoms as the ring members, wherein the ring members are optionally independently substituted with one or more halogens and respective halogen substituents may be the same or different;
- 20 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, nitro, amino, SF₅, C(O)OH, C(O)NH₂, C(S)NH₂, formyl, C₁-C₆ alkyl, C₁-C₆ alkylcarbonyl, C₁-C₆ alkyloxy carbonyl, C₁-C₆ alkylaminocarbonyl, C₃-C₈ cycloalkyl, C₁-C₆ dialkylaminocarbonyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₂-C₆ alkynylcarbonyl, C₂-C₆ haloalkynylcarbonyl, C₂-C₆ alkynyloxy, C₂-C₆ haloalkynyloxy, C₂-C₆ alkynyloxy carbonyl and C₂-C₆ haloalkynyloxy carbonyl;
- 25 30 R¹² is C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₈ cycloalkyl, NH₂, N-(C=O)-OR¹³, N-(C=S)-OR¹³, N-(C=O)-R¹⁴;
- each R¹³ and R¹⁴ is independently C₁-C₆ alkyl;
- 35 X is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, CR^{15a}R^{15b} and NR¹⁶;

Y is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, $\text{CR}^{15a}\text{R}^{15b}$, $\text{—C(R}^{17}\text{)=C(R}^{18}\text{)—}$, $\text{—C(R}^{19a}\text{)(R}^{19b}\text{)—C(R}^{20a}\text{)C(R}^{20b}\text{)—}$ and NR^{16} ;

W is a direct bond; or

- 5 W is independently selected from the group consisting of O, S, carbonyl, sulfonyl, sulfinyl, $\text{CR}^{15a}\text{R}^{15b}$ and NR^{16} ;
each R^{15a} or R^{15b} is independently H, $\text{C}_1\text{—C}_6$ alkyl or $\text{C}_1\text{—C}_6$ haloalkyl;
each R^{16} , R^{17} , R^{18} , R^{19a} , R^{19b} , R^{20a} or R^{20b} is independently H, $\text{C}_1\text{—C}_6$ alkyl or $\text{C}_1\text{—C}_6$ haloalkyl.

- 10 2. The compound of Claim 1 wherein
Q is CR^1 ;
 R^1 is CN, $\text{C}_1\text{—C}_6$ alkyl, $\text{C}_1\text{—C}_6$ haloalkyl, $\text{C}_2\text{—C}_6$ alkenyl, $\text{C}_2\text{—C}_6$ haloalkenyl, $\text{C}_2\text{—C}_6$ alkynyl or $\text{C}_2\text{—C}_6$ haloalkynyl; or
 R^1 is $\text{C}_3\text{—C}_8$ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy,
15 cyano, $\text{C}_1\text{—C}_6$ alkyl, $\text{C}_1\text{—C}_6$ haloalkyl or $\text{C}_1\text{—C}_6$ haloalkoxy;
 R^2 is H, $\text{C}_1\text{—C}_6$ alkyl, $\text{C}_1\text{—C}_6$ haloalkyl, $\text{C}_1\text{—C}_6$ alkoxy, $\text{C}_1\text{—C}_6$ haloalkoxy, $\text{C}_4\text{—C}_{14}$ cycloalkylalkyl or $\text{C}_3\text{—C}_8$ cycloalkoxy;
 R^3 is H or $\text{C}_1\text{—C}_4$ alkyl;
 R^4 and R^5 are each independently selected from the group consisting of hydrogen, $\text{C}_1\text{—C}_6$ alkyl and $\text{C}_1\text{—C}_6$ haloalkyl;
20 R^6 and R^7 are each independently selected from the group consisting of hydrogen, $\text{C}_1\text{—C}_6$ alkyl, $\text{C}_1\text{—C}_6$ haloalkyl, $\text{C}_1\text{—C}_6$ alkoxy and $\text{C}_1\text{—C}_6$ haloalkoxy;
each R^8 , R^9 , R^{10} and R^{11} is independently selected from the group consisting of
hydrogen, halogen, cyano, $\text{C}_1\text{—C}_6$ alkyl, $\text{C}_3\text{—C}_8$ cycloalkyl, $\text{C}_1\text{—C}_6$ haloalkyl,
25 $\text{C}_1\text{—C}_6$ alkoxy, $\text{C}_1\text{—C}_6$ haloalkoxy, $\text{C}_2\text{—C}_6$ alkynyl and $\text{C}_2\text{—C}_6$ haloalkynyl;
 R^{12} is NH_2 ;
X is O, S or $\text{CR}^{15a}\text{R}^{15b}$;
each R^{15a} and R^{15b} is independently H, $\text{C}_1\text{—C}_6$ alkyl or $\text{C}_1\text{—C}_6$ haloalkyl; and
Y is O or S.

- 30 3. The compound of Claim 2 wherein
 R^4 and R^5 are each independently hydrogen; and
 R^6 and R^7 are each independently hydrogen.

4. The compound of Claim 3 wherein
A is A-1;
35 R^1 is $\text{C}_1\text{—C}_6$ haloalkyl;
 R^2 is H, $\text{C}_1\text{—C}_6$ alkyl or $\text{C}_1\text{—C}_6$ haloalkyl;
 R^3 is H;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me;

X is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

5 5. The compound of Claim 4 wherein
R¹ is CF₃, CFH(CH₃), CF(CH₃)₂ or CF₂H;
R² is H, CF₃, CF(CH₃)₂, CF₂H, CFH(CH₃) or Me;
each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, F and Me; and

10 Y is O.

6. The compound of Claim 5 wherein
R¹ is CF₃;
R² is H;
each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
15 hydrogen and F.

7. The compound of Claim 2 wherein
A is A-1;
R¹ is CN;
R² is H, C₁–C₆ alkyl or C₁–C₆ haloalkyl;
20 R³ is H;
each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;
X is CR^{15a}R^{15b}; and
each R^{15a} and R^{15b} is H.

25 8. The compound of Claim 7 wherein
R² is H, CF₃, CF(CH₃)₂, CF₂H, CFH(CH₃) or Me;
each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, F and Me; and
Y is O.

30 9. The compound of Claim 8 wherein
R² is H; and
each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, F and Me.

35 10. The compound of Claim 2 wherein
A is A-3;
R¹ is C₁–C₆ haloalkyl;

R^2 is H, C_1-C_6 alkyl or C_1-C_6 haloalkyl;

R^3 is H;

each R^8 , R^9 , R^{10} and R^{11} is independently selected from the group consisting of hydrogen, halogen and Me;

5 X is $CR^{15a}R^{15b}$;

each R^{15a} and R^{15b} is H.

11. The compound of Claim 10 wherein

Y is O.

12. The compound of Claim 1 wherein

10 Q is N;

R^2 is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_4-C_{14} cycloalkylalkyl or C_3-C_8 cycloalkoxy;

R^3 is H or C_1-C_4 alkyl;

R^4 and R^5 are each independently selected from the group consisting of hydrogen,

15 C_1-C_6 alkyl and C_1-C_6 haloalkyl;

R^6 and R^7 are each independently selected from the group consisting of hydrogen,

C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy and C_1-C_6 haloalkoxy;

each R^8 , R^9 , R^{10} and R^{11} is independently selected from the group consisting of hydrogen, halogen, cyano, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, C_1-C_6 haloalkyl,

20 C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkynyl and C_2-C_6 haloalkynyl;

R^{12} is NH_2 ;

X is O, S or $CR^{15a}R^{15b}$;

each R^{15a} and R^{15b} is independently H, methyl, ethyl or CF_3 ; and

Y is O or S.

25 13. The compound of Claim 12 wherein

A is A-1;

R^2 is H, C_1-C_6 alkyl or C_1-C_6 haloalkyl;

R^3 is H;

R^4 and R^5 are both hydrogens;

30 R^6 and R^7 are both hydrogens;

each R^8 , R^9 , R^{10} and R^{11} is independently selected from the group consisting of hydrogen, halogen and Me;

X is $CR^{15a}R^{15b}$; and

each R^{15a} and R^{15b} is H.

35 14. The compound of Claim 13 wherein

R^2 is H, CF_3 , $C(CH_3)_2F$, CF_2H , $CFH(CH_3)$ or Me;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, F and Me; and
Y is O.

5 15. The compound of Claim 14 wherein
 R² is H; and
 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
 hydrogen and F.

10 16. The compound of Claim 12 wherein
 A is A-3;
 R² is H, C₁-C₆ alkyl or C₁-C₆ haloalkyl;
 R³ is H;
 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
 hydrogen, halogen and Me;
 X is CR^{15a}R^{15b}; and
15 each R^{15a} and R^{15b} is H.

 17. The compound of Claim 16 wherein
 R² is H, CF₃, C(CH₃)₂F, CF₂H, CFHCH₃ or Me; and
 Y is O.

20 18. The compound of Claim 1 wherein
 Q is N;
 A is selected from A-5, A-6, A-7, A-8, A-9 and A-10;
 R² is H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄
 cycloalkylalkyl or C₃-C₈ cycloalkoxy;
 R³ is H or C₁-C₄ alkyl;
25 R⁴ and R⁵ are each independently selected from the group consisting of hydrogen,
 C₁-C₆ alkyl and C₁-C₆ haloalkyl;
 R⁶ and R⁷ are each independently selected from the group consisting of hydrogen,
 C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy;
 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
30 hydrogen, halogen, cyano, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₆ haloalkyl,
 C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl and C₂-C₆ haloalkynyl;
 R¹² is NH₂;
 W is S or CR^{15a}R^{15b};
 each R^{15a} and R^{15b} is independently H, methyl, ethyl or CF₃; and
35 Y is O or S.

 19. The compound of Claim 18 wherein
 A is selected from A-5 and A-7;

R² is H, C₁-C₆ alkyl or C₁-C₆ haloalkyl;

R³ is H;

R⁴ and R⁵ are both hydrogens;

R⁶ and R⁷ are both hydrogens;

5 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen and Me;

W is CR^{15a}R^{15b};

Y is O; and

each R^{15a} and R^{15b} is H.

10 20. The compound of Claim 1 wherein

Q is CR¹;

A is selected from A-5, A-6, A-7, A-8, A-9 and A-10;

R¹ is CN, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆
alkynyl or C₂-C₆ haloalkynyl; or

15 R¹ is C₃-C₈ cycloalkyl, the cycloalkyl optionally substituted with halogen, hydroxy,
cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl or C₁-C₆ haloalkoxy;

R² is H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₄-C₁₄
cycloalkylalkyl or C₃-C₈ cycloalkoxy;

R³ is H or C₁-C₄ alkyl;

20 R⁴ and R⁵ are each independently selected from the group consisting of hydrogen,
C₁-C₆ alkyl and C₁-C₆ haloalkyl;

R⁶ and R⁷ are each independently selected from the group consisting of hydrogen,
C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy and C₁-C₆ haloalkoxy;

25 each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of
hydrogen, halogen, cyano, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₆ haloalkyl,
C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₂-C₆ alkynyl and C₂-C₆ haloalkynyl;

R¹² is NH₂;

W is O, S or CR^{15a}R^{15b};

each R^{15a} and R^{15b} is independently H, C₁-C₆ alkyl or C₁-C₆ haloalkyl; and

30 Y is O or S.

21. The compound of Claim 20 wherein

A is selected from A-5 and A-7;

R¹ is C₁-C₆ haloalkyl;

R² is H, C₁-C₆ alkyl or C₁-C₆ haloalkyl;

35 R³ is H;

R⁴ and R⁵ are both hydrogens;

R⁶ and R⁷ are both hydrogens;

each R⁸, R⁹, R¹⁰ and R¹¹ is independently selected from the group consisting of hydrogen, halogen and Me;

W is CR^{15a}R^{15b}; and

each R^{15a} and R^{15b} is H.

- 5 22. The compound of Claim 1 wherein each stereocenter in A-1, A-2, A-3, A-4, A-5, A-6, A-7, A-8, A-9 and A-10 indicated by the * is predominantly in the *R*-configuration.
23. The compound of Claim 1 selected from the group consisting of
 10 N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine;
 N2-[(1*R*)-6-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine;
 N2-[(1*R*)-9-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-5-(trifluoromethyl)-2,4-pyrimidinediamine;
 15 6-(1-fluoroethyl)-N2-[(1*R*)-6-fluoro-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-diamine;
 6-(difluoromethyl)-N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-diamine; and
 6-(1-fluoroethyl)-N2-[(1*R*)-1,2,3,4-tetrahydro-1-dibenzofuranyl]-1,3,5-triazine-2,4-diamine.
 20 24. The compound of Claim 1 selected from the group consisting of
 N2-[(5*R*)-5,6,7,8-Tetrahydronaphtho[2,3-*b*]furan-5-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine;
 N2-[(9*R*)-6,7,8,9-Tetrahydronaphtho[2,1-*b*]furan-9-yl]-5-(trifluoromethyl)-2,4-pyrimidinediamine;
 25 6-(1-Fluoroethyl)-N2-[(5*R*)-5,6,7,8-tetrahydronaphtho[2,3-*b*]furan-5-yl]-1,3,5-triazine-2,4-diamine; and
 6-(1-Fluoroethyl)-N2-[(9*R*)-6,7,8,9-tetrahydronaphtho[2,1-*b*]furan-9-yl]-1,3,5-triazine-2,4-diamine.
- 30 25. The compound of Claim 1 selected from the group consisting of
 a compound of Formula 1 wherein A is A-9, Q is CR¹ is CF₃, each of R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ is H, R¹² is NH₂, W is CH₂ and Y is O; and
 a compound of Formula 1 wherein A is A-7, Q is CR¹ is CF₃, each of R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰ and R¹¹ is H, R¹² is NH₂, W is direct bond and Y is O.
- 35 26. A herbicidal composition comprising a compound of Claim 1 and at least one component selected from the group consisting of surfactants, solid diluents and liquid diluents.

27. A herbicidal composition comprising a compound of Claim 1, at least one additional active ingredient selected from the group consisting of other herbicides and herbicide safeners.

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

29. A method for controlling the growth of undesired vegetation comprising contacting the vegetation or its environment with a herbicidally effective amount of a compound of Claim 1.

30. The method of Claim 29 further comprising contacting the vegetation or its environment with a herbicidally effective amount of at least one additional active ingredient selected from (b1) through (b16) and salts of compounds of (b1) through (b16).

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2021/022251

A. CLASSIFICATION OF SUBJECT MATTER INV. C07D405/12 A01N43/54 A01N43/647 C07D409/12 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		
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, WPI Data, CHEM ABS Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2004/110999 A1 (SMITHKLINE BEECHAM CORP [US]; BOGGS SHARON DAVIS [US] ET AL.) 23 December 2004 (2004-12-23) page 13, line 25 - line 33; claims 1,2,20-21; examples 25-26, 32 -----	1,3,22
A	WO 2017/016914 A1 (BAYER CROPSCIENCE AG [DE]) 2 February 2017 (2017-02-02) cited in the application compounds with Q = CR1; in particular examples with R3(i.e R12 fo present application) not a hydrogen atom see for instance examples 35, 64, 74, 84, 118, 123-124, 199 ----- -/-	1-30
☒ Further documents are listed in the continuation of Box C. ☒ See patent family annex.		
* Special categories of cited documents : "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family		
Date of the actual completion of the international search 4 May 2021		Date of mailing of the international search report 18/05/2021
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer Seelmann, Marielle

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2021/022251

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2010/076010 A1 (BAYER CROPSCIENCE AG [DE]; MINN CLEMENS [DE] ET AL.) 8 July 2010 (2010-07-08) cited in the application the whole document	1-30
A	----- EP 2 327 700 A1 (BAYER CROPSCIENCE AG [DE]) 1 June 2011 (2011-06-01) compounds with Q = N; the whole document -----	1-30

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2021/022251

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004110999	A1	23-12-2004	AT 411981 T 15-11-2008
		AU 2004247676 A1 23-12-2004	
		BR PI0411085 A 25-07-2006	
		CA 2528321 A1 23-12-2004	
		CO 5660287 A2 31-07-2006	
		EP 1654228 A1 10-05-2006	
		ES 2314446 T3 16-03-2009	
		JP 2007501284 A 25-01-2007	
		KR 20060017545 A 23-02-2006	
		MA 27880 A1 02-05-2006	
		RU 2318810 C2 10-03-2008	
		US 2006161002 A1 20-07-2006	
		WO 2004110999 A1 23-12-2004	
WO 2017016914	A1	02-02-2017	AR 105460 A1 04-10-2017
		AU 2016299728 A1 15-02-2018	
		BR 112018001518 A2 11-09-2018	
		CA 2993266 A1 02-02-2017	
		CN 108026086 A 11-05-2018	
		EP 3325472 A1 30-05-2018	
		JP 6835817 B2 24-02-2021	
		JP 2018525361 A 06-09-2018	
		RU 2018106474 A 27-08-2019	
		US 2018213780 A1 02-08-2018	
		WO 2017016914 A1 02-02-2017	
WO 2010076010	A1	08-07-2010	AR 074920 A1 23-02-2011
		AU 2009335287 A1 21-07-2011	
		BR PI0923758 A2 04-08-2015	
		CA 2748580 A1 08-07-2010	
		CN 102341375 A 01-02-2012	
		EP 2210879 A1 28-07-2010	
		EP 2384321 A1 09-11-2011	
		ES 2523672 T3 28-11-2014	
		JP 5816093 B2 18-11-2015	
		JP 2012514017 A 21-06-2012	
		KR 20110100312 A 09-09-2011	
		MY 153936 A 15-04-2015	
		PL 2384321 T3 30-01-2015	
		TW 201035084 A 01-10-2010	
		US 2010167934 A1 01-07-2010	
		WO 2010076010 A1 08-07-2010	
EP 2327700	A1	01-06-2011	NONE