(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
25 September 2014 (25.09.2014)

WIPO | PCT

(10) International Publication Number
WO 2014/151005 A1

(51) International Patent Classification:
C07D 401/04 (2006.01)

(21) International Application Number:
PCT/US2014/024745

(22) International Filing Date:
12 March 2014 (12.03.2014)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
13/839,000 15 March 2013 (15.03.2013) US

(71) Applicant: **DOW AGROSCIENCES LLC** [US/US]; 9330 Zionsville Road, Indianapolis, Indiana 46268-1054 (US).

(72) Inventors: **ECKELBARGER, Joseph D.**; 3038 Windy Knoll Lane, Carmel, Indiana 46074 (US). **EPP, Jeffrey B.**; 17947 Kinder Oak Drive, Noblesville, Indiana 46062 (US). **FIELDS, Stephen Craig**; 8820 Westfield Boulevard, Indianapolis, Indiana 46240 (US). **FISCHER, Lindsey G.**; 2239 Spur Point Dr, Apt H, Indianapolis, Indiana 46217 (US). **GIAMPIETRO, Natalie C.**; 3738 Dunellen Circle, Carmel, Indiana 46074 (US). **GUENTHENSPBERGER, Katherine A**; 10100 West County Road 500 South, Daleville, Indiana 47334 (US). **LOWE, Christian T.**; 466 Elnora Lane, Westfield, Indiana 46074 (US). **PETKUS, Jeff**; 12552 Geist Cove Dr., Indianapolis, Indiana 46236 (US). **ROTH, Joshua**; 14390 Heather Knoll Parkway, Carmel, Indiana 46074 (US). **SATCHIVI, Norbert M.**; 3100 Cherub Court, Carmel, Indiana 46074 (US). **SCHMITZER, Paul Richard**; 7960 North Whittier Place, Indianapolis, Indiana 46250 (US). **SIDDALL, Thomas L.**; 1925 South 900 East, Zionsville, Indiana 46077 (US). **WANG, Nick X.**; 1593 Esprit Drive, Westfield, Indiana 46074 (US).

(74) Agents: **MEUNIER, Andrew T.** et al.; Meunier Carlin & Curfman, LLC, Suite 500, 817 W. Peachtree Street NW, Atlanta, Georgia 30308 (US).

(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, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, 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, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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, 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).

Published:

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

WO 2014/151005 A1

(54) Title: 4-AMINO-6-(HETEROCYCLIC)PICOLINATES AND 6-AMINO-2-2(HETEROCYCLIC) PYRIMIDINE-4-CARBOXYLATES AND THEIR USE AS HERBICIDES

(57) Abstract: 4-Amino-6-(heterocyclic)picolinic acids, 6-amino-2-(heterocyclic)pyrimidine-4-carboxylates, and derivatives thereof are provided. Also provided are herbicidal compositions including these compounds, as well as methods of using thereof as herbicides. The occurrence of undesirable vegetation, e.g., weeds, is a constant problem facing famers in crops, pasture, and other settings. Weeds compete with crops and negatively impact crop yield. The use of chemical herbicides is an important tool in controlling undesirable vegetation.

4-AMINO-6-(HETEROCYCLIC)PICOLINATES AND 6-AMINO-2-(HETEROCYCLIC)PYRIMIDINE-4-CARBOXYLATES AND THEIR USE AS HERBICIDES

Cross Reference to Related Applications

[0001] This application claims benefit of U.S. Application No. 13/839,000 filed March 15, 2013, the disclosure of which is expressly incorporated herein by reference.

Field

[0002] The invention relates to herbicidal compounds and compositions and to methods for controlling undesirable vegetation.

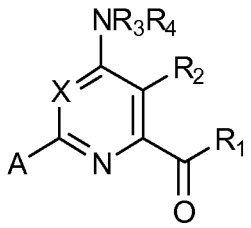
Background

[0003] The occurrence of undesirable vegetation, *e.g.*, weeds, is a constant problem facing famers in crops, pasture, and other settings. Weeds compete with crops and negatively impact crop yield. The use of chemical herbicides is an important tool in controlling undesirable vegetation.

[0004] There remains a need for new chemical herbicides that offer a broader spectrum of weed control, selectivity, minimal crop damage, storage stability, ease of handling, higher activity against weeds, and/or a means to address herbicide-tolerance that develops with respect to herbicides currently in use.

Summary of the Invention

[0005] Provided herein are compounds of Formula (I):



(I)

wherein

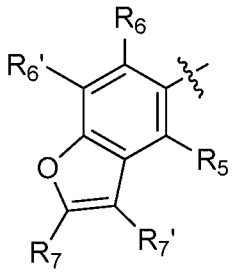
X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

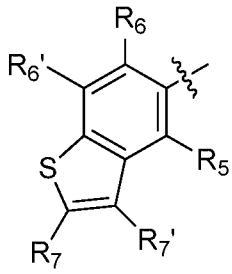
R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

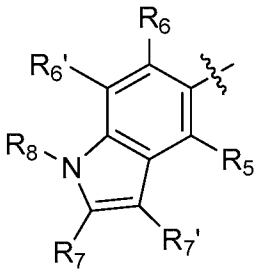
A is one of groups A1 to A36



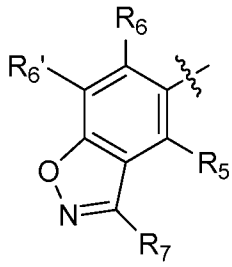
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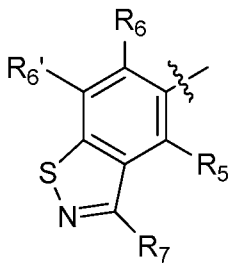
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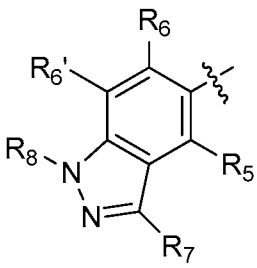
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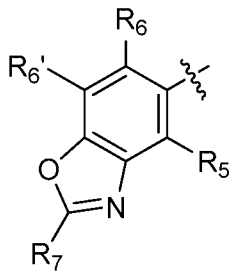
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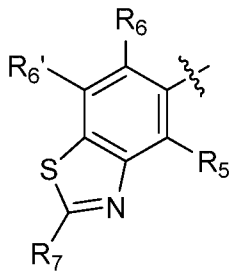
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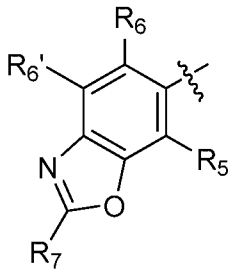
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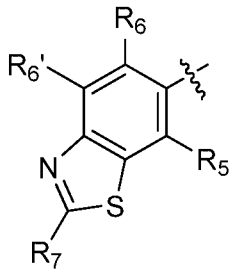
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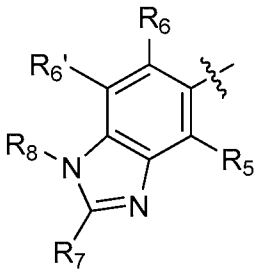
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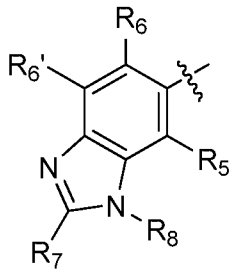
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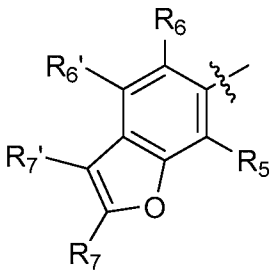
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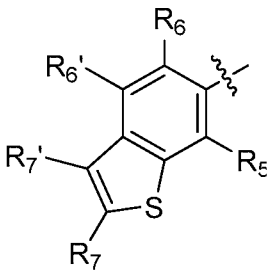
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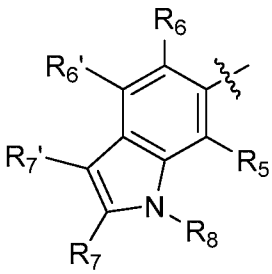
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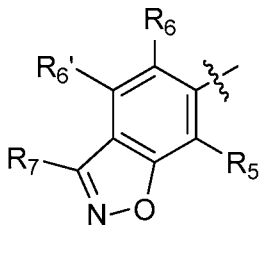
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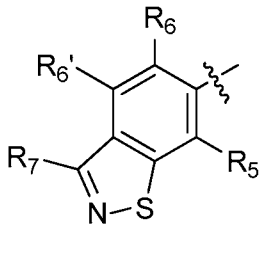
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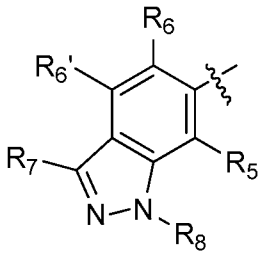
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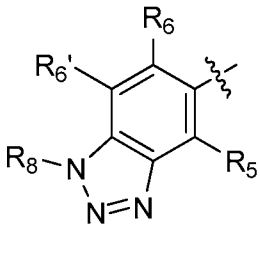
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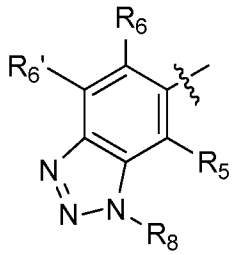
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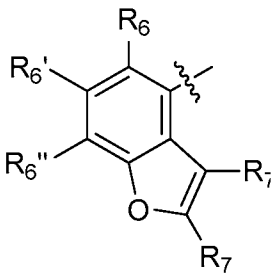
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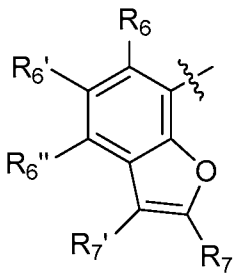
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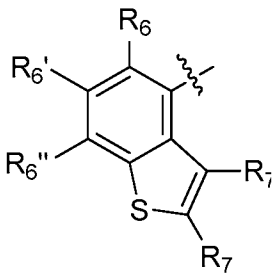
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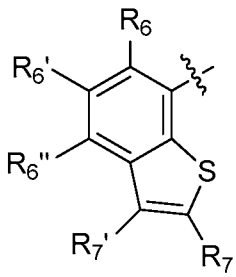
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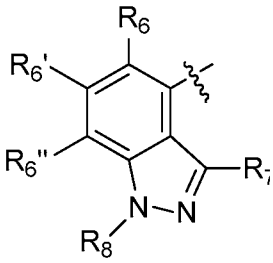
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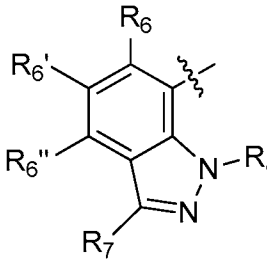
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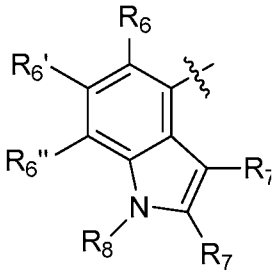
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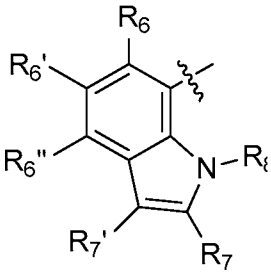
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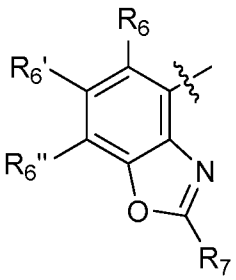
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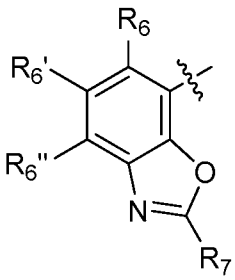
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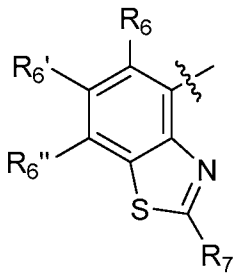
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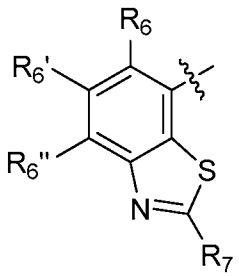
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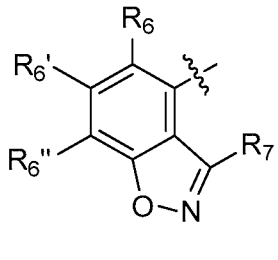
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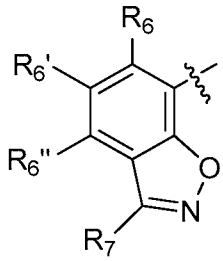
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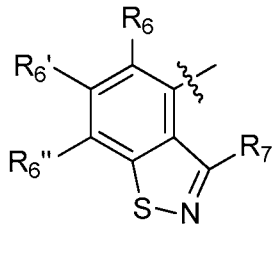
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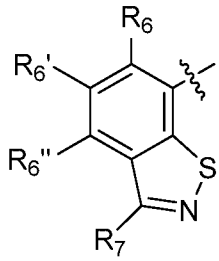
A33



A34



A35



A36

R^5, if applicable to the A group, is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

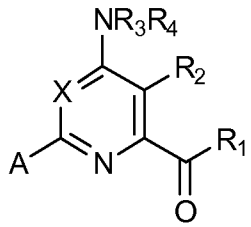
R^6, $R^{6'}$, and $R^{6''}$, if applicable to the A group, are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_1-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

[0006] In some embodiments, the compound is a compound of Formula (I):



(I)

wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

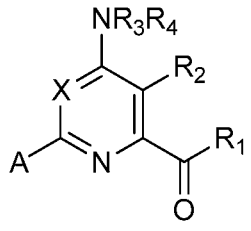
R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof,

with the proviso that the compound is not a compound of Formula (I):



(I)

wherein

X is N, CH, CF, CCl, or CBr;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen or C_1-C_4 alkyl;

R^2 is chlorine;

R^3 and R^4 are hydrogen;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is hydrogen, halogen, OH, amino, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylamino, or cyclopropyl;

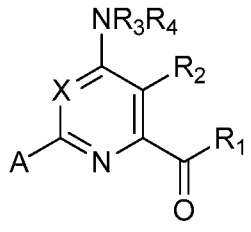
R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, OH, NH_2, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, cyclopropyl, or vinyl;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylthio, cyclopropyl, or C_1-C_3 alkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_3 alkyl, phenyl, or C_1-C_3 alkylcarbonyl;

or an N-oxide or agriculturally acceptable salt thereof.

[0007] In some embodiments, the compound is a compound of Formula (I):



(I)

wherein

X is CF;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4

alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof

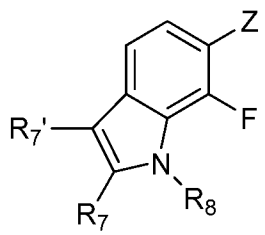
[0008] In some embodiments, R^2 is Cl, methoxy, vinyl, or 1-propenyl, and R^3 and R^4 are hydrogen. In certain embodiments, R^2 is Cl, and R^3 and R^4 are hydrogen.

[0009] In some embodiments, A is A15 and/or R^5 is hydrogen or F.

[0010] In one embodiment, the compound is 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinic acid. In one embodiment, the compound is methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinate.

[0011] Also provided are methods of controlling undesirable vegetation comprising (a) contacting the undesirable vegetation or area adjacent to the undesirable vegetation or (b) pre-emergently contacting soil or water a herbicidally effective amount of at least one compound of Formula (I) or agriculturally acceptable derivative thereof.

[0012] Also provided are novel precursors of Formula (II):



(II)

wherein:

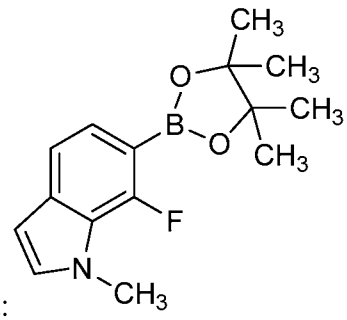
R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3

alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

Z is $B(OR^{22})_2$, BF_3M, or $Sn(R^{23})_3$, wherein each R^{22} is independently hydrogen or C_1-C_4 alkyl, or the two OR^{22} moieties combine to form $–O\text{-}C(CH_3)_2\text{-}C(CH_3)_2\text{-}O-$ or $–O\text{-}CH_2\text{-}C(CH_3)_2\text{-}CH_2\text{-}O-$; M is a metal cation, *e.g.* sodium or potassium, and R^{23} is C_1-C_4 alkyl;

provided the following compound is excluded:



Detailed Description

DEFINITIONS

[0013] As used herein, herbicide and herbicidal active ingredient mean a compound that controls undesirable vegetation when applied in an appropriate amount.

[0014] As used herein, control of or controlling undesirable vegetation means killing or preventing the vegetation, or causing some other adversely modifying effect to the vegetation *e.g.*, deviations from natural growth or development, regulation, desiccation, retardation, and the like.

[0015] As used herein, a herbicidally effective or vegetation controlling amount is an amount of herbicidal active ingredient the application of which controls the relevant undesirable vegetation.

[0016] As used herein, applying a herbicide or herbicidal composition means delivering it directly to the targeted vegetation or to the locus thereof or to the area where control of undesired vegetation is desired. Methods of application include, but

are not limited to pre-emergently contacting soil or water, post-emergently contacting the undesirable vegetation or area adjacent to the undesirable vegetation.

[0017] As used herein, plants and vegetation include, but are not limited to, dormant seeds, germinant seeds, emerging seedlings, plants emerging from vegetative propagules, immature vegetation, and established vegetation.

[0018] As used herein, agriculturally acceptable salts and esters refer to salts and esters that exhibit herbicidal activity, or that are or can be converted in plants, water, or soil to the referenced herbicide. Exemplary agriculturally acceptable esters are those that are or can by hydrolyzed, oxidized, metabolized, or otherwise converted, *e.g.*, in plants, water, or soil, to the corresponding carboxylic acid which, depending on the pH, may be in the dissociated or undissociated form.

[0019] Suitable salts include those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Preferred cations include sodium, potassium, magnesium, and aminium cations of the formula:

$$R^{13}R^{14}R^{15}R^{16}N^{+}$$

wherein R^{13}, R^{14}, R^{15} and R^{16} each, independently represents hydrogen or C_1-C_{12} alkyl, C_3-C_{12} alkenyl or C_3-C_{12} alkynyl, each of which is optionally substituted by one or more hydroxy, C_1-C_4 alkoxy, C_1-C_4 alkylthio or phenyl groups, provided that R^{13}, R^{14}, R^{15} and R^{16} are sterically compatible. Additionally, any two R^{13}, R^{14}, R^{15} and R^{16} together may represent an aliphatic difunctional moiety containing one to twelve carbon atoms and up to two oxygen or sulfur atoms. Salts of the compounds of Formula I can be prepared by treatment of compounds of Formula I with a metal hydroxide, such as sodium hydroxide, with an amine, such as ammonia, trimethyl-amine, diethanolamine, 2-methylthiopropylamine, bisallylamine, 2-butoxyethylamine, morpholine, cyclododecylamine, or benzylamine or with a tetraalkylammonium hydroxide, such as tetramethylammonium hydroxide or choline hydroxide. Amine salts are often preferred forms of the compounds of Formula I because they are water-soluble and lend themselves to the preparation of desirable aqueous based herbicidal compositions.

[0020] Compounds of the formula (I) include N-oxides. Pyridine N-oxides can be obtained by oxidation of the corresponding pyridines. Suitable oxidation methods are described, for example, in Houben-Weyl, *Methoden der organischen Chemie*

[*Methods in organic chemistry*], expanded and subsequent volumes to the 4th edition, volume E 7b, p. 565 f.

[0021] As used herein, unless otherwise specified, acyl refers to formyl, C_1-C_3 alkylcarbonyl, and C_1-C_3 haloalkylcarbonyl. C_1-C_6 acyl refers to formyl, C_1-C_5 alkylcarbonyl, and C_1-C_5 haloalkylcarbonyl (the group contains a total of 1 to 6 carbon atoms).

[0022] As used herein, alkyl refers to saturated, straight-chained or branched saturated hydrocarbon moieties. Unless otherwise specified, C_1-C_{10} alkyl groups are intended. Examples include methyl, ethyl, propyl, 1-methyl-ethyl, butyl, 1-methyl-propyl, 2-methyl-propyl, 1,1-dimethyl-ethyl, pentyl, 1-methyl-butyl, 2-methyl-butyl, 3-methyl-butyl, 2,2-dimethyl-propyl, 1-ethyl-propyl, hexyl, 1,1-dimethyl-propyl, 1,2-dimethyl-propyl, 1-methyl-pentyl, 2-methyl-pentyl, 3-methyl-pentyl, 4-methyl-pentyl, 1,1-dimethyl-butyl, 1,2-dimethyl-butyl, 1,3-dimethyl-butyl, 2,2-dimethyl-butyl, 2,3-dimethyl-butyl, 3,3-dimethyl-butyl, 1-ethyl-butyl, 2-ethyl-butyl, 1,1,2-trimethyl-propyl, 1,2,2-trimethyl-propyl, 1-ethyl-1-methyl-propyl, and 1-ethyl-2-methyl-propyl.

[0023] As used herein, "haloalkyl" refers to straight-chained or branched alkyl groups, wherein these groups the hydrogen atoms may partially or entirely be substituted with halogen atoms. Unless otherwise specified, C_1-C_8 groups are intended. Examples include chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, and 1,1,1-trifluoroprop-2-yl.

[0024] As used herein, alkenyl refers to unsaturated, straight-chained, or branched hydrocarbon moieties containing a double bond. Unless otherwise specified, C_2-C_8 alkenyl are intended. Alkenyl groups may contain more than one unsaturated bond. Examples include ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-

butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl, and 1-ethyl-2-methyl-2-propenyl. Vinyl refers to a group having the strutcture –CH=CH_2; 1-propenyl refers to a group with the structure–CH=CH-CH_3; and 2- propenyl refers to a group with the structure –CH_2-CH=CH_2.

[0025] As used herein, alkynyl represents straight-chained or branched hydrocarbon moieties containing a triple bond. Unless otherwise specified, C_2-C_8 alkynyl groups are intended. Alkynyl groups may contain more than one unsaturated bond. Examples include C_2-C_6-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl (or propargyl), 1-butynyl, 2-butynyl, 3-butynyl, 1-methyl-2-propynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 3-methyl-1-butynyl, 1-methyl-2-butynyl, 1-methyl-3-butinyul, 2-methyl-3-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 3-methyl-1-pentynyl, 4-methyl-1-pentynyl, 1-methyl-2-pentynyl, 4-methyl-2-pentynyl, 1-methyl-3-pentynyl, 2-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-4-pentynyl, 3-methyl-4-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl, and 1-ethyl-1-methyl-2-propynyl.

[0026] As used herein, alkoxy refers to a group of the formula R-O-, where R is alkyl as defined above. Unless otherwise specified, alkoxy groups wherein R is a C_1-C_8 alkyl group are intended. Examples include methoxy, ethoxy, propoxy, 1-methyl-

ethoxy, butoxy, 1-methyl-propoxy, 2-methyl-propoxy, 1,1-dimethyl-ethoxy, pentoxy, 1-methyl-butyloxy, 2-methyl-butoxy, 3-methyl-butoxy, 2,2-di-methyl-propoxy, 1-ethyl-propoxy, hexoxy, 1,1-dimethyl-propoxy, 1,2-dimethyl-propoxy, 1-methyl-pentoxy, 2-methyl-pentoxy, 3-methyl-pentoxy, 4-methyl-penoxy, 1,1-dimethyl-butoxy, 1,2-dimethyl-butoxy, 1,3-dimethyl-butoxy, 2,2-dimethyl-butoxy, 2,3-dimethyl-butoxy, 3,3-dimethyl-butoxy, 1-ethyl-butoxy, 2-ethylbutoxy, 1,1,2-trimethyl-propoxy, 1,2,2-trimethyl-propoxy, 1-ethyl-1-methyl-propoxy, and 1-ethyl-2-methyl-propoxy.

[0027] As used herein, haloalkoxy refers to a group of the formula R-O-, where R is haloalkyl as defined above. Unless otherwise specified, haloalkoxy groups wherein R is a C_1-C_8 alkyl group are intended. Examples include chloromethoxy, bromomethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, chlorofluoromethoxy, dichlorofluoromethoxy, chlorodifluoromethoxy, 1-chloroethoxy, 1-bromoethoxy, 1-fluoroethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy, 2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy, 2-chloro,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy, 2,2,2-trichloroethoxy, pentafluoroethoxy, and 1,1,1-trifluoroprop-2-oxy.

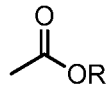
[0028] As used herein, alkylthio refers to a group of the formula R-S- where R is alkyl as defined above. Unless otherwise specified, alkylthio groups wherein R is a C_1-C_8 alkyl group are intended. Examples include methylthio, ethylthio, propylthio, 1-methylethylthio, butylthio, 1-methyl-propylthio, 2-methylpropylthio, 1,1-dimethylethylthio, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dio-methylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethyl propylthio, 1,2-dimethyl propylthio, 1-methylpentylthio, 2-methylpentylthio, 3-methyl-pentylthio, 4-methyl-pentylthio, 1,1-dimethyl butylthio, 1,2-dimethyl-butylthio, 1,3-dimethyl-butylthio, 2,2-dimethyl butylthio, 2,3-dimethyl butylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio, 1,1,2-trimethyl propylthio, 1,2,2-trimethyl propylthio, 1-ethyl-1-methyl propylthio, and 1-ethyl-2-methylpropylthio.

[0029] As used herein, haloalkylthio refers to an alkylthio group as defined above wherein the carbon atoms are partially or entirely substituted with halogen atoms. Unless otherwise specified, haloalkylthio groups wherein R is a C_1-C_8 alkyl group are

intended. Examples include chloromethylthio, bromomethylthio, dichloromethylthio, trichloromethylthio, fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorofluoromethylthio, dichlorofluoro-methylthio, chlorodifluoromethylthio, 1-chloroethylthio, 1-bromoethylthio, 1-fluoroethylthio, 2-fluoroethylthio, 2,2-difluoroethylthio, 2,2,2-trifluoroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, 2,2,2-trichloroethylthio, pentafluoroethylthio, and 1,1,1-trifluoroprop-2-ylthio.

[0030] As used herein, aryl, as well as derivative terms such as aryloxy, refers to a phenyl, indanyl or naphthyl group with phenyl being preferred. The term "heteroaryl", as well as derivative terms such as "heteroaryloxy", refers to a 5- or 6-membered aromatic ring containing one or more heteroatoms, *viz.*, N, O or S; these heteroaromatic rings may be fused to other aromatic systems. The aryl or heteroaryl substituents may be unsubstituted or substituted with one or more substituents selected from halogen, hydroxy, nitro, cyano, formyl, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkoxy, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, C_1-C_6 acyl, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 carbamoyl, hydroxycarbonyl, C_1-C_6 alkylcarbonyl, aminocarbonyl, C_1-C_6 alkylaminocarbonyl, C_1-C_6 dialkylaminocarbonyl, provided that the substituents are sterically compatible and the rules of chemical bonding and strain energy are satisfied. Preferred substituents include halogen, C_1-C_2 alkyl and C_1-C_2 haloalkyl.

[0031] As used herein alkylcarbonyl refers to an alkyl group bonded to a carbonyl group. C_1-C_3 alkylcarbonyl and C_1-C_3 haloalkylcarbonyl refer to groups wherein a C_1-C_3 alkyl group is bonded to a carbonyl group (the group contains a total of 2 to 4 carbon atoms).

[0032] As used herein, alkoxycarbonyl refers to a group of the formula  wherein R is alkyl.

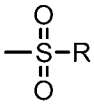
[0033] As used herein, arylalkyl refers to an alkyl group substituted with an aryl group. C_7-C_{10} arylalkyl refers to a group wherein the total number of carbon atoms in the group is 7 to 10.

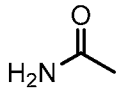
[0034] As used herein alkylamino refers to an amino group substituted with one or two alkyl groups, which may be the same or different.

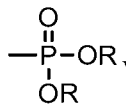
[0035] As used herein haloalkylamino refers to an alkylamino group wherein the alkyl carbon atoms are partially or entirely substituted with halogen atoms.

[0036] As used herein, C_1-C_6 alkylaminocarbonyl refers to a group of the formula RNHC(O)- wherein R is C_1-C_6 alkyl, and C_1-C_6 dialkylaminocarbonyl refers to a group of the formula $R_2NC(O)$- wherein each R is independently C_1-C_6 alkyl.

[0037] As used herein alkylcarbamyl refers to a carbamyl group substituted on the nitrogen with an alkyl group.

[0038] As used herein alkylsulfonyl refers to a group of the formula , where R is alkyl.

[0039] As used herein carbamyl (also referred to as carbamoyl and aminocarbonyl) refers to a group of the formula .

[0040] As used herein dialkylphosphonyl refers to a group of the formula  where R is independently alkyl in each occurrence.

[0041] As used herein, C_1-C_6 trialkylsilyl refers to a group of the formula $-SiR_3$ wherein each R is independently a C_1-C_6 alkyl group (the group contains a total of 3 to 18 carbon atoms).

[0042] As used herein Me refers to a methyl group; OMe refers to a methoxy group; *i*-Pr refers to an isopropyl group.

[0043] As used herein, the term "halogen" including derivative terms such as "halo" refers to fluorine, chlorine, bromine and iodine.

[0044] As used herein, plants and vegetation include, but are not limited to, germinant seeds, emerging seedlings, plants emerging from vegetative propagules, immature vegetation, and established vegetation.

COMPOUNDS OF FORMULA (I)

[0045] The invention provides compounds of Formula (I) as defined above and N-oxides and agriculturally acceptable salts thereof.

[0046] In some embodiments, the compound is the carboxylic acid or an agriculturally acceptable ester or salt. In some embodiments, the compound is the carboxylic acid or its methyl ester.

[0047] In some embodiments:

A is one of groups A1 to A20;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen or C_1-C_4 alkyl;

R^2 is chlorine;

R^3 and R^4 are hydrogen;

X is N, CH, CF, CCl, or CBr;

R^5 is hydrogen, halogen, OH, NH_2, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylamino, or cyclopropyl;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, OH, NH_2, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, cyclopropyl, or vinyl;

R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylthio, cyclopropyl, or C_1-C_3 alkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_3 alkyl, phenyl, or C_1-C_3 alkylcarbonyl.

[0048] In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl. In some embodiments, $R^{1'}$ is hydrogen or C_1-C_8 alkyl. In some embodiments, $R^{1'}$ is hydrogen.

[0049] In some embodiments, R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkynyl, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy, or C_1-C_4 haloalkoxy. In some embodiments, R^2 is halogen, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy. In some embodiments, R^2 is halogen. In some embodiements, R^2 is C_2-C_4-alkenyl or C_2-C_4 haloalkenyl. In some embodiments, R^2 is C_1-C_4 alkoxy. In some embodiments, R^2 is Cl, OMe, vinyl, or 1-propenyl. In some embodiments, R^2 is Cl. In some embodiments, R^2 is OMe. In some embodiments, R^2 is vinyl or 1-propenyl.

[0050] In some embodiments, R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy, or C_1-C_6 alkylamino. In some embodiments, R^3 and R^4 are independently hydrogen, C_1-

C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino. In some embodiments, R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, formyl, C_1-C_3 alkylcarbonyl, or C_1-C_3 haloalkylcarbonyl. In some embodiments, at least one of R^3 and R^4 are hydrogen. In some embodiments, R^3 and R^4 are both hydrogen.

[0051] In some embodiments, X is N, CH or CF. In some embodiments, X is N. In some embodiments, X is CH. In some embodiments, X is CF.

[0052] In some embodiments, A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20.

[0053] In some embodiments, A is one of A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, and A36.

[0054] In some embodiments, A is one of groups A1, A2, A3, A7, A8, A9, A10, A13, A14, and A15. In some embodiments, A is one of groups A1, A2, A3, A13, A14, and A15. In some embodiments, A is one of groups A13, A14, and A15. In some embodiments, A is A15.

[0055] In some embodiments, R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, or amino. In some embodiments, R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, or amino. In some embodiments, R^5 is hydrogen, halogen, C_1-C_4 alkyl or C_1-C_4 alkoxy. In some embodiments, R^5 is hydrogen or F. In some embodiments, R^5 is hydrogen.

In other embodiments, R^5 is F.

[0056] In some embodiments, R^6 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_3 alkoxy, or C_1-C_3 haloalkoxy. In some embodiments, R^6 is hydrogen or fluorine. In some embodiments, R^6 is hydrogen. In some embodiments, R^6 is fluorine.

[0057] In some embodiments, $R^{6'}$ is hydrogen or halogen. In some embodiments, $R^{6'}$ is hydrogen, F, or Cl. In some embodiments, $R^{6'}$ is hydrogen or F. In some embodiments, $R^{6'}$ is hydrogen.

[0058] In some embodiments, $R^{6''}$ is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, C_2-C_4 alkynyl, CN, or NO_2. In some embodiments, $R^{6''}$ is hydrogen. In some embodiments, $R^{6''}$ is halogen. In some embodiments, $R^{6''}$ is C_1-C_4 alkyl. In some embodiments, $R^{6''}$ is C_1-C_4 haloalkyl. In some embodiments, $R^{6''}$ is cyclopropyl. In some embodiments, $R^{6''}$ is C_2-C_4 alkynyl. In some embodiments, $R^{6''}$ is CN. In some embodiments, $R^{6''}$ is NO_2.

[0059] In some embodiments:

R^2 is halogen, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy;

R^3 and R^4 are both hydrogen; and

X is N, CH, or CF.

[0060] In some embodiments:

R^2 is halogen;

R^3 and R^4 are both hydrogen; and

X is N, CH, or CF.

[0061] In some embodiments:

R^2 is C_2-C_4-alkenyl or C_2-C_4 haloalkenyl;

R^3 and R^4 are both hydrogen; and

X is N, CH, or CF.

[0062] In some embodiments:

R^2 is C_1-C_4-alkoxy;

R^3 and R^4 are both hydrogen; and

X is N, CH, or CF.

[0063] In some embodiments:

R^2 is halogen, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy;

R^3 and R^4 are both hydrogen;

X is N, CH, or CF;

R^5 is hydrogen or F;

R^6 is hydrogen or F;

$R^{6'}$ is hydrogen;

$R^{6''}$,if applicable to the relevant A group, is hydrogen or halogen; and

R^7 and $R^{7'}$,if applicable to the relevant A group, are independently hydrogen or halogen.

[0064] In some embodiments:

R^2 is halogen, C_1-C_4-alkoxy, or C_2-C_4-alkenyl ;

R^3 and R^4 are hydrogen;

X is N, CH, or CF; and

A is one of groups A1 to A20;

[0065] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen;

X is N, CH, or CF;

A is one of groups A1 to A20;

R^5 is hydrogen or F;

R^6 and $R^{6'}$ are independently hydrogen or F; and

R^7 and $R^{7'}$,if applicable to the relevant A group, are independently hydrogen, halogen, C_1-C_4 alkyl, or C_1-C_4 haloalkyl.

[0066] In some embodiments:

R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen; and

X is N, CH, or CF.

[0067] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen; and

X is N, CH, or CF.

[0068] In some embodiments:

R^2 is vinyl or 1-propenyl;

R^3 and R^4 are hydrogen; and

X is N, CH, or CF.

[0069] In some embodiments:

R^2 is methoxy;

R^3 and R^4 are hydrogen; and

X is N, CH, or CF.

[0070] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen; and

X is N.

[0071] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen; and

X is CH.

[0072] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen; and

X is CF.

[0073] In some embodiments:

R^2 is chlorine;

R^3 and R^4 are hydrogen;

X is CF;

A is one of A1, A2, A3, A7, A8, A9, A10, A13, A14, or A15;

R^5 is F; and

R^6 is H.

[0074] In some embodiments:

R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

X is N, CH, or CF; and

A is one of A21-A36.

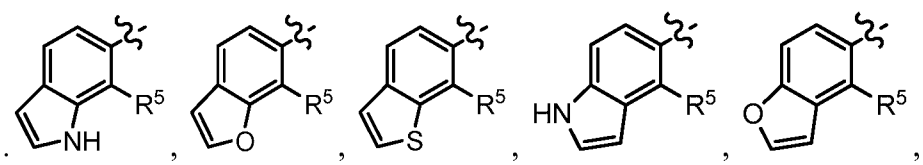
[0075] In some embodiments:

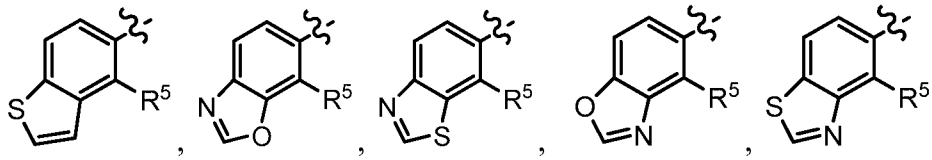
R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

X is CF; and

A is one of





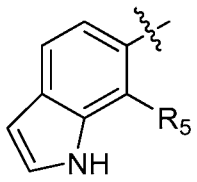
,wherein R^5 is hydrogen or F.

[0076] In some embodiments:

R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

X is N, CH, or CF; and

A is 

, where R^5 is hydrogen or F.

[0077] In some embodiments:

R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

X is N, CH, or CF; and

A is 

.

[0078] In some embodiments:

R^2 is chlorine, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

X is CF; and

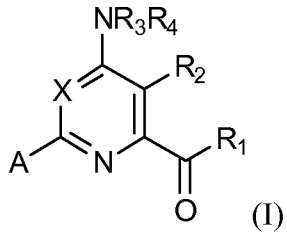
A is 

.

[0079] It is particularly noteworthy that compounds of Formula (I) wherein A is, *e.g.* A15, exhibit a significant increase in activity when X is CF. This is demonstrated by comparing the activity of Compounds 1.21 and 1.22 (wherein X is CH) with that of 1.08 and 1.09 (wherein X is CF). It is also demonstrated by comparing the activity

of Compounds 1.23 and 1.24 (wherein X is CH) with that of Compounds 1.15 and 1.16 (wherein X is CF). The increased activity is further enhanced when R^5 is F.

[0080] In some embodiments, the compound is a compound of Formula (I):



(I)

wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

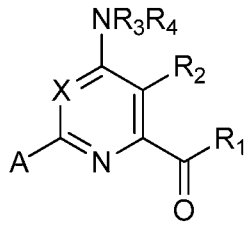
R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof,

with the proviso that the compound is not a compound of Formula (I):



(I)

wherein

X is N, CH, CF, CCl, or CBr;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen or C_1-C_4 alkyl;

R^2 is chlorine;

R^3 and R^4 are hydrogen;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is hydrogen, halogen, OH, amino, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylamino, or cyclopropyl;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, OH, NH_2, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, cyclopropyl, or vinyl;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylthio, cyclopropyl, or C_1-C_3 alkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_3 alkyl, phenyl, or C_1-C_3 alkylcarbonyl;

or an N-oxide or agriculturally acceptable salt thereof.

[0081] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0082] In some embodiments:

X is CY, wherein Y is C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6

alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0083] In some of these embodiments, R^1 is OR^1. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0084] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is C_5-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3

haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

[0085] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0086] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is F, Br, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0087] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0088] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl,

C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0089] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0090] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0091] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, R^5 is F.

[0092] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_4 alkylamino, or C_2-C_4 haloalkylamino;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0093] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0094] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_3-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl.

[0095] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0096] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, or A18;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 haloalkylthio, amino, C_4 alkylamino, or C_2-C_4 haloalkylamino; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl

[0097] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[0098] In some embodiments:

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A3, A6, A11, A12, A15, A18, A19, or A20;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-

C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

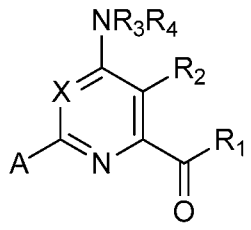
R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is C_3-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, or C_1-C_6 trialkylsilyl.

[0099] In some of these embodiments, R^1 is OR^1. In some of these embodiments, X is CF. In some of these embodiments, A is A15. In some of these embodiments, R^5 is F.

[00100] In some embodiments, the compound is a compound of Formula (I):



(I)

wherein

X is CF;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

[00101] In some embodiments:

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4-alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, or C_1-C_4 haloalkylthio.

R^3 and R^4 are hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino;

A is A1, A2, A3, A7, A8, A9, A10, A11, A12, A13, A14, A15, A21, A22, A23, A24, A27, A28, A29, A30, A31, or A32;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, or C_2-C_4 haloalkylamino;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, cyclopropyl, amino or C_1-C_4 alkylamino; and

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_4 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, or C_1-C_6 alkylcarbamyl.

[00102] In some embodiments, R^2 is halogen, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy. In certain embodiments, R^2 is Cl, methoxy, vinyl, or 1-propenyl. In some embodiments, R^3 and R^4 are hydrogen.

[00103] In some embodiments, A is A1, A2, A3, A7, A8, A9, A10, A13, A14, or A15. In certain embodiments, A is A1, A2, A3, A13, A14, or A15. In certain embodiments, A is A15.

[00104] In some embodiments, R^5 is hydrogen or F. In certain embodiments, R^5 is F. In certain embodiments, R^5 is H.

[00105] In some embodiments, R^6 is hydrogen or F. In certain embodiments, R^6 is F. In certain embodiments, R^6 is H. In some embodiments, $R^{6''}$ is hydrogen, halogen,

C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, C_2-C_4 alkynyl, CN, or NO_2. In certain embodiments, R^6, $R^{6'}$, and $R^{6''}$ are all hydrogen.

[00106] In certain embodiments:

R^2 is Cl, methoxy, vinyl, or 1-propenyl;

R^3 and R^4 are hydrogen;

A is A15;

R^5 is hydrogen or F; and

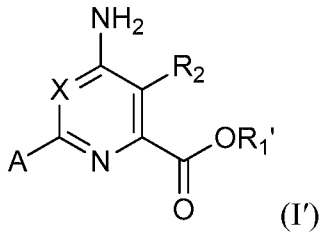
R^6 is hydrogen or F; and

$R^{6''}$ is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, C_2-C_4 alkynyl, CN, or NO_2.

[00107] In one embodiment, the compound is 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinic acid. In one embodiment, the compound is methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinate.

EXEMPLARY COMPOUNDS

[00108] The following Tables 1-9 describe exemplary compounds of Formula (I′)



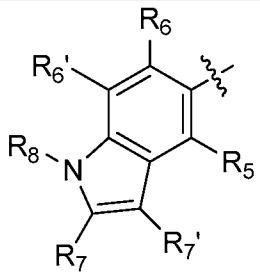
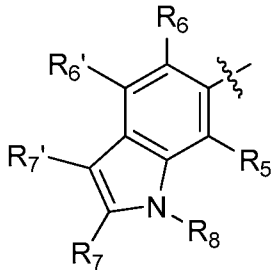
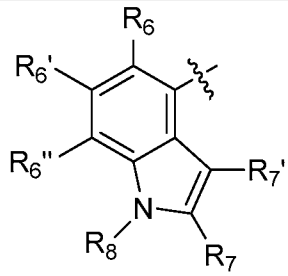
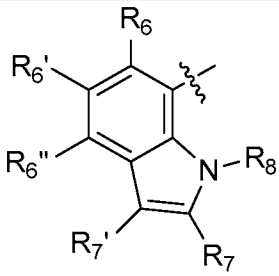
(I′)

Table 10 sets forth the structure, appearance, preparation method, and precursor(s) used in synthesis of the exemplary compounds. Table 11 sets forth physical data for each of the exemplary compounds.

[00109] Blank spaces in compound tables herein indicate hydrogen, or that for the A group indicated in a particular row the column in which the blank occurs is not relevant

Table 1: Compounds of Formula (I′) with indolyl tails

A is A3, A15, A27, or A28:

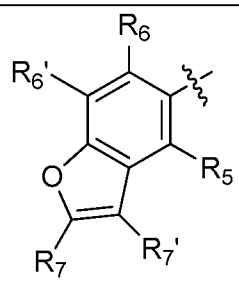
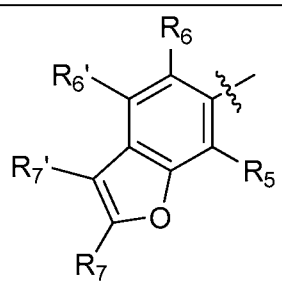
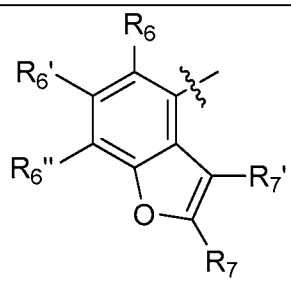
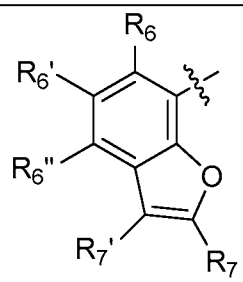
			
A3	A15	A27	A28

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
1.01	H	Cl	CF	A3							Me
1.02	Me	Cl	CF	A3							
1.03	Me	Cl	CF	A3							Me
1.04	H	Cl	CF	A3							
1.05	Me	Cl	CCl	A15							
1.06	H	Cl	CCl	A15							
1.07	Me	Cl	CCl	A15	F						
1.08	Me	Cl	CF	A15							
1.09	H	Cl	CF	A15							
1.10	Me	Cl	CF	A15							Me
1.11	H	Cl	CF	A15							Me
1.12	Me	Cl	CF	A15	F						Si(i-Pr)
1.13	Me	Cl	CF	A15		F					
1.14	H	Cl	CF	A15		F					
1.15	Me	Cl	CF	A15	F						
1.16	H	Cl	CF	A15	F						
1.17	H	OMe	CF	A15	F						
1.18	Me	vinyl	CF	A15	F						
1.19	H	vinyl	CF	A15	F						
1.20	Me	OMe	CF	A15	F						
1.21	Me	Cl	CH	A15							
1.22	H	Cl	CH	A15							
1.23	Me	Cl	CH	A15	F						
1.24	H	Cl	CH	A15	F						
1.25	Me	Cl	CH	A15		F					
1.26	H	Cl	CH	A15		F					
1.27	Me	Cl	CH	A15	F	F					
1.28	Me	Cl	CMe	A15							

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
1.29	H	Cl	CMe	A15							
1.30	Me	Cl	N	A15							
1.31	Me	Cl	N	A15	F						
1.32	Me	OMe	N	A15							
1.33	H	OMe	N	A15							
1.34	Me	OMe	N	A15	F						
1.35	H	OMe	N	A15	F						
1.36	Me	OMe	N	A15		F					
1.37	H	OMe	N	A15		F					
1.38	Me	vinyl	N	A15	F						
1.39	H	vinyl	N	A15	F						
1.40	Me	Cl	CF	A27							
1.41	Me	Cl	CF	A27							Me
1.42	H	Cl	CF	A27							Me
1.43	Me	Cl	CF	A27				Cl			
1.44	Me	Cl	CH	A27				Cl			
1.45	Me	OMe	N	A27				Cl			
1.46	Me	Cl	CF	A28				Cl			
1.47	Me	Cl	CF	A28							
1.48	H	Cl	CF	A28							
1.49	Me	Cl	CH	A28				Cl			
1.50	Me	OMe	N	A28				Cl			

Table 2: Compounds of Formula (I′) with benzofuranyl tails

A is A1, A13, A21, or A22:

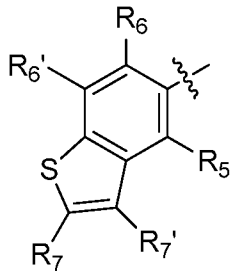
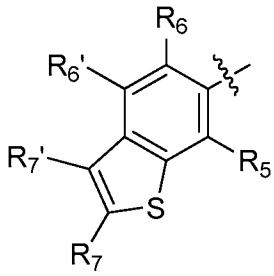
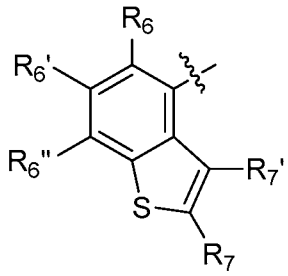
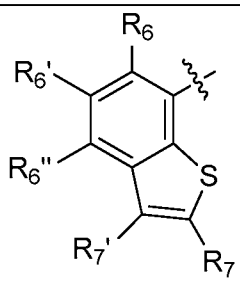
			
A1	A13	A21	A22

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
2.01	Me	Cl	CF	A1							
2.02	H	Cl	CF	A1							
2.03	Me	Cl	CH	A1							
2.04	Me	Cl	CH	A1		F					
2.05	Me	OMe	N	A1		F					
2.06	Me	OMe	N	A1							
2.07	Me	Cl	CF	A13							
2.08	H	Cl	CF	A13							

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
2.09	Me	Cl	CF	A13	F						
2.10	Me	Cl	CF	A13		F					
2.11	Me	Cl	CH	A13	F						
2.12	Me	Cl	CH	A13		F					
2.13	Me	OMe	N	A13	F						
2.14	Me	OMe	N	A13		F					
2.15	Me	Cl	CF	A21							
2.16	Me	Cl	CF	A21				Cl			
2.17	H	Cl	CF	A21							
2.18	H	Cl	CF	A21				Cl			
2.19	Me	Cl	CH	A21				Cl			
2.20	Me	Cl	N	A21				Cl			
2.21	Me	OMe	N	A21				Cl			
2.22	H	OMe	N	A21				Cl			
2.23	H	Cl	N	A21				Cl			
2.24	Me	Cl	CF	A22				Cl			
2.25	Me	Cl	CH	A22				Cl			
2.26	Me	OMe	N	A22				Cl			

Table 3: Compounds of Formula (I′) with benzothiofuranyl tails

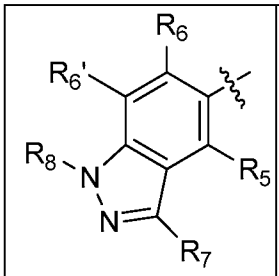
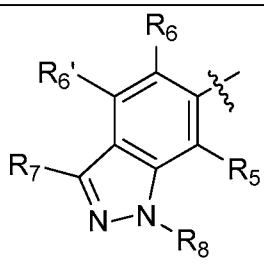
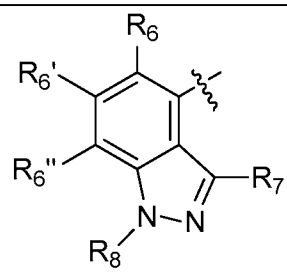
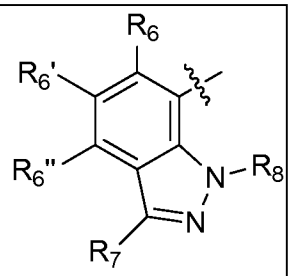
A is A2, A14, A23, or A24:

			
A2	A14	A23	A24

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
3.01	Me	Cl	CCl	A2							
3.02	H	Cl	CCl	A2							
3.03	Me	Cl	CF	A2							
3.04	H	Cl	CF	A2							
3.05	Me	Cl	CH	A2							
3.06	Me	Cl	CMe	A2							
3.07	H	Cl	CMe	A2							
3.08	Me	OMe	N	A2							
3.09	H	OMe	N	A2							
3.10	Me	Cl	CCl	A14							
3.11	H	Cl	CCl	A14							
3.12	Me	Cl	CF	A14							
3.13	H	Cl	CF	A14							
3.14	Me	Cl	CF	A14		F					
3.15	Me	Cl	CH	A14							
3.16	H	Cl	CH	A14							
3.17	Me	Cl	CH	A14		F					
3.18	Me	Cl	CMe	A14							
3.19	H	Cl	CMe	A14							
3.20	Me	OMe	N	A14							
3.21	H	OMe	N	A14							
3.22	Me	OMe	N	A14		F					
3.23	Me	Cl	CF	A23							
3.24	Me	Cl	CF	A24							
3.25	H	Cl	CF	A24							
3.26	Me	Cl	CF	A24						Br	
3.27	Me	Cl	CH	A24							

Table 4: Compounds of Formula (I′) with 1*H*-indazolyl tails

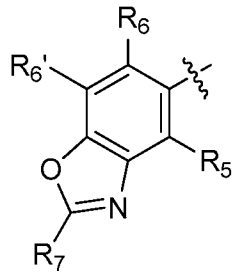
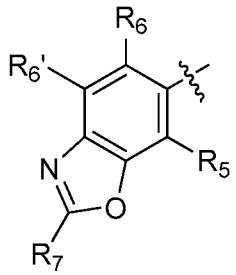
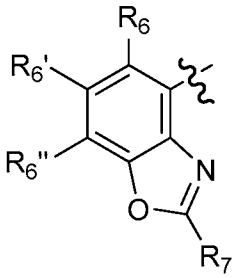
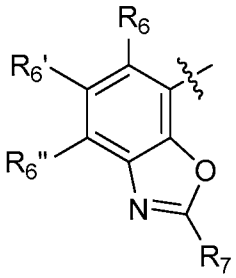
A is one of groups A6, A18, A25, and A26:

			
A6	A18	A25	A26

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
4.01	Me	Cl	CF	A6							
4.02	H	Cl	CF	A6							
4.03	Me	Cl	CF	A6							Me
4.04	H	Cl	CF	A6							Me
4.05	Me	Cl	CF	A18							
4.06	H	Cl	CF	A18							
4.07	Me	Cl	CF	A18							Me
4.08	H	Cl	CF	A18							Me
4.09	Me	Cl	CH	A18							
4.10	Me	Cl	CF	A25							Me
4.11	H	Cl	CF	A25							Me
4.12	Me	Cl	CF	A25							
4.13	Me	Cl	CF	A26							

Table 5: Compounds of Formula (I′) with benzoxazolyl tails

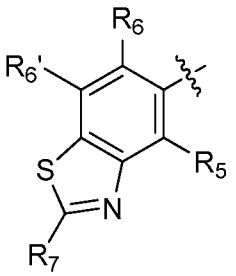
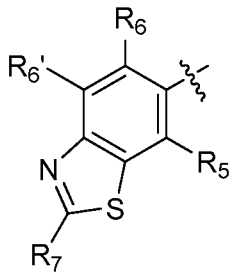
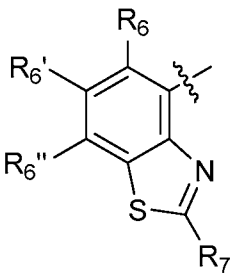
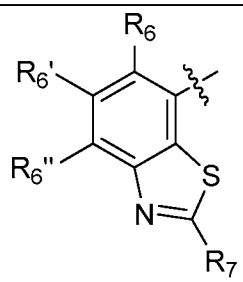
A is A7, A9, A29, or A30:

			
A7	A9	A29	A30

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
5.01	Me	Cl	CF	A9							

Table 6: Compounds of Formula (I′) with benzothiazolyl tails

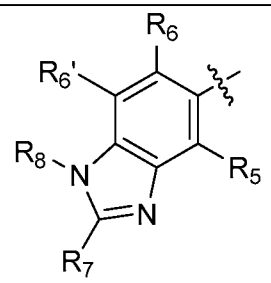
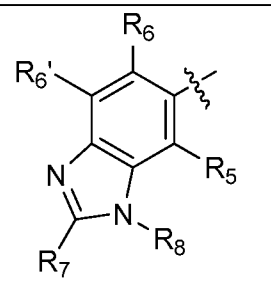
A is A8, A10, A31, or A32:

			
A8	A10	A31	A32

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
6.01	Me	Cl	CF	A8							
6.02	H	Cl	CF	A8							

Table 7: Compounds of Formula (I′) with 1*H*-benzimidazolyl tails

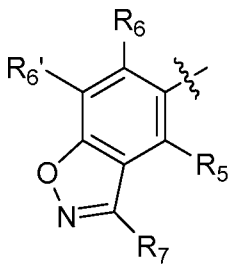
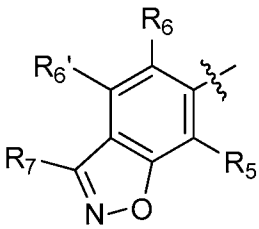
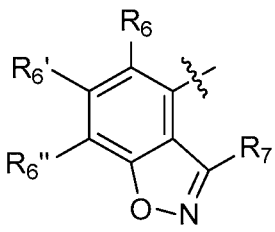
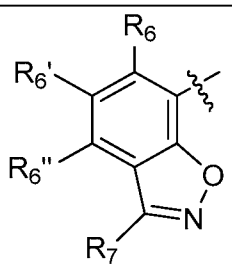
A is one of groups A11 and A12:

	
A11	A12

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
7.01	Me	Cl	CF	A12							
7.02	Me	Cl	CF	A12							Me
7.03	H	Cl	CF	A12							Me

Table 8: Compounds of Formula (I′) with indoxazinyl tails

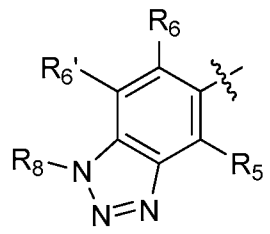
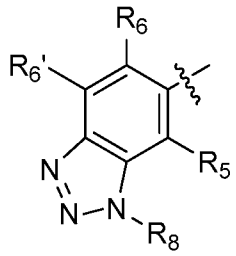
A is A4, A16, A33, or A34:

			
A4	A16	A33	A34

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
8.01	Me	Cl	CF	A16				NMe_2			

Table 9: Compounds of Formula (I′) with 1*H*-benzotriazolyl tails

A is A19 or A20:

	
A19	A20

C.No.	$R^{1'}$	R^2	X	A	R^5	R^6	$R^{6'}$	$R^{6''}$	R^7	$R^{7'}$	R^8
9.01	Me	Cl	CH	A20							

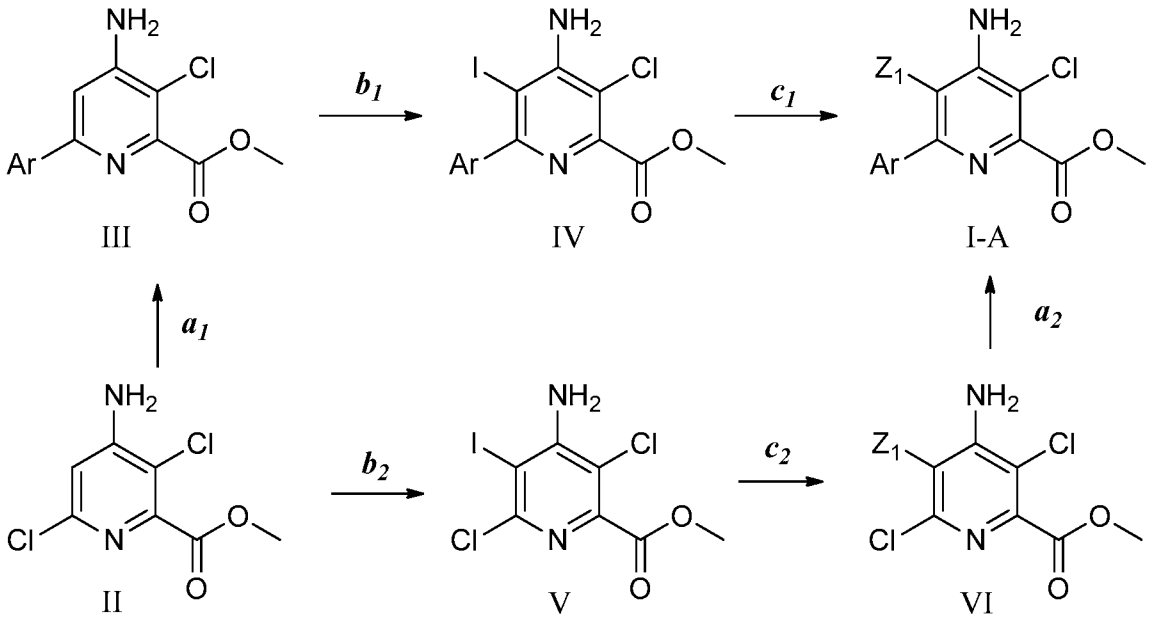
METHODS OF PREPARING THE COMPOUNDS

[00110] Exemplary procedures to synthesize the compounds of Formula (I) are provided below.

[00111] The 4-amino-6-(heterocyclic)picolinic acids of Formula (I) can be prepared in a number of ways. As depicted in Scheme I, the 4-amino-6-chloropicolinates of Formula (II) can be converted to the 4-amino-6-substituted-picolinates of Formula (III), wherein Ar is as herein defined, via Suzuki coupling with a boronic acid or ester, in the presence of a base, such as potassium fluoride, and a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a polar, protic solvent mixture, such as acetonitrile-water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_1). 4-Amino-6-substituted-picolinates of Formula (III) can be transformed into the 5-iodo-4-amino-6-substituted-picolinates of Formula (IV) via a reaction with iodinating reagents, such as periodic acid and iodine, in a polar, protic solvent, such as methyl alcohol (reaction b_1). Stille coupling of the 5-iodo-4-amino-6-substituted-picolinates of Formula (IV) with a stannane, such as tetramethyltin, in the presence of a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a non-reactive solvent, such as 1,2-dichloroethane, at a temperature, such as 120-130 °C, *e.g.*, in a microwave reactor, provides 5-(substituted)-4-amino-6-substituted-picolinates of Formula (I-A), wherein Z_1 is alkyl, alkenyl, alkynyl, haloalkenyl and alkylthio (reaction c_1).

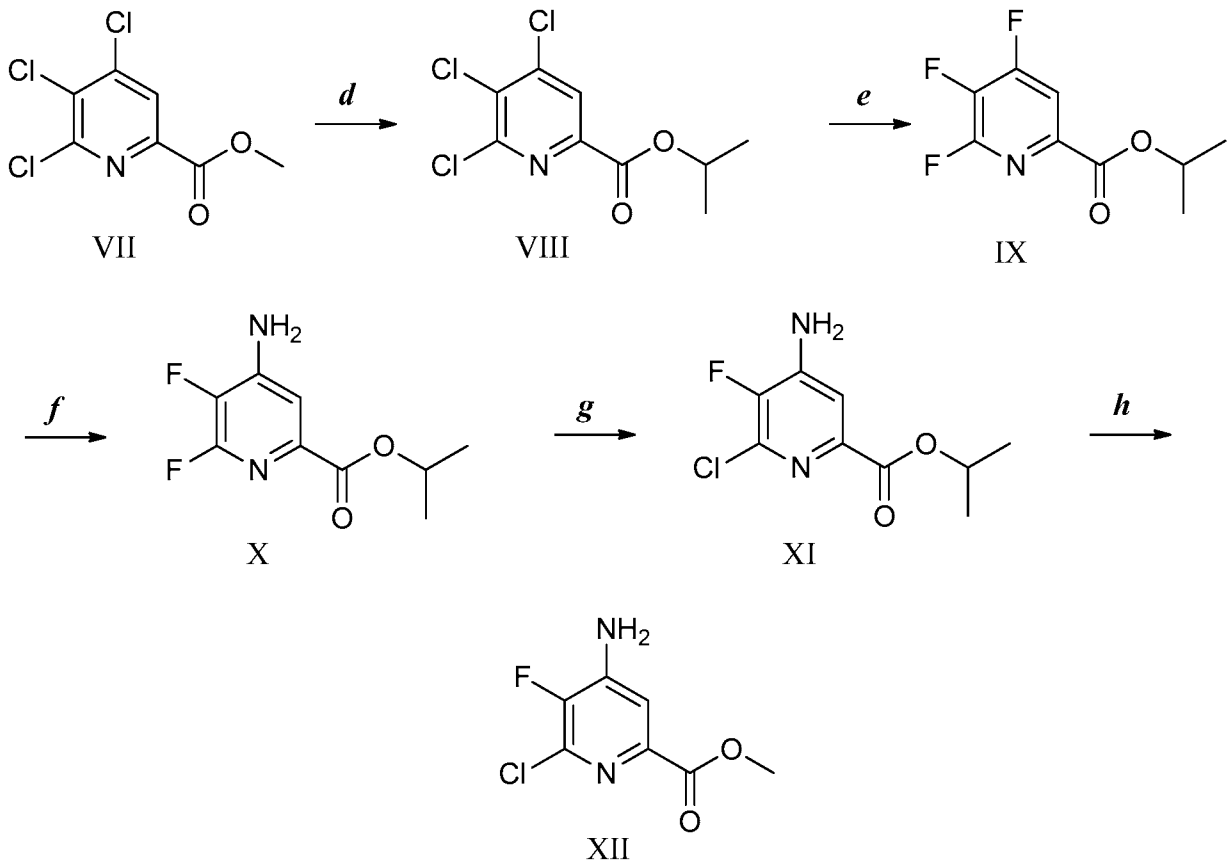
[00112] Alternatively, 4-amino-6-chloropicolinates of Formula (II) can be transformed to the 5-iodo-4-amino-6-chloropicolinates of Formula (V) via a reaction with iodinating reagents, such as periodic acid and iodine, in a polar, protic solvent, such as methyl alcohol (reaction b_2). Stille coupling of the 5-iodo-4-amino-6-chloropicolinates of Formula (V) with a stannane, such as tetramethyltin, in the presence of a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a non-reactive solvent, such as 1,2-dichloroethane, at a temperature, such as 120-130 °C, *e.g.*, in a microwave reactor, provides 5-(substituted)-4-amino-6-chloropicolinates of Formula (VI), wherein Z_1 is alkyl, alkenyl, alkynyl, haloalkenyl and alkylthio (reaction c_2). The 5-substituted-4-amino-6-chloropicolinates of Formula (VI) can be converted to the 5-substituted-4-amino-6-substituted-picolinates of Formula (I-A), wherein Ar is as herein defined, via Suzuki coupling with a boronic acid or ester, in the presence of a base, such as potassium fluoride, and a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a polar, protic solvent mixture, such as acetonitrile-water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_2).

Scheme I



[00113] As depicted in Scheme II, the 4,5,6-trichloropicolinate of Formula (VII) can be converted to the corresponding isopropyl ester of Formula (VIII), via a reaction with isopropyl alcohol and concentrated sulfuric acid, *e.g.*, at reflux temperature under Dean-Stark conditions (reaction *d*). The isopropyl ester of Formula (VIII) can be reacted with a fluoride ion source, such as cesium fluoride, in a polar, aprotic solvent, such as dimethyl sulfoxide (DMSO), at a temperature, such as 80 °C, under Dean-Stark conditions, to yield the isopropyl 4,5,6-trifluoropicolinate of Formula (IX) (reaction *e*). The isopropyl 4,5,6-trifluoropicolinate of Formula (IX) can be aminated with a nitrogen source, such as ammonia, in a polar, aprotic solvent, such as DMSO, to produce a 4-amino-5,6-difluoropicolinate of Formula (X) (reaction *f*). The fluoro substituent in the 6-position of the 4-amino-5,6-difluoropicolinate of Formula (X) can be exchanged with a chloro substituent by treatment with a chloride source, such as hydrogen chloride, *e.g.*, in dioxane, in a Parr reactor, at a temperature, such as 100 °C, to produce a 4-amino-5-fluoro-6-chloro-picolinate of Formula (XI) (reaction *g*). The 4-amino-5-fluoro-6-chloropicolinate of Formula (XI) can be transesterified to the corresponding methyl ester of Formula (XII) by reaction with titanium(IV) isopropoxide in methyl alcohol at reflux temperature (reaction *h*).

Scheme II



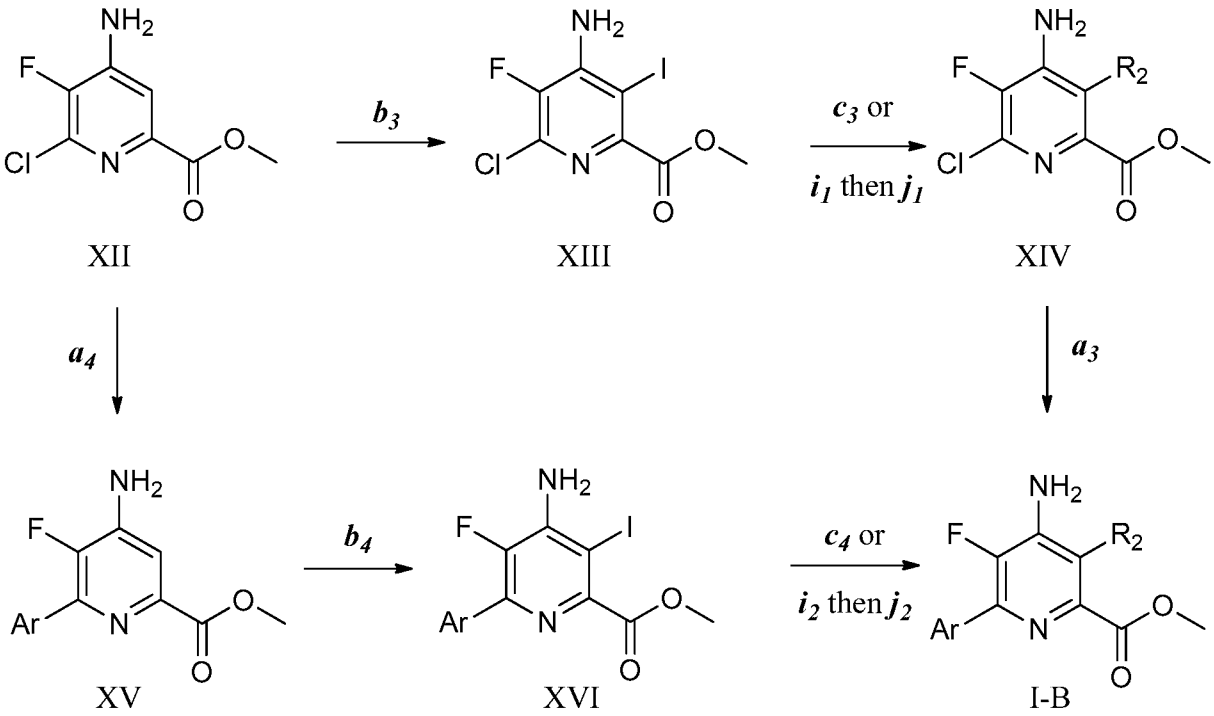
[00114] As depicted in Scheme III, the 4-amino-5-fluoro-6-chloropicolinate of Formula (XII) can be transformed into the 3-iodo-4-amino-5-fluoro-6-chloropicolinate of Formula (XIII) via reaction with iodinating reagents, such as periodic acid and iodine, in a polar, protic solvent, such as methyl alcohol (reaction b_3). Stille coupling of the 3-iodo-4-amino-5-fluoro-6-chloropicolinates of Formula (XIII) with a stannane, such as tributyl(vinyl)stannane, in the presence of a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a non-reactive solvent, such as 1,2-dichloroethane, at a temperature, such as 120-130 °C, *e.g.*, in a microwave reactor, provides 3-(substituted)-4-amino-5-fluoro-6-chloropicolinates of Formula (XIV), wherein R^2 is alkyl, alkenyl, alkynyl, haloalkenyl and alkylthio (reaction c_3). Alternatively, the 3-iodo-4-amino-5-fluoro-6-chloropicolinates of Formula (XIII) can be treated with cesium carbonate and a catalytic amount of both copper(I) iodide and

1,10-phenanthroline in the presence of a polar, protic solvent, such as methyl alcohol, at a temperature, such as 65 °C, to provide a 3-(substituted)-4-amino-5-fluoro-6-chloropicolinic acids of Formula (XIV), wherein R^2 is alkoxy or haloalkoxy (reaction i_1), which can be esterified to the methyl esters, *e.g.*, by treatment with hydrogen chloride (gas) and methyl alcohol at 50 °C (reaction j_1). The 3-(substituted)-4-amino-5-fluoro-6-chloropicolinates of Formula (XIV) can be converted to the 4-amino-6-substituted-picolinates of Formula (I-B), wherein Ar is as herein defined, via Suzuki coupling with a boronic acid or ester, in the presence of a base, such as potassium fluoride, and a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a polar, protic solvent mixture, such as acetonitrile-water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_3).

[00115] Alternatively, the 4-amino-5-fluoro-6-chloropicolinates of Formula (XII) can be converted to the 4-amino-5-fluoro-6-substituted-picolinates of Formula (XV), wherein Ar is as herein defined, via Suzuki coupling with a boronic acid or ester, in the presence of a base, such as potassium fluoride, and a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a polar, protic solvent mixture, such as acetonitrile-water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_4). The 4-amino-5-fluoro-6-substituted-picolinates of Formula (XV) can be transformed into the 3-iodo-4-amino-5-fluoro-6-substituted-picolinates of Formula (XVI) via reaction with iodinating reagents, such as periodic acid and iodine, in a polar, protic solvent, such as methyl alcohol (reaction b_4). Stille coupling of the 3-iodo-4-amino-5-fluoro-6-substituted-picolinates of Formula (XVI) with a stannane, such as tributyl(vinyl)stannane, in the presence of a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a non-reactive solvent, such as 1,2-dichloroethane, at a temperature, such as 120–130 °C, *e.g.*, in a microwave reactor, provides 3-(substituted)-4-amino-5-fluoro-6-substituted-picolinates of Formula (I-B), wherein R^2 is alkyl, alkenyl, alkynyl, haloalkenyl and alkylthio (reaction c_4). Alternatively, the 3-iodo-4-amino-5-fluoro-6-substituted-picolinates of Formula (XVI) can be treated with cesium carbonate and a catalytic amount of both copper(I) iodide and 1,10-phenanthroline in the presence of a polar, protic solvent, such as methyl alcohol, at a temperature, such as 65 °C, to provide a 3-(substituted)-4-amino-5-fluoro-6-substituted-picolinic acids of Formula (I-B), wherein R^2 is alkoxy

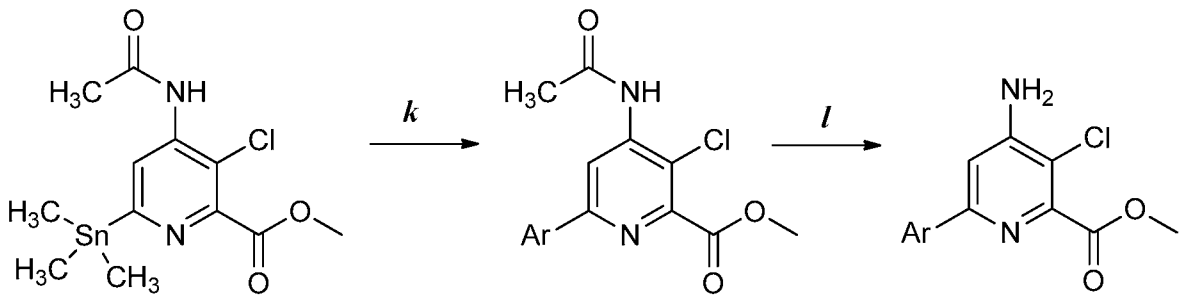
or haloalkoxy (reaction i_2), which can be esterified to the methyl esters, *e.g.*, by treatment with hydrogen chloride (gas) and methyl alcohol, at a temperature, such as 50 °C (reaction j_2).

Scheme III



[00116] As depicted in Scheme IV, the 4-acetamido-6-(trimethylstannyl)picolinates of Formula (XVII) can be converted to the 4-acetamido-6-substituted-picolinates of Formula (XVIII), wherein Ar is as herein defined, via Stille coupling with an aryl bromide or aryl iodide, in the presence of a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a solvent, such as 1,2-dichloroethane, *e.g.*, at reflux temperature (reaction *k*). 4-Amino-6-substituted-picolinates of Formula (I-C), wherein Ar is as herein defined, can be synthesized from 4-acetamido-6-substituted-picolinates of Formula (XVIII) via standard deprotecting methods, such as hydrochloric acid gas in methanol (reaction *l*).

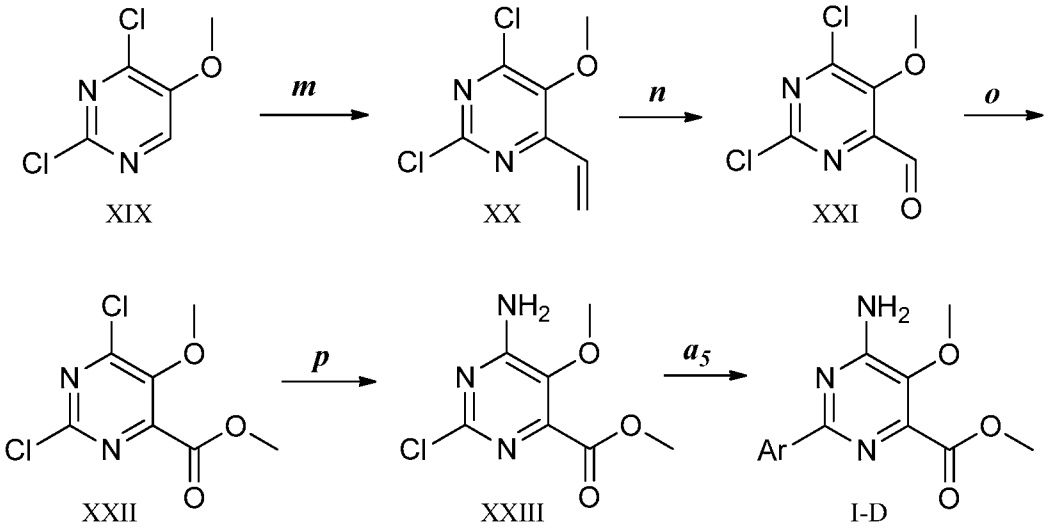
Scheme IV



XVII XVIII I-C

[00117] As depicted in Scheme V, 2,4-dichloro-5-methoxypyrimidine (XIX) can be transformed into 2,4-dichloro-5-methoxy-6-vinylpyrimidine (XX) via a reaction with vinyl magnesium bromide, in a polar, aprotic solvent, such as tetrahydrofuran (reaction *m*). 2,4-Dichloro-5-methoxy-6-vinylpyrimidine (XX) can be transformed into 2,6-dichloro-5-methoxypyrimidine-4-carboxaldehyde (XXI) via treatment with ozone, *e.g.*, in a dichloromethane:methanol solvent mixture (reaction *n*). 2,6-Dichloro-5-methoxypyrimidine-4-carboxaldehyde (XXI) can be transformed into methyl 2,6-dichloro-5-methoxypyrimidine-4-carboxylate (XXII) via treatment with bromine, *e.g.*, in a methanol:water solvent mixture (reaction *o*). Methyl 2,6-dichloro-5-methoxypyrimidine-4-carboxylate (XXII) can be transformed into methyl 6-amino-2-chloro-5-methoxypyrimidine-4-carboxylate (XXIII) via treatment with ammonia (*e.g.*, 2 equivalents) in a solvent, such as DMSO (reaction *p*). Finally, 6-amino-2-substituted-5-methoxypyrimidine-4-carboxylates of Formula (I-D), wherein Ar is as herein defined, can be prepared via Suzuki coupling with a boronic acid or ester, with 6-amino-2-chloro-5-methoxypyrimidine-4-carboxylate (XXIII), in the presence of a base, such as potassium fluoride, and a catalyst, such as bis(triphenylphosphine)-palladium(II) dichloride, in a polar, protic solvent mixture, such as acetonitrile–water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_5).

Scheme V



[00118] The compounds of Formulae I-A, I-B, I-C, and I-D obtained by any of these processes, can be recovered by conventional means and purified by standard procedures, such as by recrystallization or chromatography. The compounds of Formula (I) can be prepared from compounds of Formulae I-A, I-B, I-C, and I-D using standard methods well known in the art.

COMPOSITIONS AND METHODS

[00119] In some embodiments, the compounds provided herein are employed in mixtures containing a herbicidally effective amount of the compound along with at least one agriculturally acceptable adjuvant or carrier. Exemplary adjuvants or carriers include those that are not phytotoxic or significantly phytotoxic to valuable crops, *e.g.*, at the concentrations employed in applying the compositions for selective weed control in the presence of crops, and/or do not react or significantly react chemically with the compounds provided herein or other composition ingredients. Such mixtures can be designed for application directly to weeds or their locus or can be concentrates or formulations that are \diluted with additional carriers and adjuvants before application. They can be solids, such as, for example, dusts, granules, water dispersible granules, or wettable powders, or liquids, such as, and for example,

emulsifiable concentrates, solutions, emulsions or suspensions. They can also be provided as a pre-mix or tank-mixed.

[00120] Suitable agricultural adjuvants and carriers that are useful in preparing the herbicidal mixtures of the disclosure are well known to those skilled in the art. Some of these adjuvants include, but are not limited to, crop oil concentrate (mineral oil (85%) + emulsifiers (15%)); nonylphenol ethoxylate; benzylcocoalkyldimethyl quaternary ammonium salt; blend of petroleum hydrocarbon, alkyl esters, organic acid, and anionic surfactant; C_9-C_{11} alkylpolyglycoside; phosphated alcohol ethoxylate; natural primary alcohol (C_{12}-C_{16}) ethoxylate; di-*sec*-butylphenol EO-PO block copolymer; polysiloxane-methyl cap; nonylphenol ethoxylate + urea ammonium nitrate; emulsified methylated seed oil; tridecyl alcohol (synthetic) ethoxylate (8EO); tallow amine ethoxylate (15 EO); PEG(400) dioleate-99.

[00121] Liquid carriers that can be employed include water and organic solvents. The organic solvents typically used include, but are not limited to, petroleum fractions or hydrocarbons such as mineral oil, aromatic solvents, paraffinic oils, and the like; vegetable oils such as soybean oil, rapeseed oil, olive oil, castor oil, sunflower seed oil, coconut oil, corn oil, cottonseed oil, linseed oil, palm oil, peanut oil, safflower oil, sesame oil, tung oil and the like; esters of the above vegetable oils; esters of monoalcohols or dihydric, trihydric, or other lower polyalcohols (4-6 hydroxy containing), such as 2-ethylhexyl stearate, *n*-butyl oleate, isopropyl myristate, propylene glycol dioleate, di-octyl succinate, di-butyl adipate, di-octyl phthalate and the like; esters of mono-, di- and poly-carboxylic acids and the like. Specific organic solvents include toluene, xylene, petroleum naphtha, crop oil, acetone, methyl ethyl ketone, cyclohexanone, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, propylene glycol monomethyl ether and diethylene glycol monomethyl ether, methyl alcohol, ethyl alcohol, isopropyl alcohol, amyl alcohol, ethylene glycol, propylene glycol, glycerine, *N*-methyl-2-pyrrolidinone, *N,N*-dimethyl alkylamides, dimethyl sulfoxide, liquid fertilizers, and the like. In some embodiments, water is the carrier for the dilution of concentrates.

[00122] Suitable solid carriers include talc, pyrophyllite clay, silica, attapulgus clay, kaolin clay, kieselguhr, chalk, diatomaceous earth, lime, calcium carbonate,

bentonite clay, Fuller's earth, cottonseed hulls, wheat flour, soybean flour, pumice, wood flour, walnut shell flour, lignin, and the like.

[00123] In some embodiments, one or more surface-active agents are utilized in the compositions of the present disclosure. Such surface-active agents are, in some embodiments, employed in both solid and liquid compositions, *e.g.*, those designed to be diluted with carrier before application. The surface-active agents can be anionic, cationic or nonionic in character and can be employed as emulsifying agents, wetting agents, suspending agents, or for other purposes. Surfactants conventionally used in the art of formulation and which may also be used in the present formulations are described, *inter alia*, in *McCutcheon's Detergents and Emulsifiers Annual*, MC Publishing Corp., Ridgewood, New Jersey, 1998, and in *Encyclopedia of Surfactants*, Vol. I-III, Chemical Publishing Co., New York, 1980-81. Typical surface-active agents include salts of alkyl sulfates, such as diethanolammonium lauryl sulfate; alkylarylsulfonate salts, such as calcium dodecylbenzenesulfonate; alkylphenol-alkylene oxide addition products, such as nonylphenol-C_{18} ethoxylate; alcohol-alkylene oxide addition products, such as tridecyl alcohol-C_{16} ethoxylate; soaps, such as sodium stearate; alkylnaphthalene-sulfonate salts, such as sodium dibutylnaphthalenesulfonate; dialkyl esters of sulfosuccinate salts, such as sodium di(2-ethylhexyl) sulfosuccinate; sorbitol esters, such as sorbitol oleate; quaternary amines, such as lauryl trimethylammonium chloride; polyethylene glycol esters of fatty acids, such as polyethylene glycol stearate; block copolymers of ethylene oxide and propylene oxide; salts of mono- and dialkyl phosphate esters; vegetable or seed oils such as soybean oil, rapeseed/canola oil, olive oil, castor oil, sunflower seed oil, coconut oil, corn oil, cottonseed oil, linseed oil, palm oil, peanut oil, safflower oil, sesame oil, tung oil and the like; and esters of the above vegetable oils, *e.g.*, methyl esters.

[00124] Oftentimes, some of these materials, such as vegetable or seed oils and their esters, can be used interchangeably as an agricultural adjuvant, as a liquid carrier or as a surface active agent.

[00125] Other adjuvants commonly used in agricultural compositions include compatibilizing agents, antifoam agents, sequestering agents, neutralizing agents and buffers, corrosion inhibitors, dyes, odorants, spreading agents, penetration aids,

sticking agents, dispersing agents, thickening agents, freezing point depressants, antimicrobial agents, and the like. The compositions may also contain other compatible components, for example, other herbicides, plant growth regulants, fungicides, insecticides, and the like and can be formulated with liquid fertilizers or solid, particulate fertilizer carriers such as ammonium nitrate, urea and the like.

[00126] The concentration of the active ingredients in the herbicidal compositions of this disclosure is generally from about 0.001 to about 98 percent by weight. Concentrations from about 0.01 to about 90 percent by weight are often employed. In compositions designed to be employed as concentrates, the active ingredient is generally present in a concentration from about 5 to about 98 weight percent, preferably about 10 to about 90 weight percent. Such compositions are typically diluted with an inert carrier, such as water, before application. The diluted compositions usually applied to weeds or the locus of weeds generally contain about 0.0001 to about 1 weight percent active ingredient and preferably contain about 0.001 to about 0.05 weight percent.

[00127] The present compositions can be applied to weeds or their locus by the use of conventional ground or aerial dusters, sprayers, and granule applicators, by addition to irrigation or flood water, and by other conventional means known to those skilled in the art.

[00128] In some embodiments, the compounds and compositions described herein are applied as a post-emergence application, pre-emergence application, in-water application to flooded paddy rice or water bodies (*e.g.*, ponds, lakes and streams), or burn-down application.

[00129] In some embodiments, the compounds and compositions provided herein are utilized to control weeds in crops, including but not limited to citrus, apple, rubber, oil, palm, forestry, direct-seeded, water-seeded and transplanted rice, wheat, barley, oats, rye, sorghum, corn/maize, pastures, grasslands, rangelands, fallowland, turf, tree and vine orchards, aquatics, or row-crops, as well as non-crop settings, *e.g.*, industrial vegetation management (IVM) or rights-of-way. In some embodiments, the compounds and compositions are used to control woody plants, broadleaf and grass weeds, or sedges.

[00130] In some embodiments, the compounds and compositions provided herein are utilized to control undesirable vegetation in rice. In certain embodiments, the undesirable vegetation is *Brachiaria platyphylla* (Groseb.) Nash (broadleaf signalgrass, BRAPP), *Digitaria sanguinalis* (L.) Scop. (large crabgrass, DIGSA), *Echinochloa crus-galli* (L.) P. Beauv. (barnyardgrass, ECHCG), *Echinochloa colonum* (L.) LINK (junglerice, ECHCO), *Echinochloa oryzoides* (Ard.) Fritsch (early watergrass, ECHOR), *Echinochloa oryzicola* (Vasinger) Vasinger (late watergrass, ECHPH), *Ischaemum rugosum* Salisb. (saramollagrass, ISCRU), *Leptochloa chinensis* (L.) Nees (Chinese sprangletop, LEFCH), *Leptochloa fascicularis* (Lam.) Gray (bearded sprangletop, LEFFA), *Leptochloa panicoides* (Presl.) Hitchc. (Amazon sprangletop, LEFPA), *Panicum dichotomiflorum* (L.) Michx. (fall panicum, PANDI), *Paspalum dilatatum* Poir. (dallisgrass, PASDI), *Cyperus difformis* L. (smallflower flatsedge, CYPDI), *Cyperus esculentus* L. (yellow nutsedge, CYPES), *Cyperus iria* L. (rice flatsedge, CYPIR), *Cyperus rotundus* L. (purple nutsedge, CYPRO), *Eleocharis* species (ELOSS), *Fimbristylis miliacea* (L.) Vahl (globe fringerush, FIMMI), *Schoenoplectus juncoides* Roxb. (Japanese bulrush, SPCJU), *Schoenoplectus maritimus* L. (sea clubrush, SCPMA), *Schoenoplectus mucronatus* L. (ricefield bulrush, SCPMU), *Aeschynomene* species, (jointvetch, AESSS), *Alternanthera philoxeroides* (Mart.) Griseb. (alligatorweed, ALRPH), *Alisma plantago-aquatica* L. (common waterplantain, ALSPA), *Amaranthus* species, (pigweeds and amaranths, AMASS), *Ammannia coccinea* Rottb. (redstem, AMMCO), *Eclipta alba* (L.) Hassk. (American false daisy, ECLAL), *Heteranthera limosa* (SW.) Willd./Vahl (ducksalad, HETLI), *Heteranthera reniformis* R. & P. (roundleaf mudplantain, HETRE), *Ipomoea hederacea* (L.) Jacq. (ivyleaf morningglory, IPOHE), *Lindernia dubia* (L.) Pennell (low false pimpernel, LIDDU), *Monochoria korsakowii* Regel & Maack (monochoria, MOOKA), *Monochoria vaginalis* (Burm. F.) C. Presl ex Kuhth, (monochoria, MOOVA), *Murdannia nudiflora* (L.) Brenan (doveweed, MUDNU), *Polygonum pensylvanicum* L., (Pennsylvania smartweed, POLPY), *Polygonum persicaria* L. (ladysthumb, POLPE), *Polygonum hydropiperoides* Michx. (POLHP, mild smartweed), *Rotala indica* (Willd.) Koehne (Indian toothcup, ROTIN), *Sagittaria* species, (arrowhead, SAGSS), *Sesbania*

exaltata (Raf.) Cory/Rydb. Ex Hill (hemp sesbania, SEBEX), or *Sphenoclea zeylanica* Gaertn. (gooseweed, SPDZE).

[00131] In some embodiments, the compounds and compositions provided herein are utilized to control undesirable vegetation in cereals. In certain embodiments, the undesirable vegetation is *Alopecurus myosuroides* Huds. (blackgrass, ALOMY), *Apera spica-venti* (L.) Beauv. (windgrass, APESV), *Avena fatua* L. (wild oat, AVEFA), *Bromus tectorum* L. (downy brome, BROTE), *Lolium multiflorum* Lam. (Italian ryegrass, LOLMU), *Phalaris minor* Retz. (littleseed canarygrass, PHAMI), *Poa annua* L. (annual bluegrass, POANN), *Setaria pumila* (Poir.) Roemer & J.A. Schultes (yellow foxtail, SETLU), *Setaria viridis* (L.) Beauv. (green foxtail, SETVI), *Cirsium arvense* (L.) Scop. (Canada thistle, CIRAR*), Galium aparine* L. (catchweed bedstraw, GALAP), *Kochia scoparia* (L.) Schrad. (kochia, KCHSC), *Lamium purpureum* L. (purple deadnettle , LAMPU), *Matricaria recutita* L. (wild chamomile, MATCH), *Matricaria matricarioides* (Less.) Porter (pineappleweed, MATMT), *Papaver rhoeas* L. (common poppy, PAPRH), *Polygonum convolvulus* L. (wild buckwheat, POLCO), *Salsola tragus* L. (Russian thistle, SASKR), *Stellaria media* (L.) Vill. (common chickweed, STEME), *Veronica persica* Poir. (Persian speedwell, VERPE), *Viola arvensis* Murr. (field violet, VIOAR), or *Viola tricolor* L. (wild violet, VIOTR).

[00132] In some embodiments, the compounds and compostions provided herein are utilized to control undesirable vegetation in range and pasture. In certain embodiments, the undesirable vegetation is *Ambrosia artemisiifolia* L. (common ragweed, AMBEL), *Cassia obtusifolia* (sickle pod, CASOB), *Centaurea maculosa* auct. non Lam. (spotted knapweed, CENMA), *Cirsium arvense* (L.) Scop. (Canada thistle, CIRAR), *Convolvulus arvensis* L. (field bindweed, CONAR), *Euphorbia esula* L. (leafy spurge, EPHES), *Lactuca serriola* L./Torn. (prickly lettuce, LACSE), *Plantago lanceolata* L. (buckhorn plantain, PLALA), *Rumex obtusifolius* L. (broadleaf dock, RUMOB), *Sida spinosa* L. (prickly sida, SIDSP), *Sinapis arvensis* L. (wild mustard, SINAR), *Sonchus arvensis* L. (perennial sowthistle, SONAR), *Solidago* species (goldenrod, SOOSS), *Taraxacum officinale* G.H. Weber ex Wiggers (dandelion, TAROF), *Trifolium repens* L. (white clover, TRFRE), or *Urtica dioica* L. (common nettle, URTDI).

[00133] In some embodiments, the compounds and compositions provided herein are utilized to control undesirable vegetation found in row crops. In certain embodiments, the undesirable vegetation is *Alopecurus myosuroides* Huds. (blackgrass, ALOMY), *Avena fatua* L. (wild oat, AVEFA), *Brachiaria platyphylla* (Groseb.) Nash (broadleaf signalgrass, BRAPP), *Digitaria sanguinalis* (L.) Scop. (large crabgrass, DIGSA), *Echinochloa crus-galli* (L.) P. Beauv. (barnyardgrass, ECHCG), *Echinochloa colonum* (L.) Link (junglerice, ECHCO), *Lolium multiflorum* Lam. (Italian ryegrass, LOLMU), *Panicum dichotomiflorum* Michx. (fall panicum, PANDI), *Panicum miliaceum* L. (wild-proso millet, PANMI), *Setaria faberi* Herrm. (giant foxtail, SETFA), *Setaria viridis* (L.) Beauv. (green foxtail, SETVI), *Sorghum halepense* (L.) Pers. (Johnsongrass, SORHA), *Sorghum bicolor* (L.) Moench ssp. *Arundinaceum* (shattercane, SORVU), *Cyperus esculentus* L. (yellow nutsedge, CYPES), *Cyperus rotundus* L. (purple nutsedge, CYPRO), *Abutilon theophrasti* Medik. (velvetleaf, ABUTH), *Amaranthus* species (pigweeds and amaranths, AMASS), *Ambrosia artemisiifolia* L. (common ragweed, AMBEL), *Ambrosia psilostachya* DC. (western ragweed, AMBPS), *Ambrosia trifida* L. (giant ragweed, AMBTR), *Asclepias syriaca* L. (common milkweed, ASCSY), *Chenopodium album* L. (common lambsquarters, CHEAL), *Cirsium arvense* (L.) Scop. (Canada thistle, CIRAR), *Commelina benghalensis* L. (tropical spiderwort, COMBE), *Datura stramonium* L. (jimsonweed, DATST), *Daucus carota* L. (wild carrot, DAUCA), *Euphorbia heterophylla* L. (wild poinsettia, EPHHL), *Erigeron bonariensis* L. (hairy fleabane, ERIBO), *Erigeron canadensis* L. (Canadian fleabane, ERICA), *Helianthus annuus* L. (common sunflower, HELAN), *Jacquemontia tamnifolia* (L.) Griseb. (smallflower morningglory, IAQTA), *Ipomoea hederacea* (L.) Jacq. (ivyleaf morningglory, IPOHE), *Ipomoea lacunosa* L. (white morningglory, IPOLA), *Lactuca serriola* L./Torn. (prickly lettuce, LACSE), *Portulaca oleracea* L. (common purslane, POROL), *Sida spinosa* L. (prickly sida, SIDSP), *Sinapis arvensis* L. (wild mustard, SINAR), *Solanum ptychanthum* Dunal (eastern black nightshade, SOLPT), or *Xanthium strumarium* L. (common cocklebur, XANST).

[00134] In some embodiments, application rates of about 1 to about 4,000 grams/hectare (g/ha) are employed in post-emergence operations. In some

embodiments, rates of about 1 to about 4,000 g/ha are employed in pre-emergence operations.

[00135] In some embodiments, the compounds, compositions, and methods provided herein are used in conjunction with one or more other herbicides to control a wider variety of undesirable vegetation. When used in conjunction with other herbicides, the presently claimed compounds can be formulated with the other herbicide or herbicides, tank-mixed with the other herbicide or herbicides or applied sequentially with the other herbicide or herbicides. Some of the herbicides that can be employed in conjunction with the compounds of the present disclosure include: 4-CPA, 4-CPB, 4-CPP, 2,4-D, 2,4-D choline salt, 2,4-D esters and amines, 2,4-DB, 3,4-DA, 3,4-DB, 2,4-DEB, 2,4-DEP, 3,4-DP, 2,3,6-TBA, 2,4,5-T, 2,4,5-TB, acetochlor, acifluorfen, aclonifen, acrolein, alachlor, allidochlor, alloxydim, allyl alcohol, alorac, ametridione, ametryn, amibuzin, amicarbazone, amidosulfuron, aminocyclopyrachlor, aminopyralid, amiprofos-methyl, amitrole, ammonium sulfamate, anilofos, anisuron, asulam, atraton, atrazine, azafenidin, azimsulfuron, aziprotryne, barban, BCPC, beflubutamid, benazolin, bencarbazone, benfluralin, benfuresate, bensulfuron-methyl, bensulide, benthiocarb, bentazon-sodium, benzadox, benzfendizone, benzipram, benzobicyclon, benzofenap, benzofluor, benzoylprop, benzthiazuron, bicyclopyrone, bifenox, bilanafos, bispyribac-sodium, borax, bromacil, bromobonil, bromobutide, bromofenoxim, bromoxynil, brompyrazon, butachlor, butafenacil, butamifos, butenachlor, buthidazole, buthiuron, butralin, butroxydim, buturon, butylate, cacodylic acid, cafenstrole, calcium chlorate, calcium cyanamide, cambendichlor, carbasulam, carbetamide, carboxazole, chlorprocarb, carfentrazone-ethyl, CDEA, CEPC, chlomethoxyfen, chloramben, chloranocryl, chlorazifop, chlorazine, chlorbromuron, chlorbufam, chloreturon, chlorfenac, chlorfenprop, chlorflurazole, chlorflurenol, chloridazon, chlorimuron, chlornitrofen, chloropon, chlorotoluron, chloroxuron, chloroxynil, chlorpropham, chlorsulfuron, chlorthal, chlorthiamid, cinidon-ethyl, cinmethylin, cinosulfuron, cisanilide, clethodim, cliodinate, clodinafop-propargyl, clofop, clomazone, clomeprop, cloprop, cloproxydim, clopyralid, cloransulam-methyl, CMA, copper sulfate, CPMF, CPPC, credazine, cresol, cumyluron, cyanatryn, cyanazine, cycloate, cyclosulfamuron, cycloxydim, cycluron, cyhalofop-butyl, cyperquat, cyprazine, cyprazole, cypromid, daimuron, dalapon,

dazomet, delachlor, desmedipham, desmetryn, di-allate, dicamba, dichlobenil, dichloralurea, dichlormate, dichlorprop, dichlorprop-P, diclofop, diclosulam, diethamquat, diethatyl, difenopenten, difenoxuron, difenzoquat, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimexano, dimidazon, dinitramine, dinofenate, dinoprop, dinosam, dinoseb, dinoterb, diphenamid, dipropetryn, diquat, disul, dithiopyr, diuron, DMPA, DNOC, DSMA, EBEP, eglinazine, endothal, epronaz, EPTC, erbon, esprocarb, ethalfluralin, ethbenzamide, ethametsulfuron, ethidimuron, ethiolate, ethobenzamid, etobenzamid, ethofumesate, ethoxyfen, ethoxysulfuron, etinofen, etnipromid, etobenzanid, EXD, fenasulam, fenoprop, fenoxaprop, fenoxaprop-P-ethyl, fenoxaprop-P-ethyl + isoxadifen-ethyl, fenoxasulfone, fenteracol, fenthiaprop, fentrazamide, fenuron, ferrous sulfate, flamprop, flamprop-M, flazasulfuron, florasulam, fluazifop, fluazifop-P-butyl, fluazolate, flucarbazone, flucetosulfuron, fluchloralin, flufenacet, flufenican, flufenpyr-ethyl, flumetsulam, flumezin, flumiclorac-pentyl, flumioxazin, flumipropyn, fluometuron, fluorodifen, fluoroglycofen, fluoromidine, fluoronitrofen, fluothiuron, flupoxam, flupropacil, flupropanate, flupyrsulfuron, fluridone, flurochloridone, fluroxypyr, flurtamone, fluthiacet, fomesafen, foramsulfuron, fosamine, furyloxyfen, glufosinate, glufosinate-ammonium, glyphosate, halosafen, halosulfuron-methyl, haloxydine, haloxyfop-methyl, haloxyfop-P-methyl, halauxifen-methyl, hexachloroacetone, hexaflurate, hexazinone, imazamethabenz, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, indanofan, indaziflam, iodobonil, iodomethane, iodosulfuron, iofensulfuron, ioxynil, ipazine, ipfencarbazone, iprymidam, isocarbamid, isocil, isomethiozin, isonoruron, isopolinate, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole, isoxaflutole, isoxapyrifop, karbutilate, ketospiradox, lactofen, lenacil, linuron, MAA, MAMA, MCPA esters and amines, MCPA-thioethyl, MCPB, mecoprop, mecoprop-P, medinoterb, mefenacet, mefluidide, mesoprazine, mesosulfuron, mesotrione, metam, metamifop, metamitron, metazachlor, metazosulfuron, metflurazon, methabenzthiazuron, methalpropalin, methazole, methiobencarb, methiozolin, methiuron, methometon, methoprotryne, methyl bromide, methyl isothiocyanate, methyldymron, metobenzuron, metobromuron, metolachlor, metosulam, metoxuron, metribuzin, metsulfuron,

molinate, monalide, monisouron, monochloroacetic acid, monolinuron, monuron, morfamquat, MSMA, naproanilide, napropamide, napropamide-M, naptalam, neburon, nicosulfuron, nipyraclofen, nitralin, nitrofen, nitrofluorfen, norflurazon, noruron, OCH, orbencarb, *ortho*-dichlorobenzene, orthosulfamuron, oryzalin, oxadiargyl, oxadiazon, oxapyrazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paraflufen-ethyl, parafluron, paraquat, pebulate, pelargonic acid, pendimethalin, penoxsulam, pentachlorophenol, pentanochlor, pentoxazone, perfluidone, pethoxamid, phenisopham, phenmedipham, phenmedipham-ethyl, phenobenzuron, phenylmercury acetate, picloram, picolinafen, pinoxaden, piperophos, potassium arsenite, potassium azide, potassium cyanate, pretilachlor, primisulfuron-methyl, procyazine, prodiamine, profluazol, profluralin, profoxydim, proglinazine, prohexadione-calcium, prometon, prometryn, propachlor, propanil, propaquizafop, propazine, propham, propisochlor, propoxycarbazone, propyrisulfuron, propyzamide, prosulfalin, prosulfocarb, prosulfuron, proxan, prynachlor, pydanon, pyraclonil, pyraflufen, pyrasulfotole, pyrazogyl, pyrazolynate, pyrazosulfuron-ethyl, pyrazoxyfen, pyribenzoxim, pyributicarb, pyriclor, pyridafol, pyridate, pyriftalid, pyriminobac, pyrimisulfan, pyrithiobac-methyl, pyroxasulfone, pyroxsulam, quinclorac, quinmerac, quinoclamine, quinonamid, quizalofop, quizalofop-P-ethyl, rhodethanil, rimsulfuron, saflufenacil, S-metolachlor, sebuthylazine, secbumeton, sethoxydim, siduron, simazine, simeton, simetryn, SMA, sodium arsenite, sodium azide, sodium chlorate, sulcotrione, sulfallate, sulfentrazone, sulfometuron, sulfosate, sulfosulfuron, sulfuric acid, sulglycapin, swep, TCA, tebutam, tebuthiuron, tefuryltrione, tembotrione, tepraloxydim, terbacil, terbucarb, terbuchlor, terbumeton, terbuthylazine, terbutryn, tetrafluron, thenylchlor, thiazafluron, thiazopyr, thidiazimin, thidiazuron, thiencarbazone-methyl, thifensulfuron, thiobencarb, tiocarbazil, tioclorim, topramezone, tralkoxydim, triafamone, tri-allate, triasulfuron, triaziflam, tribenuron, tricamba, triclopyr esters and amines, tridiphane, trietazine, trifloxysulfuron, trifluralin, triflusulfuron, trifop, trifopsime, trihydroxytriazine, trimeturon, tripropindan, tritac, tritosulfuron, vernolate and xylachlor.

[00136] The compounds and compositions of the present disclosure can generally be employed in combination with known herbicide safeners, such as benoxacor, benthiocarb, brassinolide, cloquintocet (*e.g.*, mexyl), cyometrinil, daimuron,

dichlormid, dicyclonon, dimepiperate, disulfoton, fenchlorazole-ethyl, fenclorim, flurazole, fluxofenim, furilazole, harpin proteins, isoxadifen-ethyl, mefenpyr-diethyl, MG 191, MON 4660, naphthalic anhydride (NA), oxabetrinil, R29148 and *N*-phenylsulfonylbenzoic acid amides, to enhance their selectivity.

[00137] The compounds, compositions, and methods described herein be used to control undesirable vegetation on glyphosate-tolerant-, glufosinate-tolerant-, dicamba-tolerant-, phenoxy auxin-tolerant-, pyridyloxy auxin-tolerant-, aryloxyphenoxypropionate-tolerant-, acetyl CoA carboxylase (ACCase) inhibitor-tolerant-, imidazolinone-tolerant-, acetolactate synthase (ALS) inhibitor-tolerant-, 4-hydroxyphenyl-pyruvate dioxygenase (HPPD) inhibitor -tolerant-, protoporphyrinogen oxidase (PPO) inhibitor -tolerant-, triazine-tolerant-, and bromoxynil-tolerant- crops (such as, but not limited to, soybean, cotton, canola/oilseed rape, rice, cereals, corn, turf, etc), for example, in conjunction with glyphosate, glufosinate, dicamba, phenoxy auxins, pyridyloxy auxins, aryloxyphenoxypropionates, ACCase inhibitors, imidazolinones, ALS inhibitors, HPPD inhibitors, PPO inhibitors, triazines, and bromoxynil. The compositions and methods may be used in controlling undesirable vegetation in crops possessing multiple or stacked traits conferring tolerance to multiple chemistries and/or inhibitors of multiple modes-of-action.

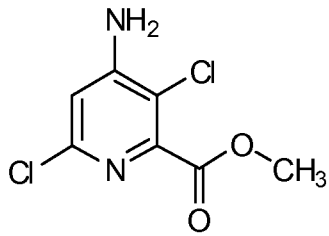
[00138] The compounds and compositions provided herein may also be employed to control herbicide resistant or tolerant weeds. Exemplary resistant or tolerant weeds include, but are not limited to, biotypes resistant or tolerant to acetolactate synthase (ALS) inhibitors, photosystem II inhibitors, acetyl CoA carboxylase (ACCase) inhibitors, synthetic auxins, photosystem I inhibitors, 5-enolpyruvylshikimate-3-phosphate (EPSP) synthase inhibitors, microtubule assembly inhibitors, lipid synthesis inhibitors, protoporphyrinogen oxidase (PPO) inhibitors, carotenoid biosynthesis inhibitors, very long chain fatty acid (VLCFA) inhibitors, phytoene desaturase (PDS) inhibitors, glutamine synthetase inhibitors, 4-hydroxyphenyl-pyruvate-dioxygenase (HPPD) inhibitors, mitosis inhibitors, cellulose biosynthesis inhibitors, herbicides with multiple modes-of-action such as quinclorac, and unclassified herbicides such as arylaminopropionic acids, difenzoquat, endothall, and organoarsenicals. Exemplary resistant or tolerant weeds include, but are not limited

to, biotypes with resistance or tolerance to multiple herbicides, multiple chemical classes, and multiple herbicide modes-of-action.

[00139] The described embodiments and following examples are for illustrative purposes and are not intended to limit the scope of the claims. Other modifications, uses, or combinations with respect to the compositions described herein will be apparent to a person of ordinary skill in the art without departing from the spirit and scope of the claimed subject matter.

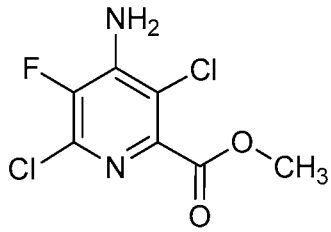
SYNTHESIS OF PRECURSORS

Preparation 1: Methyl 4-amino-3,6-dichloropicolinate (Head A)



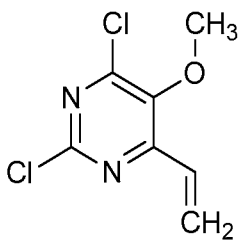
[00140] Prepared as described in Fields et al., WO 2001051468 A1.

Preparation 2: Methyl 4-amino-3,6-dichloro-5-fluoropicolinate (Head B)



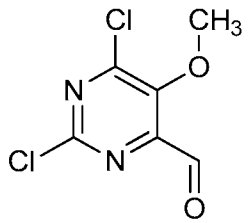
[00141] Prepared as described in Fields et al., *Tetrahedron Letters* **2010**, *51*, 79-81.

Preparation 3: 2,6-Dichloro-5-methoxy-4-vinyl pyrimidine



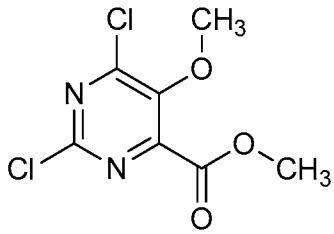
[00142] To a solution of commercially available 2,6-dichloro-5-methoxy pyrimidine (100 grams (g), 0.55 moles (mol)) in dry tetrahydrofuran (THF) was added, dropwise, 1molar (M) vinyl magnesium bromide in tetrahydrofuran solvent (124 g, 0.94 mol) over one hour (h) at room temperature. The mixture was then stirred for 4 h at room temperature. Excess Grignard reagent was quenched by addition of acetone (200 milliliters (mL)) while the temperature of the mixture was maintained at a temperature below 20 °C. Thereafter, 2,3-dichloro-5,6-dicyano-*p*-benzoquinone (DDQ; 151 g, 0.67 mol) was added at once and stirred overnight. A yellow solid precipitated out. The solid was filtered and washed with ethyl acetate (500 mL). The filtrate was concentrated under reduced pressure and the resulting crude compound was diluted with ethyl acetate (2 liters (L)). The resulting undissolved, dark, semi-solid was separated by filtration using ethyl acetate. It was further concentrated under reduced pressure to provide a crude compound, which was purified by column chromatography. The compound was eluted with 5% to 10% ethyl acetate in hexane mixture to provide the title compound (70 g, 60%): mp 60–61 °C; ^{1}H NMR ($CDCl_3$) δ 3.99 (s, 3H), 5.85 (d, 1H), 6.75 (d, 1H), 6.95 (dd, 1H).

Preparation 4: 2,6-Dichloro-5-methoxy-pyrimidine-4-carbaldehyde



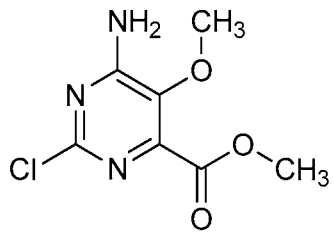
[00143] A solution of 2,6-dichloro-5-methoxy-4-vinyl pyrimidine (50 g, 0.24 mol) in dichloromethane:methanol (4:1, 2 L) was cooled to -78 °C. Ozone gas was bubbled therethrough for 5 h. The reaction was quenched with dimethyl sulfide (50 mL). The mixture was slowly warmed to room temperature and concentrated under reduced pressure at 40 °C to provide the title compound (50.5 g, 100%); high-performance liquid chromatorgraphy (HPLC; 85% acetonitrile buffered with 0.1% volume per volume (v/v) acetic acid).

Preparation 5: Methyl 2,6-dichloro-5-methoxy-pyrimidine-4-carboxylate



[00144] A solution of 2,6-dichloro-5-methoxy-pyrimidine-4-carbaldehyde (50 g, 0.24 mol) in methanol (1 L) and water (60 mL) was prepared. To the solution, sodium bicarbonate (400 g) was added. A 2 M solution of bromine (192 g, 1.2 mol) in methanol/water (600 mL, 9:1) was added dropwise to the pyrimidine solution over 45 minutes (min) at 0 °C while stirring the mixture. The stirring was continued at the same temperature for 1 h. Later, the mixture was stirred at room temperature for 4 h. While stirring, the reaction mixture was thereafter poured onto a mixture of crushed ice (2L), sodium bisulfite (50 g), and sodium chloride (200 g). The product was extracted with ethyl acetate (1L x 2), and the combined organic layer was dried over sodium sulfate and filtered. Evaporation of the solvent under reduced pressure produced a thick material, which solidified on long standing to afford the title compound (50.8 g, 87%); ESIMS *m/z* 238 ($[M+H]^+$).

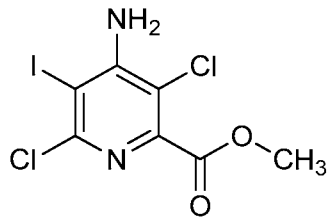
Preparation 6: Methyl 6-amino-2-chloro-5-methoxy-pyrimidine-4-carboxylate (Head C)



[00145] A solution of methyl 2,6-dichloro-5-methoxy-pyrimidine-4-carboxylate (25 g, 0.1 mol) and dimethyl sulfoxide (DMSO) was prepared. To this solution was added, at 0–5 °C, a solution of ammonia (2 eq) in DMSO. This mixture was stirred at the same 0–5 °C temperature for 10 to 15 min. Later, the mixture was diluted with ethyl acetate, and the resulting solid was filtered off. The ethyl acetate filtrate was washed with a brine solution and dried over sodium sulfate. Upon concentration, the crude product was obtained. The crude product was stirred in a

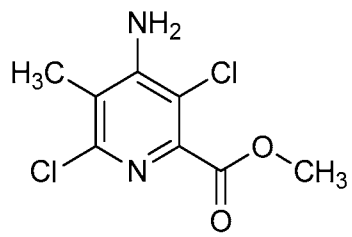
minimum amount of ethyl acetate and filtered to obtain the pure compound. Additional pure compound was obtained from the filtrate which, after concentration, was purified by flash chromatography. This produced the title compound (11 g, 50%): mp 158 °C; ^{1}H NMR (DMSO-d_6) δ 3.71 (s, 3H), 3.86 (s, 3H), 7.65 (br s, 1H), 8.01 (br s, 1H).

Preparation 7: Methyl 4-amino-3,6-dichloro-5-iodopicolinate



[00146] Methyl 4-amino-3,6-dichloropicolinate (10.0 g, 45.2 mmol), periodic acid (3.93 g, 17.2 millimoles (mmol)), and iodine (11.44 g, 45.1 mmol) were dissolved in methanol (30 mL) and stirred at reflux at 60 °C for 27 h. The reaction mixture was concentrated, diluted with diethyl ether, and washed twice with saturated aqueous sodium bisulfite. The aqueous layers were extracted once with diethyl ether, and the combined organic layers were dried over anhydrous sodium sulfate. The product was concentrated and purified by flash chromatography (silica gel, 0-50% ethyl acetate/hexanes) to provide the title compound as a pale yellow solid (12.44 g, 35.9 mmol, 79%): mp 130.0–131.5 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 5.56 (s, 2H), 3.97 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.80, 153.00, 152.75, 145.63, 112.12, 83.91, 53.21; EIMS *m/z* 346.

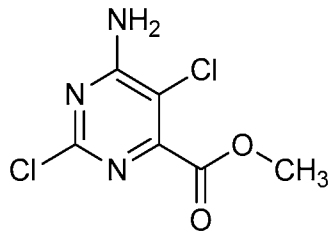
Preparation 8: Methyl 4-amino-3,6-dichloro-5-methylpicolinate (Head D)



[00147] A mixture of methyl 4-amino-3,6-dichloro-5-iodopicolinate (8.1 g, 23.4 mmol), tetramethylstannane (8.35 g, 46.7 mmol), and bis(triphenylphosphine)palladium(II) chloride (2.5 g, 3.5 mmol) in 1,2-dichloroethane

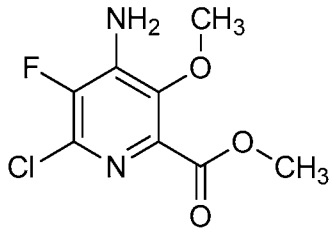
(40 mL) was irradiated in a Biotage Initiator microwave at 120 °C for 30 min, with external infrared (IR)-sensor temperature monitoring from the side. The reaction mixture was loaded directly onto a silica gel cartridge and purified by flash chromatography (silica gel, 0-50% ethyl acetate/hexanes) to provide the title compund as an orange solid (4.53 g, 83 %): mp 133–136 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.92 (s, 2H), 3.96 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.34, 150.24, 148.69, 143.94, 117.01, 114.60, 53.02, 14.40; ESIMS *m/z* 236 ($[M+H]^+$), 234 ($[M-H]^-$).

Preparation 9: Methyl 6-amino-2,5-dichloropyrimidine-4-carboxylate (Head E)



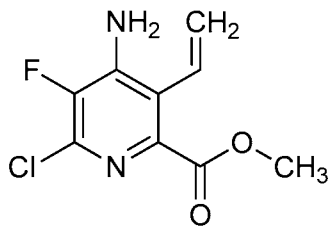
[00148] Prepared as described in Epp et al., WO 2007082076 A1.

Preparation 10: Methyl 4-amino-6-chloro-5-fluoro-3-methoxypicolinate (Head F)



Prepared as described in Epp et al., WO 2013003740 A1.

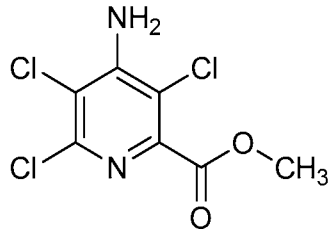
Preparation 11: Methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (Head G)



[00149] Methyl 4-amino-6-chloro-5-fluoro-3-iodopicolinate (7.05 g, 21.33 mmol, prepared as described in Epp et al., WO 2013003740 A1) and vinyltri-*n*-butyltin (7.52

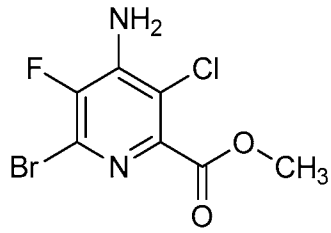
mL, 25.6 mmol) were suspended in dichloroethane (71.1 mL) and the mixture was degassed with Argon for 10 min. Bis(triphenylphosphine)palladium(II) chloride (1.497 g, 2.133 mmol) was then added, and the reaction mixture was stirred at 70 °C overnight (clear orange solution). The reaction was monitored by gas chromatography-mass spectrometry (GC-MS). After 20 h, the reaction mixture was concentrated, adsorbed onto Celite, and purified by column chromatography (silica gel (SiO_2), hexanes/ethyl acetate gradient) to afford the title compound (3.23 g, 65.7 %) as a light brown solid: mp 99–100°C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.87 (dd, J = 18.1, 11.6 Hz, 1H), 5.72 (dd, J = 11.5, 1.3 Hz, 1H), 5.52 (dd, J = 18.2, 1.3 Hz, 1H), 4.79 (s, 2H), 3.91 (s, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -138.79 (s); EIMS *m/z* 230.

Preparation 12: Methyl 4-amino-3,5,6-trichloropicolinate (Head H)



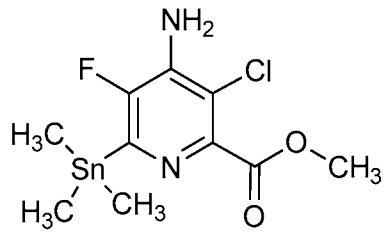
[00150] Prepared as described in Finkelstein et al., WO 2006062979 A1.

Preparation 13: Methyl 4-amino-6-bromo-3-chloro-5-fluoropicolinate (Head I)



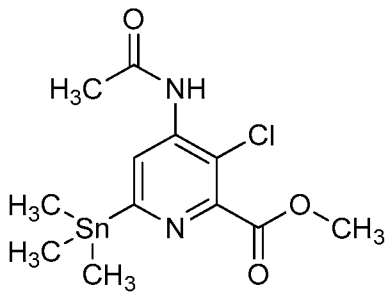
[00151] Prepared as described in Arndt et al., US 20120190857 A1.

Preparation 14: Methyl 4-amino-3-chloro-5-fluoro-6-(trimethylstannyl)picolinate (Head J)



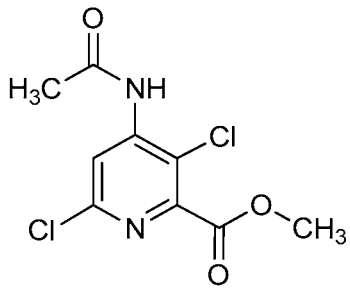
[00152] Methyl 4-amino-6-bromo-3-chloro-5-fluoropicolinate (500 mg, 1.8 mmol), 1,1,1,2,2,2-hexamethyldistannane (580 mg, 1.8 mmol) and bis(triphenylphosphine)-palladium(II) chloride (120 mg, 0.18 mmol) were combined in dry dioxane (6 mL), sparged with a stream of nitrogen for 10 min and then heated to 80 °C for 2 h. The cooled mixture was stirred with ethyl acetate (25 mL) and saturated NaCl (25 mL) for 15 min. The organic phase was separated, filtered through diatomaceous earth, dried (Na_2SO_4) and evaporated. The residue was taken up in ethyl acetate (4 mL), stirred and treated in portions with hexane (15 mL). The milky white solution was decanted from any solids produced, filtered through glass wool and evaporated to give the title compound as an off-white solid (660 mg, 100%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 4.63 (d, J = 29.1 Hz, 1H), 3.97 (s, 2H), 0.39 (s, 4H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -130.28; EIMS *m/z* 366.

Preparation 15: Methyl 4-acetamido-3-chloro-6-(trimethylstannyl)-picolinate (Head K)



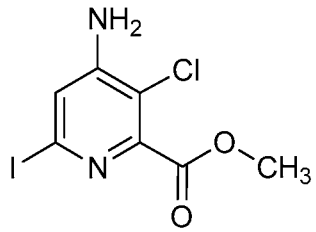
[00153] Prepared as described in Balko et al., WO 2003011853 A1.

Preparation 16: Methyl 4-acetamido-3,6-dichloropicolinate (Head L)



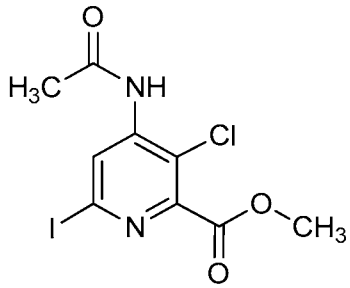
[00154] Prepared as described in Fields et al., WO 2001051468 A1.

Preparation 17: Methyl 4-amino-3-chloro-6-iodopicolinate (Head M)



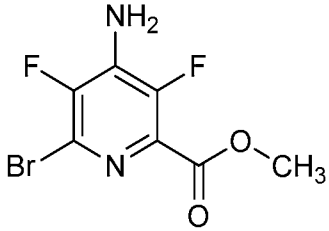
[00155] Prepared as described in Balko et al., WO 2007082098 A2.

Preparation 18: Methyl 4-acetamido-3-chloro-6-iodopicolinate (Head N)



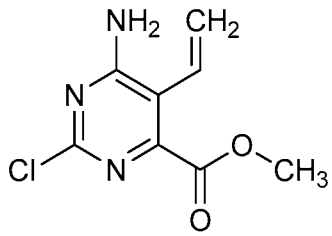
[00156] Prepared as described in Balko et al., WO 2007082098 A2.

Preparation 19: Methyl 4-amino-6-bromo-3,5-difluoropicolinate (Head O)



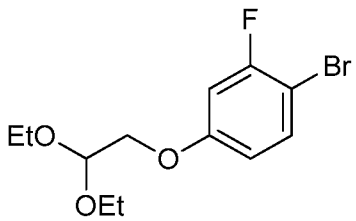
[00157] Prepared as described in Fields et al., WO 2001051468 A1.

Preparation 20: Methyl 6-amino-2-chloro-5-vinylpyrimidine-4-carboxylate (Head P)



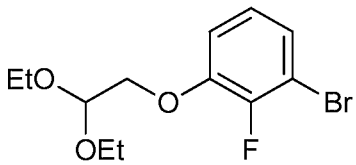
[00158] Prepared as described in Epp et al., US 20090088322.

Preparation 21: 1-Bromo-4-(2,2-diethoxyethoxy)-2-fluorobenzene



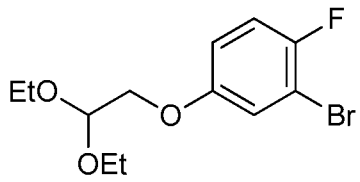
[00159] 4-Bromo-3-fluorophenol (7 g, 0.03665 mol) and potassium carbonate (7.6 g, 0.055 mol) were dissolved in *N,N*-dimethylformamide (9 mL). 2-Bromo-1,1-diethoxyethane (8.5 mL, 0.055 mol) was added and the reaction mixture was stirred and heated to 135 °C for 7 h. The solvent was removed after the reaction was completed. The residue was dissolved in ethyl acetate and washed with 2M NaOH solution. The organic phase was dried over Na_2SO_4. The solvent was evaporated to yield 1-bromo-4-(2,2-diethoxyethoxy)-2-fluorobenzene as an oil (11.4 g, 100%).

Preparation 22: 1-Bromo-3-(2,2-diethoxyethoxy)-2-fluorobenzene



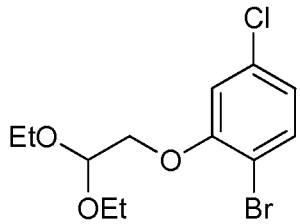
[00160] 1-Bromo-3-(2,2-diethoxyethoxy)-2-fluorobenzene was prepared from 3-bromo-2-fluorophenol as described in Preparation 21.

Preparation 23: 2-Bromo-4-(2,2-diethoxyethoxy)-1-fluorobenzene



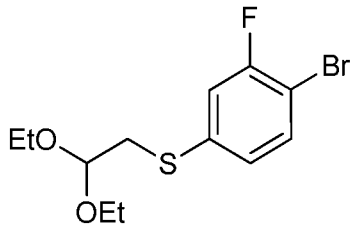
[00161] 2-Bromo-4-(2,2-diethoxyethoxy)-1-fluorobenzene was prepared from 3-bromo-4-fluorophenol as described in Preparation 21.

Preparation 24: 1-Bromo-4-chloro-2-(2,2-diethoxyethoxy)benzene



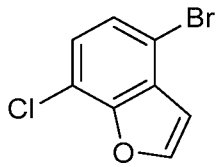
[00162] 1-Bromo-4-chloro-2-(2,2-diethoxyethoxy)benzene was prepared from 2-bromo-5-chlorophenol as described in Preparation 21.

Preparation 25: (4-Bromo-3-fluorophenyl)(2,2-diethoxyethyl)sulfane



[00163] (4-Bromo-3-fluorophenyl)(2,2-diethoxyethyl)sulfane was prepared from 4-bromo-3-fluorobenzenethiol as described in Preparation 21.

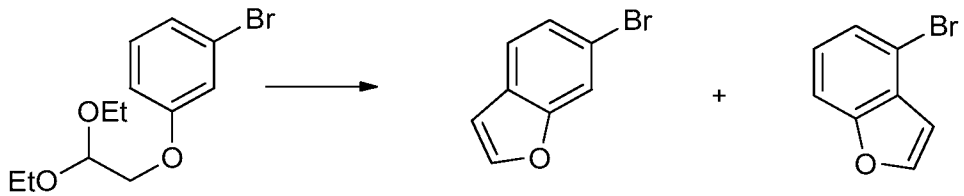
Preparation 26: 4-Bromo-7-chlorobenzofuran



[00164] To 80 mL of benzene was added polyphosphoric acid (3.47 g, 36.9 mmol) and commercially available 2-(5-bromo-2-chlorophenoxy)acetaldehyde (9.2 g , 36.9 mmol) and separated into eight 20 mL vials containing equal amounts. The vials

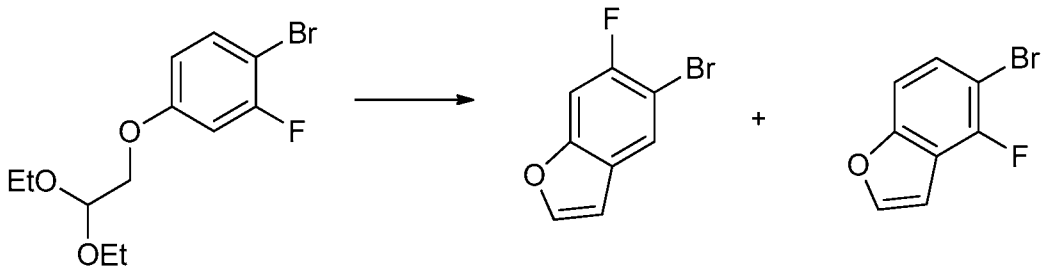
were heated to an external temperature of 90 °C for 4 days. Upon cooling of the reaction, the benzene was removed by decanting. Celite (50 g) was added to the organic solution and the solvent was removed using a rotary evaporator. The impregnated Celite was loaded onto a Teledyne-Isco purification system and purified by silica gel chromatography using 0-30% ethyl acetate:hexanes to give 4-bromo-7-chlorobenzofuran as a white solid (2.7 g, 32%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, J = 2.2 Hz, 1H), 7.33 (d, J = 8.3 Hz, 1H), 7.18 (d, J = 8.3 Hz, 1H), 6.85 (d, J = 2.2 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 150.38 (s), 146.14 (s), 130.27 (s), 126.56 (s), 125.32 (s), 116.44 (s), 112.49 (s), 107.71 (s); ESIMS *m/z* 232 ([M+H]$^+$), 230 ([M-H]$^-$).

Preparation 27: 6-Bromobenzofuran and 4-bromobenzofuran



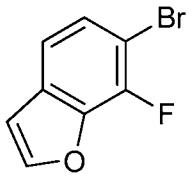
[00165] 6-Bromobenzofuran and 4-bromobenzofuran were prepared as described in US20040147559 from 1-bromo-3-(2,2-diethoxyethoxy)benzene.

Preparation 28: 5-Bromo-6-fluorobenzofuran and 5-bromo-4-fluorobenzofuran



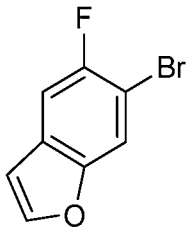
[00166] 1-Bromo-4-(2,2-diethoxyethoxy)-2-fluorobenzene (11.4 g, 0.037 mol) was dissolved in toluene (78 mL). Polyphosphoric acid (11.9 g) was added and the mixture was heated to reflux for 5 h. The solvent was removed and the residue was diluted with water and ethyl acetate. The organic phase was washed with 2 M NaOH solution and then dried over Na_2SO_4. A mixture of 5-bromo-6-fluorobenzofuran and 5-bromo-4-fluorobenzofuran (4.8 g, 60.3%) were obtained as a mixture after purification via column chromatography.

Preparation 29: 6-Bromo-7-fluorobenzofuran



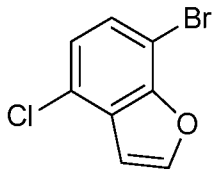
[00167] 6-Bromo-7-fluorobenzofuran was prepared from 1-bromo-3-(2,2-diethoxyethoxy)-2-fluorobenzene as described in Preparation 28: ESIMS *m/z* 216 ($[M+H]^+$).

Preparation 30: 6-Bromo-5-fluorobenzofuran



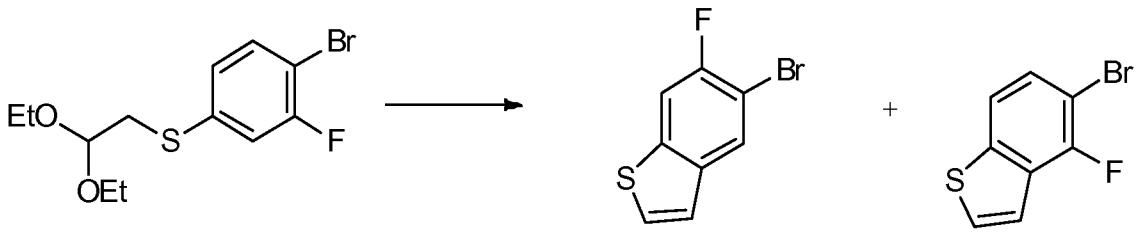
[00168] 6-Bromo-5-fluorobenzofuran was prepared from 2-bromo-4-(2,2-diethoxyethoxy)-1-fluorobenzene as described in Preparation 28: ESIMS *m/z* 216 ($[M+H]^+$).

Preparation 31: 7-Bromo-4-chlorobenzofuran



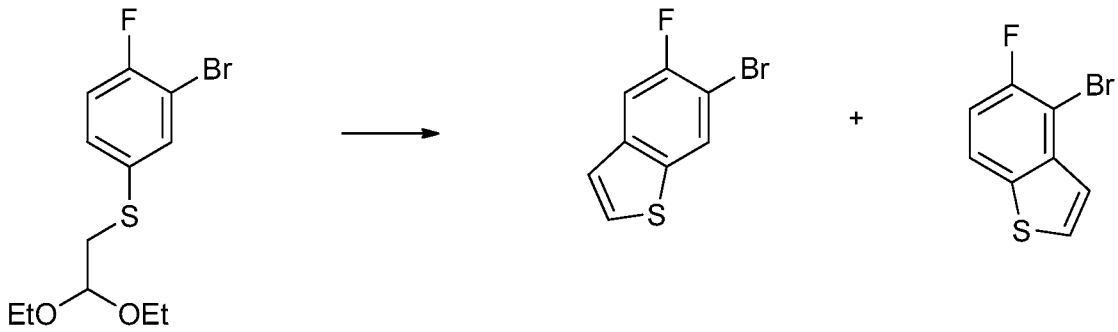
[00169] 7-Bromo-4-chlorobenzofuran was prepared from 1-bromo-4-chloro-2-(2,2-diethoxyethoxy)benzene as described in Preparation 28: ESIMS *m/z* 232 ($[M+H]^+$).

Preparation 32: 5-Bromo-4-fluorobenzo[*b*]thiophene and 5-bromo-6-fluorobenzo[*b*]thiophene



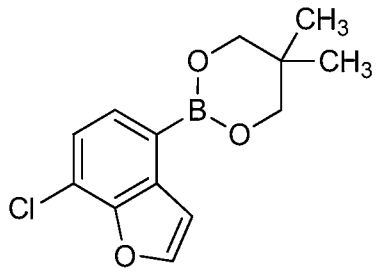
[00170] Polyphosphoric acid (13.9 g) was stirred in chlorobenzene (50 mL) at 130 °C. (4-Bromo-3-fluorophenyl)(2,2-diethoxyethyl)sulfane (7.7 g, 0.0238 mol) in chlorobenzene (15.4 mL) was added dropwise at 130 °C. The mixture was then stirred at 130 °C for 10 h. The solvent was removed and the residue was extracted with toluene, hexane, and then water. The organic phase was combined and washed with saturated sodium bicarbonate ($NaHCO_3$) solution and brine, and then dried over Na_2SO_4. The products 5-bromo-4-fluorobenzo[*b*]thiophene and 5-bromo-6-fluorobenzo[*b*]thiophene were obtained after purification via column chromatography (3.6 g, 65.5%).

Preparation 33: 6-Bromo-5-fluorobenzo[*b*]thiophene and 4-bromo-5-fluorobenzo[*b*]thiophene



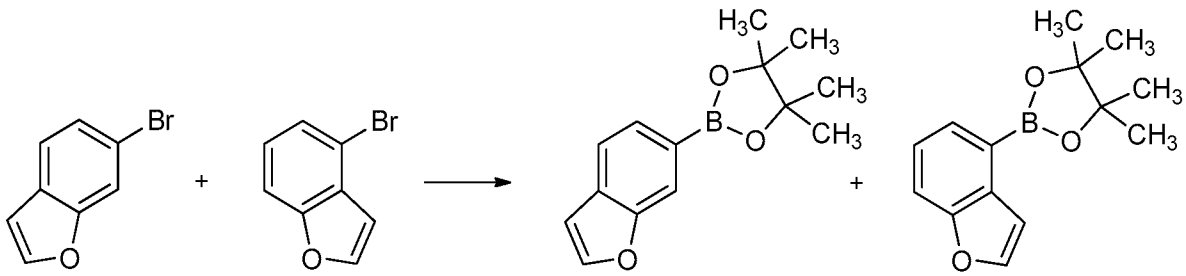
[00171] 6-Bromo-5-fluorobenzo[*b*]thiophene and 4-bromo-5-fluorobenzo[*b*]thiophene were prepared from (3-bromo-4-fluorophenyl)(2,2-diethoxyethyl)sulfane as described in Preparation 32: ESIMS *m/z* 232 ($[M+H]^+$).

Preparation 34: 2-(7-Chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane



[00172] 2-(7-Chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane was prepared as described in Preparation 55 from 4-bromo-7-chlorobenzofuran (prepared as described in WO2005056015) to afford a white solid (66%): IR (cm^{-1}) 669.18,701.26, 741.33, 792.08, 773.25, 842.53, 811.66, 863.44, 876.27, 884.51, 953.31, 993.58, 1027.34, 1132.28, 1059.34, 1157.92, 1217.21, 1207.86, 1253.95, 1238.65, 1302.38, 1266.72, 1359.16, 1335.94, 1370.05, 1422.73, 1438.38, 1480.37, 1577.30, 1602.05, 2903.59, 2871.91, 2940.30, 2955.31, 3140.15, 3161.21; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, J = 2.1 Hz, 1H), 7.63 (d, J = 7.8 Hz, 1H), 7.28 (dd, J = 6.7, 2.6 Hz, 1H), 7.27 (d, J = 2.2 Hz, 1H), 3.82 (s, 4H), 1.05 (s, 6H); ESIMS *m/z* 265 ($[M+H]^+$), 263($[M-H]^-$).

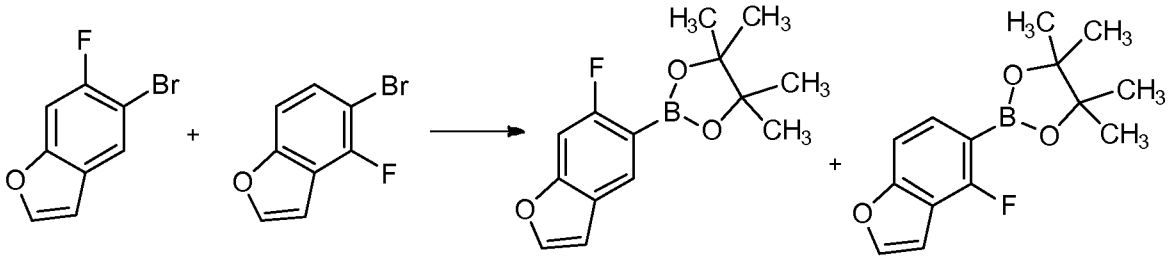
Preparation 35: 2-(Benzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(benzofuran-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



[00173] 2-(Benzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(benzofuran-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were prepared as described in Preparation 55 from 4-bromobenzofuran and 6-bromobenzofuran to afford the mixture as a clear oil (48%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (s, 1H), 7.72 – 7.68 (m, 1H), 7.66 (dd, J = 4.9, 2.6 Hz, 2H), 7.60 (dd, J = 8.0, 5.2 Hz, 2H), 7.30 (dd, J = 7.1, 6.2 Hz, 1H), 7.28 – 7.21 (m, 2H), 6.77 (dd, J = 2.1, 0.8 Hz, 1H), 1.37 (d, J = 6.2 Hz, 22H), 1.29 – 1.22 (m, 8H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 146.01, 145.21,

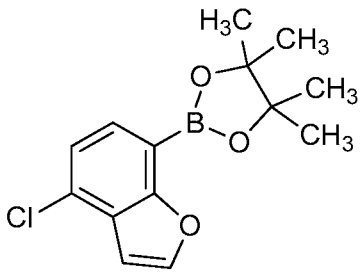
130.19, 130.11, 128.76, 123.56, 120.60, 117.60, 114.05, 108.45, 106.63, 83.82, 83.69, 83.50, 25.02, 24.98, 24.88; ESIMS *m/z* 245 ($[M+H]^+$), 243($[M-H]^-$).

Preparation 36: 2-(6-Fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(4-fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



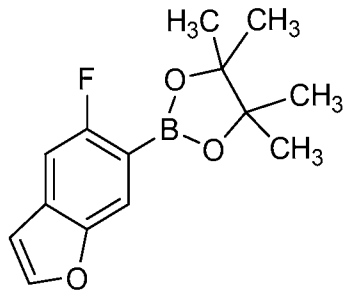
[00174] A mixture of 5-bromo-6-fluorobenzofuran and 5-bromo-4-fluorobenzofuran (1 combined equivalent), potassium acetate (KOAc; 3 eq) and bis(pinacolato) diboron (1.2 eq) were stirred in dioxane (0.1 M with respect to the 5-bromo-6-fluorobenzofuran and 5-bromo-4-fluorobenzofuran mixture) under nitrogen flow for 30 min. The catalyst [1,1′-bis(diphenylphosphino)ferrocene]dichloropalladium(II) ($PdCl_2$(dppf); 0.15 eq) was added and the nitrogen flow was maintained for 10 min. The reaction mixture was heated to 85 °C overnight. The solvent was removed, the residue was dissolved in methylene chloride, and the solid was filtered. The filtrate was concentrated and purified through a column to give a mixture of 2-(6-fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(4-fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (63%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 5.7 Hz, 1H), 7.59 (d, *J* = 2.1 Hz, 1H), 7.18 (d, *J* = 9.4 Hz, 1H), 6.73 (d, *J* = 1.3 Hz, 1H), 1.38 (s, 12H); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.0 Hz, 1H), 7.37 (t, *J* = 7.4 Hz, 1H), 7.30 (d, *J* = 8.4 Hz, 1H), 6.87 (s, 1H), 1.38 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -107.80, -107.81, -107.82, -107.84, -108.47, -108.48; ESIMS *m/z* 262 ($[M+H]^+$).

Preparation 37: 2-(4-Chlorobenzofuran-7-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



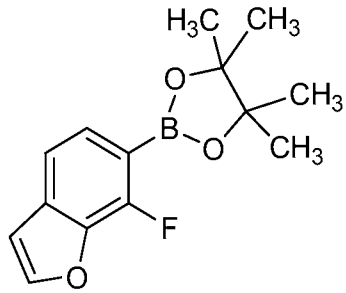
[00175] 2-(4-Chlorobenzofuran-7-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane was prepared as described in Preparation 36 from 7-bromo-4-chlorobenzofuran: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, J = 2.2 Hz, 1H), 7.67 (d, J = 7.8 Hz, 1H), 7.24 (d, J = 7.8 Hz, 1H), 6.86 (d, J = 2.2 Hz, 1H), 1.41 (s, 12H); ESIMS m/z 278 ($[M+H]^+$).

Preparation 38: 2-(5-Fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



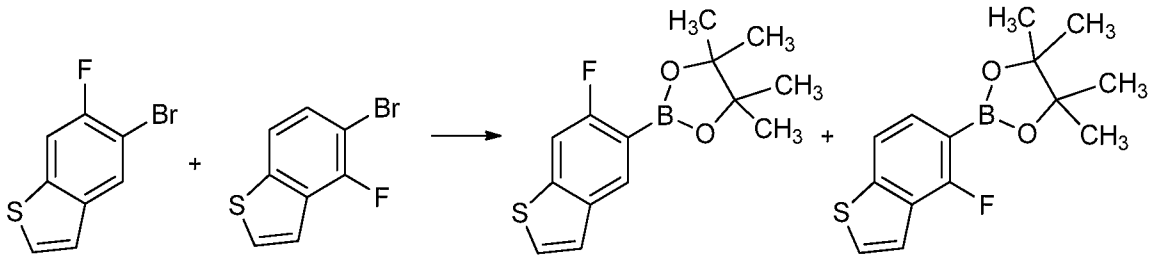
[00176] 2-(5-Fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane was prepared as described in Preparation 36 from 6-bromo-5-fluorobenzofuran: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, J = 4.3 Hz, 1H), 7.68 (d, J = 2.2 Hz, 1H), 7.24 – 7.20 (m, 1H), 6.75 – 6.70 (m, 1H), 1.38 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -110.23 (dd, J = 9.6, 4.1 Hz); ESIMS m/z 262 ($[M+H]^+$).

Preparation 39: 2-(7-Fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



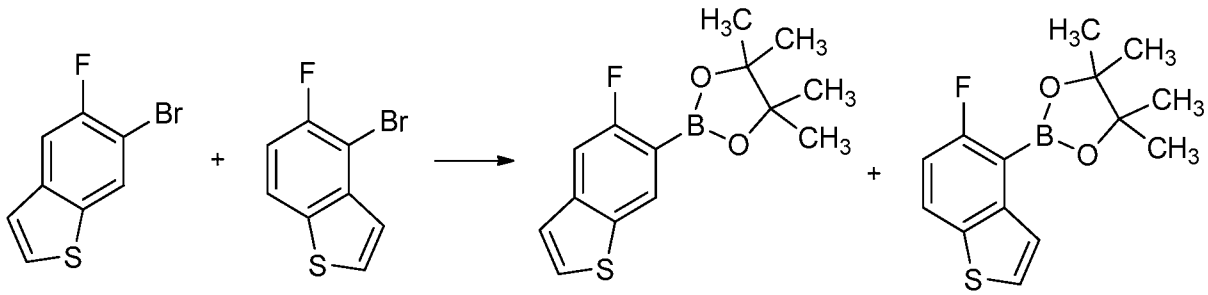
[00177] 2-(7-Fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane was prepared as described in Preparation 36 from 6-bromo-7-fluorobenzofuran: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (t, J = 3.1 Hz, 1H), 7.55 (dd, J = 7.8, 4.5 Hz, 1H), 7.34 (t, J = 6.5 Hz, 1H), 6.80 (dd, J = 2.9, 2.2 Hz, 1H), 1.38 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -127.62 (dd, J = 4.2, 3.1 Hz); ESIMS *m/z* 262 ([M+H]$^+$).

Preparation 40: 2-(6-Fluorobenzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(4-fluorobenzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



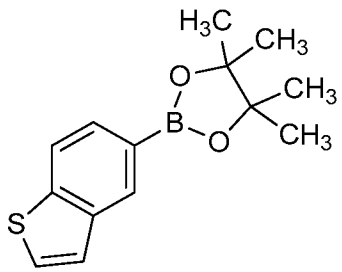
[00178] 2-(6-Fluorobenzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(4-fluorobenzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were prepared as described in Preparation 36 from 5-bromo-4-fluorobenzo[*b*]thiophene and 5-bromo-6-fluorobenzo[*b*]thiophene: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, J = 5.5 Hz, 1H), 7.53 (d, J = 9.3 Hz, 1H), 7.35 (d, J = 5.5 Hz, 1H), 7.30 (d, J = 5.5 Hz, 1H), 1.39 (s, 12H); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.61 (m, 2H), 7.47 (d, J = 5.6 Hz, 1H), 7.39 (d, J = 5.6 Hz, 1H), 1.39 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -107.24, -109.56; ESIMS *m/z* 278 ([M+H]$^+$).

Preparation 41: 2-(5-Fluorobenzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(5-fluorobenzo[*b*]thiophen-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



[00179] 2-(5-Fluorobenzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane and 2-(5-fluorobenzo[*b*]thiophen-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane were prepared as described in Preparation 36 from 6-bromo-5-fluorobenzo[*b*]thiophene and 4-bromo-5-fluorobenzo[*b*]thiophene: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 5.1 Hz, 1H), 7.59 (d, *J* = 5.4 Hz, 1H), 7.45 (d, *J* = 9.9 Hz, 1H), 7.28 (d, *J* = 5.4 Hz, 1H), 1.39 (s, 12H); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.5 Hz, 1H), 7.88 (dd, *J* = 8.8, 4.9 Hz, 1H), 7.55 (d, *J* = 5.5 Hz, 1H), 7.07 (t, *J* = 9.1 Hz, 1H), 1.42 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -107.32, -107.34, -107.35, -107.36, -111.00, -111.02, -111.02, -111.03, -111.04, -111.04; ESIMS *m/z* 278 ($[M+H]^+$).

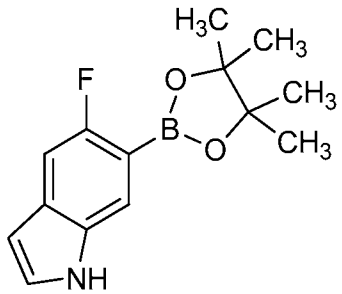
Preparation 42: 2-(Benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



[00180] 6-Bromobenzo[*b*]thiophene (3.09 g, 14.5 mmol), 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) (4.42 g, 17.4 mmol), $PdCl_2$(dppf) (0.54 g, 0.74 mmol), and KOAc (2.89 g, 29.4 mmol) in anhydrous dioxane (48 mL) was stirred at reflux at 80 °C for 4 h. The reaction mixture was cooled and diluted with

ethyl acetate, filtered through a pad of Celite, and washed with brine. The aqueous layer was extracted with ethyl acetate. The organic layers were dried, filtered, and adsorbed onto silica gel. Purification by flash chromatography (0 – 30% ethyl acetate/hexanes) provided 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (3.266 g, 87%) as a yellow oily solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, J = 0.7 Hz, 1H), 7.79 (ddd, J = 20.2, 8.0, 0.8 Hz, 2H), 7.51 (d, J = 5.5 Hz, 1H), 7.34 (dd, J = 5.4, 0.7 Hz, 1H), 1.37 (s, 12H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.78, 129.75, 129.58, 128.18, 123.87, 122.94, 83.89, 24.92; EIMS *m/z* 260.

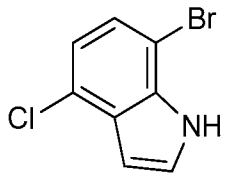
Preparation 43: 5-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole



[00181] To a round bottom flask, 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) (1.424 g, 5.61 mmol), [1,1′-bis(diphenylphosphino)ferrocene] dichloropalladium(II) (0.342 g, 0.467 mmol), and potassium acetate (0.917 g, 9.34 mmol) were charged as solids. The flask was sealed, and pumped and purged (3x) with inert gas. Then 6-bromo-5-fluoro-1*H*-indole (1.0 g, 4.67 mmol) in dioxane (15.57 mL) was added. The reaction mixture was stirred and warmed to an internal temperature of 85 °C. After 18 h the reaction mixture was cooled and filtered through a pad of Celite, washing with excess ethyl acetate. The filtrate was diluted with water and partitioned. The aqueous layer was extracted with ethyl acetate (3 x 15 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated *in vacuo*. The crude product was purified using a Teledyne ISCO purification system with a gradient eluent system of ethyl acetate and hexanes to yield the title compound as a peach-colored solid (656 mg, 54%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 1.31 (s, 12H), 6.42 (ddd, J = 2.9, 1.9, 0.9 Hz, 1H), 7.22 (d, J = 10.5 Hz, 1H), 7.52 (t, J = 2.8 Hz,

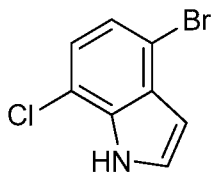
1H), 7.69 (d, J = 4.8 Hz, 1H), 11.24 (s, 1H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -116.07; ESIMS m/z 262.0 ($[M+H]^+$), 260.0 ($[M-H]^-$).

Preparation 44: 7-Bromo-4-chloro-1*H*-indole



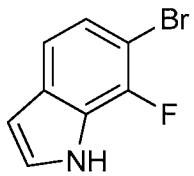
[00182] To a solution of 1-bromo-4-chloro-2-nitrobenzene (932 mg, 3.95 mmol) in tetrahydrofuran (10 mL), vinylmagnesium bromide (0.7 M in tetrahydrofuran; 12 mmol) in tetrahydrofuran (15 mL) was added drop wise at -40 °C. After 1 h the reaction mixture was poured into saturated ammonium chloride (NH_4Cl). The resulting organic layer was concentrated. The resulting residue was purified using a Teledyne ISCO chromatography system with a gradient eluent system of 2% ethyl acetate in hexane to yield the title compound (400 mg, 44%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.73 (t, J = 2.8 Hz, 1H), 7.02 (d, J = 8.1 Hz, 1H), 7.19 – 7.39 (m, 2H), 8.43 (s, 1H).

Preparation 45: 4-Bromo-7-chloro-1*H*-indole



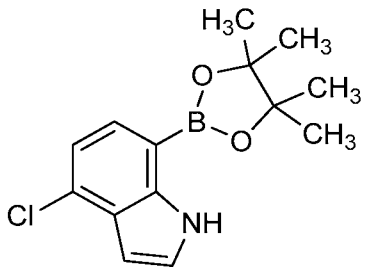
[00183] 4-Bromo-7-chloro-1*H*-indole was prepared from 4-bromo-1-chloro-2-nitrobenzene as described in Preparation 44: ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.49 – 6.74 (m, 1H), 7.07 (d, J = 8.1 Hz, 1H), 7.15 – 7.42 (m, 2H), 8.49 (s, 1H).

Preparation 46: 6-Bromo-7-fluoro-1*H*-indole



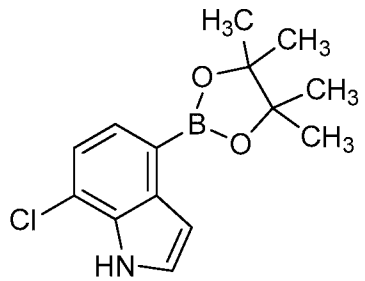
[00184] 6-Bromo-7-fluoro-1*H*-indole was prepared from 1-bromo-2-fluoro-3-nitrobenzene as described in Preparation 44 (250 mg, 25.2%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 6.52 – 6.62 (m, 1H), 7.13 – 7.34 (m, 3H), 8.38 (s, 1H); ESIMS *m/z* 215.0 ($[M+H]^+$).

Preparation 47 (Precursor Example 1): 4-Chloro-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole



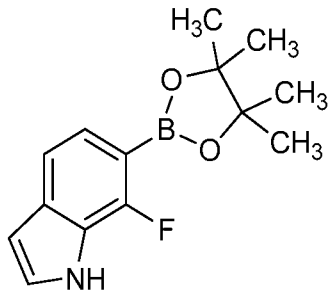
[00185] To a solution of 7-bromo-4-chloro-1*H*-indole (8 g, 0.03 mol) in dioxane, KOAc (9.8 g, 0.1 mol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]-palladium(II) (2.19 g, 0.003 mol), and 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) (13.2 g, 0.052 mol) were charged as solids. The reaction mixture was placed under inert atmosphere and the flask was sealed. The reaction was heated to 100 °C for 16 h. The reaction mixture was then treated with H_2O and extracted with ethyl acetate. The organic layer was partitioned and concentrated. The resulting residue was purfied using a Teledyne ISCO chromatography system with a gradient eluent system of ethyl acetate in hexane to yield the title compound (1.3 g, 15.6%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 1.40 (s, 12H), 6.58 – 6.73 (m, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 7.28 – 7.36 (m, 1H), 7.56 (d, *J* = 7.6 Hz, 1H), 9.34 (s, 1H).

Preparation 48: 7-Chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole



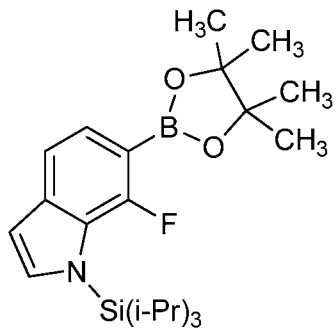
[00186] 7-Chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole was prepared as described in Preparation 47 from 4-bromo-7-chloro-1*H*-indole (4.2 g, 43.7%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 1.38 (s, 26H), 7.08 (dd, *J* = 3.2, 2.2 Hz, 1H), 7.20 (d, *J* = 7.6 Hz, 1H), 7.30 (t, *J* = 2.8 Hz, 1H), 7.56 (d, *J* = 7.6 Hz, 1H), 8.40 (s, 1H).

Preparation 49 (Precursor Example 2): 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole



[00187] 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole was prepared as described in Preparation 47 from 6-bromo-7-fluoro-1*H*-indole (150 mg, 45.5%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 1.26 (s, 25H), 1.39 (s, 24H), 7.27 (d, *J* = 4.5 Hz, 2H), 7.40 (d, *J* = 2.6 Hz, 2H), 8.43 (s, 1H); ^{19}F NMR (282 MHz, $CDCl_3$) δ -124.52; ^{13}C NMR (101 MHz, $CDCl_3$) δ 24.87 (d, *J* = 15.9 Hz), 77.30 , 83.49 (d, *J* = 6.9 Hz), 103.25, 115.98 (d, *J* = 3.3 Hz), 126.08 (d, *J* = 7.7 Hz).

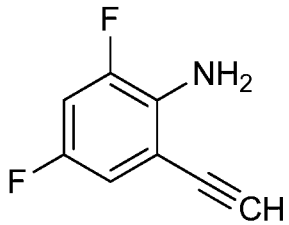
Preparation 50 (Precursor Example 3): 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triisopropylsilyl)-1*H*-indole



[00188] 7-Fluoro-1-(triisopropylsilyl)-1*H*-indole (4.0 g, 14 mmol) (Prepared according to M. Schlosser, et al., *Eur. J. Org. Chem.* **2006**, 2956–2969) was dissolved in 30 mL dry THF, cooled to -75 °C, treated in portions with *sec*-butyl lithium (10

mL, 1.4 M, 14 mmol) and stirred for 2 h at -75 °C. 2-Isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (3.0 mL, 2.7 g, 14 mmol) was added in portions and the mixture was stirred for 1 h at -75 °C. The cooling bath was removed and the temperature was allowed to rise to 5 °C over 30 min. The reaction was quenched by addition of 5 mL saturated NH_4Cl and partitioned between ethyl acetate and water. The organic phase was washed with saturated sodium chloride (NaCl), dried (Na_2SO_4), evaporated onto silica gel, and purified by flash chromatography (SiO_2; eluting with hexanes) to give the title compound as a thick oil (4.2 g, 73%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (dd, J = 7.9, 4.6 Hz, 1H), 7.38 (m, 2H), 1.75 (m, 3H), 1.38 (s, 12H), 1.13 (d, J = 7.6 Hz, 18H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -113.07; EIMS *m/z* 417.

Preparation 51: 2-Ethynyl-4,6-difluoroaniline

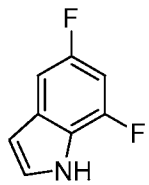


[00189] Step 1: 2-Bromo-4,6-difluoroaniline (10 g, 48 mmol), copper (I) iodide (CuI; 180 mg, 0.96 mmol), bis(triphenylphosphine)palladium(II) chloride (680 mg, 0.96 mmol) and ethynyltrimethylsilane (7.1 g, 72 mmol) were combined with 10 mL dry DMF and heated to 50 °C for 18 h. An additional 2 mL ethynyltrimethylsilane, 200 mg bis(triphenylphosphine)palladium(II) chloride, and 60 mg CuI were added and heating was continued for 4 h. After cooling, the mixture was diluted with ethyl acetate and stirred with 1 normal (N) hydrochloric acid (HCl). The dark mixture was filtered through Celite to remove fine solids. The organic phase was washed with water, saturated NaCl, dried and concentrated. Purification by flash chromatography (SiO_2, eluting with 0-20% EtOAc in hexanes) afforded 9 g of material that consisted of a 70/30 ratio of the TMS alkyne derivative and the starting bromide.

[00190] Step 2: The mixture was carried in to the desilylation without further purification. The TMS derivative was dissolved in methanol (500 mL) and treated with 8.5 g KF. A clear solution formed which was stirred overnight at room temperature (RT). Most of the volatiles were removed under vacuum, the residue was

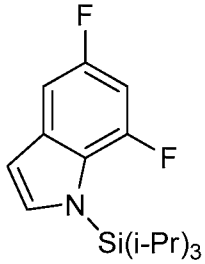
taken up in ethyl acetate and washed water and with saturated NaCl. The solution was dried, evaporated and purified by flash chromatography (SiO_2, eluting with 0-10% ethyl acetate in hexanes) to provide the title compound (4.2 g, 70 area % pure by flame-ionization detector-gas chromatography (FID-GC)): ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.83 (m, 1H), 4.13 (m, 1H), 3.46 (s, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -124.04, -124.88, -126.94, -130.08; EIMS *m/z* 153. This material was carried through to the cyclization step without further purification.

Preparation 52: 5,7-Difluoro-1*H*-indole



[00191] The impure 2-ethynyl-4,6-difluoroaniline (4.2 g, 19 mmol) from the previous preparation was dissolved in ethanol (75 mL), treated with sodium gold(III) chloride dihydrate (310 mg, 0.77 mmol) and stirred for 3 h under an atmosphere of nitrogen. The mixture was concentrated, taken up in ethyl acetate, washed with water, washed with saturated NaCl, dried over sodium sulfate (Na_2SO_4) and evaporated. Purification by flash chromatography (SiO_2, 100-200 mesh; eluting with 0-15% EtOAc in hexanes containing 2% acetic acid) provided the title product (2.0 g, ca 85% purity): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.26 (dd, *J* = 4.8, 2.0 Hz, 1H), 7.09 (dd, *J* = 9.1, 2.2 Hz, 1H), 6.74 (ddd, *J* = 11.2, 9.3, 2.0 Hz, 1H), 6.55 (td, *J* = 3.3, 2.2 Hz, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -122.11, -131.96; EIMS *m/z* 153.

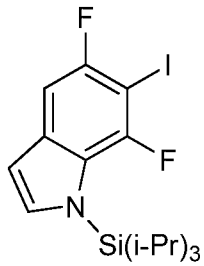
Preparation 53: 5,7-Difluoro-1-(triisopropylsilyl)-1*H*-indole



[00192] *N*-Butyl lithium (2.7 mL, 2.5 M, 6.9 mmol) was added to 10 mL dry THF at -70 °C. 5,7-Difluoro-1*H*-indole (1.0 g, 6.5 mmol) in 5 mL THF was added in

portions to the solution and the mixture was stirred for 30 min at -75 ° C. Triisopropylchlorosilane (1.5 mL, 1.3 g, 6.9 mmol) was added, stirring was continued for 1 h at -75 °C and then the mixture was allowed to warm to -5 °C over 2 h. After treatment with 5 mL saturated NH_4Cl, the mixture was mixed with 30 mL ether and the organic phase was washed with 5 mL saturated NaCl, dried (Na_2SO_4) and evaporated. The product was purified by flash chromatography(SiO_2; hexanes) to provide the title compound as a clear oil (1.5 g; 74%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, *J* = 3.1 Hz, 1H), 7.07 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.69 (m, 1H), 6.59(t, *J* = 3.1 Hz, 1H), 1.67 (m, 3H), 1.13 (d, *J* = 7.6 Hz, 18H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -120.64, -120.65, -122.49, -122.49; EIMS *m/z* 309.

Preparation 54: 5,7-Difluoro-6-iodo-1-(triisopropylsilyl)-1*H*-indole



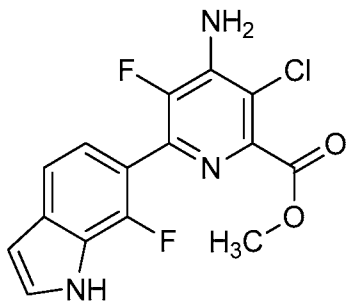
[00193] 5,7-Difluoro-1-(triisopropylsilyl)-1*H*-indole (1.4 g, 4.5 mmol) and pentamethyldiethylene -triamine(830 mg, 4.8 mmol) were combined in 10 mL dry THF, cooled to -70 °C and treated in portions with *sec*-butyl lithium (3.4 mL, 1.4 M, 4.8 mmol) and stirred for 3 h at this temperature. Iodine (1.3 g, 5.0 mmol) in 5 mL THF was added, the mixture was stirred for 50 min, quenched by addition of 3 mL saturated NH_4Cl and partitioned between diethyl ether and water. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), evaporated and purified by flash chromatography (SiO_2; hexanes) to provide the title compound as a clear oil which solidified on standing (1.9 g, 90%): mp 74–76 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, *J* = 3.1 Hz, 1H), 7.14 (dd, *J* = 7.7, 0.9 Hz, 1H), 6.60 (t, *J* = 3.1 Hz, 1H), 1.67 (m, 3H), 1.13 (d, *J* = 7.6 Hz, 18H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -101.37, -105.33.

Preparation 55: 2-(2,2-dimethylbenzo[d][1,3]dioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

[00194] To DMSO (10mL) was added potassium acetate (1.671 g, 17.03 mmol), 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) (1.729 g, 6.81 mmol), 5-bromo-2,2-dimethylbenzo[d][1,3]dioxole (1.3 g, 5.68 mmol), and PdCl2(dppf) (0.415 g, 0.568 mmol). The reaction was heated to an external temperature of 80 °C for 18 hours. Upon cooling, the reaction was poured reaction into 50mL ice water. The ice water mixture was transferred to a separatory funnela and two extractions with EtOAc (50mL) were completed. The organic layers were combined, dried over Na_2SO_4, and filtered. The solutiown was concentrated onto 5g of celite using EtOAc as solvent. The impregnated celite was loaded onto a Teledyne Isco purification system and purified by silica gel chromatograpy using 0-30% EtOAc:hexanes to yield 2-(2,2-dimethylbenzo[d][1,3]dioxol-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (767mg, 49%) as a red semi-solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (dt, *J* = 6.6, 3.3 Hz, 1H), 7.15 (s, 1H), 6.74 (d, *J* = 7.7 Hz, 1H), 1.66 (s, 6H), 1.32 (s, 12H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 129.21 (s), 113.78 (s), 108.15 (s), 83.59 (s), 25.86 (s), 24.82 (s); ESIMS *m/z* 277 ($[M+H]^+$), 275 ($[M-H]^-$).

EXAMPLES OF SYNTHESIS OF COMPOUNDS OF FORMULA (I)

Example 1. Methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)picolinate (Compound No. 1.14)

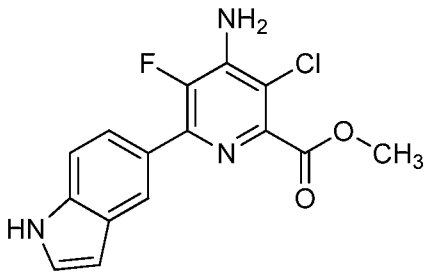


[00195] Methyl 4-amino-3,6-dichloro-5-fluoropicolinate (0.650 g, 2.72 mmol), 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole (0.817 g, 3.13 mmol), bis(triphenylphosphine)palladium(II) chloride (0.191 g, 0.272 mmol), and cesium fluoride (0.826 g, 5.44 mmol) were combined in acetonitrile (4.53 mL) and water (4.53 mL). The reaction mixture was irradiated in a Biotage Initiator microwave at 110 °C in a sealed vial for 30 min. The cooled reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried and

concentrated. The product was purified by flash chromatography (SiO_2; eluting with 5-40% ethyl acetate in hexanes) to provide the title compound as an white solid (0.517 g, 52.4 % yield). Note: Potassium fluoride replaced cesium fluoride in some examples that refer to this particular example.

[00196] The preparation method used in this example is referred to in Table 10 as "Coupling 1".

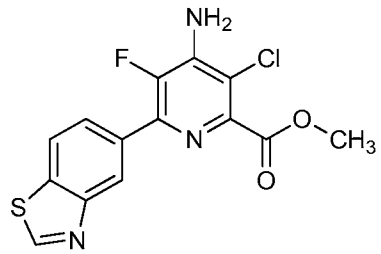
Example 2: Methyl 4-amino-3-chloro-5-fluoro-6-(1*H*-indol-5-yl)picolinate (Compound No. 1.2)



[00197] 1*H*-Indol-5-ylboronic acid (220 mg, 1.4 mmol, 1.1 equiv) and methyl 4-amino-3,6-dichloro-5-fluoropicolinate (300 mg, 1.3 mmol, 1.0 equiv) were sequentially added to a 5 mL Biotage microwave vessel, followed by cesium fluoride (380 mg, 2.5 mmol, 2.0 equiv), palladium(II) acetate (14 mg, 0.063 mmol, 0.05 equiv), and sodium 3,3',3"-phosphinetriyltribenzenesulfonate (71 mg, 0.13 mmol, 0.10 equiv). A 3:1 mixture of water:acetonitrile (2.5 mL) was added and the resulting dark brown mixture was placed in a Biotage microwave and heated to 150 °C for 5 min, with external IR-sensor temperature monitoring from the side of the vessel. The cooled reaction mixture was diluted with water (50 mL) and extracted with dichloromethane (15 x 30 mL). The combined organic layers were dried (sodium sulfate), gravity filtered, and concentrated by rotary evaporation. The residue was purified by reverse phase column chromatography (5% acetonitrile to 100% acetonitrile gradient) to yield the title compound as a tan powder (290 mg, 73%).

[00198] The preparation method used in this example is referred to in Table 10 as "Coupling 2".

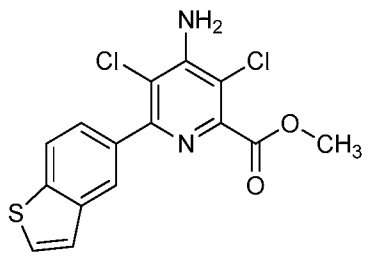
Example 3: Methyl 4-amino-6-(benzo[*d*]thiazol-5-yl)-3-chloro-5-fluoropicolinate (Compound No. 6.1)



[00199] To a 5 mL microwave vial was added methyl 4-amino-6-bromo-3-chloro-5-fluoropicolinate (200 mg, 1.0 mmol), benzo[*d*]thiazol-5-ylboronic acid (237 mg, 1.35 mmol), potassium fluoride (KF; 122 mg, 2.12 mmol), TPPTS-Na (tris-(3-sulfornatophenyl)-phosphine4-hydrate sodium salt, 67 mg, 0.106 mmol) and $Pd(OAc)_2$ (11 mg, 0.053 mmol). Subsequently, CH_3CN (1.0 mL) and H_2O (3.0 mL) were added, and the reaction vial was sealed and heated in a Biotage microwave at 150 °C for 5 min, with external IR-sensor temperature monitoring from the side of the vessel. The reaction mixture was cooled to room temperature and diluted with dichloromethane, and washed with water. The organic extracts were combined, dried (Na_2SO_4), filtered, and concentrated *in vacuo*. The crude product was purified by triturating with diethyl (Et_2O) to yield the title compound as a brown solid (172 mg, 51%).

[00200] The preparation method used in this example is referred to in Table 10 as "Coupling 3".

Example 4: Methyl 4-amino-6-(benzo[*b*]thiophenyl-5-yl)-3,5-dichloropicolinate (Compound No. 3.1)

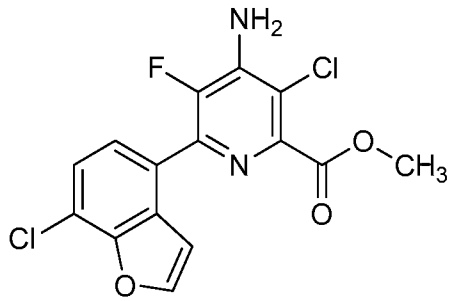


[00201] To a 5 mL microwave vial was added methyl 4-amino-3,5,6-trichloropicolinate (0.232 g, 0.909 mmol), 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (0.260 g, 0.999 mmol), cesium fluoride (0.276 g,

1.817 mmol) and $(PPh_3)_2PdCl_2$ (0.064 g, 0.091 mmol). The reaction vial was then sealed and placed under inert atmosphere. Subsequently, dioxane (4.0 mL) and H_2O (1.0 mL) were added and the reaction mixture was heated in a Biotage microwave at 120 °C for 60 min, with external IR-sensor temperature monitoring from the side of the vessel. The reaction mixture was cooled to room temperature and diluted with ethyl acetate (5 mL) and poured into brine solution. The layers were separated and the aqueous phase was extracted with ethyl acetate (3 x 10 mL). The organic extracts were combined, dried ($MgSO_4$), filtered, and concentrated *in vacuo*. The crude product was purified using a Teledyne ISCO purification system with a gradient eluent system of ethyl acetate and hexanes. Further purification was performed, as needed, using a Teledyne ISCO reverse phase system with a gradient eluent system of acetonitrile and H_2O to yield the title compound as a white solid.

[00202] The preparation method used in this example is referred to in Table 10 as "Coupling 4".

Example 5: Methyl 4-amino-3-chloro-6-(7-chlorobenzofuran-4-yl)-5-fluoropicolinate (Compound No. 2.16)

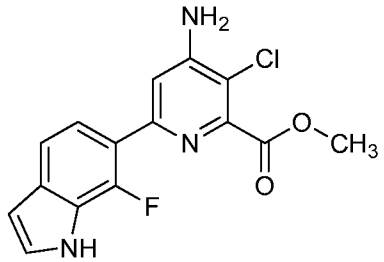


[00203] Potassium fluoride (0.365 g, 6.28 mmol), palladium diacetate (0.047 g, 0.209 mmol), 2-(7-chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane (0.609 g, 2.301 mmol), sodium 3,3',3"-phosphinetriyltribenzenesulfonate tetrahydrate (0.134 g, 0.209 mmol), and methyl 4-amino-3,6-dichloro-5-fluoropicolinate (0.5 g, 2.092 mmol) were combined in a microwave reactor vial. To these were added water (3 mL) and acetonitrile (1 mL). The reaction mixture was heated at 150 °C in a microwave reactor for 6 min. The cooled reaction mixture was diluted with ethyl acetate and water and filtered through a cotton plug. The organic phase was dried

(Na_2SO_4) and concentrated under vacuum. Purification by reverse phase chromatography provided the title compound as a white solid (127 mg, 12.5% yield).

[00204] The preparation method used in this example is referred to in Table 10 as "Coupling 5".

Example 6 Methyl 4-amino-3-chloro-6-(7-fluoro-1*H*-indol-6-yl)picolinate (Compound No. 1.22)

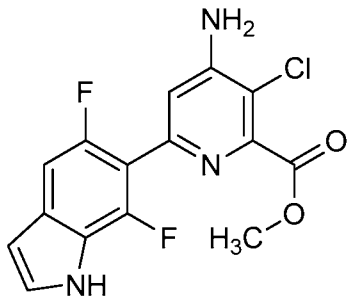


[00205] Methyl 4-acetamido-3,6-dichloropicolinate (400 mg, 1.520 mmol),7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole (437 mg, 1.673 mmol), cesium fluoride (462 mg, 3.04 mmol), and $(PPh_3)_2PdCl_2$ (107 mg, 0.152 mmol) were charged as solids into a microwave reaction vessel and dioxane (4 mL) and water (1 mL) were added. The reaction vessel was sealed and irradiated in a Biotage Initiator microwave at 110 °C for 2 h, with external IR-sensor temperature monitoring from the side. The reaction mixture was partitioned between ethyl acetate and water. The organic phase was filtered and concentrated. The intermediate product was purified by flash chromatography (ISCO 40 g silica 10-75% EtOAc: Hexanes 16 CV). Fractions containing product were combined and concentrated to give 524 mg of a white solid intermediate methyl 4-acetamido-3-chloro-6-(7-fluoro-1*H*-indol-6-yl)picolinate (0.524 g, 1.448 mmol) which was subsequently diluted with methanol (10.0 mL). Then acetyl chloride (0.725 mL, 10.20 mmol) was added. The reaction mixture was allowed to stir at room temperature for 18 h. The reaction mixture was concentrated to dryness. The resulting residue was dissolved in ethyl acetate and poured into saturated $NaHCO_3$ solution. The layers were partitioned and the aqueous layer was extracted with ethyl acetate (3 x 15 mL). The organic extracts were combined, washed with saturated NaCl solution, dried ($MgSO_4$), filtered and concentrated *in vacuo*. The crude product was purified using a Teledyne ISCO

purification system with a gradient eluent system of ethyl acetate and hexanes to yield the title compound as a white solid (365 mg, 79%).

[00206] The preparation method used in this example is referred to in Table 10 as "Coupling 6".

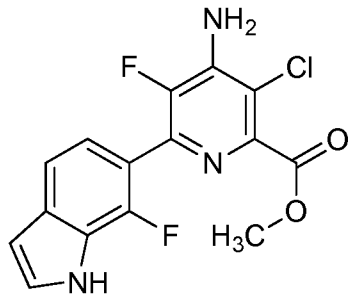
Example 7: Methyl 4-amino-3-chloro-6-(5,7-difluoro-1*H*-indol-6-yl)picolinate (Compound No. 1.26)



[00207] 5,7-Difluoro-6-iodo-1-(triisopropylsilyl)-1*H*-indole (450 mg, 1.0 mmol), methyl 4-acetamido-3-chloro-6-(trimethylstannyl)picolinate (450 mg, 1.1 mmol) were combined in 7 mL dry DMF, deaerated with a stream of nitrogen for 15 min, treated with bis(triphenylphosphine)palladium(II) chloride (72 mg, 0.10 mmol) and copper (I) iodide and heated to 60 °C for 2 h. The mixture was partitioned between ethyl acetate and water. The organic phase was washed with water, washed with saturated NaCl, dried (Na_2SO_4), and evaporated. Purification by flash chromatography (SiO_2, 100-200 mesh; eluting with 0–30% EtOAc in hexanes) provide 200 mg of the silylated *N*-acetamide product. This material was slurried in methanol (15 mL), treated with 2 mL acetyl chloride and heated at reflux for 2 h. The volatiles were removed under vacuum and the residue was purified by flash chromatography (SiO_2; 0-40% ethyl acetate in hexanes) to provide 30 mg of the title compound plus 60 mg of title compound that was still protected by the TIPS group on the indole nitrogen. The TIPS derivative was dissolved in 5 mL dry THF, treated with tetrabutylammonium fluoride hydrate (140 mg, 0.5 mmol) and stirred for 1 h at 20 °C. The mixture was partitioned between 20 mL ethyl acetate and saturated NaCl. The organic phase was dried (Na_2SO_4) and evaporated. Purification by flash chromatography (SiO_2; 0-50% ethyl acetate in hexanes) provided another 30 mg of the title compound as a white solid (60 mg, 16%).

[00208] The preparation method used in this example is referred to in Table 10 as "Coupling 7".

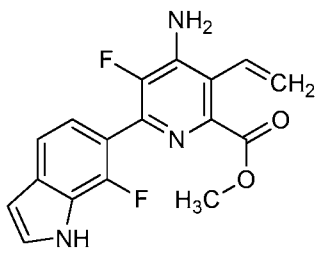
Example 8: Methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)picolinate (Compound No. 1.14)



[00209] 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triisopropylsilyl)-1*H*-indole (500 mg, 1.2 mmol), methyl 4-amino-3,6-dichloro-5-fluoropicolinate (290 mg, 1.2 mmol), cesium fluoride (360 mg, 2.4 mmol) and bis(triphenylphosphine)palladium(II) chloride (84 mg, 0.12 mmol) were combined in 4 mL of a 1:1 v/v acetonitrile-water mixture and heated at 115 °C for 25 min in a Biotage Initiator microwave reactor. The mixture was partitoned between ethyl acetate and saturated NaCl and the organic phase was dried and evaporated. Purification by flash chromatography (SiO_2; eluting with 0-20% ethyl acetate in dichloromethane) provided impure product. The material was purified by flash chromatography again (SiO_2; eluting with 0-30% ethyl acetate in hexanes) to provide the title compound as a white solid (220 mg, 52%).

[00210] The preparation method used in this example is referred to in Table 10 as "Coupling 8".

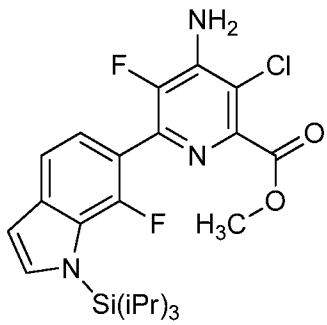
Example 9: Methyl 4-amino-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)-3-vinylpicolinate (Compound No. 1.17)



[00211] 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triisopropylsilyl)-1*H*-indole (320 mg, 0.77 mmol), methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (190 mg,0.84 mmol), sodium carbonate (81 mg, 0.77 mmol) and bis(triphenylphosphine)palladium(II) chloride (54 mg, 0.08 mmol) were combined in 4 mL of a 1:1 v/v acetonitrile-water mixture and heated to 115 °C for 30 min in a Biotage Initiator microwave reactor. The mixture was partitioned between ethyl acetate and water. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. Purification by flash chromatography (SiO_2; eluting with 0-20% ethyl acetate in hexanes) provided 220 mg of the TIPS protected product. This material was dissolved in 10 mL of THF, treated with tetrabutylammonium fluoride hydrate (260 mg, 1.0 mmol) and stirred for 1 h. The mixture was partitioned between saturated NaCl and ethyl acetate. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. Purification by flash chromatography (SiO_2; eluting with 0-20% ethyl acetate in hexanes) provided the title compound as a white solid (100 mg, 37%).

[00212] The preparation method used in this example is referred to in Table 10 as "Coupling 9".

Example 10: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1-(triisopropylsilyl)-1*H*-indol-6-yl)picolinate (Compound 1.12)

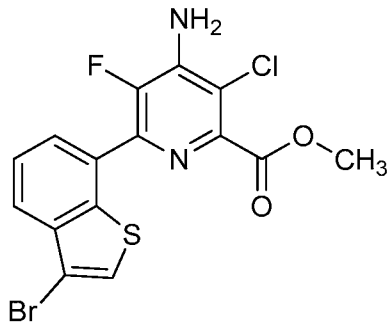


[00213] 7-Fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triisopropylsilyl)-1*H*-indole (1.0 g, 2.4 mmol), methyl 4-amino-3,6-dichloro-5-fluoropicolinate (630 mg, 2.6 mmol), sodium carbonate (250 mg, 2.4 mmol) and with bis(triphenylphosphine)palladium(II) chloride (170 mg, 0.24 mmol) were combined in 10 mL of 1:1 v/v acetonitrile-water and heated at 110 °C for 30 min in a Biotage

Initiator microwave reactor. The mixture was stirred with 30 mL ethyl acetate and 20 mL water and filtered through glass wool to remove dark solids. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. Purification by flash chromatography (SiO_2; eluting with 0-30% ethyl acetate in hexanes) provided the title compound as a white solid (520 mg; 42%).

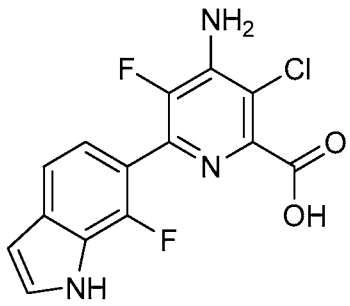
[00214] The preparation method used in this example is referred to in Table 10 as "Coupling 10".

Example 11: Methyl 4-amino-6-(3-bromobenzo[*b*]thiophen-7-yl)-3-chloro-5-fluoropicolinate (Compound No. 3.26)



[00215] Methyl 4-amino-6-(benzo[*b*]thiophen-7-yl)-3-chloro-5-fluoropicolinate (0.500 g, 1.485 mmol) was dissolved in dichloromethane (9.90 mL) and cooled to -5 °C in an acetone bath to which was added a few pieces of dry ice. Bromine (114 μL, 2.227 mmol) was dissolved in dichloromethane (9.90 mL) and added dropwise. The reaction mixture was stirred overnight, and then partitioned between ethyl acetate and water. The organic phase was dried and concentrated and the product purified by flash chromatography (SiO_2; 5-40% ethyl acetate / hexane gradient) followed by a second purification by reverse phase chromatography to provide the title compound as a grey solid (0.278 g, 45%).

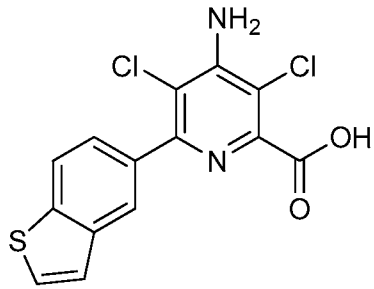
Example 12: 4-Amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)picolinic acid (Compound 1.38)



[00216] To a reaction vessel containing methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)picolinate (0.500 g, 1.481 mmol) was added methanol (14.81 mL) and sodium hydroxide (2.96 mL, 5.92 mmol). The reaction mixture was stirred overnight at RT then acidfied by adding a slight excess of 2 N HCl. The mixture was concentrated and the precipitate that formed was washed with water and dried under vacuum to provide 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl)picolinic acid (0.400 g, 79% yield) as an off-white solid.

[00217] The preparation method used in this example is referred to in Table 10 as "Hydrolysis 1:.

Example 13: 4-Amino-6-(benzo[*b*]thiophen-5-yl)-3,5-dichloropicolinic acid (Compound 3.2)

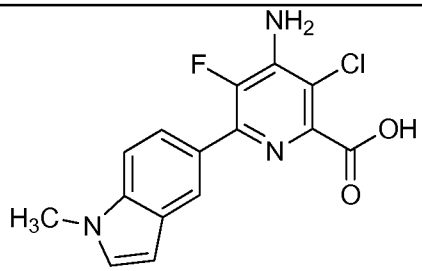
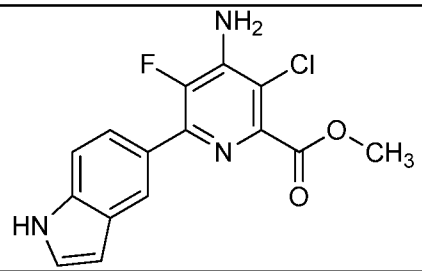
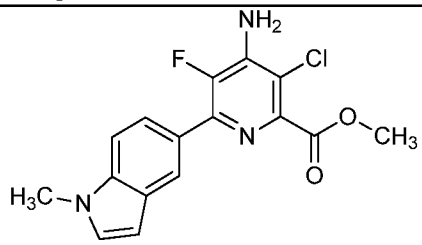


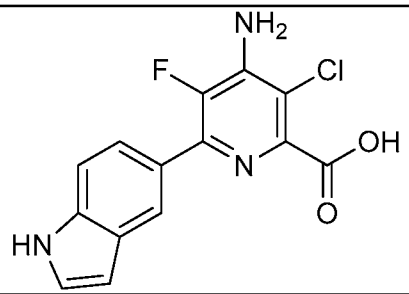
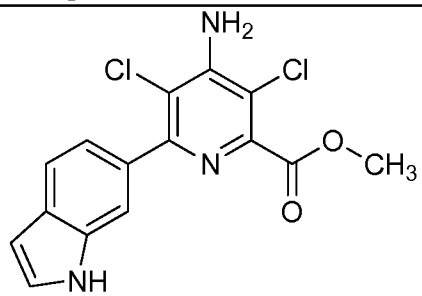
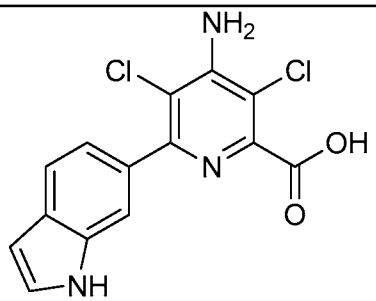
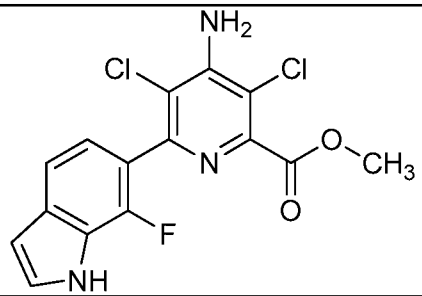
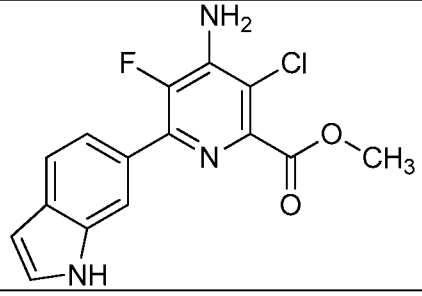
[00218] In a 100 mL round bottom flask, methyl 4-amino-6-(benzo[*b*]thiophen-5-yl)-3,5-dichloropicolinate (210 mg, 0.595 mmol) was dissolved in methanol (2.3 mL), tetrahydrofuran (2.3 mL), and H_2O (1.2 mL). Lithium hydroxide hydrate (74.8 mg, 1.784 mmol) was added as a solid. The reaction mixture was stirred at room temperature until complete. The reaction mixture was concentrated to dryness. The resulting residue was dissolved in H_2O (2.0 mL) and 1 N HCl was used to adjust the pH to 3.0, causing a precipitate to form. This suspension was extracted with ethyl acetate (3 x 15 mL). The organic extracts were combined, washed with saturated

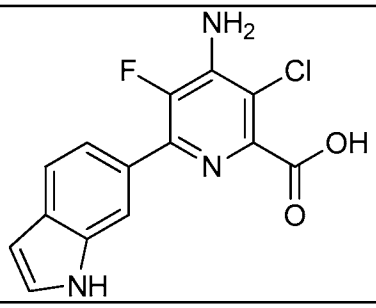
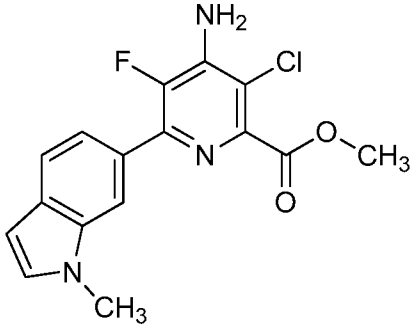
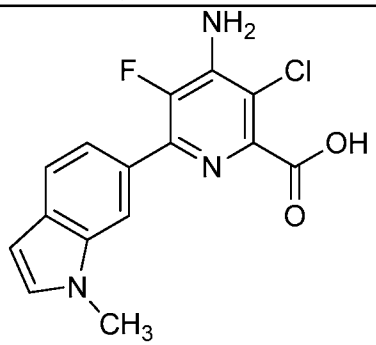
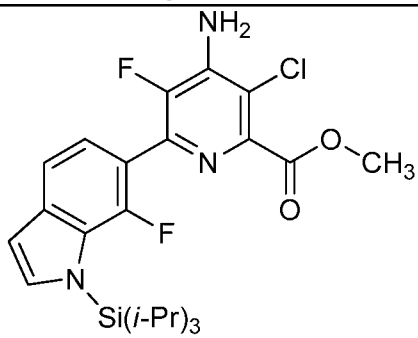
NaCl solution, dried ($MgSO_4$), filtered and concentrated. Additional purification of the resulting solid was performed, as needed, using a Teledyne ISCO reverse phase system with a gradient eluent system of acetonitrile and H_2O to yield the title compound as a white solid (110 mg, 55%).

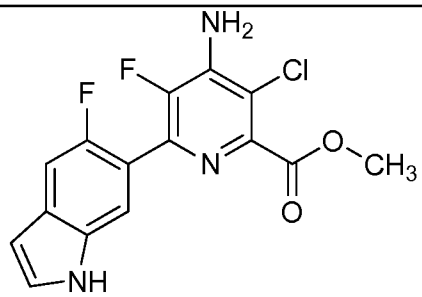
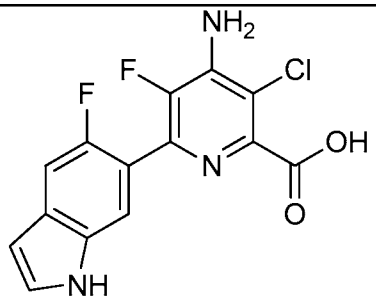
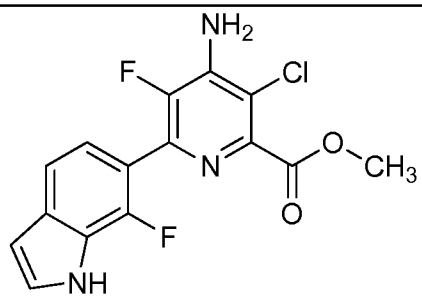
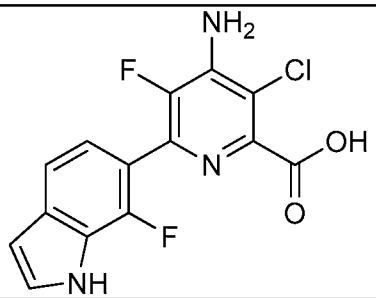
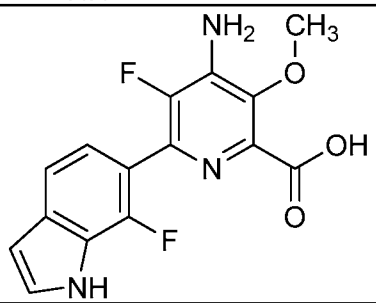
[00219] The preparation method used in this example is referred to in Table 10 as "Hydrolysis 2".

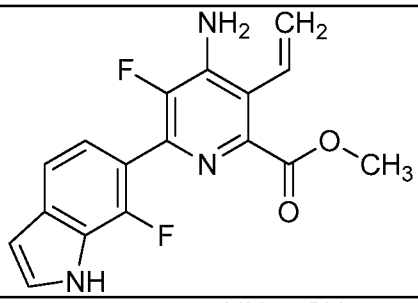
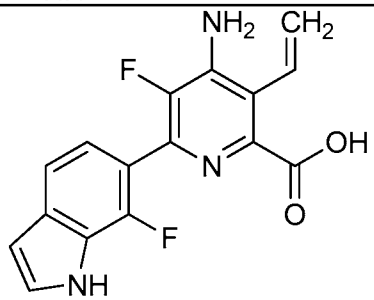
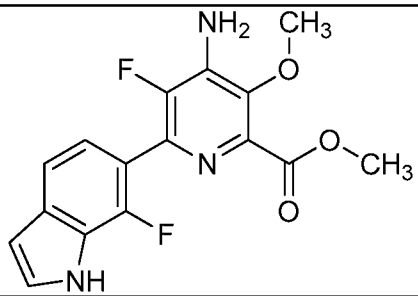
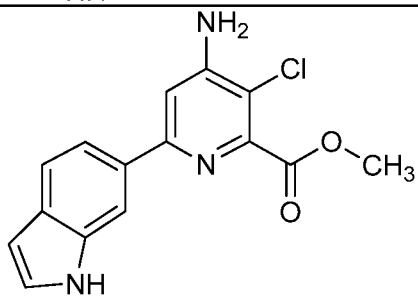
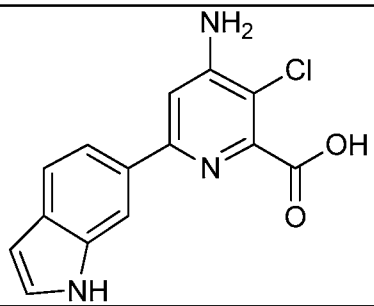
Table 10. Compound Number, Structure, Appearance, and Preparation Method

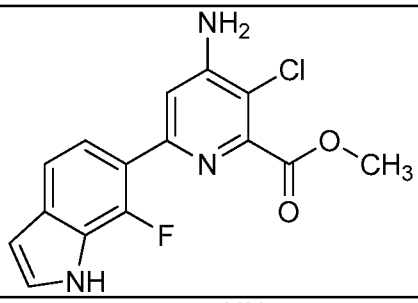
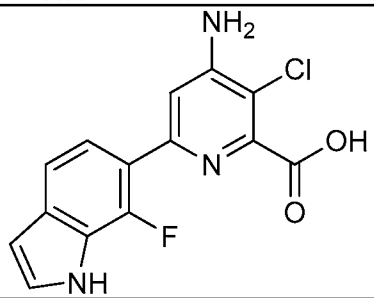
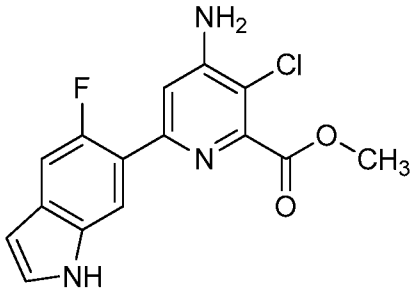
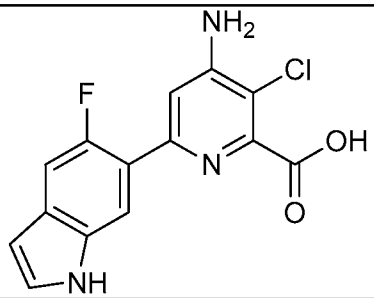
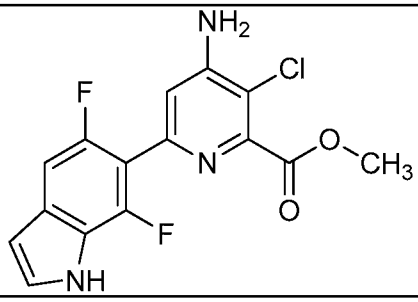
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.01		White Powder	Hydrolysis 1	Compound 1.03
1.02		Tan Powder	Coupling 2	As described
1.03		White Powder	Coupling 2	Head B; 1-Methyl-1*H*-indol-5-ylboronic acid

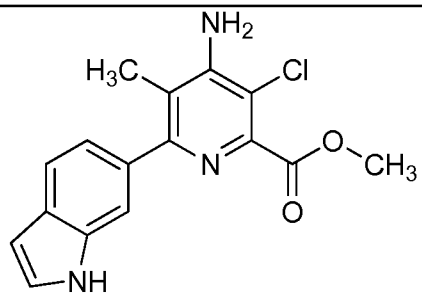
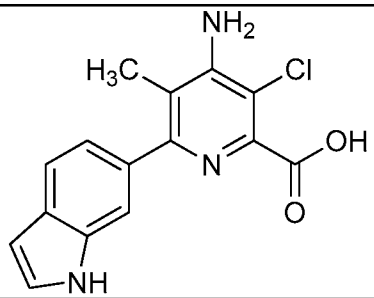
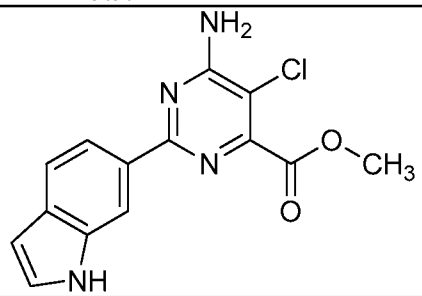
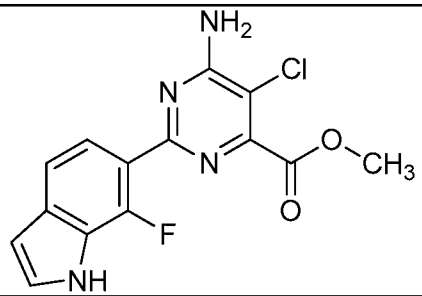
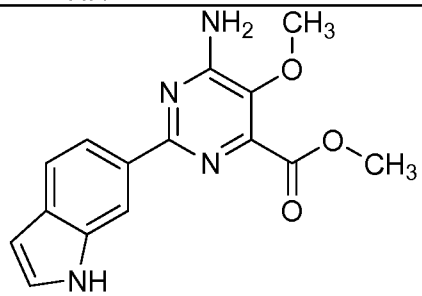
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.04		Tan Powder	Hydrolysis 1	Compound 1.02
1.05		Yellow Solid	Coupling 1	Head H; (1*H*-indol-6-yl)boronic acid
1.06		Yellow Solid	Hydrolysis 1	Compound 1.05
1.07		White Solid	Coupling 9	Head H
1.08		Off-White Foam	Coupling 2	Head B; 1*H*-Indol-6-ylboronic acid

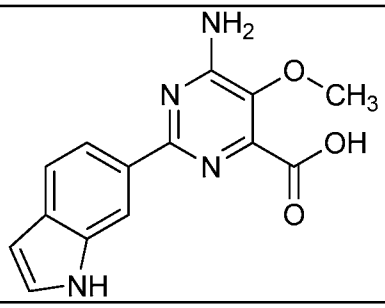
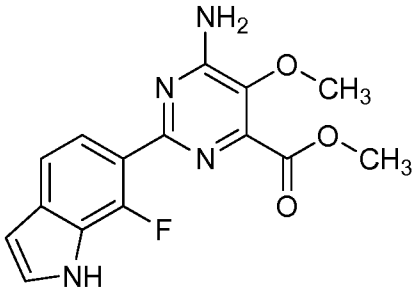
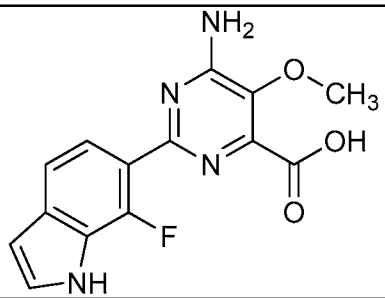
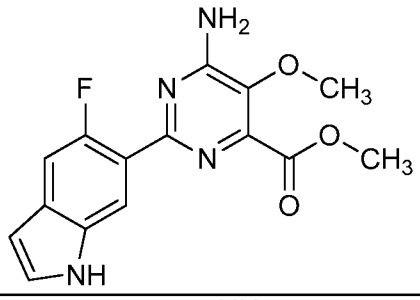
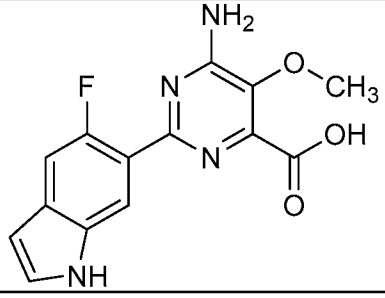
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.09		White Powder	Hydrolysis 1	Compound 1.08
1.10		Tan Powder	Coupling 2	Head B; 1-Methyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.11		Pale Yellow Powder	Hydrolysis 1	Compound 1.10
1.12		White Solid	Coupling 10	Head B

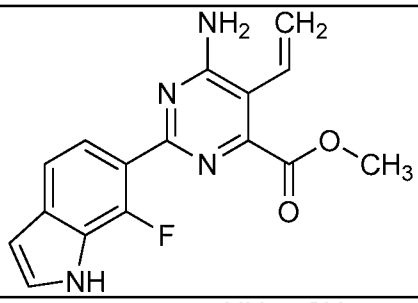
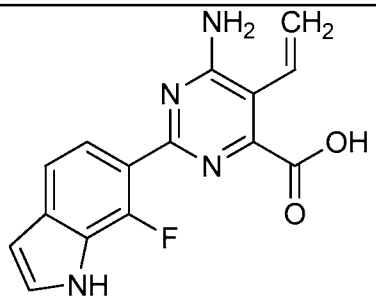
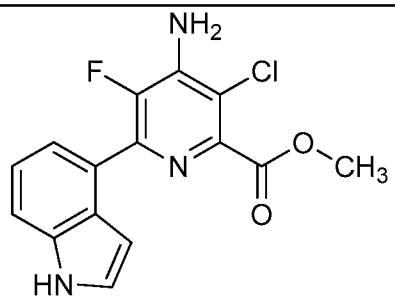
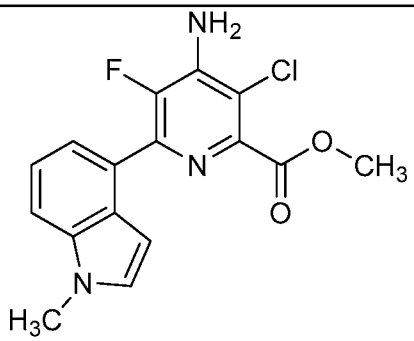
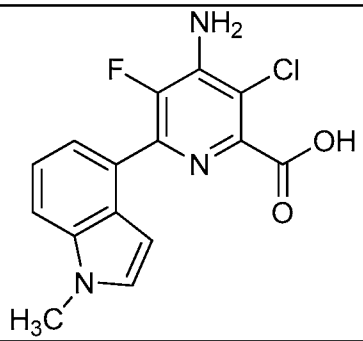
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.13		Off-White Solid	Coupling 4	Head B; 5-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.14		Tan Solid	Hydrolysis 2	Compound 1.13
1.15		White Solid	Coupling 4	Head B; 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.16		Tan Solid	Hydrolysis 2	Compound 1.15
1.17		White Solid	Hydrolysis 1	Compound 1.20

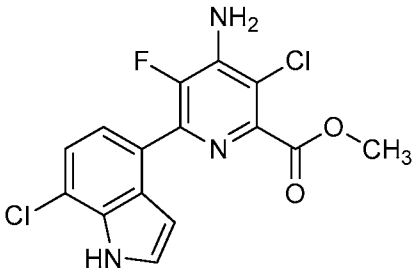
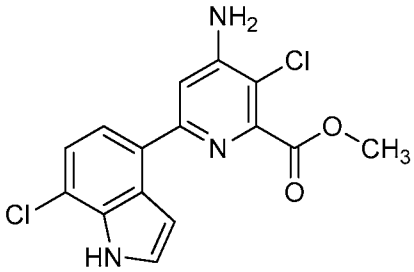
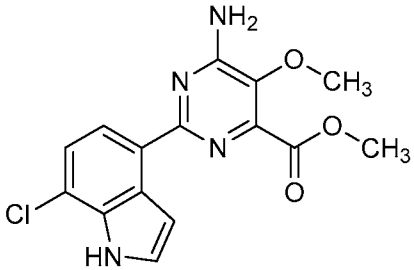
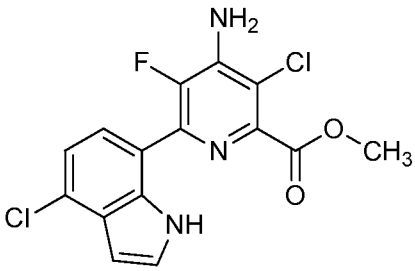
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.18		White Solid	Coupling 9	Head G
1.19		Tan Solid	Hydrolysis 1	Compound 1.18
1.20		White Solid	Coupling 8	Head F
1.21		White Solid	Coupling 1	Head A, (1*H*-indol-6-yl)boronic acid
1.22		Orange Solid	Hydrolysis 1	Compound 1.21

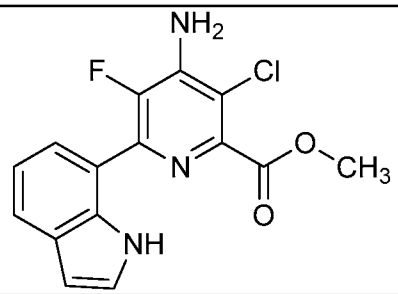
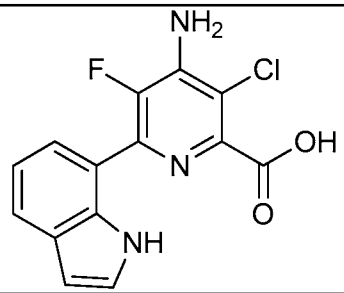
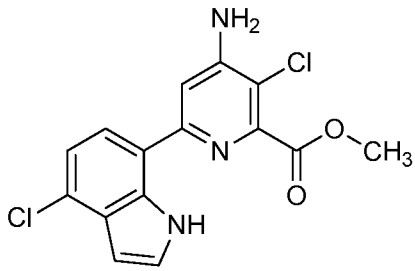
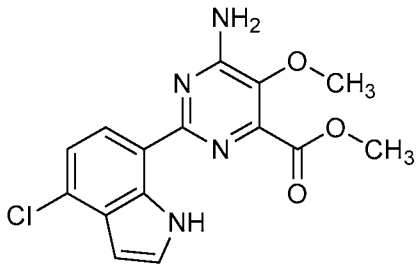
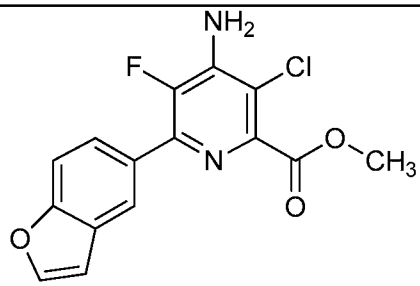
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.23		White Solid	Coupling 6	As described
1.24		Yellow Solid	Hydrolysis 2	Compound 1.23
1.25		White Solid	Coupling 6	Head L; 5-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.26		White Solid	Hydrolysis 2	Compound 1.25
1.27		White Solid	Coupling 7	Head K

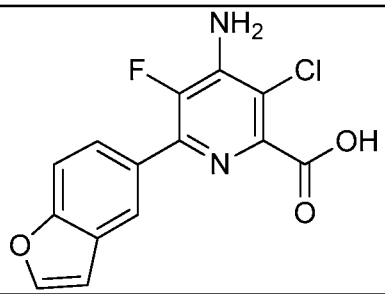
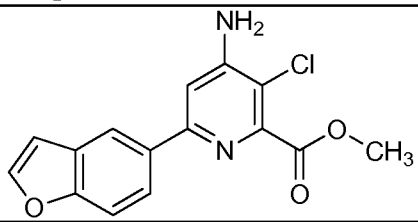
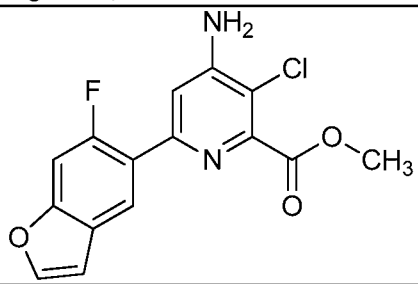
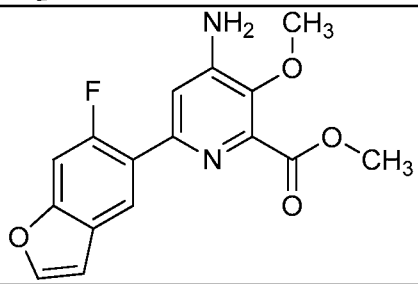
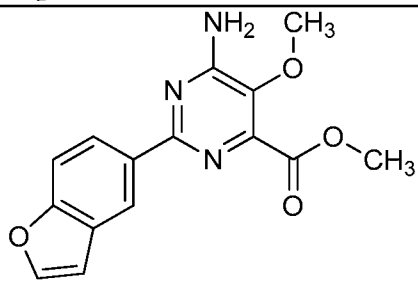
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.28		Yellow Powder	Coupling 1	Head D; (1*H*-indol-6-yl)boronic acid
1.29		Pale Pink Flaky Solid	Hydrolysis 1	Compound 1.28
1.30		White Solid	Coupling 1	Head E
1.31		Yellow Solid	Coupling 8	Head E
1.32		White Solid	Coupling 4	Head C; 6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole

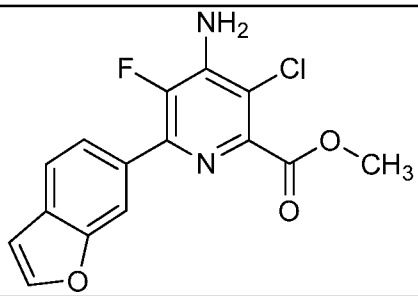
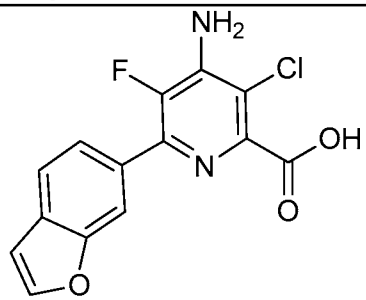
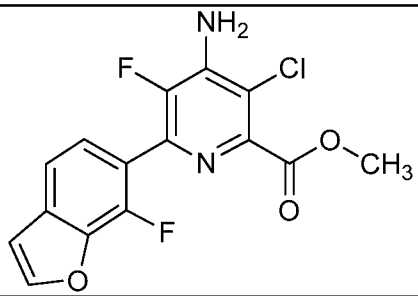
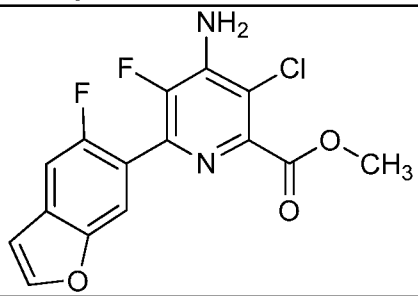
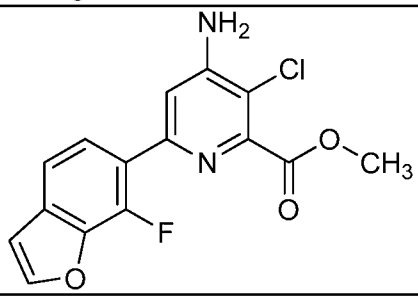
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.33		Yellow Solid	Hydrolysis 2	Compound 1.32
1.34		White Solid	Coupling 4	Head C; 7-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.35		Yellow Solid	Hydrolysis 2	Compound 1.34
1.36		White Solid	Coupling 4	Head C; 5-fluoro-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.37		Yellow Solid	Hydrolysis 2	Compound 1.36

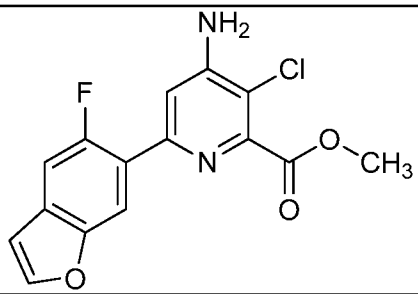
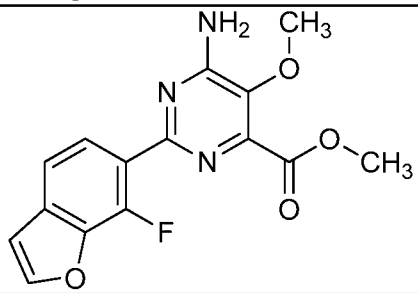
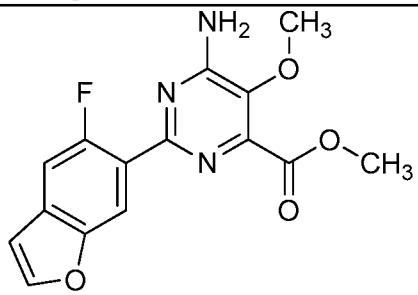
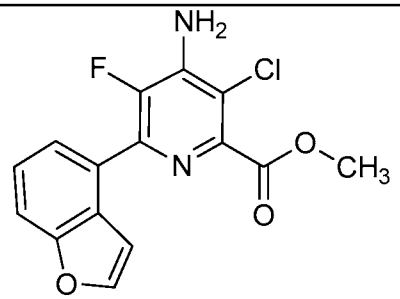
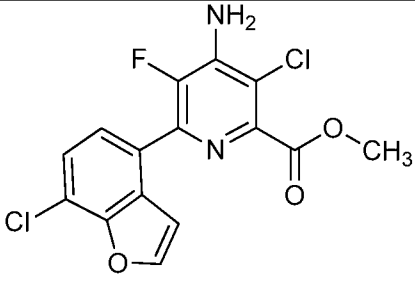
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.38		Tan Solid	Coupling 8	Head P
1.39		White Solid	Hydrolysis 1	Compound 1.38
1.40		White Solid	Coupling 1	Head B; 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.41		White Solid	Coupling 1	Head B; 1-methyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.42		Off-White Solid	Hydrolysis 1	Compound 1.41

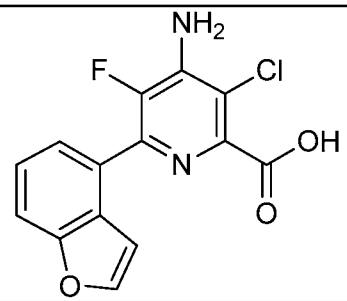
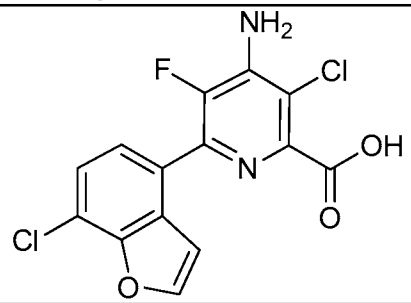
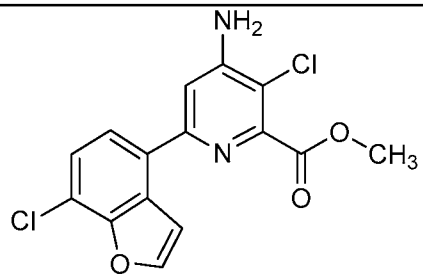
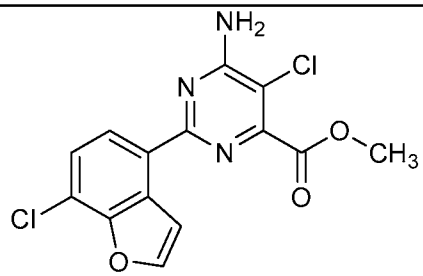
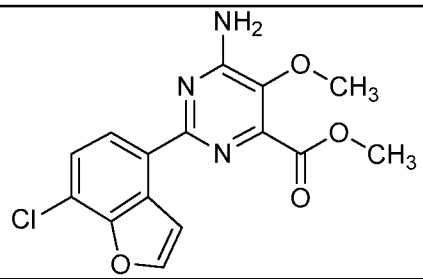
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.43		White Solid	Coupling 4	Head B; 7-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.44		Off-White Solid	Coupling 6	Head L; 7-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.45		Off-White Solid	Coupling 4	Head C; 7-chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.46		White Solid	Coupling 4	Head B; 4-chloro-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole

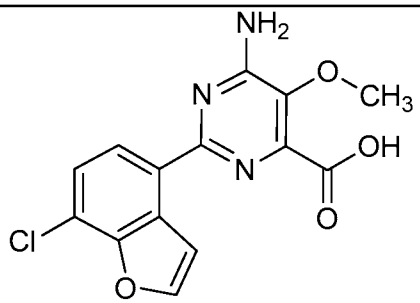
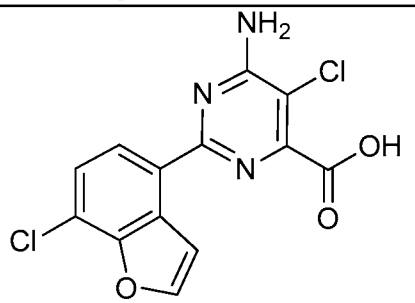
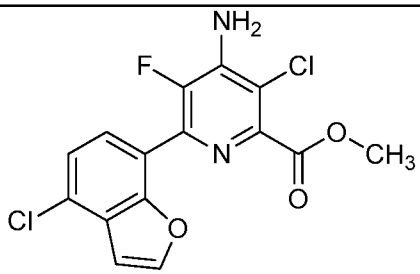
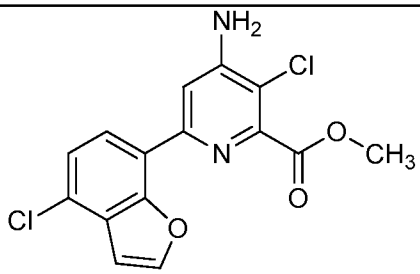
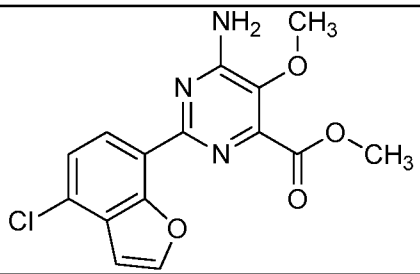
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
1.47		Off-White Solid	Coupling 1	Head B; 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.48		Tan Solid	Hydrolysis 1	Compound 1.47
1.49		Off-White Solid	Coupling 6	Head L; 4-chloro-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
1.50		Off-White Solid	Coupling 4	Head C; 4-chloro-7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indole
2.01		Yellow Solid	134	Head B; 2-(benzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

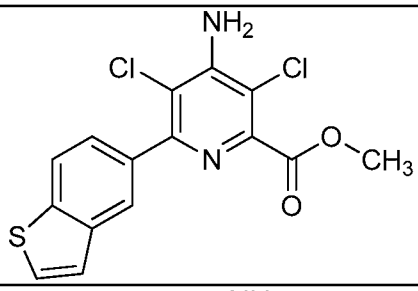
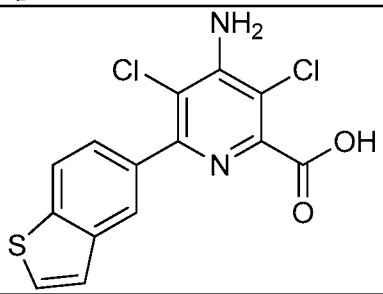
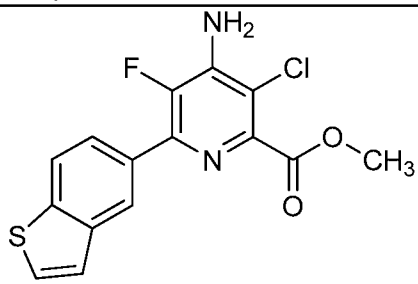
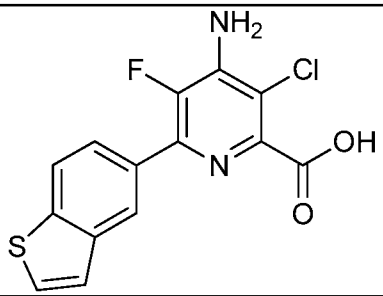
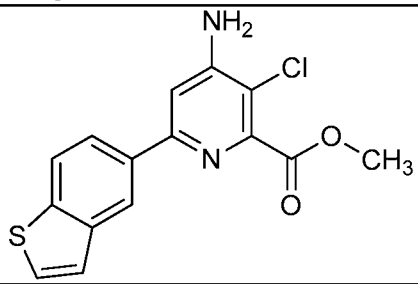
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
2.02		White Solid	Hydrolysis 1	Compound 2.01
2.03		Yellow Solid	Coupling 1	Head L; benzofuran-5-ylboronic acid
2.04		Off-White Solid	Coupling 1	Head A; 2-(6-fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.05		White Solid	Coupling 1	Head C; 2-(6-fluorobenzofuran-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.06		Lt Yellow Oil At Room Temp	Coupling 1	Head C; benzofuran-5-boronic acid

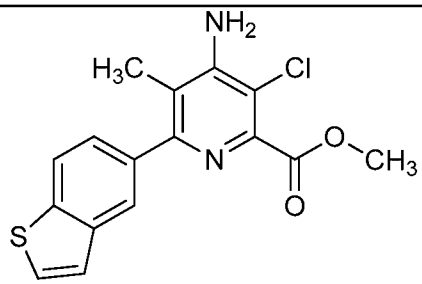
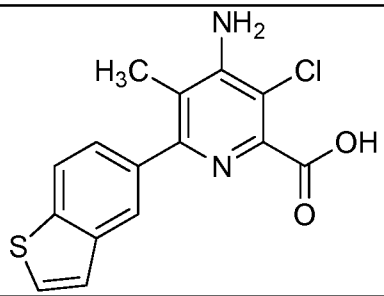
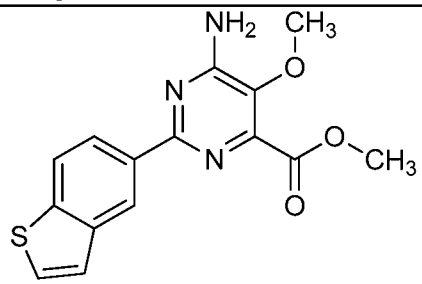
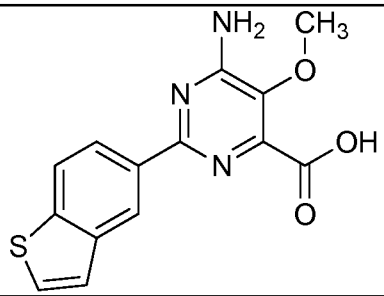
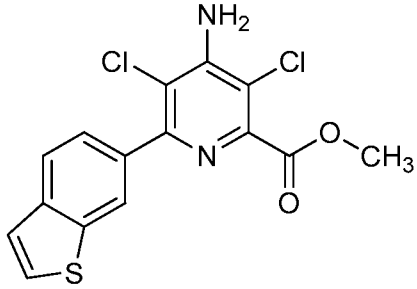
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
2.07		White Solid	134	Head B; 2-(benzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.08		Off-White Solid	Hydrolysis 1	Compound 2.07
2.09		Light Yellow Solid	Coupling 1	Head B; 2-(7-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.10		White Solid	Coupling 1	Head B; 2-(5-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.11		Off-White Solid	Coupling 1	Head A; 2-(7-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

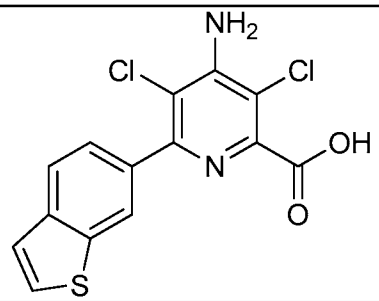
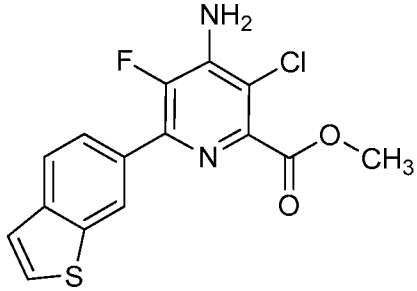
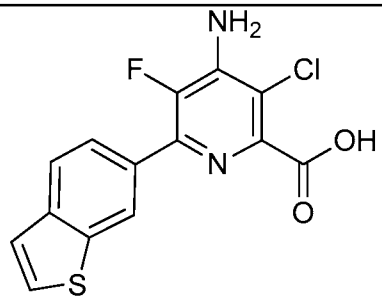
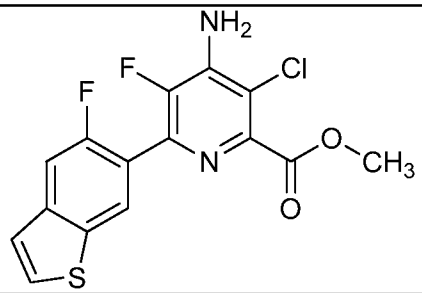
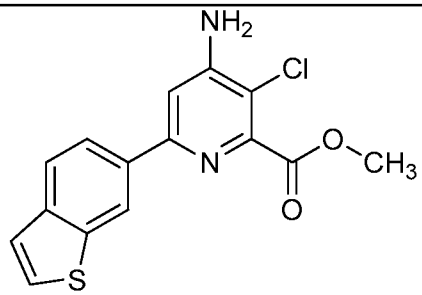
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
2.12		Beige Solid	Coupling 1	Head A; 2-(5-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.13		White Solid	Coupling 1	Head C; 2-(7-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.14		Off-White Solid	Coupling 1	Head C; 2-(5-fluorobenzofuran-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.15		White Solid	Coupling 5	Head B; 2-(benzofuran-4-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.16		White Solid	Coupling 5	Head B; 2-(7-chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane

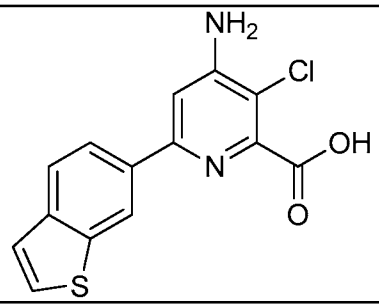
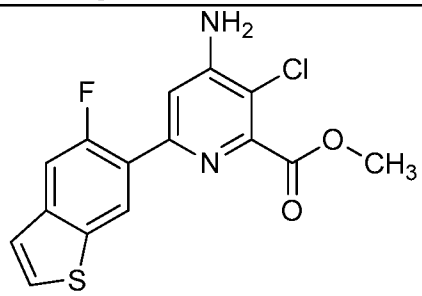
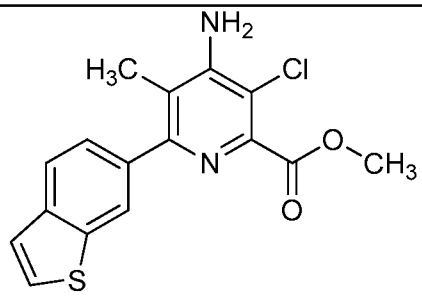
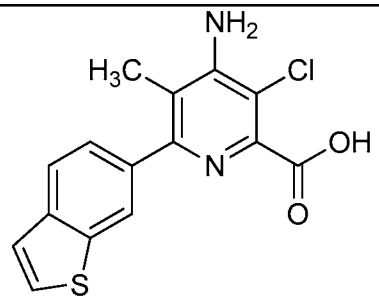
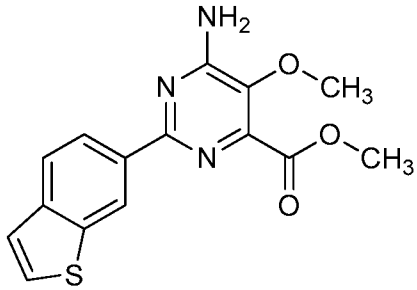
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
2.17		Tan Solid	Hydrolysis 1	Compound 2.15
2.18		Off-White Solid	Hydrolysis 1	Compound 2.16
2.19		Light Yellow Solid	Coupling 5	Head M; 2-(7-chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane
2.20		Tan Solid	Coupling 5	Head E; 2-(7-chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane
2.21		Tan Solid	Coupling 5	Head C; 2-(7-chlorobenzofuran-4-yl)-5,5-dimethyl-1,3,2-dioxaborinane

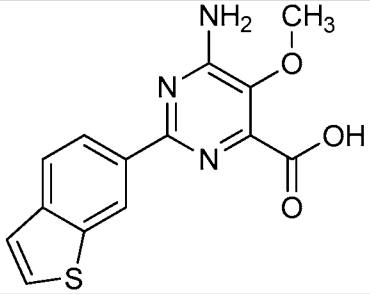
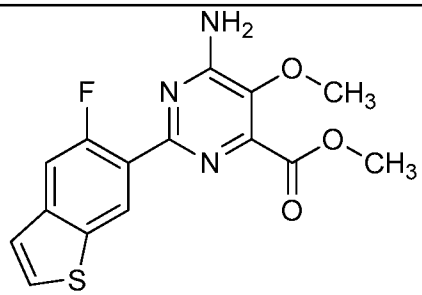
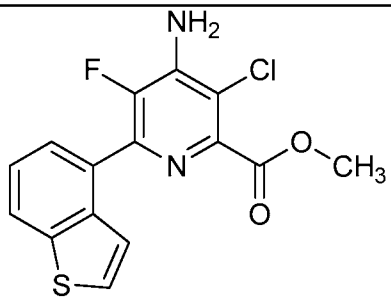
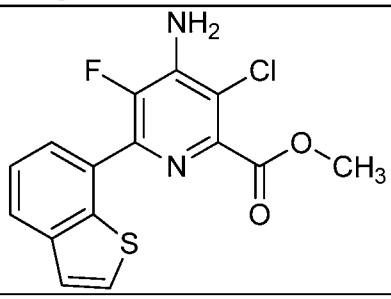
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
2.22		Tan Solid	Hydrolysis 1	Compound 2.21
2.23		Tan Solid	Hydrolysis 1	Compound 2.20
2.24		Off-White Solid	Coupling 1	Head B; 2-(4-chlorobenzofuran-7-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.25		Off-White Solid	Coupling 1	Head A; 2-(4-chlorobenzofuran-7-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
2.26		Off-White Solid	Coupling 1	Head C; 2-(4-chlorobenzofuran-7-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

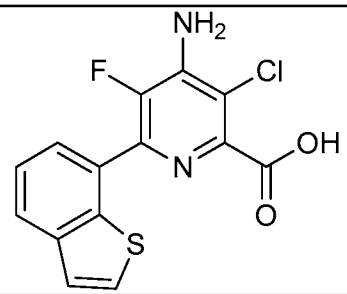
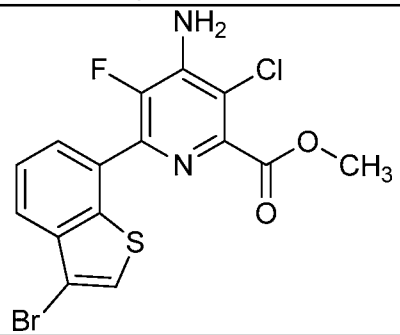
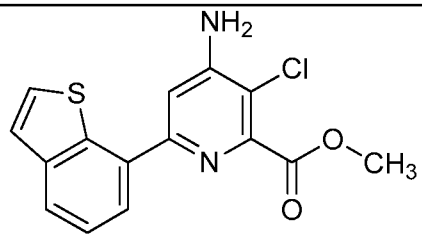
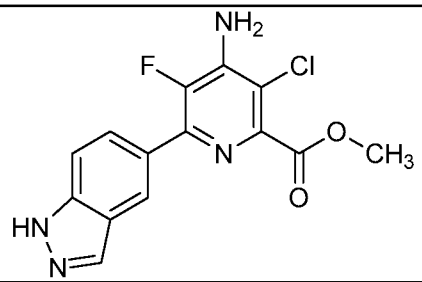
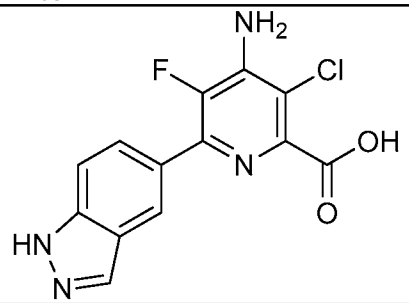
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.01		White Solid	Coupling 4	Head H; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.02		White Solid	Hydrolysis 2	Head H; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.03		White Solid	Coupling 2	Head B; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.04		Tan Solid	Hydrolysis 1	Compound 3.03
3.05		Yellow Solid	Coupling 1	Head L; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

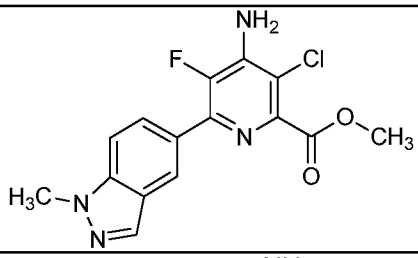
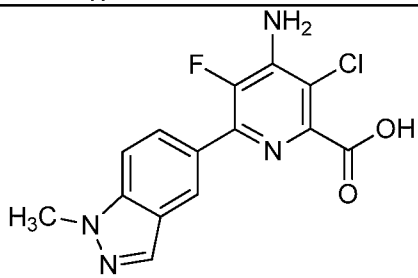
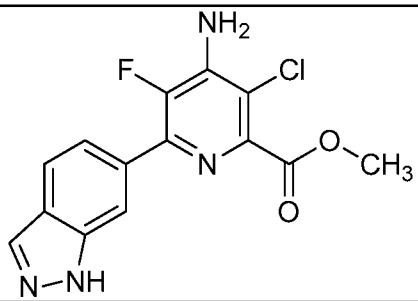
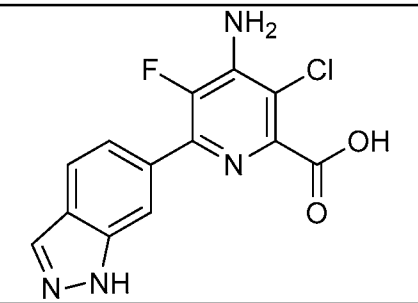
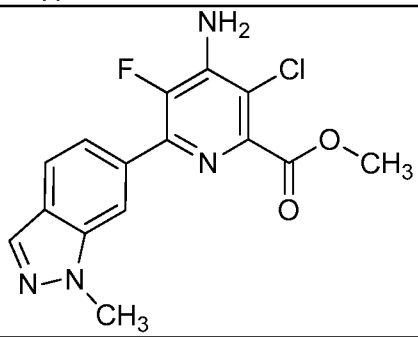
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.06		Off-White Solid	Coupling 1	Head D; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.07		Off-White Solid	Hydrolysis 1	Compound 3.06
3.08		White Solid	Coupling 4	Head C; 2-(benzo[*b*]thiophen-5-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.09		White Solid	Hydrolysis 2	Compound 3.08
3.10		White Solid	Coupling 1	Head H; 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

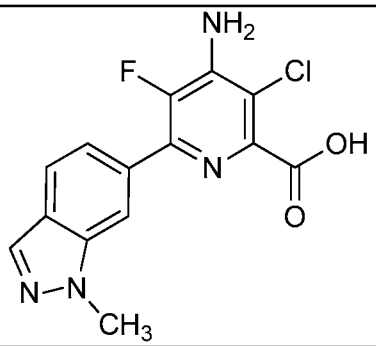
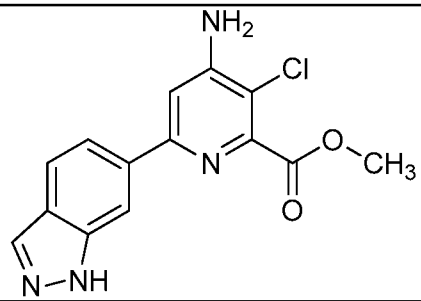
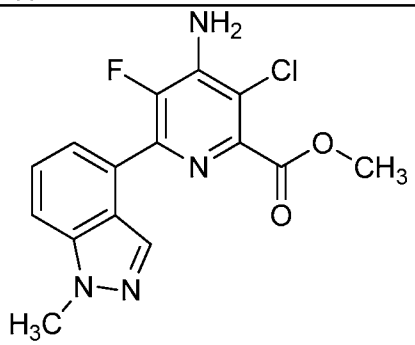
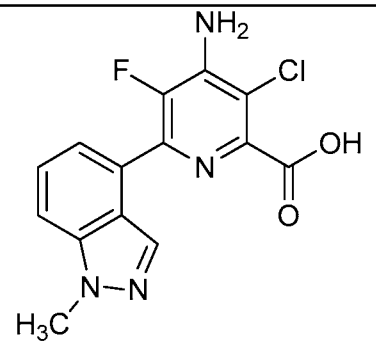
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.11		Yellow Solid	Hydrolysis 1	Compound 3.10
3.12		Light Yellow Solid	Coupling 5	Head B; 2-(benzothiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.13		Tan Solid	Hydrolysis 1	Compound 3.12
3.14		Light Yellow Solid	Coupling 1	Head B; 2-(5-fluorobenzothiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.15		Off-White Brittle Solid	Coupling 1	Head A; 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

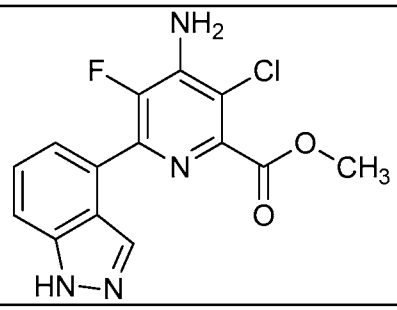
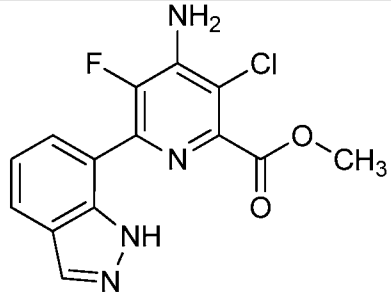
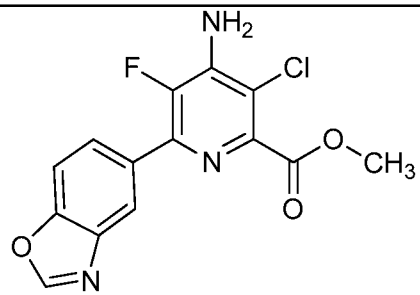
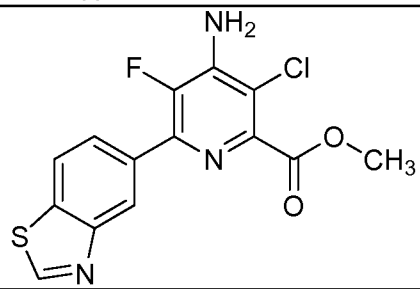
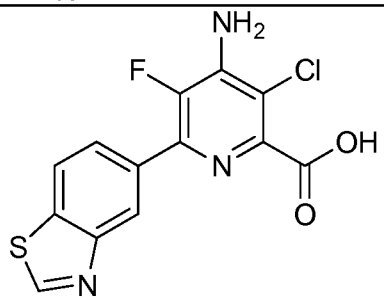
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.16		White Solid	Hydrolysis 1	Compound 3.15
3.17		White Solid	Coupling 1	Head A; 2-(5-fluorobenzothiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.18		Yellow Solid	Coupling 1	Head D; 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.19		Off-White Solid	Hydrolysis 1	Compound 3.18
3.20		Light Yellow Solid	Coupling 4	Head C; 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.21		White Solid	Hydrolysis 2	Compound 3.20
3.22		Off-White Solid	Coupling 1	Head C; 2-(5-fluorobenzothiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane
3.23		White Solid	Coupling 1	Head B; benzo[*b*]thiophen-4-ylboronic acid
3.24		Wh$_i$te Solid	Coupling 1	Head B; benzo[*b*]thiophen-7-ylboronic acid

Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
3.25		White Solid	Hydrolysis 1	Compound 3.24
3.26		Grey Solid	140	As described
3.27		Yellow Oil	Coupling 1	Head L; benzo[*b*]thiophen-7-ylboronic acid
4.01		White Powder	Coupling 2	Head B; 1*H*-Indazol-5-ylboronic acid
4.02		White Powder	Hydrolysis 1	Compound 4.01

Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
4.03		White Powder	Coupling 2	Head B; 1-Methyl-1*H*-indazol-5-ylboronic acid
4.04		White Powder	Hydrolysis 1	Compound 4.03
4.05		White Powder	Coupling 2	Head B; 1*H*-Indazol-6-ylboronic acid
4.06		Off-White Powder	Hydrolysis 1	Compound 4.05
4.07		White Powder	Coupling 2	Head B; 1-Methyl-1*H*-indazol-6-ylboronic acid

Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
4.08		White Powder	Hydrolysis 1	Compound 4.07
4.09		White Solid	Coupling 1	Head A, (1*H*-indazol-6-yl)boronic acid
4.10		Yellow Solid	Coupling 1	Head B; 1-methyl-4-(*4,4*,5,5-tetramethyl-1,3,2-dioxabor°lan-2-yl)-1*H*-indazole
4.11		Off-White Solid	Hydrolysis 1	Compound 4.10

Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
4.12		White Solid	Coupling 1	Head B; 1*H*-indazol-4-ylboronic acid
4.13		White Solid	Coupling 1	Head B; 7-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-indazole
5.01		Off White Solid	Coupling 5	Head B; benzooxazole-5-boronic acid pinacol ester
6.01		Light Brown Solid	Coupling 3	As described
6.02		Light Brown Solid	Hydrolysis 1	Compound 6.01

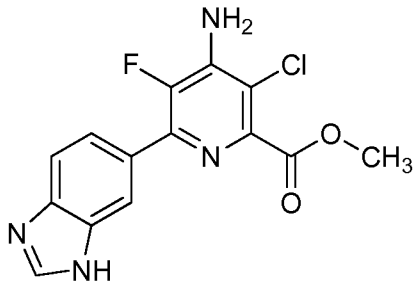
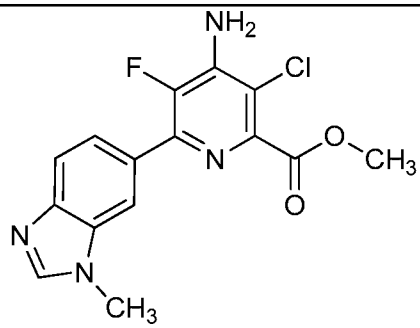
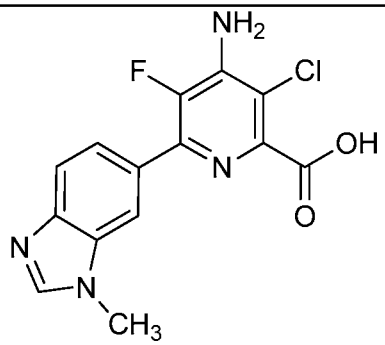
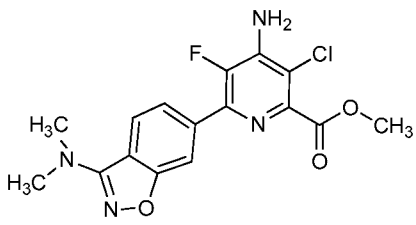
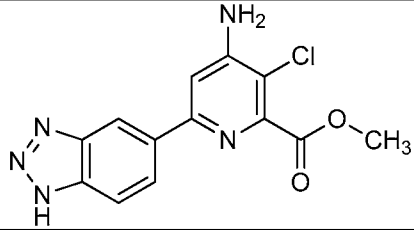
Com-pound Number	Structure	Appear-ance	Preparation Method:	Precursor(s)
7.01		Off-White Powder	Coupling 2	Head B; 6-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-1*H*-benzo[*d*]imidazole
7.02		White Powder	Coupling 2	Head B; 1-Methyl-1*H*-benzo[*d*]imidazol-6-ylboronic acid
7.03		White Powder	Hydrolysis 1	Compound 7.02
8.01		Yellow Solid	Coupling 1	Head B; *N,N*-dimethyl-6-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzo[*d*]isoxazol-3-amine
9.01		White Solid	Coupling 7	Head K; 6-bromo-1*H*-benzo[*d*][1,2,3]triazole

Table 11. Analytical Data for Compounds in Table 1

C. No.	MP (°C)	^{1}H NMR
1.01	166-168	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.06 (s, 1H), 7.67 (br d, J = 8 Hz, 1H), 7.53 (d, J = 8 Hz, 1H), 7.39 (d, J = 3 Hz, 1H), 6.77 (br s, 2H), 6.54 (d, J = 3 Hz, 1H), 3.83 (s, 3H)
1.02	221-224	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.04 (s, 1H), 7.59 (dt, J = 7, 1.5 Hz, 1H), 7.48 (d, J = 7 Hz, 1H), 7.41 (t, J = 3 Hz, 1H), 6.85 (br s, 2H), 6.54 (m, 1H), 3.89 (s, 3H)
1.03	125-127	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.21 (s, 1H), 7.82 (dt, J = 9, 1.5 Hz, 1H), 7.39 (d, J = 9 Hz, 1H), 7.08 (d, J = 3 Hz, 1H), 6.56 (d, J = 3 Hz, 1H), 4.84 (br s, 2H), 3.99 (s, 3H), 3.82 (s, 3H)
1.04	180-182	^{1}H NMR (300 MHz, DMSO-d_6) δ 11.26 (br s, 1H), 8.05 (s, 1H), 7.61 (dt, J = 9, 1.5 Hz, 1H), 7.48 (d, J = 9 Hz, 1H), 7.41 (t, J = 3 Hz, 1H), 7.67 (br s, 2H), 6.54 (m, 1H)
1.05	174-179	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (s, 1H), 7.65 (d, J = 8.2 Hz, 2H), 7.40 (dd, J = 8.3, 1.4 Hz, 1H), 7.23 – 7.17 (m, 1H), 6.54 – 6.48 (m, 1H), 5.30 (d, J = 3.9 Hz, 2H), 3.94 (s, 3H)
1.06	160-164	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.64 (s, 1H), 11.26 (s, 1H), 7.67 – 7.63 (m, 1H), 7.60 (d, J = 8.3 Hz, 1H), 7.48 – 7.41 (m, 1H), 7.25 (dd, J = 8.2, 1.5 Hz, 1H), 6.89 (s, 2H), 6.48 (dd, J = 2.5, 1.5 Hz, 1H)
1.07	185-190	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.79 (s, 1H), 7.94 (s, 2H), 7.55 (m, 1H), 7.52 (m, 1H), 7.40 (d, J = 8.4 Hz, 1H), 6.55 (m, 1H), 3.93 (s, 3H). ^{19}F NMR (376 MHz, DMSO-*d6*) δ -132.43. ESIMS *m/z* 321 [$(M+H)^+$].
1.08	66-69	^{1}H NMR (300 MHz, $CDCl_3$) δ 8.31 (br s, 1H), 8.02 (s, 1H), 7.71 (s, 2H), 7.29 (t, J = 3 Hz, 1H), 6.58 (m, 1H), 4.86 (br s, 2H), 3.99 (s, 3H)
1.09	138-140	^{1}H NMR (300 MHz, DMSO-d_6) δ 7.95 (s, 1H), 7.63 (d, J = 8 Hz, 1H), 7.54 (dt, J = 8, 2 Hz, 1H), 7.47 (t, J = 3 Hz, 1H), 6.79 (br s, 2H), 6.48 (m, 1H)
1.10	116-119	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (t, J = 1 Hz, 1H), 7.69 (br s, 2H), 7.13 (d, J = 3 Hz, 1H), 6.50 (dd, J = 3, 1 Hz, 1H), 4.85 (br s, 2H), 3.99 (s, 3H), 3.84 (s, 3H)
1.11	173-176	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.93 (s, 1H), 7.66 (d, J = 8.5 Hz, 1H), 7.59 (d, J = 8.5 Hz, 1H), 7.46 (d, J = 3 Hz, 1H), 6.50 (d, J = 3 Hz, 1H), 6.37 (br s, 2H), 3.87 (s, 3H)

C. No.	MP (°C)	^{1}H NMR
1.12	181-182	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 8.1 Hz, 1H), 7.40 (d, *J* = 3.2 Hz, 1H), 7.29 (dd, *J* = 8.1, 5.9 Hz, 1H), 4.90 (s, 2H), 3.98 (s, 3H), 1.68 (m, 3H), 1.14 (d, *J* = 7.6 Hz, 18H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -124.55, -124.65, -136.90, -137.00. ESIMS *m/z* 492 [$(M-H)^-$].
1.13		^{1}H NMR (DMSO-d_6) δ 3.88 (s, 3H), 6.49 (ddd, *J* = 2.9, 1.9, 0.8 Hz, 1H), 6.96 (s, 2H), 7.43 (d, *J* = 11.1 Hz, 1H), 7.50 (d, *J* = 6.0 Hz, 1H), 7.54 (t, *J* = 2.8 Hz, 1H), 11.32 (s, 1H)
1.14		^{1}H NMR (DMSO-d_6) δ 6.46 – 6.52 (m, 1H), 6.88 (s, 2H), 7.42 (d, *J* = 11.1 Hz, 1H), 7.49 – 7.56 (m, 2H), 11.33 (s, 1H), 13.56 (s, 1H)
1.15		^{1}H NMR (DMSO-d_6) δ 3.88 (s, 3H), 6.59 (td, *J* = 3.2, 1.9 Hz, 1H), 6.99 (s, 2H), 7.08 (dd, *J* = 8.2, 6.2 Hz, 1H), 7.47 (d, *J* = 8.2 Hz, 1H), 7.52 (t, *J* = 2.8 Hz, 1H), 11.82 (t, *J* = 2.2 Hz, 1H)
1.16		^{1}H NMR (DMSO-d_6) δ 6.59 (td, *J* = 3.2, 1.9 Hz, 1H), 6.90 (s, 2H), 7.10 (dd, *J* = 8.2, 6.2 Hz, 1H), 7.47 (d, *J* = 8.1 Hz, 1H), 7.51 (t, *J* = 2.8 Hz, 1H), 11.81 (s, 1H), 13.57 (s, 1H)
1.17	133-140	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.76 (s, 1H), 7.49 (dd, *J* = 3.0, 2.5 Hz, 1H), 7.44 (d, *J* = 7.9 Hz, 1H), 7.09 (dd, *J* = 8.2, 6.2 Hz, 1H), 6.57 (td, *J* = 3.3, 1.9 Hz, 1H), 6.41 (s, 2H), 3.80 (s, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -134.66, -134.73. ESIMS *m/z* 320 [$(M+H)^+$].
1.18	164-166	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.49 (dd, *J* = 8.2, 0.8 Hz, 1H), 7.35 – 7.28 (m, 2H), 6.94 (dd, *J* = 18.1, 11.5 Hz, 1H), 6.61 (td, *J* = 3.4, 2.1 Hz, 1H), 5.72 (dd, *J* = 11.5, 1.5 Hz, 1H), 5.60 (dd, *J* = 18.1, 1.5 Hz, 1H), 4.72 (s, 2H), 3.91 (s, 2H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -135.79, -135.87, -140.98, -141.07. ESIMS *m/z* 330 [$(M+H)^+$].
1.19		^{1}H NMR (400 MHz, DMSO-d_6) δ 11.76 (d, *J* = 16.4 Hz, 1H), 7.48 (m, 1H), 7.11 (dd, *J* = 8.2, 6.2 Hz, 1H), 6.79 (dd, *J* = 17.8, 11.5 Hz, 1H), 6.58 (dd, *J* = 5.1, 3.2 Hz, 1H), 6.38 (s, 1H), 5.56 (m, 1H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -134.07, -134.15, -143.26, -143.34. ESIMS *m/z* 316 [$(M+H)^+$].
1.20	203-205	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.76 (s, 1H), 7.49 (dd, *J* = 6.0, 3.3 Hz, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.05 (dd, *J* = 8.1, 6.3 Hz, 1H), 6.57 (m, 1H), 6.49 (s, 2H), 3.84 (s, 3H), 3.79 (s, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -134.75, -134.82, -138.34, -138.42. ESIMS *m/z* 334 [$(M+H)^+$].
1.21	83-85	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.20 (s, 1H), 8.00 (m, 1H), 7.59 (m, 1H), 7.53 (m, 1H), 7.43 (dd, *J* = 3.1, 2.4 Hz, 1H), 7.32 (s, 1H), 6.61 (s, 2H), 6.45 (s, 1H), 3.91 (s, 3H)

C. No.	MP (°C)	^{1}H NMR
1.22	172-174	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.47 (s, 1H), 7.94 (d, J = 1.2 Hz, 1H), 7.67 (d, J = 8.3 Hz, 2H), 7.52 (t, J = 2.8 Hz, 1H), 7.46 (dd, J = 8.4, 1.7 Hz, 1H), 6.51 (t, J = 2.5 Hz, 1H), NaN (m, 2H)
1.23		^{1}H NMR (DMSO-d_6) δ 3.89 (s, 3H), 6.54 (td, J = 3.4, 1.9 Hz, 1H), 6.75 (s, 2H), 7.31 (d, J = 1.5 Hz, 1H), 7.37 – 7.52 (m, 3H), 11.76 (s, 1H)
1.24		^{1}H NMR (DMSO-d_6) δ 6.50 – 6.62 (m, 1H), 6.71 (s, 2H), 7.27 (d, J = 1.5 Hz, 1H), 7.41 (d, J = 8.3 Hz, 1H), 7.45 – 7.53 (m, 2H), 11.76 (d, J = 2.4 Hz, 1H), 13.48 (s, 1H)
1.25		^{1}H NMR (DMSO-d_6) δ 3.90 (s, 3H), 6.45 (ddd, J = 2.9, 1.9, 0.9 Hz, 1H), 6.75 (s, 2H), 7.29 (d, J = 1.7 Hz, 1H), 7.40 (d, J = 12.7 Hz, 1H), 7.52 (t, J = 2.8 Hz, 1H), 7.93 (dd, J = 6.8, 0.8 Hz, 1H), 11.27 (t, J = 2.3 Hz, 1H)
1.26		^{1}H NMR (DMSO-d_6) δ 6.45 (t, J = 2.4 Hz, 1H), 6.68 (s, 2H), 7.24 (d, J = 1.6 Hz, 1H), 7.40 (d, J = 12.8 Hz, 1H), 7.52 (t, J = 2.8 Hz, 1H), 7.95 (d, J = 6.7 Hz, 1H), 11.29 (s, 1H), 13.54 (s, 1H)
1.27	169-171	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 7.29 (t, J = 2.7 Hz, 1H), 7.16 (d, J = 10.0 Hz, 1H), 6.93 (dd, J = 1.5, 0.8 Hz, 1H), 6.54 (s, 1H), 4.82 (s, 2H), 3.98 (s, 3H). ^{19}F NMR (376 MHz, $CDCl_3$) δ -126.04, -135.41. ESIMS m/z 336 [$(M-H)^-$].
1.28	231-234	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.15 (s, 1H), 7.57 (d, J = 8.1 Hz, 1H), 7.42 (dd, J = 6.3, 3.6 Hz, 2H), 7.05 (dd, J = 8.2, 1.5 Hz, 1H), 6.47 (dd, J = 2.5, 1.6 Hz, 1H), 6.39 (s, 2H), 3.85 (s, 3H), 2.14 (s, 3H)
1.29	168-175	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.31 (s, 1H), 7.66 – 7.60 (m, 1H), 7.49 (s, 1H), 7.48 – 7.43 (m, 1H), 7.07 (dt, J = 15.8, 7.9 Hz, 3H), 6.53 – 6.48 (m, 1H), 2.13 (s, 3H)
1.30	240-242	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 8.37 (s, 1H), 7.96 (dd, J = 8.4, 1.5 Hz, 1H), 7.58 (d, J = 8.4 Hz, 1H), 7.50 (m, 1H), 6.47 (d, J = 1.1 Hz, 1H), 3.94 (s, 3H); ESIMS m/z 303 [$(M+H)^+$].
1.31	185-190	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.79 (s, 1H), 7.94 (s, 2H), 7.55 (m, 1H), 7.52 (m, 1H), 7.40 (d, J = 8.4 Hz, 1H), 6.55 (m, 1H), 3.93 (s, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -132.43. ESIMS m/z 321 [$(M+H)^+$].
1.32	190-191	^{1}H NMR (DMSO-d_6) δ 3.74 (s, 3H), 3.92 (s, 3H), 6.46 (ddd, J = 3.0, 1.9, 0.9 Hz, 1H), 7.27 (s, 2H), 7.46 (t, J = 2.7 Hz, 1H), 7.56 (d, J = 8.4 Hz, 1H), 7.94 (dd, J = 8.4, 1.5 Hz, 1H), 8.33 (d, J = 1.1 Hz, 1H), 11.26 (d, J = 2.3 Hz, 1H).

C. No.	MP (°C)	^{1}H NMR
1.33	154-157	^{1}H NMR (DMSO-d_6) δ 3.75 (s, 3H), 6.41 – 6.50 (m, 1H), 7.20 (s, 2H), 7.46 (t, J = 2.7 Hz, 1H), 7.56 (d, J = 8.4 Hz, 1H), 7.96 (dd, J = 8.4, 1.5 Hz, 1H), 8.25 – 8.46 (m, 1H), 11.27 (s, 1H)
1.34		^{1}H NMR (DMSO-d_6) δ 3.75 (s, 3H), 3.90 (s, 3H), 6.53 (td, J = 3.2, 1.9 Hz, 1H), 7.37 (d, J = 8.3 Hz, 3H), 7.44 – 7.54 (m, 2H), 11.71 (s, 1H)
1.35		^{1}H NMR (DMSO-d_6) δ 3.77 (s, 3H), 6.53 (td, J = 3.2, 1.9 Hz, 1H), 7.12 – 7.35 (m, 2H), 7.37 (d, J = 8.3 Hz, 1H), 7.46 – 7.58 (m, 2H), 11.72 (t, J = 2.2 Hz, 1H), 13.49 (s, 1H)
1.36		^{1}H NMR (DMSO-d_6) δ 3.76 (s, 3H), 3.89 (s, 3H), 6.44 (ddd, J = 3.0, 1.8, 0.9 Hz, 1H), 7.32 (d, J = 11.9 Hz, 3H), 7.51 (t, J = 2.8 Hz, 1H), 7.85 (d, J = 6.5 Hz, 1H), 11.30 (s, 1H)
1.37		^{1}H NMR (DMSO-d_6) δ 3.75 (s, 3H), 6.43 (ddd, J = 2.9, 1.9, 0.8 Hz, 1H), 7.10 – 7.46 (m, 3H), 7.50 (t, J = 2.7 Hz, 1H), 7.85 (dd, J = 6.4, 0.8 Hz, 1H), 11.29 (t, J = 2.3 Hz, 1H), 13.48 (s, 1H)
1.38	172-173	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.75 (s, 1H), 7.55 (dd, J = 8.3, 6.7 Hz, 1H), 7.50 (m, 1H), 7.38 (d, J = 8.4 Hz, 1H), 7.21 (s, 1H), 6.67 (dd, J = 17.6, 11.5 Hz, 1H), 6.54 (dd, J = 5.1, 3.2 Hz, 1H), 5.48 (ddd, J = 11.4, 7.3, 1.1 Hz, 1H), 3.83 (s, 1H), 3.33 (s, 1H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -132.89. ESIMS m/z 313 [(M+H)$^+$].
1.39	209-211	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.51 (s, 1H), 11.75 (s, 1H), 7.56 (m, 1H), 7.50 (t, J = 2.5 Hz, 1H), 7.38 (d, J = 8.3 Hz, 1H), 7.14 (s, 1H), 6.67 (dd, J = 17.7, 11.5 Hz, 1H), 6.54 (s, 1H), 5.60 (d, J = 17.8 Hz, 1H), 5.49 (d, J = 11.4 Hz, 1H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -132.98. ESIMS m/z 299 [(M+H)$^+$].
1.40	233-236	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.51 – 7.45 (m, 2H), 7.32 – 7.28 (m, 2H), 6.93 – 6.79 (m, 1H), 4.90 (s, 2H), 3.98 (s, 3H)
1.41	167-169	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (ddd, J = 7.3, 2.1, 0.8 Hz, 1H), 7.41 (d, J = 8.2 Hz, 1H), 7.33 – 7.28 (m, 1H), 7.13 (d, J = 3.1 Hz, 1H), 6.79 – 6.68 (m, 1H), 4.89 (s, 2H), 3.98 (s, 3H), 3.83 (s, 3H)
1.42	158-160	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.55 (d, J = 7.5 Hz, 1H), 7.38 (d, J = 3.1 Hz, 1H), 7.32 – 7.22 (m, 2H), 6.77 (s, 2H), 6.50 (t, J = 2.3 Hz, 1H), 3.84 (s, 3H)
1.43		^{1}H NMR (DMSO-d_6) δ 3.88 (s, 3H), 6.61 (dt, J = 3.1, 2.0 Hz, 1H), 6.95 (s, 2H), 7.22 – 7.35 (m, 2H), 7.49 (t, J = 2.8 Hz, 1H), 11.65 (s, 1H)

C. No.	MP (°C)	^{1}H NMR
1.44	116	^{1}H NMR (DMSO-d_6) δ 3.91 (s, 3H), 6.74 (s, 2H), 6.97 (dd, J = 3.2, 1.8 Hz, 1H), 7.28 (d, J = 8.0 Hz, 1H), 7.36 (s, 1H), 7.43 (d, J = 8.0 Hz, 1H), 7.54 (t, J = 2.8 Hz, 1H), 11.65 (s, 1H)
1.45	226	^{1}H NMR (DMSO-d_6) δ 3.76 (s, 3H), 3.93 (s, 3H), 7.25 (d, J = 8.1 Hz, 1H), 7.34 (s, 2H), 7.49 (t, J = 2.8 Hz, 1H), 7.59 (dd, J = 3.0, 2.0 Hz, 1H), 7.99 (d, J = 8.2 Hz, 1H), 11.55 (s, 1H)
1.46		^{1}H NMR (DMSO-d_6) δ 3.93 (s, 3H), 6.60 (dd, J = 3.2, 2.0 Hz, 1H), 7.03 (s, 2H), 7.24 (d, J = 8.0 Hz, 1H), 7.50 (dd, J = 8.0, 0.9 Hz, 1H), 7.55 (t, J = 2.8 Hz, 1H), 11.44 (s, 1H)
1.47	96-100	^{1}H NMR (300 MHz, $CDCl_3$) δ 11.33 (s, 1H), 7.97 (d, J = 7.7 Hz, 1H), 7.76 (d, J = 7.8 Hz, 1H), 7.37 – 7.29 (m, 1H), 7.18 (t, J = 7.7 Hz, 1H), 6.65 – 6.55 (m, 1H), 4.83 (s, 2H), 4.03 (s, 3H)
1.48	171-175	^{1}H NMR (400 MHz, DMSO-d_6) δ 11.12 (s, 1H), 7.68 (d, J = 7.8 Hz, 1H), 7.51 (d, J = 7.4 Hz, 1H), 7.41 (t, J = 2.8 Hz, 1H), 7.13 (t, J = 7.6 Hz, 1H), 6.89 (s, 2H), 6.53 (dd, J = 3.0, 2.1 Hz, 1H)
1.49	186-188	^{1}H NMR (DMSO-d_6) δ 3.96 (s, 3H), 6.57 (dd, J = 3.2, 2.2 Hz, 1H), 6.81 (s, 2H), 7.23 (d, J = 8.0 Hz, 1H), 7.45 (s, 1H), 7.53 (d, J = 8.1 Hz, 1H), 7.55 – 7.59 (m, 1H), 11.51 (s, 1H)
1.50	147-149	^{1}H NMR (DMSO-d_6) δ 3.78 (s, 3H), 3.94 (s, 3H), 6.60 (dd, J = 3.2, 2.2 Hz, 1H), 7.20 (d, J = 8.1 Hz, 1H), 7.29 – 7.88 (m, 3H), 8.09 (d, J = 8.2 Hz, 1H), 11.75 (s, 1H)
2.01	114-117	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (t, J = 1.4 Hz, 1H), 7.87 (dt, J = 8.7, 1.8 Hz, 1H), 7.66 (d, J = 2.2 Hz, 1H), 7.61 – 7.54 (m, 1H), 6.86 – 6.81 (m, 1H), 4.90 (s, 2H), 4.00 (s, 3H)
2.02	165-167	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.60 (s, 1H), 8.13 (s, 1H), 8.07 (d, J = 2.2 Hz, 1H), 7.80 (d, J = 8.7 Hz, 1H), 7.75 – 7.64 (m, 1H), 7.07 (dd, J = 7.9, 6.5 Hz, 1H), 6.88 (s, 2H)
2.03	84-87	^{1}H NMR (400 MHz, DMSO-d_6) δ 3.90 (d, J = 3.3 Hz, 3H), 6.75 (d, J = 19.2 Hz, 2H), 6.92 – 8.22 (m, 6H)
2.04	98	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, J = 7.7 Hz, 1H), 7.63 (d, J = 2.2 Hz, 1H), 7.28 (d, J = 11.2 Hz, 1H), 7.22 (d, J = 2.0 Hz, 1H), 6.79 (dd, J = 2.2, 0.9 Hz, 1H), 4.80 (s, 2H), 4.01 (s, 3H)
2.05	160	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, J = 7.4 Hz, 1H), 7.63 (d, J = 2.2 Hz, 1H), 7.29 (d, J = 10.6 Hz, 1H), 6.78 (dd, J = 2.2, 0.9 Hz, 1H), 5.40 (s,

C. No.	MP (°C)	^{1}H NMR
		2H), 4.01 (s, 3H), 3.95 (s, 3H)
2.06		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.7, 0.8 Hz, 1H), 7.79 (dd, *J* = 2.1, 0.9 Hz, 1H), 7.69 (d, *J* = 2.2 Hz, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 6.79 (dd, *J* = 2.1, 1.0 Hz, 1H), 5.32 (s, 2H), 3.95 (s, 3H), 3.93 (s, 3H)
2.07		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.88 – 7.83 (m, 1H), 7.70 (t, *J* = 2.5 Hz, 1H), 7.69 – 7.66 (m, 1H), 6.81 (dd, *J* = 2.2, 1.0 Hz, 1H), 4.91 (s, 2H), 4.00 (d, *J* = 1.5 Hz, 3H)
2.08	168-170	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.59 (s, 1H), 8.11 (d, *J* = 2.2 Hz, 1H), 8.03 (s, 1H), 7.77 (s, 2H), 7.04 (dd, *J* = 2.1, 0.9 Hz, 1H), 6.90 (s, 2H)
2.09	151	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 2.1 Hz, 1H), 7.48 – 7.41 (m, 2H), 6.85 (s, 1H), 4.94 (s, 2H), 3.97 (d, *J* = 5.6 Hz, 3H)
2.10	109	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (t, *J* = 3.3 Hz, 2H), 7.34 (dd, *J* = 9.5, 5.3 Hz, 1H), 6.79 (dd, *J* = 2.2, 0.9 Hz, 1H), 4.93 (s, 2H), 3.98 (s, 3H)
2.11	148	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 8.2, 6.5 Hz, 1H), 7.71 (d, *J* = 2.1 Hz, 1H), 7.42 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 1.6 Hz, 1H), 6.82 (dd, *J* = 3.0, 2.2 Hz, 1H), 4.82 (s, 2H), 4.01 (s, 3H)
2.12	130	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 5.7 Hz, 1H), 7.70 (d, *J* = 2.2 Hz, 1H), 7.35 – 7.28 (m, 2H), 6.75 (dd, *J* = 2.2, 0.9 Hz, 1H), 4.80 (s, 2H), 4.01 (s, 3H)
2.13	178	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.2, 6.3 Hz, 1H), 7.71 (d, *J* = 2.1 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 6.84 – 6.75 (m, 1H), 5.40 (s, 2H), 4.01 (s, 3H), 3.95 (s, 3H)
2.14	153	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 5.9 Hz, 1H), 7.70 (t, *J* = 3.4 Hz, 1H), 7.32 (d, *J* = 10.6 Hz, 1H), 6.75 (dd, *J* = 2.2, 0.9 Hz, 1H), 5.39 (s, 2H), 4.01 (s, 3H), 3.96 (s, 3H)
2.15	100-103	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 – 7.69 (m, 1H), 7.68 – 7.63 (m, 1H), 7.59 (d, *J* = 8.3 Hz, 1H), 7.42 – 7.36 (m, 1H), 7.20 – 7.15 (m, 1H), 4.94 (s, 2H), 4.00 (d, *J* = 1.5 Hz, 3H)
2.16	184-186	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 2.2 Hz, 1H), 7.61 (dd, *J* = 8.2, 2.1 Hz, 1H), 7.42 – 7.38 (m, 1H), 7.26 – 7.24 (m, 1H), 4.96 (s, 2H), 4.00 (s, 3H)
2.17	170-173	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 8.07 (d, *J* = 2.2 Hz, 1H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.57 (d, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.9 Hz, 1H), 7.09 (s, 1H), 6.93 (s, 2H)

C. No.	MP (°C)	^{1}H NMR
2.18		^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 2.3 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 8.2 Hz, 1H), 6.96 (s, 1H), 5.20 (s, 2H)
2.19	158-159	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.24 (d, *J* = 2.1 Hz, 1H), 7.68 (d, *J* = 8.2 Hz, 1H), 7.55 (d, *J* = 8.2 Hz, 1H), 7.50 (d, *J* = 2.1 Hz, 1H), 7.37 (s, 1H), 6.83 (s, 2H), 3.93 (s, 3H)
2.20		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, *J* = 8.3 Hz, 1H), 7.79 (dd, *J* = 12.0, 2.1 Hz, 2H), 7.38 (d, *J* = 8.3 Hz, 1H), 5.61 (s, 2H), 4.05 (s, 3H)
2.21		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 – 8.09 (m, 1H), 7.86 (d, *J* = 2.1 Hz, 1H), 7.77 (d, *J* = 2.1 Hz, 1H), 7.42 – 7.34 (m, 1H), 5.39 (s, 2H), 4.04 (s, 3H), 3.95 (s, 3H)
2.22	204-206	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.22 (d, *J* = 2.1 Hz, 1H), 8.20 (d, *J* = 8.3 Hz, 1H), 8.00 (d, *J* = 2.1 Hz, 1H), 7.52 (d, *J* = 8.3 Hz, 1H), 7.42 (s, 1H), 3.78 (s, 3H)
2.23	173-174.5	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 2.2 Hz, 1H), 7.61 (dd, *J* = 8.2, 2.1 Hz, 1H), 7.42 – 7.38 (m, 1H), 7.26 – 7.24 (m, 1H), 4.96 (s, 2H), 4.00 (s, 3H)
2.24	167	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 2.2 Hz, 1H), 7.54 (d, *J* = 8.1 Hz, 1H), 7.37 – 7.34 (m, 1H), 6.93 (d, *J* = 2.2 Hz, 1H), 4.95 (s, 2H), 3.99 (d, *J* = 4.7 Hz, 3H)
2.25	169	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 10.0 Hz, 2H), 7.34 (d, *J* = 8.3 Hz, 1H), 6.97 (t, *J* = 8.0 Hz, 1H), 4.86 (s, 2H), 4.02 (s, 3H)
2.26	178	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.2 Hz, 1H), 7.79 (d, *J* = 2.1 Hz, 1H), 7.32 (d, *J* = 8.3 Hz, 1H), 6.92 (d, *J* = 2.2 Hz, 1H), 5.44 (s, 2H), 4.04 (s, 3H), 3.96 (s, 3H)
3.01	50-56	^{1}H NMR (DMSO-d_6) δ 3.89 (s, 3H), 7.09 (s, 2H), 7.52 – 7.63 (m, 2H), 7.86 (d, *J* = 5.4 Hz, 1H), 8.07 – 8.17 (m, 2H)
3.02	157-159	^{1}H NMR (DMSO-d_6) δ 6.98 (s, 2H), 7.53 – 7.61 (m, 2H), 7.84 (d, *J* = 5.5 Hz, 1H), 8.06 – 8.13 (m, 2H), 13.70 (s, 1H)
3.03	84-85	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.34 (s, 1H), 8.12 (d, *J* = 8.5 Hz, 1H), 7.87 – 7.78 (m, 2H), 7.60 (dd, *J* = 5.5, 0.6 Hz, 1H), 6.95 (s, 2H), 3.90 (s, 3H)
3.04	149-150	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.36 (s, 1H), 8.11 (d, *J* = 8.5 Hz, 1H),

C. No.	MP (°C)	^{1}H NMR
		7.83 (t, *J* = 6.1 Hz, 2H), 7.58 (d, *J* = 5.4 Hz, 1H), 6.71 (s, 2H)
3.05	142-144	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.41 (d, *J* = 1.7 Hz, 1H), 8.11 (d, *J* = 8.5 Hz, 1H), 7.82 – 7.88 (m, 2H), 7.51 – 7.72 (m, 3H), 7.39 (s, 1H), 3.92 (s, 3H)
3.06	155-159	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.48 (d, *J* = 5.4 Hz, 1H), 7.41 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.36 (dd, *J* = 5.4, 0.6 Hz, 1H), 4.83 (s, 2H), 3.96 (s, 3H), 2.19 (s, 3H)
3.07	159-165	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.10 (d, *J* = 8.3 Hz, 1H), 7.97 (s, 1H), 7.85 (d, *J* = 5.4 Hz, 1H), 7.54 (d, *J* = 5.5 Hz, 1H), 7.44 (d, *J* = 8.3 Hz, 1H), 6.81 (s, 2H), 2.12 (s, 3H)
3.08	125-127	^{1}H NMR (DMSO-d_6) δ 3.76 (s, 3H), 3.92 (s, 3H), 7.40 (s, 2H), 7.60 (dd, *J* = 5.4, 0.7 Hz, 1H), 7.81 (d, *J* = 5.4 Hz, 1H), 8.06 (d, *J* = 8.6 Hz, 1H), 8.24 (dd, *J* = 8.5, 1.7 Hz, 1H), 8.73 (d, *J* = 1.5 Hz, 1H)
3.09	137-139	^{1}H NMR (DMSO-d_6) δ 3.76 (s, 3H), 7.31 (s, 2H), 7.59 (d, *J* = 5.4 Hz, 1H), 7.81 (d, *J* = 5.4 Hz, 1H), 8.06 (d, *J* = 8.5 Hz, 1H), 8.26 (dd, *J* = 8.5, 1.7 Hz, 1H), 8.76 (d, *J* = 1.5 Hz, 1H), 13.54 (s, 1H)
3.10	134-135	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 – 8.09 (m, 1H), 7.87 (d, *J* = 8.2 Hz, 1H), 7.66 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.52 (d, *J* = 5.5 Hz, 1H), 7.36 (dd, *J* = 5.5, 0.6 Hz, 1H), 5.34 (s, 2H), 3.97 (s, 3H)
3.11	239 (dec)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.22 (d, *J* = 0.7 Hz, 1H), 7.96 (d, *J* = 8.2 Hz, 1H), 7.88 (d, *J* = 5.4 Hz, 1H), 7.59 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.53 (d, *J* = 5.4 Hz, 1H), 7.02 (s, 2H)
3.12	185-189	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.95 (dt, *J* = 8.4, 1.6 Hz, 1H), 7.90 (d, *J* = 8.3 Hz, 1H), 7.54 (d, *J* = 5.4 Hz, 1H), 7.37 (d, *J* = 5.4 Hz, 1H), 4.91 (s, 2H), 4.01 (s, 3H)
3.13	165-167	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.60 (s, 1H), 8.45 (d, *J* = 6.7 Hz, 1H), 7.99 (t, *J* = 7.0 Hz, 1H), 7.88 (dd, *J* = 13.5, 6.4 Hz, 2H), 7.52 (t, *J* = 4.7 Hz, 1H), 6.83 (d, *J* = 64.9 Hz, 2H)
3.14	112	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 6.2 Hz, 1H), 7.60 (d, *J* = 5.5 Hz, 1H), 7.56 (s, 1H), 7.33 (s, 1H), 4.94 (s, 2H), 3.99 (s, 3H)
3.15		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 – 8.44 (m, 1H), 7.92 – 7.79 (m, 2H), 7.50 (d, *J* = 5.4 Hz, 1H), 7.34 (dd, *J* = 5.4, 0.7 Hz, 1H), 7.17 (s, 1H), 4.82 (s, 2H), 4.02 (s, 3H)
3.16	176-177	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.51 (s, 1H), 8.60 – 8.51 (m, 1H), 7.97

C. No.	MP (°C)	^{1}H NMR
		(d, *J* = 8.3 Hz, 1H), 7.91 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.85 (d, *J* = 5.4 Hz, 1H), 7.51 (dd, *J* = 5.4, 0.6 Hz, 1H), 7.35 (s, 1H), 6.69 (s, 2H)
3.17	70	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, *J* = 7.0 Hz, 1H), 7.58 (d, *J* = 5.5 Hz, 1H), 7.55 (d, *J* = 7.1 Hz, 1H), 7.52 (s, 1H), 7.29 (d, *J* = 5.5 Hz, 1H), 4.81 (s, 2H), 4.02 (s, 3H)
3.18	143-146	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.94 (m, 1H), 7.85 (d, *J* = 8.2 Hz, 1H), 7.49 (d, *J* = 5.4 Hz, 1H), 7.43 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.36 (dd, *J* = 5.5, 0.6 Hz, 1H), 4.84 (s, 2H), 3.96 (s, 3H), 2.19 (s, 3H)
3.19	157-162	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (s, 1H), 7.97 (d, *J* = 8.2 Hz, 1H), 7.87 (d, *J* = 5.5 Hz, 1H), 7.54 (d, *J* = 5.4 Hz, 1H), 7.46 (dd, *J* = 8.2, 1.5 Hz, 1H), 6.86 (s, 2H), 2.12 (s, 3H)
3.20	143-145	^{1}H NMR (DMSO-d_6) δ 3.76 (s, 3H), 3.92 (s, 3H), 7.40 (s, 2H), 7.51 (d, *J* = 5.5 Hz, 1H), 7.88 (d, *J* = 5.4 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 8.26 (dd, *J* = 8.5, 1.5 Hz, 1H), 8.79 (d, *J* = 1.1 Hz, 1H)
3.21	134-136	^{1}H NMR (DMSO-d_6) δ 3.77 (s, 3H), 7.32 (s, 1H), 7.51 (dd, *J* = 5.4, 0.8 Hz, 1H), 7.88 (d, *J* = 5.4 Hz, 1H), 7.94 (d, *J* = 8.4 Hz, 1H), 8.28 (dd, *J* = 8.4, 1.5 Hz, 1H), 8.81 – 8.86 (m, 1H)
3.22	168	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 6.8 Hz, 1H), 7.58 (t, *J* = 4.0 Hz, 1H), 7.54 – 7.52 (m, 1H), 7.30 (d, *J* = 5.4 Hz, 1H), 5.41 (s, 2H), 4.02 (s, 3H), 3.96 (s, 3H)
3.23	219-221	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 1.7 Hz, 1H), 7.85 (ddt, *J* = 9.5, 7.3, 3.6 Hz, 2H), 7.43 – 7.33 (m, 2H), 4.93 (s, 2H), 4.02 (s, 3H)
3.24	121-123	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.85 (m, 2H), 7.54 (d, *J* = 5.6 Hz, 1H), 7.47 (t, *J* = 7.7 Hz, 1H), 7.39 (d, *J* = 5.6 Hz, 1H), 4.96 (s, 2H), 4.04 (s, 3H)
3.25	183-185	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.00 (dd, *J* = 7.9, 0.8 Hz, 1H), 7.87 – 7.82 (m, 1H), 7.80 (d, *J* = 5.5 Hz, 1H), 7.56 – 7.50 (m, 2H), 6.97 (s, 2H)
3.26	181-184	^{1}H NMR (600 MHz, $CDCl_3$) δ 8.05 (d, *J* = 7.5 Hz, 1H), 7.95 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.56 (s, 1H), 4.98 (s, 2H), 4.05 (s, 3H)
3.27		^{1}H NMR (400 MHz, DMSO-d_6) δ 7.96 (dd, *J* = 7.8, 1.0 Hz, 1H), 7.76 – 7.84 (m, 2H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.47 – 7.51 (m, 2H), 6.82 (s, 2H), 3.94 (s, 3H)
4.01	188-190	^{1}H NMR (300 MHz, $CDCl_3$) δ 10.09 (br s, 1H), 8.36 (s, 1H), 8.16 (s, 1H), 8.03 (dt, *J* = 9, 1.5 Hz, 1H), 7.57 (d, *J* = 9 Hz, 1H), 4.90 (br s, 2H), 4.00 (s,

C. No.	MP (°C)	^{1}H NMR
		3H)
4.02	284-287	^{1}H NMR (300 MHz, DMSO-d_6) δ 13.49 (br s, 1H), 13.19 (br s, 1H), 8.28 (s, 1H), 8.21 (s, 1H), 7.89 (dt, J = 9, 1 Hz, 1H), 7.66 (dt, J = 9, 1 Hz, 1H), 6.82 (br s, 2H)
4.03	156-159	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (m, 1H), 8.07 (d, J = 1 Hz, 1H), 8.03 (dt, J = 9, 1.5 Hz, 1H), 7.47 (dt, J = 9, 1 Hz, 1H), 4.89 (br s, 2H), 4.10 (s, 3H), 3.99 (s, 3H)
4.04	186-188	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.53 (br s, 1H), 8.28 (s, 1H), 8.19 (s, 1H), 7.92 (d, J = 9 Hz, 1H), 7.75 (d, J = 9 Hz, 1H), 6.81 (br s, 2H), 4.10 (s, 3H)
4.05	185-187	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.21 (br s, 1H), 8.16 (s, 1H), 8.01 (s, 1H), 7.88 (dd, J = 9, 1 Hz, 1H), 7.61 (dt, J = 9, 1.5 Hz, 1H), 6.96 (br s, 2H), 3.91 (s, 3H)
4.06	>300	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.20 (br s, 1H), 8.15 (s, 1H), 8.03 (s, 1H), 7.87 (d, J = 9 Hz, 1H), 7.64 (dt, J = 9, 1.5 Hz, 1H), 6.66 (br s, 2H)
4.07	187-190	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, J = 1 Hz, 1H), 8.00 (t, J = 1 Hz, 1H), 7.82 (dd, J = 9, 1 Hz, 1H), 7.72 (m, 1H), 4.94 (br s, 2H), 4.15 (s, 3H), 4.01 (s, 3H)
4.08	182-184	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.68 (br s, 1H), 8.14 (d, J = 1 Hz, 1H), 8.06 (s, 1H), 7.89 (dd, J = 9, 0.5 Hz, 1H), 7.62 (dt, J = 9, 1 Hz, 1H), 6.88 (br s, 2H), 4.13 (s, 3H)
4.09	191 - 193	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.19 (s, 1H), 8.08 (d, J = 21.7 Hz, 2H), 7.84 (d, J = 8.5 Hz, 1H), 7.63 (d, J = 8.5 Hz, 1H), 7.38 (s, 1H), 6.76 (s, 2H), 3.91 (s, 3H)
4.10	170-175	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.63 (dt, J = 5.8, 2.2 Hz, 1H), 7.53 – 7.45 (m, 2H), 4.96 (s, 2H), 4.12 (s, 3H), 4.01 (s, 3H)
4.11	173-175	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.62 (s, 1H), 8.23 (s, 1H), 7.88 – 7.70 (m, 1H), 7.63 – 7.45 (m, 2H), 6.93 (s, 2H), 4.11 (d, J = 10.3 Hz, 4H)
4.12	212-215	^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.55 (s, 1H), 7.66 (dd, J = 7.2, 1.5 Hz, 1H), 7.59 (d, J = 8.4 Hz, 1H), 7.54 – 7.45 (m, 1H), 4.97 (s, 2H), 4.02 (s, 3H)
4.13	207-210	^{1}H NMR (400 MHz, $CDCl_3$) δ 12.67 (s, 1H), 8.23 (d, J = 7.5 Hz, 1H), 8.15 (d, J = 1.9 Hz, 1H), 7.89 (d, J = 8.0 Hz, 1H), 7.29 (d, J = 7.7 Hz, 1H), 5.02 (s, 2H), 4.12 (s, 3H)

C. No.	MP (°C)	^{1}H NMR
5.01		^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, J = 1.5 Hz, 1H), 8.16 (s, 1H), 8.06 – 7.98 (m, 1H), 7.68 (d, J = 8.6 Hz, 1H), 4.95 (s, 2H), 4.00 (s, 3H)
6.01	216	^{1}H NMR (400 MHz, DMSO-d_6) δ 9.48 (s, 1H), 8.49 (s, 1H), 8.30 (d, J = 8.8 Hz, 1H), 7.95 (d, J = 8.5 Hz, 1H), 6.99 (s, 2H), 3.91 (s, 3H)
6.02	186-187	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.54 (s, 1H), 9.47 (s, 1H), 8.52 (s, 1H), 8.30 (d, J = 8.5 Hz, 1H), 7.98 (d, J = 8.5 Hz, 1H), 6.91 (s, 2H)
7.01	219-221	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.31 (s, 1H), 8.04 (br s, 1H), 7.70 (br s, 2H), 6.92 (br s, 2H), 3.89 (s, 3H)
7.02	218-220	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.28 (s, 1H), 7.97 (br s, 1H), 7.75 (d, J = 9 Hz, 1H), 7.68 (dt, J = 9, 1.5 Hz, 1H), 6.94 (br s, 2H), 3.90 (s, 6H)
7.03	230-235 (dec)	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.76 (s, 1H), 8.13 (s, 1H), 7.83 (s, 2H), 6.92 (br s, 2H), 3.98 (s, 3H)
8.01		^{1}H NMR (400 MHz, DMSO-d_6) δ 8.10 (t, J = 9.8 Hz, 1H), 7.89 (s, 1H), 7.70 (t, J = 8.2 Hz, 1H), 7.08 (s, 2H), 3.94 – 3.85 (m, 3H), 3.16 (s, 6H)
9.01	129-33	^{1}H NMR (400 MHz, DMSO-d_6) δ 15.84 (s, 1H), 8.35 (s, 1H), 7.98 (s, 2H), 7.41 (s, 1H), 6.79 (s, 2H), 3.91 (s, 3H)

Table 12: Percent Control Rating Conversion Table

Rating	% Visual Growth Reduction
A	95-100
B	85-94
C	75-84
D	60-74
E	45-59
F	30-44
G	0-29

Example A. Evaluation of Postemergent Herbicidal Activity

[00220] Post-emergent Test I Seeds of test species were obtained from commercial suppliers and planted into a 5"-round pot containing soil-less media mix (Metro-Mix 360®, Sun Gro Horticulture). Postemergence treatments were planted 8-12 days (d)

prior to application and cultured in a greenhouse equipped with supplemental light sources to provide a 16 h photoperiod at 24-29 °C. All pots were surface irrigated.

[00221] Approximately 10 milligrams (mg) of each compound were dissolved in 1.3 mL acetone-DMSO (97:3, v/v) and diluted with 4.1 mL water-isopropanol-crop oil concentrate (78:20:2, v/v/v) containing 0.02% Triton X-155. Treatments were serial diluted with the above formulation solvent to provide 1.85, 0.926, 0.462 and 0.231 mg/mL of test compound delivered in 2.7 mL/pot (roughly equivalent to 4.0, 2.0, 1.0, and 0.5 kilograms per hectare (kg/ha), respectively).

[00222] Formulated compounds were applied using a DeVilbiss® compressed air sprayer at 2-4 pounds per square inch (psi). Following treatment, pots were returned to the greenhouse for the duration of the experiment. All pots were sub-irrigated as need to provide optimum growing conditions. All pots were fertilized one time per week by subirrigating with Peters Peat-Lite Special® fertilizer (20-10-20).

[00223] Phytotoxicity ratings were obtained 10 days after treatment postemergence applications. All evaluations were made visually on a scale of 0 to 100 where 0 represents no activity and 100 represents complete plant death.

[00224] Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 13.

Table 13. Post-emergent Test I Herbicidal Activity on Key Broadleaf and Grass Weed as well as Crop Species

Compound Number	Application Rate (kg ai/ha)	Visual Growth Reduction (%) 10 Days After Application				
		AVEFA	ECHCG	HELAN	IPOHE	SETFA
1.10	3.96	G	G	A	F	G
1.48	4	G	G	C	n/t	G
3.05	4	C	A	B	B	A

AVEFA: wild oats (*Avena fatua*)

ECHCG: barnyardgrass (*Echinochloa crus-galli*)

HELAN: sunflower (*Helianthus annuus*)

IPOHE: ivyleaf morningglory (*Ipomoea hederecea*)

SETFA: giant foxtail (*Setaria faberi*)

kg ai/ha: kilograms active ingredient per hectare

n/t: not tested

Example B. Evaluation of Preemergent Herbicidal Activity

[00225] Pre-emergent Test I Seeds of test species were planted into round plastic pots (5-inch diameter) containing sandy loam soil. After planting, all pots were sub-irrigated 16 h prior to compound application.

[00226] Compounds were dissolved in a 97:3 v/v (volume/volume) mixture of acetone and DMSO and diluted to the appropriate concentration in a final application solution containing water, acetone, isopropanol, DMSO and Agri-dex (crop oil concentrate) in a 59:23:15:1.0:1.5 v/v ratio and 0.02% w/v (weight/volume) of Triton X-155 to obtain the spray solution containing the highest application rate. The high application rate was serial diluted with the above application solution to provide delivery of the compound at rates 1/2X, 1/4X and1/8X of the highest rate (equivalent to 4.0, 2.0, 1.0, and 0.5 kg/ha, respectively).

[00227] Formulated compound (2.7 mL) was applied/pipetted evenly over the soil surface followed by incorporation with water (15 mL). Following treatment, pots were returned to the greenhouse for the duration of the experiment. The greenhouse was programmed for an approximate 15 h photoperiod which was maintained at about 23-29 °C during the day and 22-28 °C during the night. Nutrients and water were added on a regular basis through surface irrigation and supplemental lighting was provided with overhead metal halide 1000-Watt lamps as necessary.

[00228] Herbicidal effect ratings were obtained 14 days after treatment. All evaluations were made relative to appropriate controls on a scale of 0 to 100 where 0 represents no herbicidal effect and 100 represents plant death or lack of emergence from the soil. Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 14.

Table 14. Pre-emergent Test I Herbicidal Activity on Key Broadleaf and Grass Weed as well as Crop Species

Compound Number	Application Rate (kg	Visual Growth Reduction (%) 14 Days After Application

	ai/ha)	AVEFA	ECHCG	HELAN	IPOHE	SETFA
1.10	3.96	G	F	G	G	G
1.48	4	G	G	C	D	G
3.05	4	F	A	F	A	A

AVEFA: wild oats (*Avena fatua*)

ECHCG: barnyardgrass (*Echinochloa crus-galli*)

HELAN: sunflower (*Helianthus annuus*)

IPOHE: ivyleaf morningglory (*Ipomoea hederecea*)

SETFA: giant foxtail (*Setaria faberi*)

kg ai/ha: kilograms active ingredient per hectare

Example C. Evaluation of Postemergent Herbicidal Activity

[00229] Post-emergent Test II: Seeds or nutlets of the desired test plant species were planted in Sun Gro Metro-Mix® 360 planting mixture, which typically has a pH of 6.0 to 6.8 and an organic matter content of about 30 percent, in plastic pots with a surface area of 64 square centimeters (cm^2). When required to ensure good germination and healthy plants, a fungicide treatment and/or other chemical or physical treatment was applied. The plants were grown for 7-21 d in a greenhouse with an approximate 15 h photoperiod which was maintained at about 23-29 °C during the day and 22-28 °C during the night. Nutrients and water were added on a regular basis and supplemental lighting was provided with overhead metal halide 1000-Watt lamps as necessary. The plants were employed for testing when they reached the first or second true leaf stage.

[00230] A weighed amount, determined by the highest rate to be tested, of each test compound was placed in a 25 mL glass vial and was dissolved in 4 mL of a 97:3 v/v mixture of acetone and DMSO to obtain concentrated stock solutions. If the test compound did not dissolve readily, the mixture was warmed and/or sonicated. The concentrated stock solutions obtained were diluted with 20 mL of an aqueous mixture containing acetone, water, isopropyl alcohol, DMSO, Atplus 411F crop oil concentrate, and Triton® X-155 surfactant in a 48.5:39:10:1.5:1.0:0.02 v/v ratio to obtain spray solutions containing the highest application rates. Additional application rates were obtained by serial dilution of 12 mL of the high rate solution into a solution

containing 2 mL of 97:3 v/v mixture of acetone and DMSO and 10 mL of an aqueous mixture containing acetone, water, isopropyl alcohol, DMSO, Atplus 411F crop oil concentrate, and Triton X-155 surfactant in a 48.5:39:10:1.5:1.0:0.02 v/v ratio to obtain 1/2X, 1/4X, 1/8X and 1/16X rates of the high rate. Compound requirements are based upon a 12 mL application volume at a rate of 187 liters per hectare (L/ha). Formulated compounds were applied to the plant material with an overhead Mandel track sprayer equipped with 8002E nozzles calibrated to deliver 187 L/ha over an application area of 0.503 square meters at a spray height of 18 inches (43 cm) above the average plant canopy height. Control plants were sprayed in the same manner with the solvent blank.

[00231] The treated plants and control plants were placed in a greenhouse as described above and watered by subirrigation to prevent wash-off of the test compounds. After 14 d, the condition of the test plants as compared with that of the untreated plants was determined visually and scored on a scale of 0 to 100 percent where 0 corresponds to no injury and 100 corresponds to complete kill. Some of the compounds tested, application rates employed, plant species tested, and results are given in Tables 15 and 16.

Table 15. Post-emergent Test II Herbicidal Activity on Key Broadleaf Weed and Crop Species

C.No.	Appli-cation Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
1.01	35	G	n/a	G	G	A	G
	70	G	G	G	G	A	C
	140	F	E	G	G	A	C
1.02	35	G	n/t	G	C	E	E
	70	G	B	G	B	E	D
	140	G	B	G	A	D	D
1.03	35	G	n/t	G	B	A	G
	70	G	n/t	G	B	A	G
	140	C	B	G	A	B	E

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
1.04	35	E	D	F	E	A	E
	70	G	D	E	D	A	E
	140	G	D	E	D	A	B
1.05	35	E	D	F	B	F	A
	70	A	C	E	A	F	A
	140	B	A	D	A	E	A
1.06	35	G	A	C	B	G	G
	70	G	B	B	A	G	G
	140	G	C	B	A	G	G
1.07	35	G	B	G	B	D	A
	70	G	A	G	B	D	A
	140	G	A	G	B	D	A
1.08	35	B	A	E	A	A	B
	70	A	A	C	A	A	A
	140	A	A	B	A	A	A
1.09	35	A	A	A	A	B	A
	70	A	A	A	A	A	A
	140	A	A	A	A	A	A
1.10	35	G	G	G	A	G	G
	70	G	B	G	A	G	G
	140	G	A	G	A	G	E
1.13	35	G	G	G	D	G	E
	70	G	F	G	C	G	D
	140	G	F	F	B	F	D
1.14	35	G	C	E	D	G	D
	70	G	B	D	D	G	C
	140	G	B	C	C	D	C
1.15	35	A	A	C	A	A	A
	70	A	A	A	A	A	A
	140	A	A	A	A	A	A
1.16	35	B	A	A	A	A	A
	70	A	A	A	A	A	A
	140	A	A	A	A	A	B

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
1.17	35	E	A	A	A	A	A
	70	E	A	A	A	A	A
	140	D	A	A	A	A	A
1.19	35	A	A	A	A	A	D
	70	A	A	A	A	A	C
	140	A	A	A	A	A	A
1.20	35	E	C	A	A	A	A
	70	D	B	A	A	A	A
	140	D	A	A	A	A	A
1.21	35	D	G	G	E	C	E
	70	D	G	G	B	B	C
	140	D	E	D	B	A	C
1.22	35	G	C	E	G	E	C
	70	G	C	D	G	C	B
	140	G	B	D	G	B	B
1.23	35	A	A	D	A	A	D
	70	A	A	C	A	A	C
	140	A	A	B	A	A	B
1.24	35	B	A	B	B	A	D
	70	A	A	E	A	A	C
	140	A	A	A	A	A	B
1.25	35	G	C	D	G	G	G
	70	G	B	C	D	G	G
	140	F	A	B	D	F	G
1.26	35	G	C	B	G	G	G
	70	G	B	A	F	F	G
	140	G	A	A	F	E	G
1.27	35	D	D	B	B	A	G
	70	B	A	A	B	A	G
	140	B	A	A	B	A	G
1.28	35	B	G	C	E	G	A
	70	B	G	B	D	G	A
	140	A	D	B	D	G	A

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
1.29	35	G	E	C	E	G	D
	70	G	G	C	E	G	D
	140	G	G	B	C	G	C
1.30	35	G	G	E	F	G	G
	70	G	C	E	F	E	G
	140	C	D	D	E	D	G
1.31	35	E	D	B	A	A	F
	70	D	A	A	A	A	E
	140	D	A	A	A	A	D
1.32	35	G	G	F	G	G	E
	70	G	F	E	G	D	D
	140	G	D	D	C	B	C
1.33	35	G	D	A	G	E	D
	70	G	D	A	E	D	D
	140	G	C	A	D	C	C
1.34	35	G	G	C	G	G	B
	70	G	G	B	E	G	A
	140	G	F	A	D	D	A
1.35	35	G	C	A	G	C	F
	70	G	B	A	G	C	D
	140	G	A	A	B	B	A
1.37	35	G	G	F	G	G	G
	70	G	G	D	G	G	G
	140	G	G	C	G	G	G
1.39	35	G	A	C	A	B	G
	70	G	A	B	C	C	G
1.40	35	G	n/t	G	G	G	G
	70	G	A	G	G	G	F
	140	G	n/t	G	G	G	E
1.43	35	G	A	G	C	G	D
	70	G	A	G	B	G	C
	140	D	A	G	B	F	C
1.44	35	G	B	G	B	E	G

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
	70	C	A	G	B	C	G
	140	B	A	F	A	B	G
1.45	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	E	G	G	G	F
1.46	35	G	G	G	C	G	G
	70	G	G	G	B	G	F
	140	G	D	G	A	G	E
1.47	35	G	G	G	C	G	G
	70	G	G	G	C	G	G
	140	G	F	G	B	G	E
1.48	140	G	G	G	D	G	C
1.49	35	B	G	G	B	G	G
	70	B	F	G	B	G	G
	140	B	G	G	A	G	E
2.02	35	E	C	G	A	C	C
	70	B	A	F	A	A	B
	140	B	A	F	A	A	A
2.03	35	A	D	G	B	E	G
	70	A	B	G	A	C	D
	140	A	B	G	A	C	C
	280	A	A	F	A	B	B
2.04	35	C	A	G	A	A	G
	70	B	A	G	A	A	G
	140	A	A	F	A	A	F
2.05	35	G	B	G	G	F	G
	70	G	C	G	G	F	G
	140	G	A	G	E	E	F
2.06	35	G	C	F	D	F	D
	70	G	A	D	C	C	C
	140	C	A	B	B	A	B
2.09	35	B	B	D	A	A	D
	70	B	A	C	A	A	C

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
	140	B	A	B	A	A	B
2.10	35	D	B	F	B	A	C
	70	D	A	D	A	A	B
	140	B	A	C	B	A	A
2.11	35	A	A	C	A	A	E
	70	A	A	B	A	A	D
	140	A	A	A	A	A	C
2.12	35	B	A	C	A	A	F
	70	A	A	B	A	A	D
	140	A	A	A	A	A	D
2.13	35	A	D	A	A	A	C
	70	A	A	A	A	A	B
	140	A	A	A	A	A	A
2.14	35	G	A	A	B	B	D
	70	G	A	A	A	A	B
	140	G	A	A	A	A	A
2.15	35	E	A	E	A	G	E
	70	C	A	C	A	G	C
	140	A	A	B	A	G	C
2.16	35	B	A	E	A	G	A
	70	A	A	D	A	G	A
	140	A	A	D	A	G	A
2.17	140	C	A	C	A	A	B
2.18	35	G	A	E	B	G	C
	70	G	A	D	B	G	C
	140	G	A	D	B	G	B
2.19	35	A	A	G	A	G	G
	70	A	A	D	A	G	C
	140	A	A	D	A	G	C
2.20	35	E	A	G	B	G	B
	70	D	A	G	A	G	A
	140	D	A	F	A	G	A
2.21	35	F	A	F	B	G	D

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
	70	D	A	F	B	G	C
	140	D	A	E	A	G	C
2.22	35	F	A	G	A	G	C
	70	F	A	E	A	G	B
	140	E	A	D	A	G	A
2.23	35	G	A	G	A	G	B
	70	G	A	G	A	G	A
	140	G	A	G	A	G	A
2.24	35	C	A	D	A	D	C
	70	C	A	C	A	C	C
	140	A	A	C	A	C	B
2.25	35	C	A	G	A	G	G
	70	C	A	E	A	C	F
	140	A	A	C	A	B	B
2.26	35	E	A	E	A	E	C
	70	D	A	D	A	D	A
	140	D	A	D	A	C	A
3.01	35	D	B	G	A	G	C
	70	D	A	G	A	G	C
	140	C	A	G	A	G	B
3.02	35	G	A	G	B	G	D
	70	G	A	G	B	G	C
	140	G	A	G	B	G	B
3.03	35	A	A	G	A	A	A
	70	A	A	D	A	A	A
	140	A	A	D	A	A	A
3.05	35	B	F	G	C	D	G
	70	B	E	G	B	D	G
	140	A	D	G	B	C	F
	280	A	B	G	B	B	E
3.06	35	E	B	F	F	G	A
	70	D	B	F	D	G	A
	140	B	A	E	D	F	A

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
3.07	35	G	G	E	G	G	B
	70	G	D	D	G	G	B
	140	G	C	D	C	G	B
3.08	35	G	G	G	B	D	D
	70	F	F	G	B	C	C
	140	F	D	F	B	B	B
3.09	35	G	F	E	B	A	D
	70	G	C	B	B	A	C
	140	E	B	A	A	A	B
3.10	35	G	A	G	C	G	B
	70	G	A	G	C	G	B
	140	G	A	G	C	G	B
3.11	35	G	n/a	G	B	G	B
	70	G	n/a	G	B	G	B
	140	G	n/a	G	B	G	B
3.12	35	D	D	G	A	D	B
	70	A	D	G	A	D	B
	140	A	B	F	A	B	B
3.13	35	G	A	G	B	G	B
	70	G	A	G	A	G	B
	140	C	A	D	A	D	B
3.14	35	G	B	G	B	F	B
	70	G	A	G	B	F	B
	140	G	A	G	A	D	A
3.15	35	B	A	F	B	C	D
	70	A	A	E	B	C	D
	140	A	A	E	A	B	B
3.16	35	D	B	G	B	D	G
	70	D	A	G	B	D	G
	140	C	B	E	B	D	G
3.17	35	G	C	G	B	E	G
	70	E	A	G	A	D	G
	140	D	A	D	A	C	F

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
3.18	35	D	D	G	F	E	A
	70	C	C	G	D	G	A
	140	C	A	G	D	F	A
3.19	35	G	D	G	D	G	B
	70	G	A	G	D	G	B
	140	D	C	G	C	G	B
3.20	35	G	G	F	B	C	C
	70	G	D	D	A	A	B
	140	G	D	D	A	A	B
3.21	35	G	A	C	B	C	D
	70	F	A	B	B	B	D
	140	E	A	A	A	A	C
3.22	35	G	D	G	D	A	C
	70	G	A	F	C	A	B
	140	G	A	D	B	A	B
3.23	35	G	G	G	G	G	G
	70	G	G	G	E	G	G
	140	G	G	G	B	G	G
3.24	35	G	B	E	B	G	D
	70	G	B	E	A	G	D
	140	G	A	E	A	G	B
3.25	140	G	A	C	A	G	E
3.27	35	G	B	E	C	G	E
	70	G	A	D	B	G	D
	140	E	A	D	A	G	C
	280	C	A	B	A	G	B
4.01	35	G	G	G	G	G	G
	70	G	E	G	D	G	G
	140	G	D	G	D	G	G
4.03	35	G	G	G	A	E	G
	70	G	E	G	A	D	E
	140	G	C	G	A	C	D
4.05	35	G	G	G	B	D	D

C.No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN
	70	G	A	G	B	A	D
	140	E	A	E	A	A	A
4.06	35	G	C	D	G	E	E
	70	G	A	C	E	D	D
	140	G	A	B	A	B	C
4.07	140	E	n/t	E	G	D	A
4.08	140	G	n/t	D	A	G	B
4.09	35	G	G	G	E	G	G
	70	G	E	G	C	G	F
	140	G	B	D	B	G	E
4.10	35	G	G	G	G	G	G
	70	G	A	G	G	G	G
	140	G	A	G	G	G	E
4.13	35	G	n/t	G	G	G	G
	70	G	n/t	G	G	G	G
	140	G	n/t	G	D	G	G
5.01	35	D	C	B	D	n/t	B
	70	D	B	A	B	A	B
	140	D	B	A	B	n/t	A
6.01	35	B	B	A	A	G	B
	70	B	A	A	A	B	B
	140	B	A	A	A	A	B
6.02	35	B	A	A	A	A	A
	70	B	A	A	A	A	A
	140	B	A	A	A	A	A
7.02	35	G	G	G	G	G	G
	70	G	G	G	C	G	G
	140	G	G	G	A	G	G
8.01	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G

ABUTH: velvetleaf (*Abutilon theophrasti*)

AMARE: redroot pigweed (*Amaranthus retroflexus*)

BRSNN: oilseed rape, canola (*Brassica napus*)

CHEAL: lambsquarters (*Chenopodium album*)

EPHHL: wild poinsettia (*Euphorbia heterophylla*)

HELAN: sunflower (*Helianthus annuus*)

g ai/ha: grams active ingredient per hectare

n/t: not tested

Table 16. Post-emergent Test II Herbicidal Activity on Key Grass and Sedge Weeds as well as Grass Crops

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
1.01	35	G	G	G	G	G	G
	70	G	n/t	G	G	G	A
	140	G	C	G	G	G	B
1.02	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	E	G	G	G	G
1.03	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	D	G	G	G	G
1.04	35	G	G	G	G	G	G
	70	G	n/t	G	G	G	G
	140	G	B	G	G	G	G
1.05	35	G	G	F	G	G	G
	70	G	G	E	G	F	F
	140	G	D	D	G	E	E
106	35	G	G	G	G	G	G
	70	G	D	G	G	G	G
	140	G	C	G	G	G	G
1.07	35	G	G	D	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	70	G	G	C	G	G	G
	140	G	G	C	G	G	G
1.08	35	G	B	G	G	G	E
	70	G	A	D	G	G	C
	140	G	A	C	G	F	B
1.09	35	F	A	B	G	G	D
	70	C	A	B	G	G	C
	140	B	A	B	G	G	C
1.10	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.12	35	G	G	C	G	E	G
	70	G	G	D	G	G	G
	140	G	B	C	G	G	G
1.13	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.14	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.15	35	G	C	D	G	E	G
	70	D	B	D	G	D	F
	140	E	A	B	F	D	D
1.16	35	G	C	D	F	F	G
	70	D	B	C	D	D	F
	140	B	A	B	D	D	D
1.17	35	E	B	C	G	D	D
	70	E	B	B	G	D	C
	140	E	B	B	G	D	C
1.19	35	B	B	D	F	D	D
	70	C	B	C	E	C	D
	140	A	A	B	D	C	B
1.20	35	G	G	E	G	G	F
	70	G	D	C	G	E	E

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	140	G	C	B	G	D	D
1.21	35	G	G	n/t	G	G	G
	70	G	G	n/t	G	G	G
	140	G	G	n/t	G	G	G
1.22	35	G	G	G	G	G	G
	70	G	G	D	G	G	G
	140	G	G	B	G	G	G
1.23	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	D	D	G	F	G
1.24	35	G	G	G	G	G	G
	70	G	G	E	G	F	G
	140	G	G	D	G	E	G
1.25	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.26	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.27	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.28	35	G	G	G	G	G	G
	70	G	G	G	G	F	G
	140	G	G	G	G	F	G
1.29	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	F	G	G	G	G	G
1.30	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.31	35	G	C	D	G	C	G
	70	G	C	C	G	G	G
	140	G	B	B	G	F	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
1.32	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.33	35	G	G	G	G	G	n/t
	70	G	G	G	G	G	n/t
	140	G	G	G	G	F	n/t
1.34	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	D	G	F	G
1.35	35	G	G	G	G	G	G
	70	G	G	G	G	F	G
	140	G	G	G	G	E	G
1.37	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.39	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
1.40	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.43	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.44	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.45	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.46	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
1.47	35	G	G	G	G	G	G
	70	G	G	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	140	G	G	G	G	G	G
1.48	140	G	G	G	G	G	G
2.02	35	G	B	D	G	G	A
	70	G	B	D	G	F	A
	140	G	A	C	G	E	A
2.03	35	G	F	G	G	G	G
	70	G	D	G	G	G	G
	140	G	B	F	G	G	G
	280	G	A	F	G	G	D
2.04	35	G	D	D	G	G	D
	70	G	A	C	G	F	C
	140	F	A	B	G	E	B
2.05	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
2.06	35	G	G	G	G	G	G
	70	G	E	G	G	G	G
	140	G	A	G	G	G	G
2.08	35	G	B	E	G	G	E
	70	G	B	D	F	G	D
	140	G	A	B	F	G	D
2.09	35	G	D	E	G	G	E
	70	G	B	D	F	G	D
	140	G	B	D	F	G	D
2.10	35	G	D	D	G	G	G
	70	G	D	D	F	F	F
	140	F	B	C	F	D	E
2.11	35	G	B	E	G	G	E
	70	G	A	D	G	G	D
	140	F	A	C	G	F	B
2.12	35	G	A	E	G	G	G
	70	G	A	D	G	G	F
	140	G	A	D	G	G	D
2.13	35	F	C	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	70	B	A	E	F	E	F
	140	B	A	D	F	E	E
2.14	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	C	G	G	G	G
2.15	35	G	G	G	G	G	A
	70	G	E	G	G	G	A
	140	G	C	G	G	G	A
2.16	35	G	G	G	G	G	E
	70	G	G	G	G	G	A
	140	G	G	G	G	G	A
2.17	140	A	C	G	G	G	F
2.18	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
2.19	35	G	G	n/t	G	G	G
	70	G	G	n/t	G	G	G
	140	G	G	n/t	G	G	G
2.20	35	G	n/t	G	G	G	G
	70	G	n/t	F	G	G	G
	140	G	n/t	D	G	G	G
2.21	35	G	n/t	G	G	G	G
	70	G	n/t	G	G	G	G
	140	G	n/t	G	G	G	G
2.22	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
2.23	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
2.24	35	D	G	G	G	G	G
	70	C	G	G	G	G	F
	140	B	G	G	G	G	D
2.25	35	G	G	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	70	F	G	G	G	G	G
	140	C	G	G	G	G	E
2.26	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.01	35	G	G	G	G	G	G
	70	G	G	G	G	G	E
	140	G	G	G	G	G	D
3.02	35	G	G	G	G	G	G
	70	G	G	G	G	G	D
	140	G	G	G	G	G	D
3.03	35	E	B	G	G	G	A
	70	E	A	B	G	F	A
	140	E	A	B	G	E	A
3.05	35	G	E	G	G	G	G
	70	G	C	G	G	G	G
	140	G	B	F	G	G	E
	280	G	B	D	G	G	D
3.06	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.07	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.08	35	G	G	G	G	E	F
	70	G	G	G	G	D	D
	140	F	C	G	G	D	C
3.09	35	G	B	G	G	D	D
	70	G	B	G	G	C	C
	140	G	B	G	G	B	B
3.10	35	G	G	G	G	G	D
	70	G	G	G	G	G	D
	140	G	G	G	G	G	D
3.11	35	G	G	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.12	35	G	B	G	G	G	A
	70	G	B	G	G	G	A
	140	G	B	G	G	G	A
3.13	35	G	D	n/t	G	G	D
	70	G	D	n/t	G	G	D
	140	G	C	n/t	G	G	D
3.14	35	G	G	G	G	G	G
	70	G	G	G	G	G	F
	140	G	G	G	G	G	D
3.15	35	G	C	G	G	G	D
	70	G	C	G	G	G	D
	140	G	A	G	G	G	D
3.16	35	G	C	G	G	G	D
	70	G	C	G	G	G	D
	140	E	C	G	G	G	C
3.17	35	G	E	G	G	G	F
	70	G	D	G	G	G	D
	140	G	A	F	G	G	C
3.18	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.19	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.20	35	F	G	G	G	G	G
	70	F	E	G	G	G	C
	140	B	D	D	G	F	B
3.21	35	G	C	G	G	F	F
	70	G	B	F	F	F	D
	140	G	B	D	F	E	C
3.22	35	G	G	G	G	G	G
	70	G	G	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	140	G	G	G	G	G	G
3.23	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.24	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
3.25	140	G	G	G	G	G	G
3.27	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
	280	G	G	G	G	G	G
4.01	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
4.03	35	G	D	n/t	G	G	G
	70	G	C	n/t	G	G	G
	140	G	C	n/t	G	G	G
4.05	35	G	n/t	n/t	G	G	G
	70	G	n/t	n/t	G	G	D
	140	G	B	A	G	G	D
4.06	35	G	G	G	G	G	G
	70	G	E	G	G	G	G
	140	G	C	E	G	G	G
4.07	140	G	G	G	G	G	G
4.08	140	G	G	G	G	G	G
4.09	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
4.10	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
4.13	35	G	G	G	G	G	G
	70	G	G	G	G	G	G

C. No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		CYPES	ECHCG	SETFA	ORYSA	TRZAS	ZEAMX
	140	G	G	G	G	G	G
5.01	35	n/t	C	G	G	G	G
	70	n/t	C	G	G	G	G
	140	n/t	B	G	G	G	G
6.01	35	E	G	G	G	G	G
	70	E	E	G	G	G	G
	140	E	D	G	G	G	G
6.02	35	E	C	G	G	G	G
	70	E	C	E	G	G	G
	140	E	B	D	G	F	G
7.02	35	G	G	n/t	G	G	G
	70	G	G	n/t	G	G	G
	140	G	G	n/t	G	G	G
8.01	35	G	G	G	G	G	G
	70	G	G	G	G	G	G
	140	G	G	G	G	G	G
9.01	140	G	G	G	G	G	G

ECHCG: barnyardgrass (*Echinochloa crus-galli*)

CYPES: yellow nutsedge (*Cyperus esculentus*)

ORYSA: rice (*Oryza sativa*)

SETFA: giant foxtail (*Setaria faberi*)

TRZAS: wheat, spring (*Triticum aestivum*)

ZEAMX: maize, corn (*Zea mays*)

g ai/ha: grams active ingredient per hectare

n/t: not tested

Example D. Evaluation of Postemergent Herbicidal Activity in Wheat and Barley

[00232] Post-emergent Test III. Seeds of the desired test plant species were planted in Sun Gro MetroMix® 306 planting mixture, which typically has a pH of 6.0 to 6.8 and an organic matter content of about 30 percent, in plastic pots with a surface area of 103.2 square centimeters (cm^2). When required to ensure good germination and

healthy plants, a fungicide treatment and/or other chemical or physical treatment was applied. The plants were grown for 7-36 days (d) in a greenhouse with an approximate 14 hour (h) photoperiod which was maintained at about 18 °C during the day and 17 °C during the night. Nutrients and water were added on a regular basis and supplemental lighting was provided with overhead metal halide 1000-Watt lamps as necessary. The plants were employed for testing when they reached the second or third true leaf stage.

[00233] A weighed amount, determined by the highest rate to be tested, of each test compound was placed in a 25 mL glass vial and was dissolved in 4 mL of a 97:3 v/v mixture of acetone and DMSO to obtain concentrated stock solutions. If the test compound did not dissolve readily, the mixture was warmed and/or sonicated. The concentrated stock solutions obtained were diluted with 20 mL of an aqueous mixture containing acetone, water, isopropyl alcohol, DMSO, Agri-Dex crop oil concentrate, and X-77 surfactant in a 48:39:10:1.5:1.5:0.02 v/v ratio to obtain spray solutions containing the highest application rates. Additional application rates were obtained by serial dilution of 12 mL of the high rate solution into a solution containing 2 mL of 97:3 v/v mixture of acetone and DMSO and 10 mL of an aqueous mixture containing acetone, water, isopropyl alcohol, DMSO, Agri-Dex crop oil concentrate, and X-77 surfactant in a 48:39:10:1.5:1.5:0.02 v/v ratio to obtain 1/2X, 1/4X, 1/8X and 1/16X rates of the high rate. Compound requirements are based upon a 12 mL application volume at a rate of 187 liters per hectare (L/ha). Formulated compounds were applied to the plant material with an overhead Mandel track sprayer equipped with 8002E nozzles calibrated to deliver 187 L/ha over an application area of 0.503 square meters at a spray height of 18 inches (43 cm) above the average plant canopy height. Control plants were sprayed in the same manner with the solvent blank.

[00234] The treated plants and control plants were placed in a greenhouse as described above and watered by subirrigation to prevent wash-off of the test compounds. After 21 d, the condition of the test plants as compared with that of the untreated plants was determined visually and scored on a scale of 0 to 100 percent where 0 corresponds to no injury and 100 corresponds to complete kill.

[00235] By applying the well-accepted probit analysis as described by J. Berkson in *Journal of the American Statistical Society*, 48, 565 (1953) and by D. Finney in

"*Probit Analysis*" Cambridge University Press (1952), the above data can be used to calculate GR_{20}, GR_{50}, GR_{80} and GR_{90} values, which are defined as growth reduction factors that correspond to the effective dose of herbicide required to kill or control 20 percent, 50 percent, 80 percent or 90 percent, respectively, of a target plant.

[00236] Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 17.

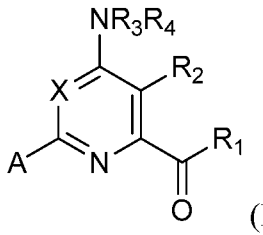
Table 17: Activity of Herbicidal Compounds in Wheat and Barley

Compound No.	Application Rate (g ai/ha)	Visual growth Reduction (%) 21 Days After Application											
		HORVS	TRZAS	CIRAR	GALAP	KCHSC	LAMPU	MATCH	PAPRH	SASKR	SINAR	VERPE	VIOTR
1.15	17.5	F	F	F	D	D	A	F	A	C	A	A	E
	35	E	E	D	B	B	A	E	A	C	A	A	D
	70	E	D	C	A	B	A	D	A	B	A	A	C
	GR20	8	13	--	--	--	--	--	--	--	--	--	--
	GR50	--	--	30	9	6	0.1	45	0.06	7	4	0.28	22
	GR80	--	--	70	23	28	1	>140	1	30	8	2	63
	GR90	--	--	109	37	67	2	>140	2	95	12	6	111
1.16	17.5	G	G	D	F	C	C	B	A	D	B	A	E
	35	G	G	B	E	B	A	A	A	C	A	A	D
	70	F	F	A	A	A	A	A	A	B	A	A	C
	GR20	44	41	--	--	--	--	--	--	--	--	--	--
	GR50	--	--	9	26	7	3	3	0.0004	10	5	0.0004	19
	GR80	--	--	25	34	20	10	9	0.0004	28	11	0.05	56
	GR90	--	--	43	40	39	19	16	0.0004	78	17	1	99

Compound No,	Application Rate (g ai/ha)	Visual growth Reduction (%) 21 Days After Application											
		HORVS	TRZAS	CIRAR	GALAP	KCHSC	LAMPU	MATCH	PAPRH	SASKR	SINAR	VERPE	VIOTR
1.23	17.5	G	G	G	A	F	B	G	D	F	C	B	G
	35	G	G	G	A	E	A	G	A	E	C	B	E
	70	G	G	G	A	D	A	G	A	C	A	A	D
	GR20	>140	66	--	--	--	--	--	--	--	--	--	--
	GR50	--	--	>140	1	35	3	>140	11	29	3	1	44
	GR80	--	--	>140	4	110	6	>140	17	90	14	8	119
	GR90	--	--	>140	7	>140	9	>140	22	>140	32	21	>140
1.24	17.5	G	G	D	D	D	E	G	D	D	B	F	E
	35	G	G	C	B	C	D	G	D	B	A	D	D
	70	G	F	B	B	B	C	G	C	B	A	C	C
	GR20	66	52	--	--	--	--	--	--	--	--	--	--
	GR50	--	--	14	7	4	15	114	24	3	6	30	24
	GR80	--	--	35	23	33	93	>140	52	28	13	66	78
	GR90	--	--	57	44	103	>140	>140	77	95	19	100	>140

WHAT IS CLAIMED IS:

1. A compound of the Formula (I):



(I)

wherein

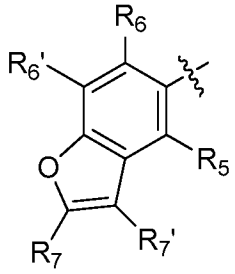
X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

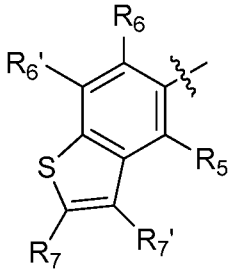
R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

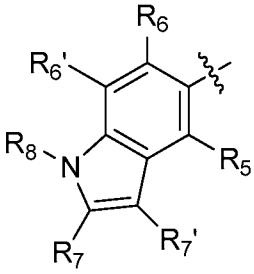
A is one of groups A1 to A36



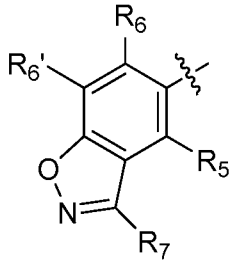
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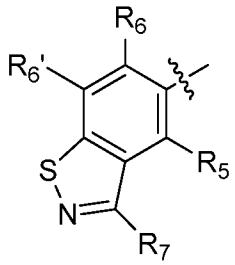
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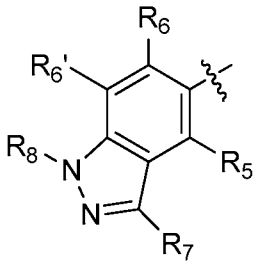
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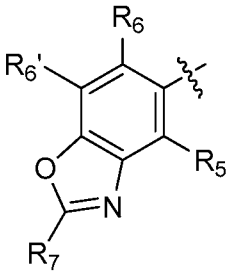
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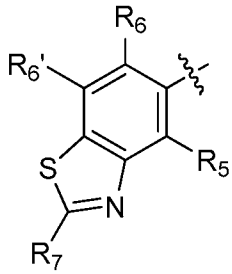
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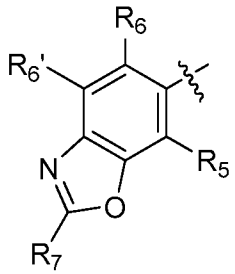
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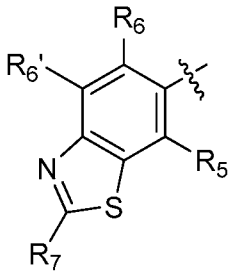
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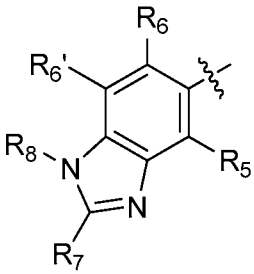
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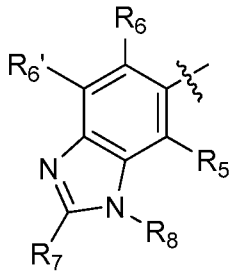
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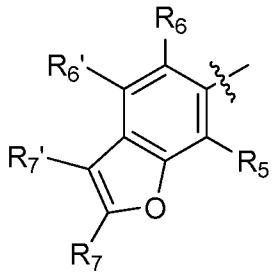
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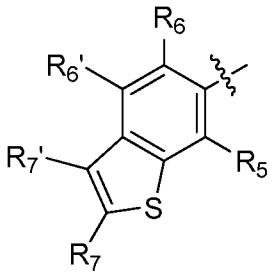
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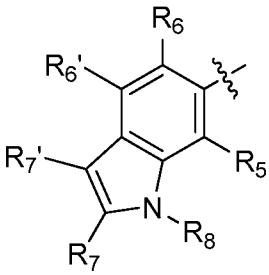
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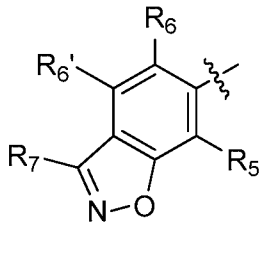
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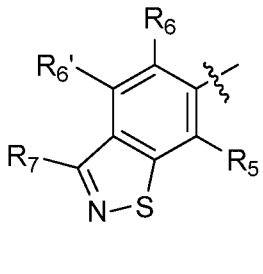
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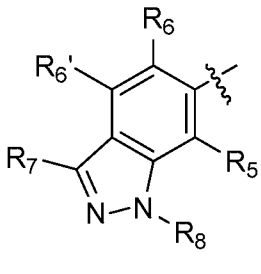
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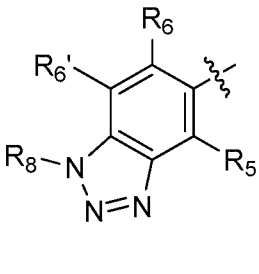
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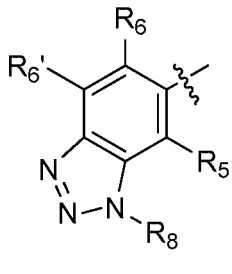
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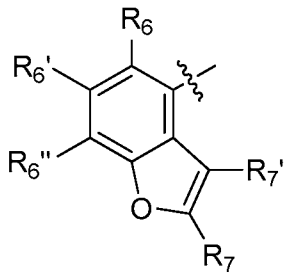
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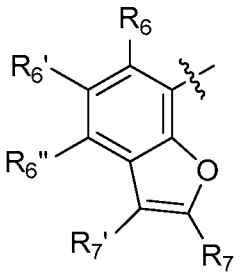
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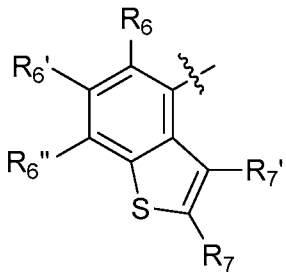
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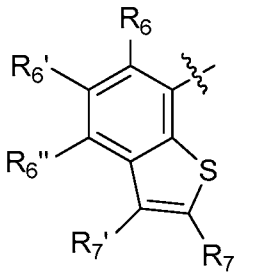
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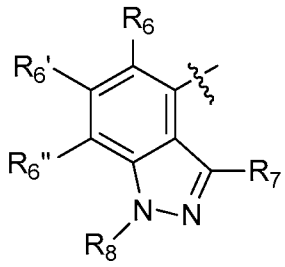
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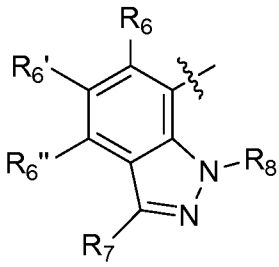
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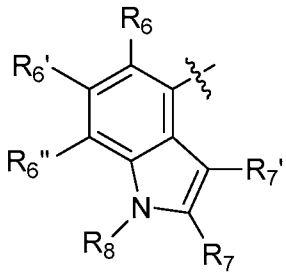
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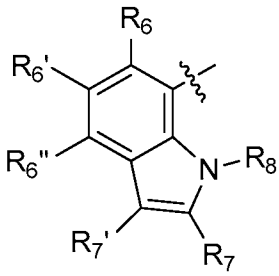
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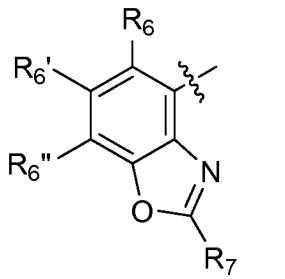
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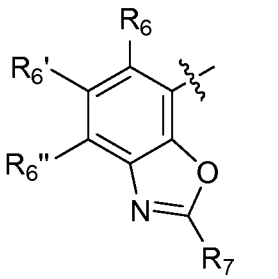
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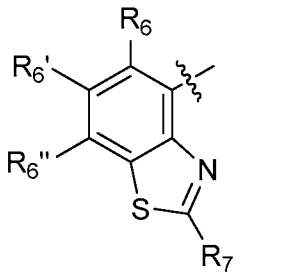
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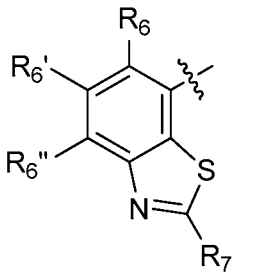
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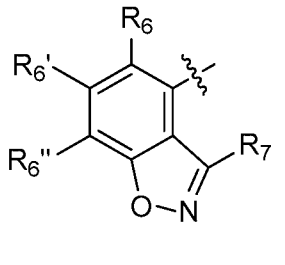
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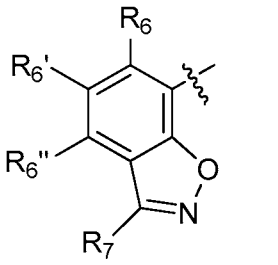
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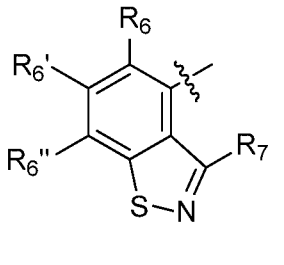
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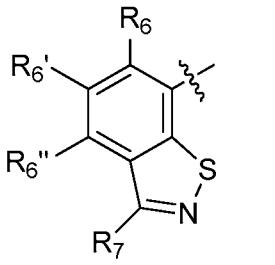
A33



A34



A35



A36

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

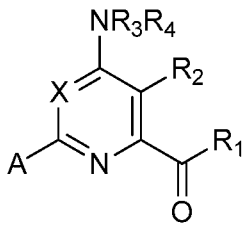
R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof,

with the proviso that the compound is not a compound of Formula (I):



(I)

wherein

X is N, CH, CF, CCl, or CBr;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen or C_1-C_4 alkyl;

R^2 is chlorine;

R^3 and R^4 are hydrogen;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is hydrogen, halogen, OH, amino, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylamino, or cyclopropyl;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, OH, NH_2, CN, C_1-C_3 alkyl, C_1-C_3 alkoxy, cyclopropyl, or vinyl;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, C_1-C_3 alkylthio, cyclopropyl, or C_1-C_3 alkylamino, or phenyl; and

R^8 is hydrogen, C_1-C_3 alkyl, phenyl, or C_1-C_3 alkylcarbonyl;

or an N-oxide or agriculturally acceptable salt thereof.

2. The compound of claim 1 wherein

X is CY, wherein Y is C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

3. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is C_5-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

4. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is F, Br, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^{7}$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^{8} is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

5. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^{1} is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^{2} is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^{3} and R^{4} are independently C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^{3} and R^{4} taken together with N is a 5- or 6-membered saturated ring, or R^{3} and R^{4} taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, A20, A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^{5} is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

6. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A21, A22, A23, A24, A25, A26, A27, A28, A29, A30, A31, A32, A33, A34, A35, or A36;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

7. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently

hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_4 alkylamino, or C_2-C_4 haloalkylamino;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

8. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-

C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, A18, A19, or A20;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$ are independently C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_3-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, or NO_2;

R^7 and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

9. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A1, A2, A3, A4, A5, A6, A7, A8, A9, A10, A11, A12, A13, A14, A15, A16, A17, or A18;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently C_4 alkyl, C_1-C_4 haloalkyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 haloalkoxy, C_1-C_3 haloalkylthio, amino, C_4 alkylamino, or C_2-C_4 haloalkylamino;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

10. The compound of claim 1 wherein

X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

R^1 is $OR^{1'}$ or $NR^{1''}R^{1'''}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl, and $R^{1''}$ and $R^{1'''}$ are independently hydrogen, C_1-C_{12} alkyl, C_3-C_{12} alkenyl, or C_3-C_{12} alkynyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are

independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

A is A3, A6, A11, A12, A15, A18, A19, or A20;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is C_3-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, or C_1-C_6 trialkylsilyl;

or an N-oxide or agriculturally acceptable salt thereof.

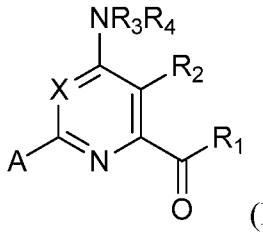
11. The compound of any of claims 1-10, wherein R^1 is OR^1.

12. The compound of any of claims 1 or 3-11, wherein X is CF.

13. The compound of any of claims 1-5 or 7-12, wherein A is A15

14. The compound of any of claims 1-5 or 8-12, wherein R^5 is F.

15. A compound of the Formula (I):



(I)

wherein

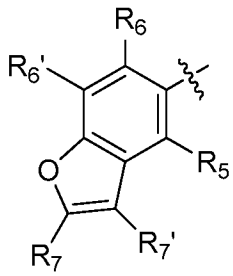
X is CF;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl;

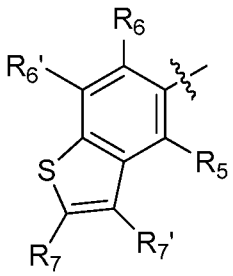
R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, cyano, or a group of the formula $-CR^{17}=CR^{18}-SiR^{19}R^{20}R^{21}$, wherein R^{17} is hydrogen, F, or Cl; R^{18} is hydrogen, F, Cl, C_1-C_4 alkyl, or C_1-C_4 haloalkyl; and R^{19}, R^{20}, and R^{21} are independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, C_1-C_6 dialkylphosphonyl, or R^3 and R^4 taken together with N is a 5- or 6-membered saturated ring, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino, or, $R^{3'}$ and $R^{4'}$ taken together with =C represent a 5- or 6-membered saturated ring;

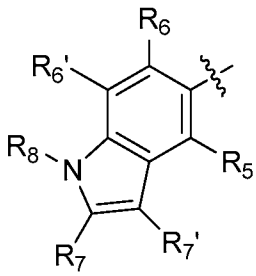
A is one of groups A1 to A36



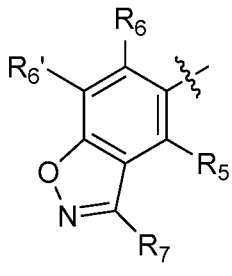
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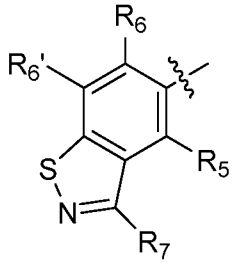
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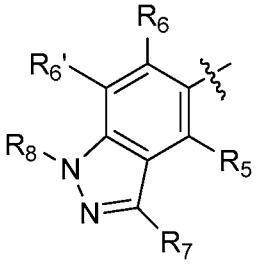
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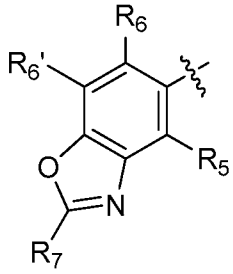
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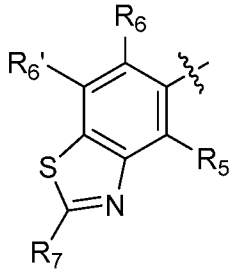
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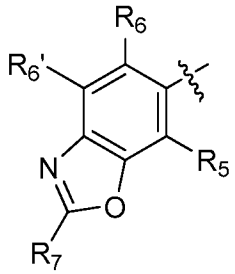
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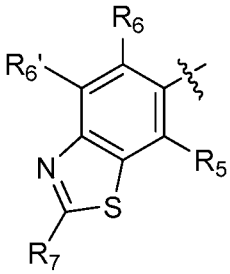
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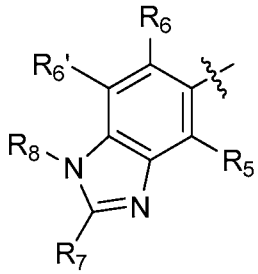
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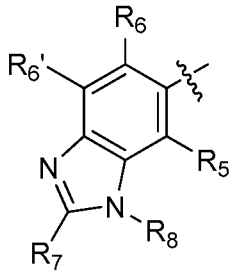
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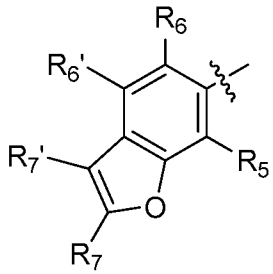
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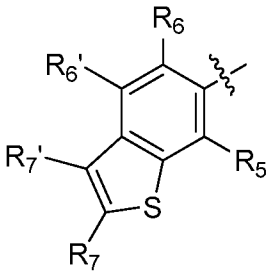
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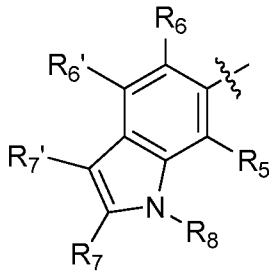
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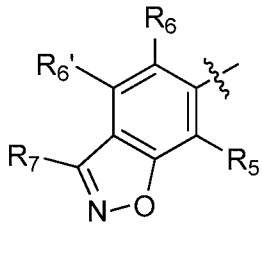
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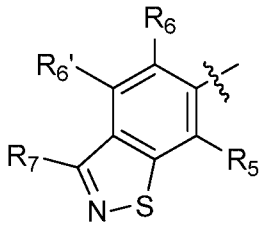
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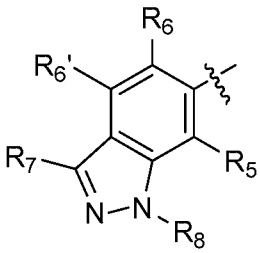
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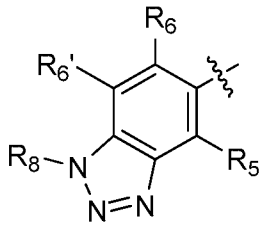
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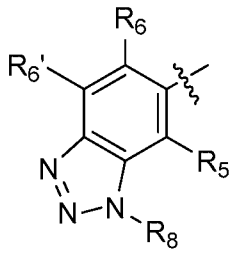
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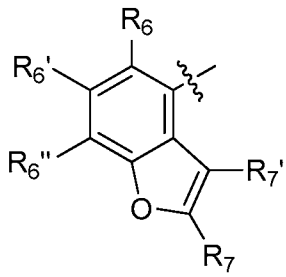
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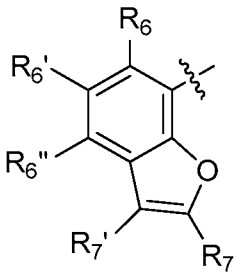
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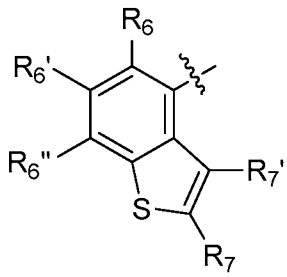
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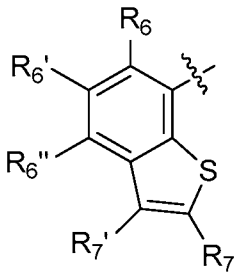
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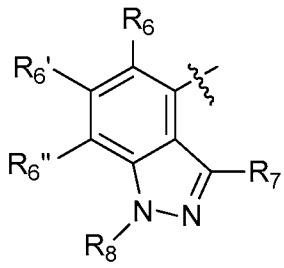
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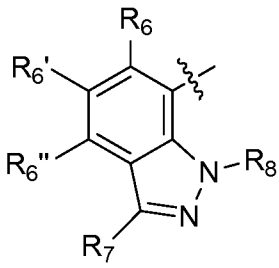
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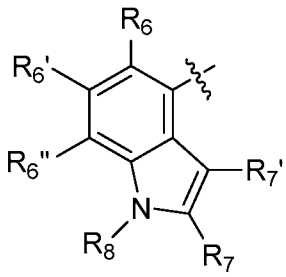
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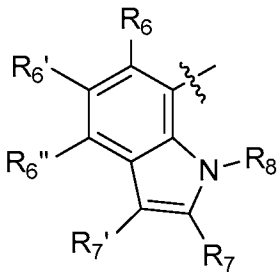
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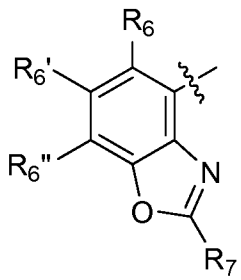
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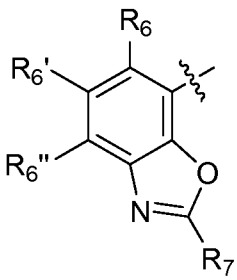
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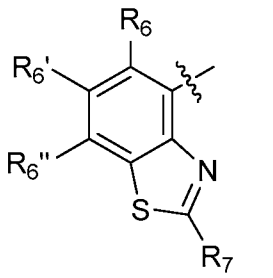
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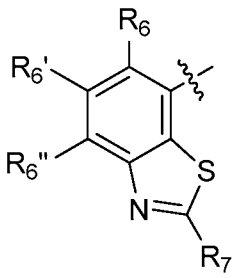
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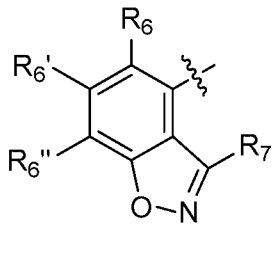
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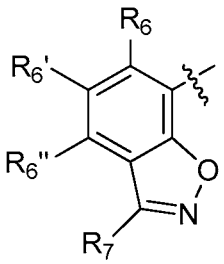
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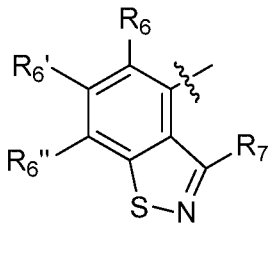
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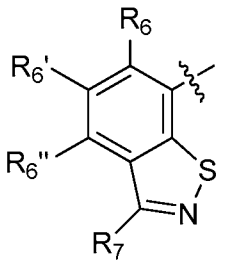
A33



A34



A35



A36

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, OH, or CN;

R^6, $R^{6'}$, and $R^{6''}$are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino or C_2-C_4 haloalkylamino, OH, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy,C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, or phenyl;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, C_1-C_6 alkylcarbamyl, C_1-C_6 alkylsulfonyl, C_1-C_6 trialkylsilyl, or phenyl;

or an N-oxide or agriculturally acceptable salt thereof.

16. The compound of claim 15,

wherein

R^1 is $OR^{1'}$, wherein $R^{1'}$ is hydrogen, C_1-C_8 alkyl, or C_7-C_{10} arylalkyl;

R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_4-alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, or C_1-C_4 haloalkylthio.

R^3 and R^4 are hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, or R^3 and R^4 taken together represent $=CR^{3'}(R^{4'})$, wherein $R^{3'}$ and $R^{4'}$ are independently hydrogen, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_1-C_6 alkoxy or C_1-C_6 alkylamino;

A is A1, A2, A3, A7, A8, A9, A10, A11, A12, A13, A14, A15, A21, A22, A23, A24, A27, A28, A29, A30, A31, or A32;

R^5 is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, C_1-C_3 haloalkylthio, amino, C_1-C_4 alkylamino, or C_2-C_4 haloalkylamino;

R^6, $R^{6'}$, and $R^{6''}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, halocyclopropyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, CN, or NO_2;

$R^7$and $R^{7'}$ are independently hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, cyclopropyl, amino or C_1-C_4 alkylamino;

R^8 is hydrogen, C_1-C_6 alkyl, C_1-C_4 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, formyl, C_1-C_3 alkylcarbonyl, C_1-C_3 haloalkylcarbonyl, C_1-C_6 alkoxycarbonyl, or C_1-C_6 alkylcarbamyl.

17. The compound of claim 15 or 16, wherein R^2 is halogen, C_2-C_4-alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4-alkoxy.

18. The compound of any of claims 15-17, wherein R^2 is Cl, methoxy, vinyl, or 1-propenyl.

19. The compound of any of claims 15-18, wherein R^3 and R^4 are hydrogen.

20. The compound of any of claims 15-19, wherein A is A1, A2, A3, A7, A8, A9, A10, A13, A14, or A15.

21. The compound of any of claims 15-20, wherein A is A1, A2, A3, A13, A14, or A15.

22. The compound of any of claims 15-21, wherein A is A15.

23. The compound of any of claims 15-22, wherein R^5 is hydrogen or F.

24. The compound of any of claims 15-23 wherein R^5 is F.

25. The compound of any of claims 15-24, wherein R^6 is hydrogen or F.

26. The compound of any of claims 15-25, wherein $R^{6''}$ is hydrogen, halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, cyclopropyl, C_2-C_4 alkynyl, CN, or NO_2.

27. The compound of any of claims 15-26, wherein R^6, $R^{6'}$, and $R^{6''}$ are all hydrogen.

28. The compound of any of claims 15-27, wherein the compound is 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinic acid.

29. The compound of any of claims 15-27, wherein the compound is methyl 4-amino-3-chloro-5-fluoro-6-(7-fluoro-1*H*-indol-6-yl) picolinate.

30. A herbicidal composition comprising a compound of any of claims 1-29 and an agriculturally acceptable adjuvant or carrier.

31. The composition of claim 30, further comprising an additional pesticide.

32. The composition of claim 30 or 31, further comprising a herbicidal safener.

33. A method of controlling undesirable vegetation which comprises applying to vegetation or an area adjacent the vegetation or applying to soil or water to prevent the

emergence or growth of vegetation a compound of any of claims 1-29 or a herbicidal composition of any of claims 30-32.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2014/024745

A. CLASSIFICATION OF SUBJECT MATTER
IPC(8) - C07D 401/04 (2014.01)
USPC - 504/239
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)
IPC(8) - A01N 43/34, 43/40, 43/54; C07D 401/04, 403/04 (2014.01)
USPC - 504/239; 524/329; 544/310

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
CPC - A01N 43/40, 43/54, 43/78 (2014.02)

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2013/014165 A1 (HOFFMANN et al) 31 January 2013 (31.01.2013) see machine translation	1-11, 15-17
A	US 2003/0114311 A1 (BALKO et al) 19 June 2003 (19.06.2003) entire document	1-11, 15-17
A	US 2011/0136666 A1 (WHITTINGHAM et al) 09 June 2011 (09.06.2011) entire document	1-11, 15-17
A	US 2012/0190549 A1 (ECKELBARGER et al) 26 July 2012 (26.07.2012) entire document	1-11, 15-17

☐ Further documents are listed in the continuation of Box C. ☐

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

Date of the actual completion of the international search	Date of mailing of the international search report
10 June 2014	07 JUL 2014
Name and mailing address of the ISA/US Mail Stop PCT, Attn: ISA/US, Commissioner for Patents P.O. Box 1450, Alexandria, Virginia 22313-1450 Facsimile No. 571-273-3201	Authorized officer: Blaine R. Copenheaver PCT Helpdesk: 571-272-4300 PCT OSP: 571-272-7774

INTERNATIONAL SEARCH REPORT

)14/024745 07.07.2014

International application No.
PCT/US2014/024745

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☒ Claims Nos.: 12-14, 18-33
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

☐ No protest accompanied the payment of additional search fees.