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

CROSS REFERENCE TO RELATED APPLICATIONS

[0001A] This application is a divisional of New Zealand patent application no. 712512, the entire disclosure of which is incorporated herein by reference.

[0001] This application claims the benefit of U.S. Patent Application No. 13/840,233 filed March 15, 2013, the entirety of which is incorporated herein by reference.

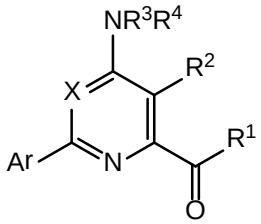
BACKGROUND

[0002] 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.

[0003] 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

[0004] Provided herein are compounds of Formula (I):



(I)

wherein

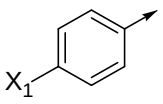
X is N or CY, wherein Y is hydrogen, halogen, C_1-C_3 alkyl, C_1-C_3 haloalkyl, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, C_1-C_3 alkylthio, or C_1-C_3 haloalkylthio;

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

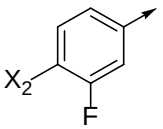
R^2 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_2-C_4 alkynyl, C_2-C_4 haloalkynyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_4 alkylamino, C_2-C_4 haloalkylamino, formyl, (C_1-C_3 alkyl)carbonyl, (C_1-C_3 haloalkyl)carbonyl, 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 each independently C_1-C_{10} alkyl, C_3-C_6 cycloalkyl, C_1-C_{10} haloalkyl, C_3-C_6 halocycloalkyl, phenyl, substituted phenyl, C_1-C_{10} alkoxy, or OH;

R^3 and R^4 are each 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, hydroxy, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, formyl, (C_1-C_3 alkyl)carbonyl, (C_1-C_3 haloalkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, (C_1-C_6 alkyl)carbamyl, C_1-C_6 alkylsulfonyl, tri(C_1-C_6 alkyl)silyl, di(C_1-C_6 alkyl)phosphonyl, or R^3 and R^4 together with the nitrogen atom to which they are attached form 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 each 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 $R^{4'}$ together with the carbon atom to which they are attached form a 5- or 6-membered saturated ring;

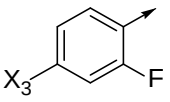
Ar is Ar1, Ar2, Ar3, Ar4, Ar5, or Ar6:



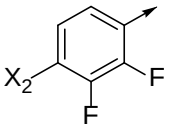
Ar1



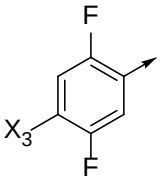
Ar2



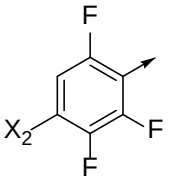
Ar3



Ar4



Ar5



Ar6

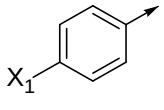
wherein

X_1 is H, F, Br, I, ethynyl, haloethynyl, CF_2H, OCF_2H, OCF_3, CN, $CONH_2$, CO_2H, CO_2CH_3, or NO_2;

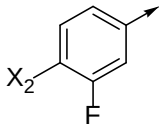
X_2 is H, F, Cl, Br, I, ethynyl, haloethynyl, CH_3, CFH_2, CF_2H, CF_3, OCF_2H, OCF_3, CN, $CONH_2$, CO_2H, or NO_2;

X_3 is H, F, Br, I, ethynyl, haloethynyl, CH_3, CFH_2, CF_2H, CF_3, OCF_2H, OCF_3, CN, $CONH_2$, CO_2H, or NO_2;

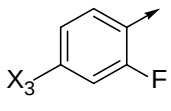
wherein

a) when Ar is 

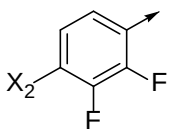
,
then X is N, CH, CF, CCl, or CCH_3;
with provisos that:
i) R^2 is not Cl or vinyl, when X is N;
ii) X_1 is not H, F, OCF_3, or CN, when R^2 is Cl and X is CH;
iii) X_1 is not F, I, CN, or ethynyl, when R^2 is OCH_3 and X is CF;
iv) X_1 is not H, when X is CCl; and

b) when Ar is 

,
then X is N, CH, CF, CCl, or CCH_3;
with provisos that:
i) R^2 is not Cl, when X is N;
ii) X_2 is not Cl, when R^2 is OCH_3 or vinyl and X is N;
iii) X_2 is not Cl, when R^2 is Cl and X is CH;
iv) X_2 is not Cl, Br, I, or CF_3, when R^2 is OCH_3 and X is CF; and

c) when Ar is 

,
then X is N, CH, or CF;
with provisos that:
i) R^2 is not Cl, when X is N;
ii) X_3 is not CH_3, when R^2 is OCH_3 and X is N;
iii) X_3 is not H, F, or CH_3, when R^2 is Cl and X is CH;
iv) X_3 is not Br or I, when R^2 is OCH_3 and X is CF; and

d) when Ar is 

,
then X is N, CH, or CF;

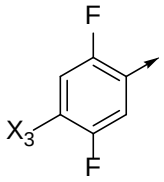
with provisos that:

i) R^2 is not Cl, when X is N;

ii) X_2 is not Cl, when R^2 is OCH_3 or vinyl and X is N;

iii) X_2 is not F, when R^2 is Cl and X is CH;

iv) X_2 is not Cl, Br, I, or CF_3, when R^2 is OCH_3 and X is CF;

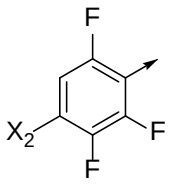
e) when Ar is 

,
then X is N, CH, or CF;

with proviso that:

i) X_3 is not CH_3, when R^2 is Cl and X is N;

ii) X_3 is not Br or I, when X is CF and R^2 is OCH_3; and

f) when Ar is 

,
then X is N, CH, or CF;

or an N-oxide or agriculturally acceptable salt thereof.

[0005] 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 (*e.g.*, agriculturally acceptable salts, solvates, hydrates, esters, amides, N-oxides, or other derivatives) thereof.

DETAILED DESCRIPTION

[0006] As used herein, herbicide and herbicidal active ingredient mean a compound that controls undesirable vegetation when applied in an appropriate amount.

[0007] 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.

[0008] 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.

[0009] 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, or post-emergently contacting the undesirable vegetation or area adjacent to the undesirable vegetation.

[0010] 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.

[0011] 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 be 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.

[0012] 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 substituents such as 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, trimethylamine, diethanolamine, 2-methyl-thiopropylamine, bisallylamine, 2-butoxyethylamine, morpholine, cyclododecylamine, or benzylamine, or with a tetraalkylammonium hydroxide, such as tetramethylammonium hydroxide or choline hydroxide. Amine salts of compounds of Formula (I) are useful forms

or derivatives of compounds of Formula (I) because they are water-soluble and lend themselves to the preparation of desirable aqueous based herbicidal compositions.

[0013] Other forms or derivatives of compounds of the Formula (I) include N-oxides of compounds of Formula (I). 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.

[0014] As used herein "acyl" includes formyl, (C_1-C_3 alkyl)carbonyl, and (C_1-C_3 haloalkyl)carbonyl.

[0015] As used herein, "alkyl" refers to saturated, straight-chained or branched hydrocarbon moieties. Unless otherwise specified, C_1-C_{10} alkyl groups are intended. Examples include, but are not limited to, 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.

[0016] As used herein, "haloalkyl" refers to straight-chained or branched alkyl groups, where in these groups the hydrogen atoms may partially or entirely be substituted with one or more halogen atom(s). Unless otherwise specified, C_1-C_8 groups are intended. Examples include, but are not limited to, 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.

[0017] As used herein, "alkenyl" refers to unsaturated, straight-chained, or branched hydrocarbon moieties containing one or more double bond(s). Unless otherwise specified, C_2-C_8 alkenyl are intended. Alkenyl groups may contain more than one unsaturated bond. Examples include, but are not limited to, 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.

[0018] As used herein, “alkynyl” represents straight-chained or branched hydrocarbon moieties containing one or more triple bond(s). Unless otherwise specified, C_2-C_8 alkynyl groups are intended. Alkynyl groups may contain more than one unsaturated bond. Examples include, but are not limited to, 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-butynyl, 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.

[0019] 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, but are not limited to, 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.

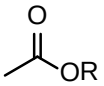
[0020] As used herein, "haloalkoxy" refers to a group of the formula R–O–, where R is haloalkyl as defined above. Unless otherwise specified, haloalkoxy groups wherein R is a C_1-C_8 alkyl group are intended. Examples include, but are not limited to, chloromethoxy, bromomethoxy, dichloromethoxy, trichloromethoxy, 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.

[0021] 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, but are not limited to, methylthio, ethylthio, propylthio, 1-methylethylthio, butylthio, 1-methyl-propylthio, 2-methylpropylthio, 1,1-dimethylethylthio, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio, 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.

[0022] As used herein, "haloalkylthio" refers to an alkylthio group as defined above wherein the carbon atoms are partially or entirely substituted with one or more halogen atoms. Unless otherwise specified, haloalkylthio groups wherein R is a C_1-C_8 alkyl group are intended. Examples include, but are not limited to, chloromethylthio, bromomethylthio, dichloromethylthio, trichloromethylthio, fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorofluoromethylthio, dichlorofluoromethylthio, 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.

[0023] As used herein, "aryl," as well as derivative terms such as "aryloxy," refers to a phenyl, indanyl, or naphthyl group. In some embodiments, phenyl is preferred. The term "heteroaryl," as well as derivative terms such as "heteroaryloxy," refers to a 5- or 6-

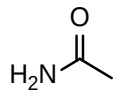
membered aromatic ring containing one or more heteroatoms, *e.g.*, N, O or S; these heteroaromatic rings may be fused to other aromatic systems. The aryl or heteroaryl substituents may be unsubstituted or substituted with one or more substituents selected from, *e.g.*, halogen, hydroxy, nitro, cyano, 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 alkoxy)carbonyl, C_1-C_6 carbamoyl, hydroxycarbonyl, (C_1-C_6 alkyl)carbonyl, aminocarbonyl, (C_1-C_6 alkylamino)carbonyl, (di(C_1-C_6 alkyl)amino)carbonyl, provided that the substituents are sterically compatible and the rules of chemical bonding and strain energy are satisfied. In some embodiments, preferred substituents include, for example, halogen, C_1-C_2 alkyl, and C_1-C_2 haloalkyl.

[0024] As used herein, "alkoxycarbonyl" refers to a group of the formula  wherein R is alkyl.

[0025] As used herein, "alkylamino" or "dialkylamino" refers to an amino group substituted with one or two alkyl groups, which may be the same or different.

[0026] As used herein, "alkylcarbamyl" refers to a carbamyl group substituted on the nitrogen with an alkyl group.

[0027] As used herein, "alkylsulfonyl" refers to $-SO_2R$, wherein R is alkyl (*e.g.*, C_1-C_{10} alkyl).

[0028] As used herein, "carbamyl" (also referred to as carbamoyl or aminocarbonyl) refers to a group of the formula  .

[0029] As used herein, "haloalkylamino" refers to an alkylamino group wherein the alkyl carbon atoms are partially or entirely substituted with one or more halogen atoms.

[0030] As used herein, "Me" refers to a methyl group.

[0031] As used herein, the term "halogen," including derivative terms such as "halo," refers to fluorine, chlorine, bromine, or iodine (or fluoride, chloride, bromide, or iodide).

[0032] 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

[0033] Provided herein are compounds of Formula (I) as defined herein (*e.g.*, in the Summary above) and N-oxides and agriculturally acceptable salts thereof.

[0034] In some embodiments, the compound is the carboxylic acid or an agriculturally acceptable ester or salt thereof. In some embodiments, the compound is the carboxylic acid or its methyl ester.

[0035] In some embodiments:

Ar is selected from the group consisting of Ar1, Ar2, Ar3, Ar4, Ar5, and Ar6;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or C_1-C_8 alkyl;

R^2 is halogen, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, 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 each 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 alkyl)carbonyl, (C_1-C_3 haloalkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, (C_1-C_6 alkyl)carbamyl, tri(C_1-C_6 alkyl)silyl, or R^3 and R^4 taken together represent $=CR^{3'}R^{4'}$, wherein $R^{3'}$ and $R^{4'}$ are each 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; and

X is N or CY, where 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.

[0036] In one embodiment, X is N. In one embodiment, X is CY.

[0037] In one embodiment, Y is hydrogen. In one embodiment, Y is halogen (*e.g.*, F, Cl, Br, I). In one embodiment, Y is C_1-C_3 alkyl (*e.g.*, methyl, ethyl, *n*-propyl, *i*-propyl). In one embodiment, Y is C_1-C_3 haloalkyl (*e.g.*, CFH_2, CF_2H, CF_3, CF_2CF_3). In one embodiment, Y is C_1-C_3 alkoxy (*e.g.*, OCH_3, OCH_2CH_3). In one embodiment, Y is C_1-C_3 haloalkoxy (*e.g.*, $OCFH_2$, OCF_2H, OCF_3, OCF_2CF_3). In one embodiment, Y is C_1-C_3 alkylthio (*e.g.*, SCH_3, SCH_2CH_3). In one embodiment, Y is C_1-C_3 haloalkylthio (*e.g.*, $SCFH_2$, SCF_2H, SCF_3, SCF_2CF_3).

[0038] 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.

[0039] In some embodiments, X is N or CY, wherein Y is H, halo, or C_1-C_3 alkyl. In some embodiments, X is N or CY, wherein Y is H or halo. In some embodiments, X is N or

CY, wherein Y is H, F, Cl, or Br. In some embodiments, X is N or CY, wherein Y is H, F, or Cl. In some embodiments, X is N or CY, wherein Y is H or C_1-C_3 alkyl. In some embodiments, X is N or CY, wherein Y is H or CH_3. In some embodiments, X is N or CY, wherein Y is H. In some embodiments, X is N or CY, wherein Y is H, F, Cl, Br, or CH_3. In some embodiments, X is N or CY, wherein Y is H, F, Cl, or CH_3. In some embodiments, X is N or CY, wherein Y is H or F. In some embodiments, X is N or CY, wherein Y is Br. In some embodiments, X is N or CY, wherein Y is H. In some embodiments, Y is H. In some embodiments, Y is F. In some embodiments, Y is Cl. In some embodiments, Y is Br. In some embodiments, Y is CH_3. In some embodiments, Y is H, halo, or C_1-C_3 alkyl. In some embodiments, Y is H or halo. In some embodiments, Y is H, F, Cl, or Br. In some embodiments, Y is H, F, or Cl. In some embodiments, Y is H or C_1-C_3 alkyl. In some embodiments, Y is H or CH_3. In some embodiments, Y is H, F, Cl, Br, or CH_3. In some embodiments, Y is H, F, Cl, or CH_3. In some embodiments, Y is H or F. In some embodiments, Y is halo.

[0040] In one embodiment, R^1 is $OR^{1'}$.

[0041] In one embodiment, $R^{1'}$ is H. In one embodiment, $R^{1'}$ is C_1-C_8 alkyl (*e.g.*, methyl, ethyl, *n*-propyl, *i*-propyl). In one embodiment, $R^{1'}$ is C_7-C_{10} arylalkyl (*e.g.*, benzyl).

[0042] In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or C_1-C_8 alkyl. In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or C_7-C_{10} arylalkyl.

[0043] In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H, methyl, ethyl, or benzyl. In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H, methyl, or ethyl. In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or methyl. In some embodiments, R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or benzyl.

[0044] In one embodiment, R^2 is halogen (*e.g.*, F, Cl, Br, I). In one embodiment, R^2 is C_1-C_4 alkyl (*e.g.*, methyl, ethyl, propyl, butyl). In one embodiment, R^2 is C_1-C_4 haloalkyl (*e.g.*, CFH_2, CF_2H, CF_3, CF_2CF_3). In one embodiment, R^2 is C_2-C_4 alkenyl (*e.g.*, vinyl or ethenyl, propenyl, butenyl). In one embodiment, R^2 is C_2-C_4 haloalkenyl. In one embodiment, R^2 is C_2-C_4 alkynyl. In one embodiment, R^2 is C_2-C_4 haloalkynyl. In one embodiment, R^2 is C_1-C_4 alkoxy (*e.g.*, OCH_3, OCH_2CH_3). In one embodiment, R^2 is C_1-C_4 haloalkoxy (*e.g.*, $OCFH_2$, OCF_2H, OCF_3, OCF_2CF_3). In one embodiment, R^2 is C_1-C_4 alkylthio (*e.g.*, SCH_3, SCH_2CH_3). In one embodiment, R^2 is C_1-C_4 haloalkylthio (*e.g.*, $SCFH_2$, SCF_2H, SCF_3, SCF_2CF_3). In one embodiment, R^2 is amino. In one embodiment, R^2 is C_1-C_4 alkylamino. In one embodiment, R^2 is C_2-C_4 haloalkylamino. In one embodiment,

R^2 is formyl. In one embodiment, R^2 is (C_1-C_3 alkyl)carbonyl. In one embodiment, R^2 is (C_1-C_3 haloalkyl)carbonyl. In one embodiment, R^2 is cyano.

[0045] In one embodiment, R^2 is -CR^{17}=CR^{18}-$SiR^{19}R^{20}R^{21}$.

[0046] In one embodiment, R^{17} is hydrogen. In one embodiment, R^{17} is F. In one embodiment, R^{17} is Cl.

[0047] In one embodiment, R^{18} is hydrogen. In one embodiment, R^{18} is F. In one embodiment, R^{18} is Cl. In one embodiment, R^{18} is C_1-C_4 alkyl. In one embodiment, R^{18} is C_1-C_4 haloalkyl.

[0048] In one embodiment, R^{19} is C_1-C_{10} alkyl. In one embodiment, R^{19} is C_3-C_6 cycloalkyl. In one embodiment, R^{19} is C_1-C_{10} haloalkyl. In one embodiment, R^{19} is C_3-C_6 halocycloalkyl. In one embodiment, R^{19} is phenyl. In one embodiment, R^{19} is substituted phenyl. In one embodiment, R^{19} is C_1-C_{10} alkoxy. In one embodiment, R^{19} is OH.

[0049] In one embodiment, R^{20} is C_1-C_{10} alkyl. In one embodiment, R^{20} is C_3-C_6 cycloalkyl. In one embodiment, R^{20} is C_1-C_{10} haloalkyl. In one embodiment, R^{20} is C_3-C_6 halocycloalkyl. In one embodiment, R^{20} is phenyl. In one embodiment, R^{20} is substituted phenyl. In one embodiment, R^{20} is C_1-C_{10} alkoxy. In one embodiment, R^{20} is OH.

[0050] In one embodiment, R^{21} is C_1-C_{10} alkyl. In one embodiment, R^{21} is C_3-C_6 cycloalkyl. In one embodiment, R^{21} is C_1-C_{10} haloalkyl. In one embodiment, R^{21} is C_3-C_6 halocycloalkyl. In one embodiment, R^{21} is phenyl. In one embodiment, R^{21} is substituted phenyl. In one embodiment, R^{21} is C_1-C_{10} alkoxy. In one embodiment, R^{21} is OH.

[0051] In some embodiments, R^2 is halogen, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, or C_1-C_4 haloalkylthio. In some embodiments, R^2 is halogen, C_2-C_4 alkenyl, C_2-C_4 haloalkenyl, or C_1-C_4 alkoxy.

[0052] In some embodiments, R^2 is halogen, C_2-C_4 alkenyl, or C_1-C_4 alkoxy. In some embodiments, R^2 is Cl, vinyl, or OCH_3. In some embodiments, R^2 is Cl. In some embodiments, R^2 is vinyl. In some embodiments, R^2 is OCH_3.

[0053] In one embodiment, R^3 is hydrogen. In one embodiment, R^3 is C_1-C_6 alkyl. In one embodiment, R^3 is C_1-C_6 haloalkyl. In one embodiment, R^3 is C_3-C_6 alkenyl. In one embodiment, R^3 is C_3-C_6 haloalkenyl. In one embodiment, R^3 is C_3-C_6 alkynyl. In one embodiment, R^3 is hydroxy. In one embodiment, R^3 is C_1-C_6 alkoxy. In one embodiment, R^3 is C_1-C_6 haloalkoxy. In one embodiment, R^3 is formyl. In one embodiment, R^3 is (C_1-C_3 alkyl)carbonyl. In one embodiment, R^3 is (C_1-C_3 haloalkyl)carbonyl. In one embodiment, R^3 is (C_1-C_6 alkoxy)carbonyl. In one embodiment, R^3 is (C_1-C_6 alkyl)carbamyl. In one

embodiment, R^3 is C_1-C_6 alkylsulfonyl. In one embodiment, R^3 is tri(C_1-C_6 alkyl)silyl. In one embodiment, R^3 is di(C_1-C_6 alkyl)phosphonyl.

[0054] In one embodiment, R^4 is hydrogen. In one embodiment, R^4 is C_1-C_6 alkyl. In one embodiment, R^4 is C_1-C_6 haloalkyl. In one embodiment, R^4 is C_3-C_6 alkenyl. In one embodiment, R^4 is C_3-C_6 haloalkenyl. In one embodiment, R^4 is C_3-C_6 alkynyl. In one embodiment, R^4 is hydroxy. In one embodiment, R^4 is C_1-C_6 alkoxy. In one embodiment, R^4 is C_1-C_6 haloalkoxy. In one embodiment, R^4 is formyl. In one embodiment, R^4 is (C_1-C_3 alkyl)carbonyl. In one embodiment, R^4 is (C_1-C_3 haloalkyl)carbonyl. In one embodiment, R^4 is (C_1-C_6 alkoxy)carbonyl. In one embodiment, R^4 is (C_1-C_6 alkyl)carbamyl. In one embodiment, R^4 is C_1-C_6 alkylsulfonyl. In one embodiment, R^4 is tri(C_1-C_6 alkyl)silyl. In one embodiment, R^4 is di(C_1-C_6 alkyl)phosphonyl.

[0055] In one embodiment, R^3 and R^4 together with the nitrogen atom to which they are attached form a 5-membered saturated ring. In one embodiment, R^3 and R^4 together with the nitrogen atom to which they are attached form a 6-membered saturated ring.

[0056] In one embodiment, R^3 and R^4 taken together represent $=CR^{3'}R^{4'}$.

[0057] In one embodiment, $R^{3'}$ is hydrogen. In one embodiment, $R^{3'}$ is C_1-C_6 alkyl. In one embodiment, $R^{3'}$ is C_3-C_6 alkenyl. In one embodiment, $R^{3'}$ is C_3-C_6 alkynyl. In one embodiment, $R^{3'}$ is C_1-C_6 alkoxy. In one embodiment, $R^{3'}$ is C_1-C_6 alkylamino.

[0058] In one embodiment, $R^{4'}$ is hydrogen. In one embodiment, $R^{4'}$ is C_1-C_6 alkyl. In one embodiment, $R^{4'}$ is C_3-C_6 alkenyl. In one embodiment, $R^{4'}$ is C_3-C_6 alkynyl. In one embodiment, $R^{4'}$ is C_1-C_6 alkoxy. In one embodiment, $R^{4'}$ is C_1-C_6 alkylamino.

[0059] In one embodiment, $R^{3'}$ and $R^{4'}$ together with the carbon atom to which they are attached form a 5- membered saturated ring. In one embodiment, $R^{3'}$ and $R^{4'}$ together with the carbon atom to which they are attached form a 6-membered saturated ring.

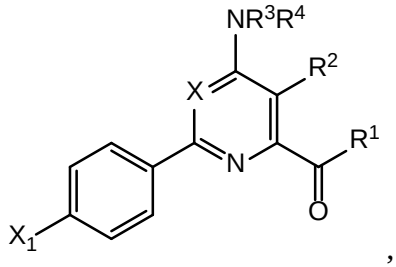
[0060] In some embodiments, R^3 and R^4 are each independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 alkenyl, C_3-C_6 haloalkenyl, C_3-C_6 alkynyl, formyl, (C_1-C_3 alkyl)carbonyl, (C_1-C_3 haloalkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, (C_1-C_6 alkyl)carbamyl, tri(C_1-C_6 alkyl)silyl. In some embodiments, R^3 and R^4 taken together represent $=CR^{3'}R^{4'}$, wherein $R^{3'}$ and $R^{4'}$ are each 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.

[0061] In some embodiments, R^3 is H.

[0062] In some embodiments, R^4 is H.

[0063] In one embodiment, Ar is Ar1.

[0064] In one embodiment, provided herein is a compound of formula (I-1), or an N-oxide or agriculturally acceptable salt thereof:

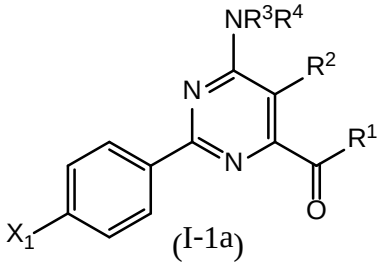


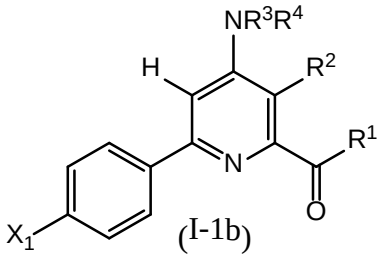
(I-1)

wherein X, R^1, R^2, R^3, R^4, and X_1 are defined herein elsewhere.

[0065] In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1), R^1 is OCH_3 and R^2 is 1-propenyl.

[0066] In one embodiment, provided herein is a compound of formula (I-1a), (I-1b), (I-1c), (I-1d), or (I-1e), or an N-oxide or agriculturally acceptable salt thereof:



(I-1a),


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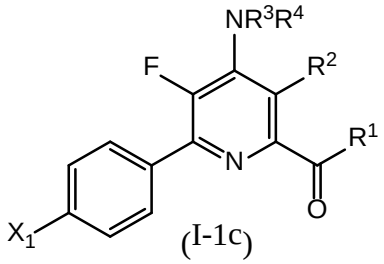
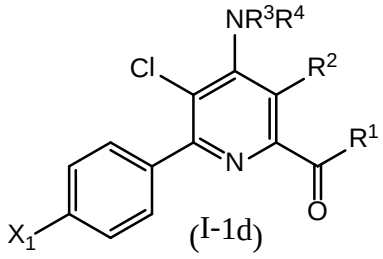
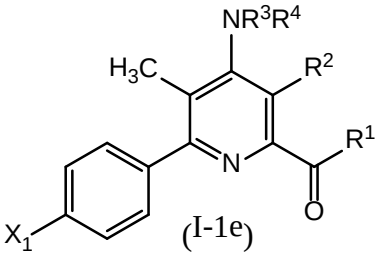


(I-1c),


(I-1d), or


(I-1e);

wherein R^1, R^2, R^3, R^4, and X_1 are defined herein elsewhere.

[0067] In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1a), R^1 is OCH_3 and R^2 is 1-propenyl.

[0068] In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1b), R^1 is OCH_3 and R^2 is 1-propenyl.

[0069] In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4

alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1c), R^1 is OCH_3 and R^2 is 1-propenyl.

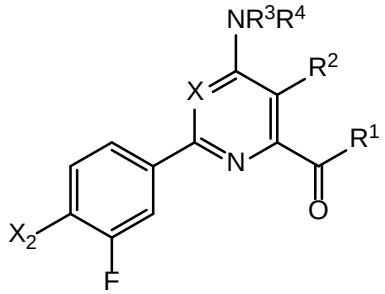
[0070] In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1d), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1d), R^1 is OCH_3 and R^2 is 1-propenyl.

[0071] In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is C_2-C_4

alkenyl. In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1e), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-1e), R^1 is OCH_3 and R^2 is 1-propenyl.

[0072] In one embodiment, Ar is Ar2.

[0073] In one embodiment, provided herein is a compound of formula (I-2), or an N-oxide or agriculturally acceptable salt thereof:



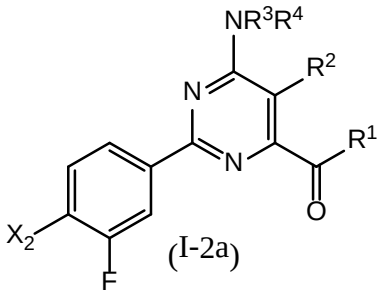
(I-2)

wherein X, R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

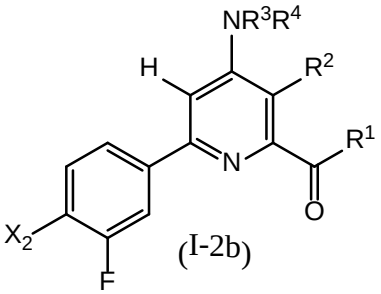
[0074] In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one

embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2), R^1 is OCH_3 and R^2 is 1-propenyl.

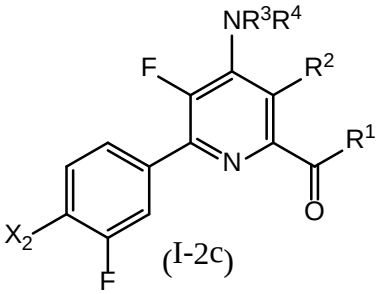
[0075] In one embodiment, provided herein is a compound of formula (I-2a), (I-2b), (I-2c), (I-2d), or (I-2e), or an N-oxide or agriculturally acceptable salt thereof:



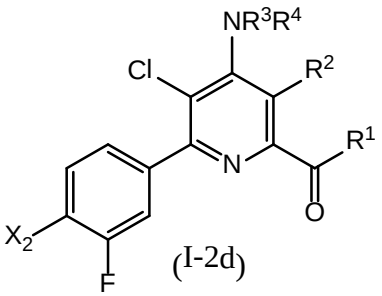
(I-2a),



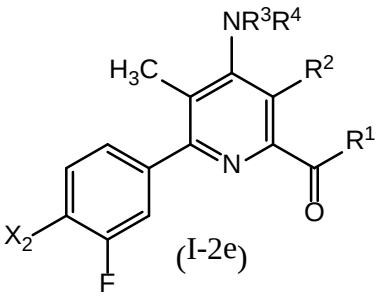
(I-2b),



(I-2c),



(I-2d),



(I-2e)

, or ;

wherein R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

[0076] In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2a), R^1 is OCH_3 and R^2 is 1-propenyl.

[0077] In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4

alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2b), R^1 is OCH_3 and R^2 is 1-propenyl.

[0078] In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2c), R^1 is OCH_3 and R^2 is 1-propenyl.

[0079] In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is C_2-C_4

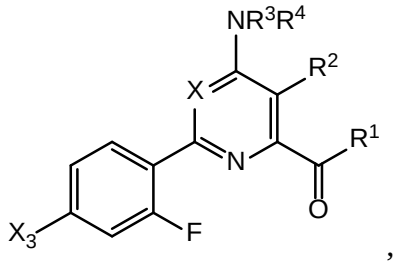
alkenyl. In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2d), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2d), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2d), R^1 is OCH_3 and R^2 is 1-propenyl.

[0080] In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2e), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2e), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2e),

R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-2e), R^1 is OCH_3 and R^2 is 1-propenyl.

[0081] In one embodiment, Ar is Ar3.

[0082] In one embodiment, provided herein is a compound of formula (I-3), or an N-oxide or agriculturally acceptable salt thereof:



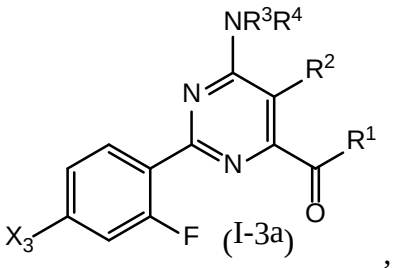
(I-3)

wherein X, R^1, R^2, R^3, R^4, and X_3 are defined herein elsewhere.

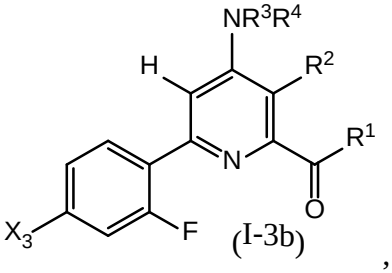
[0083] In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is

halogen. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3), R^1 is OCH_3 and R^2 is 1-propenyl.

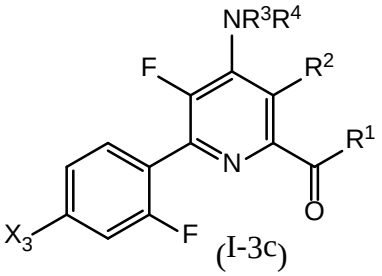
[0084] In one embodiment, provided herein is a compound of formula (I-3a), (I-3b), or (I-3c), or an N-oxide or agriculturally acceptable salt thereof:



(I-3a),



(I-3b),



or (I-3c);

wherein R^1, R^2, R^3, R^4, and X_3 are defined herein elsewhere.

[0085] In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3a),

R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3a), R^1 is OCH_3 and R^2 is 1-propenyl.

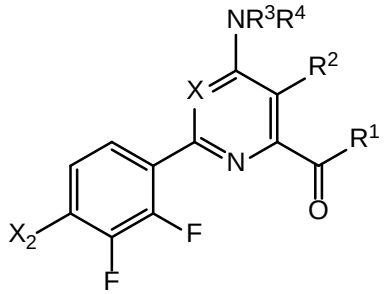
[0086] In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3b), R^1 is OCH_3 and R^2 is 1-propenyl.

[0087] In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is Cl. In one

embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-3c), R^1 is OCH_3 and R^2 is 1-propenyl.

[0088] In one embodiment, Ar is Ar4.

[0089] In one embodiment, provided herein is a compound of formula (I-4), or an N-oxide or agriculturally acceptable salt thereof:



,

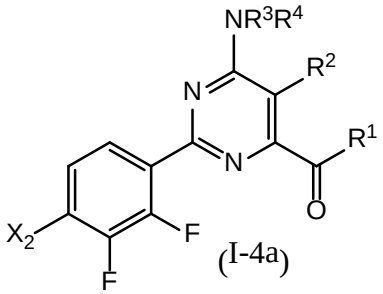
(I-4)

wherein X, R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

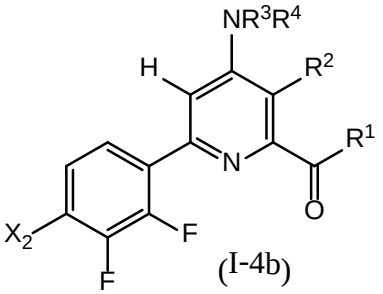
[0090] In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound

of formula (I-4), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4), R^1 is OCH_3 and R^2 is 1-propenyl.

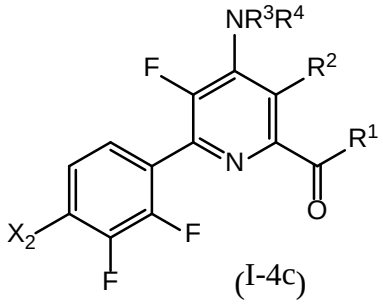
[0091] In one embodiment, provided herein is a compound of formula (I-4a), (I-4b), or (I-4c), or an N-oxide or agriculturally acceptable salt thereof:



(I-4a),



(I-4b),



or (I-4c);

wherein R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

[0092] In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is C_1-C_4

alkoxy. In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4a), R^1 is OCH_3 and R^2 is 1-propenyl.

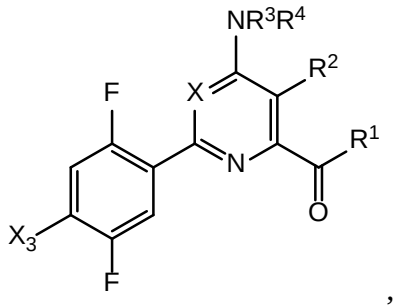
[0093] In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula

(I-4b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4b), R^1 is OCH_3 and R^2 is 1-propenyl.

[0094] In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-4c), R^1 is OCH_3 and R^2 is 1-propenyl.

[0095] In one embodiment, Ar is Ar5.

[0096] In one embodiment, provided herein is a compound of formula (I-5), or an N-oxide or agriculturally acceptable salt thereof:

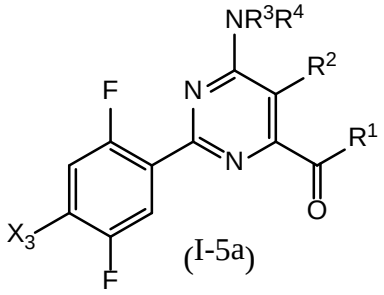


(I-5)

wherein X, R^1, R^2, R^3, R^4, and X_3 are defined herein elsewhere.

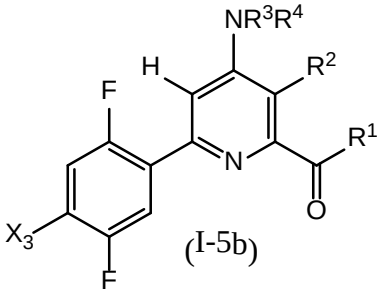
[0097] In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5), R^1 is OCH_3 and R^2 is 1-propenyl.

[0098] In one embodiment, provided herein is a compound of formula (I-5a), (I-5b), or (I-5c), or an N-oxide or agriculturally acceptable salt thereof:



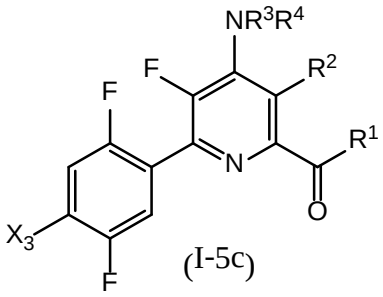
(I-5a)

,



(I-5b)

, or



(I-5c)

;

wherein R^1, R^2, R^3, R^4, and X_3 are defined herein elsewhere.

[0099] In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2

is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5a), R^1 is OCH_3 and R^2 is 1-propenyl.

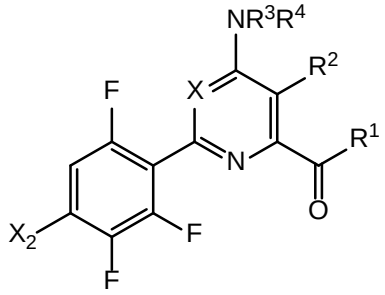
[00100] In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5b), R^1 is OCH_3 and R^2 is 1-propenyl.

[00101] In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and

R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-5c), R^1 is OCH_3 and R^2 is 1-propenyl.

[00102] In one embodiment, Ar is Ar6.

[00103] In one embodiment, provided herein is a compound of formula (I-6), or an N-oxide or agriculturally acceptable salt thereof:



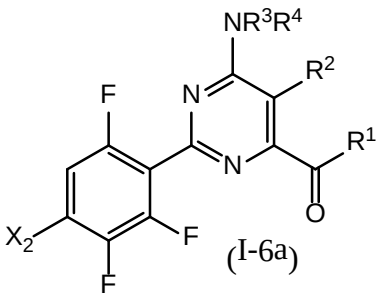
(I-6)

wherein X, R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

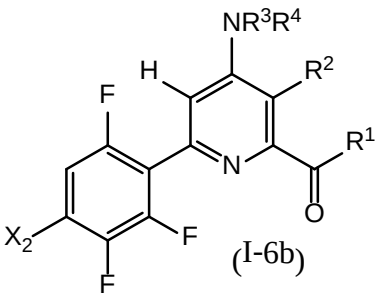
[00104] In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4

alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6), R^1 is OCH_3 and R^2 is 1-propenyl.

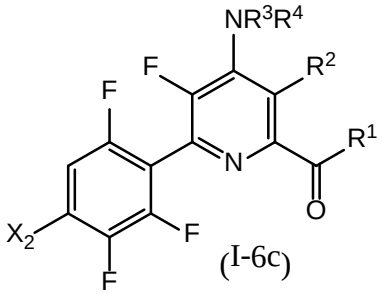
[00105] In one embodiment, provided herein is a compound of formula (I-6a), (I-6b), or (I-6c), or an N-oxide or agriculturally acceptable salt thereof:



(I-6a),



(I-6b),



(I-6c)

or ;

wherein R^1, R^2, R^3, R^4, and X_2 are defined herein elsewhere.

[00106] In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6a), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In

one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6a), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6a), R^1 is OCH_3 and R^2 is 1-propenyl.

[00107] In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6b), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6b), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2

is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6b), R^1 is OCH_3 and R^2 is 1-propenyl.

[00108] In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is halogen. In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is Cl. In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6c), R^1 is OH and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is halogen. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is Cl. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6c), R^1 is –O–(C_1-C_4 alkyl) and R^2 is 1-propenyl. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is halogen. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is C_2-C_4 alkenyl. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is C_1-C_4 alkoxy. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is Cl. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is OCH_3. In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is vinyl (or ethenyl). In one embodiment, in a compound of formula (I-6c), R^1 is OCH_3 and R^2 is 1-propenyl.

[00109] In one embodiment, X_1 is H. In one embodiment, X_1 is F. In one embodiment, X_1 is Br. In one embodiment, X_1 is I. In one embodiment, X_1 is ethynyl. In one embodiment, X_1 is CF_2H. In one embodiment, X_1 is OCF_2H. In one embodiment, X_1 is OCF_3. In one embodiment, X_1 is CN. In one embodiment, X_1 is $CONH_2$. In one embodiment, X_1 is CO_2H. In one embodiment, X_1 is CO_2CH_3. In one embodiment, X_1 is NO_2.

[00110] In some embodiments, X_1 is H, F, Br, I, ethynyl, CF_2H, OCF_2H, OCF_3, CN, $CONH_2$, CO_2CH_3, or NO_2.

[00111] In some embodiments, X_1 is F. In some embodiments, X_1 is Br or I.

[00112] In one embodiment, X_2 is H. In one embodiment, X_2 is F. In one embodiment, X_2 is Cl. In one embodiment, X_2 is Br. In one embodiment, X_2 is I. In one embodiment, X_2 is ethynyl. In one embodiment, X_2 is CH_3. In one embodiment, X_2 is CFH_2. In one embodiment, X_2 is CF_2H. In one embodiment, X_2 is CF_3. In one embodiment, X_2 is OCF_2H. In one embodiment, X_2 is OCF_3. In one embodiment, X_2 is CN. In one embodiment, X_2 is $CONH_2$. In one embodiment, X_2 is CO_2H. In one embodiment, X_2 is NO_2.

[00113] In some embodiments, X_2 is H, Cl, Br, I, ethynyl, CH_3, CF_2H, CF_3, OCF_2H, or CN.

[00114] In some embodiments, X_2 is H, F, Br, I, ethynyl, CH_3, CF_3, OCF_2H, or CN.

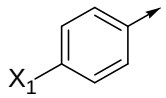
[00115] In some embodiments, X_2 is F or Cl. In some embodiments, X_2 is Br or I.

[00116] In one embodiment, X_3 is H. In one embodiment, X_3 is F. In one embodiment, X_3 is Br. In one embodiment, X_3 is I. In one embodiment, X_3 is ethynyl. In one embodiment, X_3 is CH_3. In one embodiment, X_3 is CFH_2. In one embodiment, X_3 is CF_2H. In one embodiment, X_3 is CF_3. In one embodiment, X_3 is OCF_2H. In one embodiment, X_3 is OCF_3. In one embodiment, X_3 is CN. In one embodiment, X_3 is $CONH_2$. In one embodiment, X_3 is CO_2H. In one embodiment, X_3 is NO_2.

[00117] In some embodiments, X_3 is H, Br, I, ethynyl, OCF_2H, CN, or NO_2.

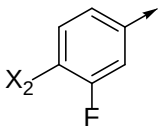
[00118] In some embodiments, X_3 is H, F, Br, I, CH_3, CF_2H, CF_3, OCF_2H, or CN.

[00119] In some embodiments, X_3 is F or Cl. In some embodiments, X_3 is Br or I.

[00120] In one embodiment, when Ar is 

, then X is N, CH, CF, CCl, or CCH_3, with provisos that:

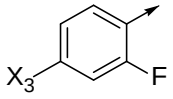
i) R^2 is not Cl or vinyl, when X is N;
ii) X_1 is not H, F, OCF_3, or CN, when R^2 is Cl and X is CH;
iii) X_1 is not F, I, CN, or ethynyl, when R^2 is OCH_3 and X is CF; and
iv) X_1 is not H, when X is CCl.

[00121] In one embodiment, when Ar is 

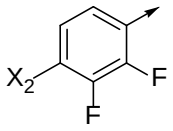
, then X is N, CH, CF, CCl, or CCH_3, with provisos that:

i) R^2 is not Cl, when X is N;
ii) X_2 is not Cl, when R^2 is OCH_3 or vinyl and X is N;
iii) X_2 is not Cl, when R^2 is Cl and X is CH; and

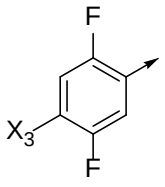
iv) X_2 is not Cl, Br, I, or CF_3, when R^2 is OCH_3 and X is CF.

[00122] In one embodiment, when Ar is  , then X is N, CH, or CF, with provisos that:

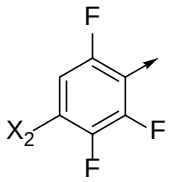
i) R^2 is not Cl, when X is N;
ii) X_3 is not CH_3, when R^2 is OCH_3 and X is N;
iii) X_3 is not H, F, or CH_3, when R^2 is Cl and X is CH; and
iv) X_3 is not Br or I, when R^2 is OCH_3 and X is CF.

[00123] In one embodiment, when Ar is  , then X is N, CH, or CF, with provisos that:

i) R^2 is not Cl, when X is N;
ii) X_2 is not Cl, when R^2 is OCH_3 or vinyl and X is N;
iii) X_2 is not F, when R^2 is Cl and X is CH; and
iv) X_2 is not Cl, Br, I, or CF_3, when R^2 is OCH_3 and X is CF.

[00124] In one embodiment, when Ar is  , then X is N, CH, or CF, with proviso that:

i) X_3 is not CH_3, when R^2 is Cl and X is N; and
ii) X_3 is not Br or I, when X is CF and R^2 is OCH_3.

[00125] In one embodiment, when Ar is  , then X is N, CH, or CF.

[00126] Any of the combinations of Ar, X, Y, R^1, R^2, R^3, R^4, $R^{1'}$, $R^{1''}$, $R^{2''}$, R^{17}, R^{18}, R^{19}, R^{20}, R^{21}, $R^{3'}$, $R^{4'}$, Ar1, Ar2, Ar3, Ar4, Ar5, Ar6, X_1, X_2, and/or X_3, and/or other substituents described herein, are encompassed by this disclosure and specifically provided herein.

METHODS OF PREPARING THE COMPOUNDS

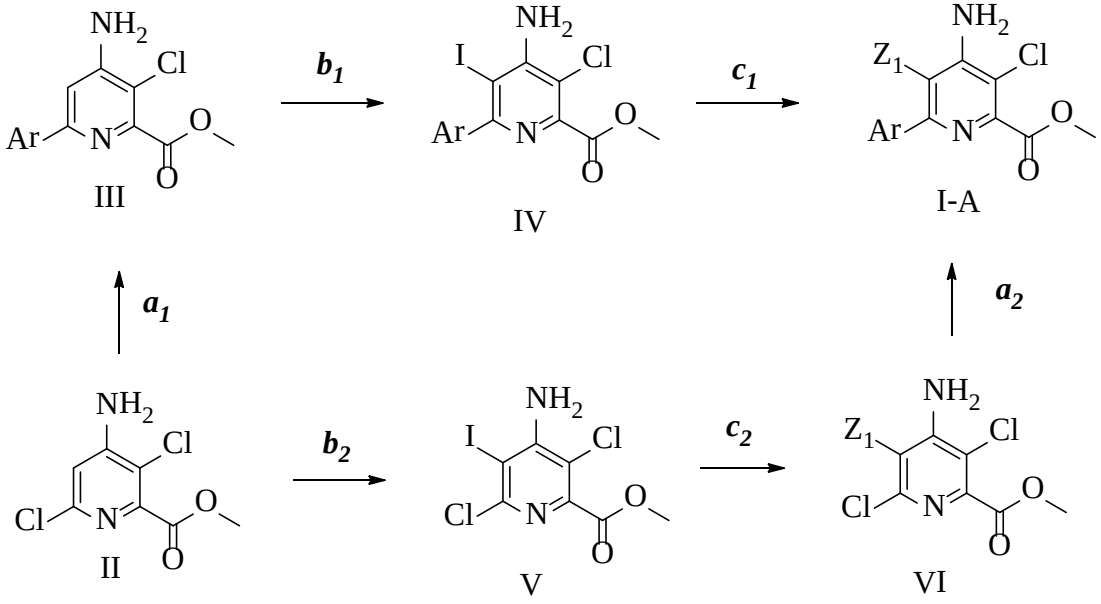
[00127] Exemplary procedures to synthesize the compounds of Formula (I) are provided below.

[00128] The 3,5-disubstituted-4-amino-6-(optionally substituted phenyl)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).

[00129] Alternatively, 4-amino-6-chloropicolinates of Formula (II) can be transformed into 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

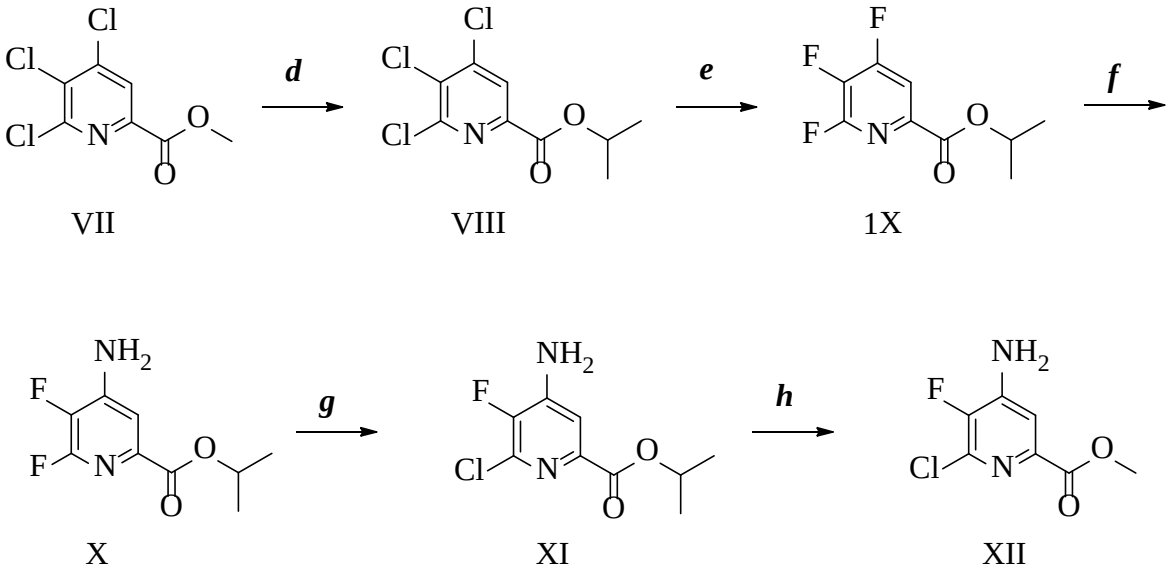
solvent mixture, such as acetonitrile-water, at a temperature, such as 110 °C, *e.g.*, in a microwave reactor (reaction a_2).

Scheme I



[00130] 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

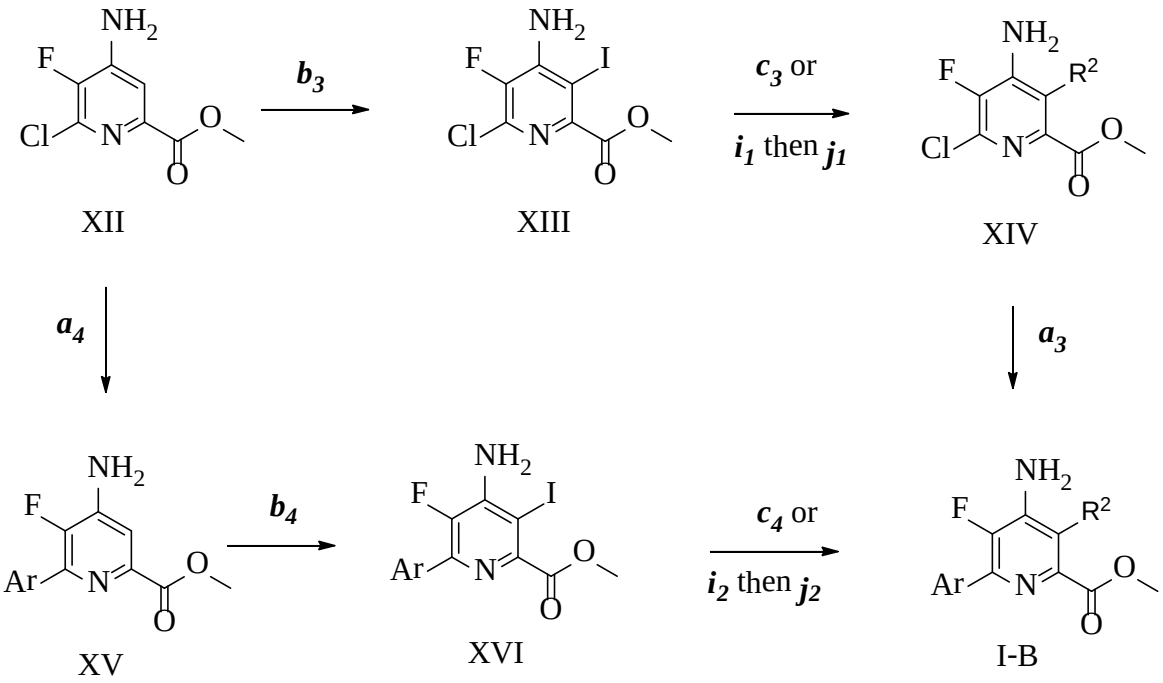


[00131] As depicted in Scheme III, the 4-amino-5-fluoro-6-chloropicolinate of Formula (XII) can be transformed into the 3-iodo-4-amino-5-fluoro-6-chloropicolinate of Formula (XIII) via reaction with iodinating reagents, such as periodic acid and iodine, in a polar, protic solvent, such as methyl alcohol (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).

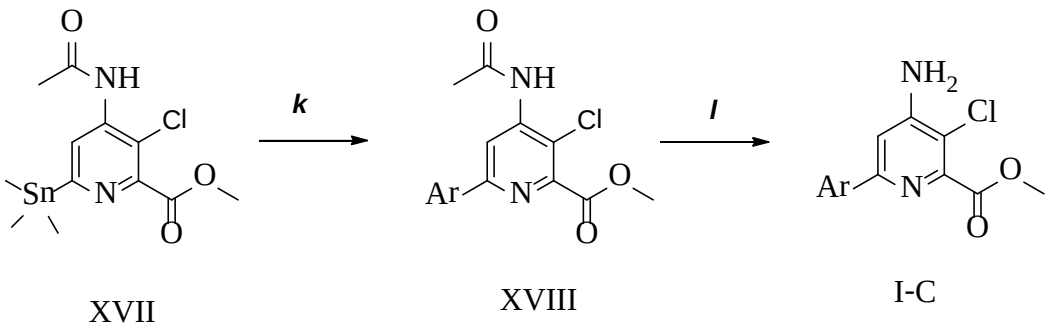
[00132] Alternatively, the 4-amino-5-fluoro-6-chloropicolinates of Formula (XII) can be converted to the 4-amino-5-fluoro-6-substituted-picolinates of Formula (XV), wherein Ar is as herein defined, via Suzuki coupling with a boronic acid or ester, in the presence of a base, such as potassium fluoride, 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



[00133] 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*).

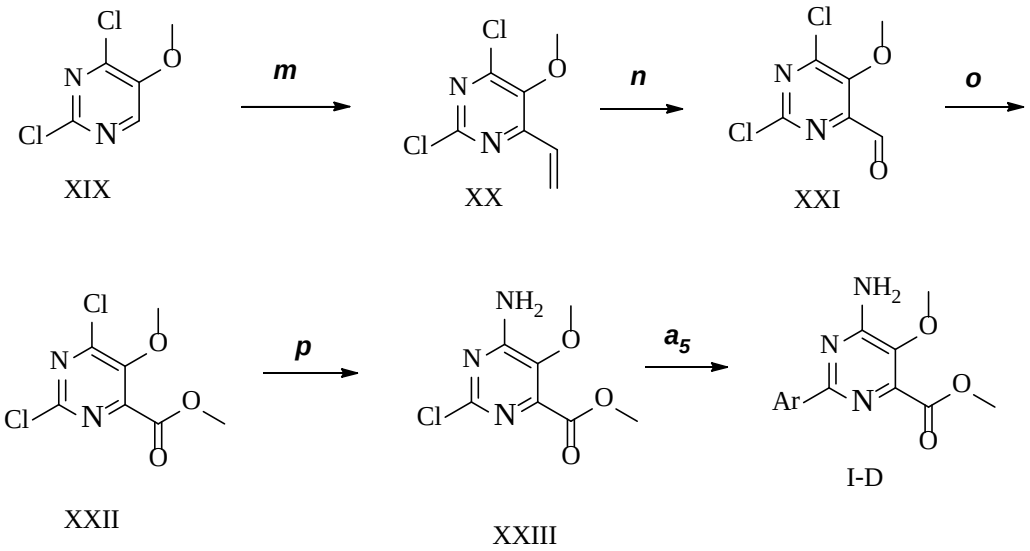
Scheme IV



[00134] 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



[00135] 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

[00136] 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, emulsifiable concentrates, solutions, emulsions or suspensions. They can also be provided as a pre-mix or tank-mixed.

[00137] 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.

[00138] 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.

[00139] 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.

[00140] 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.

[00141] 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.

[00142] 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.

[00143] 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.

[00144] 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.

[00145] 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.

[00146] 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.

[00147] 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, SCPJU), *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. (mild smartweed, POLHP), *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).

[00148] 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, POAAN), *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).

[00149] 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).

[00150] 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).

[00151] 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.

[00152] 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.

[00153] 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.

[00154] 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.

[00155] 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.

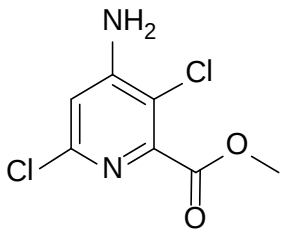
[00156] The described embodiments and following examples are for illustrative purposes and are not intended to limit the scope of the claims. Other modifications, uses, or combinations with respect to the compositions described herein will be apparent to a person of ordinary skill in the art without departing from the spirit and scope of the claimed subject matter.

EXAMPLES

SYNTHESIS OF PRECURSORS

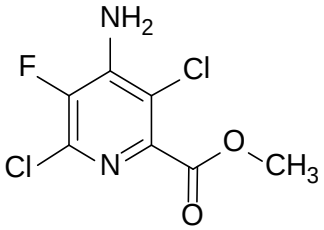
[00157] General Considerations: Fluorine spectra were acquired at 376 MHz on a Bruker DRX400 spectrometer. The spectra were referenced to trichlorofluoromethane ($CFCl_3$) as an external standard and were typically conducted with proton decoupling.

Example 1: Preparation of methyl 4-amino-3,6-dichloropicolinate (Head A)



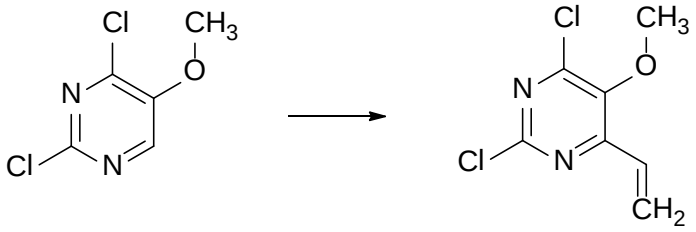
[00158] Prepared as described in Fields et al., WO 2001051468 A1.

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



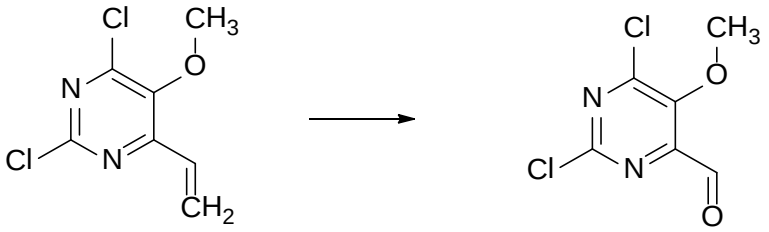
[00159] Prepared as described in Fields et al., *Tetrahedron Letters* **2010**, *51*, 79-81.

Example 3: Preparation of 2,6-dichloro-5-methoxy-4-vinyl pyrimidine



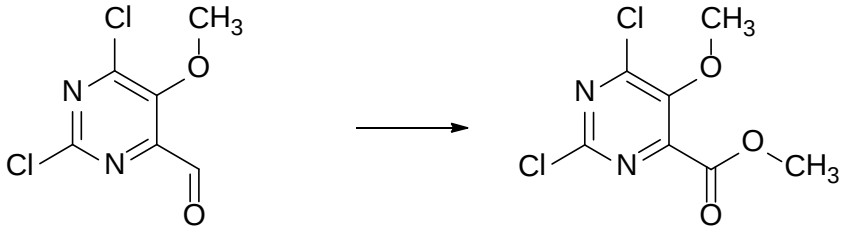
[00160] To a solution of commercially available 2,6-dichloro-5-methoxy pyrimidine (100 grams (g), 0.55 moles (mol) in dry tetrahydrofuran was added dropwise 1 molar (M) vinyl magnesium bromide in tetrahydrofuran solvent (124 g, 0.94 mol) over one hour (h) at room temperature. The mixture was then stirred 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 hexanes 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).

Example 4: Preparation of 2,6-dichloro-5-methoxy-pyrimidine-4-carbaldehyde



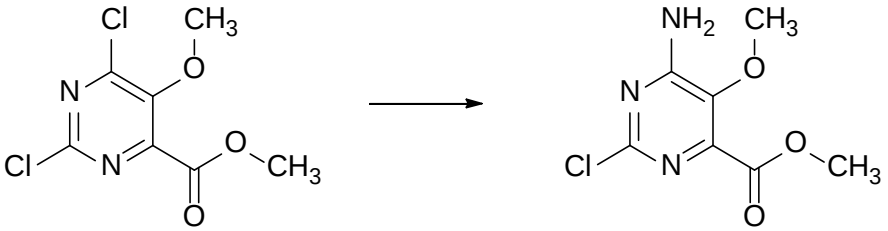
[00161] A solution of 2,6-dichloro-5-methoxy-4-vinyl pyrimidine (50 g, 0.24 mol) in dichloromethane:methanol (4:1, 2L) was cooled to -78 °C. Ozone gas was bubbled through for 5 h. The reaction was quenched with dimethyl sulfide (50 mL). The mixture was slowly warmed to room temperature and concentrated under reduced pressure at 40 °C to provide the title compound (50.5 g, 100%).

Example 5: Preparation of methyl 2,6-dichloro-5-methoxy-pyrimidine-4-carboxylate



[00162] 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 v/v) 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 (2 L), sodium bisulfite (50 g), and sodium chloride (NaCl; 200 g). The product was extracted with ethyl acetate (1 L x 2), and the combined organic layer was dried over sodium sulfate (Na_2SO_4) 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 ($[M+H]^+$).

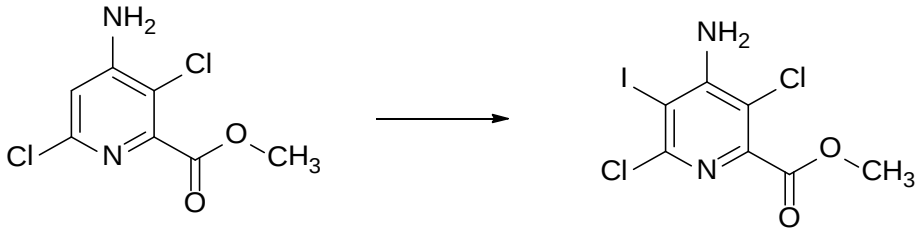
Example 6: Preparation of methyl 6-amino-2-chloro-5-methoxy-pyrimidine-4-carboxylate (Head C)



[00163] 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 equivalents (equiv)) 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 Na_2SO_4. 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

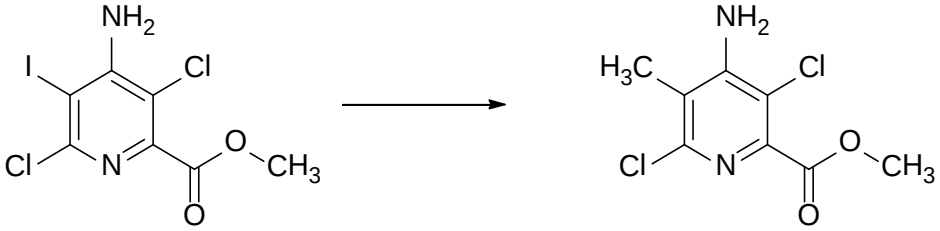
concentration, was purified by flash chromatography. This produced the title compound (11 g, 50%): mp 158 °C; ^{1}H NMR (DMSO-d_6) δ 3.71 (s, 3H), 3.86 (s, 3H), 7.65 (br s, 1H), 8.01 (br s, 1H).

Example 7: Preparation of methyl 4-amino-3,6-dichloro-5-iodopicolinate



[00164] 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 Na_2SO_4. 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, 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.

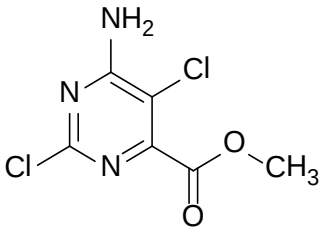
Example 8: Preparation of methyl 4-amino-3,6-dichloro-5-methylpicolinate (Head D)



[00165] 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 compound as an orange solid (4.53 g, 83%): mp 133–136 °C; ^{1}H NMR (400 MHz,

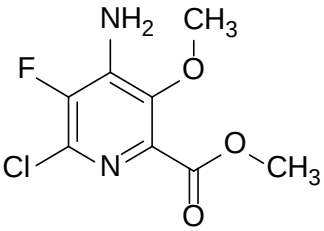
$CDCl_3$) δ 4.92 (s, 2H), 3.96 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.34, 150.24, 148.69, 143.94, 117.01, 114.60, 53.02, 14.40; ESIMS *m/z* 236 ($[M+H]^+$), 234 ($[M-H]^-$).

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



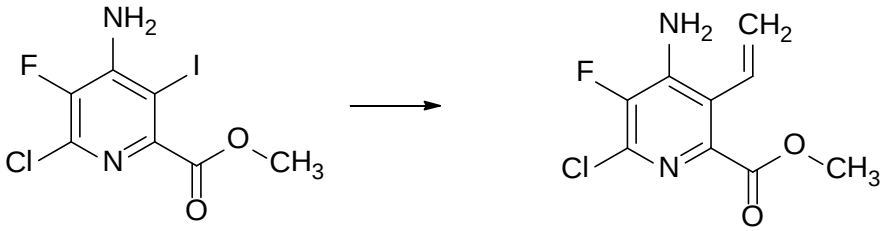
[00166] Prepared as described in Epp et al., WO 2007082076 A1.

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



[00167] Prepared as described in Epp et al., WO 2013003740 A1.

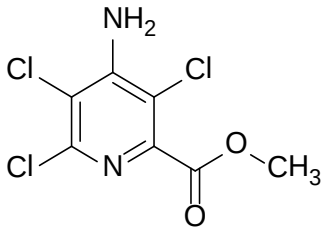
Example 11: Preparation of methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (Head G)



[00168] 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 vinyl tri-*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

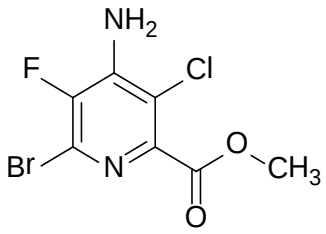
solution). The reaction was monitored by gas chromatography-mass spectrometry (GC-MS). After 20 h, the reaction mixture was concentrated, adsorbed onto Celite®, and purified by column chromatography (silica gel (SiO_2); hexanes/ethyl acetate gradient) to afford the title compound as a light brown solid (3.23 g, 65.7%): 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.

Example 12: Preparation of methyl 4-amino-3,5,6-trichloropicolinate (Head H)



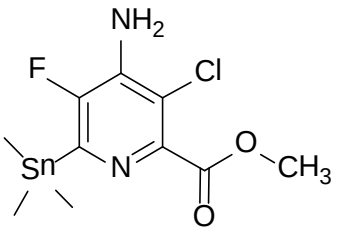
[00169] Prepared as described in Finkelstein et al., WO 2006062979 A1.

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



[00170] Prepared as described in Arndt et al., US 20120190857 A1.

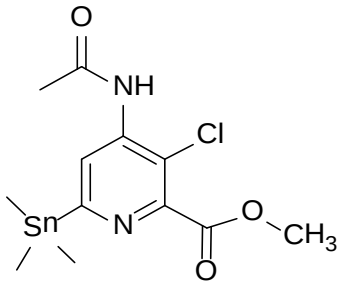
Example 14: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(trimethylstannyl)picolinate (Head J)



[00171] Methyl 4-amino-6-bromo-3-chloro-5-fluoropicolinate (500 milligrams (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

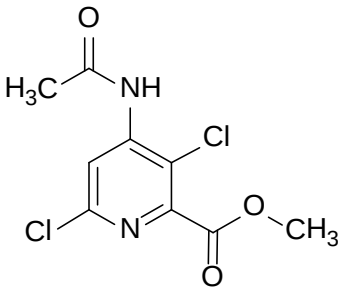
with a stream of nitrogen for 10 min and then heated to 80 ºC for 2 h. The cooled mixture was stirred with ethyl acetate (25 mL) and saturated NaCl (25 mL) for 15 min. The organic phase was separated, filtered through diatomaceous earth, dried (Na_2SO_4) and evaporated. The residue was taken up in ethyl acetate (4 mL), stirred and treated in portions with hexanes (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, 2H), 3.97 (s, 3H), 0.39 (s, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -130.28; EIMS *m/z* 366.

Example 15: Preparation of methyl 4-acetamido-3-chloro-6-(trimethylstannyl)-picolinate (Head K)



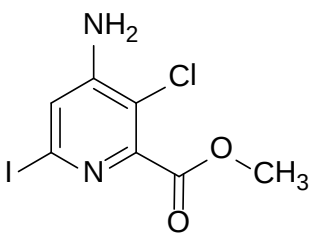
[00172] Prepared as described in Balko et al., WO 2003011853 A1.

Example 16: Preparation of methyl 4-acetamido-3,6-dichloropicolinate (Head L)



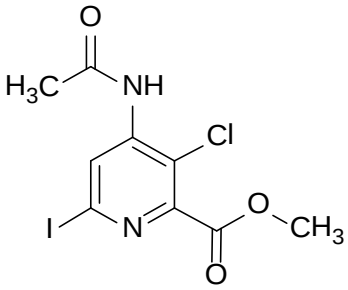
[00173] Prepared as described in Fields et al., WO 2001051468 A1.

Example 17: Preparation of methyl 4-amino-3-chloro-6-iodopicolinate (Head M)



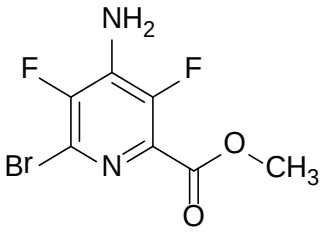
[00174] Prepared as described in Balko et al., WO 2007082098 A2.

Example 18: Preparation of methyl 4-acetamido-3-chloro-6-iodopicolinate (Head N)



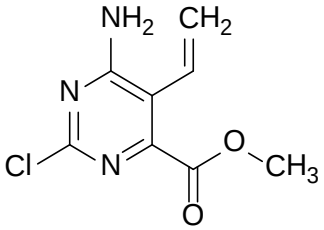
[00175] Prepared as described in Balko et al., WO 2007082098 A2.

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



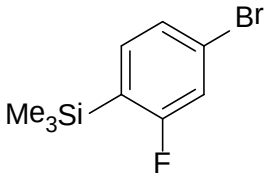
[00176] Prepared as described in Fields et al., WO 2001051468 A1.

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



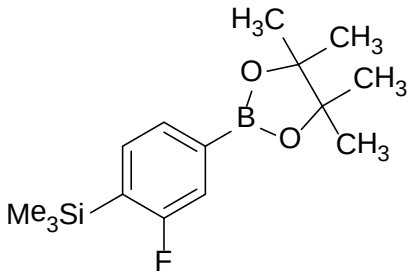
[00177] Prepared as described in Epp et al., US 20090088322.

Example 22: Preparation of 4-bromo-2-fluorophenyl)trimethylsilane



[00178] A 2.5 M solution of *n*-butyllithium in hexanes (*n*-BuLi; 900 microliters (µL), 2.2 mmol, 1.1 equiv) was added to a stirred solution of 1,4-dibromo-2-fluorobenzene (500 mg, 2.0 mmol, 1.0 equiv) in diethyl ether (10 mL) at -78 °C. The resulting pale yellow solution was stirred at -78 °C for 2 h. Chlorotrimethylsilane (300 µL, 2.4 mmol, 1.2 equiv) was added and the resulting pale yellow solution was allowed to slowly warm to 23 °C, by allowing the dry ice / acetone bath to melt, and was stirred for 72 h. The reaction mixture was diluted with water (50 mL) and extracted with dichloromethane (3 x 50 mL). The combined organic layers were dried (magnesium sulfate ($MgSO_4$)), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a pale yellow oil (350 mg, 71%): IR (thin film) 3068 (w), 2955 (m), 2927 (m), 2855 (w), 1598 (w), 1567 (w) cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 7.38 – 7.49 (m, 3H), 0.30 (s, 9H).

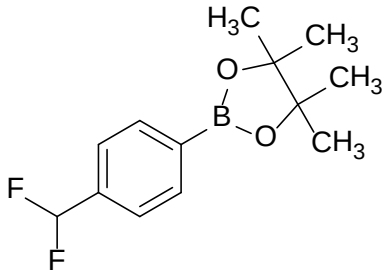
Example 23: Preparation of (2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane



[00179] A 2.5 M solution of *n*-BuLi (8.5 mL, 21 mmol, 1.1 equiv) was added to a stirred solution of (4-bromo-2-fluorophenyl)trimethylsilane (4.8 g, 19 mmol, 1.0 equiv) in tetrahydrofuran (80 mL) at -78 °C. The resulting orange solution was stirred at -78 °C for 15 min. 2-Isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (4.4 mL, 21 mmol, 1.1 equiv) was added, and the cloudy orange solution was allowed to slowly warm to 23 °C, by allowing the dry ice / acetone bath to melt, and stirred for 20 h. The reaction mixture was diluted with water (200 mL), adjusted to approximately pH 4 using 1 M hydrochloric acid (HCl), and extracted with dichloromethane (3 x 100 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a pale yellow semi-solid (6.0 g, 99%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.55 (dt, *J* = 7.5, 1 Hz, 1H), 7.38 – 7.42 (m, 2H), 1.34 (s, 12H), 0.29 (d, *J* = 1 Hz, 9H).

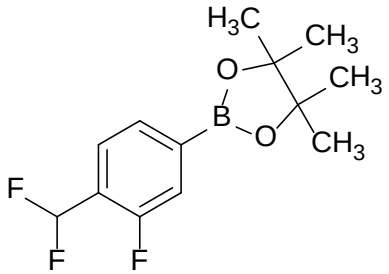
[00180] The following compounds were made in accordance with the procedures disclosed in Example 23:

2-(4-(Difluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



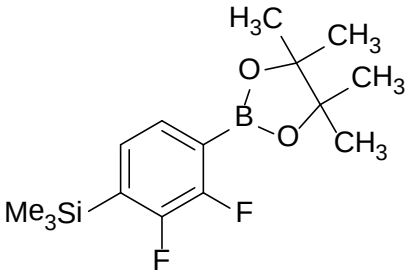
[00181] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (br d, *J* = 8 Hz, 2H), 7.50 (br d, *J* = 8 Hz, 2H), 6.65 (t, *J* = 56 Hz, 1H), 1.35 (s, 12H).

2-(4-(Difluoromethyl)-3-fluorophenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



[00182] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 – 7.68 (m, 3H), 6.90 (t, *J* = 55 Hz, 1H), 1.35 (s, 12H).

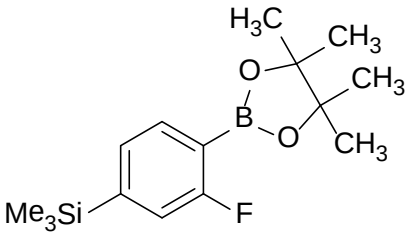
Example 24: Preparation of (2,3-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane



[00183] A 2.5 M solution of *n*-BuLi (9.5 mL, 24 mmol, 1.1 equiv) was added to a stirred solution of (2,3-difluorophenyl)trimethylsilane (4.0 g, 21 mmol, 1.0 equiv) in tetrahydrofuran (86 mL) at -78 °C. The resulting very pale yellow solution was stirred at -78 °C for 1 h. 2-Isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (4.8 mL, 24 mmol, 1.1 equiv) was added, and the pale yellow solution was allowed to slowly warm to 23 °C, by allowing the dry ice / acetone bath to melt, and stirred for 20 h. The reaction mixture was diluted with water (200 mL), adjusted to approximately pH 4 using 1M HCl, and extracted

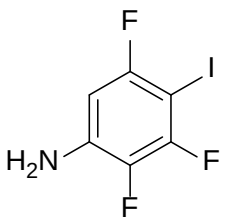
with dichloromethane (3 x 100 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a white powder (6.4 g, 96%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (ddd, *J* = 7.5, 4.5, 0.5 Hz, 1H), 7.09 (ddd, *J* = 7.5, 4, 1 Hz, 1H), 1.34 (s, 12H), 0.29 (d, *J* = 1 Hz, 9H).

Example 25: Preparation of (3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane



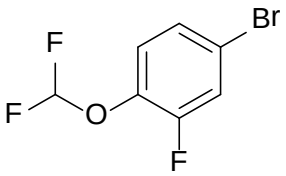
[00184] A 2.5 M solution of *n*-BuLi (3.5 mL, 8.5 mmol, 1.1 equiv) was added to a stirred solution of 1,4-dibromo-2-fluorobenzene (2.0 g, 7.9 mmol, 1.0 equiv) in tetrahydrofuran (THF; 26 mL) at -78 °C. The resulting bright yellow solution was stirred at -78 °C for 15 min. 2-Isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (1.8 mL, 8.7 mmol, 1.1 equiv) was added and the resulting pale yellow solution was stirred at -78 °C for 30 min. A 2.5 M solution of *n*-BuLi (3.5 mL, 8.5 mmol, 1.1 equiv) was added and the resulting yellow/brown solution was stirred at -78 °C for 15 min. Chlorotrimethylsilane (2.2 mL, 17 mmol, 2.2 equiv) was added, and the resulting pale yellow solution was allowed to slowly warm to 23 °C, by allowing the dry ice / acetone bath to melt, and stirred for 18 h. The reaction mixture was diluted with water (150 mL) and extracted with dichloromethane (2 x 100 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a pale yellow powder (2.3 g, 99%): IR (thin film) 3058 (w), 2981 (s), 2932 (m), 1615 (m) cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (dd, *J* = 7.5, 6 Hz, 1H), 7.26 (m, 1H), 7.16 (d, *J* = 7.5 Hz, 1H), 1.34 (s, 12H), 0.23 (s, 9H).

Example 26: Preparation of 2,3,5-trifluoro-4-iodoaniline



[00185] To a stirred solution of 2,3,5-trifluoroaniline (2.0 g, 13.605 mmol, 1.0 equiv) in dry THF (40 mL) at -78 °C, was added *sec*-butyllithium (10.88 mL, 13.6 mmol, 1.0 equiv) over 30 min. Stirring was continued at -78 °C for 2 h. A solution of iodine (4.14 g, 16.32 mmol, 1.2 equiv) was added dropwise, and reaction mixture was slowly warmed to 20 °C over 1 h. The reaction was quenched with 10% aqueous (aq) sodium thiosulfate ($Na_2S_2O_3$) solution and extracted with methyl *tert*-butyl ether (MTBE; 3 x 50 mL). The combined organic extracts were washed with saturated brine solution, dried over anhydrous Na_2SO_4, filtered and evaporated to dryness under reduced pressure. The crude product was column purified over silica using 0–10% ethyl acetate (EtOAc) with hexanes as eluent to afford 2,3,5-trifluoro-4-iodoaniline **(**1.3 g, 35%) as pink solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.43 – 6.39 (m, 1H), 3.99 (br s, 2H); ESIMS *m/z* 274 ($[M+H]^+$).

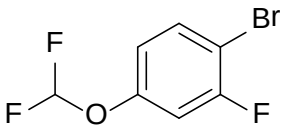
Example 27: Preparation of 4-bromo-1-(difluoromethoxy)-2-fluorobenzene



[00186] To a 100 mL flask charged with *N*,*N*-dimethylformamide (DMF; 23 mL) were added sodium 2-chloro-2,2-difluoroacetate (4.79 g, 31.4 mmol), potassium carbonate (2.60 g, 18.85 mmol), 4-bromo-2-fluorophenol (3 g, 15.71 mmol). Water (5.75 mL) was added and the reaction mixture was heated to 100 °C for 3 h. Upon cooling to room temperature, the reaction mixture was diluted with diethyl ether (Et_2O; 100 mL) and a 2 normal (N) sodium hydroxide (NaOH) solution (100 mL). The organic layer was removed and dried over anhydrous Na_2SO_4. Upon filtration the organic solution was concentrated on a rotary evaporator with the water bath at 4 °C to yield the title compound as a clear oil (1 g, 13%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (dd, *J* = 9.7, 2.3 Hz, 1H), 7.27 (ddd, *J* = 8.7, 2.3, 1.5 Hz, 1H), 7.19 – 7.04 (m, 1H), 6.53 (t, *J* = 73.0 Hz, 1H); ESIMS *m/z* 242($[M+H]^+$).

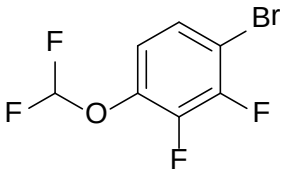
[00187] The following compounds were made in accordance with the procedures disclosed in Example 27.

1-Bromo-4-(difluoromethoxy)-2-fluorobenzene



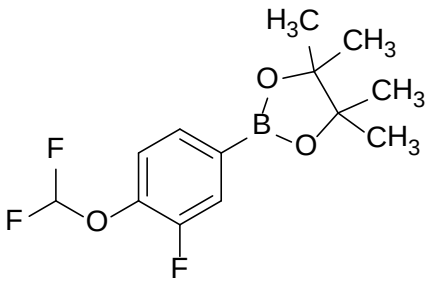
[00188] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (dd, *J* = 8.8, 7.7 Hz, 1H), 6.95 (dd, *J* = 9.1, 2.7 Hz, 1H), 6.90 – 6.79 (m, 1H), 6.50 (t, *J* = 72.8 Hz, 1H); IR (thin film) 781.76, 811.23, 856.78, 945.20, 1043.80, 977.35, 1141.65, 1113.50, 1174.18, 1260.90, 1285.55, 1382.78, 1423.39, 1487.03, 1593.17, 2847.53, 2927.91, 2992.21, 3112.78 cm^{-1}; ESIMS *m/z* 242($[M+H]^+$).

1-Bromo-4-(difluoromethoxy)-2,3-difluorobenzene



[00189] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (ddd, *J* = 9.2, 6.9, 2.5 Hz, 1H), 7.02 – 6.93 (m, 1H), 6.56 (t, *J* = 72.4 Hz, 1H); IR (thin film) 776.30, 811.66, 884.39, 986.70, 1100.95, 1144.65, 1211.05, 1241.96, 1266.36, 1297.59, 1383.98, 1494.35, 1474.47, 1600.40, 1679.63, 3038.31, 3103.90 cm^{-1}; ESIMS *m/z* 260 ($[M+H]^+$).

Example 28: Preparation of 2-(4-(difluoromethoxy)-3-fluorophenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

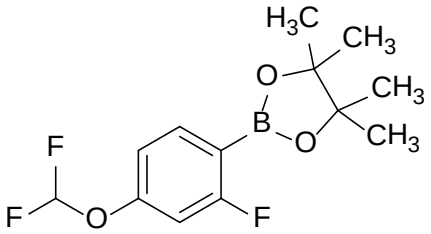


[00190] To DMSO (10 mL) were added 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) (1.264 g, 4.98 mmol), $PdCl_2$(dppf) (0.304 g, 0.415 mmol), potassium acetate (1.222 g, 12.45 mmol), and 4-bromo-1-(difluoromethoxy)-2-fluorobenzene (1 g, 4.15 mmol). The reaction was heated to an external temperature of 80 °C for 18 h. Upon cooling, the reaction mixture was poured into ice water (50 mL). The ice water mixture was transferred to a separatory funnel and two extractions with EtOAc (50 mL) were completed. The organic layers were combined, dried over Na_2SO_4, and filtered. The solution was concentrated onto Celite® (5 g) using EtOAc as solvent. The impregnated Celite® was purified by silica gel chromatography using 0–30% EtOAc:hexanes to yield the title compound as a yellow oil (773 mg, 64%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 – 7.53 (m,

2H), 7.25 – 7.16 (m, 1H), 6.58 (t, *J* = 73.5 Hz, 1H), 1.34 (s, 12H); ESIMS *m/z* 289 ([M+H]$^+$).

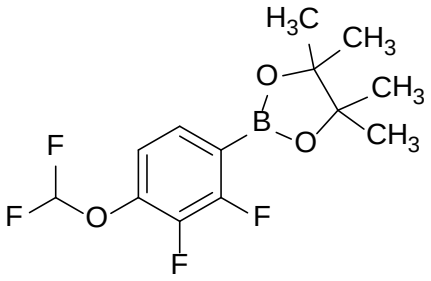
[00191] The following compounds were made in accordance with the procedures disclosed in Example 28:

2-(4-(Difluoromethoxy)-2-fluorophenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



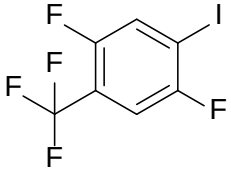
[00192] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (dd, *J* = 8.3, 6.8 Hz, 1H), 6.89 (dd, *J* = 8.3, 2.2 Hz, 1H), 6.81 (dd, *J* = 9.9, 2.2 Hz, 1H), 6.54 (t, *J* = 73.2 Hz, 1H), 1.26 (s, 12H); IR (thin film) 848.53, 961.04, 1066.43, 1125.19, 1172.02, 1238.3, 1212.77, 1330.51, 1281.58, 1357.05, 1372.85, 1380.73, 1425.32, 1469.05, 1579.31, 1621.00, 2933.42, 2982.31 cm^{-1}; ESIMS *m/z* 289 ([M+H]$^+$).

2-(4-(Difluoromethoxy)-2,3-difluorophenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



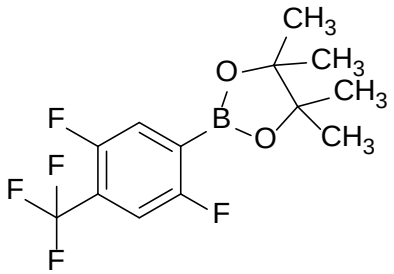
[00193] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (ddd, *J* = 8.3, 5.8, 2.3 Hz, 1H), 7.05 – 6.95 (m, 1H), 6.59 (t, *J* = 72.8 Hz, 1H), 1.35 (s, 12H); IR (thin film) 673.35, 851.08, 916.78, 965.07, 1123.87, 1142.58, 1210.42, 1331.14, 1280.13, 1362.56, 1392.44, 1467.32, 1507.77, 1589.62, 1629.61, 2935.00, 2982.70 cm^{-1}; ESIMS *m/z* 307 ([M+H]$^+$).

Example 29: Preparation of 1,4-difluoro-2-iodo-5-(trifluoromethyl)benzene



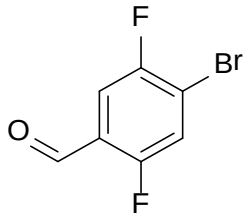
[00194] *N*-(2,5-Difluoro-4-(trifluoromethyl)phenyl)acetamide (950 mg, 4.0 mmol; Prepared according to Y. Tanabe et al, *J. Org. Chem.* **1988**, *53*, 4585-4587) was stirred in methanol (25 mL), treated with acetyl chloride (3 mL) and heated at reflux for 2 h. The volatiles were removed by evaporation, and the solid residue was dissolved in 6 N HCl (50 mL), cooled to 5 °C and treated in portions with a solution of sodium nitrite (410 mg, 6.0 mmol) in water (5 mL). After 30 min, this mixture was poured into a solution of sodium iodide (2.4 g, 16 mmol) in water (50 mL) and rapidly stirred with dichloromethane (50 mL). After 30 min, solid sodium bisulfite was added to destroy the iodine color, and the separated organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. The material was purified by flash chromatography (SiO_2; eluting with hexanes) to provide the title compound as a volatile clear liquid (250 mg, 20%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (ddd, *J* = 8.8, 4.8, 0.4 Hz, 1H), 7.28 (dd, *J* = 11.1, 4.7 Hz, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -61.92, -97.64, -97.68, -118.59, -118.63, -118.64, -118.67; EIMS *m/z* 308.

Example 30: Preparation of 2-(2,5-difluoro-4-(trifluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



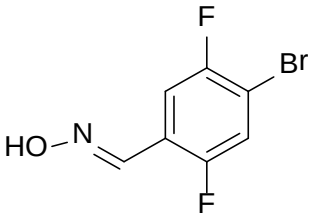
[00195] 1,4-Difluoro-2-iodo-5-(trifluoromethyl)benzene (500 mg, 1.6 mmol) was dissolved in dry THF (7 mL), cooled to 0 °C and treated in portions with isopropyl magnesium chloride-lithium chloride complex (1.3 M; 1.4 mL, 1.8 mmol) and stirred for 40 min at 5 °C. 2-Isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (360 μL, 330 mg, 1.8 mmol) was added and stirring was continued for 1 h. After treating with saturated ammonium chloride (NH_4Cl), the mixture was shaken with ethyl acetate. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated to give the title compound as a light brown oil (500 mg, 100%). The material was used without further purification: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (dd, *J* = 9.9, 4.3 Hz, 1H), 7.27 (dd, *J* = 8.0, 5.2 Hz, 2H), 1.37 (s, 12H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.10, -62.13, -106.85, -106.90, -121.81, -121.87, -121.90.

Example 31: Preparation of 4-bromo-2,5-difluorobenzaldehyde



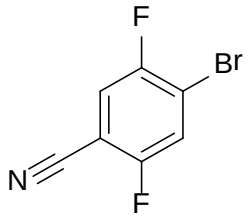
[00196] To a solution of 2,5-dibromo-1,4-difluorobenzene (10.0 g, 36.77 mmol) in diethyl ether (150 mL) at -78 °C was added *n*-butyl lithium (2.5 M in Hexanes, 14.86 mL, 37.15 mmol) dropwise under nitrogen. The reaction mixture was stirred at -78 °C for 30 min. Dry DMF (3.13 mL, 40.46 mmol) in diethyl ether (10 mL) was added dropwise and reaction was slowly warmed to room temperature over 2 h. The reaction was quenched with aqueous saturated NH_4Cl solution (25 mL) and extracted with diethyl ether. The organic phase was washed with saturated brine solution, dried (Na_2SO_4), filtered, and concentrated under reduced pressure (Note: Product is highly volatile). The crude product was purified by flash chromatography (SiO_2, eluting with 2-20% ethyl acetate in hexanes) to provide the title compound as a pale yellow solid (7.0 g, 86%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 5.08, 8.92 Hz, 1H), 7.62 (dd, *J* = 5.80, 7.68 Hz, 1H), 10.30 (d, *J* = 2.76 Hz, 1H).

Example 32: Preparation of (*E*)-4-bromo-2,5-difluorobenzaldehyde oxime



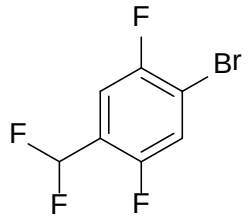
[00197] A solution of 4-bromo-2,5-difluorobenzaldehyde (7.0 g, 31.67 mmol), hydroxyl amine hydrochloride (2.42 g, 34.84 mmol) in pyridine (35 mL) and ethanol (35 mL) was stirred at room temperature for 30 min. The reaction mixture was diluted with saturated NH_4Cl solution and extracted with ethyl acetate. The organic phase was washed with saturated brine solution, dried (Na_2SO_4), filtered, and concentrated under reduced pressure. The crude product was purified by flash chromatography (SiO_2; eluting with 5–100% ethyl acetate in hexanes) to provide the title compound as a yellow solid (4.0 g, 53%): ESIMS *m/z* 238 ($[M+2H]^+$).

Example 33: Preparation of 4-bromo-2,5-difluorobenzonitrile



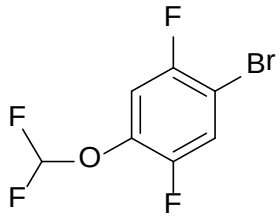
[00198] A solution of cyanuric chloride (3.12 g, 16.94 mmol) and dry DMF (8.5 mL) was stirred for 30 min or until the formation of white solid. Disappearance of cyanuric chloride was confirmed by thin layer chromatography (TLC). (*E*)-4-Bromo-2,5-difluorobenzaldehyde oxime (4.0 g, 16.94 mmol) in DMF (26 mL) was added dropwise to the suspension and stirred for 1 h. The reaction mixture was diluted with water and extracted with hexanes. The organic extract was washed with water, washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The crude product was purified by flash chromatography (SiO_2; eluting with 2–20% ethyl acetate in hexanes) to provide the title compound as a white solid (2.5 g, 68%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (dd, *J* = 5.36, 7.10 Hz, 1H), 7.52 (dd, *J* = 5.40, 7.66 Hz, 1H); EIMS *m/z* 218.

Example 34: Preparation of 1-bromo-4-(difluoromethyl)-2,5-difluorobenzene



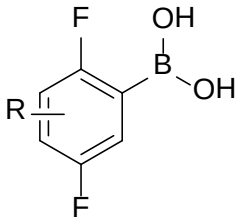
[00199] To a solution of 4-bromo-2,5-difluorobenzaldehyde (11.0 g, 49.77 mmol) in dichloromethane (55 mL) was added (diethylamino)sulfur trifluoride (DAST; 24.06 g, 0.15 mol) in dropwise manner at 0 °C. After the addition was complete, the cooling bath was removed and stirring was continued for 2 h at room temperature (rt). The reaction mixture was diluted with dichloromethane, washed with water, washed with saturated brine solution, dried (Na_2SO_4), and evaporated under reduced pressure. The crude product was purified by flash chromatography (SiO_2; eluting with 0–10% ethyl acetate in hexanes) to provide the title compound as a pale brown liquid (8.39 g, 69%): ^{1}H NMR(400 MHz, $CDCl_3$) δ 6.58 (t, *J* = 72.32 Hz, 1H), 7.12 (t, *J* = 7.92 Hz, 1H), 7.44 (dd, *J* = 6.32, 9.18 Hz, 1H); EIMS *m/z* 244.

Example 35: Preparation of 1-bromo-4-(difluoromethoxy)-2,5-difluorobenzene



[00200] In a sealed tube, a solution of 4-bromo-2,5-difluorophenol (5.0 g, 23.9 mmol) and potassium hydroxide (26.8 g, 479 mmol) in a 1:1 mixture of acetonitrile and water (110 mL) at -78 °C was treated with bromo-difluoromethyl diethylphosphonate (12.8 g, 47.9 mmol) in one portion. The sealed tube was stirred at room temperature overnight. The reaction mixture was diluted with diethyl ether and the organic phase was separated. The aqueous phase was extracted with diethyl ether twice. The combined organic extracts were washed with a saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The crude product was purified by flash chromatography (SiO_2; eluting with 0–10% ethyl acetate in hexanes) to provide the title compound as a clear liquid (4.2 g, 67.8%): ^{1}H NMR(300 MHz, $CDCl_3$) δ 6.56 (t, *J* = 72.36 Hz, 1H), 7.11 (t, *J* = 7.32 Hz, 1H), 7.40 – 7.45 (m, 1H); EIMS *m/z* 259.

Example 36: General procedure for synthesis of boronic acids

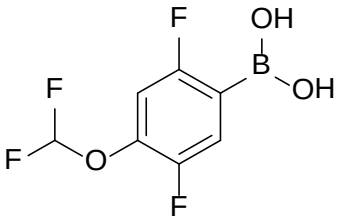


[00201] Argon was bubbled through a solution of the bromophenyl substrate (1.0 equiv), potassium acetate (3.0 equiv), and *bis*-(pinacolato)diboron (1.1 equiv) in DMSO (enough volume to provide 0.1–0.2 M in substrate) for 15 min in a sealed tube. Pd(dppf)Cl_2 (0.1 equiv) was added and the sealed tube was recapped. The reaction mixture was heated at 80 °C for 18 h. The cooled reaction mixture was diluted with water and extracted with methyl *t*-butyl ether. The organic extract was washed with water, washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The crude boronate (1.0 equiv) was dissolved in diethyl ether (10 vol) and diethanolamine (1.1 equiv) was added. The reaction mixture was stirred at room temperature for 30–45 min. A white solid precipitated out after 45 min. Stirring was stopped and the solvent was decanted. Fresh ether was added to the solids followed by an excess of 1.5 N HCl . The resulting

biphasic solution was stirred for 30 min. The organic phase was washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The boronic acids thus obtained were used in the next step without purification.

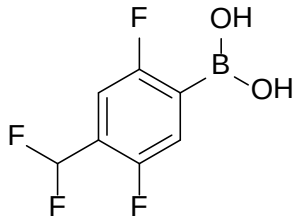
[00202] The following compounds were made in accordance with the procedures disclosed in Example 36:

(4-(Difluoromethoxy)-2,5-difluorophenyl)boronic acid



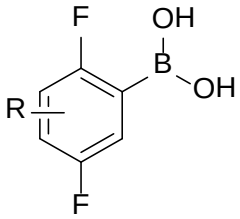
[00203] ^{1}H NMR(300 MHz, $CDCl_3$) δ 6.59 (t, *J* =72.78 Hz, 1H), 6.97 (dd, *J* = 2.70, 9.14 Hz, 1H), 7.52 (dd, *J* = 5.19, 10.29 Hz, 1H).

(4-(Difluoromethyl)-2,5-difluorophenyl)boronic acid



[00204] ^{1}H NMR(400 MHz, $CDCl_3$) δ 6.87 (dt, *J* = 8.48, 54.64 Hz, 1H), 7.25 – 7.32 (m, 1H), 7.49 (dd, *J* = 4.08, 9.48 Hz, 1H), 7.59 – 7.60 (m, 1H).

Example 37: General procedure for synthesis of boronic acids (Method A)

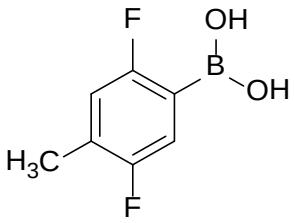


[00205] To a solution of the appropriate bromophenyl substrate (1.0 equiv) in dry THF (10 vol) at -78 °C, was added *n*-BuLi (2.5 M in hexanes; 1.2 equiv) dropwise. After addition was complete, stirring was continued for 30 min. Trimethyl borate (1.5 equiv) was added in one portion and stirring was continued for 1 h at -78 °C. The reaction mixture was slowly warmed to room temperature, quenched with 1.5 N HCl, and extracted with ethyl acetate. The organic extract was washed with water, washed with saturated brine solution, dried

(Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The boronic acids thus obtained were used in the next step without purification.

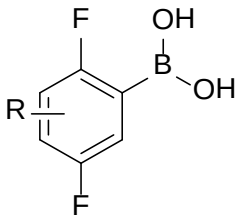
[00206] The following compound was made in accordance with the procedures disclosed in Example 37:

(2,5-Difluoro-4-methylphenyl)boronic acid



[00207] ^{1}H NMR(300 MHz, $CDCl_3$) δ 2.30 (s, 3H), 5.03 (br s, 2H), 6.89 (dd, *J* = 5.67, 10.25 Hz, 1H), 7.42 (dd, *J* = 5.40, 9.19 Hz, 1H).

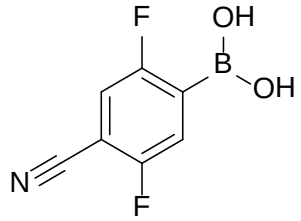
Example 38: General procedure for synthesis of boronic acids (Method B)



[00208] To a solution of the appropriate bromophenyl substrate (1.0 equiv) in dry THF (10 vol) at -40 °C was added isopropyl magnesium chloride lithium chloride complex solution (1.3 M solution in THF; 1.05 equiv) dropwise. After addition was complete, the reaction mixture was stirred at -40 °C for 45 min then slowly warmed to 0 °C. Isopropoxyboronic acid pinacol ester (1.07 equiv) was added dropwise and stirring was continued at 0 °C for 2 h. The reaction mixture was warmed to room temperature, quenched with aqueous saturated NH_4Cl solution, and extracted with ethyl acetate. The organic extract was washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated under reduced pressure. The boronic acids thus obtained were used in the next step without purification.

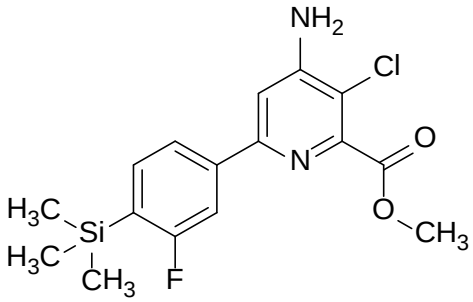
[00209] The following compound was made in accordance with the procedures disclosed in Example 38:

(4-Cyano-2,5-difluorophenyl)boronic acid



[00210] ^{1}H NMR(300 MHz, $CDCl_3$) δ 5.15 (br s, 2H), 7.29 – 7.36 (m, 1H), 7.69 (dd, *J* = 4.80, 8.28 Hz, 1H).

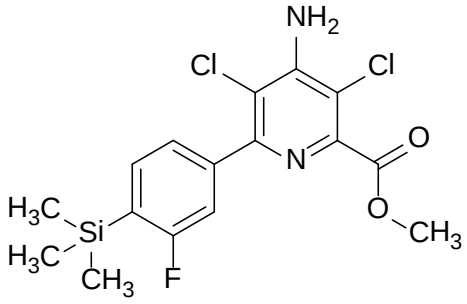
Example 39: Preparation of methyl 4-amino-3-chloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate



[00211] To a 20-mL microwave vessel, equipped with a stir bar, Head A (500 mg, 2.262 mmol), (2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (997 mg, 3.39 mmol), bis(triphenylphosphine)palladium(II) dichloride (203 mg, 3.39 mmol), and cesium fluoride (741 mg, 4.88 mmol) were charged. The vessel was placed under nitrogen (N_2) atmosphere and acetonitrile (4.0 mL) and H_2O (1.0 mL) were added. The vessel was placed on a Biotage Initiator™ microwave reactor for 30 min at 120 ºC, with external IR-sensor temperature monitoring from the side of the vessel. The reaction was poured into brine solution and extracted with ethyl acetate (3 x 75 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated. The resulting residue was purified via flash chromatography (Silica gel; 0–30% EtOAc in hexanes) to afford the title compound as a yellow solid (0.328 g, 41%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.68 (dd, *J* = 7.5, 1.4 Hz, 1H), 7.61 – 7.47 (m, 2H), 7.30 (s, 1H), 6.78 (s, 2H), 3.88 (s, 3H), 0.30 (d, *J* = 0.8 Hz, 9H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -101.12; ESIMS *m/z* 353 ($[M+H]^+$).

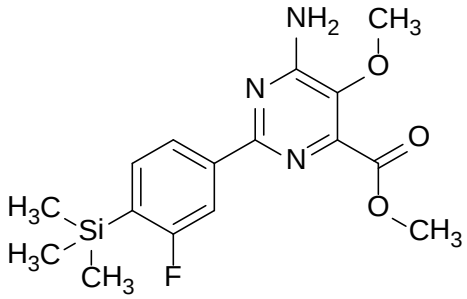
[00212] The following compounds were prepared in accordance with the procedures disclosed in Example 39:

Methyl 4-amino-3,5-dichloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate



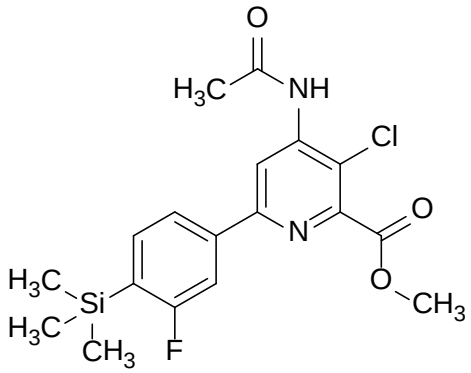
[00213] The title compound was prepared as described in Example 39 with Head H (500 mg, 1.96 mmol) and isolated as a white solid (0.381 g, 50%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.52 (dd, J = 7.6, 5.9 Hz, 1H), 7.41 (dd, J = 7.5, 1.3 Hz, 1H), 7.30 (dd, J = 9.6, 1.4 Hz, 1H), 7.11 (s, 2H), 3.87 (s, 3H), 0.33 (d, J = 0.9 Hz, 9H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -101.38; ESIMS m/z 387 ([M+H]$^{+}$).

Methyl 6-amino-2-(3-fluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate



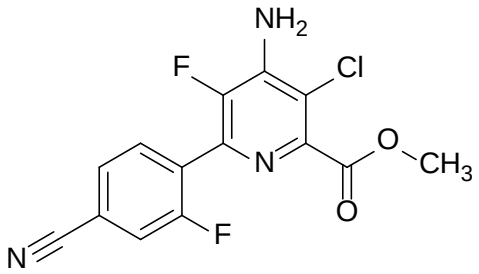
[00214] The title compound was prepared as described in Example 39 with Head C (0.510 g, 2.34 mmol) and isolated as a yellow solid (0.307 g, 38%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 – 7.99 (m, 1H), 7.82 (dd, J = 10.3, 1.4 Hz, 1H), 7.60 – 7.27 (m, 3H), 3.91 (s, 3H), 3.74 (s, 3H), 0.32 (d, J = 0.9 Hz, 9H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -101.73; ESIMS m/z 350 ([M+H]$^{+}$).

Methyl 4-acetamido-3-chloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate



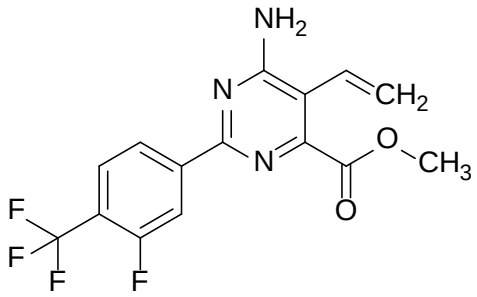
[00215] The title compound was prepared as described in Example 39 with Head L (0.500 g, 1.90 mmol) in dioxane (7.0 mL) and H_2O (2.0 mL) and isolated as a yellow solid (0.433 g, 58%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.99 (s, 1H), 8.71 (s, 1H), 7.75 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.63 (dd, *J* = 10.1, 1.5 Hz, 1H), 7.56 (dd, *J* = 7.7, 5.9 Hz, 1H), 3.94 (s, 3H), 2.24 (s, 3H), 0.30 (d, *J* = 0.8 Hz, 9H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -100.78; ESIMS *m/z* 396 ($[M+H]^+$).

Methyl 4-amino-3-chloro-6-(4-cyano-2-fluorophenyl)-5-fluoropicolinate (Compound 44)



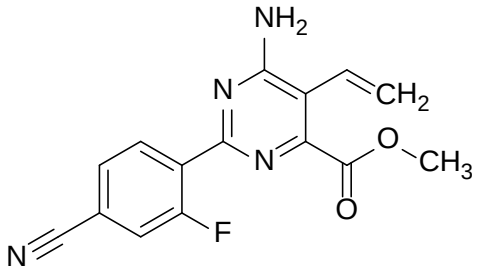
[00216] The title compound was prepared as described in Example 39 with Head B (400 mg, 1.673 mmol) and (4-cyano-2-fluorophenyl)boronic acid (400 mg, 2.425 mmol) in dioxane (4.5 mL) and H_2O (1.2 mL) and isolated as an off-white solid (0.451 g, 83%).

Methyl 6-amino-2-(3-fluoro-4-(trifluoromethyl)phenyl)-5-vinylpyrimidine-4-carboxylate (Compound 137)



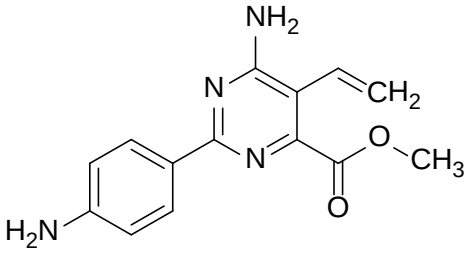
[00217] The title compound was prepared as described in Example 39 with Head P (350 mg, 1.64 mmol) and (3-fluoro-4-(trifluoromethyl)phenyl)boronic acid (445 mg, 2.14 mmol) in dioxane (5.0 mL) and H_2O (1.0 mL) and isolated as a light tan solid (0.291 g, 52%).

Methyl 6-amino-2-(4-cyano-2-fluorophenyl)-5-vinylpyrimidine-4-carboxylate (Compound 98)



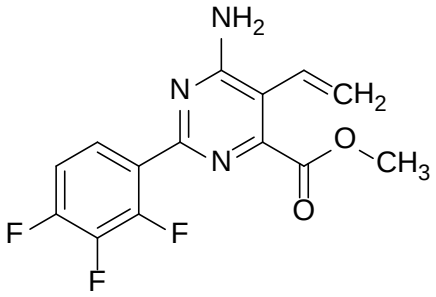
[00218] The title compound was prepared as described in Example 39 with Head P (350 mg, 1.638 mmol) and (4-cyano-2-fluorophenyl)boronic acid (375 mg, 2.27 mmol) in dioxane (4.5 mL) and H_2O (1.2 mL) and isolated as a yellow solid (0.291 g, 60%).

Methyl 6-amino-2-(4-aminophenyl)-5-vinylpyrimidine-4-carboxylate



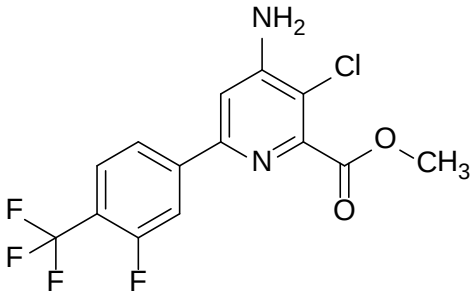
[00219] The title compound was prepared as described in Example 39 with Head P (0.800 g, 3.74 mmol) and 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)aniline (0.985 g, 4.49 mmol) in dioxane (15.6 mL) and H_2O (3.12 mL) and isolated as a yellow solid (0.400 g, 40%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 – 7.86 (m, 2H), 6.99 (s, 2H), 6.76 – 6.51 (m, 3H), 5.61 (s, 2H), 5.49 – 5.30 (m, 2H), 3.81 (s, 3H); ESIMS *m/z* 271 ([M+H]$^+$).

Methyl 6-amino-2-(2,3,4-trifluorophenyl)-5-vinylpyrimidine-4-carboxylate (Compound 197)



[00220] The title compound was prepared as described in Example 39 with Head P (0.350 g, 1.64 mmol) and (2,3,4-trifluorophenyl)boronic acid (0.346 g, 1.97 mmol) in dioxane (5.0 mL) and H_2O (1.0 mL) and isolated as a yellow solid (0.414 g, 82%).

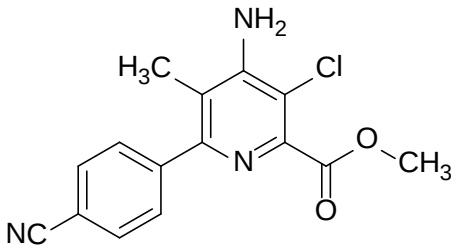
Example 40. Preparation of methyl 4-amino-3-chloro-6-(3-fluoro-4-(trifluoromethyl)phenyl)picolinate (Compound 29)



[00221] Methyl 4-amino-3,6-dichloropicolinate (630 mg, 2.85 mmol), 2-(3-fluoro-4-(trifluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (1.06 g, 3.65 mmol, 1.3 equiv), bis(triphenylphosphine)palladium(II) chloride (209 mg, 0.30 mmol, 0.1 equiv), and potassium fluoride (510 mg, 8.8 mmol, 3 equiv) in acetonitrile/water (8 mL, 3:1) was capped in a 25-mL vial on a Biotage Initiator™ microwave reactor for 20 min at 115 °C, with external IR-sensor temperature monitoring from the side of the vessel. The reaction mixture was diluted with ethyl acetate and washed with water. The aqueous layer was extracted with ethyl acetate and the combined organic layers were dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude compound was loaded onto a Celite® cartridge and dried in a vacuum oven. Purification by reverse-phase flash chromatography (0–60, 60, 60–100% acetonitrile/water) afforded the title compound as a white solid (0.57 g, 57%).

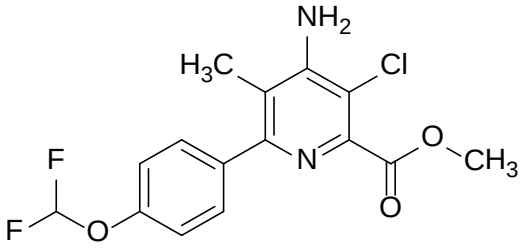
[00222] The following compounds were prepared in accordance to the procedures disclosed in Example 40:

Methyl 4-amino-3-chloro-6-(4-cyanophenyl)-5-methylpicolinate (Compound 83)



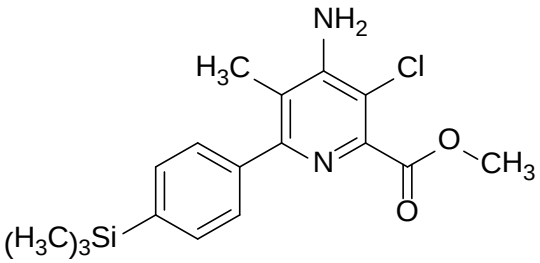
[00223] The title compound was prepared as in Example 40 with Head D and isolated as an orange solid (180 mg, 55%).

Methyl 4-amino-3-chloro-6-(4-(difluoromethoxy)phenyl)-5-methylpicolinate (Compound 111)



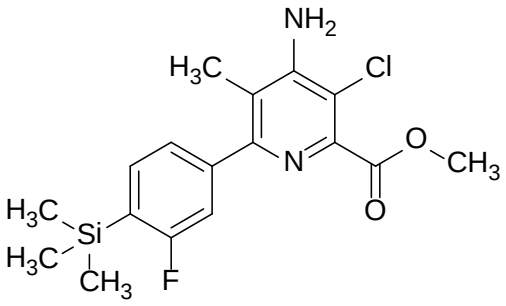
[00224] The title compound was prepared as in Example 40 and isolated as a waxy yellow solid (120 mg, 32%).

Methyl 4-amino-3-chloro-5-methyl-6-(4-(trimethylsilyl)phenyl)picolinate



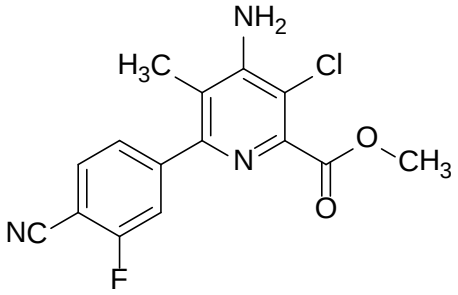
[00225] The title compound was prepared as in Example 40 with Head D and isolated as a yellow solid (1.11 g, 45%): mp 160–163 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 8.2 Hz, 2H), 4.80 (s, 2H), 3.94 (s, 3H), 2.18 (s, 3H), 0.28 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.01, 157.65, 150.16, 146.19, 141.69, 141.24, 134.39, 129.61, 117.96, 114.49, 53.95, 15.86, 1.16; ESIMS *m/z* 348 ($[M]^-$).

Methyl 4-amino-3-chloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)-5-methylpicolinate



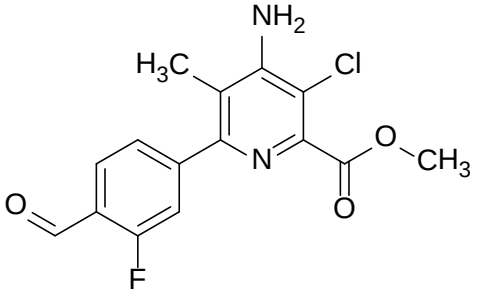
[00226] The title compound was prepared as in Example 40 with Head D and isolated as a yellow solid (346 mg, 27%): mp 167 °C (dec); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (dd, *J* = 7.4, 5.8 Hz, 1H), 7.20 (dd, *J* = 7.4, 0.9 Hz, 1H), 7.10 (dd, *J* = 9.2, 1.3 Hz, 1H), 4.83 (s, 2H), 3.95 (s, 3H), 2.18 (s, 3H), 0.33 (d, *J* = 0.8 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -100.73; ESIMS *m/z* 367 ($[M+H]^+$).

Methyl 4-amino-3-chloro-6-(4-cyano-3-fluorophenyl)-5-methylpicolinate (Compound 155)



[00227] The title compound was prepared as in Example 40 with Head D and isolated as a white flaky solid (200 mg, 49%).

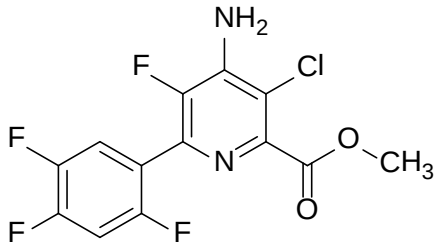
Methyl 4-amino-3-chloro-6-(3-fluoro-4-formylphenyl)-5-methylpicolinate



[00228] The title compound was prepared as in Example 40 with Head D and isolated as an orange solid (747 mg, 65%): mp 114–120 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.40 (s,

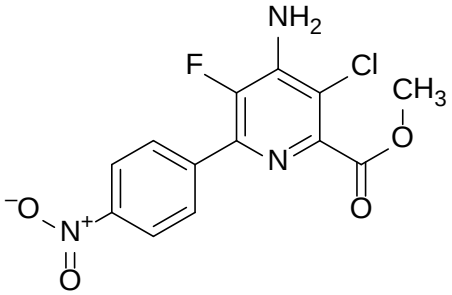
1H), 7.92 (t, *J* = 7.5 Hz, 1H), 7.38 – 7.29 (m, 2H), 4.97 (s, 2H), 3.97 (s, 3H), 2.18 (s, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -121.53; ESIMS *m/z* 323 ($[M+H]^+$).

Methyl 4-amino-3-chloro-5-fluoro-6-(2,4,5-trifluorophenyl)picolinate (Compound 200)



[00229] The title compound was prepared as in Example 40 with Head B and isolated as a white powder (370 mg, 73%).

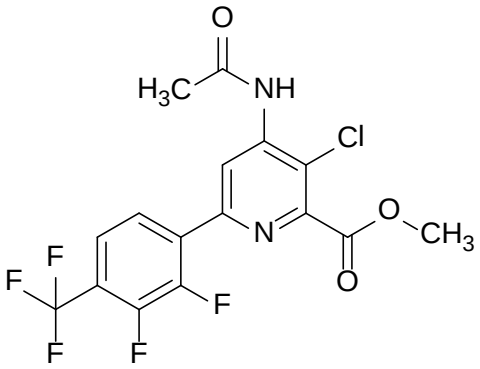
Example 41: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(4-nitrophenyl)picolinate (Compound 95)



[00230] To a suspension of Head B (250 mg, 1.05 mmol), (4-nitrophenyl)boronic acid (192 mg, 1.15 mmol), cesium fluoride (CsF; 315 mg, 2.09 mmol) and tris(3-sulfonatophenyl)phosphine hydrate sodium salt (TPPTS, 60 mg, 0.11 mmol) in a water/acetonitrile mixture (2.8/0.7 mL) was added palladium acetate (12 mg, 0.05 mmol). In a Biotage™ bench top microwave the mixture was heated at 150 °C for 5 min. The reaction mixture was then filtered through Celite®, diluted with EtOAc, washed with water and brine. The organics were then dried (Na_2SO_4), filtered, concentrated *in vacuo*, and then purified by silica gel chromatography eluting with 0–100% EtOAc in hexanes to afford a yellow solid (150 mg, 44%).

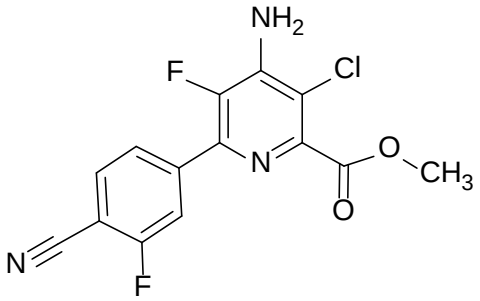
[00231] The following compound was made in accordance with the procedures disclosed in Example 41:

Methyl 4-acetamido-3-chloro-6-(2,3-difluoro-4-(trifluoromethyl)phenyl)picolinate



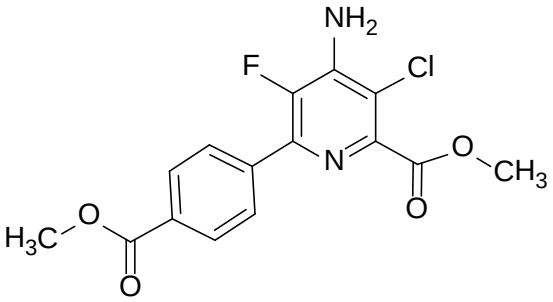
[00232] ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.03 (s, 1H), 8.79 (d, J = 1.0 Hz, 1H), 7.93 – 7.84 (m, 1H), 7.75 (dd, J = 8.3, 6.3 Hz, 1H), 3.96 (s, 3H), 2.26 (s, 3H); ESIMS *m/z* 409 ([M+H]$^+$).

Example 42: Preparation of methyl 4-amino-3-chloro-6-(4-cyano-3-fluorophenyl)-5-fluoropicolinate (Compound 135)



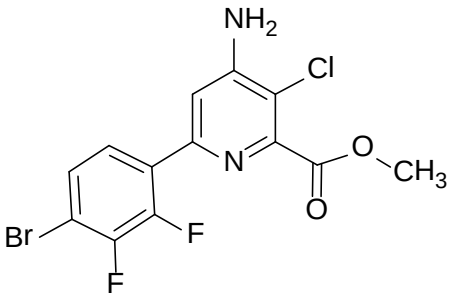
[00233] Head B (0.300 g, 1.255 mmol), 4-cyano-3-fluorophenylboronic acid (0.248 g, 1.506 mmol), bis(triphenylphosphine)palladium(II) chloride (0.088 g, 0.126 mmol), and cesium fluoride (0.381 g, 2.51 mmol) were combined in 1,2-dimethoxyethane (2 mL) and water (2 mL) and heated in a microwave reactor at 110 °C for 20 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 5–60% ethyl acetate in hexanes) to provide the title compound as a white solid (0.189 g, 46.5%).

Example 43: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(4-(methoxycarbonyl)phenyl)picolinate (Compound 190)



[00234] Head B (0.4 g, 1.673 mmol), 4-(methoxycarbonyl)phenylboronic acid (0.392 g, 2.175 mmol), potassium fluoride (0.253 g, 4.35 mmol), and bis(triphenylphosphine)palladium(II) chloride (0.059 g, 0.084 mmol) were combined in acetonitrile (3 mL) and water (1 mL). The reaction mixture was then irradiated in a microwave at 110 °C in a sealed vial for 20 min. The cooled reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried and concentrated onto silica gel. This mixture was applied to the top of a silica gel column and the product was eluted with a 5–60% ethyl acetate in hexanes gradient solvent system. This process yielded the title compound as a white solid (0.230 g, 40.6%).

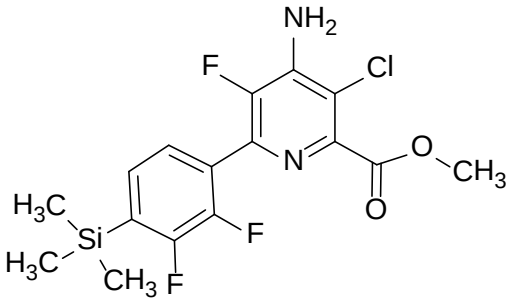
Example 44: Preparation of methyl 4-amino-6-(4-bromo-2,3-difluorophenyl)-3-chloropicolinate (Compound 114)



[00235] **Step 1:** Head N (0.600 g, 1.692 mmol), 4-bromo-2,3-difluorophenylboronic acid (0.481 g, 2.031 mmol), cesium fluoride (0.617 g, 4.06 mmol), and bis(triphenylphosphine)palladium(II) chloride (0.119 g, 0.169 mmol) were combined in 1,2-dimethoxyethane (4 mL) and water (4 mL) and heated in a microwave reactor for 20 min at 110 °C. The cooled reaction mixture was partitioned between ethyl acetate and water. The organic phase was separated and concentrated onto silica gel. The product was eluted with an ethyl acetate/hexanes gradient to provide methyl 4-acetamido-6-(4-bromo-2,3-difluorophenyl)-3-chloropicolinate (0.515 g, 72.5%) as a white solid.

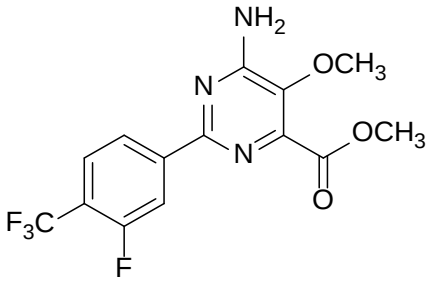
[00236] **Step 2:** Methyl 4-acetamido-6-(4-bromo-2,3-difluorophenyl)-3-chloropicolinate (0.515 g, 1.227 mmol) was suspended in methanol (20 mL) and acetyl chloride (1.559 mL, 21.93 mmol) was added dropwise. The reaction mixture was stirred overnight at room temperature and concentrated under vacuum. The residue was partitioned between ethyl acetate and 5% aqueous sodium bicarbonate solution. The organic phase was concentrated onto silica gel and purified by flash chromatography (SiO_2; eluting with 5–60% ethyl acetate in hexanes) to provide the title compound as a white solid (0.231 g, 55.8%).

Example 45: Preparation of methyl 4-amino-3-chloro-6-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate



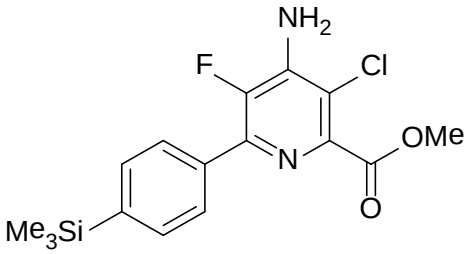
[00237] Head B (2.0 g, 8.37 mmol), (2,3-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (3.40 g, 10.88 mmol), sodium carbonate (0.887 g, 8.37 mmol) and bis(triphenylphosphine)palladium(II) chloride (0.587 g, 0.837 mmol) were combined in acetonitrile (25 mL) and water (8 mL). The reaction mixture was then heated at reflux for 4 h. The cooled reaction mixture was partitioned between ethyl acetate and water. The organic phase was washed twice more with water then concentrated onto silica gel. This mixture was purified by silica gel chromatography and the product was eluted with a 7–60% ethyl acetate in hexanes solvent system. This process yielded the title compound as a white solid (2.7 g, 83%): mp 160–162 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.37 – 7.28 (m, 1H), 7.21 (ddd, J = 7.7, 4.4, 1.3 Hz, 1H), 4.96 (br s, 2H), 3.97 (s, 3H), 0.35 (s, 9H).

Example 46: Preparation of methyl 6-amino-2-(3-fluoro-4-(trifluoromethyl)phenyl)-5-methoxypyrimidine-4-carboxylate (Compound 26)



[00238] To a microwave vial were added Head C (184 mg, 0.846 mmol), 2-(3-fluoro-4-(trifluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (270 mg, 0.930 mmol), potassium fluoride (128 mg, 2.198 mmol), and bis(triphenylphosphine)palladium(II) chloride (59.3 mg, 0.085 mmol). Subsequently, acetonitrile (2.789 mL) and water (2.79 mL) were added. The reaction vial was then capped and placed in a Biotage™ Initiator microwave reactor for 20 min at 115 °C, with external IR-sensor temperature monitoring from the side of the vessel. The reaction mixture was cooled to room temperature, diluted with EtOAc, and washed with H_2O. The organics were dried over Na_2SO_4, filtered, and concentrated *in vacuo*. The crude product was purified via flash chromatography (silica; Hexanes/EtOAc). This yielded the title compound (172 mg, 58.9%) as a white solid.

Example 47: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(4-(trimethylsilyl)phenyl)picolinate

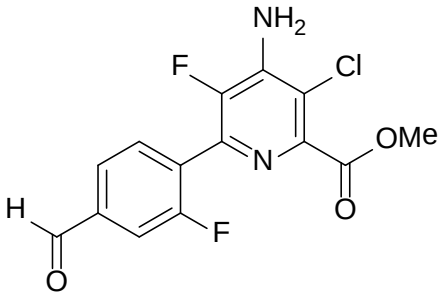


[00239] Head B (600 mg, 2.5 mmol, 1.0 equiv) and (4-(trimethylsilyl)phenyl)boronic acid (540 mg, 2.8 mmol, 1.1 equiv) were combined in a 20 mL vial followed by cesium fluoride (420 mg, 2.8 mmol, 1.1 equiv), palladium acetate (28 mg, 0.13 mmol, 0.05 equiv), and sodium 3,3',3''-phosphinetriyltribenzenesulfonate (140 mg, 0.25 mmol, 0.10 equiv). A 3:1 mixture of water:acetonitrile (7.2 mL) was added and the resulting brown mixture was capped and placed in a Biotage Initiator™ microwave reactor for 5 min at 150 ºC, with external IR-sensor temperature monitoring from the side of the vessel. The cooled reaction mixture was diluted with water (150 mL) and extracted with dichloromethane (5 x 60 mL).

The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by silica gel column chromatography (33% ethyl acetate in hexanes) to afford the title compound as a pale yellow powder (700 mg, 79%): mp 148–150 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.86 (m, 2H), 7.62 (m, 2H), 4.88 (br s, 2H), 3.98 (s, 3H), 0.29 (s, 9H); ESIMS *m/z* 353 ($[M+H]^+$).

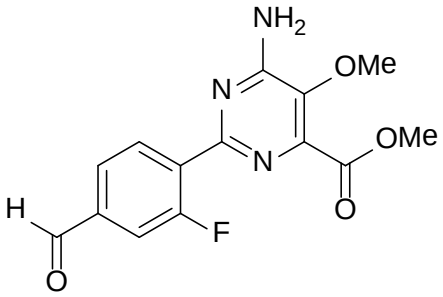
[00240] The following compounds were made in accordance with the procedures disclosed in Example 47:

Methyl 4-amino-3-chloro-5-fluoro-6-(2-fluoro-4-formylphenyl)picolinate



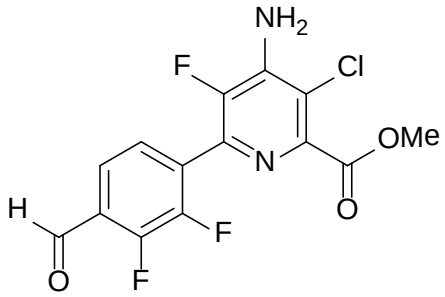
[00241] mp 151–154 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.06 (d, *J* = 2 Hz, 1H), 7.79 – 7.84 (m, 2H), 7.67 (dd, *J* = 10, 1 Hz, 1H), 5.00 (br s, 2H), 3.99 (s, 3H); ESIMS *m/z* 327 ($[M+H]^+$).

Methyl 6-amino-2-(2-fluoro-4-formylphenyl)-5-methoxypyrimidine-4-carboxylate



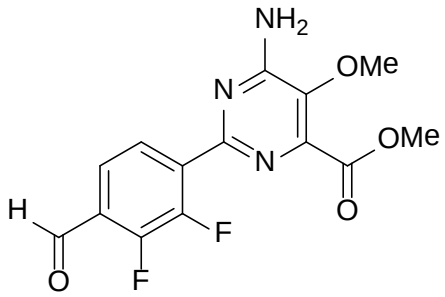
[00242] mp 176–178 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.03 (d, *J* = 2 Hz, 1H), 8.10 (t, *J* = 8 Hz, 1H), 7.73 (dd, *J* = 8, 1.5 Hz, 1H), 7.65 (dd, *J* = 8, 1.5 Hz, 1H), 5.45 (br s, 2H), 4.00 (s, 3H), 3.96 (s, 3H); ESIMS *m/z* 306 ($[M+H]^+$).

Methyl 4-amino-3-chloro-6-(2,3-difluoro-4-formylphenyl)-5-fluoropicolinate



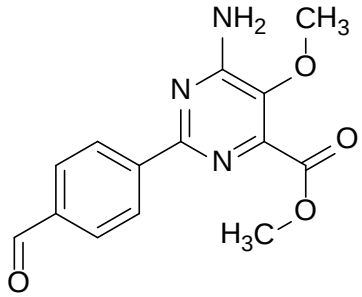
[00243] ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.40 (d, *J* = 1 Hz, 1H), 7.74 (m, 1H), 7.52 (m, 1H), 5.01 (br s, 2H), 3.97 (s, 3H).

Methyl 6-amino-2-(2,3-difluoro-4-formylphenyl)-5-methoxypyrimidine-4-carboxylate



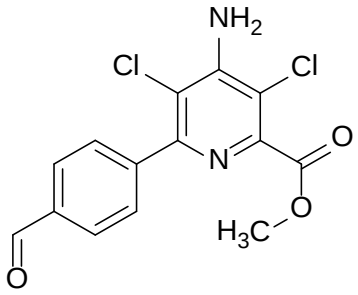
[00244] mp 184–186 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.38 (d, *J* = 0.5 Hz, 1H), 7.84 (m, 1H), 7.67 (ddd, *J* = 8, 6, 2 Hz, 1H), 5.47 (br s, 2H), 4.01 (s, 3H), 3.96 (s, 3H); ESIMS *m/z* 324 ($[M+H]^+$).

Methyl 6-amino-2-(4-formylphenyl)-5-methoxypyrimidine-4-carboxylate



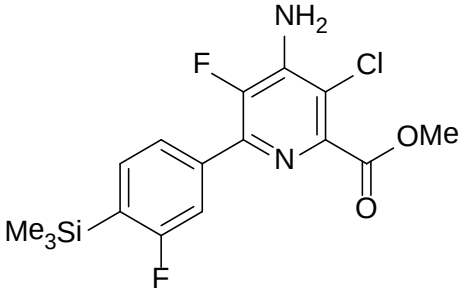
[00245] mp 155–156 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.1 (s, 1H), 8.54 (d, 2H), 7.99 (d, 2H), 5.56 (s, 2H), 4.08(s, 3H), 3.99(s, 3H); ESIMS *m/z* 288 ($[M+H]^+$).

Methyl 4-amino-3,5-dichloro-6-(4-formylphenyl)picolinate



[00246] mp 131–133 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 7.96 (d, 2H), 7.83 (d, 2H), 5.36 (s, 2H), 3.98 (s, 3H); ESIMS *m/z* 325 ($[M+H]^+$).

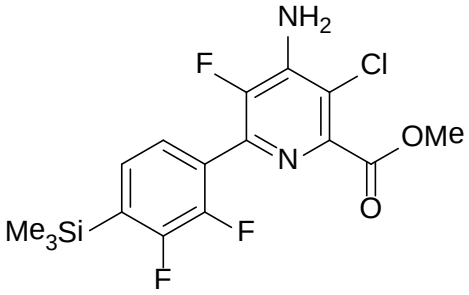
Example 48: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate



[00247] Dichloro[bis(triphenylphosphino)]-palladium(II) (150 mg, 0.21 mmol, 0.10 equiv) and sodium carbonate (270 mg, 2.5 mmol, 1.2 equiv) were sequentially added to a stirred mixture of crude (2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (990 mg, 2.5 mmol, 1.2 equiv) and Head B (500 mg, 2.1 mmol, 1.0 equiv) in a 1:1 mixture of water:acetonitrile (7.0 mL) at 23 °C. The resulting dark orange mixture was heated to 85 °C and stirred for 4 h. The cooled reaction mixture was diluted with water (150 mL) and extracted with dichloromethane (3 x 80 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by silica gel column chromatography (25% ethyl acetate in hexanes) to afford the title compound as a pale yellow powder (500 mg, 65%): mp 125–127 °C; IR (thin film) 3481 (m), 3350 (s), 2952 (w), 1728 (m), 1610 (m) cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dt, *J* = 6.5, 1 Hz, 1H), 7.59 (dt, *J* = 10, 1 Hz, 1H), 7.50 (dd, *J* = 8, 6.5 Hz, 1H), 4.91 (br s, 2H), 3.99 (s, 3H), 0.33 (d, 9H); ESIMS *m/z* 371 ($[M+H]^+$).

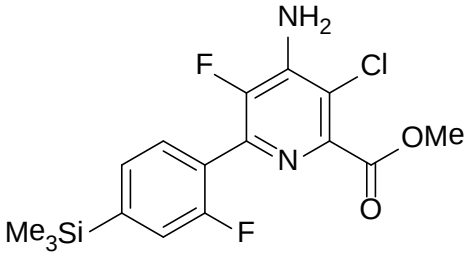
[00248] The following compounds were made in accordance with the procedures disclosed in Example 48:

Methyl 4-amino-3-chloro-6-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate



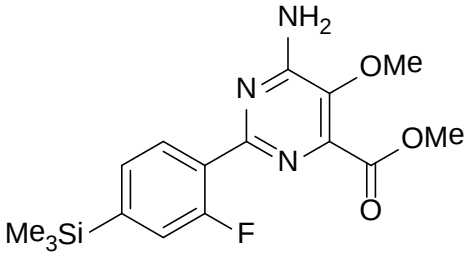
[00249] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 (ddd, *J* = 8, 4.5, 1 Hz, 1H), 7.21 (ddd, *J* = 8, 5, 1.5 Hz, 1H), 4.94 (br s, 2H), 3.96 (s, 3H), 0.33 (d, *J* = 1 Hz, 9H); ESIMS *m/z* 389 ([M+H]$^+$).

Methyl 4-amino-3-chloro-5-fluoro-6-(2-fluoro-4-(trimethylsilyl)phenyl)picolinate



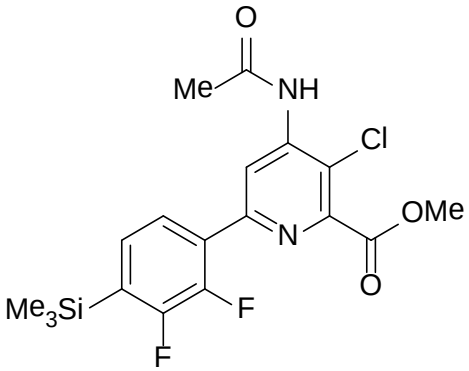
[00250] mp 175–177 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (t, *J* = 8 Hz, 1H), 7.39 (dd, *J* = 8, 1 Hz, 1H), 7.27 (m, 1H), 4.91 (br s, 2H), 3.96 (s, 3H), 0.26 (s, 9H); ESIMS *m/z* 371 ([M+H]$^+$).

Methyl 6-amino-2-(2-fluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate



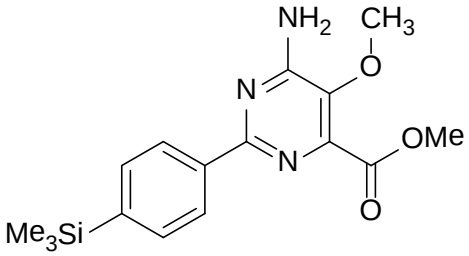
[00251] mp 140–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (t, *J* = 8 Hz, 1H), 7.32 (dd, *J* = 8, 1 Hz, 1H), 7.26 (m, 1H), 5.38 (br s, 2H), 3.99 (s, 3H), 3.94 (s, 3H), 0.26 (s, 9H); ESIMS *m/z* 348 ([M-H]$^-$).

Methyl 4-acetamido-3-chloro-6-(2,3-difluoro-4-(trimethylsilyl)phenyl)picolinate



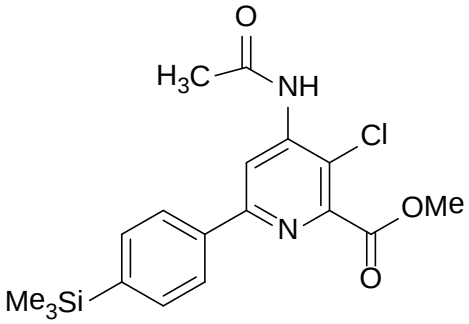
[00252] ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.04 (d, *J* = 1 Hz, 1H), 7.99 (br s, 1H), 7.65 (m, 1H), 7.18 (m, 1H), 4.00 (s, 3H), 2.31 (s, 3H), 0.33 (d, *J* = 1 Hz, 9H); ESIMS *m/z* 413 ([M-H]$^-$).

Methyl 6-amino-5-methoxy-2-(4-(trimethylsilyl)phenyl)pyrimidine-4-carboxylate



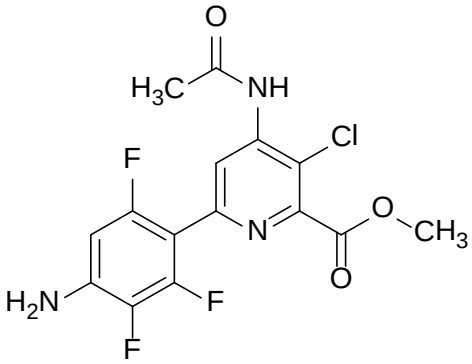
[00253] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (m, 2H), 7.58 m, 2H), 5.35 (br s, 2H), 4.01 (s, 3H), 3.91 (s, 3H). 0.30 (s, 9H); ESIMS *m/z* 330 ([M-H]$^-$).

Methyl 4-acetamido-3-chloro-6-(4-(trimethylsilyl)phenyl)picolinate



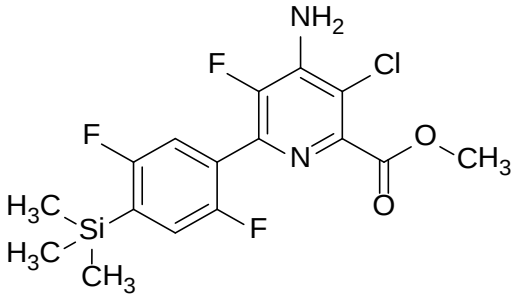
[00254] ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.00 (s, 1H), 7.98 (m, 2H), 7.61 (m, 2H), 7.25 (s, 1H), 4.01 (s, 3H), 2.32 (s, 3H), 0.29 (s, 9H); ESIMS *m/z* 375 ([M-H]$^-$).

Example 49: Preparation of methyl 4-acetamido-6-(4-amino-2,3,6-trifluorophenyl)-3-chloropicolinate



[00255] A suspension of methyl 4-acetamido-3-chloro-6-(trimethylstannyl)picolinate (Head K; 0.502 g, 1.409 mmol, 1.0 equiv), 2,3,5-trifluoro-4-iodoaniline (0.5 g, 1.831 mmol, 1.3 equiv), bis(triphenylphosphine)palladium(II) chloride (0.098 g, 0.1401 mmol, 0.1 equiv) and CuI (26 mg, 0.1401 mmol, 0.1 equiv) in dry DMF (3 mL) was irradiated with microwave at 120 °C for 1 h. The reaction mixture was cooled to 20 °C and stirred with aqueous potassium fluoride (KF) solution (20 mL) for 15 min and then extracted with ethyl acetate (3x100 mL). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and evaporated to dryness under reduced pressure. The crude product was purified on silica gel (60-120 mesh) using a gradient from 0–30% EtOAc in hexanes yielded the title compound as a brown solid (280 mg, 44.8%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.96 (s, 1H), 8.32 (s, 1H), 6.51 – 6.46 (m, 1H), 6.22 (br s, 2H), 3.92 (s, 3H), 2.23 (s, 3H); ESIMS *m/z* 376 ([M+3H]$^+$).

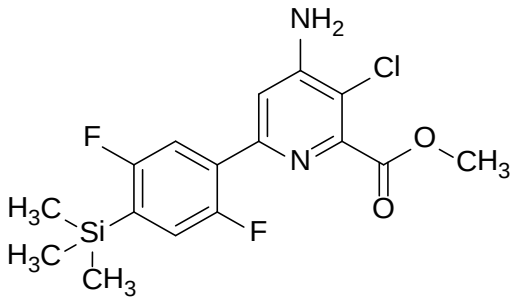
Example 50: Preparation of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate



[00256] In a microwave vessel, a suspension of (2,5-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (*see, e.g.*, WO 2013003740 A1; 0.6 g, 1.922 mmol), methyl 4-amino-3,6-dichloro-5-fluoropicolinate (Head B; 0.383 g, 1.601 mmol), bis(triphenyl phosphine)palladium(II) chloride (0.112 g, 0.160 mmol) and sodium carbonate

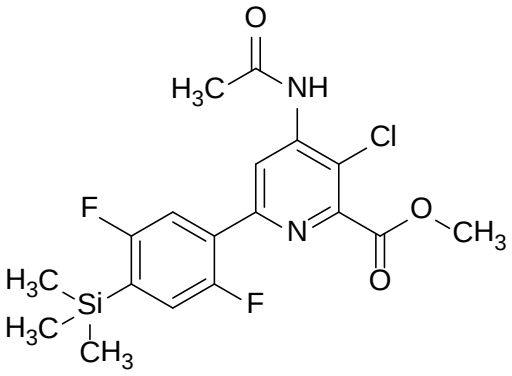
(0.204 g, 1.922 mmol) in a 3:1 mixture of acetonitrile (4.00 mL) and water (1.334 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a white solid (0.271 g, 43.5%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (dd, *J* = 7.8, 5.1 Hz, 1H), 7.13 (dd, *J* = 9.3, 4.0 Hz, 1H), 4.95 (s, 2H), 3.98 (s, 3H), 0.33 (d, *J* = 0.8 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -106.81, -106.87, -121.20, -121.25, -121.29**,** -121.35, -137.32, -137.41; ESIMS *m/z* 389 ($[M+H]^+$).

Example 51: Preparation of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate



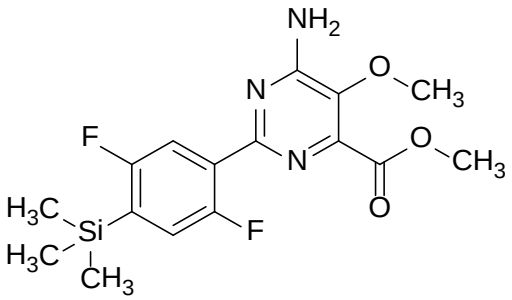
[00257] In a microwave vessel, a suspension of (2,5-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (*see, e.g.*, WO 2013003740 A1) (0.6 g, 1.922 mmol), methyl 4-amino-3,6-dichloropicolinate (Head A**)** (0.354 g, 1.601 mmol), bis(triphenyl phosphine)palladium(II) chloride (0.112 g, 0.160 mmol) and sodium carbonate (0.204 g, 1.922 mmol) in a 3:1 mixture of acetonitrile (4.00 mL) and water (1.334 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a white solid (0.234 g, 0.631 mmol, 39.4%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (dd, *J* = 8.7, 5.8 Hz, 1H), 7.25 (d, *J* = 1.2 Hz, 1H), 7.09 (dd, *J* = 10.8, 4.1 Hz, 1H), 4.84 (s, 2H), 4.00 (s, 3H), 0.32 (d, *J* = 0.7 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -106.56, -106.61, -124.00, -124.06; ESIMS *m/z* 371 ($[M+H]^+$).

Example 52: Preparation of methyl 4-acetamido-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate



[00258] In a microwave vessel, a suspension of (2,5-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (*see, e.g.*, WO 2013003740 A1; 1 g, 2.56 mmol), methyl 4-acetamido-3,6-dichloropicolinate (Head L; 0.562 g, 2.135 mmol), bis(triphenyl phosphine)palladium(II) chloride (0.150 g, 0.214 mmol) and sodium carbonate (0.272 g, 2.56 mmol) in a 3:1 mixture of acetonitrile (5.34 mL) and water (1.779 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a white solid (0.481 g, 54.6%): mp 135–137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.07 (d, *J* = 0.8 Hz, 1H), 7.96 (s, 1H), 7.62 (dd, *J* = 8.5, 5.7 Hz, 1H), 7.13 (dd, *J* = 10.5, 4.1 Hz, 1H), 4.02 (s, 3H), 2.33 (s, 3H), 0.33 (d, *J* = 0.8 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -106.66, -106.72, -123.42, -123.48; ESIMS *m/z* 411 ($[M-H]^-$).

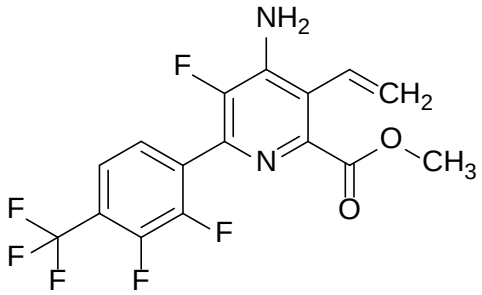
Example 53: Preparation of methyl 6-amino-2-(2,5-difluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate



[00259] In a microwave vessel, a suspension of (2,5-difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (*e.g.*, WO 2013003740 A1; 1.925 g, 5.05 mmol), methyl 6-amino-2-chloro-5-methoxypyrimidine-4-carboxylate (Head C; 1 g, 4.60

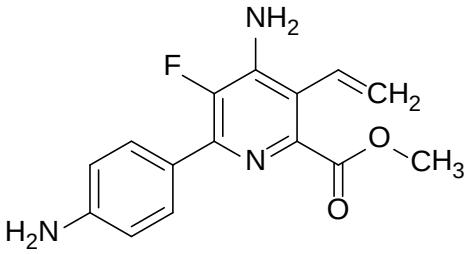
mmol), bis(triphenyl phosphine)palladium(II) chloride (0.323 g, 0.460 mmol) and sodium carbonate (0.584 g, 5.51 mmol) in a 3:1 mixture of acetonitrile (8.62 mL) and water (2.87 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a white solid (0.994 g, 58.9%): mp 130–131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (dd, *J* = 8.4, 5.6 Hz, 1H), 7.10 (dd, *J* = 10.2, 4.1 Hz, 1H), 5.44 (s, 2H), 4.00 (s, 3H), 3.94 (s, 3H), 0.32 (d, *J* = 0.9 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -107.45, -107.51, -122.32, -122.37; ESIMS *m/z* 367 ($[M]^+$).

Example 54: Preparation of methyl 4-amino-6-(2,3-difluoro-4-(trifluoromethyl)phenyl)-5-fluoro-3-vinylpicolinate (Compound 53)



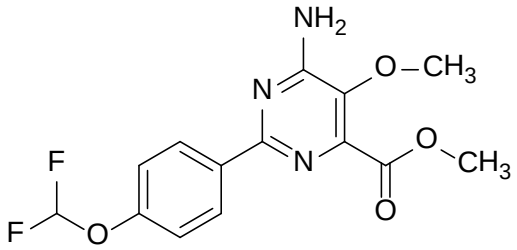
[00260] In a microwave vessel, a suspension of 2-(2,3-difluoro-4-(trifluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (commercially available; 0.641 g, 2.081 mmol), methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (Head G; 0.4 g, 1.734 mmol), bis(triphenyl phosphine)palladium(II) chloride (0.122 g, 0.173 mmol) and sodium carbonate (0.368 g, 3.47 mmol) in a 3:1 mixture of acetonitrile (3.25 mL) and water (1.084 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a brown solid (0.163 g, 24.98%).

Example 55: Preparation of methyl 4-amino-6-(4-aminophenyl)-5-fluoro-3-vinylpicolinate



[00261] In a microwave vessel, a suspension of 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)aniline (commercially available; 0.617 g, 2.82 mmol), methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (Head G; 0.5 g, 2.168 mmol), bis(triphenyl phosphine)palladium(II) chloride (0.152 g, 0.217 mmol) and potassium fluoride (0.327 g, 5.64 mmol) in a 1:1 mixture of acetonitrile (3.61 mL) and water (3.61 mL) was stirred under microwave irradiation (120 °C, 20 min). The reaction mixture was poured into a half saturated brine solution and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2, 24 g; hexanes/EtOAc gradient) to afford the title compound as a yellow solid (0.552 g, 89%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.60 – 7.58 (m, 2H), 6.72 (dd, J = 17.7, 11.5 Hz, 1H), 6.65 – 6.58 (m, 2H), 6.24 (s, 2H), 5.47 (s, 2H), 5.45 (dd, J = 11.5, 1.2 Hz, 1H), 5.38 (dd, J = 17.7, 1.2 Hz, 1H), 3.77 (s, 3H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -146.62; ESIMS m/z 286 ([M-H]$^-$).

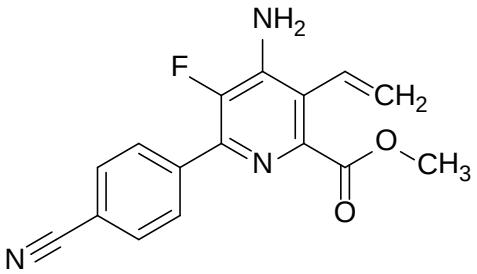
Example 56: Preparation of methyl 6-amino-2-(4-(difluoromethoxy)phenyl)-5-methoxypyrimidine-4-carboxylate (Compound 106)



[00262] To a 5-mL microwave safe vial were added potassium fluoride (0.151 g, 2.59 mmol), palladium (II) acetate (0.012 g, 0.052 mmol), 2-(4-(difluoromethoxy)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (0.28 g, 1.037 mmol), methyl 6-amino-2-chloro-5-methoxypyrimidine-4-carboxylate (0.226 g, 1.037 mmol), and 3,3',3''-phosphinetriyltribenzenesulfonate (0.052 g, 0.104 mmol). A mixture of water (1 mL) and acetonitrile (2 mL) was added, and the reaction was capped and placed in a Biotage

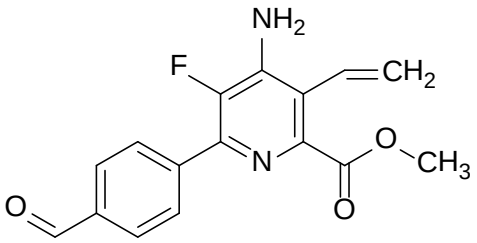
Initiator™ microwave reactor for 6 min at 160 ºC, with external IR-sensor temperature monitoring from the side of the vessel. Upon cooling to room temperature, the reaction mixture was diluted with EtOAc (50 mL) and water (50 mL). An additional extraction using CH_2Cl_2 (50 mL) was combined with the EtOAc and dried over of Na_2SO_4 (50 g) after the CH_2Cl_2 layer was filtered through a cotton plug. The combined organics were concentrated on a rotary evaporator and the residue was purified using a Teledyne ISCO purification system with a gradient eluent system of CH_2Cl_2 and EtOAc to yield the title compound as a white solid (134.4 mg, 39.8%).

Example 57: Preparation of methyl 4-amino-6-(4-cyanophenyl)-5-fluoro-3-vinylpicolinate (Compound 107)



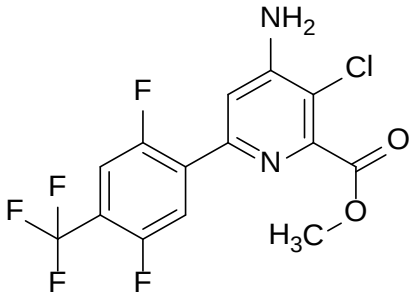
[00263] To a 5-mL microwave safe vial were added potassium fluoride (0.227 g, 3.90 mmol), methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (0.3 g, 1.301 mmol), bis-(triphenylphosphine)palladium (II) chloride (0.091 g, 0.130 mmol) and 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzonitrile (0.313 g, 1.366 mmol. A mixture of water (1 mL) and acetonitrile (2 mL) was added, and the reaction was capped and placed in a Biotage Initiator™ microwave reactor for 20 min at 115 ºC, with external IR-sensor temperature monitoring from the side of the vessel. Upon cooling to room temperature, the reaction mixture was diluted with CH_2Cl_2 (25 mL) and water (25 mL), and the organic layer was filtered through a cotton plug. An additional extraction using EtOAc (25 mL) was combined with the CH_2Cl_2 and dried over Na_2SO_4 (50 g). Following filtration of the combined organics through a cotton plug and concentration on a rotary evaporator, the residue was purified using a Teledyne ISCO purification system with a gradient eluent system of CH_2Cl_2 and EtOAc to yield the title compound as a tan solid (297 mg, 76%).

Example 58: Preparation of methyl 4-amino-5-fluoro-6-(4-formylphenyl)-3-vinylpicolinate



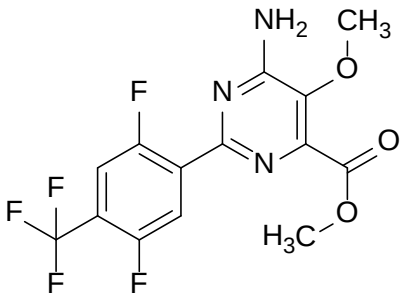
[00264] To a 5-mL microwave safe vial were added potassium fluoride (0.378 g, 6.50 mmol), methyl 4-amino-6-chloro-5-fluoro-3-vinylpicolinate (0.5 g, 2.168 mmol), bis(triphenylphosphine)palladium(II) chloride (0.152 g, 0.217 mmol) and 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzaldehyde (0.528 g, 2.276 mmol). A mixture of water (1 mL) and acetonitrile (2 mL) was added, and the reaction was capped and placed in a Biotage Initiator™ microwave reactor for 20 min at 115 ºC, with external IR-sensor temperature monitoring from the side of the vessel. Upon cooling to room temperature, the reaction mixture was diluted with CH_2Cl_2 (25 mL) and water (25 mL) and the organic layer was filtered through a cotton plug. An additional extraction using EtOAc (25 mL) was combined with the CH_2Cl_2 and dried over Na_2SO_4 (50 g). Following filtration of the combined organics through a cotton plug and concentration on a rotary evaporator, the residue was purified using a Teledyne ISCO purification system with a gradient eluent system of CH_2Cl_2 and EtOAc to yield the title compound as a white solid (635 mg, 98%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 2H), 8.03 – 7.93 (m, 2H), 6.91 (ddd, *J* = 18.1, 11.6, 0.5 Hz, 1H), 5.73 (dd, *J* = 11.5, 1.4 Hz, 1H), 5.60 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.77 (s, 2H), 3.94 (s, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -143.49; ESIMS *m/z* 301 ($[M+H]^+$).

Example 59: Preparation of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trifluoromethyl)phenyl)picolinate (Compound 70)



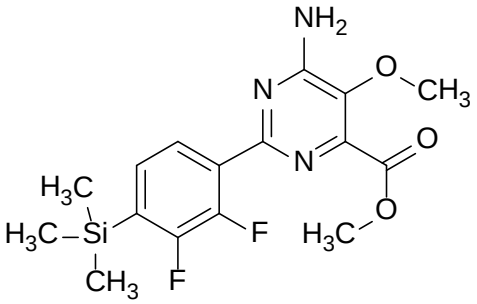
[00265] 1,4-Difluoro-2-iodo-5-(trifluoromethyl)benzene (250 mg, 0.81 mmol), Head K (318 mg, 0.81 mmol), copper(I)iodide (0.08 mmol) and bis(triphenylphosphine)palladium(II) chloride (57 mg, 0.08 mmol) were combined in dry DMF (5 mL), deaerated with a stream of nitrogen for 10 min and heated to 75 °C. After 2 h, the mixture was cooled and partitioned between ethyl acetate and water. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. The crude product was purified by flash chromatography (SiO_2; eluting with 0–30% ethyl acetate in hexanes) to provide 100 mg of the acetamide intermediate. This material was taken up in methanol (20 mL), treated with acetyl chloride (3 mL) and stirred for 3 days at 20 °C. After removal of volatiles under vacuum, the mixture was stirred with saturated $NaHCO_3$ and ethyl acetate. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated to provide the title compound as a white solid (77 mg, 24%).

Example 60: Preparation of methyl 6-amino-2-(2,5-difluoro-4-(trifluoromethyl)phenyl)-5-methoxypyrimidine-4-carboxylate (Compound 148)



[00266] 2-(2,5-Difluoro-4-(trifluoromethyl)phenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (400 mg, 1.2 mmol), Head C (250 mg 1.2 mmol), cesium fluoride (360 mg, 2.3 mmol) and bis(triphenylphosphine)palladium(II) chloride (82 mg, 0.12 mmol) were combined in 1:1 volume per volume (v/v) acetonitrile-water (4 mL) and heated at 115 °C for 30 min in a microwave reactor. The mixture was partitioned between water and ethyl acetate. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. The material was purified by flash chromatography (SiO_2; eluting with 0–30% ethyl acetate in hexanes) to provide a brown oil which was triturated with hexanes-dichloromethane to provide the title compound as a white solid (40 mg, 8.8%).

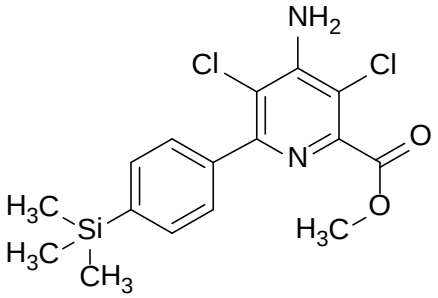
Example 61: Preparation of methyl 6-amino-2-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate



[00267] (2,3-Difluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane(1.3 g, 4.2 mmol) (*e.g.*, WO 2013003740 A1), Head C (750 mg, 3.5 mmol) and bis(triphenylphosphine)palladium(II) chloride (240 mg, 0.34 mmol) were combined in 1:1 v/v acetonitrile-water (10 mL) and heated to 115 °C for 30 min via microwave. The cooled mixture was partitioned between saturated NaCl and ethyl acetate. The organic phase was washed with saturated NaCl, dried (Na_2SO_4), and evaporated. The material was purified by flash chromatography (SiO_2; eluting with 0–20% ethyl acetate in hexanes) to provide the title compound as a white solid (330 mg, 26%): mp 157–159° C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (ddd, *J* = 7.5, 6.0, 1.2 Hz, 1H), 7.14 (ddd, *J* = 7.7, 4.5, 1.5 Hz, 1H), 5.48 (s, 2H), 4.00 (s, 3H), 3.95 (s, 3H), 0.34 (d, *J* = 0.7 Hz, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -127.10 to -127.25 (m), -142.40 (dd, *J* = 22.6, 3.6 Hz); ESIMS *m/z* 368 ($[M+H]^+$).

[00268] The following compound was made in accordance with the procedures disclosed in Example 61 from commercially available (4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane:

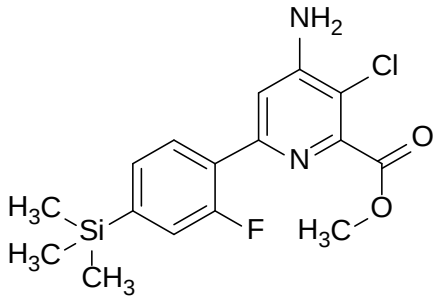
Methyl 4-amino-3,5-dichloro-6-(4-(trimethylsilyl)phenyl)picolinate (prepared utilizing Head H)



[00269] mp 171–174 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.36(m, 4H), 5.33(2, 2H), 3.99(s, 3H), 0.307 (s, 9H); ESIMS *m/z* 369 ($[M+H]^+$).

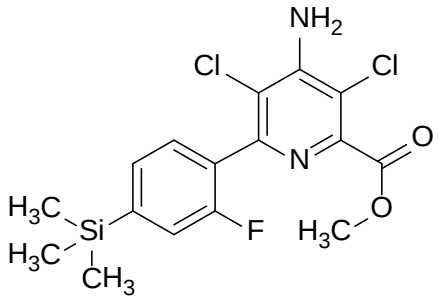
[00270] The following compounds were made in accordance with the procedures disclosed in Example 61 from commercially available 2-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)trimethylsilane (prepared according to WO 2013003740 A1):

Methyl 4-amino-3-chloro-6-(2-fluoro-4-(trimethylsilyl)phenyl)picolinate (prepared utilizing Head A)



[00271] mp 154–156° C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (m, 1H), 7.30 (m, 3H), 4.84 (s, 2H), 4.01 (s, 3H), 0.293 (s, 9H); ESIMS *m/z* 353 ($[M+H]^+$).

Methyl 4-amino-3,5-dichloro-6-(2-fluoro-4-(trimethylsilyl)phenyl)picolinate (prepared utilizing Head H)



[00272] mp 184–185° C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (m, 3H), 5.33 (s, 2H), 3.96 (s, 3H), 0.290 (s, 9H); ESIMS *m/z* 387 ($[M+H])^+$).

Example 62: General procedure for Suzuki Coupling (Method A)

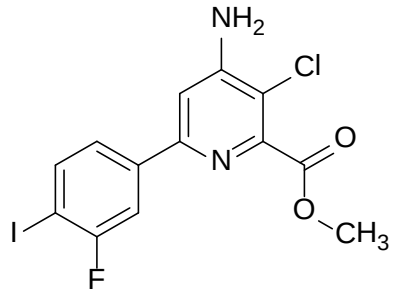
[00273] Argon was bubbled through a solution of Head A, Head B, or Head C (1.0 equiv), a boronic acid (1.0 equiv), Na_2CO_3 (2.0 equiv) and $Pd(PPh_3)_4$ (0.1 equiv) in 1:1 toluene: ethanol (20 vol) for 15 min in a sealed tube. The reaction mixture was then heated in the sealed tube at 110°C for 18 h. The cooled reaction mixture was diluted with water and extracted with ethyl acetate. (Note: The aqueous layer contained carboxylic acid products that were isolated as described below). The organic extracts was washed with water, washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under

reduced pressure. The crude product was purified by preparative TLC to get the pure esters. The aqueous layer was acidified to pH 2 using 1.5 N HCl and extracted with ethyl acetate. The organic extract was washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The crude product was purified by preparative TLC to get the pure carboxylic acid derivatives.

Example 63: General procedure for Suzuki Coupling (Method B)

[00274] Argon was bubbled through a solution of Head A, Head B or Head C (0.8 equiv), a boronic acid (1.0 equiv), $NaHCO_3$ (2 M solution, 1.0 equiv) and $Pd(PPh_3)_4$ (0.1 equiv) in dry dioxane (20 vol) for 15 min in a sealed tube. The sealed tube was heated at 80 °C for 18 h. The cooled reaction mixture was diluted with water and extracted with ethyl acetate. The organic extract was washed with water, washed with saturated brine solution, dried (Na_2SO_4), filtered, and evaporated to dryness under reduced pressure. The crude product was purified by flash chromatography (SiO_2; eluting with 5–40% ethyl acetate in hexanes) to provide the pure compound.

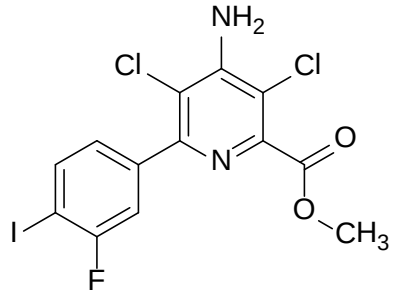
Example 64: Preparation of methyl 4-amino-3-chloro-6-(3-fluoro-4-iodophenyl)picolinate (Compound 66)



[00275] To a 250-mL round bottom flask, equipped with a stir bar, were added methyl 4-amino-3-chloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate (0.328 g, 0.930 mmol), and dichloromethane (5.0 mL). To this solution iodine monochloride (0.141 mL, 2.79 mmol) was added. The reaction mixture was allowed to stir at room temperature for 18 h. Another portion of iodine monochloride (0.141 mL, 2.79 mmol) was added, and the reaction was allowed to stir at room temperature for an additional 4.5 h. The reaction mixture was poured into 1 M Na_2SO_3, and the layers were partitioned. The aqueous phase was extracted with additional ethyl acetate (2x 100 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated to afford the title compound as a brown solid (0.375 g, 99%):

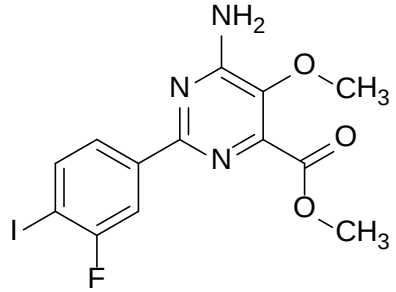
[00276] The following compounds were made in accordance with the procedures disclosed in Example 64:

Methyl 4-amino-3,5-dichloro-6-(3-fluoro-4-iodophenyl)picolinate (Compound 13)



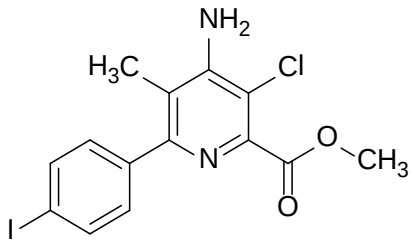
[00277] The title compound was prepared as described in Example 64 with methyl 4-amino-3,5-dichloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate (0.381 g, 0.984 mmol) and isolated as a yellow solid (0.360 g, 83%).

Methyl 6-amino-2-(3-fluoro-4-iodophenyl)-5-methoxypyrimidine-4-carboxylate (Compound 27)



[00278] The title compound was prepared as described in Example 64 with methyl 6-amino-2-(3-fluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate (0.307 g, 0.879 mmol) and isolated as a yellow solid (0.368 g).

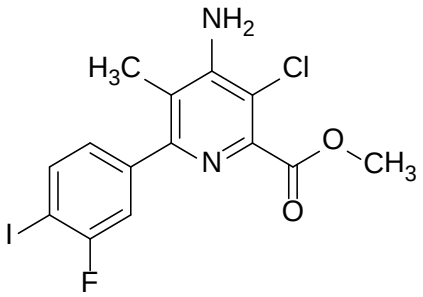
Example 65: Preparation of methyl 4-amino-3-chloro-6-(4-iodophenyl)-5-methylpicolinate (Compound 136)



[00279] To methyl 4-amino-3-chloro-5-methyl-6-(4-(trimethylsilyl)phenyl)picolinate (0.95 g, 2.72 mmol) in dichloromethane (9 mL) was added iodine monochloride (920 mg, 5.67 mmol) in dichloromethane (4.5 mL) dropwise. The reaction was stirred at room temperature for 4 h, then quenched with saturated aqueous sodium thiosulfate, diluted with water, and extracted with dichloromethane (3x). The organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated. Purification by flash chromatography (0–30% ethyl acetate/hexanes) afforded the title compound as a red-orange solid (618 mg, 56%).

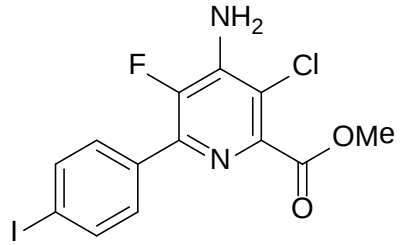
[00280] The following compound was made in accordance with the procedures disclosed in Example 65:

Methyl 4-amino-3-chloro-6-(3-fluoro-4-iodophenyl)-5-methylpicolinate (Compound 79)



[00281] The title compound was prepared as in Example 65 and isolated as an off-white solid (54 mg, 59%).

Example 66: Preparation of methyl 4-amino-6-(4-iodophenyl)-3-chloro-5-fluoropicolinate (Compound 118)

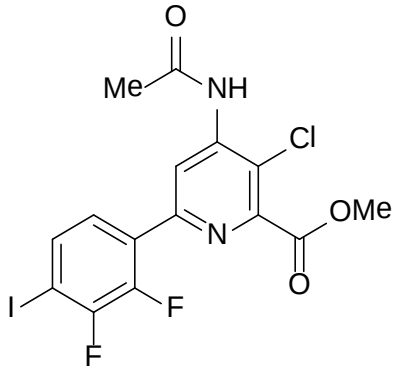


[00282] Iodine monochloride (280 mg, 1.7 mmol, 2.0 equiv) was added to a stirred solution of methyl 4-amino-3-chloro-5-fluoro-6-(4-(trimethylsilyl)phenyl)picolinate (300 mg, 0.85 mmol, 1.0 equiv) in 1,2-dichloroethane (5.7 mL) at 23 ºC. The resulting brown solution was stirred at 23 °C for 17 h. The reaction mixture was diluted with a saturated solution of sodium thiosulfate (100 mL) and extracted with dichloromethane (4 x 40 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by silica gel column chromatography (33%

ethyl acetate in hexanes) to afford the title compound as a pale purple powder (250 mg, 71%).

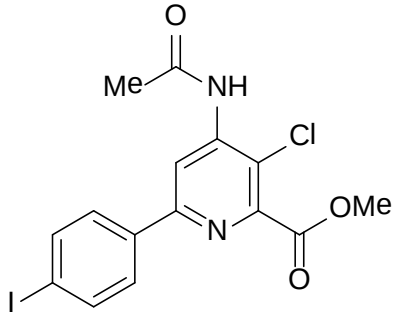
[00283] The following compounds were made in accordance with the procedures disclosed in Example 66:

Methyl 4-acetamido-3-chloro-6-(2,3-difluoro-4-iodophenyl)picolinate



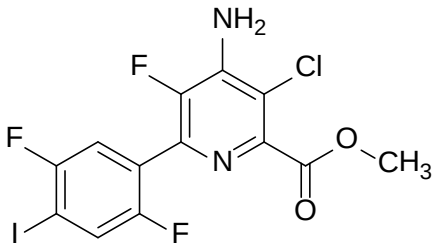
[00284] ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.06 (d, *J* = 1.5 Hz, 1H), 7.98 (br s, 1H), 7.60 (ddd, *J* = 9, 5, 2 Hz, 1H), 7.53 (ddd, *J* = 9, 7, 2 Hz, 1H), 4.03 (s, 3H), 2.34 (s, 3H); ESIMS *m/z* 467 ($[M+H]^+$).

Methyl 4-acetamido-3-chloro-6-(4-iodophenyl)picolinate



[00285] ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.00 (s, 1H), 7.77 (m, 4H), 7.25 (s, 1H), 4.03 (s, 3H), 2.33 (s, 3H); ESIMS *m/z* 431 ($[M+H]^+$).

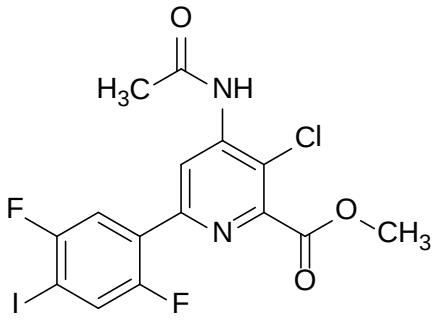
Example 67: Preparation of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-iodophenyl)-5-fluoropicolinate (Compound 55)



[00286] To a solution of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate (0.280 g, 0.720 mmol) in CH_2Cl_2 (2.88 mL) at 20 °C was added iodine monochloride (0.144 mL, 2.880 mmol). The reaction mixture was stirred at 20 °C overnight. The mixture was then poured into a 10% aqueous solution of Na_2SO_3, extracted with EtOAc (3x), dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) to afford the title compound as a white solid (0.237 g, 74.4%).

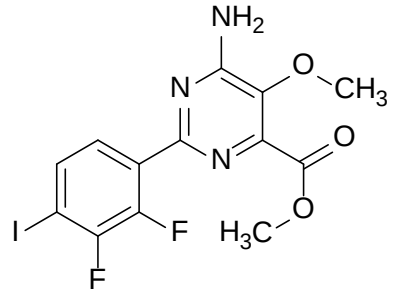
[00287] The following compound was made in accordance with the procedures disclosed in Example 67:

Methyl 4-acetamido-3-chloro-6-(2,5-difluoro-4-iodophenyl)picolinate



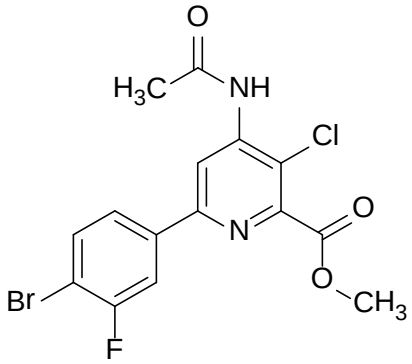
[00288] ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.10 (d, *J* = 0.7 Hz, 1H), 7.96 (s, 1H), 7.76 (dd, *J* = 8.4, 6.4 Hz, 1H), 7.57 (dd, *J* = 9.8, 5.0 Hz, 1H), 4.03 (s, 3H), 2.33 (s, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -99.95, -100.00, -119.90, -119.95; ESIMS *m/z* 465 ([M-H]$^-$).

Example 68: Preparation of methyl 6-amino-2-(2,3-difluoro-4-iodophenyl)-5-methoxypyrimidine-4-carboxylate (Compound 24)



[00289] Methyl 6-amino-2-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate (330 mg, 0.90 mmol) was stirred in 1,2-dichloroethane (5 mL), treated with iodine monochloride (1.0 g, 6.9 mmol), and heated to 70° C for 21 h. After cooling, the mixture was diluted with ethyl acetate, washed with 15% sodium bisulfite, washed with saturated NaCl, dried (Na_2SO_4), and evaporated. The material was purified by RP-HPLC using 70% acetonitrile to provide the title compound as a white solid (250 mg, 66%).

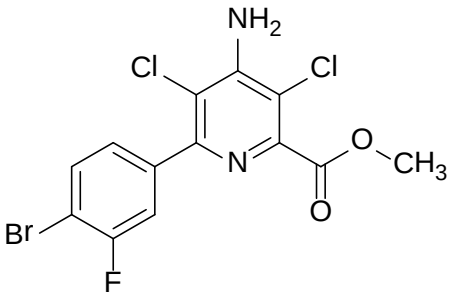
Example 69: Preparation of methyl 4-acetamido-6-(4-bromo-3-fluorophenyl)-3-chloropicolinate



[00290] To a 100-mL round bottom flask, equipped with a stir bar, were added methyl 4-acetamido-3-chloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate (433 mg, 1.11 mmol), dichloromethane (10 mL) and bromine (0.225 mL, 4.39 mmol). The reaction mixture was allowed to stir at room temperature for 18 h. The reaction mixture was then poured into 1 N Na_2SO_3 and extracted with ethyl acetate (3 x 50 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated. The resulting residue was purified by flash chromatography (0–50% EtOAc in Hexanes) to afford the title compound as a light tan solid (0.440 g, 100%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.02 (s, 1H), 8.71 (s, 1H), 7.98 – 7.81 (m, 2H), 7.74 (dd, J = 8.4, 2.1 Hz, 1H), 3.94 (s, 3H), 2.23 (s, 3H); ^{19}F NMR (376 MHz, DMSO-d_6) δ -107.44; ESIMS m/z 402 $([M+H])^+$).

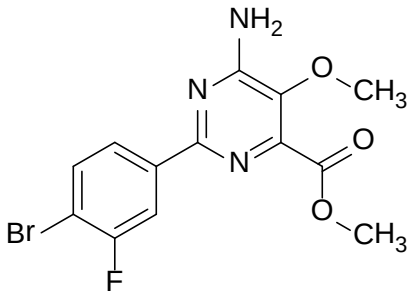
[00291] The following compounds were made in accordance with the procedures disclosed in Example 69:

Methyl 4-amino-6-(4-bromo-3-fluorophenyl)-3,5-dichloropicolinate (Compound 73)



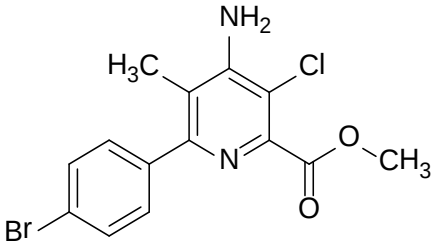
[00292] The title compound was prepared as described in Example 69 with methyl 4-amino-3,5-dichloro-6-(3-fluoro-4-(trimethylsilyl)phenyl)picolinate (0.290 g, 0.749 mmol) and isolated as a white solid (0.250 g, 85%).

Methyl 6-amino-2-(4-bromo-3-fluorophenyl)-5-methoxypyrimidine-4-carboxylate (Compound 171)



[00293] The title compound was prepared as described in Example 69 with methyl 6-amino-2-(3-fluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate (0.250 g, 0.715 mmol) and isolated as a white solid (0.200 g, 78%).

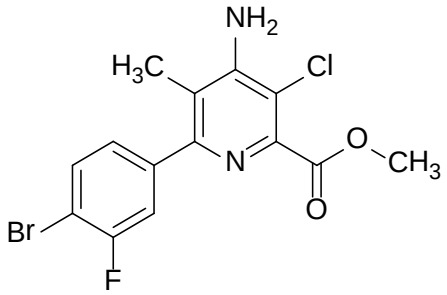
Example 70: Preparation of methyl 4-amino-6-(4-bromophenyl)-3-chloro-5-methylpicolinate (Compound 81)



[00294] To methyl 4-amino-3-chloro-5-methyl-6-(4-(trimethylsilyl)phenyl)picolinate (150 mg, 0.43 mmol) and potassium carbonate (215 mg, 1.56 mmol) in 1,2-dichloroethane (DCE, 2.9 mL) was added bromine (0.03 mL, 0.58 mmol) and stirred at room temperature for 18 h. The DCE was concentrated off under vacuum and the crude material was partitioned between ethyl acetate and aqueous potassium carbonate. The aqueous layer was extracted with ethyl acetate (3x), washed with water, dried over anhydrous $MgSO_4$, filtered, and adsorbed onto silica gel. Purification by flash chromatography (0–40% ethyl acetate/hexanes) afforded the title compound as a pale orange powder (68 mg, 45%).

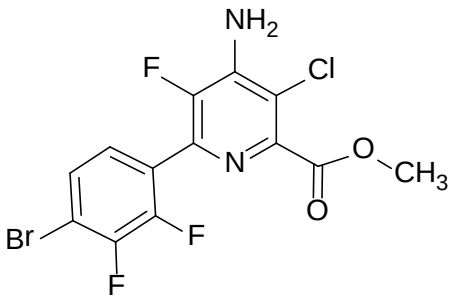
[00295] The following compound was made in accordance with the procedures disclosed in Example 70:

Methyl 4-amino-6-(4-bromo-3-fluorophenyl)-3-chloro-5-methylpicolinate (Compound 112)



[00296] The title compound was prepared as in Example 70 and isolated as an off-white solid (96 mg, 52%).

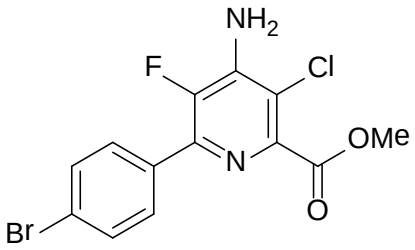
Example 71: Preparation of methyl 4-amino-6-(4-bromo-2,3-difluorophenyl)-3-chloro-5-fluoropicolinate (Compound 109)



[00297] Methyl 4-amino-3-chloro-6-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate (2.5 g, 6.43 mmol) was dissolved in acetonitrile (32 mL) and bromine (3.31 mL, 64.3 mmol) was added. The reaction mixture was stirred at room temperature for 4 h at which time liquid chromatography-mass spectrometry (LC-MS) indicated the reaction was

mostly complete. The reaction mixture was partitioned between dichloromethane and water and sodium thiosulfate (10.17 g, 64.3 mmol) was added. The aqueous phase was extracted with dichloromethane and the organic extracts were combined and concentrated under vacuum. The product was purified by flash chromatography (SiO_2; eluting with 5–40% ethyl acetate in hexanes) to provide the title compound as a light yellow solid (1.62 g, 63.7%).

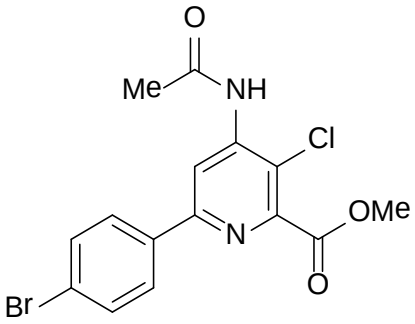
Example 72: Preparation of methyl 4-amino-6-(4-bromophenyl)-3-chloro-5-fluoropicolinate (Compound 138)



[00298] Bromine (47 µL, 0.92 mmol, 1.2 equiv) was added to a stirred solution of methyl 4-amino-3-chloro-5-fluoro-6-(4-(trimethylsilyl)phenyl)picolinate (270 mg, 0.77 mmol, 1.0 equiv) in 1,2-dichloroethane (5.1 mL) at 23 ºC. The resulting dark orange solution was stirred at 23 °C for 24 h. The reaction mixture was quenched with a saturated solution of sodium thiosulfate (5 mL) and then adjusted to pH 10 using 2 M sodium hydroxide. The reaction mixture was diluted with water (50 mL) and extracted with dichloromethane (3 x 30 mL). The combined organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by reverse phase column chromatography (5% acetonitrile to 100% acetonitrile gradient) to afford the title compound as a tan powder (160 mg, 57%).

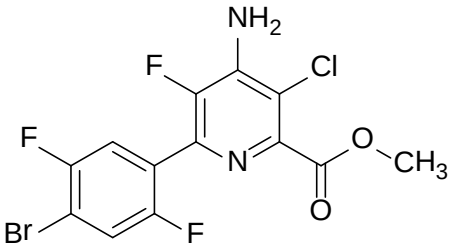
[00299] The following compound was made in accordance with the procedures disclosed in Example 72.

Methyl 4-acetamido-6-(4-bromophenyl)-3-chloropicolinate



^{1}H NMR (400 MHz, $CDCl_3$) δ 9.01(s, 1H), 7.90 (m, 2H), 7.49 (m, 2H), 7.25 (s, 1H), 4.03 (s, 3H), 2.34 (s, 3H); ESIMS *m/z* 385 ($[M+H]^+$).

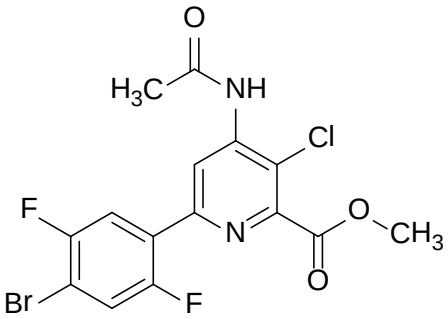
Example 73: Preparation of methyl 4-amino-6-(4-bromo-2,5-difluorophenyl)-3-chloro-5-fluoropicolinate (Compound 51)



[00300] To a solution of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)-5-fluoropicolinate (0.240 g, 0.617 mmol) in CH_2Cl_2 (2.469 mL) at 20 °C was added bromine (0.127 mL, 2.469 mmol). After 24 h, the reaction mixture was poured into a saturated aqueous solution of $Na_2S_2O_3$ and was extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) to afford the title compound as a white solid (0.187 g, 77%).

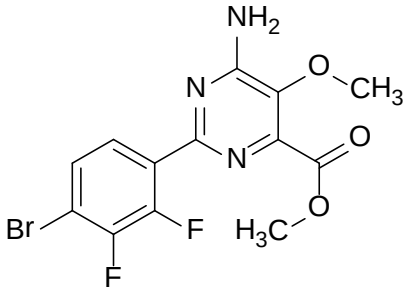
[00301] The following compound was made in accordance with the procedures disclosed in Example 73:

Methyl 4-acetamido-6-(4-bromo-2,5-difluorophenyl)-3-chloropicolinate



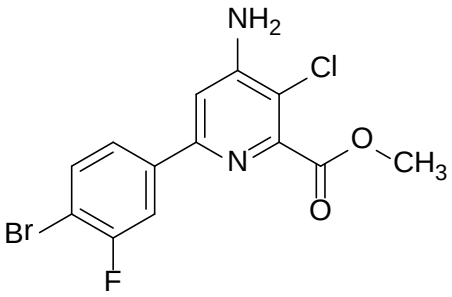
[00302] mp 177–179 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.10 (d, *J* = 0.7 Hz, 1H), 7.97 (s, 1H), 7.85 (dd, *J* = 9.1, 6.6 Hz, 1H), 7.40 (dd, *J* = 9.9, 5.5 Hz, 1H), 4.03 (s, 3H), 2.33 (s, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -112.76, -112.80, -119.21, -119.26; ESIMS *m/z* 418 ($[M-H]^-$).

Example 74: Preparation of methyl 6-amino-2-(4-bromo-2,3-difluorophenyl)-5-methoxypyrimidine-4-carboxylate (Compound 122)



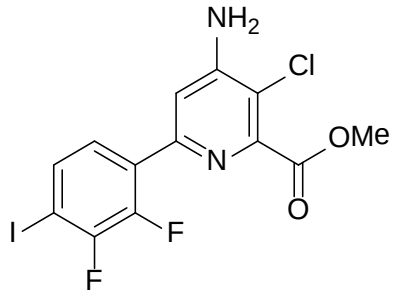
[00303] Methyl 6-amino-2-(2,3-difluoro-4-(trimethylsilyl)phenyl)-5-methoxypyrimidine-4-carboxylate (350 mg, 0.95 mmol) was stirred in 1,2-dichloroethane (4 mL), treated with bromine (1.0 g, 6.3 mmol) and heated to 60 °C for 6 h. After cooling, the mixture was stirred with 15% sodium bisulfite solution until negative to starch-iodine paper. The mixture was diluted with ethyl acetate, 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 white solid (75 mg, 23%).

Example 75: Preparation of methyl 4-amino-6-(4-bromo-3-fluorophenyl)-3-chloropicolinate (Compound 115)



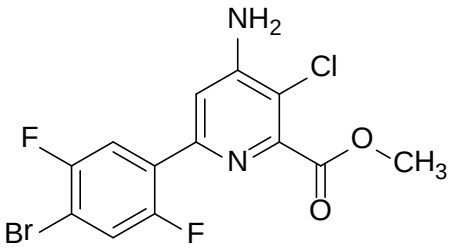
[00304] To a 100-mL round bottom flask, equipped with a stir bar, were added methyl 4-acetamido-6-(4-bromo-3-fluorophenyl)-3-chloropicolinate (0.411 g, 1.023 mmol), methanol (5.12 mL) and acetyl chloride (1.45 mL, 20.5 mmol). The reaction mixture was allowed to stir at room temperature for 18 h. The solvent was removed with a rotary evaporator. The resulting solid was dissolved in 1 N $NaHCO_3$ and extracted with ethyl acetate (3 x 75 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated to afford the title compound as a white solid (0.324 g, 88%).

Example 76: Preparation of methyl 4-amino-3-chloro-6-(2,3-difluoro-4-iodophenyl)picolinate (Compound 129)



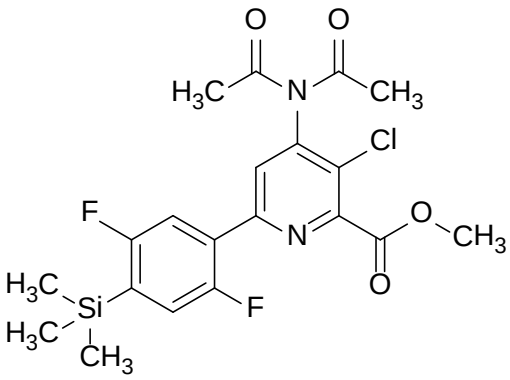
[00305] Acetyl chloride (1.3 mL, 18 mmol, 10 equiv) was slowly added to methanol (12 mL) and stirred at 23 °C for 30 min. Methyl 4-acetamido-3-chloro-6-(2,3-difluoro-4-iodophenyl)picolinate (830 mg, 1.8 mmol, 1.0 equiv) was added and the heterogeneous white mixture was stirred at 23 °C for 18 h. The reaction mixture was concentrated by rotary evaporation. The residue was diluted with saturated sodium bicarbonate (200 mL) and extracted with dichloromethane (3 x 75 mL). The organic layer was dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a white powder (720 mg, 95%).

Example 77: Preparation of methyl 4-amino-6-(4-bromo-2,5-difluorophenyl)-3-chloropicolinate (Compound 127)



[00306] To a solution of methyl 4-acetamido-6-(4-bromo-2,5-difluorophenyl)-3-chloropicolinate (0.300 g, 0.715 mmol) in a mixture of methanol (3.57 mL) and THF (3.57 mL) was slowly added acetyl chloride (1.017 mL, 14.30 mmol). The reaction mixture was stirred at 20 °C for 2 h. The mixture was then poured into a saturated aqueous solution of $NaHCO_3$ and extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered, concentrated and dried *in vacuo* to afford methyl 4-amino-6-(4-bromo-2,5-difluorophenyl)-3-chloropicolinate (0.257 g, 95%) as a white solid.

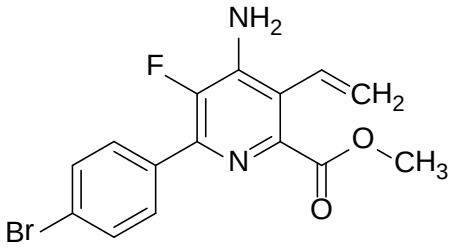
Example 78: Preparation of methyl 4-(*N*-acetylacetamido)-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate



[00307] To a solution of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate (0.280 g, 0.755 mmol) in dichloroethane (3.02 mL) was added *N*,*N*-diisopropylethylamine (0.396 mL, 2.265 mmol) and acetyl chloride (0.107 mL, 1.510 mmol). The reaction mixture was stirred at 20 °C for 4 h and then at 60 °C for 2 h. The mixture was poured into a saturated aqueous solution of NH_4Cl and extracted with EtOAc (3x). The combined organic layers were washed with brine, dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) to afford the title compound as a light yellow solid (104 mg, 30.3%): mp 121–123 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 0.7 Hz, 1H), 7.79 (dd, *J*

= 8.5, 5.8 Hz, 1H), 7.15 (dd, *J* = 10.9, 4.1 Hz, 1H), 4.05 (s, 3H), 2.35 (s, 6H), 0.35 (d, *J* = 0.8 Hz, 9H); ESIMS *m/z* 455 ($[M+H]^+$).

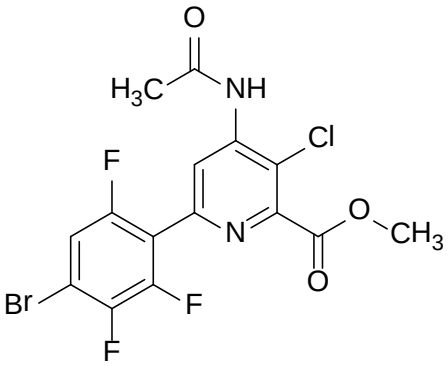
Example 79: Preparation of methyl 4-amino-6-(4-bromophenyl)-5-fluoro-3-vinylpicolinate (Compound 57)



[00308] To a 0 °C suspension of nitrosyl tetrafluoroborate (0.122 g, 1.044 mmol) in CH_2Cl_2 (2 mL) was added a solution of methyl 4-amino-6-(4-aminophenyl)-5-fluoro-3-vinylpicolinate (0.3 g, 1.044 mmol) in a 1:1 mixture of CH_2Cl_2 and CH_3CN (10 mL). The reaction mixture was stirred at 0 °C for 30 min, then was added dropwise to a suspension of potassium bromide (0.497 g, 4.18 mmol),18-crown-6 (0.028 g, 0.104 mmol), copper(II) bromide (0.023 g, 0.104 mmol), copper(I) bromide (0.015 g, 0.104 mmol), and 1,10-phenanthroline (0.019 g, 0.104 mmol). The mixture was stirred at 20 °C for 1 h. Additional copper (I) bromide (0.749 g, 5 equiv) was added and the reaction mixture was stirred at 20 °C for an additional 1 h. The reaction mixture was diluted with Et_2O and filtered on a short pad of Celite®. The supernatant was concentrated and purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) followed by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a light brown solid (130 mg, 35.5%).

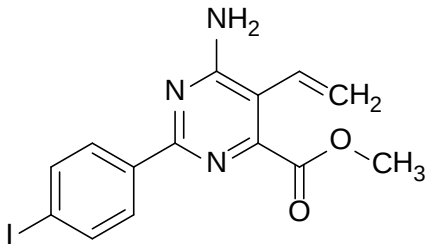
[00309] The following compound was made in accordance with the procedures disclosed in Example 79:

Methyl 4-acetamido-6-(4-bromo-2,3,6-trifluorophenyl)-3-chloropicolinate



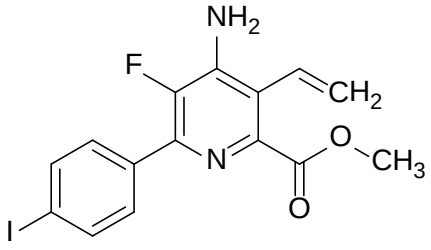
[00310] ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.08 (s, 1H), 8.48 (s, 1H), 7.87 – 7.84 (m, 1H), 3.93 (s, 3H), 2.25 (s, 3H); ESIMS *m/z* 437 ([M+2H]$^+$).

Example 80: Preparation of methyl 6-amino-2-(4-iodophenyl)-5-vinylpyrimidine-4-carboxylate (Compound 164)



[00311] To a 50-mL round bottom flask, equipped with a stir bar, was added nitrosyl tetrafluoroborate (78 mg, 0.67 mmol) and dichloromethane (2.0 mL). The flask was cooled in a ice water bath and placed under N_2 atmosphere. Then methyl 6-amino-2-(4-aminophenyl)-5-vinylpyrimidine-4-carboxylate (180 mg, 0.666 mmol) in dichloromethane (2.5 mL) was added dropwise. The reaction mixture was allowed to stir for 60 min. Then sodium iodide (499 mg, 3.33 mmol) in a minimal amount of H_2O was added, followed by dioxane (1.0 mL). The reaction was allowed to stir for 18 h at room temperature. The reaction mixture was poured into a saturated Na_2SO_3 solution and extracted with ethyl acetate (3 x 50 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated. The resulting residue was purified by flash chromatography (Silica gel; 0–30% EtOAc in Hexanes) and reverse phase chromatography to afford the title compound as a light yellow solid (0.068 g, 27%).

Example 81: Preparation of methyl 4-amino-5-fluoro-6-(4-iodophenyl)-3-vinylpicolinate (Compound 139)

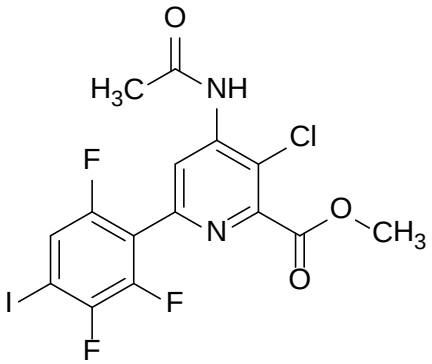


[00312] To a 0 °C suspension of nitrosyl tetrafluoroborate (0.041 g, 0.348 mmol) in CH_2Cl_2 (1 mL) was added a solution of methyl 4-amino-6-(4-aminophenyl)-5-fluoro-3-vinylpicolinate (0.1 g, 0.348 mmol) in a 1:1 mixture of CH_2Cl_2 and CH_3CN (4 mL). The reaction mixture was stirred at 0 °C for 30 min, then a solution of sodium iodide (0.261 g,

1.740 mmol) dissolved in a minimum of water was added and the reaction mixture was stirred at 20 °C for 30 min. The mixture was then poured into a 10% aqueous solution of sodium sulfite and extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) followed by preparative reverse phase HPLC (water/acetonitrile gradient) to afford the title compound as a white solid (32 mg, 23.09%).

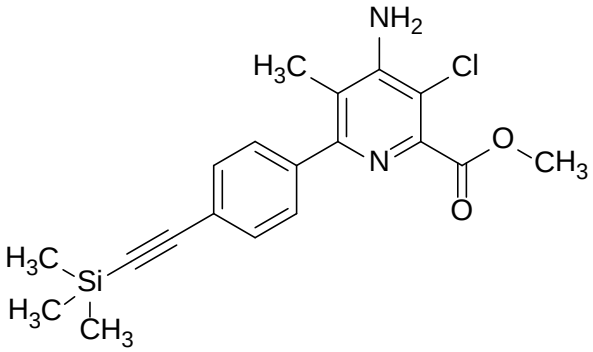
[00313] The following compound was made in accordance with the procedures disclosed in Example 81:

Methyl 4-acetamido-3-chloro-6-(2,3,6-trifluoro-4-iodophenyl)picolinate



[00314] ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.07 (s, 1H), 8.46 (s, 1H), 7.89 – 7.85 (m, 1H), 3.93 (s, 3H), 2.25 (s, 3H); ESIMS *m/z* 487 ($[M+3H]^+$).

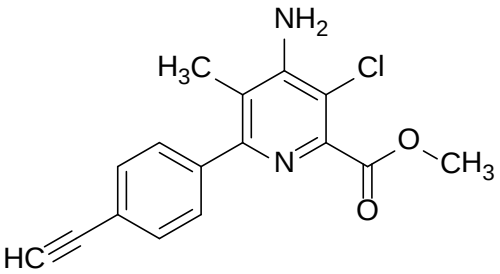
Example 82. Preparation of methyl 4-amino-3-chloro-5-methyl-6-(4-((trimethylsilyl)ethynyl)phenyl)picolinate



[00315] A mixture of methyl 4-amino-3-chloro-6-(4-iodophenyl)-5-methylpicolinate (264 mg, 0.66 mmol), trimethyl((tributylstannyl)ethynyl)silane (280 mg, 0.72 mmol), tetrakis(triphenylphosphine)palladium(0) (75 mg, 0.065 mmol) in anhydrous DMF (1.3 mL) was heated at 90 °C for 16 h. The reaction mixture was cooled, diluted water, and extracted

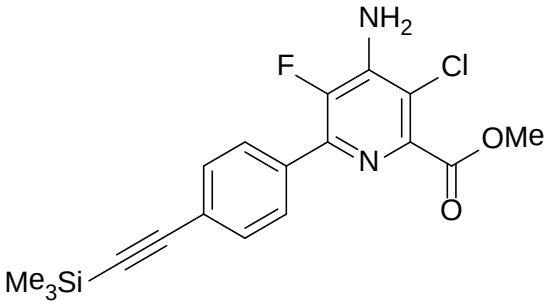
with ethyl acetate (2x). The organic layers were dried over anhydrous $MgSO_4$, filtered, and adsorbed onto silica gel. Purification by flash chromatography (0–100% ethyl acetate/hexanes) afforded the title compound as a brown solid (52 mg, 21%): mp 158–164 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, *J* = 8.5 Hz, 2H), 7.40 (d, *J* = 8.5 Hz, 2H), 4.83 (s, 2H), 3.96 (s, 3H), 2.14 (s, 3H), 0.26 (s, 9H); IR (neat film) 3325, 3227, 2955, 2157, 1729, 1629, 1246 cm^{-1}; ESIMS *m/z* 372 ($[M]^+$).

Example 83: Preparation of methyl 4-amino-3-chloro-6-(4-ethynylphenyl)-5-methylpicolinate (Compound 40)



[00316] To methyl 4-amino-3-chloro-5-methyl-6-(4-((trimethylsilyl)ethynyl)phenyl)-picolinate (50 mg, 0.13 mmol) in methanol (0.7 mL) was added potassium carbonate (24 mg, 0.17 mmol). The reaction mixture was stirred at room temperature for 40 min, then diluted with water and extracted with dichloromethane (4x). The organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated to afford the title compound as a brown oil (34 mg, 84%).

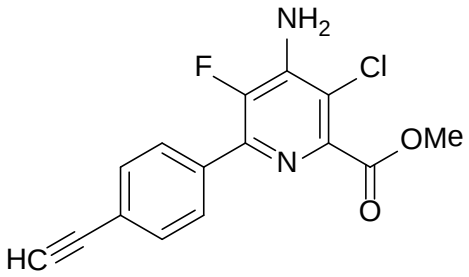
Example 84: Preparation of methyl 4-amino-3-chloro-5-fluoro-6-(4-((trimethylsilyl)ethynyl)phenyl)picolinate



[00317] Trimethyl((tributylstannyl)ethynyl)silane (510 mg, 1.3 mmol, 1.1 equiv) was added to a stirred mixture of methyl 4-amino-3-chloro-5-fluoro-6-(4-iodophenyl)picolinate (490 mg, 1.2 mmol, 1.0 equiv) and tetrakis (triphenylphosphine)palladium(0) (140 mg, 0.12 mmol, 0.10 equiv) in DMF (2.4 mL) at 23 °C. The reaction mixture was heated to 90 °C,

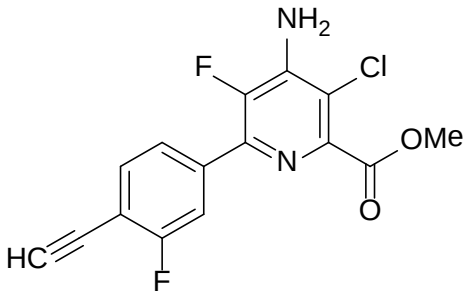
resulting in a homogeneous yellow solution, and stirred for 20 h. The cooled reaction mixture was diluted with water (200 mL) and extracted with diethyl ether (4 x 100 mL). Hexanes (100 mL) was added to the combined organic layers and the turbid solution was washed with water (200 mL). The organic layer was dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by silica gel column chromatography (25% ethyl acetate in hexanes) to afford the title compound as a tan powder (330 mg, 73%): mp 83–86 °C; IR (thin film) 3487 (m), 3375 (s), 2958 (s), 2159 (m), 1739 (s), 1618 (s) cm^{-1}; ^1H NMR (300 MHz, $CDCl_3$) δ 7.89 (m, 2H), 7.55 (m, 2H), 4.89 (br s, 2H), 3.99 (s, 3H), 0.26 (s, 9H); ESIMS *m/z* 377 ($[M+H]^+$).

Example 85: Preparation of methyl 4-amino-3-chloro-6-(4-ethynylphenyl)-5-fluoropicolinate (Compound 7)



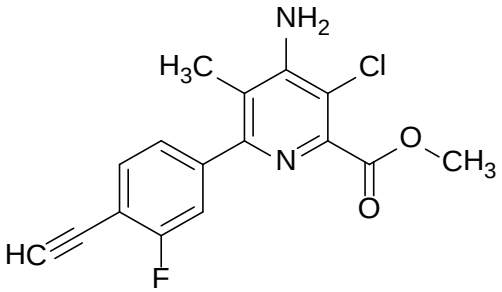
[00318] Potassium carbonate (100 mg, 0.74 mmol, 1.0 equiv) was added to a stirred mixture of methyl 4-amino-3-chloro-5-fluoro-6-(4-((trimethylsilyl)ethynyl)phenyl)picolinate (280 mg, 0.74 mmol, 0.10 equiv) in methanol (3.7 mL) at 23 °C. The heterogeneous pale yellow mixture was stirred at 23 °C for 30 min. The reaction mixture was diluted with water (200 mL) and extracted with dichloromethane (5 x 50 mL). The organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a tan powder (220 mg, 96%).

Example 86: Preparation of methyl 4-amino-3-chloro-6-(4-ethynyl-3-fluorophenyl)-5-fluoropicolinate (Compound 133)



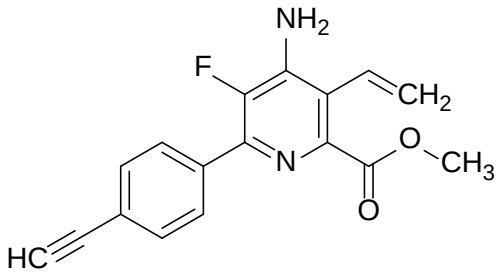
[00319] Dimethyl 1-diazo-2-oxopropylphosphonate (290 mg, 1.5 mmol, 1.2 equiv) was added to a stirred mixture of methyl 4-amino-3-chloro-5-fluoro-6-(3-fluoro-4-formylphenyl)picolinate (410 mg, 1.3 mmol, 1.0 equiv) and solid potassium carbonate (350 mg, 2.5 mmol, 2.0 equiv) in methanol (12 mL) at 23 °C. The resulting cloudy pale yellow mixture was stirred at 23 °C for 2 h. The reaction mixture was diluted with water (150 mL) and extracted with dichloromethane (4 x 60 mL). The organic layers were dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation. The residue was purified by silica gel column chromatography (33% ethyl acetate in hexanes) to afford the title compound as a white powder (150 mg, 38%).

Example 87. Preparation of methyl 4-amino-3-chloro-6-(4-ethynyl-3-fluorophenyl)-5-methylpicolinate (Compound 151)



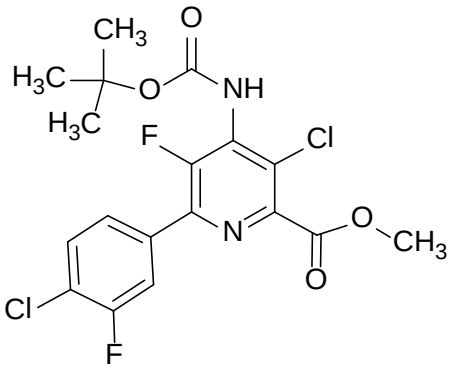
[00320] To a solution of methyl 4-amino-3-chloro-6-(3-fluoro-4-formylphenyl)-5-methylpicolinate (358 mg, 1.1 mmol) and potassium carbonate (537 mg, 3.9 mmol) in methanol (11 mL) at room temperature was added dimethyl (1-diazo-2-oxopropyl)phosphonate (Bestmann-Ohira reagent, crude reagent; 1mL), and the mixture was stirred for 3 h. The reaction was quenched with saturated aqueous sodium bicarbonate and extracted with ethyl acetate (3x). The combined organic layers were dried over anhydrous Na_2SO_4, filtered, and adsorbed onto silica gel. Purification by flash chromatography (0–50% ethyl acetate/hexanes) provided the title compound as a yellow solid (245 mg, 69%).

Example 88: Preparation of methyl 4-amino-6-(4-ethynylphenyl)-5-fluoro-3-vinylpicolinate (Compound 60)



[00321] To a 20 mL reaction vial was added methyl 4-amino-5-fluoro-6-(4-formylphenyl)-3-vinylpicolinate (0.41 g, 1.365 mmol), potassium carbonate (0.377 g, 2.73 mmol) and methanol (10 mL). Dimethyl (1-diazo-2-oxopropyl)phosphonate (0.315 g, 1.638 mmol) was added in one portion. After stirring for 4 h, the reaction mixture was diluted with Et_2O (50 mL) and washed with a 5% solution of $NaHCO_3$ (25 mL). The organic layer was dried over $MgSO_4$ (5 g), filtered, and concentrated on a rotary evaporator. The resulting residue was purified using a Teledyne ISCO purification system with a gradient eluent system of CH_2Cl_2 and EtOAc to yield the title compound as a white solid (250 mg, 61%).

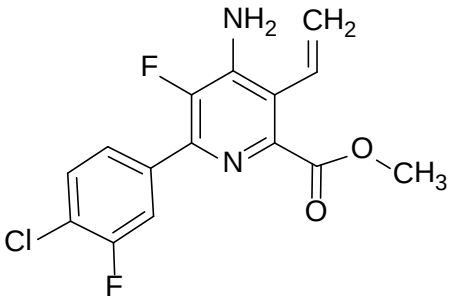
Example 89: Preparation of methyl 4-((*tert*-butoxycarbonyl)amino)-3-chloro-6-(4-chloro-3-fluorophenyl)-5-fluoropicolinate



[00322] **Step 1:** Methyl 4-amino-3-chloro-6-(4-chloro-3-fluorophenyl)-5-fluoropicolinate (1.43 g, 4.29 mmol) was combined with di-*tert*-butyl dicarbonate (2.99 mL, 12.88 mmol) and *N*,*N*-dimethylpyridin-4-amine (0.079 g, 0.644 mmol) in dichloromethane (30 mL). The reaction mixture was stirred overnight at rt. The reaction mixture was concentrated under a stream of nitrogen and applied directly to a column of silica gel. The compound was eluted with a 2–20% ethyl acetate/hexanes gradient solvent system to provide methyl 4-(bis(*tert*-butoxycarbonyl)amino)-3-chloro-6-(4-chloro-3-fluorophenyl)-5-fluoropicolinate (2.1 g, 92%) as a white solid.

[00323] **Step 2:** Methyl 4-(bis(*tert*-butoxycarbonyl)amino)-3-chloro-6-(4-chloro-3-fluorophenyl)-5-fluoropicolinate (2.1 g, 3.94 mmol) was dissolved in dichloroethane (20 mL) and trifluoroacetic acid (0.598 mL, 7.76 mmol) was added at rt. The reaction mixture was stirred overnight at rt then concentrated under vacuum. The product was purified by flash chromatography (SiO_2; eluting with 2–20% ethyl acetate in dichloromethane) to provide the title compound as a white solid (1.64 g, 98%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 22.0, 8.5 Hz, 2H), 7.50 (dd, *J* = 8.3, 7.6 Hz, 1H), 6.51 (s, 1H), 4.02 (s, 3H), 1.56 (s, 9H); ESIMS *m/z* 431 ($[M-H]^-$).

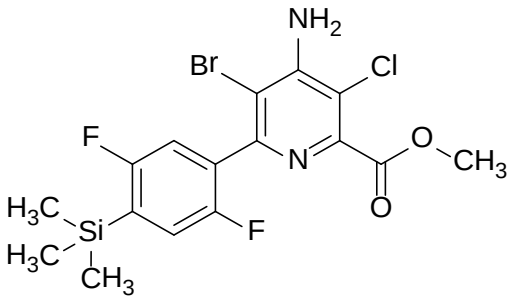
Example 90: Preparation of methyl 4-amino-6-(4-chloro-3-fluorophenyl)-5-fluoro-3-vinylpicolinate (Compound 215)



[00324] **Step 1:** Methyl 4-(*tert*-butoxycarbonylamino)-3-chloro-6-(4-chloro-3-fluorophenyl)-5-fluoropicolinate (1.5 g, 3.46 mmol), tributyl(vinyl)stannane (2.196 g, 6.92 mmol), and bis(triphenylphosphine)palladium(II) chloride (0.365 g, 0.519 mmol) were combined in 1,2-dichloroethane (4.62 mL) and irradiated in a microwave at 130 °C in a sealed vial for 30 min. The cooled reaction mixture was applied directly to a silica gel column and eluted with a 5–40% ethyl acetate/hexanes gradient to provide methyl 4-(*tert*-butoxycarbonylamino)-6-(4-chloro-3-fluorophenyl)-5-fluoro-3-vinylpicolinate (0.966 g, 65.7%) as a white solid.

[00325] **Step 2:** Methyl 4-(*tert*-butoxycarbonylamino)-6-(4-chloro-3-fluorophenyl)-5-fluoro-3-vinylpicolinate (0.966 g, 2.274 mmol) was dissolved in dichloroethane (11 mL) and trifluoroacetic acid (3.50 mL, 45.5 mmol) was added. After 4 h at rt, the reaction mixture was concentrated under vacuum then coevaporated with additional dichloroethane twice more. The residue was purified by flash chromatography (SiO_2; eluting with 7–60% ethyl acetate in hexanes) to provide the title compound as a white solid (0.705 g, 95%).

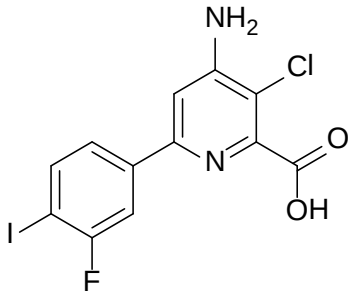
Example 91: Preparation of methyl 4-amino-5-bromo-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate



[00326] To a solution of methyl 4-amino-3-chloro-6-(2,5-difluoro-4-(trimethylsilyl)phenyl)picolinate (0.210 g, 0.566 mmol) in CH_2Cl_2 (2.265 mL) at 20 °C was added bromine (0.117 mL, 2.265 mmol). The reaction mixture was stirred at 20 °C

overnight. The mixture was then poured into a saturated aqueous solution of $Na_2S_2O_3$ and extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered and concentrated. The residue was purified by flash column chromatography (SiO_2; hexanes/EtOAc gradient) to provide the title compound as a white solid (0.125 g, 49.1%): mp 165–166 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.10 (dd, *J* = 8.9, 4.0 Hz, 1H), 7.03 (dd, *J* = 7.6, 5.1 Hz, 1H), 5.43 (s, 2H), 3.96 (s, 3H), 0.33 (d, *J* = 0.7 Hz, 9H); ESIMS *m/z* 450 ($[M+H]^+$).

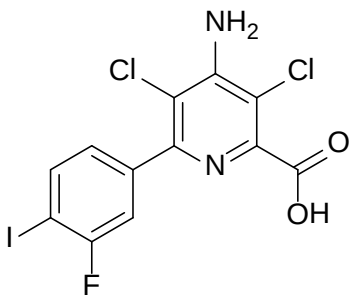
Example 92: Preparation of 4-amino-3-chloro-6-(3-fluoro-4-iodophenyl)picolinic acid (Compound 77)



[00327] To a 100-mL round bottom flask, equipped with a stir bar, was added methyl 4-amino-3-chloro-6-(3-fluoro-4-iodophenyl)picolinate (0.284 g, 0.699 mmol), 1.0 N sodium hydroxide (2.79 mL, 2.79 mmol) and methanol (5.0 mL). The reaction mixture was allowed to stir for 18 h at rt. The solvent was then removed with a rotary evaporator. The resulting solid was diluted with H_2O, which was adjusted to pH~3.0 with 1 N HCl, and extracted with ethyl acetate (3 x 50 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated to afford the title compound as a white solid (0.056 g, 21%).

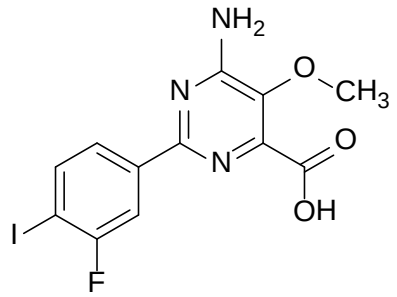
[00328] The following compounds were made in accordance with the procedures disclosed in Example 92:

4-Amino-3,5-dichloro-6-(3-fluoro-4-iodophenyl)picolinic acid (Compound 145)



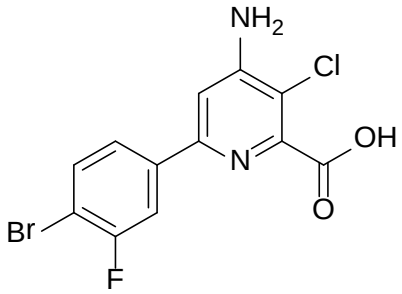
[00329] The title compound was prepared as described in Example 92 with methyl 4-amino-3,5-dichloro-6-(3-fluoro-4-iodophenyl)picolinate (0.197 g, 0.447 mmol) and isolated as a white solid (0.133 g, 70%).

6-Amino-2-(3-fluoro-4-iodophenyl)-5-methoxypyrimidine-4-carboxylic acid (Compound 37)



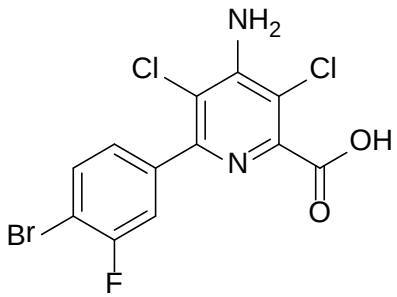
[00330] The title compound was prepared as described in Example 92 with methyl 6-amino-2-(3-fluoro-4-iodophenyl)-5-methoxypyrimidine-4-carboxylate (0.309 g, 0.766 mmol) and isolated as a white solid (0.065 g, 22%).

4-Amino-6-(4-bromo-3-fluorophenyl)-3-chloropicolinic acid (Compound 110)



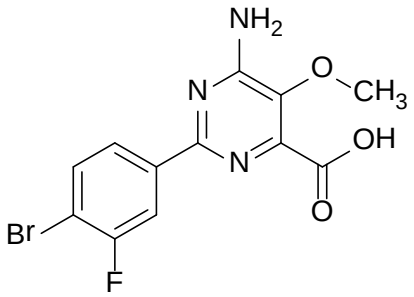
[00331] The title compound was prepared as described in Example 92 with methyl 4-amino-6-(4-bromo-3-fluorophenyl)-3-chloropicolinate (291 mg, 0.809 mmol) and isolated as an off-white solid (0.247 g, 88%).

4-Amino-6-(4-bromo-3-fluorophenyl)-3,5-dichloropicolinic acid (Compound 43)



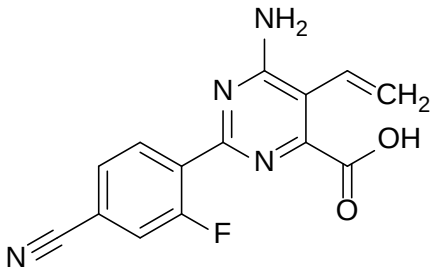
[00332] The title compound was prepared as described in Example 92 with methyl 4-amino-6-(4-bromo-3-fluorophenyl)-3,5-dichloropicolinate (225 mg, 0.571 mmol) and isolated as a white solid (0.219 g, 100%).

6-Amino-2-(4-bromo-3-fluorophenyl)-5-methoxypyrimidine-4-carboxylic acid (Compound 113)



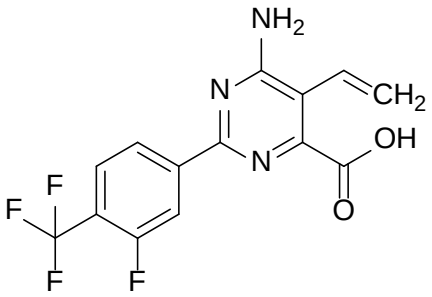
[00333] The title compound was prepared as described in Example 92 with methyl 6-amino-2-(4-bromo-3-fluorophenyl)-5-methoxypyrimidine-4-carboxylate (166 mg, 0.466 mmol) and isolated as a white solid (0.056 g, 35%).

6-Amino-2-(4-cyano-2-fluorophenyl)-5-vinylpyrimidine-4-carboxylic acid (Compound 5)



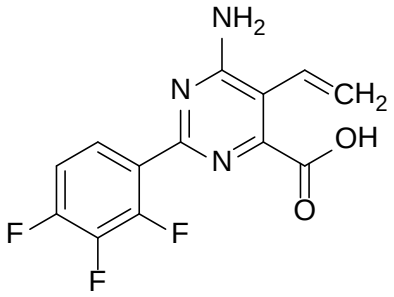
[00334] The title compound was prepared as described in Example 92 with methyl 6-amino-2-(4-cyano-2-fluorophenyl)-5-vinylpyrimidine-4-carboxylate (294 mg, 0.986 mmol) and isolated as a an orange solid (0.202 g, 72%).

6-Amino-2-(3-fluoro-4-(trifluoromethyl)phenyl)-5-vinylpyrimidine-4-carboxylic acid (Compound 32)



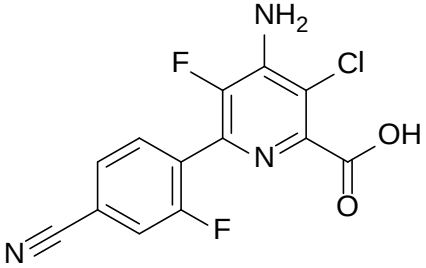
[00335] The title compound was prepared as described in Example 92 with methyl 6-amino-2-(3-fluoro-4-(trifluoromethyl)phenyl)-5-vinylpyrimidine-4-carboxylate (265 mg, 0.777 mmol) and isolated as a light yellow solid (0.234 g, 92%).

6-Amino-2-(2,3,4-trifluorophenyl)-5-vinylpyrimidine-4-carboxylic acid (Compound 191)



[00336] The title compound was prepared as described in Example 92 with methyl 6-amino-2-(2,3,4-trifluorophenyl)-5-vinylpyrimidine-4-carboxylate (335 mg, 1.08 mmol) and isolated as a yellow solid (0.275 g, 86%).

Example 93: Preparation of 4-amino-3-chloro-6-(4-cyano-2-fluorophenyl)-5-fluoropicolinic acid (Compound 65)

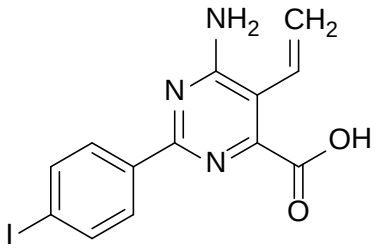


[00337] In a 50-mL round bottom flask, equipped with a stir bar, methyl 4-amino-3-chloro-6-(4-cyano-2-fluorophenyl)-5-fluoropicolinate (351 mg, 1.084 mmol) and lithium hydroxide hydrate (100 mg, 2.383 mmol) were dissolved in tetrahydrofuran (2.0 mL),

methanol (2.0 mL) and H_2O (1.0 mL). The reaction was stirred at rt for 2 h. The solvent was then removed by rotary evaporator. The resulting solid was treated with H_2O, which was then adjusted to pH~3.0 with 1 N HCl, and extracted with ethyl acetate (3 x 50 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered and concentrated. The resulting residue was purified by reverse phase chromatography (150 g C_{18}, 0–100% acetonitrile in H_2O), as needed, to afford the title compound as a brown solid (0.058 g, 20%).

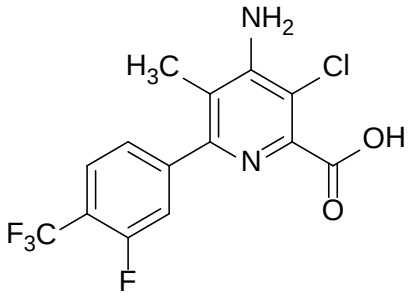
[00338] The following compound was made in accordance with the procedures disclosed in Example 93:

6-Amino-2-(4-iodophenyl)-5-vinylpyrimidine-4-carboxylic acid (Compound 123)



[00339] The title compound was prepared as described in Exampled 93 with methyl 6-amino-2-(4-iodophenyl)-5-vinylpyrimidine-4-carboxylate (65 mg, 0.177 mmol) and isolated as an off-white solid (60 mg, 92%).

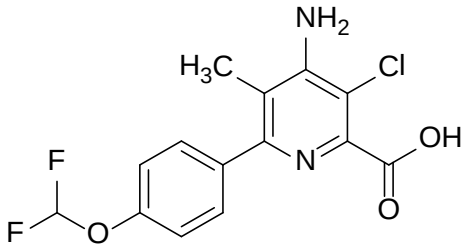
Example 94. Preparation of 4-amino-3-chloro-6-(3-fluoro-4-(trifluoromethyl)phenyl)-5-methylpicolinic acid (Compound 161)



[00340] To methyl 4-amino-3-chloro-6-(3-fluoro-4-(trifluoromethyl)phenyl)-5-methylpicolinate (0.35 g, 0.96 mmol) in methanol (6.4 mL) was added 2 N NaOH (1.93 mL, 3.9 mmol), and the reaction mixture was stirred at rt for 18 h. The solution was acidified with 2 N HCl and the precipitate was vacuum filtered to afford the title compound as a white powder (199 mg, 59%).

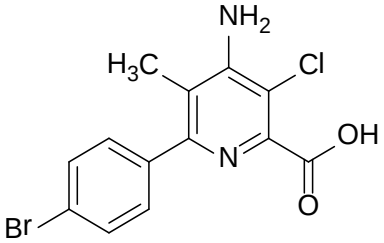
[00341] The following compounds were made in accordance with the procedures disclosed in Example 94:

4-Amino-3-chloro-6-(4-(difluoromethoxy)phenyl)-5-methylpicolinic acid (Compound 94)



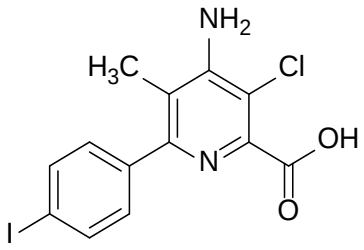
[00342] The title compound was prepared as in Example 94 and isolated as a yellow solid (36 mg, 68%).

4-Amino-6-(4-bromophenyl)-3-chloro-5-methylpicolinic acid (Compound 78)



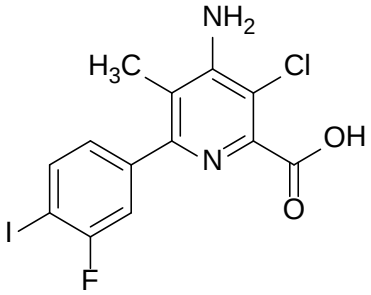
[00343] The title compound was prepared as in Example 94 and isolated as a white solid (24 mg, 71%).

4-Amino-3-chloro-6-(4-iodophenyl)-5-methylpicolinic acid (Compound 116)



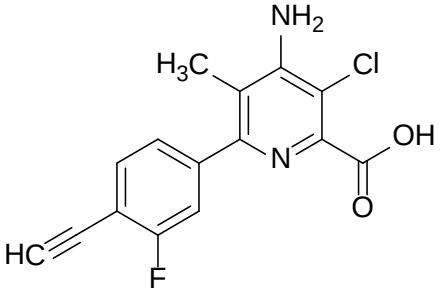
[00344] The title compound was prepared as in Example 94 and isolated as an orange powder (86 mg, 83%).

4-Amino-3-chloro-6-(3-fluoro-4-iodophenyl)-5-methylpicolinic acid (Compound 87)



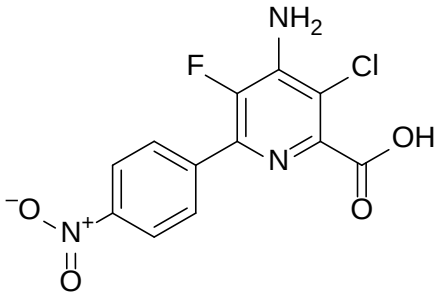
[00345] The title compound was prepared as in Example 94 and isolated as a white powder (120.5 mg, 88%).

4-Amino-3-chloro-6-(4-ethynyl-3-fluorophenyl)-5-methylpicolinic acid (Compound 6)



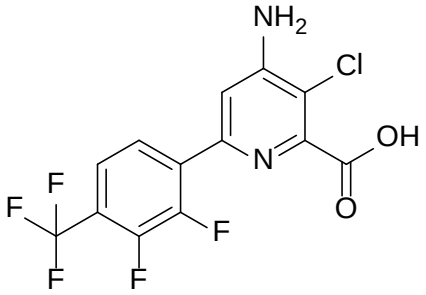
[00346] The title compound was prepared as in Example 94 and isolated as a yellow powder (147 mg, 82%).

Example 95: Preparation of 4-amino-3-chloro-5-fluoro-6-(4-nitrophenyl)picolinic acid (Compound 31)



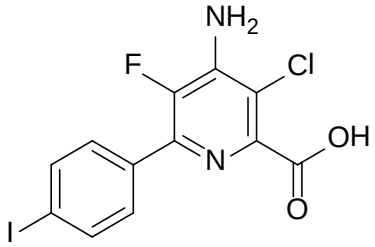
[00347] To a solution of methyl 4-amino-3-chloro-5-fluoro-6-(4-nitrophenyl)picolinate (88 mg, 0.27 mmol) in methanol (MeOH; 3 mL) was added 1 Normal (N) aqueous sodium hydroxide solution (NaOH; 3 mL, 3 mmol). The reaction mixture was stirred for 24 h at ambient temperature. The solution was then concentrated and acidified with 2 N aqueous HCl solution. The desired product precipitated out of solution, was collected in a Büchner funnel, and allowed to dry overnight to afford a tan solid (84 mg, 100%).

Example 96: Preparation of 4-amino-3-chloro-6-(2,3-difluoro-4-(trifluoromethyl)phenyl)picolinic acid (Compound 172)



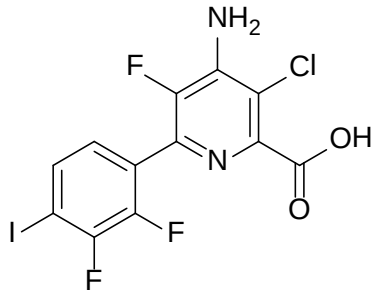
[00348] To a mixture of methyl 4-acetamido-3-chloro-6-(2,3-difluoro-4-(trifluoromethyl)phenyl)picolinate (115 mg, 0.28 mmol) in methanol (1 mL) was added 2 Normal (N) aqueous sodium hydroxide solution (NaOH; 1.4 mL, 2.81 mmol). The reaction solution was stirred at ambient temperature for 15 h. The solution was then concentrated, and acidified with a 2 N aqueous HCl solution. The desired product precipitated out of solution. This mixture was extracted (3x) with dichloromethane, the organics were combined, dried (Na_2SO_4), filtered and the concentrated *in vacuo* to afford a white solid (94 mg, 90%).

Example 97: Preparation of 4-amino-3-chloro-5-fluoro-6-(4-iodophenyl)picolinic acid (Compound 45)



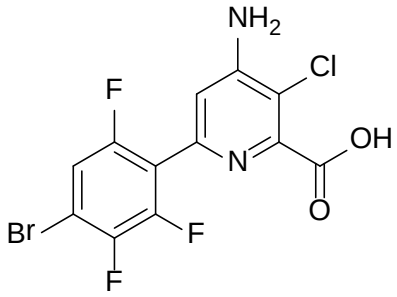
[00349] A 2 M solution of sodium hydroxide (740 µL, 1.5 mmol, 4.0 equiv) was added to a stirred solution of methyl 4-amino-6-(4-iodophenyl)-3-chloro-5-fluoropicolinate (150 mg, 0.37 mmol, 1.0 equiv) in methanol (3.7 mL) at 23 ºC. The resulting pink solution was stirred at 23 °C for 3 h. The reaction mixture adjusted to pH 3, using concentrated HCl, and concentrated by rotary evaporation. The residue was slurried in water and vacuum filtered to afford the title compound as a pale pink powder (110 mg, 79%).

Example 98: Preparation of 4-amino-3-chloro-6-(2,3-difluoro-4-iodophenyl)-5-fluoropicolinic acid (Compound 141)



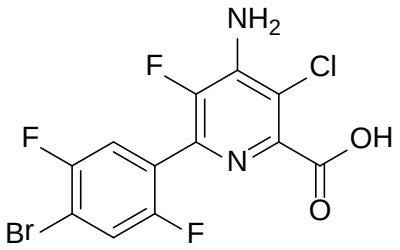
[00350] A 2 M solution of aqueous sodium hydroxide (270 µL, 0.54 mmol, 2.0 equiv) was added to a stirred suspension of methyl 4-amino-3-chloro-6-(2,3-difluoro-4-iodophenyl)-5-fluoropicolinate (120 mg, 0.27 mmol, 1.0 equiv) in methanol (2.7 mL) at 23 °C. The heterogeneous white mixture was stirred at 23 °C for 18 h. The reaction mixture was adjusted to approximately pH 4 via dropwise addition of concentrated HCl and concentrated via rotary evaporation. The residue was dissolved in dichloromethane (250 mL), passed through a hydrophobic membrane phase separator, dried ($MgSO_4$), gravity filtered, and concentrated by rotary evaporation to afford the title compound as a white powder (110 mg, 92%).

Example 99: Preparation of 4-amino-6-(4-bromo-2,3,6-trifluorophenyl)-3-chloropicolinic acid (Compound 162)



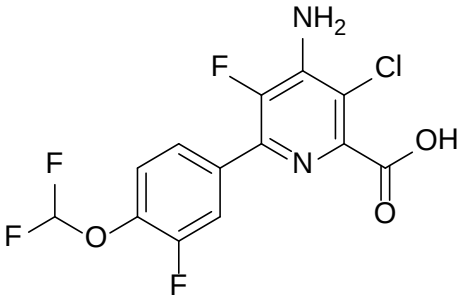
[00351] A solution of methyl 4-acetamido-6-(4-bromo-2,3,6-trifluorophenyl)-3-chloropicolinate (50 mg, 0.122 mmol, 1.0 equiv) and sodium hydroxide (14 mg, 0.366 mmol, 3.0 equiv) in THF:MeOH:H_2O (1:1:0.5; 2.5 mL) was stirred at 20 °C for 2 h. The reaction mixture was acidified to pH 4–5 using 1.5 N HCl and extracted with EtOAc (2x). The combined organic extract was dried over anhydrous Na_2SO_4 and evaporated to dryness under reduced pressure to provide the title compound as a brown solid (30 mg, 65%).

Example 100: Preparation of 4-amino-6-(4-bromo-2,5-difluorophenyl)-3-chloro-5-fluoropicolinic acid (Compound 42)



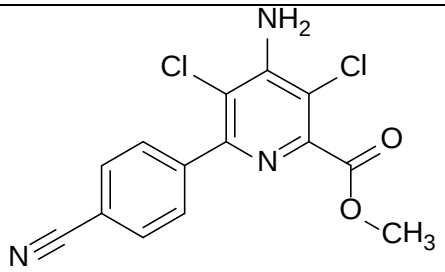
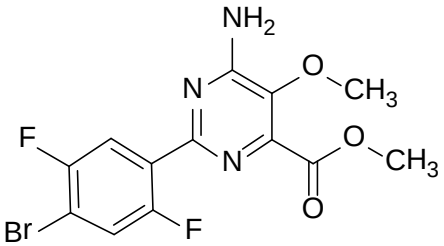
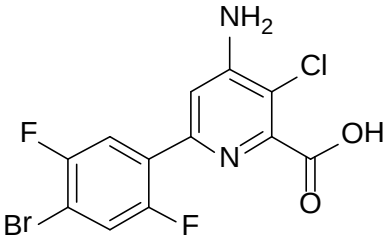
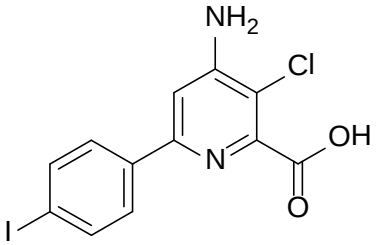
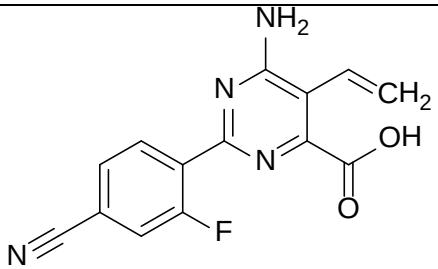
[00352] To a solution of methyl 4-amino-6-(4-bromo-2,5-difluorophenyl)-3-chloro-5-fluoropicolinate (0.160 g, 0.404 mmol) in a 1:1 mixture of MeOH (0.674 mL) and acetone (0.674 mL) was added a 2 N aqueous solution of sodium hydroxide (0.607 mL, 1.213 mmol). The reaction mixture was stirred at 20 °C overnight. The reaction mixture was concentrated, poured into a 2 N aqueous solution of HCl, and extracted with EtOAc (3x). The combined organic layers were dried over Na_2SO_4, filtered, concentrated and dried *in vacuo* to afford the title compound as a light brown solid (126 mg, 82%).

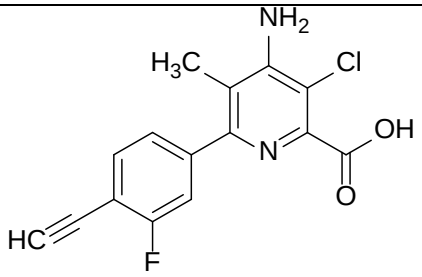
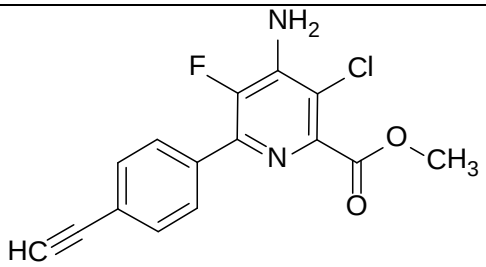
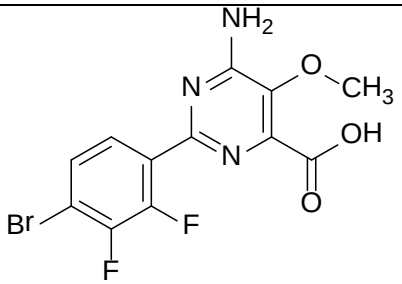
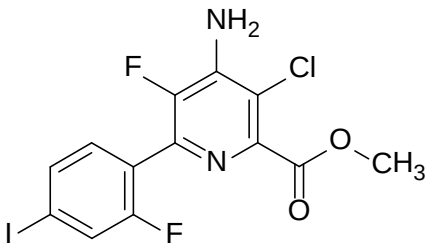
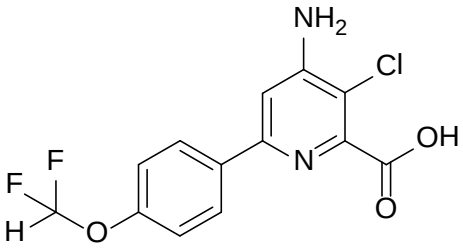
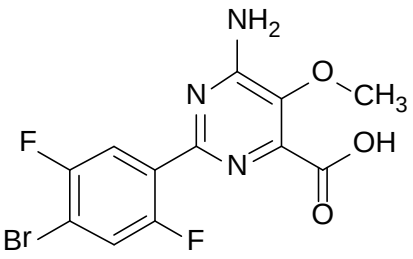
Example 101: Preparation of 4-amino-3-chloro-6-(4-(difluoromethoxy)-3-fluorophenyl)-5-fluoropicolinic acid (Compound 92)

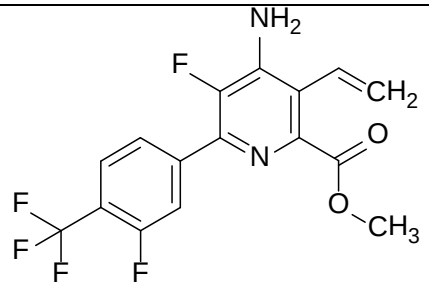
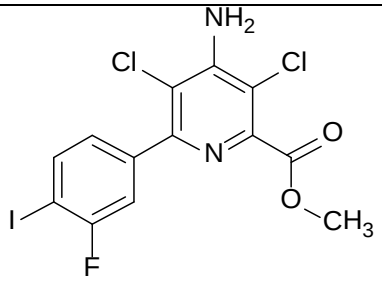
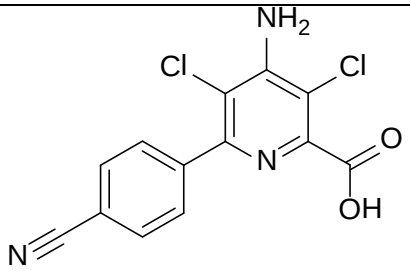
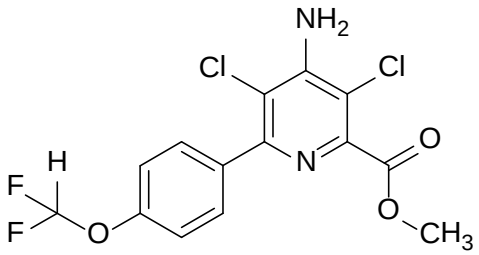
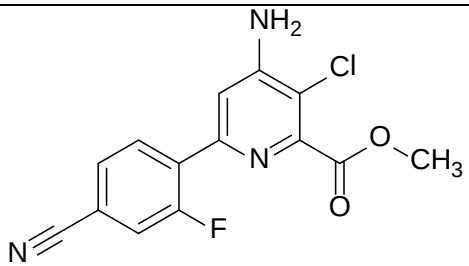
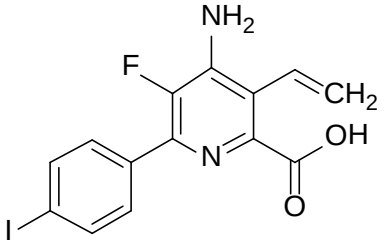


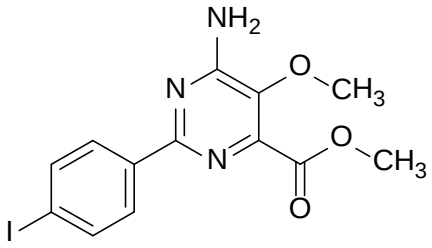
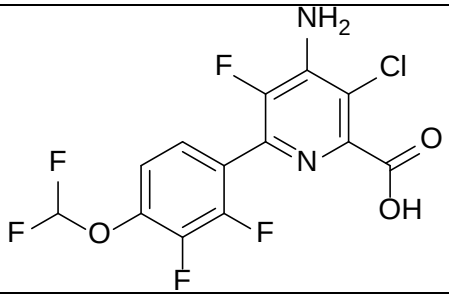
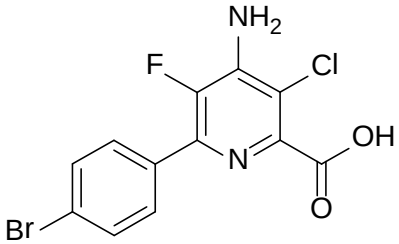
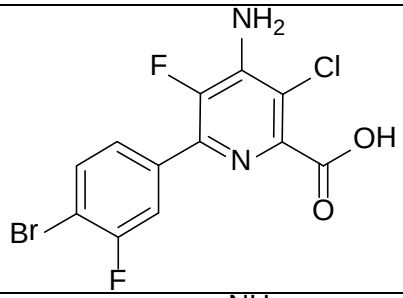
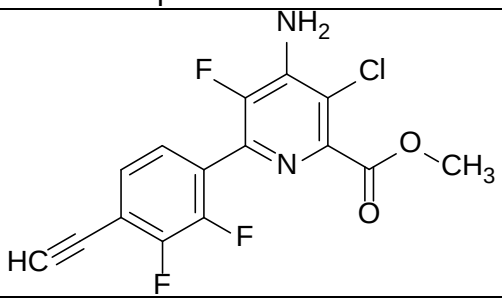
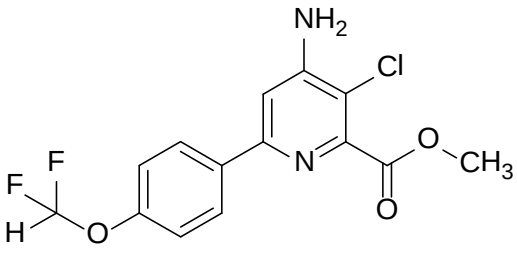
[00353] To a flask charged with MeOH (2 mL) was added methyl 4-amino-3-chloro-6-(4-(difluoromethoxy)-3-fluorophenyl)-5-fluoropicolinate (190 mg, 0.52 mmol) and 2 M sodium hydroxide solution (1 mL, 1 mmol). Following 12 h of mechanical stirring, the reaction mixture was concentrated using a rotary evaporator with a water bath temperature of 40 °C. Water was added to the resulting oil and the solution was slowly acidified by the addition of concentrated HCl until a tan precipitate formed. Filtration using filter paper and a Büchner funnel afforded the title compound as a tan solid (108 mg, 59%).

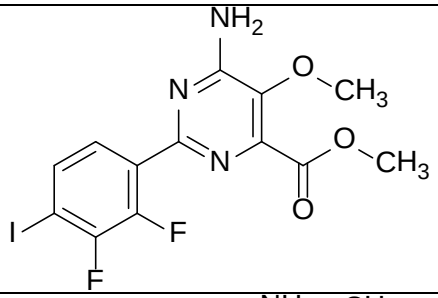
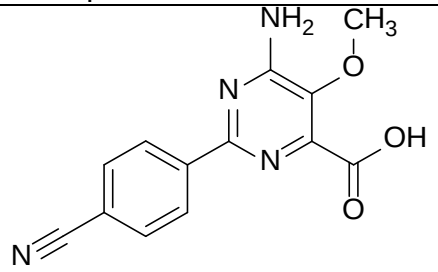
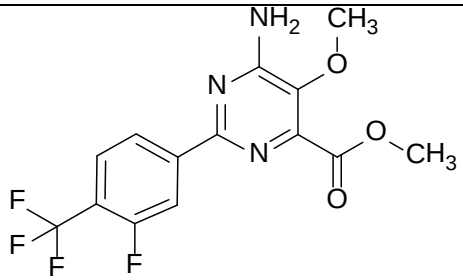
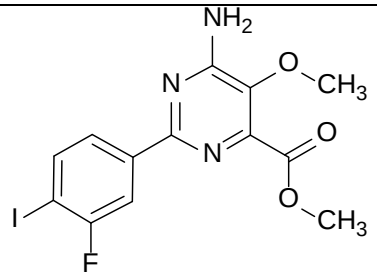
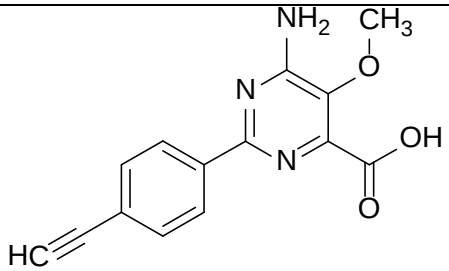
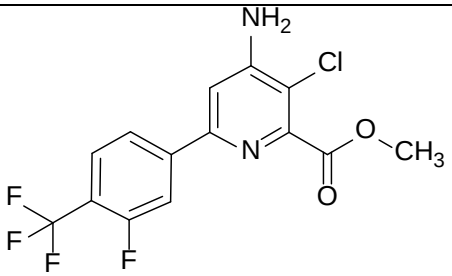
Table 1. Compound Number, Structure, Preparation and Appearance

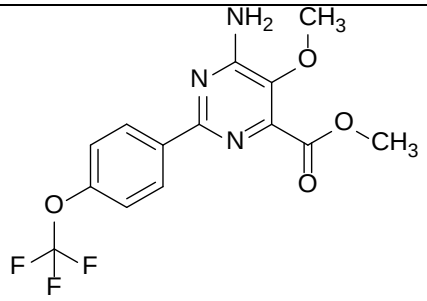
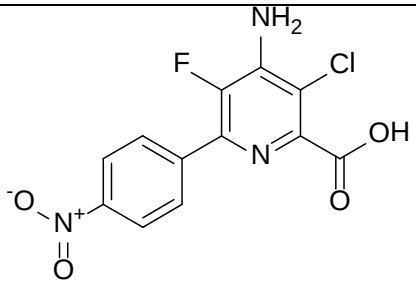
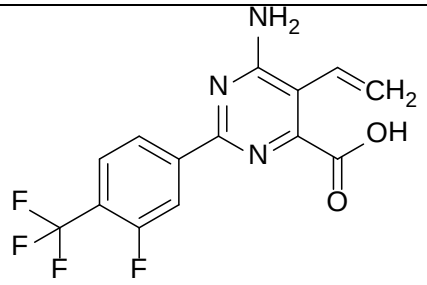
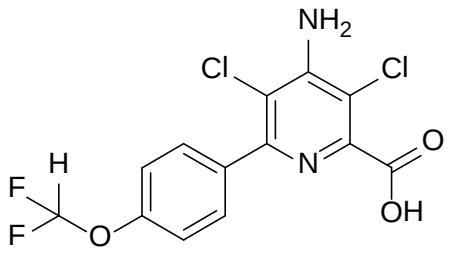
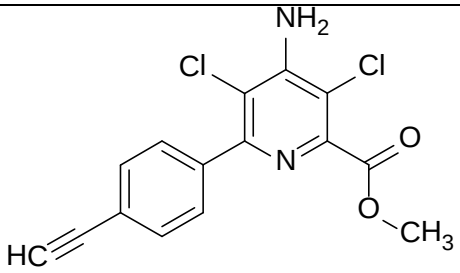
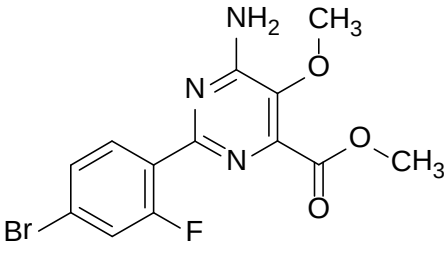
Compound No.	Structure	Appearance	Prepared as in Example:
1		White Solid	42
2		White Solid	73
3		White Solid	100
4		Brown Solid	97
5		Orange Solid	92

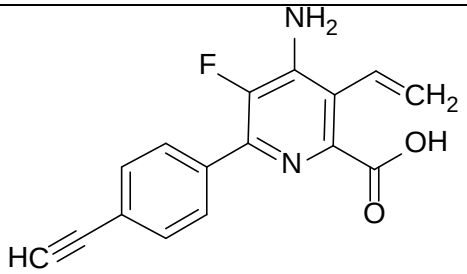
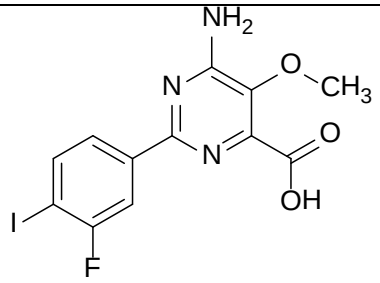
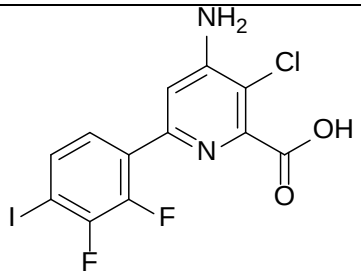
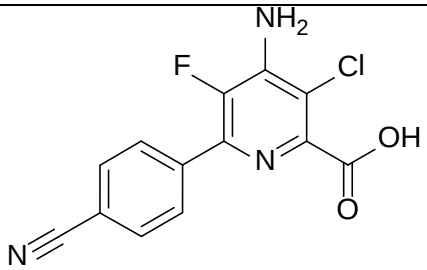
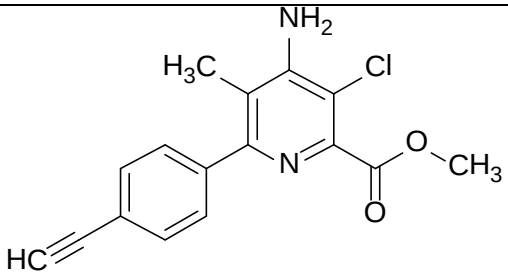
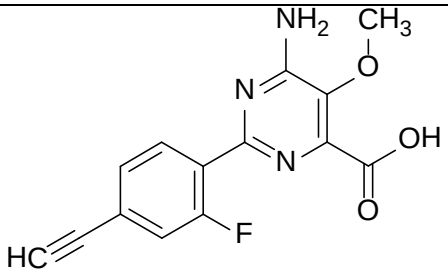
Compound No.	Structure	Appearance	Prepared as in Example:
6		Yellow Powder	94
7		Tan Powder	85
8		White solid	98
9		Off-White Powder	66
10		White Solid	98
11		White Solid	100

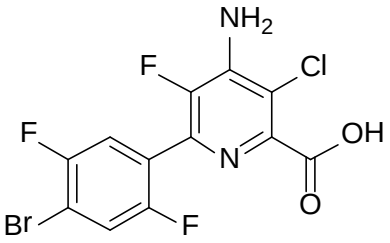
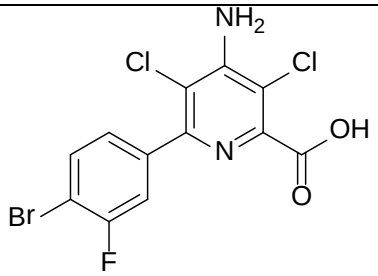
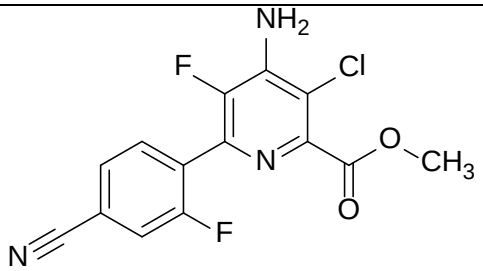
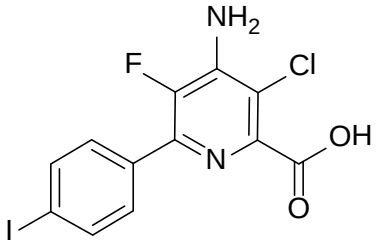
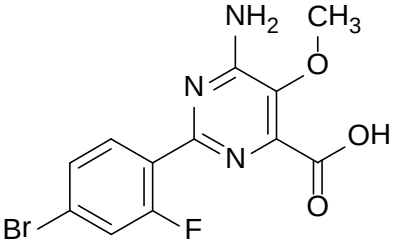
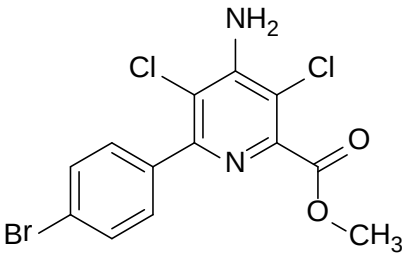
Compound No.	Structure	Appearance	Prepared as in Example:
12		Tan Solid	42
13		Yellow Solid	64
14		White Solid	98
15		Yellow Solid	42
16		Off-White Solid	42
17		Yellow Solid	100

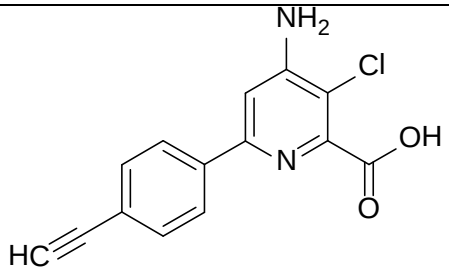
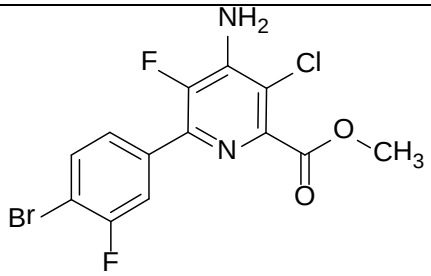
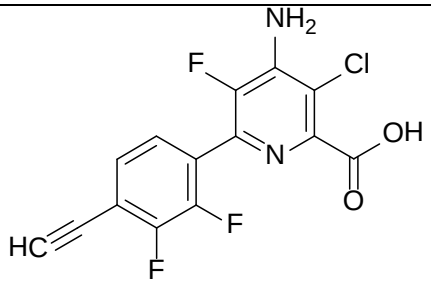
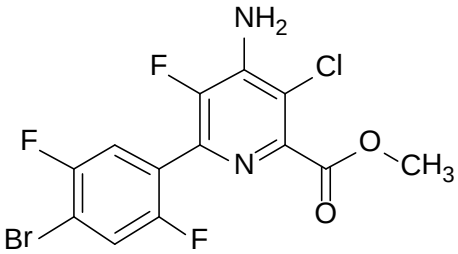
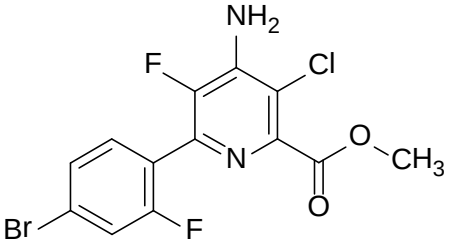
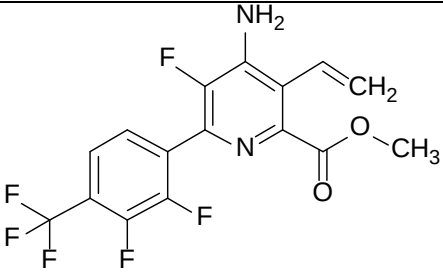
Compound No.	Structure	Appearance	Prepared as in Example:
18		Light Yellow Oil	66
19		White Solid	101
20		Orange-Tinged White Solid	97
21		Off-White Powder	97
22		White Powder	86
23		Yellow Solid	42

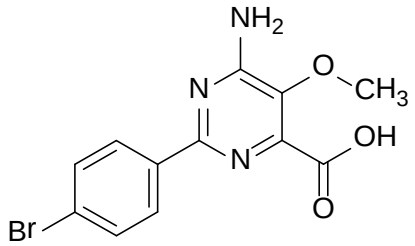
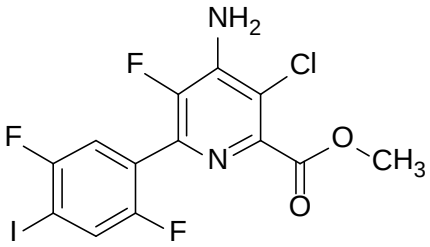
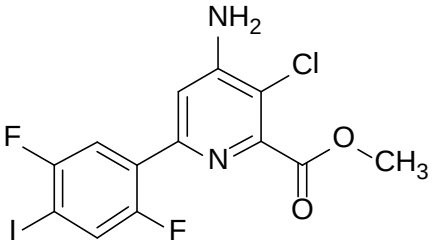
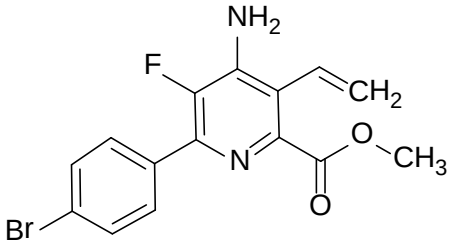
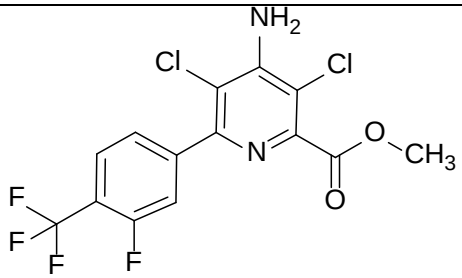
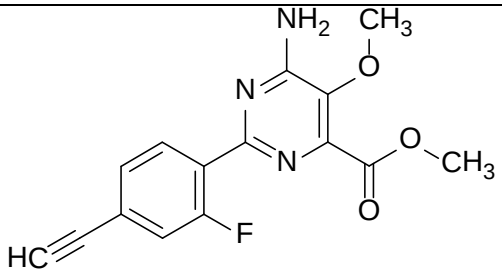
Compound No.	Structure	Appearance	Prepared as in Example:
24		White Solid	68
25		Yellow Solid	98
26		White Solid	46
27		Yellow Solid	64
28		White Solid	98
29		White Solid	46

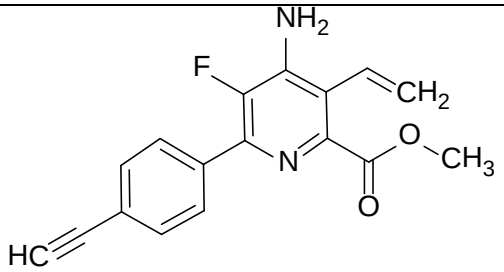
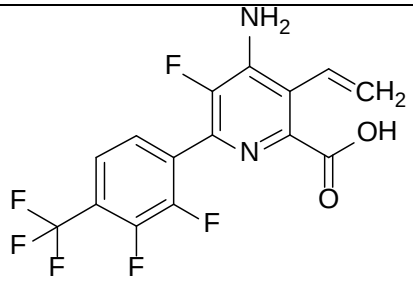
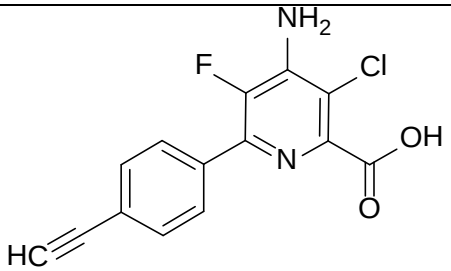
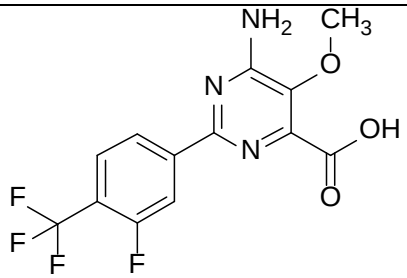
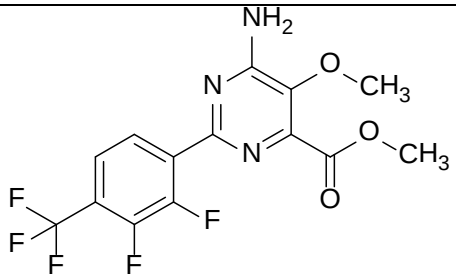
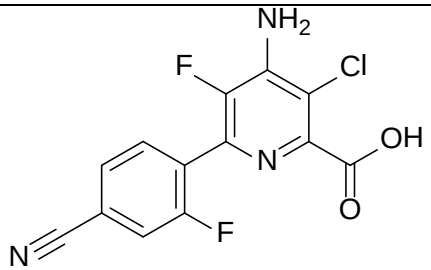
Compound No.	Structure	Appearance	Prepared as in Example:
30		Yellow Solid	42
31		Tan Solid	95
32		Light Yellow Solid	92
33		White Solid	98
34		Yellow Solid	86
35		Off-White Powder	72

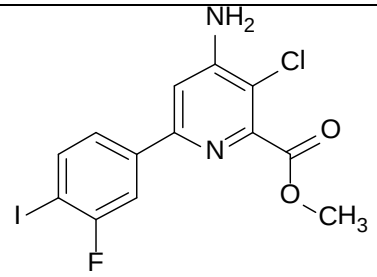
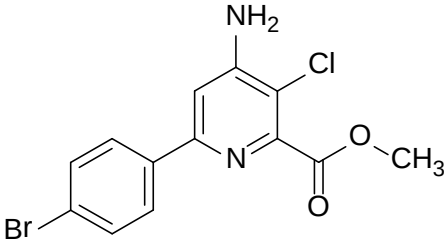
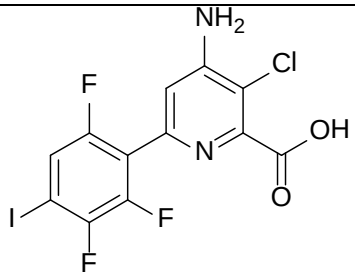
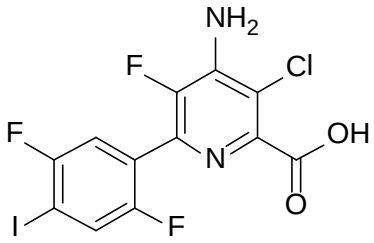
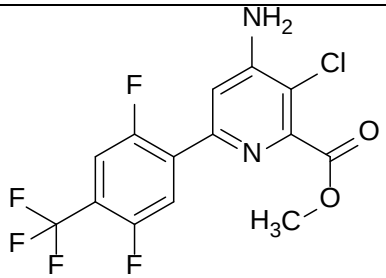
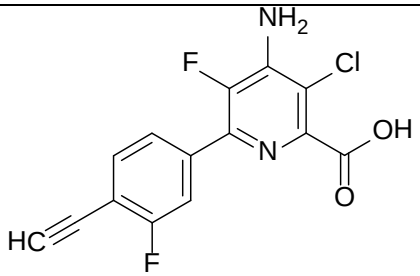
Compound No.	Structure	Appearance	Prepared as in Example:
36		Off-White Solid	101
37		White Solid	92
38		White Powder	97
39		White Solid	98
40		Brown Oil	83
41		Tan Powder	98

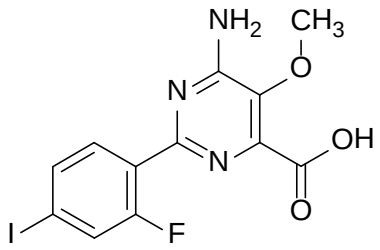
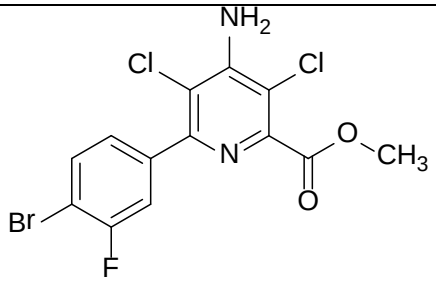
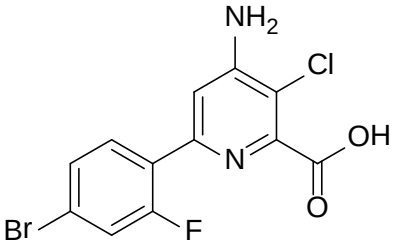
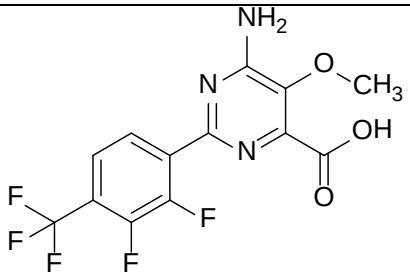
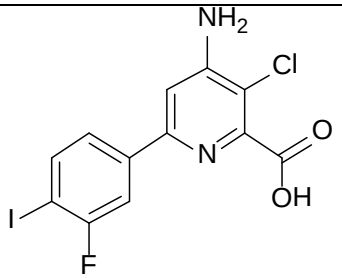
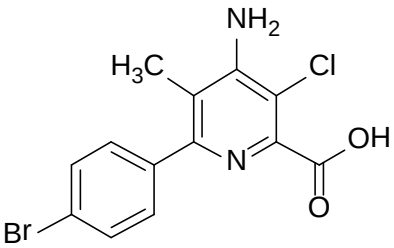
Compound No.	Structure	Appearance	Prepared as in Example:
42		Light Brown Solid	100
43		White Solid	92
44		Off-White Solid	39
45		Pale Pink Powder	97
46		Off-White Powder	98
47		White Solid	74

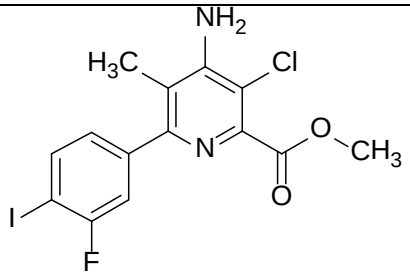
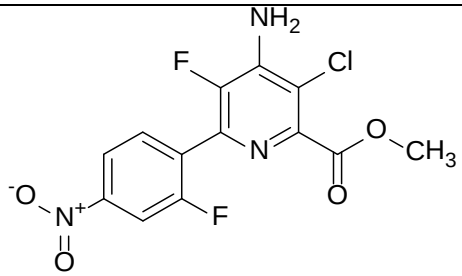
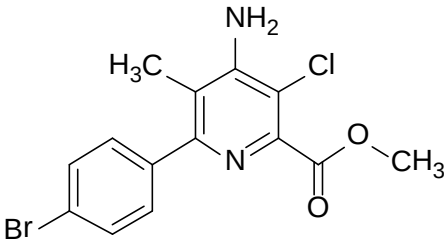
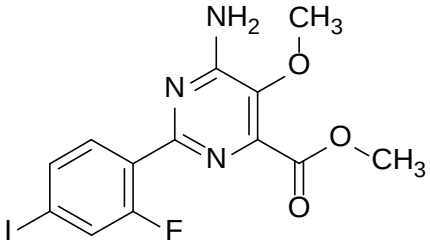
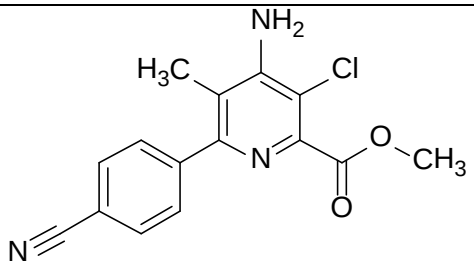
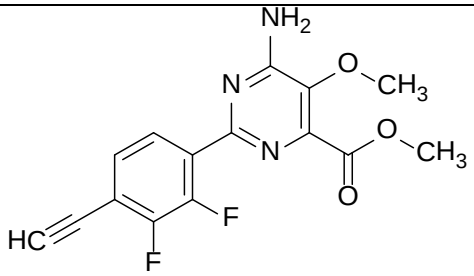
Compound No.	Structure	Appearance	Prepared as in Example:
48		White Solid	98
49		Off-White Powder	72
50		Off-White Powder	98
51		White Solid	73
52		White Powder	72
53		Brown Solid	54

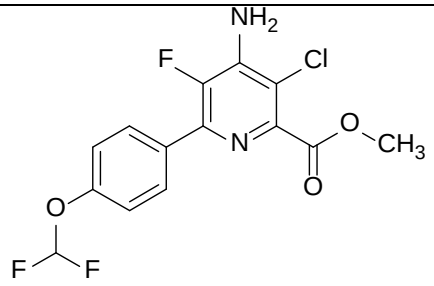
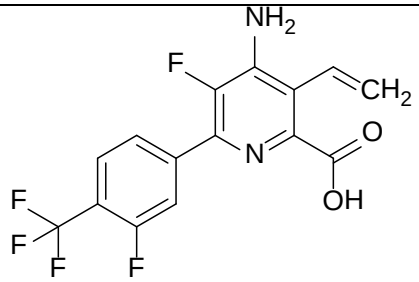
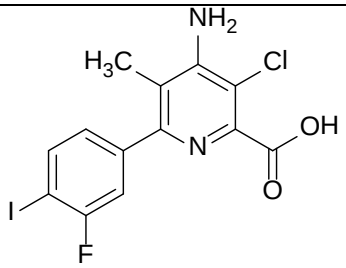
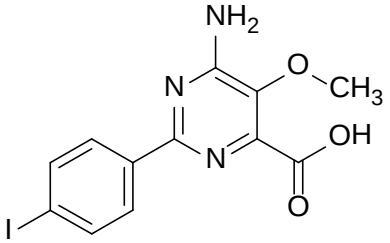
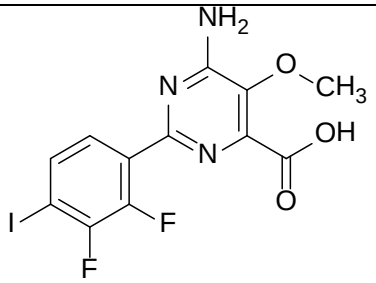
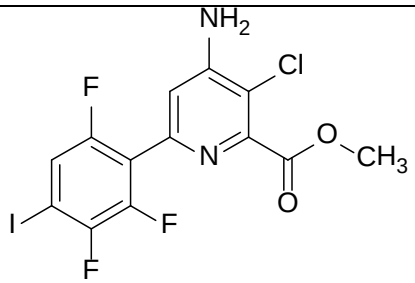
Compound No.	Structure	Appearance	Prepared as in Example:
54		White Solid	97
55		White Solid	67
56		Orange Solid	77
57		Light Brown Solid	79
58		Off-White Solid	46
59		White Powder	86

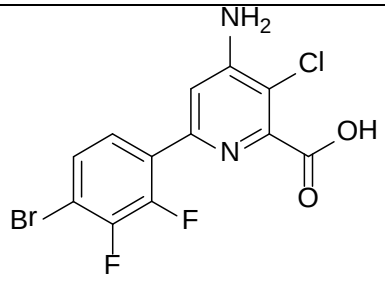
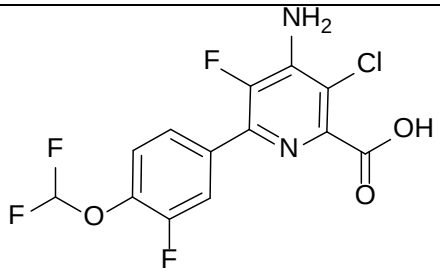
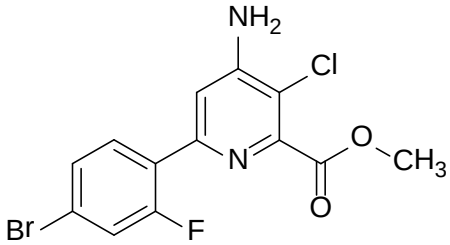
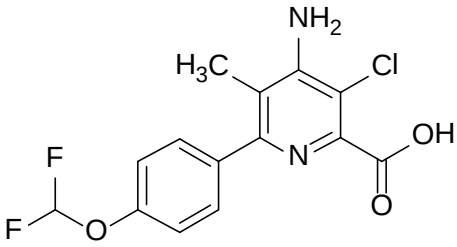
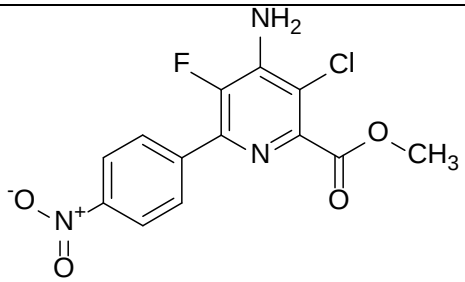
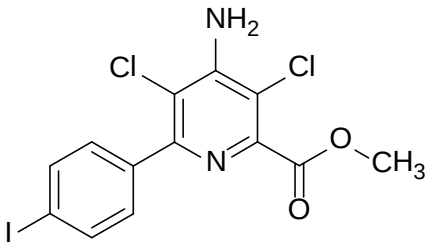
Compound No.	Structure	Appearance	Prepared as in Example:
60		White Solid	88
61		Brown Gummy Oil	100
62		Tan Powder	97
63		White Solid	96
64		White Solid	41
65		Brown Solid	93

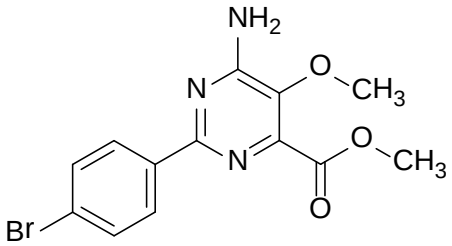
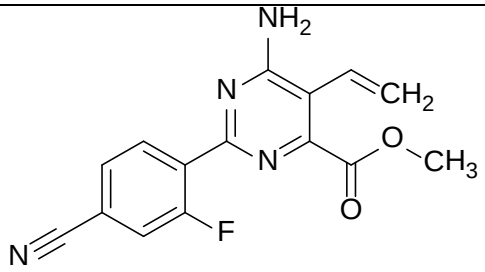
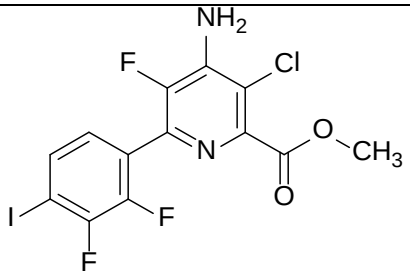
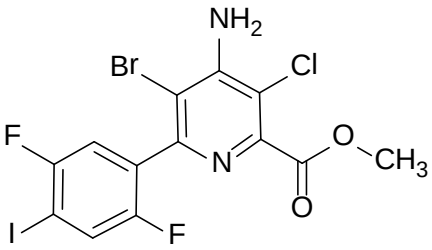
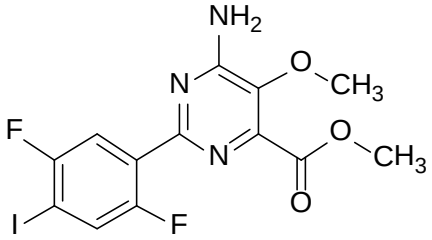
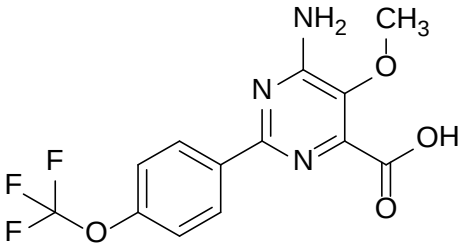
Compound No.	Structure	Appearance	Prepared as in Example:
66		Brown Solid	64
67		Dark Brown Viscous Oil	76
68		Off-White Solid	100
69		White Solid	100
70		White Solid	59
71		Off-White Powder	98

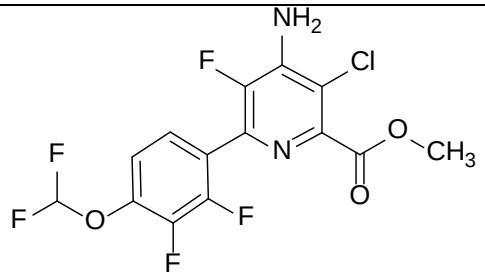
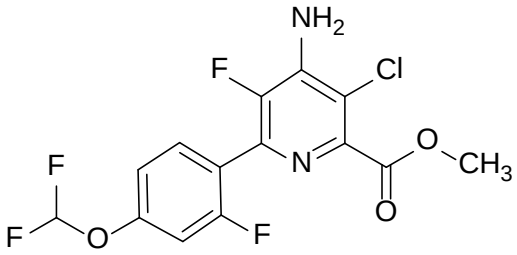
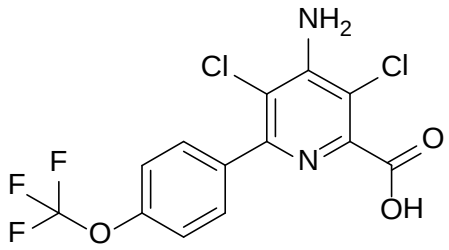
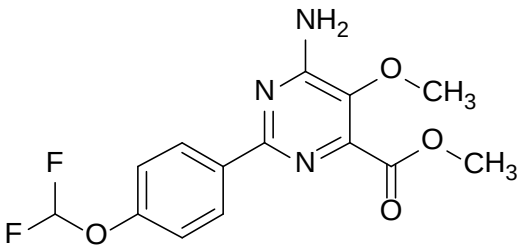
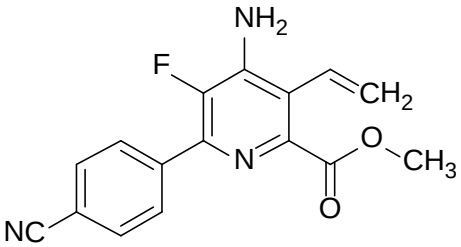
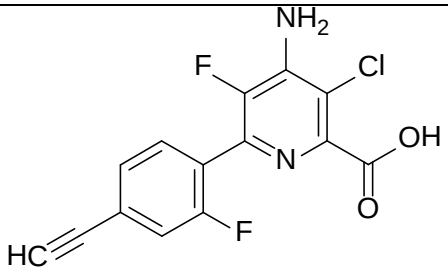
Compound No.	Structure	Appearance	Prepared as in Example:
72		Yellow Powder	98
73		White Solid	69
74		White Solid	98
76		White Solid	95
77		White Solid	92
78		White Solid	94

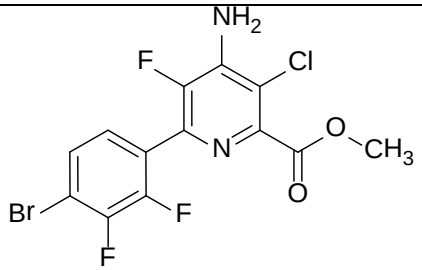
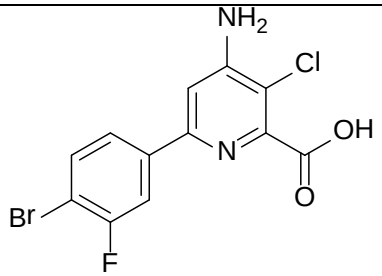
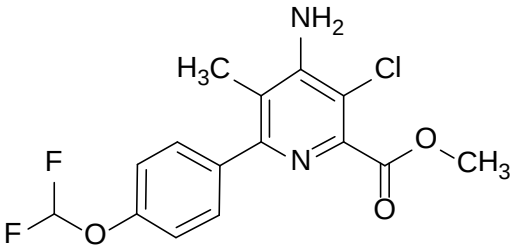
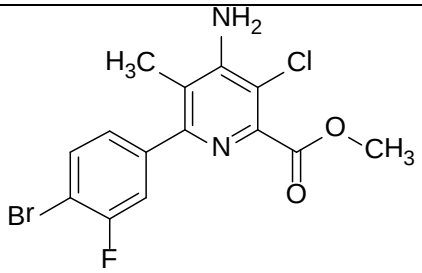
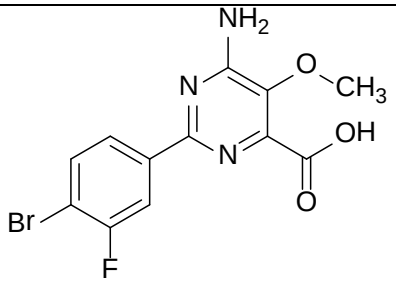
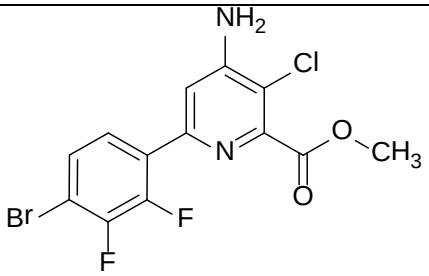
Compound No.	Structure	Appearance	Prepared as in Example:
79		Off-White Solid	65
80		Yellow Solid	41
81		Pale Orange Powder	70
82		Yellow Powder	66
83		Orange Solid	40
84		White Powder	86

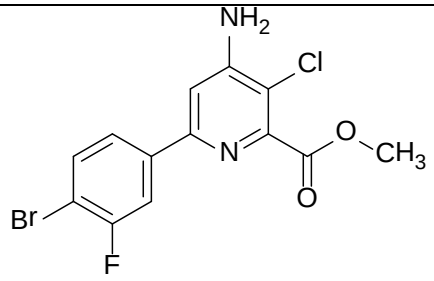
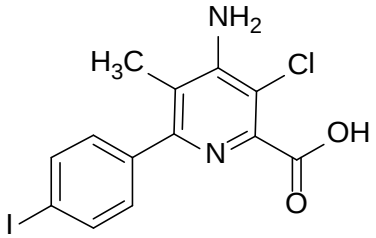
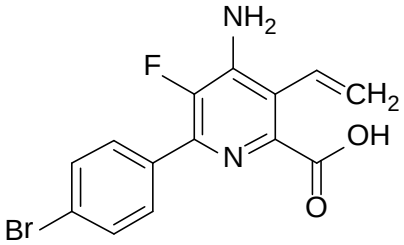
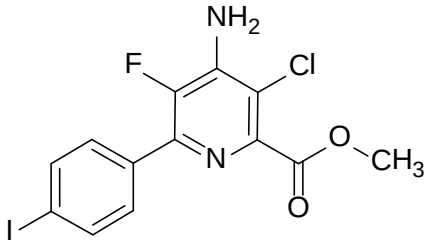
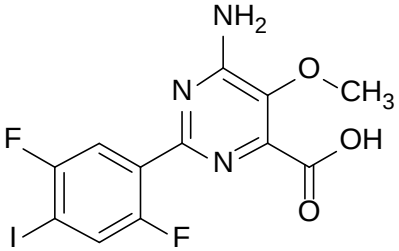
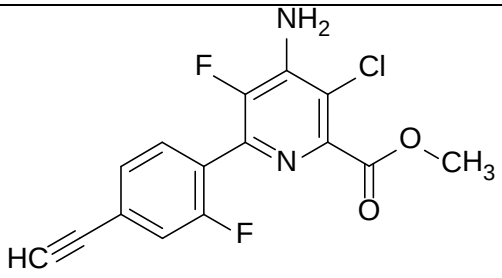
Compound No.	Structure	Appearance	Prepared as in Example:
85		White Solid	42
86		White Solid	98
87		White Powder	94
88		White Solid	97
89		White Solid	98
90		White Solid	77

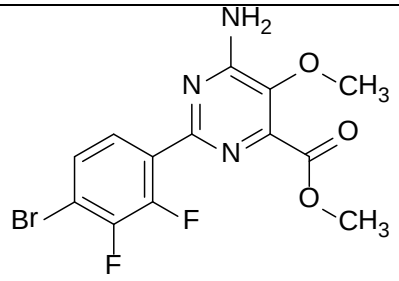
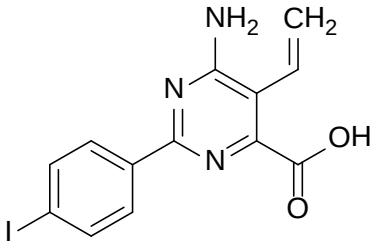
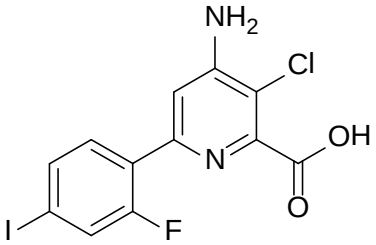
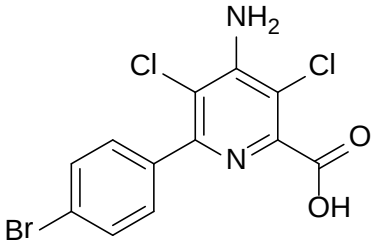
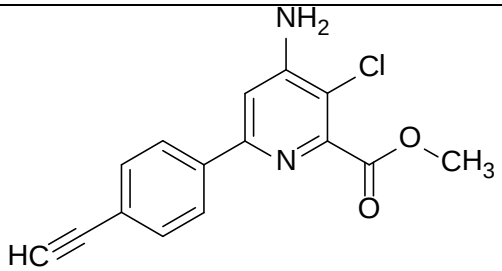
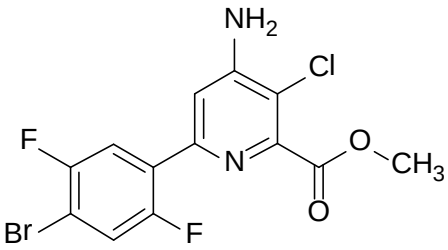
Compound No.	Structure	Appearance	Prepared as in Example:
91		White Solid	97
92		Tan Solid	101
93		White Solid	74
94		Yellow Solid	94
95		Yellow Solid	41
96		Gray Solid	68

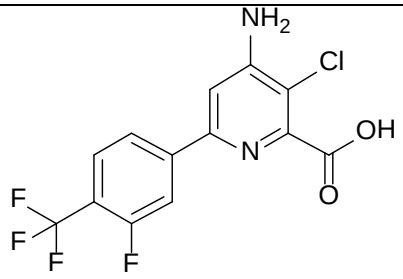
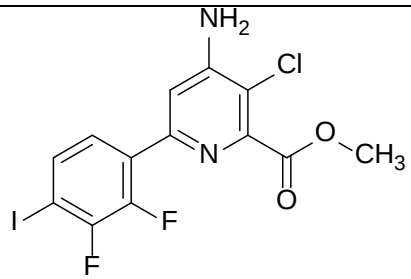
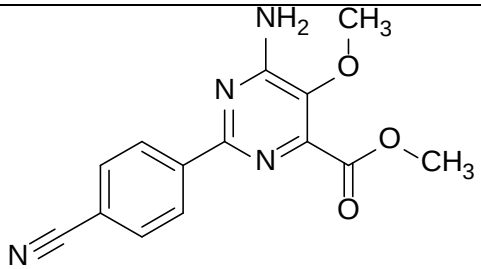
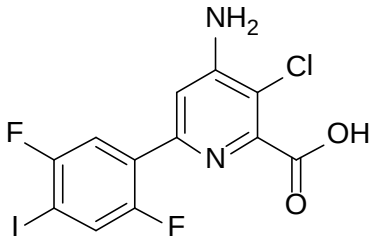
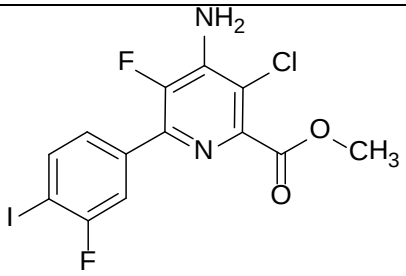
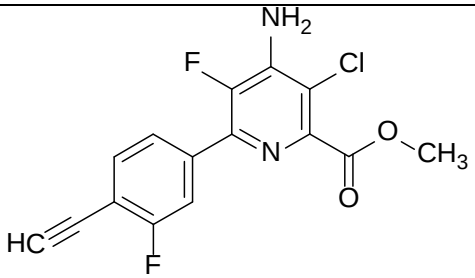
Compound No.	Structure	Appearance	Prepared as in Example:
97		Off-White Solid	72
98		Yellow Solid	39
99		White Powder	66
100		Light Brown solid	67
101		White Solid	67
102		Yellow Solid	98

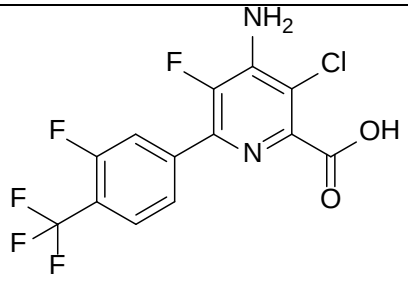
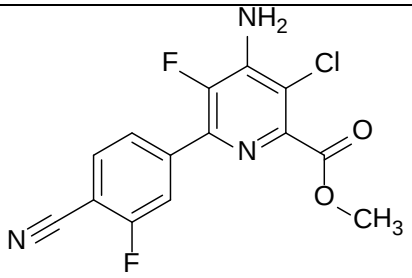
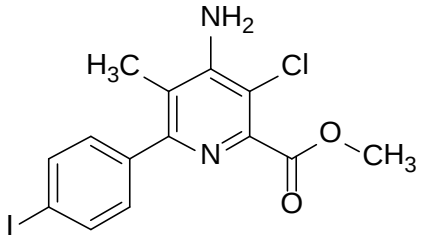
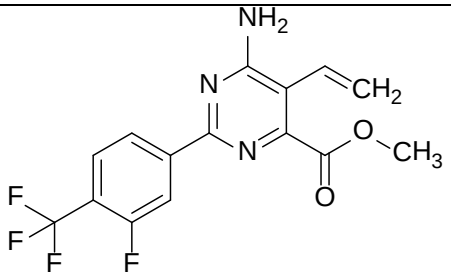
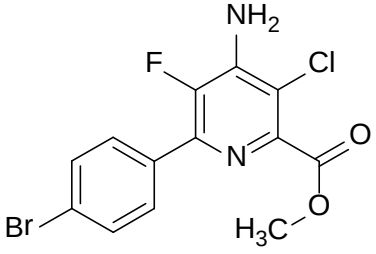
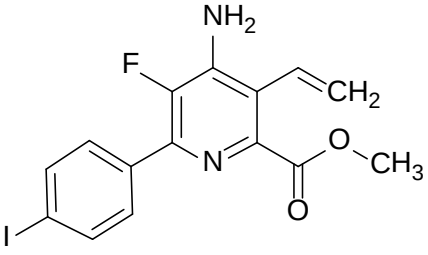
Compound No.	Structure	Appearance	Prepared as in Example:
103		Tan Solid	56
104		Yellow Solid	56
105		White Solid	98
106		White Solid	56
107		Tan Solid	57
108		Pale Orange Powder	98

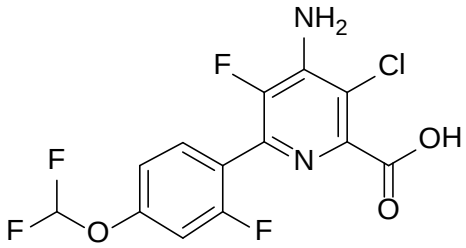
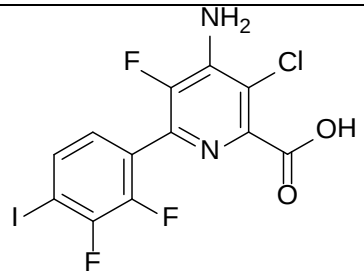
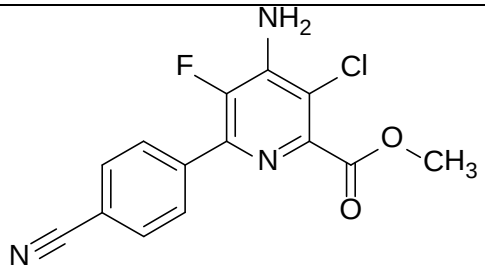
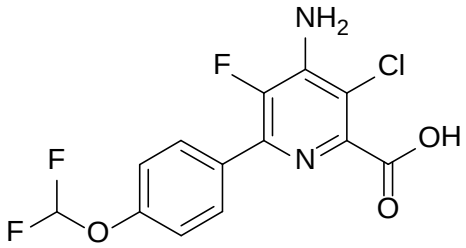
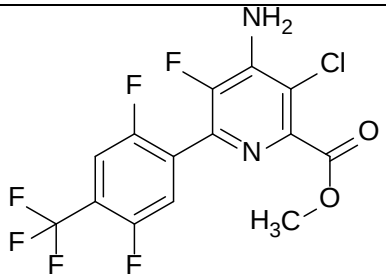
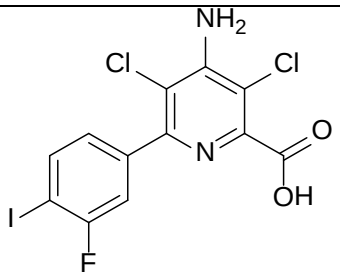
Compound No.	Structure	Appearance	Prepared as in Example:
109		Light Yellow Solid	71
110		Off-White Solid	92
111		Waxy Yellow Solid	40
112		Off-White Solid	70
113		White Solid	92
114		White Solid	44

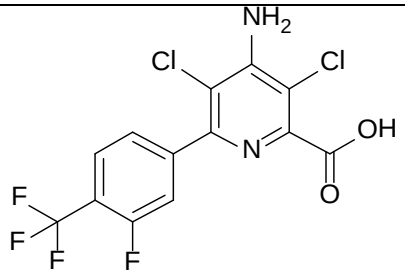
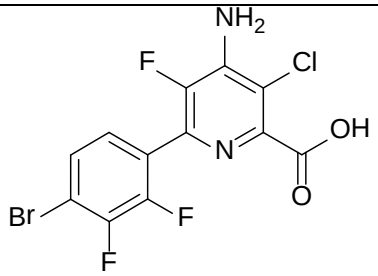
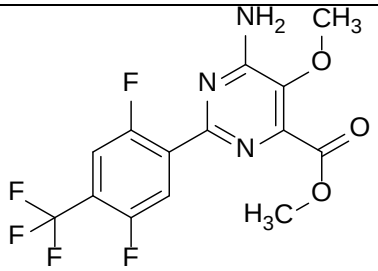
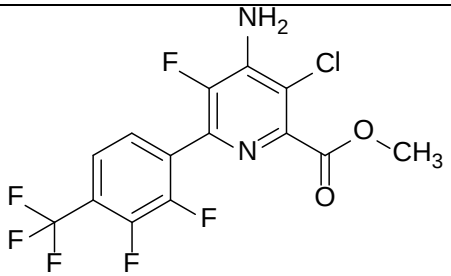
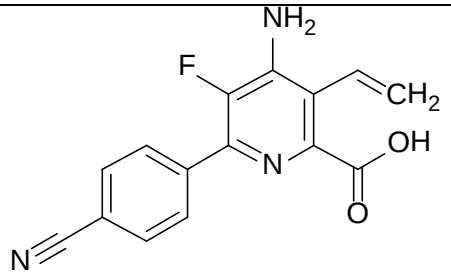
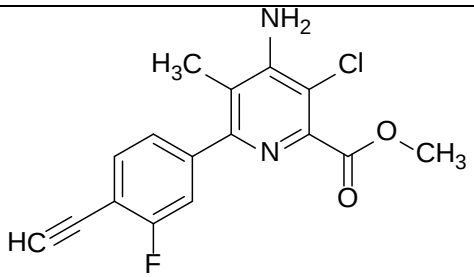
Compound No.	Structure	Appearance	Prepared as in Example:
115		White Solid	75
116		Orange Powder	94
117		Light Brown Solid	100
118		Purple Powder	66
119		Yellow Solid	100
121		White Powder	86

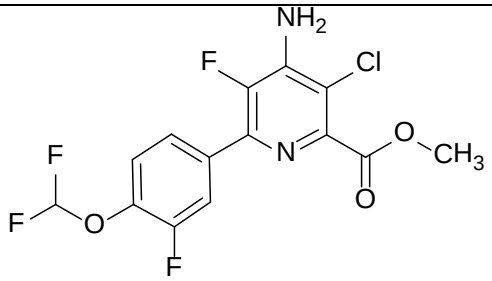
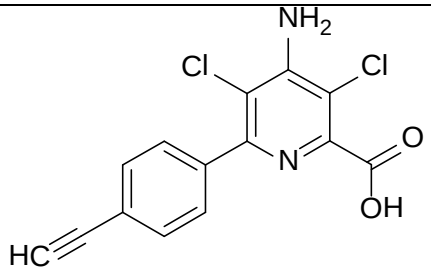
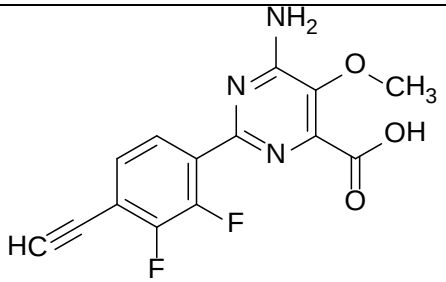
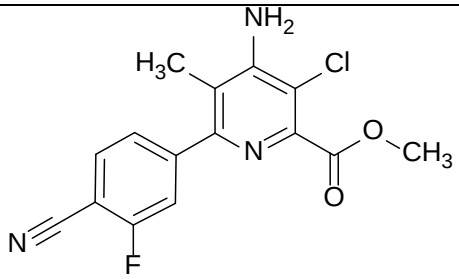
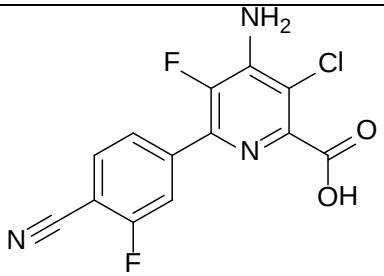
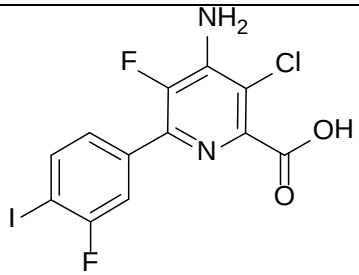
Compound No.	Structure	Appearance	Prepared as in Example:
122		White Solid	74
123		Off-White Solid	93
124		Yellow Solid	98
125		White Solid	98
126		Yellow Solid	86
127		White Solid	77

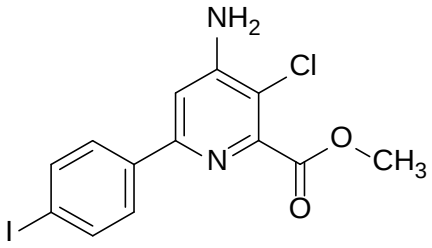
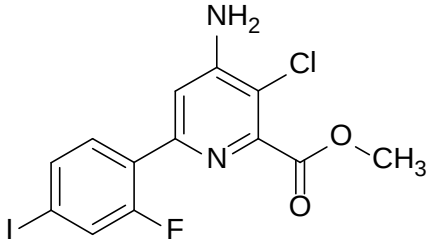
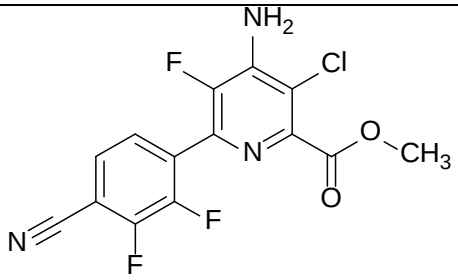
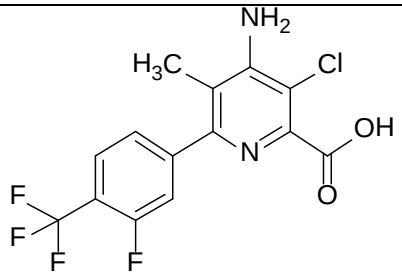
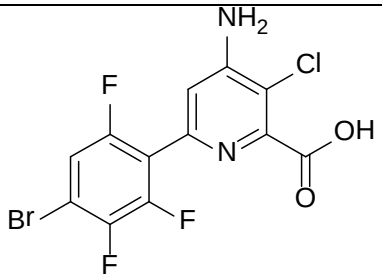
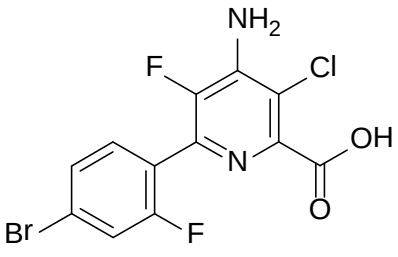
Compound No.	Structure	Appearance	Prepared as in Example:
128		White Solid	96
129		White Powder	76
130		White Solid	42
131		Light Brown Solid	100
132		Tan Powder	66
133		White Powder	86

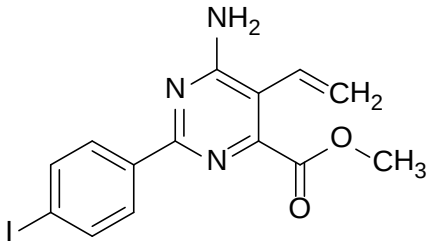
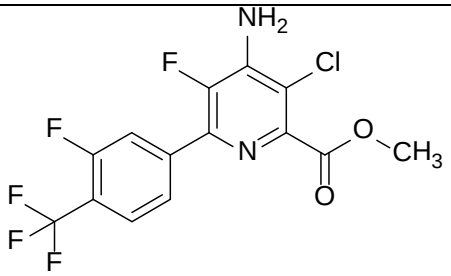
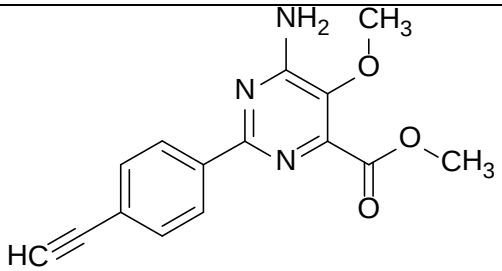
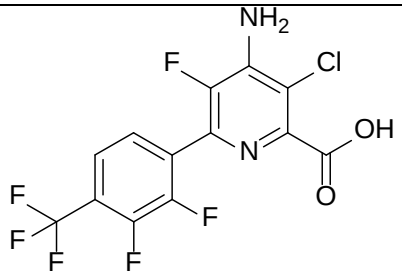
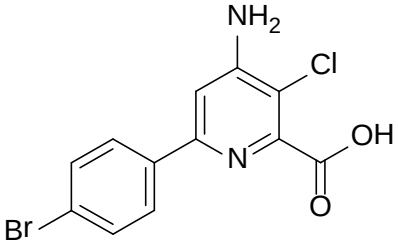
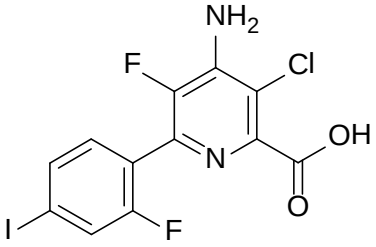
Compound No.	Structure	Appearance	Prepared as in Example:
134		White Solid	95
135		White Solid	42
136		Red-Orange Solid	65
137		Light Tan Solid	39
138		Tan Powder	72
139		White Solid	81

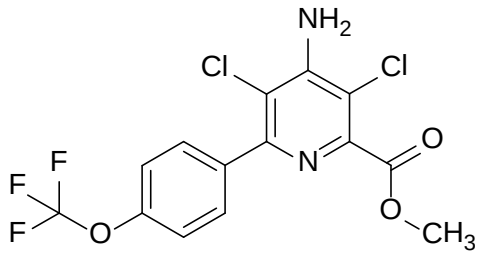
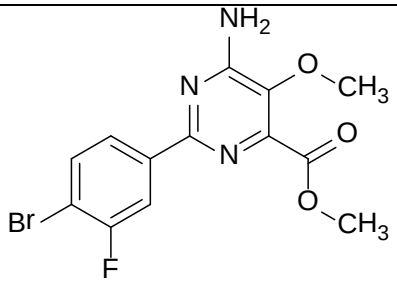
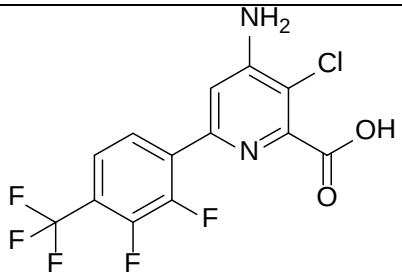
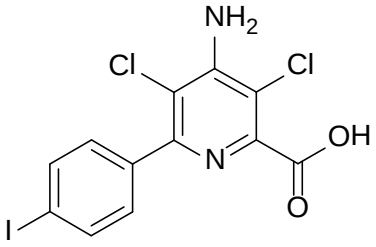
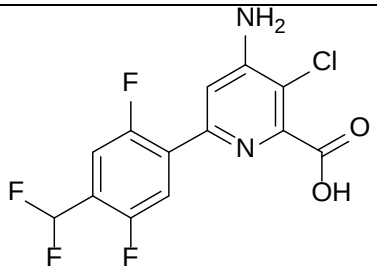
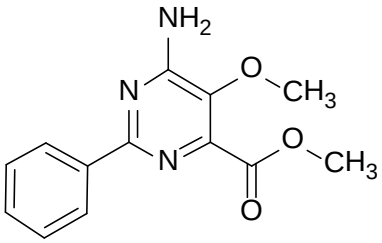
Compound No.	Structure	Appearance	Prepared as in Example:
140		Off-White Solid	101
141		White Powder	98
142		Solid	42
143		White Solid	98
144		White Solid	60
145		White Solid	92

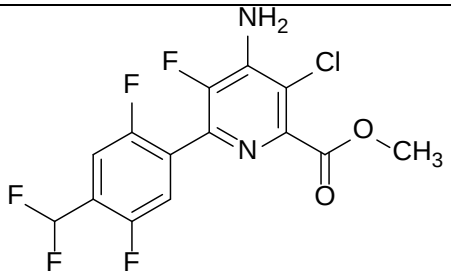
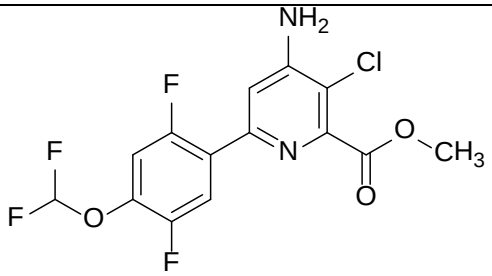
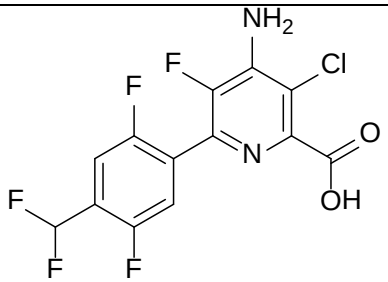
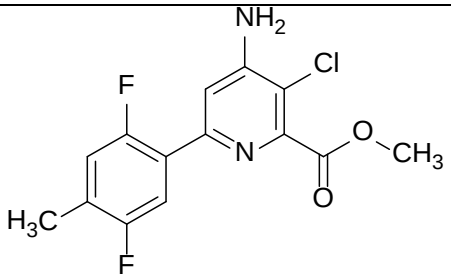
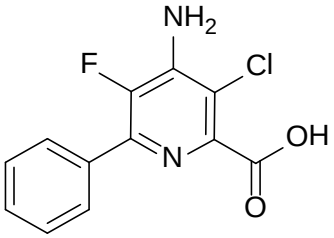
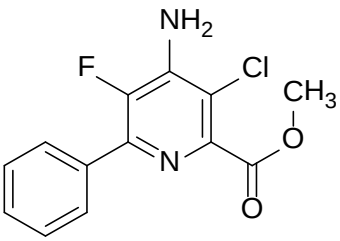
Compound No.	Structure	Appearance	Prepared as in Example:
146		White Solid	96
147		Tan Solid	98
148		White Solid	60
149		White Solid	41
150		Tan Solid	101
151		Yellow Solid	87

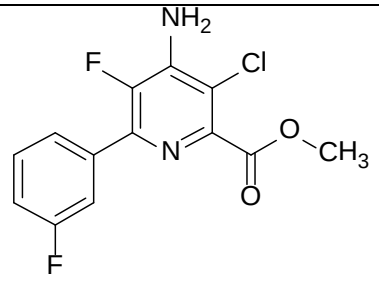
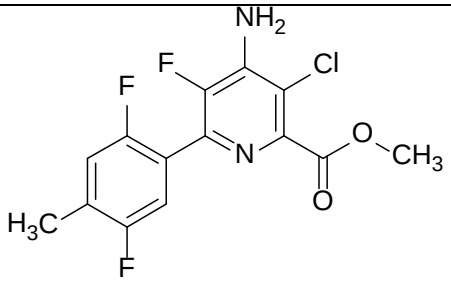
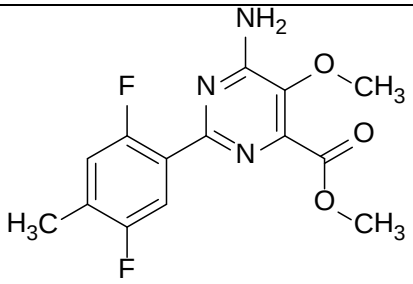
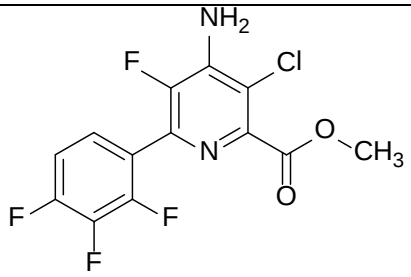
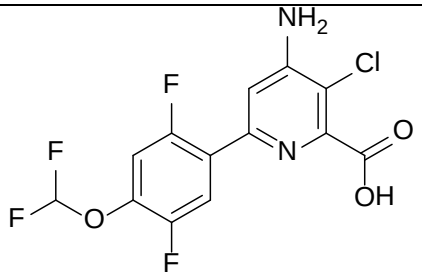
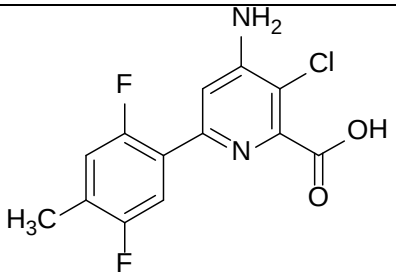
Compound No.	Structure	Appearance	Prepared as in Example:
152		White Solid	56
153		Yellow Solid	98
154		Tan Powder	98
155		White Flaky Solid	40
156		White Solid	98
157		Tan Powder	97

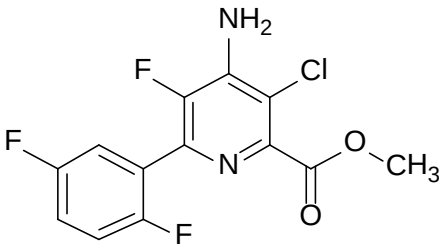
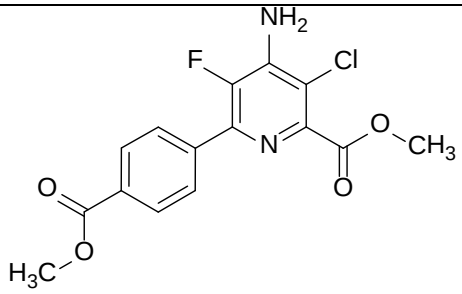
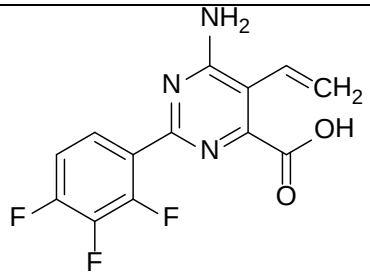
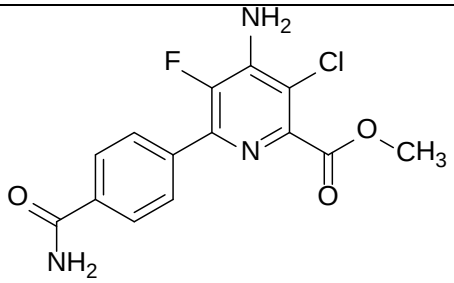
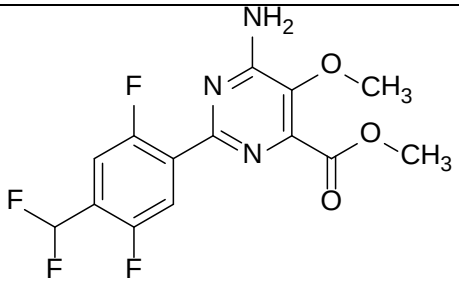
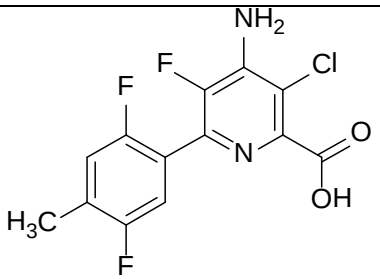
Compound No.	Structure	Appearance	Prepared as in Example:
158		Dark Brown Semi-Solid	76
159		White Solid	68
160		White Solid	41
161		White Powder	94
162		Brown Solid	99
163		Off-White Powder	98

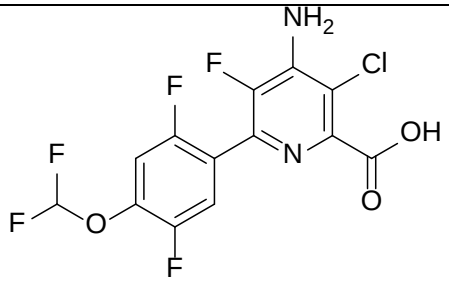
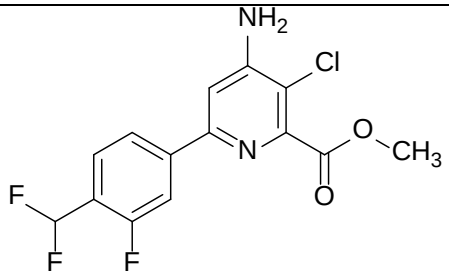
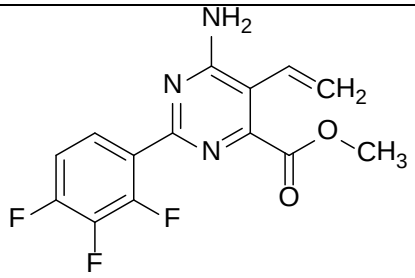
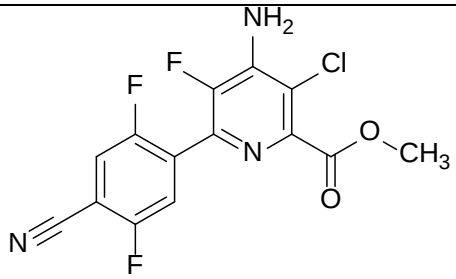
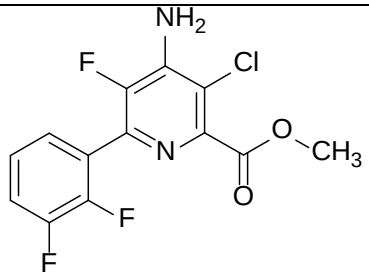
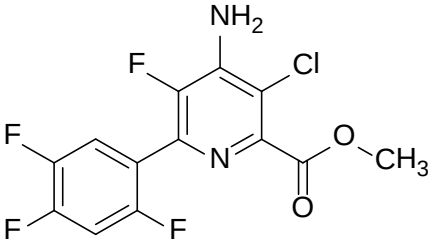
Compound No.	Structure	Appearance	Prepared as in Example:
164		Light Yellow Solid	80
165		White Solid	41
166		Yellow Solid	86
167		White Solid	95
168		Brown Solid	97
169		Off-White Powder	98

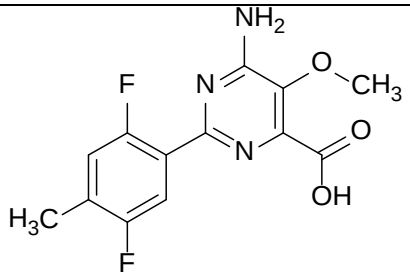
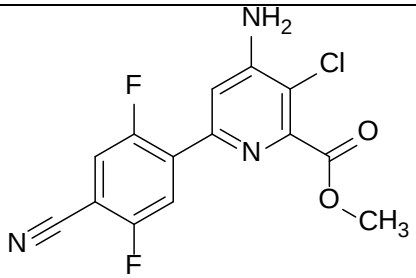
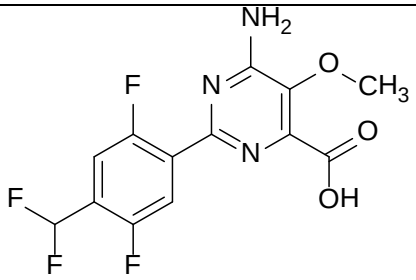
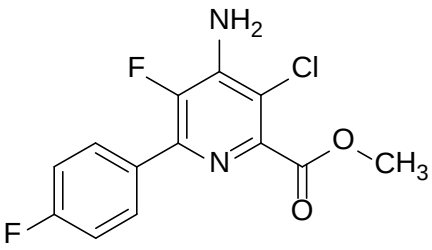
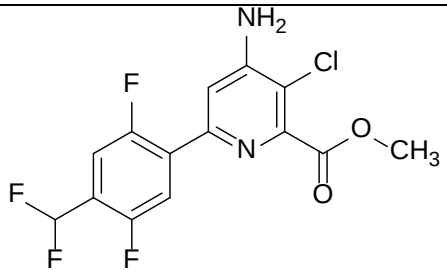
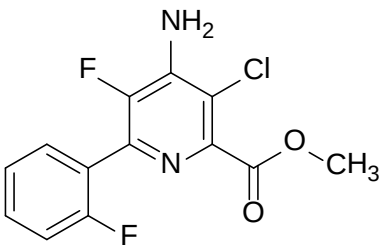
Compound No.	Structure	Appearance	Prepared as in Example:
170		White Solid	42
171		White Solid	69
172		White Solid	96
173		Yellow Solid	98
174		Off White Solid	62
175		Tan Solid	57

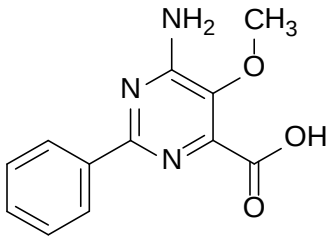
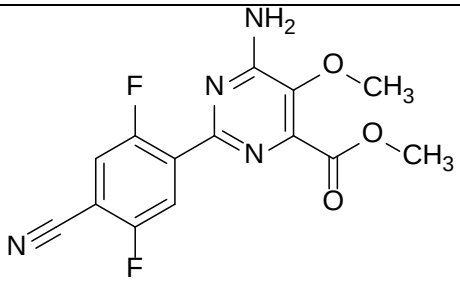
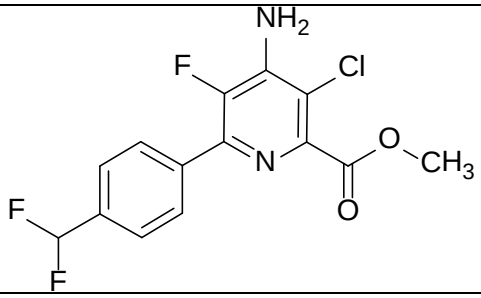
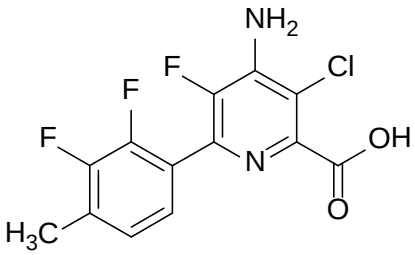
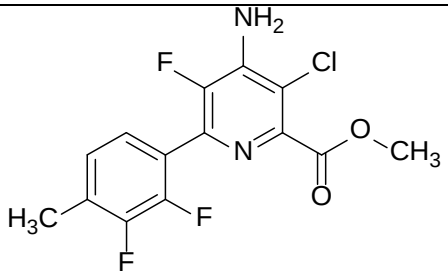
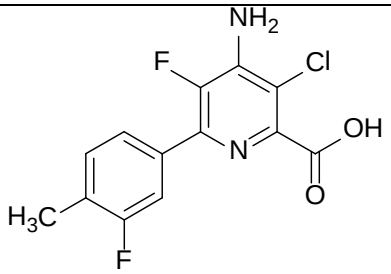
Compound No.	Structure	Appearance	Prepared as in Example:
176		White Solid	63
177		White Solid	63
178		Off-White Solid	62
179		White Solid	63
180		White Solid	101
181		Off-White Solid	57

Compound No.	Structure	Appearance	Prepared as in Example:
183		Pale Yellow Oil	46
184		White Solid	63
185		White Solid	63
186		White Solid	41
187		White Solid	98
188		White Solid	62

Compound No.	Structure	Appearance	Prepared as in Example:
189		White Solid	46
190		White Solid	43
191		Yellow Solid	92
192		White Solid	43
193		White Solid	63
194		White Solid	62

Compound No.	Structure	Appearance	Prepared as in Example:
195		White Solid	98
196		White Powder	47
197		Yellow Solid	39
198		White Solid	63
199		Tan Solid	57
200		White Powder	40

Compound No.	Structure	Appearance	Prepared as in Example:
201		White Solid	62
202		White Solid	63
203		White Solid	62
204		White Solid	41
205		White Solid	62
206		Tan Solid	57

Compound No.	Structure	Appearance	Prepared as in Example:
207		Tan Solid	101
208		White Solid	63
209		White Powder	47
210			95
211		White Solid	41
212			95

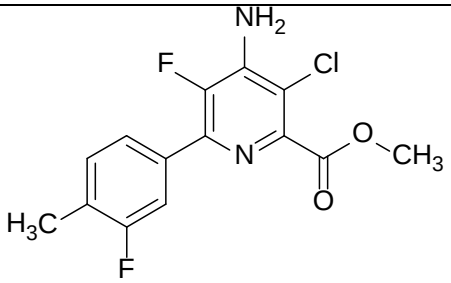
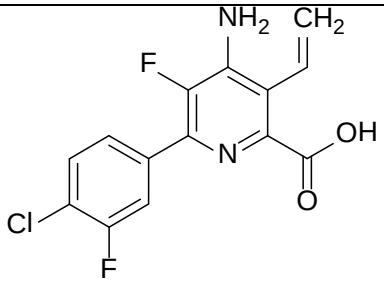
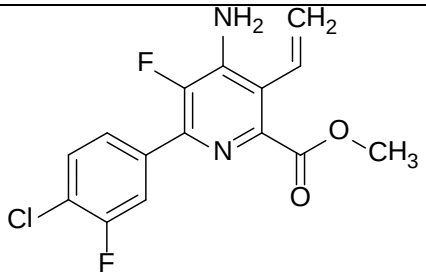
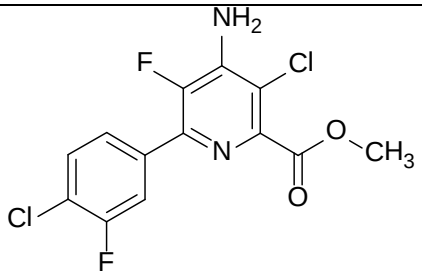
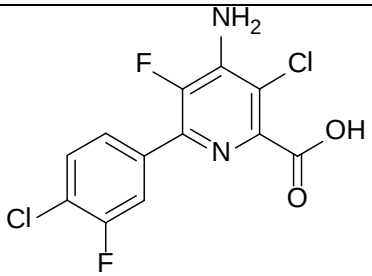
Compound No.	Structure	Appearance	Prepared as in Example:
213		White Solid	41
214		White Solid	98
215		White Solid	90
216		White Solid	42
217		Off-White Solid	97

Table 2. Analytical Data for Compounds in Table 1

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
1	133.4–134.8		ESIMS *m/z* 322 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (m, 4H), 5.42 (s,2H), 4.02 (s,3H)	
2	186–187		ESIMS *m/z* 373 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (dd, *J* = 9.0, 6.5 Hz, 1H), 7.37 (dd, *J* = 9.6, 5.6 Hz, 1H), 5.43 (s, 2H), 4.01 (s, 3H), 3.95 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -113.66, -113.70, -117.53, -117.58
3	172–174		ESIMS *m/z* 364 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.89–7.84 (m, 2H), 7.26 (d, *J* = 1.2 Hz, 1H), 6.85 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -108.94, -108.99, -114.18, -114.22
4			ESIMS *m/z* 375 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.85 (m, 2H), 7.69 (m, 2H), 7.24 (s, 1H), 6.73 (br s, 2H)	
5	164–168			^{1}H NMR (400 MHz, DMSO-d_6) δ 13.65 (s, 1H), 8.12 – 7.89 (m, 2H), 7.80 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.32 (d, *J* = 4.8 Hz, 2H), 6.66 (dd, *J* = 17.7, 11.4 Hz, 1H), 5.75 – 5.41 (m, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -111.46
6	175.0–176.5		ESIMS *m/z* 303 ($[M-H]^-$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.38 (s, 1H), 7.62 (t, *J* = 7.7 Hz, 1H), 7.40 (dd, *J* = 10.4, 1.5 Hz, 1H), 7.31 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.51 (s, 2H), 4.59 (s, 1H), 2.09 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -111.32
7	127–130	IR (thin film) 3478 (s), 3374 (s), 3239 (s), 2955 (w), 1731 (m), 1624 (m) cm^{-1}	ESIMS *m/z* 305 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.91 (m, 2H), 7.58 (m, 2H), 4.90 (br s, 2H), 3.99 (s, 3H), 3.16 (s, 1H)	
8	126–128 (dec)		ESIMS *m/z* 360 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.64 (s, 1H), 7.74 – 7.56 (m, 2H), 7.45 (s, 2H), 3.76 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -131.53, -131.58, -136.08, -136.14

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
9	136–138	IR (thin film) 3489 (s), 3381 (s), 3233 (m), 3199 (m), 3083 (w), 3000 (w), 2954 (m), 2853 (w), 1737 (s), 1622 (s) cm^{-1}	ESIMS *m/z* 425 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (dd, *J* = 8, 1.5 Hz, 1H), 7.55 (dd, *J* = 10, 1.5 Hz, 1H), 7.33 (dd, *J* = 8.5, 8 Hz, 1H), 4.94 (br s, 2H), 3.96 (s, 3H)	
10	170.4–172.1		ESIMS *m/z* 315 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.97(d, 2H), 7.30(m, 5H), 6.72(s, 2H)	
11	132–133		ESIMS *m/z* 359 ($[M-H]^-$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.86 – 7.73 (m, 2H), 7.43 (s, 2H), 3.75 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -114.36, -114.40, -116.52, -116.57
12	77–78		ESIMS *m/z* 359 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (dd, *J* = 9.0, 6.9 Hz, 2H), 7.69 (t, *J* = 7.8 Hz, 1H), 6.90 (dd, *J* = 18.1, 11.6 Hz, 1H), 5.74 (dd, *J* = 11.6, 1.3 Hz, 1H), 5.60 (dd, *J* = 18.1, 1.3 Hz, 1H), 4.78 (s, 2H), 3.94 (s, 3H)	
13			ESIMS *m/z* 442 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.95 (dd, *J* = 8.1, 6.7 Hz, 1H), 7.47 (dd, *J* = 9.1, 1.9 Hz, 1H), 7.22 (dd, *J* = 8.1, 1.9 Hz, 1H), 7.14 (s, 2H), 3.87 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.18
14	178.0–179.7		ESIMS *m/z* 308 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.95 (d, 2H), 7.80 (d, 2H), 7.09 (s, 2H)	
15	102.4–103.6		ESIMS *m/z* 363 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, 2H), 7.24 (d, 2H), 5.42 (s, 2H), 4.02 (s, 3H)	
16			ESIMS *m/z* 306 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.01 (m, 2H), 7.79 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.30 (d, *J* = 1.5 Hz, 1H), 6.96 (s, 2H), 3.89 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
17			ESIMS *m/z* 385 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.12 (s, 1H), 7.87 (d, *J* = 8.4 Hz, 2H), 7.66 (d, *J* = 7.6 Hz, 2H), 6.75 (dd, *J* = 17.8, 11.5 Hz, 1H), 6.41 (s, 2H), 5.55 (dd, *J* = 14.2, 1.1 Hz, 1H), 5.52 (dd, *J* = 7.8, 1.1 Hz, 1H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -145.75
18			ESIMS *m/z* 387 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (m, 2H), 7.77 (m, 2H), 5.36 (br s, 2H), 4.01 (s, 3H), 3.91 (s, 3H)	
19	113–115	IR (thin film) 1025.80, 1047.25, 1126.02, 1225.15, 1266.03, 1299.98, 1386.12, 1481.90, 1515.13, 1585.75, 1633.93, 1721.56, 2536.01, 3199.39, 3331.39, 3471.03 cm^{-1}	ESIMS *m/z* 369 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.70 (s, 1H), 7.47 (ddd, *J* = 9.2, 7.2, 2.0 Hz, 1H), 7.40 (d, *J* = 3.0 Hz, 1H), 7.37 (t, *J* = 72.3 Hz, 1H), 7.07 (s, 2H)	
20	149–152		ESIMS *m/z* 347 ($[M+H]^+$)	NMR (400 MHz, DMSO-d_6) δ 7.85 – 7.77 (m, 2H), 7.75 – 7.68 (m, 2H), 6.94 (s, 2H)	
21	117–120	IR (thin film) 3468 (s), 3334 (s), 3198 (s), 1717 (w), 1629 (m), 1573 (w) cm^{-1}	ESIMS *m/z* 365 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.88 (dd, *J* = 9, 8 Hz, 1H), 7.82 (dd, *J* = 9, 1.5 Hz, 1H), 7.70 (d, *J* = 9 Hz, 1H), 6.73 (br s, 2H)	
22	190–192	IR (thin film) 3512 (m), 3411 (s), 3248 (s), 2954 (w), 1730 (m), 1616 (m) cm^{-1}	ESIMS *m/z* 341 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.35 (m, 2H), 4.98 (br s, 2H), 3.98 (s, 3H), 3.43 (s, 1H)	
23	166.4–169.0		ESIMS *m/z* 329 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 2H), 7.31 (m, 3H), 6.85 (s, 2H), 3.92 (s, 3H)	
24	169–170		ESIMS *m/z* 422 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 – 7.43 (m, 2H), 5.53 (s, 2H), 4.00 (s, 3H), 3.95 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
25	185.2–186.1		ESIMS *m/z* 271 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.6 (s, 1H), 8.40 (d, 2H), 7.96 (d, 2H), 7.46 (s, 2H), 3.79 (s, 3H)	
26		IR (thin film) 3401, 1739, 1638 cm^{-1}	ESIMS *m/z* 346 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.19 (t, *J* = 16.1 Hz, 1H), 8.11 (d, *J* = 12.3 Hz, 1H), 7.92 (t, *J* = 7.9 Hz, 1H), 7.74 – 7.46 (m, 2H), 3.92 (s, 3H), 3.76 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.9, -115.7, -116.0
27			ESIMS *m/z* 403 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.00 – 7.87 (m, 2H), 7.82 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.49 (s, 2H), 3.90 (s, 3H), 3.74 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.51
28	170.7–171.3		ESIMS *m/z* 270 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.6 (s, 1H), 8.25 (d, 2H), 7.59 (d, 2H), 7.36 (s, 2H), 4.35 (s, 1H), 3.77 (s, 3H)	
29	145–146		ESIMS *m/z* 349 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (dd, *J* = 15.8, 9.9 Hz, 2H), 7.66 (t, *J* = 7.7 Hz, 1H), 7.12 (s, 1H), 4.90 (s, 2H), 4.02 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.3, -113.9
30	122.0–123.6		ESIMS *m/z* 343 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, 2H), 7.27 (d, 2H), 5.84 (s, 2H), 4.03 (s, 3H), 3.95 (s, 3H)	
31	180–181			^{1}H NMR (400 MHz, DMSO-d_6) δ 13.71 (s, 1H), 8.40 – 8.33 (m, 2H), 8.13 (d, *J* = 8.3, 2H), 7.07 (s, 2H)	
32	168–171		ESIMS *m/z* 328 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.68 (s, 1H), 8.28 (d, *J* = 8.2 Hz, 1H), 8.20 (d, *J* = 12.2 Hz, 1H), 7.94 (t, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 27.9 Hz, 2H), 6.68 (dd, *J* = 17.7, 11.5 Hz, 1H), 5.75 – 5.46 (m, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.97 (d, *J* = 12.2 Hz), -115.77 (q, *J* = 12.2 Hz)

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
33	146.3–147.6		ESIMS *m/z* 349 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.7 (s, 1H), 7.68 (d, 2H), 7.32 (d, 2H), 6.96 (s, 2H)	
34	164.2–166.8		ESIMS *m/z* 321 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 6.30 (m, 5H), 5.35 (s, 2H), 3.98 (s, 3H)	
35	163–165	IR (thin film) 3416 (s), 3355 (w), 3300 (m), 3162(s), 2957 (w), 1730 (s), 1637 (s) cm^{-1}	ESIMS *m/z* 358 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (t, *J* = 9 Hz, 1H), 7.31 – 7.37 (m, 2H), 5.41 (br s, 2H), 3.99 (s, 3H), 3.93 (s, 3H)	
36			ESIMS *m/z* 282 ($[M+H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 – 7.84 (m, 2H), 7.64 – 7.54 (m, 2H), 6.75 (dd, *J* = 17.8, 11.5 Hz, 1H), 6.36 (s, 2H), 5.57 (dd, *J* = 17.8, 1.4 Hz, 1H), 5.50 (dd, *J* = 11.5, 1.4 Hz, 1H), 4.31 (s, 1H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -141.43
37			ESIMS *m/z* 390 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.57 (s, 1H), 8.02 – 7.92 (m, 2H), 7.85 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.41 (s, 2H), 3.75 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.59.
38	288–293 (dec)	IR (thin film) 3473 (s), 1588 (m) cm^{-1}	ESIMS *m/z* 411 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.74 (m, 1H), 7.55 (m, 1H), 7.02 (d, *J* = 1.5 Hz, 1H), 6.30 (br s, 2H)	
39			ESIMS *m/z* 292 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 – 7.92 (m, 4H), 7.03 (s, 2H)	
40			ESIMS *m/z* 301 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (d, *J* = 8.4 Hz, 2H), 7.42 (d, *J* = 8.5 Hz, 2H), 4.83 (s, 2H), 3.96 (s, 3H), 3.12 (s, 1H), 2.16 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 165.71, 155.51, 149.15, 145.10, 140.11, 132.02, 129.34, 122.02, 116.77, 113.59, 83.42, 77.90, 52.87, 14.65

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
41	155–165 (dec)	IR (thin film) 3297 (s), 3218 (s), 2938 (w), 1618 (s), 1576 (m) cm^{-1}	ESIMS *m/z* 288 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.80 (t, *J* = 8 Hz, 1H), 7.35 – 7.40 (m, 2H), 6.66 (br s, 2H), 4.41 (s, 1H), 3.76 (s, 3H)	
42	156–157		ESIMS *m/z* 382 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 7.92 (dd, *J* = 9.0, 5.7 Hz, 1H), 7.61 (dd, *J* = 8.4, 6.3 Hz, 1H), 7.06 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -113.46, -113.50, -117.37, -117.41, -117.45, -117.49, -138.28, -138.36
43			ESIMS *m/z* 381 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.72 (s, 1H), 7.82 (dd, *J* = 8.3, 7.3 Hz, 1H), 7.60 (dd, *J* = 9.8, 2.0 Hz, 1H), 7.40 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.06 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -108.25
44			ESIMS *m/z* 324 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.05 (dd, *J* = 10.0, 1.5 Hz, 1H), 7.85 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.73 – 7.81 (m, 1H), 7.18 (s, 2H), 3.87 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -112.13 (d, *J* = 28.4 Hz), -137.43 (d, *J* = 28.4 Hz)
45	148–150		ESIMS *m/z* 393 ($[M+H]^+$)	^{1}H NMR (300 MHz, DMSO-d_6) δ 7.87 (m, 2H), 7.62 (m, 2H), 6.91 (br s, 2H)	
46	133–135	IR (thin film) 3490 (s), 3350 (s), 1753 (w), 1634 (m), 1607 (m) cm^{-1}	ESIMS *m/z* 344 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.60 (br s, 1H), 7.81 (t, *J* = 9 Hz, 1H), 7.63 (dd, *J* = 11, 2 Hz, 1H), 7.52 (dd, *J* = 9, 2 Hz, 1H), 7.38 (br s, 2H), 3.76 (s, 3H)	
47	159.6–161.1		ESIMS *m/z* 377 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (m, 4H), 5.36 (s,2H), 3.99 (s, 3H)	
48	204.2–205.9		ESIMS *m/z* 273 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.5 (s, 1H), 7.94 (d, 2H), 7.60 (d, 2H), 7.30 (s, 1H), 6.69 (s, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
49	114–116	IR (thin film) 3492 (s), 3378 (s), 3235 (w), 2955 (w), 2927 (w), 1736 (s), 1621 (s) cm^{-1}	ESIMS *m/z* 379 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.76 (m, 1H), 7.60 – 7.68 (m, 2H), 4.94 (br s, 2H), 3.99 (s, 3H)	
50	174–176	IR (thin film) 3305 (s), 1720 (w), 1634 (m), 1586 (w) cm^{-1}	ESIMS *m/z* 327 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.53 (dd, *J* = 8, 7 Hz, 1H), 7.41 (m, 1H), 6.93 (br s, 2H), 4.81 (s, 1H)	
51	153–154		ESIMS *m/z* 394 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.38 (m, 2H), 4.98 (s, 2H), 3.99 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -112.74, -112.78, -116.99, -117.03, -117.09, -117.13, -137.28, -137.38
52	146–148	IR (neat film) 3519 (m), 3473 (m), 3420 (s), 3379 (s), 3196 (w), 3075 (w), 2955 (w), 2852 (w), 1736 (s), 1616 (s) cm^{-1}	ESIMS *m/z* 379 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 8, 7 Hz, 1H), 7.42 (dd, *J* = 8, 2 Hz, 1H), 7.36 (dd, *J* = 10, 2 Hz, 1H), 4.93 (br s, 2H), 3.96 (s, 3H)	
53	118–120		ESIMS *m/z* 377 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.45 (m ,2H), 6.91 (dd, *J* = 18.1, 11.6 Hz, 1H), 5.76 (dd, *J* = 11.6, 1.3 Hz, 1H), 5.61 (dd, *J* = 18.1, 1.3 Hz, 1H), 4.81 (s, 2H), 3.92 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.16, -61.20, -135.77, -135.83, -135.86, -135.92, -138.61, -138.65, -138.67, -138.68, -138.70, -138.72, -138.74, -138.77, -140.73, -140.82.
54			ESIMS *m/z* 326.07 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.15 (m, 2H), 7.67 (m, 2H), 7.45 (br s, 2H), 3.75 (s, 3H)	
55	142–144		ESIMS *m/z* 443 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (dd, *J* = 8.5, 4.9 Hz, 1H), 7.32 (dd, *J* = 7.6, 5.8 Hz, 1H), 4.97 (s, 2H), 3.98 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -99.87, -99.91, -117.70, -117.74, -117.80, -117.84, -137.25, -137.35.

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
56	142–144		ESIMS *m/z* 425 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.5, 6.5 Hz, 1H), 7.53 (dd, *J* = 10.0, 5.0 Hz, 1H), 7.25 (d, *J* = 1.2 Hz, 1H), 4.86 (s, 2H), 4.01 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -100.00, -100.05, -120.62, -120.66.
57	93–94		ESIMS *m/z* 352 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.79 (m, 2H), 7.62 – 7.56 (m, 2H), 6.89 (dd, *J* = 18.1, 11.5 Hz, 1H), 5.71 (dd, *J* = 11.6, 1.4 Hz, 1H), 5.58 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.71 (s, 2H), 3.93 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -144.04
58		IR (thin film) 3367, 1735, 1608 cm^{-1}.	ESIMS *m/z* 381 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.91 (t, *J* = 7.8 Hz, 1H), 7.74 (d, *J* = 11.6 Hz, 1H), 7.62 (d, *J* = 8.1 Hz, 1H), 7.20 (d, *J* = 21.4 Hz, 2H), 3.87 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.9, -115.6, -116.3
59	203–205	IR (thin film) 3425 (m), 3297 (m), 3245 (s), 3158 (m), 3008 (w), 2956 (w), 1729 (m), 1637 (m) cm^{-1}	ESIMS *m/z* 302 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (t, *J* = 8 Hz, 1H), 7.32 (dd, *J* = 8, 1.5 Hz, 1H), 7.26 (dd, *J* = 12, 1.5 Hz, 1H), 5.40 (br s, 2H), 3.99 (s, 3H), 3.93 (s, 3H), 3.15 (s, 1H)	
60			ESIMS *m/z* 297 ([M+H]+1)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (ddd, *J* = 8.2, 1.6, 0.7 Hz, 2H), 7.65 – 7.54 (m, 2H), 6.90 (ddd, *J* = 18.1, 11.6, 0.5 Hz, 1H), 5.71 (dd, *J* = 11.5, 1.4 Hz, 1H), 5.58 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.71 (s, 2H), 3.93 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -143.86
61			ESIMS *m/z* 361 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 – 7.45 (m, 2H), 7.25 (dd, *J* = 18.3, 11.6 Hz, 1H), 5.85 (dd, *J* = 11.7, 1.2 Hz, 1H), 5.64 (dd, *J* = 18.4, 1.2 Hz, 1H), 5.11 (s, 2H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.22, -61.25, -135.48, -135.54, -135.57, -135.62, -137.62, -137.66, -137.68, -137.69, -137.71, -137.73, -137.75, -137.78, -137.87, -137.95

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
62	142–147 (dec)	IR (thin film) 3317 (s), 3199 (s), 2955 (w), 2924 (w), 2870 (w), 2256 (w), 1721 (m), 1634 (m) cm^{-1}	ESIMS *m/z* 291 ($[M+H]^+$)	^{1}H NMR (300 MHz, DMSO-d_6) δ 7.86 (m, 2H), 7.61 (m, 2H), 6.93 (br s, 2H), 4.33 (s, 1H)	
63		IR (thin film) 2979, 1715 cm^{-1}	ESIMS *m/z* 332 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.22 (t, *J* = 10.7 Hz, 1H), 8.17 (d, *J* = 12.3 Hz, 1H), 7.90 (dd, *J* = 21.3, 13.4 Hz, 1H), 7.56 (d, *J* = 44.0 Hz, 3H), 3.77 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.9, -115.3, -116.7
64	140–141		ESIMS *m/z* 364 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (t, *J* = 7.5 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.58 (s, 2H), 3.90 (s, 3H), 3.78 (s, 3H)	
65			ESIMS *m/z* 310 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.71 (s, 1H), 8.05 (dd, *J* = 9.9, 1.4 Hz, 1H), 7.86 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.75 – 7.81 (m, 1H), 7.09 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -112.04 (d, *J* = 29.9 Hz), -138.35 (d, *J* = 29.6 Hz)
66	141–143		ESIMS *m/z* 407 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.95 (dd, 1H), 7.77 (dd, 1H), 7.52 (dd, 1H), 7.32 (s, 1H), 6.81 (s, 2H), 3.89 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.03
67			ESIMS *m/z* 341 ($[M-H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (m, 2H), 7.55 (m, 2H), 7.1 (s, 1H), 4.84 (br s, 2H), 4.00 (s, 3H)	
68	170.1–172.6		ESIMS *m/z* 431 ($[M+3H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 6.85 – 6.77 (m, 3H), 7.79 (m, 1H)	
69	159–161		ESIMS *m/z* 429 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 11.14 (s, 1H), 7.63 (dd, *J* = 8.6, 4.9 Hz, 1H), 7.27 (dd, *J* = 7.5, 5.7 Hz, 1H), 5.21 (s, 2H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -99.15, -99.20, -117.70, -117.74, -117.79, -117.83, -134.64, -134.71

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
70	114–116		ESIMS *m/z* 367 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 10.6, 6.3 Hz, 1H), 7.39 (dd, *J* = 10.5, 5.6 Hz, 1H), 7.30 (d, *J* = 1.2 Hz, 1H), 4.91 (s, 2H), 4.02 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.69, -61.73, -119.19, -119.22, -119.24, -119.27, -120.01, -120.06
71	157–160 (dec)	IR (thin film) 3400 (s), 3300 (s), 3200 (m), 1711 (w), 1630 (m) cm^{-1}	ESIMS *m/z* 309 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.68 – 7.78 (m, 3H), 6.76 (br s, 2H), 4.66 (s, 1H)	
72	95–98	IR (thin film) 3327 (s), 2941 (w), 1718 (w), 1629 (m), 1603 (m) cm^{-1}	ESIMS *m/z* 390 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.67 (br s, 1H), 7.73 (dd, *J* = 11, 1.5 Hz, 1H), 7.68 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.63 (t, *J* = 8.5 Hz, 1H), 7.33 (br s, 2H), 3.76 (s, 3H)	
73			ESIMS *m/z* 395 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 (dd, *J* = 8.3, 7.3 Hz, 1H), 7.60 (dd, *J* = 9.8, 2.0 Hz, 1H), 7.40 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.16 (s, 2H), 3.87 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ 108.20
74	186.0–187.3		ESIMS *m/z* 345 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.6 (s, 1H), 7.87 (m, 1H), 7.72 (m, 1H), 7.57 (m,1H), 7.23 (s, 1H), 6.18 (s, 2H)	
76	169–170		ESIMS *m/z* 350 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 7.89 (t, *J* = 7.5 Hz, 1H), 7.69 (t, *J* = 7.0 Hz, 1H), 7.48 (s, 2H), 3.79 (s, 3H)	
77			ESIMS *m/z* 393 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.57 (s, 1H), 7.95 (dd, *J* = 8.2, 6.8 Hz, 1H), 7.74 (dd, *J* = 9.8, 2.0 Hz, 1H), 7.53 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.28 (s, 1H), 6.71 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.12

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
78	185.5–187.0		ESIMS *m/z* 342 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.64 (d, *J* = 8.5 Hz, 2H), 7.40 (d, *J* = 8.5 Hz, 2H), 6.47 (s, 2H), 2.07 (s, 3H)	^{13}C NMR (101 MHz, DMSO-d_6) δ 166.57, 153.45, 150.28, 138.92, 131.35, 130.86, 121.35, 115.84, 109.91, 99.49, 14.91
79	121–124		ESIMS *m/z* 421 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.1, 6.5 Hz, 1H), 7.19 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.00 (dd, *J* = 8.1, 1.9 Hz, 1H), 4.86 (s, 2H), 3.96 (s, 3H), 2.17 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -93.62
80	170–171		ESIMS *m/z* 344 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.30 (dd, *J* = 9.8, 2.1 Hz, 1H), 8.22 (dd, *J* = 8.5, 2.2 Hz, 1H), 7.87 (m, 1H), 7.22 (s, 2H), 3.88 (s, 3H)	
81	128–130		ESIMS *m/z* 354 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 8.5 Hz, 2H), 7.33 (d, *J* = 8.5 Hz, 2H), 4.84 (s, 2H), 3.96 (s, 3H), 2.15 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 165.68, 155.19, 149.18, 145.09, 138.57, 131.42, 131.00, 122.60, 116.69, 113.59, 52.88, 14.65
82	159–162	IR (thin film) 3493 (s), 3352 (s), 2943 (w), 2853 (w), 1725 (m), 1602 (m) cm^{-1}	ESIMS *m/z* 404 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (t, *J* = 8 Hz, 1H), 7.50 – 7.58 (m, 2H), 5.40 (br s, 2H), 4.00 (s, 3H), 3.94 (s, 3H)	
83	145–148, 220		ESIMS *m/z* 302 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.5 Hz, 2H), 7.58 (d, *J* = 8.5 Hz, 2H), 4.90 (s, 2H), 3.96 (s, 3H), 2.16 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 165.50, 154.25, 149.37, 145.36, 144.19, 132.09, 130.18, 118.67, 116.71, 114.01, 112.06, 52.95, 14.58

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
84	214–217	IR (thin film) 3453 (m), 3302 (m), 3242 (s), 3170 (m), 2963 (w), 2852 (w), 2112 (w), 1732 (m), 1631 (m) cm^{-1}	ESIMS *m/z* 320 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (ddd, *J* = 9, 7, 2 Hz, 1H), 7.27 (m, 1H), 5.42 (br s, 2H), 4.00 (s, 3H), 3.95 (s, 3H), 3.42 (s, 1H)	
85	126–125		ESIMS *m/z* 347 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.88 (dd, *J* = 8.8, 1.3, 2H), 7.34 (t, *J* = 73.8, 1H), 7.31 (d, *J* = 8.9, 2H), 7.01 (br s, 2H), 3.88 (s, 1H)	
86	120–122		ESIMS *m/z* 345 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.22 (s, 1H), 8.02 – 7.94 (m, 3H), 6.78 (dd, *J* = 17.7, 11.6 Hz, 1H), 6.56 (s, 2H), 5.65 – 5.52 (m, 2H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.37, -61.41, -114.17, -114.20, -114.24, -114.27, -143.61
87	171–172		ESIMS *m/z* 407 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.36 (s, 1H), 7.91 (dd, *J* = 8.0, 6.8 Hz, 1H), 7.35 (dd, *J* = 9.1, 1.9 Hz, 1H), 7.10 (dd, *J* = 8.1, 1.9 Hz, 1H), 6.49 (s, 2H), 2.09 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.45
88			ESIMS *m/z* 372 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.00 (m, 2H), 7.84 (m, 2H), 7.35 (br s, 2H), 3.11 (s, 3H)	
89	119–121			^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 7.72 (ddd, *J* = 8.3, 5.7, 1.8 Hz, 1H), 7.51 (ddd, *J* = 8.6, 7.0, 1.8 Hz, 1H), 7.43 (s, 2H), 3.76 (s, 3H)	
90	176.2–178.7		ESIMS *m/z* 445 ($[M+2H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 3.86 (s, 3H), 6.98 – 6.94 (m, 3H), 7.89 – 7.85 (m, 1H)	
91	173–175		ESIMS *m/z* 363 ($[M-H]^-$)	^{1}H NMR (300 MHz, DMSO-d_6)δ 7.76 – 7.56 (m, 2H), 7.22 (d, *J* = 1.7, 1H), 6.84 (s, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
92	147–149	IR (thin film)778.80, 822.34, 879.66, 973.14, 1006.40, 1026.12, 1056.64, 1120.85, 1214.80, 1276.30, 1389.19, 1409.98, 1459.47, 1496.89, 1519.03, 1592.79, 1627.42, 1720.12, 1769.38, 2535.30, 3199.10, 3386.23, 3501.86 cm^{-1}	ESIMS *m/z* 351 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 7.83 (dd, *J* = 11.8, 2.1 Hz, 1H), 7.75 (t, *J* = 72.0 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.50 – 7.14 (m, 1H), 6.99 (s, 2H)	
93	98.9–101.6		ESIMS *m/z* 359 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (m, 1H), 7.34 (m, 2H), 7.22 (s, 1H), 4.85 (s, 2H), 4.00 (s, 3H)	
94	158.5–159.5		ESIMS *m/z* 329 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.51 (d, *J* = 8.6 Hz, 2H), 7.33 – 7.14 (m, 3H), 6.61 (s, 2H), 2.09 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -82.20
95			ESIMS *m/z* 326 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 8.32 (d, *J* = 9.0, 2H), 8.13 (dd, *J* = 9.0, 1.4, 2H), 5.02 (s, 2H), 4.01 (s, 3H)	
96	187.2–189.9		ESIMS *m/z* 423 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, 2H), 7.42 (d, 2H), 5.35 (s, 2H), 3.98 (s, 3H)	
97			ESIMS *m/z* 340 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (m, 2H), 7.55 (m, 2H), 5.35 (br s, 2H), 4.01 (s, 3H), 3.92 (s, 3H)	
98			ESIMS *m/z* 299 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.13 – 7.90 (m, 2H), 7.80 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.46 (s, 2H), 6.66 (dd, *J* = 17.6, 11.5 Hz, 1H), 5.63 – 5.43 (m, 2H), 3.82 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -111.51
99	168–170	IR (thin film) 3502 (m), 3378 (s), 2953 (w), 1739 (m), 1726 (m), 1617 (m) cm^{-1}	ESIMS *m/z* 443 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (ddd, *J* = 9, 6, 2 Hz, 1H), 7.16 (ddd, *J* = 9, 6.5, 2 Hz, 1H), 4.97 (br s, 2H), 3.96 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
100	145–147		ESIMS *m/z* 502 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (dd, *J* = 8.2, 4.9 Hz, 1H), 7.12 (dd, *J* = 7.4, 5.8 Hz, 1H), 5.44 (s, 2H), 3.97 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -99.80, -99.84, -116.84, -116.89
101	193–194		ESIMS *m/z* 422 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (dd, *J* = 8.3, 6.3 Hz, 1H), 7.54 (dd, *J* = 9.5, 5.0 Hz, 1H), 5.43 (s, 2H), 4.00 (s, 3H), 3.94 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -100.82, -100.86, -118.25, -118.29
102	171.0–172.1		ESIMS *m/z* 330 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.35 (d, 2H), 7.47 (d, 2H), 7.39 (s, 2H), 3.78 (s, 3H)	
103		IR (thin film) 708.67, 786.89, 824.69, 939.95, 1032.81, 1120.09, 1153.46, 1204.33, 1225.97, 1263.98, 1424.87, 1375.02, 1445.12, 1481.84, 1518.14, 1615.72, 1739.13, 2959.84, 3195.90, 3378.30, 3486.20 cm^{-1}	ESIMS *m/z* 383 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (ddd, *J* = 8.7, 7.0, 2.3 Hz, 1H), 7.19 – 7.11 (m, 1H), 6.61 (t, *J* = 72.5 Hz, 1H), 4.99 (s, 2H), 3.98 (s, 3H)	
104	127–129	IR (thin film) 758.08, 793.58, 824.98, 856.60, 919.36, 972.37, 1014.89, 1053.05, 1122.86, 1162.89, 1203.20, 1241.89, 1276.59, 1369.66, 1439.27, 1480.39, 1512.36 1611.65, 1732.10, 2957.77, 3021.70, 3389.26, 3506.76 cm^{-1}	ESIMS *m/z* 366 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (t, *J* = 8.3 Hz, 1H), 7.04 (ddd, *J* = 8.6, 2.3, 0.8 Hz, 1H), 6.96 (dd, *J* = 10.5, 2.3 Hz, 1H), 6.55 (t, *J* = 73.0 Hz, 1H), 4.96 (s, 2H), 3.97 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 164.70, 161.50, 158.98, 152.94, 152.84, 147.17, 144.60, 143.59, 143.54, 140.22, 140.08, 137.91, 137.78, 132.54, 132.53, 132.49, 119.75, 119.71, 119.60, 119.56, 118.02, 115.77, 115.75, 115.42, 115.40, 115.37, 112.81, 107.69, 107.43, 53.07
105	141.9–143.1		ESIMS *m/z* 367 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.7 (s, 1H), 7.75 (d, 2H), 7.49 (d, 2H), 7.01 (s, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
106	183–184	IR (thin film) 861.93, 886.37, 962.21, 984.56, 1035.97, 1010.25, 1113.86, 1143.26, 1173.58, 1222.01, 1251.67, 1294.93, 1438.95, 1397.88, 1514.76, 1486.42, 1595.67, 1568.01, 1608.88, 1645.71, 1735.15, 2693.18, 2860.72, 2960.57, 3179.92, 3320.20, 3406.42 cm^{-1}	ESIMS *m/z* 326 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 – 8.29 (m, 2H), 7.19 – 7.10 (m, 2H), 6.56 (t, *J* = 72 Hz, 1H), 5.33 (s, 3H), 4.02 (s, 3H), 3.92 (s, 3H)	
107			ESIMS *m/z* 298 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (dd, *J* = 8.6, 1.5 Hz, 2H), 7.78 – 7.71 (m, 2H), 6.89 (dd, *J* = 18.1, 11.6 Hz, 1H), 5.73 (dd, *J* = 11.6, 1.4 Hz, 1H), 5.59 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.78 (s, 2H), 3.93 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -143.64
108	165–175 (dec)	IR (thin film) 3468 (s), 1621 (m) cm^{-1}	ESIMS *m/z* 309 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.55 (t, *J* = 8 Hz, 1H), 7.50 (dd, *J* = 11, 1.5 Hz, 1H), 7.46 (dd, *J* = 8, 1.5 Hz, 1H), 6.47 (br s, 2H), 4.45 (s, 1H)	
109	184–186		ESIMS *m/z* 393 ($[M-H]^-$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.48 – 7.40 (m, 1H), 7.33 – 7.26 (m, 1H), 4.99 (br s, 2H), 3.98 (s, 3H)	
110			ESIMS *m/z* 345 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.33 (s, 1H), 7.70 – 7.52 (m, 2H), 7.45 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.06 (s, 1H), 6.52 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -107.95.
111			ESIMS *m/z* 341 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J* = 8.7 Hz, 2H), 7.18 (d, *J* = 8.7 Hz, 2H), 6.53 (t, *J* = 73.8 Hz, 1H), 4.84 (s, 2H), 3.95 (s, 3H), 2.16 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -80.81

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
112	134–137		ESIMS *m/z* 375 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (dd, *J* = 8.2, 7.1 Hz, 1H), 7.27 – 7.25 (m, 1H), 7.13 (ddd, *J* = 8.2, 1.9, 0.6 Hz, 1H), 4.86 (s, 2H), 3.96 (s, 3H), 2.17 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -107.04
113			ESIMS *m/z* 344 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.63 (s, 1H), 8.07 (dd, *J* = 10.3, 1.9 Hz, 1H), 8.01 (dd, *J* = 8.5, 2.0 Hz, 1H), 7.81 (dd, *J* = 8.4, 7.2 Hz, 1H), 7.40 (s, 2H), 3.76 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -108.44
114	178–180		ESIMS *m/z* 379 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.78 – 7.58 (m, 2H), 7.26 (d, *J* = 1.6, 1H), 6.95 (s, 2H), 3.89 (s, 3H)	
115			ESIMS *m/z* 359 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.91 – 7.80 (m, 2H), 7.75 – 7.67 (m, 1H), 7.35 (s, 1H), 6.86 (s, 2H), 3.93 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -107.88
116	179.5–181.0		ESIMS *m/z* 389 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.81 (d, *J* = 8.3 Hz, 2H), 7.25 (d, *J* = 8.3 Hz, 2H), 6.46 (s, 2H), 2.07 (s, 3H)	^{13}C NMR (101 MHz, DMSO-d_6) δ 166.56, 153.62, 150.28, 139.23, 136.72, 131.38, 115.78, 109.86, 94.48, 48.57, 14.90
117	149–151		ESIMS *m/z* 336 ($[M-H]^-$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.13 (s, 1H), 7.82 (dd, *J* = 8.5, 0.9 Hz, 2H), 7.74 – 7.66 (m, 2H), 6.75 (dd, *J* = 17.8, 11.5 Hz, 1H), 6.42 (s, 2H), 5.56 (dd, *J* = 12.8, 1.3 Hz, 1H), 5.52 (dd, *J* = 6.5, 1.3 Hz, 1H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -145.77
118	133–135		ESIMS *m/z* 407 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.81 (m, 2H), 7.67 (m, 2H), 4.91 (br s, 2H), 3.99 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
119	131–132		ESIMS *m/z* 408 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.83 (dd, *J* = 9.6, 5.1 Hz, 1H), 7.66 (dd, *J* = 8.5, 6.3 Hz, 1H), 7.42 (s, 2H), 3.75 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -101.95, -102.00, -117.68, -117.72
121	186–188	IR (thin film) 3500 (w), 3472 (m), 3370 (s), 3229 (m), 2955 (w), 2921 (w), 2850 (w), 1728 (m), 1622 (m) cm^{-1}	ESIMS *m/z* 323 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (t, *J* = 8 Hz, 1H), 7.39 (dd, *J* = 8, 1.5 Hz, 1H), 7.28 (m, 1H), 4.94 (br s, 2H), 3.97 (s, 3H), 3.17 (s, 1H)	
122	171–172		ESIMS *m/z* 374 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (ddd, *J* = 9.0, 7.1, 2.1 Hz, 1H), 7.40 – 7.31 (m, 1H), 5.45 (s, 2H), 4.01 (s, 3H), 3.95 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -129.82 (s), -129.88 (s), -135.73 (s), -135.79 (s)
123	187–190		ESIMS *m/z* 368 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.01 – 8.09 (m, 2H), 7.82 – 7.90 (m, 2H), 7.16 (s, 1H), 6.65 (dd, *J* = 17.7, 11.5 Hz, 1H), 5.61 (dd, *J* = 17.7, 1.3 Hz, 1H), 5.49 (dd, *J* = 11.4, 1.3 Hz, 1H)	
124	208.4–210.2		ESIMS *m/z* 393 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.7 (s, 1H), 7.78 (m, 3H), 7.23 (s, 1H), 6.83 (s,2H)	
125	164.9–166.1		ESIMS *m/z* 363 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.69 (s, 1H), 7.67 (d, 2H) 7.55 (d, 2H), 6.99 (s, 2H)	
126	158.9–161.2		ESIMS *m/z* 287 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H), 7.60 (d, 2H), 7.16 (s, 1H), 4.89 (s, 2H), 4.05 (s, 3H)	
127	174–176		ESIMS *m/z* 376 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (dd, *J* = 9.2, 6.7 Hz, 1H), 7.36 (dd, *J* = 10.2, 5.5 Hz, 1H), 7.25 (d, *J* = 1.2 Hz, 1H), 4.86 (s, 2H), 4.01 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -112.80, -112.84, -119.98, -120.02

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
128		IR (thin film) 3334, 1722 cm^{-1}	ESIMS *m/z* 336 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.25 (d, *J* = 8.1 Hz, 2H), 8.17 (d, *J* = 11.9 Hz, 2H), 7.95 (t, *J* = 7.9 Hz, 2H), 7.66 (s, 1H)	^{19}F NMR (376 MHz, DMSO) δ -60.0, -114.7, -116.5
129	172–174	IR (thin film) 3481 (m), 3338 (s), 3185 (w), 3096 (w), 2963 (w), 1727 (m), 1608 (m) cm^{-1}	ESIMS *m/z* 425 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 –7.62 (m, 2H), 7.21 (d, *J* = 2 Hz, 1H), 4.86 (br s, 2H), 3.99 (s, 3H)	
130	185.1–186.9		ESIMS *m/z* 285 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (d, 2H), 7.75 (s, 2H), 5.84 (s, 2H), 4.03 (s, 3H), 3.96 (s, 3H)	
131	173–175		ESIMS *m/z* 411 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (dd, *J* = 10.2, 5.1 Hz, 1H), 7.73 (dd, *J* = 8.6, 6.6 Hz, 1H), 7.26 (s, 1H), 6.83 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -96.56, -96.61, -115.34, -115.38
132	138–140	IR (thin film) 3437 (w), 3352 (s), 3197 (w), 2949 (w), 1737 (m), 1614 (m) cm^{-1}	ESIMS *m/z* 425 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (dd, *J* = 9, 7 Hz, 1H), 7.73 (ddd, *J* = 9, 2, 1 Hz, 1H), 7.55 (dt, *J* = 8.5, 2 Hz, 1H), 4.94 (br s, 2H), 4.00 (s, 3H)	
133	141–143	IR (thin film) 3385 (s), 3242 (m), 2955 (w), 2918 (w), 2856 (w), 1734 (m), 1622 (m) cm^{-1}	ESIMS *m/z* 323 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 9.5 Hz, 2H), 7.57 (t, *J* = 7 Hz, 1H), 4.93 (br s, 2H), 3.98 (s, 3H), 3.37 (s, 1H)	
134	124–126		ESIMS *m/z* 353 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.92 (d, *J* = 12.8 Hz, 3H), 7.01 (s, 2H)	
135			ESIMS *m/z* 324 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 – 7.83 (m, 1H), 7.72 (dd, *J* = 8.4, 6.6, 1H), 5.01 (s, 1H), 4.01 (s, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
136	115–118		ESIMS *m/z* 403 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 8.5 Hz, 2H), 7.20 (d, *J* = 8.5 Hz, 2H), 4.83 (s, 2H), 3.95 (s, 3H), 2.15 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 165.69, 155.29, 149.17, 145.12, 139.19, 137.39, 131.16, 116.65, 113.57, 94.30, 52.86, 14.64
137			ESIMS *m/z* 342 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.26 (d, *J* = 8.2 Hz, 1H), 8.17 (d, *J* = 12.2 Hz, 1H), 7.94 (t, *J* = 7.9 Hz, 1H), 7.35 (s, 2H), 6.67 (dd, *J* = 17.7, 11.5 Hz, 1H), 5.52 (m, 2H), 3.85 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.99 (d, *J* = 12.2 Hz), -115.72 (d, *J* = 12.2 Hz)
138			ESIMS *m/z* 361 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (m, 2H), 7.60 (m, 2H), 7.40 (d, *J* = 2 Hz, 2H), 4.91 (br s, 2H), 3.99 (s, 3H)	
139	95–96		ESIMS *m/z* 399 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.75 (m, 2H), 7.73 – 7.66 (m, 2H), 6.89 (dd, *J* = 18.1, 11.6 Hz, 1H), 5.71 (dd, *J* = 11.6, 1.4 Hz, 1H), 5.58 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.71 (s, 2H), 3.92 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -143.98
140	149–151	IR (thin film) 698.09, 825.26, 869.29, 998.15, 1025.59, 1050.34, 1098.57, 1129.54, 1167.58, 1246.97, 1386.17, 1435.44, 1481.70, 1515.78, 1590.42, 1628.74, 1720.93, 2535.45, 3198.03, 3327.36, 3469.29 cm^{-1}	ESIMS *m/z* 351 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 18.40 (s, 1H), 12.39 (t, *J* = 8.4 Hz, 1H), 12.16 (t, *J* = 72.0 Hz, 1H), 12.05 (dd, *J* = 11.1, 2.4 Hz, 1H), 11.94 (dd, *J* = 8.5, 2.4 Hz, 1H), 11.75 (s, 2H)	
141	155–157	IR (thin film) 3325 (s), 3193 (s), 1625 (m) cm^{-1}	ESIMS *m/z* 429 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.81 (br t, *J* = 7 Hz, 1H), 7.20 (br t, *J* = 7 Hz, 1H), 6.64 (br s, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
142	164–167		ESIMS *m/z* 306 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.06 – 7.94 (m, 4H), 7.12 (br s, 2H), 3.89 (s, 3H)	
143	137–139		ESIMS *m/z* 333 ($[M+H]^+$)	^{1}H NMR (300 MHz, DMSO-d_6) δ 7.90 (dd, *J* = 8.8, 1.3, 2H), 7.34 (t, *J* = 73.8, 1H), 7.30 (d, *J* = 8.8, 2H), 6.90 (s, 2H)	
144	124–126		ESIMS *m/z* 385 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 9.8, 5.3 Hz, 1H), 7.42 (dd, *J* = 8.9, 5.6 Hz, 1H), 5.03 (s, 2H), 3.99 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.82, -61.85, -116.72, -116.76, -116.81, -116.86, -119.30, -119.33, -119.35, -119.38, -137.15, -137.24
145			ESIMS *m/z* 427 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.75 (s, 1H), 7.95 (dd, *J* = 8.1, 6.7 Hz, 1H), 7.48 (dd, *J* = 9.1, 1.9 Hz, 1H), 7.25 (dd, *J* = 8.1, 1.9 Hz, 1H), 7.04 (s, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -95.25
146		IR (thin film) 3359, 1719, 1619 cm^{-1}	ESIMS *m/z* 369 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (t, *J* = 7.9 Hz, 2H), 7.75 (d, *J* = 11.8 Hz, 2H), 7.64 (d, *J* = 8.1 Hz, 2H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -59.9, -115.3, -116.6
147	168–170		ESIMS *m/z* 381 ($[M-H]^-$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.74 – 7.65 (m, 1H), 7.43 – 7.32 (m, 1H), 7.00 (br s, 2H)	
148	96–98		ESIMS *m/z* 364 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 10.6, 5.9 Hz, 1H), 7.39 (dd, *J* = 9.8, 5.6 Hz, 1H), 5.46 (s, 2H), 4.01 (s, 3H), 3.96 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -61.73, -61.76, -117.59, -117.64, -120.18, -120.21, -120.23, -120.26
149	131–132		ESIMS *m/z* 385 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.77 (t, *J* = 7.2, 1H), 7.63 (t, *J* = 7.0, 1H), 7.25 (s, 2H), 3.88 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
150			ESIMS *m/z* 284 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 11.46 (s, 1H), 8.05 – 7.98 (m, 2H), 7.84 – 7.75 (m, 2H), 7.26 (ddd, *J* = 18.4, 11.7, 1.4 Hz, 1H), 5.85 (dd, *J* = 11.7, 1.4 Hz, 1H), 5.63 (dd, *J* = 18.4, 1.4 Hz, 1H), 5.06 (s, 2H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -140.74
151	130–132		ESIMS *m/z* 319 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (dd, *J* = 7.9, 7.3 Hz, 1H), 7.22 (ddd, *J* = 7.3, 6.7, 1.5 Hz, 2H), 4.87 (s, 2H), 3.96 (s, 3H), 3.35 (s, 1H), 2.17 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -110.01
152	112–114	IR (thin film) 751.85, 792.16, 879.37, 933.73, 1013.05, 1094.15, 1058.41, 1117.03, 1200.23, 1247.75, 1267.53, 1375.51, 1432.34, 1476.69, 1516.02, 1611.65, 1725.02, 2961.33, 3378.00, 3505.09 cm^{-1}	ESIMS *m/z* 366 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.68 (m, 2H), 7.36 – 7.29 (m, 1H), 6.60 (t, *J* = 73.3 Hz, 1H), 4.95 (s, 2H), 4.00 (s, 3H)	
153	160.9–162.6		ESIMS *m/z* 307 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.72 (s, 1H), 7.61 (m, 5H), 7.04 (s, 2H)	
154	142–144	IR (thin film) 3486 (m), 3378 (s), 3225 (s), 2940 (w), 1768 (w), 1719 (w), 1625 (m) cm^{-1}	ESIMS *m/z* 306 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.72 (m, 1H), 7.46 (m, 1H), 7.11 (br s, 2H), 4.80 (s, 1H), 3.79 (m, 3H)	
155	177–180		ESIMS *m/z* 318 ($[M-H]^-$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.61 (m, 1H), 7.42 – 7.29 (m, 2H), 4.92 (s, 2H), 3.97 (s, 3H), 2.17 (s, 3H)	^{13}C NMR (101 MHz, $CDCl_3$) δ 165.33, 164.23, 161.59, 152.85, 149.49, 145.46, 133.27, 125.88, 117.79, 117.58, 116.64, 114.32, 113.80, 53.01, 14.55; ^{19}F NMR (376 MHz, $CDCl_3$) δ -105.97

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
156			ESIMS *m/z* 310 ($[M+H]^+$)	^{1}H NMR (300 MHz, DMSO-d_6) δ 8.07 (dd, *J* = 8.1, 7.0, 1H), 7.96 – 7.85 (m, 2H), 7.08 (s, 2H)	
157	140–150 (dec)	IR (thin film) 3462 (s), 3194 (s), 1610 (m) cm^{-1}	ESIMS *m/z* 411 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.99 (dd, *J* = 8, 7 Hz, 1H), 7.68 (dd, *J* = 10, 1 Hz, 1H), 7.53 (dt, *J* = 9, 1.5 Hz, 1H), 6.39 (br s, 2H)	
158			ESIMS *m/z* 387 ($[M-H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (m, 2H), 7.63 (m, 2H), 7.08 (s, 1H), 4.87 (br s, 2H), 4.00 (s, 3H)	
159	139.8–141.2		ESIMS *m/z* 407 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (m, 3H), 7.39 (s, 1H), 5.53 (s, 2H), 4.04 (s, 3H)	
160	163–164		ESIMS *m/z* 342 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.90 (m, 1H), 7.59 (t, *J* = 6.8 Hz, 1H), 7.25 (s, 2H), 3.87 (s, 3H)	
161	170.0–171.5		ESIMS *m/z* 349 ($[M]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (t, *J* = 7.7 Hz, 1H), 7.32 (t, *J* = 8.9 Hz, 2H), 5.15 (s, 2H), 2.23 (s, 3H)	^{19}F NMR (400 MHz, $CDCl_3$) δ -61.4, -113.3
162			ESIMS *m/z* 383 ($[M+2H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 6.90 – 6.70 (br s, 3H), 7.88 (d, *J* = 8.96 Hz, 1H)	
163	162–164	IR (thin film) 3467 (s), 1609 (m) cm^{-1}	ESIMS *m/z* 365 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.75 (dd, *J* = 10, 2 Hz, 1H), 7.60 (dd, *J* = 8, 2Hz, 1H), 7.52 (t, *J* = 8 Hz, 1H), 6.55 (br s, 2H)	
164	142–144		ESIMS *m/z* 382 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 3.83 (s, 3H), 5.38 – 5.58 (m, 2H), 6.65 (dd, *J* = 17.6, 11.5 Hz, 1H), 6.98 – 7.65 (m, 2H), 7.86 (d, *J* = 8.5 Hz, 2H), 8.03 (d, *J* = 8.5 Hz, 2H)	
165	133–135		ESIMS *m/z* 368 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.92 (m, 3H), 7.17 (s, 2H), 3.90 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
166	148.2–150.9		ESIMS *m/z* 284 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29(d, 2H), 7.56 (d, 2H), 5.37 (s,2H), 4.02 (s, 3H), 3.93 (s, 3H) 3.18 (s,1H)	
167	69–70		ESIMS *m/z* 369 ($[M-H]^-$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.75 (s, 1H), 7.77 (m, 1H), 7.64 (m, 1H), 7.16 (s, 2H)	
168			ESIMS *m/z* 329 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.84 (m, 2H), 7.68 (m, 2H), 7.25 (s, 1H), 6.72 (br s, 2H)	
169	152–155	IR (thin film) 3470 (s), 1716 (w), 1629 (m), 1606 (m) cm^{-1}	ESIMS *m/z* 411 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.84 (dd, *J* = 10, 1.5 Hz, 1H), 7.76 (dd, *J* = 8, 1.5 Hz, 1H), 7.33 (t, *J* = 8 Hz, 1H), 6.61 (br s, 2H)	
170	178.9–180.2		ESIMS *m/z* 381 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, 2H), 7.32 (d, 2H), 5.40 (s, 2H), 4.02 (s, 3H)	
171			ESIMS *m/z* 356 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 – 7.90 (m, 2H), 7.82 (dd, *J* = 8.3, 7.2 Hz, 1H), 7.67 – 7.39 (m, 2H), 3.91 (s, 3H), 3.75 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -108.34
172	161		ESIMS *m/z* 353 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.69 (s, 1H), 7.91 (t, *J* = 7.5 Hz, 1H), 7.71 (t, *J* = 7.2 Hz, 1H), 7.30 (d, *J* = 1.7 Hz, 1H), 6.93 (s, 2H)	
173	188.7–190.3		ESIMS *m/z* 409 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.79 (s, 1H), 7.87 (d,2H), 7.42 (d, 2H), 7.01 (s,2H)	
174	171.8–173.9		ESIMS *m/z* 337 [$(M+3H)^+$]	1H-NMR(400 MHz, DMSO-d_6) δ 6.91 (br s, 2H), 7.26 (t, *J* = 53.88 Hz, 1H), 7.45 – 7.47 (m, 1H), 7.68 (dd, *J* = 5.60, 10.64 Hz, 1H), 7.87 (dd, *J* = 5.88, 10.74 Hz, 1H), 13.68 (br s, 1H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
175	123–124		ESIMS *m/z* 260 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 – 8.24 (m, 2H), 7.49 – 7.38 (m, 3H), 5.33 (s, 2H), 4.02 (s, 3H), 3.92 (s, 3H)	
176	135.2–136.9		ESIMS *m/z* 367 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 2H), 6.91 (t, 1H), 5.02 (s, 2H), 4.00 (s, 3H)	
177	107.5–110.3		ESIMS *m/z* 365 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (m, 1H), 7.26 (s, 1H), 7.08 (m, 1H), 6.61 (t, 1H), 4.91 (s, 2H), 4.02 (s, 3H)	
178	86.1–88.4		ESIMS *m/z* 354 ($[M+2H]^+$)	^{1}H NMR(400 MHz, DMSO-d_6) δ 6.99 (br s, 2H), 7.28 (t, *J* = 54.00 Hz, 1H), 7.60-7.70 (m, 2H)	
179	137.2–138.8		ESIMS *m/z* 313 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (m, 1H), 7.76 (s, 1H), 6.95 (m, 1H), 4.85 (s, 2H), 4.01 (s, 3H), 2.30 (s, 3H)	
180			ESIMS *m/z* 267 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 (m, 2H), 7.55 – 7.44 (m, 3H), 6.88 (s, 2H)	
181	105–108		ESIMS *m/z* 281 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.82 (m, 2H), 7.55 – 7.44 (m, 3H), 6.88 (s, 2H), 3.98 (s, 3H)	
183			ESIMS *m/z* 299 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.68 (dq, *J* = 7.9, 1.3 Hz, 1H), 7.58 (m, 2H), 7.33 (m, 1H), 7.06 (s, 2H), 3.89 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -112.86, -140.06
184	116.5–118.8		ESIMS *m/z* 331 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 (m, 1H), 6.99 (m, 1H), 4.95 (s, 2H), 3.99 (s, 3H), 2.32 (s, 3H)	
185	163.4–164.8		ESIMS *m/z* 310 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (m, 1H), 6.97 (m, 1H), 5.45 (s, 2H), 4.01 (s, 3H), 3.95 (s, 3H), 2.30 (s, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
186	147–148			^{1}H NMR (400 MHz, DMSO-d_6) δ 7.46 (m, 2H), 7.17 (s, 2H), 3.87 (s, 3H)	
187	167.4–170.2		ESIMS *m/z* 351 ($[M+H]^+$)	^{1}H NMR(400 MHz, CD_3OD) δ 4.89 (s, 2H), 7.02 (t, *J* = 72.80 Hz, 1H), 7.33 (dd, *J* = 6.40, 10.80 Hz, 1H), 7.80 (dd, *J* = 7.20, 11.00 Hz, 1H)	
188	172.9–175.0		ESIMS *m/z* 301 ($[M+2H]^+$)	^{1}H NMR(400 MHz, DMSO-d_6) δ 2.28 (s, 3H), 6.80 (br s, 2H), 7.25 (s, 1H), 7.31 (dd, *J* = 6.32, 11.58 Hz, 1H), 7.65 (dd, *J* = 6.60, 10.36 Hz, 1H), 13.54 (br s, 1H)	
189		IR (thin film) 3376, 1737, 1615 cm^{-1}	ESIMS *m/z* 317 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.50 – 7.32 (m, 3H), 7.13 (s, 2H), 3.87 (d, *J* = 2.3 Hz, 3H)	
190	163–165		ESIMS *m/z* 339 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.13 – 8.04 (m, 2H), 8.02 – 7.92 (m, 2H), 7.08 (s, 2H), 3.89 (s, 6H)	
191	154–157		ESIMS *m/z* 296 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.86 – 7.70 (m, 1H), 7.41 (tdd, *J* = 9.5, 7.3, 2.1 Hz, 3H), 6.66 (dd, *J* = 17.6, 11.5 Hz, 1H), 5.63 – 5.38 (m, 2H), 3.82 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -132.72 (dd, *J* = 21.4, 8.8 Hz), -135.29 (dd, *J* = 21.0, 8.7 Hz), -161.04 (t, *J* = 21.3 Hz)
192	192–195		ESIMS *m/z* 324 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 8.08 (br s, 1H), 7.99 (m, 2H), 7.87 (m, 2H), 7.47 (br s, 1H), 7.03 (br s, 2H), 3.89 (s, 3H)	
193	127.9–129.2		ESIMS *m/z* 346 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (m, 1H), 7.39 (m, 1H), 6.89 (t, 1H), 5.49 (s, 2H), 4.02 (s, 3H), 3.97 (s, 3H)	
194	167.4–170.2		ESIMS *m/z* 317 [$(M+H)^+$]	^{1}H NMR(400 MHz, DMSO-d_6) δ 2.30 (s, 3H), 6.41 (br s, 2H), 7.28-7.45 (m, 2H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
195	162.0–165.0		ESIMS *m/z* 369 [$(M+H)^+$]	1H-NMR(400 MHz, CD_3OD) δ 4.90 (s, 2H), 7.01 (t, *J* = 72.72 Hz, 1H), 7.29 (dd, *J* = 6.52, 9.76 Hz, 1H), 7.55 (dd, *J* = 6.36, 10.52 Hz, 1H)	
196	127–129	IR (thin film) 3480 (s), 3345 (s), 3186 (w), 2961 (w), 1717 (s), 1614 (s) cm^{-1}	ESIMS *m/z* 331 ([M+H]$^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.75 – 7.81 (m, 2H), 7.67 (t, *J* = 8 Hz, 1H), 7.14 (s, 1H), 6.94 (t, *J* = 55 Hz, 1H), 4.90 (br s, 2H), 4.04 (s, 3H)	
197	156–158		ESIMS *m/z* 309 ([M+H]$^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.86 – 7.70 (m, 1H), 7.41 (tdd, *J* = 9.5, 7.3, 2.1 Hz, 3H), 6.66 (dd, *J* = 17.6, 11.5 Hz, 1H), 5.63 – 5.38 (m, 2H), 3.82 (s, 3H)	^{19}F NMR (376 MHz, DMSO-d_6) δ -132.72 (dd, *J* = 21.4, 8.8 Hz), -135.29 (dd, *J* = 21.0, 8.7 Hz), -161.04 (t, *J* = 21.3 Hz)
198			ESIMS *m/z* 342 ([M+H]$^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54(m, 1H), 7.44(m, 1H), 5.06(s, 2H), 4.00(s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -111.33, -111.38, -115.73, -115.77, -115.83, -115.89, -136.82, -136.92
199	145–147		ESIMS *m/z* 317 ([M+H]$^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.36 (tt, *J* = 5.8, 1.7 Hz, 1H), 7.29 – 7.15 (m, 2H), 4.97 (s, 2H), 3.98 (s, 3H)	
200	143.5–144.5	IR (thin film) 3498, 3374, 1731, 1621, 1520, 1232 cm^{-1}	ESIMS *m/z* 335 ([M+H]$^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 – 7.39 (m, 1H), 7.09 – 6.96 (m, 1H), 4.96 (s, 2H), 4.00 (s, 3H)	^{19}F NMR (400 MHz, $CDCl_3$) δ -114.6, -131.0, -137.5, -142.0
201	135.9–137.7		ESIMS *m/z* 297 ([M+H]$^+$)	1H-NMR(400 MHz, DMSO-d_6) δ 2.28 (s, 3H), 3.75 (s, 3H), 7.24 (dd, *J* = 6.24, 10.98 Hz, 1H), 7.36 (br s, 2H), 7.58 (dd, *J* = 6.32, 10.20 Hz, 1H), 13.5(s,1H)	
202	209.7–211.9		ESIMS *m/z* 324 ([M+H]$^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (m, 1H), 7.42 (m, 1H), 7.32 (s, 1H), 4.96 (s, 2H), 4.03 (s, 3H)	^{19}F NMR (376 MHz, $CDCl_3$) δ -111.15, -119.08

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
203	143.7–145.5		ESIMS *m/z* 332 ($[M+H]^+$)	1H-NMR(400 MHz, DMSO-d_6) δ 3.76 (s, 3H), 7.24 (t, *J* = 54.00 Hz, 1H), 7.43 (br s, 2H), 7.59 (dd, *J* = 5.60, 10.00 Hz, 1H), 7.78 (dd, *J* = 5.60, 10.40 Hz, 1H)	
204	131			^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (m, 2H), 7.35 (m, 2H), 7.01 (s, 2H), 3.89 (s, 3H)	
205	141.8–145		ESIMS *m/z* 349 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91(m, 1H), 7.38 (m, 1H), 7.35 (s, 1H), 6.90 (t, 1H), 4.90(s, 2H), 4.03(s, 3H)	
206	159–161		ESIMS *m/z* 299 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.55 (m, 2H), 7.39 – 7.30 (m, 2H), 7.05 (s, 2H), 3.86 (s, 3H)	
207	130–132		ESIMS *m/z* 246 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 – 8.21 (m, 2H), 7.48 (m, 3H), 5.66 (s, 2H), 4.06 (s, 3H)	
208	165.0–166.5		ESIMS *m/z* 321 ($[M+H]^+$)	^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (m, 1H), 7.42 (m, 1H), 5.51 (s, 2H), 4.03 (s, 3H), 3.98 (s, 3H)	
209	113–115	IR (thin film) 3496 (s), 3377 (s), 2954 (w), 1726 (s), 1611 (s) cm^{-1}	ESIMS *m/z* 331 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 8.01 (br d, *J* = 8 Hz, 2H), 7.61 (br d, *J* = 8 Hz, 2H), 6.70 (t, *J* = 56 Hz, 1H), 4.93 (br s, 2H), 3.99 (s, 3H)	
210	159 decomp		ESIMS *m/z* 317 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.26 (m, 2H), 7.02 (s, 2H), 2.35 (d, *J* = 1.7 Hz, 3H)	
211	167–168		ESIMS *m/z* 329 ($[M-H]^-$)	^{1}H NMR (300 MHz, DMSO-d_6) δ 7.23 (m, 2H), 7.08 (s, 2H), 3.85 (s, 3H), 2.33 (d, *J* = 2.1 Hz, 3H)	

Compd. No.	mp (°C)	IR (cm^{-1})	Mass[a]	^{1}H NMR[b]	^{13}C or ^{19}F NMR
212	145–146		ESIMS *m/z* 299 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 13.59 (s, 1H), 7.60 (m, 2H), 7.42 (m, 1H), 6.94 (s, 2H), 2.30 (s, 3H)	
213	127		ESIMS *m/z* 313 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.57 (dd, *J* = 14.6, 9.7 Hz, 2H), 7.42 (t, *J* = 8.1 Hz, 1H), 7.02 (s, 2H), 3.89 (s, 3H), 2.30 (s, 3H)	
214	151–154		ESIMS *m/z* 311 ($[M+H]^+$)	^{1}H NMR (400 MHz, DMSO-d_6) δ 7.87 (dd, *J* = 11.2, 1.6 Hz, 1H), 7.80 – 7.68 (m, 2H), 6.76 (dd, *J* = 17.6, 11.7 Hz, 1H), 6.50 (br s, 2H), 5.57 (dd, *J* = 7.3, 0.9 Hz, 1H), 5.53 (s, 1H)	
215	97–101		ESIMS *m/z* 325 ($[M+H]^+$)	^{1}H NMR (300 MHz, $CDCl_3$) δ 7.83 – 7.77 (m, 1H), 7.76 – 7.69 (m, 1H), 7.48 (dd, *J* = 8.4, 7.6 Hz, 1H), 6.89 (dd, *J* = 18.0, 11.7 Hz, 1H), 5.73 (dd, *J* = 11.5, 1.4 Hz, 1H), 5.59 (dd, *J* = 18.1, 1.4 Hz, 1H), 4.78 (br s, 2H), 3.93 (s, 3H)	
216	111–114				
217	159–161			^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 10.4 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.48 (m, 1H), 4.93 (s, 2H), 4.00 (s, 3H)	

[a] Mass spectrometry data are electrospray ionization mass spectrometry (ESIMS) unless otherwise noted.

[b] All ^{1}H NMR data measured in $CDCl_3$ at 400 MHz unless otherwise noted.

Examples of Herbicidal Activities

[00354] Herbicidal evaluations were made visually on a scale of 0 to 100 where 0 represents no activity and 100 represents complete plant death. The data are displayed as indicated in Table A.

Table A: Percent Control Rating Conversion Table

Rating	% Control
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

[00355] Post-Emergent Test I: Seeds of test species were obtained from commercial suppliers and planted into a 13 centimeter (cm) diameter-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 hour (h) photoperiod at 24–29 °C. All pots were surface irrigated.

[00356] A weighted amount, determined by the highest rate to be tested, of each compound was dissolved in 1.3 mL acetone-dimethyl sulfoxide (DMSO; 97:3, volume per volume (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 to obtain concentrated stock solutions. Additional application rates were obtained by serial dilution of the high rate solution into a solution containing appropriate volume of 97:3 v/v mixture of acetone and DMSO and appropriate volume of an aqueous mixture of water, isopropyl alcohol, crop oil concentrate (78:20:2, v/v/v) containing 0.02% Triton X-155.

[00357] Formulated compounds were applied using a DeVilbiss® compressed air sprayer at 2–4 pounds per square inche (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).

[00358] 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 and is presented as indicated in Table A.

[00359] Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 3.

Table 3. Post-Emergent Test I Herbicidal Activity on Key Broadleaf and Grass Weed as well as Crop Species

Compound No.	Application Rate (kg ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		AMARE	AVEFA	ECHCG	HELAN	IPOHE	SETFA
138	4	A	C	A	A	A	A
20	4	n/t	C	A	A	B	A
135	4.04	A	D	A	A	B	C
156	4.04	A	C	A	A	A	B
16	3.84	A	G	E	A	A	D
114	3.92	A	G	A	A	B	C
85	3.76	A	F	A	A	F	B
142	3.84	A	E	A	A	A	D
118	2.32	A	A	A	A	A	A
45	3.96	A	A	A	A	B	A
143	4	A	n/t	A	A	E	A
39	2	A	C	B	A	D	n/t
209	4	A	B	A	A	B	A
199	4	A	n/t	D	A	C	B
206	4.04	A	n/t	G	A	C	G
196	3.84	A	D	A	A	B	A
181	1.76	A	G	G	A	C	G
109	4	n/t	C	A	A	B	A
147	3.96	A	C	A	A	A	A
215	3.96	n/t	F	B	A	A	G
214	4.04	n/t	D	A	A	A	B

AMARE: redroot pigweed (*Amaranthus retroflexus*)
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

[00360] 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.

[00361] Compounds were dissolved in a 97:3 v/v 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. Additional application rates were obtained by serial dilution of the high rate solution with the above application solution.

[00362] Formulated compound (2.7 mL) was 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.

[00363] 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 and is presented as indicated in Table A. Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 4.

Table 4. Pre-Emergent Test I Herbicidal Activity on Key Broadleaf and Grass Weed as well as Crop Species

Compound No.	Application Rate (kg ai/ha)	Visual Growth Reduction (%) 14 Days After Application					
		AMARE	AVEFA	ECHCG	HELAN	IPOHE	SETFA
138	4	A	A	A	A	A	A
20	4	n/t	A	A	A	A	A
135	4.04	A	F	F	A	A	F
156	4.04	A	C	A	A	A	A
16	3.84	A	F	F	A	A	G
114	3.92	A	A	C	A	A	B
85	3.76	A	C	A	A	F	n/t
142	3.84	A	A	F	A	A	n/t
118	2.32	A	A	A	A	A	n/t
45	3.96	A	A	A	A	A	A
143	4	B	D	B	A	B	A
39	2	A	B	A	A	A	n/t
209	4	A	A	A	A	A	A
199	4	n/t	n/t	G	D	C	E
206	4.04	n/t	n/t	G	A	A	C
196	3.84	A	n/t	B	A	A	A
181	1.76	A	G	n/t	B	B	C
109	4	n/t	B	A	C	A	A
147	3.96	n/t	A	A	A	A	A
215	3.96	A	B	A	A	A	B
214	4.04	n/t	B	A	A	A	A

AMARE: redroot pigweed (*Amaranthus retroflexus*)
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 C. Evaluation of Postemergent Herbicidal Activity

[00364] 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. 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.

[00365] 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.

[00366] 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 and is presented as indicated in Table A. Some of the

compounds tested, application rates employed, plant species tested, and results are given in Table 5.

Table 5. Post-Emergent Test II Herbicidal Activity on Key Broadleaf Weed and Crop Species

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
20	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
216	70	A	A	C	A	A	A	B
	140	A	A	B	A	A	A	A
217	70	A	A	B	A	A	A	A
	140	A	A	A	A	A	A	A
135	70	A	A	D	A	A	A	D
	140	A	A	D	A	A	A	D
156	70	D	A	C	A	B	A	D
	140	C	A	B	A	B	A	D
16	70	B	n/t	G	A	A	A	F
	140	A	A	G	A	A	A	F
95	70	D	A	G	A	A	A	G
	140	C	A	F	A	A	A	G
31	70	G	A	F	D	G	A	G
	140	G	A	E	B	G	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
149	70	A	A	B	A	A	A	B
	140	A	A	A	A	A	A	B
114	70	A	A	B	A	A	A	A
	140	A	A	A	A	A	A	A
85	70	A	A	E	B	A	B	G
	140	A	A	D	A	A	A	G
142	70	A	A	G	A	A	A	C
	140	A	A	G	A	A	A	B
118	70	A	A	A	A	A	A	B
	140	A	A	A	A	A	A	A
45	70	A	A	A	A	A	A	B
	140	A	A	A	A	A	A	A
91	70	B	A	C	B	A	B	A
	140	A	A	B	B	A	B	A
143	70	B	D	D	B	A	D	F
	140	B	B	B	B	A	B	F
190	70	G	G	G	D	G	G	G
	140	G	F	G	F	G	G	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
39	70	F	G	G	B	B	A	F
	140	D	G	F	A	A	A	F
165	70	B	B	C	A	A	A	E
	140	A	B	B	A	A	A	A
160	70	F	B	G	D	E	B	G
	140	E	A	G	C	D	A	G
204	70	A	A	B	A	A	A	C
	140	A	A	A	A	A	A	A
186	70	A	A	B	A	A	B	B
	140	A	A	A	A	A	A	A
209	70	A	A	B	A	A	A	G
	140	A	A	B	A	A	A	G
134	70	B	B	A	A	A	A	A
	140	B	A	A	A	A	A	A
80	70	G	D	G	A	C	D	G
	140	G	B	G	A	C	C	G
199	70	A	A	B	B	D	C	G
	140	A	A	A	A	B	A	F

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
206	70	A	A	C	B	A	D	E
	140	A	A	B	B	A	B	D
180	70	B	E	B	A	D	B	G
	140	A	C	A	A	C	B	G
213	66	A	A	B	A	A	A	G
	132	A	A	B	A	A	A	G
196	70	A	A	F	A	A	A	G
	140	A	A	F	A	A	A	G
181	70	A	B	B	A	B	A	G
	140	A	A	A	A	A	A	G
212	70	A	A	A	A	A	A	G
	140	A	A	A	A	A	A	G
211	70	A	A	D	A	A	A	G
	140	A	A	B	A	A	A	G
109	70	A	A	A	A	A	G	A
	140	A	A	A	A	A	A	A
147	70	A	A	A	A	A	A	A
	140	G	A	A	A	A	A	A

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
210	70	B	A	C	A	A	A	G
	140	A	A	C	A	A	A	G
167	70	B	A	A	A	A	A	A
	140	A	A	A	A	A	A	B
215	70	A	A	A	A	A	A	G
	140	A	A	A	A	A	A	n/t
214	70	A	A	A	A	A	A	C
	140	A	A	A	A	A	A	C
175	70	E	E	G	G	B	E	G
	140	E	A	G	F	B	D	G
7	70	A	A	B	A	B	B	G
	140	A	A	B	A	A	A	G
62	70	C	A	A	B	A	B	G
	140	C	n/t	A	B	A	A	G
64	70	A	E	C	A	A	A	D
	140	A	C	B	A	A	A	D
76	70	A	A	B	B	A	B	E
	140	A	A	A	A	n/t	A	D

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
172	70	A	A	C	B	A	A	E
	140	A	A	C	B	A	A	D
106	70	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G
49	70	A	A	A	A	n/t	A	A
	140	A	A	A	A	n/t	A	A
21	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
132	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
157	70	B	A	A	A	A	A	A
	140	B	A	A	A	A	A	A
152	70	B	B	B	B	A	C	G
	140	B	B	B	B	A	B	G
103	70	E	G	G	G	G	C	G
	140	E	G	F	B	G	C	G
99	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
67	70	A	A	G	A	A	B	G
	140	A	A	D	A	A	A	G
158	70	A	A	D	A	A	C	G
	140	A	A	C	A	A	C	G
141	70	B	A	A	B	A	A	A
	140	B	A	A	B	A	A	A
104	70	E	G	G	G	C	C	G
	140	E	G	G	G	B	C	G
133	70	B	C	C	A	B	A	G
	140	A	C	A	A	A	A	F
71	70	G	D	E	B	A	D	G
	140	E	C	E	B	A	C	G
121	70	B	G	B	C	E	B	G
	140	B	G	A	A	A	A	G
168	70	A	A	A	A	A	A	G
	140	A	A	A	A	A	A	F
4	70	A	B	A	A	A	C	G
	140	A	B	A	A	A	B	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
97	70	B	A	B	B	A	C	D
	140	A	A	A	A	A	A	A
18	70	C	G	C	B	A	A	G
	140	C	G	A	A	A	A	E
54	70	B	A	A	A	A	B	F
	140	B	A	A	A	A	A	E
88	70	C	B	A	n/t	A	B	E
	140	A	B	A	A	A	B	D
59	70	G	G	F	G	G	D	G
	140	G	G	E	D	G	D	G
41	70	G	G	G	G	G	D	G
	140	G	G	E	D	G	C	G
108	70	E	C	B	D	B	B	G
	140	C	A	B	C	A	A	E
122	70	A	A	A	A	A	B	A
	140	A	A	A	A	A	A	B
24	70	A	C	A	A	A	B	E
	140	A	B	A	A	A	A	A

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
52	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
9	70	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G
163	70	B	A	A	A	A	A	A
	140	B	A	A	A	A	A	A
169	70	B	A	A	A	A	A	A
	140	B	A	A	A	A	A	A
22	70	G	G	G	E	G	E	G
	140	G	G	D	C	A	C	G
50	70	D	G	G	G	E	E	G
	140	D	G	G	G	A	D	G
82	70	A	A	B	B	A	A	D
	140	A	A	B	A	A	A	C
72	70	B	A	B	A	A	A	D
	140	B	A	B	A	A	A	D
35	70	A	C	A	A	A	A	B
	140	A	A	A	A	A	A	A

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
46	70	A	B	A	A	A	B	E
	140	A	A	A	A	A	A	A
89	70	B	B	A	A	A	A	A
	140	A	A	A	A	A	A	A
84	70	G	G	G	G	G	n/t	G
	140	G	G	G	G	G	D	G
154	70	G	G	G	G	A	C	G
	140	E	G	D	E	A	C	G
129	70	A	A	B	A	A	C	A
	140	A	A	A	A	A	B	A
38	70	A	B	A	B	A	C	A
	140	A	A	A	A	A	B	A
183	70	C	A	D	A	A	B	G
	140	A	A	B	A	A	B	G
92	70	G	E	F	B	A	D	G
	140	G	D	E	B	A	C	G
140	70	G	G	G	G	B	D	G
	140	G	G	G	G	B	C	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
19	70	G	G	G	G	G	C	G
	140	G	G	D	G	F	C	G
8	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
26	70	B	D	F	G	A	B	G
	140	B	C	F	G	A	B	G
29	70	B	D	E	A	A	B	G
	140	B	D	D	A	A	B	G
63	70	D	D	C	B	A	B	C
	140	B	C	B	A	A	B	A
128	70	G	E	F	E	E	E	G
	140	F	E	E	E	E	E	G
58	70	B	B	D	A	G	A	G
	140	A	A	C	A	G	A	G
146	70	C	C	B	B	G	A	G
	140	B	B	B	B	G	A	G
47	70	A	A	A	A	B	A	G
	140	A	A	A	A	A	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
125	70	A	A	A	A	F	A	G
	140	A	A	A	A	E	A	G
189	70	C	A	E	B	G	B	n/t
	140	C	A	D	B	G	B	G
200	70	A	A	A	A	A	B	C
	140	A	A	A	A	A	A	A
12	70	C	G	G	G	E	G	G
	140	B	E	G	G	A	G	G
126	70	A	A	C	A	A	B	G
	140	A	A	B	A	A	A	F
48	140	A	A	B	B	A	A	G
23	70	A	A	G	B	A	G	G
	140	A	A	G	A	A	B	G
10	140	A	A	D	C	A	B	G
34	70	A	A	B	A	C	A	G
	140	A	A	A	A	B	A	G
153	140	A	A	A	A	G	A	G
15	140	B	G	G	F	G	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
33	140	D	G	F	G	G	A	G
170	140	G	G	G	D	G	B	G
105	140	G	G	G	G	G	A	G
1	140	D	D	G	B	G	A	G
14	140	G	G	G	A	G	A	G
51	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
42	70	B	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
55	70	A	A	A	A	A	A	A
	140	A	A	A	A	A	A	A
69	70	B	A	A	A	A	A	A
	140	B	A	A	A	A	A	A
86	70	A	n/t	C	A	A	A	A
	140	A	n/t	A	A	A	A	A
100	70	B	B	D	A	E	B	G
	140	B	A	D	A	E	B	G
166	140	G	A	G	D	A	B	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
30	140	E	G	G	G	A	G	G
102	140	G	G	G	G	A	D	G
25	140	G	G	G	G	G	G	G
127	70	A	A	B	A	A	B	A
	140	A	A	A	A	A	A	A
56	70	A	A	B	A	A	C	A
	140	A	A	B	A	A	B	A
3	70	A	A	B	A	A	B	A
	140	A	A	A	A	A	B	A
131	70	A	A	A	A	A	B	A
	140	A	A	A	A	A	A	A
159	70	A	A	B	A	A	C	G
	140	A	A	B	A	A	A	E
124	70	B	A	A	A	A	B	A
	140	B	A	A	A	A	B	A
96	70	A	B	C	A	C	A	G
	140	A	A	B	A	E	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
173	70	D	B	B	B	G	A	G
	140	B	A	B	B	E	A	G
28	140	G	A	G	A	A	B	G
130	140	G	G	G	G	A	B	G
161	70	C	E	A	A	G	A	G
	140	B	A	A	A	G	A	G
53	70	G	G	G	G	A	G	G
	140	G	G	G	G	A	G	G
93	70	A	A	G	B	A	B	F
	140	A	A	D	A	A	B	n/t
74	70	A	A	B	A	A	A	A
	140	A	A	B	A	A	A	A
61	70	B	A	G	C	A	A	G
	140	A	A	G	B	A	A	G
81	140	A	A	D	A	C	A	G
136	70	A	B	B	A	G	A	G
	140	A	B	B	A	G	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
78	70	A	B	B	B	G	A	G
	140	A	A	A	B	G	A	G
116	70	A	C	B	B	G	A	G
	140	A	B	A	B	G	A	G
2	70	A	B	A	A	A	A	F
	140	A	B	A	A	A	A	A
101	70	B	B	A	B	A	B	G
	140	B	B	A	B	A	B	G
11	70	A	A	A	A	A	A	G
	140	A	A	A	A	A	A	E
119	70	C	B	A	A	A	B	G
	140	B	A	A	A	A	B	G
107	70	C	G	G	A	A	C	G
	140	C	G	G	A	A	B	G
40	66	C	G	E	E	G	B	G
	132	A	E	E	D	G	A	G
150	70	E	A	E	B	A	A	G
	140	D	A	D	B	A	A	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
60	70	G	G	G	G	G	E	G
	140	G	G	G	G	G	C	G
36	70	G	A	G	B	A	B	G
	140	G	A	G	B	A	B	G
57	70	B	B	D	B	B	B	G
	140	B	A	C	B	B	B	G
17	70	A	A	A	B	A	B	G
	140	A	A	A	A	A	A	G
117	70	A	A	C	A	A	B	G
	140	A	A	C	A	A	B	G
83	70	G	G	G	G	G	B	G
	140	F	G	G	G	G	B	G
111	70	F	G	G	F	G	C	G
	140	D	G	G	D	G	B	G
94	70	G	G	G	B	G	B	G
	140	G	E	G	B	G	B	G
192	70	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
112	70	A	A	B	B	G	A	G
	140	A	A	B	B	G	A	G
79	66	B	D	B	A	G	A	G
	132	A	C	B	A	G	A	G
155	70	G	G	E	B	G	B	G
	140	G	G	D	A	G	B	G
66	70	B	A	E	B	A	B	G
	140	B	A	E	B	A	B	G
13	70	B	B	B	A	G	A	G
	140	A	A	B	A	F	A	G
27	70	D	D	D	B	A	B	A
	140	C	C	D	B	A	B	A
77	70	B	A	C	A	A	B	G
	140	A	A	B	A	A	B	G
145	70	E	C	A	A	D	A	G
	140	D	A	A	A	D	A	G
37	70	E	B	A	A	A	B	A
	140	D	B	A	A	A	B	A

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
73	70	B	A	A	B	E	A	E
	140	B	A	A	B	D	A	E
171	70	C	B	B	B	A	B	A
	140	B	A	B	B	A	B	A
43	70	B	A	A	C	D	A	A
	140	B	A	A	C	D	A	A
113	70	B	A	A	A	A	A	A
	140	B	A	A	A	A	A	A
115	70	B	A	D	A	A	B	A
	140	B	A	C	A	A	A	A
110	70	A	A	A	A	A	A	E
	140	A	A	A	A	A	A	E
197	70	A	A	A	A	A	B	D
	140	A	A	B	A	A	B	C
191	70	D	A	A	A	A	A	E
	140	A	A	A	A	A	A	E
137	70	C	G	G	G	G	E	G
	140	B	G	G	E	D	D	G

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
98	70	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G
32	70	D	C	G	A	A	B	G
	140	D	A	E	A	A	B	G
5	70	G	G	G	G	G	B	G
	140	G	G	G	G	G	B	G
151	70	A	n/t	C	A	G	B	G
	140	A	n/t	B	A	G	B	G
87	70	B	n/t	A	B	G	B	D
	140	B	n/t	A	B	G	B	B
123	70	G	A	G	G	A	C	G
	140	G	A	D	G	A	C	E
70	70	A	A	C	A	A	B	D
	140	A	A	B	A	A	A	C
44	70	F	C	G	C	B	B	G
	140	D	A	G	B	A	A	G
65	70	G	A	G	D	A	B	G
	140	G	A	F	C	A	A	F

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
144	70	B	A	B	B	C	B	C
	140	A	A	A	A	B	A	B
148	70	C	G	E	B	B	E	E
	140	C	G	D	A	C	D	D
90	70	B	A	A	A	A	F	A
	140	B	A	A	A	A	C	A
162	70	B	A	A	A	A	B	A
	140	B	A	A	A	A	B	A
68	70	B	A	A	A	A	D	A
	140	B	A	A	A	A	G	A
202	70	A	A	C	A	A	A	D
	140	A	A	B	A	A	A	D
198	70	D	D	C	B	E	A	F
	140	C	A	C	A	D	A	D
208	70	G	G	G	G	F	G	G
	140	G	G	G	G	E	E	G
205	70	A	A	D	A	A	B	E
	140	A	A	B	A	A	A	D

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
176	70	A	A	A	B	A	A	F
	140	A	A	A	B	A	A	E
193	70	D	C	D	B	B	D	G
	140	C	A	D	A	A	C	F
177	70	B	C	F	C	A	F	G
	140	B	B	C	B	A	G	G
179	70	A	A	F	A	A	B	G
	140	A	A	E	A	A	B	G
184	70	A	B	B	B	B	A	G
	140	A	A	A	A	A	A	G
185	70	F	G	F	D	C	C	G
	140	E	G	E	A	B	B	G
174	70	C	A	A	A	A	A	E
	140	C	A	A	A	A	A	D
178	70	C	A	A	A	B	A	F
	140	C	A	A	A	A	A	E
203	70	F	E	F	B	A	D	G
	140	F	A	E	A	A	C	F

Compound No.	Applica-tion Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application						
		ABUTH	AMARE	BRSNN	CHEAL	EPHHL	HELAN	VIOTR
187	70	E	A	C	C	A	E	G
	140	D	A	C	A	A	D	G
195	70	G	G	E	E	F	D	G
	140	G	E	D	C	F	B	G
188	70	C	A	D	A	A	C	G
	140	A	A	D	B	A	C	G
194	70	D	A	D	C	B	B	G
	140	C	A	C	B	B	A	G
201	70	F	F	A	B	E	D	G
	140	D	D	A	C	B	C	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*)
VIOTR: wild pansy (*Viola tricolor*)
g ai/ha: grams active ingredient per hectare
n/t: not tested

Table 6. Post-Emergent Test II Herbicidal Activity on Key Grass and Sedge Weeds as well as Grass Crops

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
20	70	A	A	A	A	A	D	C	A
	140	A	A	A	A	A	D	C	A
216	70	B	F	A	F	B	G	G	B
	140	A	C	A	E	B	G	F	B
217	70	B	B	A	A	B	D	C	B
	140	B	B	A	A	B	C	C	A
135	70	B	D	A	D	C	G	F	C
	140	A	C	A	C	B	G	F	C
156	70	D	D	C	B	D	G	E	C
	140	B	C	B	A	D	G	D	C
16	70	A	D	C	E	D	G	F	B
	140	A	C	A	D	D	G	E	B
95	70	E	G	B	G	D	G	G	G
	140	C	G	A	G	D	G	G	G
31	70	G	D	C	G	G	G	G	G
	140	G	D	B	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
149	70	A	F	A	F	A	G	F	C
	140	A	F	A	F	A	G	E	B
114	70	A	D	A	D	A	G	F	B
	140	A	D	A	C	A	G	E	B
85	70	B	F	A	E	C	G	F	C
	140	B	F	A	C	B	G	F	C
142	70	C	D	C	G	E	G	G	E
	140	B	D	B	G	E	G	F	D
118	70	A	C	A	E	A	F	C	D
	140	A	B	A	D	A	E	C	B
45	70	B	B	A	B	D	E	D	B
	140	A	B	A	B	A	D	C	A
91	70	A	B	A	C	C	G	E	B
	140	A	B	A	B	C	G	E	B
143	70	B	C	A	C	B	G	E	B
	140	B	C	A	C	B	F	D	B
190	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
39	70	D	F	B	G	F	G	F	D
	140	C	E	B	F	D	G	E	D
165	70	A	D	B	D	D	G	E	C
	140	A	D	A	B	D	G	E	A
160	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
204	70	B	D	A	G	C	G	E	C
	140	B	D	A	E	B	G	D	C
186	70	B	E	B	G	D	G	D	C
	140	B	D	A	D	C	F	D	B
209	70	B	E	A	E	A	G	G	C
	140	B	D	A	C	A	G	G	B
134	70	A	C	A	A	B	E	D	E
	140	A	B	A	A	B	E	C	D
80	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
199	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
206	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
180	70	F	G	G	G	G	G	G	G
	140	E	G	G	G	F	G	G	G
213	66	G	G	B	G	C	G	G	D
	132	E	G	B	G	A	G	G	C
196	70	B	E	A	G	C	G	G	G
	140	B	E	A	G	C	G	G	C
181	70	A	G	G	G	G	G	G	G
	140	A	G	G	G	F	G	G	F
212	70	G	G	C	E	D	D	D	C
	140	F	F	B	C	C	D	D	B
211	70	E	G	E	E	G	G	G	F
	140	D	G	B	D	F	G	G	E
109	70	A	B	A	A	B	E	E	B
	140	A	A	A	A	A	E	D	B
147	70	A	B	A	G	A	D	D	A
	140	A	A	A	A	A	C	D	A

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
210	70	G	G	D	C	G	G	G	G
	140	G	G	C	B	G	F	G	E
167	70	B	C	A	B	B	G	D	C
	140	A	C	A	A	B	G	D	B
215	70	A	G	G	G	E	G	G	F
	140	A	G	A	G	D	G	G	E
214	70	A	G	A	G	C	G	G	B
	140	A	G	A	F	B	E	G	B
175	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
7	70	C	C	C	D	B	G	F	A
	140	B	B	A	A	B	G	E	A
62	70	A	B	A	A	C	G	E	C
	140	A	B	A	A	C	G	E	B
64	70	E	D	E	F	F	G	F	A
	140	D	B	B	D	E	G	E	A
76	70	G	C	B	E	E	G	E	G
	140	F	B	A	D	E	F	E	A

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
172	70	A	C	A	A	C	G	E	A
	140	A	C	A	A	C	G	D	A
106	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
49	70	A	D	B	D	A	G	E	A
	140	B	C	A	A	A	G	D	A
21	70	A	C	A	A	A	G	D	A
	140	A	C	A	A	A	G	D	A
132	70	E	B	B	G	B	G	G	A
	140	B	B	C	D	A	G	F	A
157	70	E	C	A	A	B	G	E	A
	140	E	B	A	A	A	G	E	A
152	70	D	E	n/t	G	E	G	G	A
	140	A	C	B	E	E	G	G	A
103	70	G	G	G	G	G	G	G	G
	140	E	G	G	G	G	G	G	G
99	70	n/t	C	A	A	A	G	E	A
	140	A	B	A	A	A	G	D	A

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
67	70	A	D	B	G	B	G	G	G
	140	A	C	A	G	B	G	G	E
158	70	E	D	D	G	B	G	G	G
	140	E	D	C	G	B	G	E	G
141	70	G	C	B	C	B	G	D	A
	140	A	C	A	A	B	G	D	A
104	70	G	G	G	G	G	G	G	E
	140	E	G	G	G	E	G	G	A
133	70	F	G	G	E	D	G	F	A
	140	E	D	D	C	C	G	F	A
71	70	G	D	B	D	F	G	F	A
	140	G	E	B	D	E	G	E	A
121	70	E	G	G	G	E	G	G	A
	140	E	G	G	G	D	G	G	A
168	70	A	C	A	A	A	G	D	A
	140	A	C	A	A	A	G	D	A
4	70	E	D	C	D	C	G	C	G
	140	A	C	B	D	C	G	C	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
97	70	A	E	G	G	G	G	F	A
	140	A	E	D	F	F	G	D	A
18	70	A	G	G	G	F	G	F	A
	140	A	F	E	G	G	G	F	A
54	70	E	G	A	C	D	G	E	A
	140	A	D	A	C	C	G	E	A
88	70	B	G	C	D	C	G	D	E
	140	A	G	C	B	B	G	D	E
59	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
41	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
108	70	E	D	E	E	G	G	F	G
	140	F	D	C	F	F	G	F	E
122	70	A	E	B	n/t	A	G	E	A
	140	A	E	A	A	B	G	E	A
24	70	A	E	A	D	B	G	G	A
	140	A	D	A	B	B	G	F	A

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
52	70	A	B	D	n/t	A	G	F	A
	140	A	B	C	B	A	G	F	A
9	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
163	70	A	C	B	B	B	G	D	E
	140	A	B	B	A	B	G	D	D
169	70	A	C	C	A	A	F	F	A
	140	A	B	C	A	A	F	E	A
22	70	G	G	G	G	G	G	G	G
	140	G	G	E	G	G	G	G	E
50	70	G	G	E	F	G	G	G	A
	140	G	E	C	E	G	G	G	A
82	70	A	G	E	G	G	G	F	A
	140	A	G	B	G	E	G	F	A
72	70	G	E	A	E	B	G	D	D
	140	A	D	A	C	A	G	D	D
35	70	A	E	F	G	E	G	F	A
	140	A	B	B	E	D	G	E	A

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
46	70	A	E	B	C	E	G	E	E
	140	A	D	B	B	D	G	D	E
89	70	E	E	B	B	B	G	G	E
	140	A	D	B	B	A	D	E	A
84	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
154	70	G	G	E	G	G	G	G	G
	140	G	G	E	G	G	G	G	G
129	70	A	D	C	n/t	A	G	E	D
	140	n/t	D	C	n/t	A	G	E	C
38	70	A	C	A	A	B	G	D	B
	140	A	C	A	A	B	G	D	A
183	70	G	G	n/t	G	F	G	G	G
	140	G	G	n/t	G	E	G	G	G
92	70	G	D	n/t	n/t	F	G	F	D
	140	G	D	n/t	n/t	E	G	E	D
140	70	G	G	n/t	n/t	G	G	G	G
	140	G	G	n/t	n/t	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
19	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
8	70	A	C	B	C	A	F	D	D
	140	A	B	B	A	A	E	C	B
26	70	n/t	G	G	G	G	G	E	G
	140	n/t	G	G	G	G	G	E	E
29	70	F	F	G	G	E	G	G	G
	140	E	D	G	G	E	G	G	G
63	70	n/t	D	C	n/t	G	G	D	D
	140	n/t	D	A	A	F	G	D	D
128	70	G	F	E	C	G	G	G	G
	140	G	F	E	C	G	G	G	G
58	70	A	D	G	n/t	E	G	E	D
	140	A	C	C	n/t	E	G	D	D
146	70	A	D	C	n/t	F	G	D	D
	140	A	D	B	n/t	F	G	C	D
47	70	B	C	B	B	B	G	E	B
	140	A	C	B	A	B	G	E	B

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
125	70	A	D	n/t	B	D	G	D	D
	140	A	D	n/t	A	B	G	D	D
189	70	G	G	n/t	G	G	G	G	G
	140	G	G	n/t	G	G	G	G	G
200	70	G	C	C	E	G	G	F	E
	140	E	C	C	C	G	G	F	D
12	70	F	G	G	G	G	G	G	G
	140	E	G	G	G	G	G	G	G
126	70	D	C	A	G	C	G	G	G
	140	F	B	A	C	B	G	G	D
48	140	G	D	C	G	G	G	F	G
23	70	E	C	B	G	B	G	G	G
	140	C	C	A	E	A	G	G	G
10	140	G	C	B	A	C	G	D	D
34	70	D	G	G	C	F	G	D	D
	140	D	E	G	B	E	G	D	D
153	140	E	E	G	C	G	G	D	D
15	140	G	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
33	140	G	G	G	G	G	G	G	G
170	140	G	G	G	G	G	G	G	G
105	140	G	G	G	G	G	G	G	G
1	140	F	G	G	G	G	G	G	G
14	140	G	G	G	G	G	G	G	G
51	70	A	A	A	A	A	G	D	B
	140	A	n/t	A	A	A	G	B	B
42	70	A	C	A	A	A	F	D	C
	140	A	B	A	A	A	F	C	D
55	70	A	B	A	A	B	G	n/t	D
	140	A	B	A	A	A	G	A	B
69	70	E	A	A	A	A	G	D	C
	140	A	A	A	A	A	G	B	C
86	70	A	G	C	G	C	F	G	D
	140	A	G	B	G	n/t	E	G	D
100	70	G	E	G	G	G	G	F	G
	140	G	D	G	G	G	G	D	E
166	140	E	G	G	G	G	G	G	E

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
30	140	G	G	G	G	G	G	G	G
102	140	G	G	G	G	G	G	G	G
25	140	G	G	G	G	G	G	G	G
127	70	A	B	A	A	A	G	D	B
	140	A	A	A	A	A	G	C	B
56	70	A	C	A	A	C	G	D	B
	140	A	B	A	A	B	G	D	B
3	70	A	C	B	A	B	F	D	B
	140	A	B	B	A	B	E	D	B
131	70	B	C	A	A	D	G	D	B
	140	B	C	A	A	C	F	C	B
159	70	A	B	B	C	A	G	D	D
	140	A	B	A	A	A	G	D	C
124	70	A	C	B	D	A	F	E	C
	140	A	B	B	A	A	F	D	C
96	70	A	D	G	D	B	G	D	C
	140	A	C	D	C	B	G	C	C

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
173	70	A	D	C	C	C	G	D	D
	140	A	C	C	B	B	G	D	C
28	140	G	D	G	E	G	G	G	D
130	140	G	G	G	G	G	G	G	G
161	70	A	F	E	B	G	G	D	D
	140	n/t	E	D	B	G	G	D	D
53	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
93	70	A	C	B	C	B	G	E	D
	140	A	A	B	C	A	G	E	C
74	70	A	B	B	C	B	G	E	C
	140	A	B	B	B	B	F	D	C
61	70	E	G	E	G	E	G	F	D
	140	E	G	D	G	D	G	F	D
81	140	G	E	G	D	C	G	E	C
136	70	A	D	G	F	D	G	E	D
	140	A	D	G	E	D	G	E	C

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
78	70	G	G	G	E	G	G	E	G
	140	A	G	G	D	G	G	E	F
116	70	G	E	E	E	F	G	E	E
	140	G	D	D	D	E	G	E	D
2	70	D	n/t	D	E	F	G	E	C
	140	D	D	D	D	D	G	E	C
101	70	E	E	G	G	F	G	F	D
	140	E	D	B	D	E	G	F	D
11	70	E	D	B	D	D	G	E	D
	140	E	D	B	D	C	G	D	D
119	70	E	E	B	D	D	G	E	D
	140	E	D	B	D	D	G	D	D
107	70	G	G	G	G	G	G	G	G
	140	D	G	G	G	G	G	G	G
40	66	G	G	G	G	G	G	G	G
	132	G	G	G	G	G	G	G	G
150	70	G	G	G	G	E	G	F	E
	140	E	G	G	D	E	G	E	D

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
60	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
36	70	G	G	D	G	D	G	E	F
	140	A	G	C	G	D	G	E	E
57	70	A	G	G	G	C	G	G	G
	140	A	G	G	G	A	G	G	G
17	70	A	G	C	G	B	D	F	D
	140	A	G	B	E	A	B	E	C
117	70	A	G	C	G	B	E	G	E
	140	A	G	C	E	B	E	G	D
83	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
111	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
94	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
192	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
112	70	A	D	D	B	B	G	E	D
	140	A	D	D	A	B	G	D	D
79	66	A	D	D	C	D	G	G	D
	132	A	D	D	C	C	G	F	D
155	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
66	70	E	D	G	G	C	G	G	G
	140	A	D	D	G	B	G	G	G
13	70	C	D	D	E	B	G	E	D
	140	C	C	C	C	B	G	D	D
27	70	G	G	G	G	G	G	E	G
	140	A	G	G	G	F	G	E	G
77	70	G	C	D	G	E	G	E	G
	140	E	B	D	G	E	G	E	G
145	70	E	B	C	C	B	G	D	C
	140	E	B	C	C	B	G	D	C
37	70	G	E	C	G	C	G	E	G
	140	G	D	C	D	B	G	D	E

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
73	70	A	G	G	D	B	G	D	D
	140	A	E	D	D	B	G	D	D
171	70	E	G	F	G	G	G	F	D
	140	E	D	D	G	E	G	F	C
43	70	A	C	C	D	A	G	D	C
	140	A	C	D	D	A	F	D	C
113	70	A	C	B	C	B	F	D	B
	140	A	C	B	C	B	D	C	B
115	70	A	B	B	G	B	G	G	G
	140	A	B	B	G	A	G	G	G
110	70	E	C	D	D	B	G	E	E
	140	E	C	C	D	B	G	E	D
197	70	D	E	G	G	D	G	G	D
	140	D	D	C	G	D	G	G	D
191	70	G	D	B	C	E	G	G	E
	140	D	C	C	C	E	G	F	D
137	70	E	G	G	G	G	G	G	G
	140	E	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
98	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
32	70	G	G	C	G	D	G	G	E
	140	E	G	C	G	D	G	G	D
5	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
151	70	G	G	G	G	D	G	G	D
	140	C	G	E	D	D	G	G	C
87	70	G	D	C	D	D	G	D	D
	140	E	D	C	C	D	G	D	D
123	70	G	G	C	G	F	G	G	E
	140	E	G	B	G	F	G	G	D
70	70	A	B	B	F	B	G	C	A
	140	A	A	A	D	A	G	B	A
44	70	F	G	G	G	G	G	F	G
	140	E	G	G	G	G	G	F	G
65	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
144	70	B	D	E	D	C	G	D	D
	140	A	C	C	C	B	G	C	C
148	70	D	G	G	G	G	G	F	G
	140	C	G	G	G	G	F	D	F
90	70	A	B	B	B	A	F	F	D
	140	A	C	B	B	A	G	E	D
162	70	A	C	B	B	B	E	E	D
	140	E	C	C	C	A	E	E	C
68	70	A	C	B	B	A	E	F	G
	140	A	C	C	C	A	E	E	C
202	70	B	F	D	D	D	G	D	F
	140	B	D	A	D	B	G	C	E
198	70	D	G	G	G	G	G	F	G
	140	D	G	G	G	G	G	E	G
208	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
205	70	B	F	B	E	D	G	G	F
	140	A	E	B	C	C	G	F	D

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
176	70	B	E	B	B	D	G	F	G
	140	A	D	B	B	B	G	E	B
193	70	F	G	G	G	G	G	G	G
	140	E	G	G	E	E	G	F	G
177	70	E	G	G	F	G	G	F	G
	140	E	G	D	E	E	G	F	G
179	70	G	G	G	G	G	G	G	G
	140	G	G	F	G	E	G	G	G
184	70	G	G	E	G	G	G	G	G
	140	G	G	D	G	F	G	G	G
185	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
174	70	D	G	D	G	G	G	G	G
	140	B	E	B	E	G	G	G	G
178	70	B	G	D	B	E	G	F	G
	140	B	E	B	B	D	G	E	F
203	70	G	G	G	G	G	G	G	G
	140	C	G	G	C	G	G	G	G

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 14 Days After Application							
		CYPES	DIGSA	ECHCG	SETFA	SORVU	ORYSA	TRZSS	ZEAMX
187	70	G	G	D	D	G	G	G	G
	140	F	G	D	C	G	G	E	G
195	70	G	G	G	G	G	G	G	G
	140	G	G	G	G	G	G	G	G
188	70	G	G	C	G	E	G	G	G
	140	G	G	D	F	G	G	G	G
194	70	G	G	G	E	G	G	G	G
	140	G	G	G	D	G	G	G	G
201	70	G	G	D	G	G	G	G	G
	140	G	G	E	F	E	G	G	G

ECHCG: barnyardgrass (*Echinochloa crus-galli*)
CYPES: yellow nutsedge (*Cyperus esculentus*)
DIGSA: crabgrass (*Digitaria sanguinalis)*
ORYSA: rice (*Oryza sativa*)
SETFA: giant foxtail (*Setaria faberi*)
SORVU: johnsongrass (*Sorghum vulgare*)
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

[00367] 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 d in a greenhouse with an approximate 14 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.

[00368] 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.

[00369] 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 and is presented as indicated in Table A.

[00370] 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), herbicidal injury of a specific compound at various rates 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 provide plant growth reduction (GR) of 20 percent, 50 percent, 80 percent and 90 percent, respectively. Probit analysis was applied to data collected from multiple dose rates of individual compounds utilizing the procedures explained in the following examples. The data for some of the dose rates and analysis of all of the dose rates are captured in the following tables.

[00371] Some of the compounds tested, application rates employed, plant species tested, and results are given in Tables 7 through 11.

Table 7: Activity of Herbicidal Compounds in Wheat and Barley

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
138	35	C	B	C	A	A	D	D	A	E	B	F	D	B	B
	70	B	B	B	A	A	C	B	A	C	B	F	B	B	B
	140	A	A	B	A	A	B	B	A	B	A	E	B	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	11	2	12	1	1	20	16	1	31	8	125	15	--	--
	GR_{80}	30	12	42	4	1	66	51	1	78	32	>140	49	--	--
20	35	C	B	C	A	A	E	A	A	B	A	C	D	B	B
	70	C	B	B	A	A	D	A	A	B	A	A	D	A	B
	140	C	B	B	A	A	D	A	A	B	A	A	C	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	21	2	3	2	1	25	1	1	5	4	8	33	--	--
	GR_{80}	72	12	87	5	1	>140	1	1	25	10	25	>140	--	--
216	35	E	B	F	A	A	G	C	A	G	D	F	E	B	C
	70	D	B	E	A	A	F	C	A	F	C	F	D	B	B
	140	C	A	E	A	A	E	B	A	C	B	C	C	B	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	1
	G_{R50}	54	6	136	1	1	137	10	1	>140	20	52	32	--	--
	GR_{80}	>140	20	>140	2	1	>140	62	1	>140	64	>140	>140	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
217	35	C	B	C	B	A	E	B	A	B	C	D	D	C	C
	70	B	B	B	A	A	D	B	A	B	C	C	D	C	C
	140	B	A	B	A	A	D	B	A	B	C	C	D	C	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	7	2
	GR_{50}	12	6	15	2	1	32	34	1	11	46	28	19	--	--
	GR_{80}	31	15	33	6	2	>140	>140	1	30	>140	88	73	--	--
114	35	G	G	G	D	B	G	G	A	G	G	G	F	G	F
	70	G	G	G	D	B	G	G	A	G	G	G	F	F	E
	140	G	G	G	C	A	G	G	A	G	G	G	F	F	E
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	42	16
	GR_{50}	>140	>140	>140	3	1	>140	>140	1	>140	>140	>140	>140	--	--
	GR_{80}	>140	>140	>140	>140	4	>140	>140	4	>140	>140	>140	>140	--	--
85	35	G	G	G	G	B	G	G	B	G	G	G	F	G	D
	70	G	G	G	G	B	G	G	A	G	G	G	E	F	D
	140	G	G	G	G	B	G	G	A	G	G	G	D	D	D
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	33	1
	GR_{50}	>140	>140	>140	>140	0.014	>140	88	1	>140	>140	57	90	--	--
	GR_{80}	>140	>140	>140	>140	6	>140	>140	3	>140	>140	123	>140	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
142	35	G	G	G	E	B	G	G	B	G	G	G	C	G	G
	70	G	G	G	D	B	G	G	A	G	G	G	B	G	G
	140	G	G	G	D	B	G	F	A	G	G	G	B	G	E
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	57	>140
	GR_{50}	>140	>140	>140	17	0.15	>140	>140	1	>140	>140	>140	5	--	--
	GR_{80}	>140	>140	>140	>140	5	>140	>140	4	>140	>140	>140	40	--	--
118	35	D	D	D	B	A	F	D	A	G	G	F	B	B	C
	70	C	C	B	B	A	D	C	A	D	F	F	B	B	B
	140	B	B	B	A	A	C	B	A	C	D	F	A	B	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	0.29
	GR_{50}	25	22	31	3	1	49	14	1	68	91	1	5	--	--
	GR_{80}	60	60	64	17	1	121	60	1	126	184	1	17	--	--
45	35	C	B	B	B	B	D	B	A	C	D	F	C	B	B
	70	B	B	B	A	A	C	A	A	B	B	F	B	B	B
	140	A	A	A	A	A	B	A	A	A	A	F	B	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	9	8	13	2	1	20	2	1	15	18	75	7	--	--
	GR_{80}	27	25	36	9	6	69	29	1	32	52	>140	30	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
91	35	E	E	E	C	A	G	D	A	F	D	G	F	C	C
	70	E	E	E	B	A	G	C	A	F	C	F	F	C	B
	140	E	D	F	B	A	G	B	A	F	C	E	E	B	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	98	67	29	2	1	>140	11	1	>140	6	>140	123	--	--
	GR_{80}	>140	>140	>140	47	3	>140	75	1	>140	105	>140	>140	--	--
143	35	G	D	G	F	B	G	G	B	G	E	G	F	D	D
	70	D	D	E	F	B	F	D	B	F	E	G	E	C	C
	140	D	C	E	E	B	E	D	B	D	D	G	E	B	C
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	2	0.09
	GR_{50}	71	31	95	>140	1	116	67	1	112	52	>140	97	--	--
	GR_{80}	>140	129	>140	>140	1	>140	>140	8	>140	>140	>140	>140	--	--
39	35	G	F	G	C	A	F	A	A	G	F	G	B	F	C
	70	E	E	G	B	A	E	A	A	F	E	F	B	E	C
	140	E	D	G	B	A	D	A	A	E	D	E	A	D	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	18	1
	GR_{50}	111	70	>140	3	1	82	1	1	118	68	111	1	--	--
	GR_{80}	>140	>140	>140	40	1	>140	1	1	>140	>140	>140	12	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
204	35	G	G	G	B	B	G	G	B	G	G	C	D	F	D
	70	E	F	G	A	A	G	G	B	E	F	C	D	D	C
	140	E	D	F	A	A	G	G	A	D	F	B	D	D	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	16	7
	GR_{50}	105	106	>140	2	1	>140	>140	3	100	>140	7	20	--	--
	GR_{80}	>140	>140	>140	9	8	>140	>140	19	>140	>140	61	>140	--	--
186	35	G	G	G	D	C	G	G	D	G	G	F	F	G	G
	70	G	G	G	D	B	G	G	D	G	G	F	E	G	G
	140	G	G	G	D	B	G	G	C	G	G	F	D	G	G
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	115	>140
	GR_{50}	>140	>140	>140	1	1	>140	>140	1	>140	>140	>140	82	--	--
	GR_{80}	>140	>140	>140	>140	25	>140	>140	>140	>140	>140	>140	>140	--	--
209	35	G	G	G	D	B	G	G	A	G	F	G	D	E	F
	70	G	G	F	B	B	F	G	A	G	E	F	C	D	D
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	16	25
	GR_{50}	>140	>140	88	12	7	93	>140	2	>140	65	>140	20	--	--
	GR_{80}	>140	>140	>140	42	29	>140	>140	5	>140	>140	>140	86	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
109	35	D	B	C	B	A	E	F	A	A	C	D	B	C	D
	70	C	B	B	B	A	E	E	A	A	C	D	A	B	C
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	2	4
	GR_{50}	22	1	14	3	1	34	72	1	6	15	11	2	--	--
	GR_{80}	88	6	41	19	1	>140	>140	1	14	42	>140	13	--	--
147	35	D	B	C	B	B	F	A	A	A	D	F	E	B	C
	70	B	B	B	A	B	E	A	A	A	B	D	C	B	B
	140	B	B	B	B	B	D	A	A	A	B	D	D	B	B
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	0.07	0.07
	GR_{50}	20	5	6	4	1	71	6	1	4	16	61	43	--	--
	GR_{80}	47	16	28	19	8	>140	14	1	14	49	>140	>140	--	--
167	35	F	C	F	D	A	G	C	A	C	F	F	A	E	E
	70	D	B	C	C	A	G	B	A	B	C	F	A	C	D
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	13	14
	GR_{50}	57	20	43	23	1	>140	24	1	22	40	>140	1	--	--
	GR_{80}	119	46	81	52	1	>140	48	1	44	90	>140	2	--	--

Cpd. No.	Applic-ation Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application													
		ALOMY	APESV	BROTE	KCHSC	LAMSS	LOLSS	MATSS	PAPRH	PHAMI	SETVI	STEME	VERPE	HORSS	TRZSS
214	35	F	G	G	C	C	F	C	A	G	G	G	F	G	F
	70	E	F	G	B	C	E	B	A	G	F	F	D	F	E
	140	F	E	G	A	B	B	B	A	G	E	C	C	D	D
	GR_{20}	--	--	--	--	--	--	--	--	--	--	--	--	24	8
	GR_{50}	95	110	>140	7	12	59	4	4	>140	>140	71	62	--	--
	GR_{80}	>140	>140	>140	52	33	>140	41	9	>140	>140	>140	>140	--	--

Table 8: Activity of Herbicidal Compounds in Wheat and Barley

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application										
		CIRAR	GALAP	KCHSC	LAMSS	MATSS	PAPRH	SASKR	VERPE	VIOSS	HORSS	TRZSS
135	35	B	D	C	C	F	B	D	D	D	G	F
	70	B	A	C	B	F	B	D	C	D	F	F
	140	B	A	C	B	E	A	C	B	C	D	E
	GR_{20}	--	--	--	--	--	--	--	--	--	37	16
	GR_{50}	1	12	3	1	125	1	3	24	23	--	--
	GR_{80}	13	38	130	19	>140	1	>140	78	>140	>140	>140
3	35	D	A	A	A	A	A	C	E	A	B	B
	70	C	A	A	A	A	A	B	D	A	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	18	5	2	1	4	1	2	34	4	--	--
	GR_{80}	53	7	8	1	11	1	28	126	7	--	--
124	35	D	B	B	A	C	A	D	C	D	B	B
	70	C	A	B	A	B	A	B	B	A	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	24	6	3	1	9	1	12	20	24	--	--
	GR_{80}	91	21	29	1	32	1	53	39	49	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application										
		CIRAR	GALAP	KCHSC	LAMSS	MATSS	PAPRH	SASKR	VERPE	VIOSS	HORSS	TRZSS
79	35	A	A	D	D	C	A	C	C	G	B	C
	70	A	A	C	D	B	A	B	B	G	B	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	2
	GR_{50}	1	4	24	27	13	1	11	2	>140	--	--
	GR_{80}	5	6	70	72	46	1	43	37	>140	--	--
27	35	C	B	C	B	F	A	D	C	C	F	D
	70	C	B	C	B	F	A	D	B	A	E	C
	GR_{20}	--	--	--	--	--	--	--	--	--	11	11
	GR_{50}	18	5	18	1	109	1	22	5	8	--	--
	GR_{80}	70	25	61	14	>140	1	116	42	27	--	--
145	35	A	E	D	A	A	A	D	B	G	B	B
	70	B	C	D	A	A	A	C	B	G	A	B
	140	A	A	C	A	A	A	B	A	F	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	2	18	37	4	3	1	9	1	>140	--	--
	GR_{80}	7	54	112	13	10	1	79	10	>140	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application										
		CIRAR	GALAP	KCHSC	LAMSS	MATSS	PAPRH	SASKR	VERPE	VIOSS	HORSS	TRZSS
37	35	E	B	E	B	D	A	E	D	C	D	C
	70	C	A	D	A	D	A	D	C	B	C	B
	GR_{20}	--	--	--	--	--	--	--	--	--	5	3
	GR_{50}	23	14	34	1	21	1	33	15	12	--	--
	GR_{80}	103	31	119	11	77	1	104	66	35	--	--
171	35	D	B	B	B	F	A	D	B	A	E	C
	70	D	A	A	A	F	A	C	B	A	D	B
	GR_{20}	--	--	--	--	--	--	--	--	--	20	11
	GR_{50}	17	9	9	2	>140	1	21	4	5	--	--
	GR_{80}	80	23	23	11	>140	1	67	27	10	--	--
43	35	B	A	D	B	B	A	C	F	E	A	B
	70	B	A	B	B	A	A	C	C	D	A	A
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	0.18	2	11	1	3	1	3	33	37	--	--
	GR_{80}	13	6	41	10	7	1	61	>140	>140	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application										
		CIRAR	GALAP	KCHSC	LAMSS	MATSS	PAPRH	SASKR	VERPE	VIOSS	HORSS	TRZSS
113	35	C	A	A	A	B	A	C	B	A	B	B
	70	B	A	A	A	A	A	B	B	A	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	7	5	3	1	4	1	5	3	4	--	--
	GR_{80}	33	12	10	4	15	1	36	18	11	--	--
110	35	C	A	A	A	D	A	C	G	F	B	B
	70	C	A	A	A	B	A	B	G	D	A	B
	GR_{20}	--	--	--	--	--	--	--	--	--	1	1
	GR_{50}	19	1	1	1	10	1	1	>140	51	--	--
	GR_{80}	52	1	1	1	37	1	30	>140	144	--	--

Table 9: Activity of Herbicidal Compounds in Wheat and Barley

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	KCHSC	LOLSS	SETVI	HORSS	TRZSS
76	35	C	G	F	E	D	C
	70	B	E	E	D	D	B
	GR_{20}	--	--	--	--	6	4
	GR_{50}	27	74	53	42	--	--
	GR_{80}	52	132	133	87	--	--
172	35	F	D	G	D	D	C
	70	D	D	G	C	D	C
	GR_{20}	--	--	--	--	3	1
	GR_{50}	53	38	>140	25	--	--
	GR_{80}	124	73	>140	56	--	--
168	35	C	A	G	E	B	B
	70	B	A	E	D	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	21	5	108	28	--	--
	GR_{80}	57	15	>140	70	--	--
35	35	G	C	G	G	D	C
	70	F	B	F	F	D	C
	GR_{20}	--	--	--	--	8	2
	GR_{50}	113	8	126	79	--	--
	GR_{80}	>140	37	>140	>140	--	--
46	35	G	C	G	G	D	C
	70	G	B	F	F	D	C
	140	E	B	E	F	B	B
	GR_{20}	--	--	--	--	8	1
	GR_{50}	>140	10	118	>140	--	--
	GR_{80}	>140	45	>140	>140	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	KCHSC	LOLSS	SETVI	HORSS	TRZSS
154	35	G	G	G	F	G	G
	70	G	G	G	D	G	F
	140	G	G	G	C	E	E
	GR_{20}	--	--	--	--	81	49
	GR_{50}	>140	57	>140	56	--	--
	GR_{80}	>140	93	>140	109	--	--
146	35	A	G	G	E	C	C
	70	A	G	G	C	B	B
	140	A	G	G	A	A	B
	GR_{20}	--	--	--	--	1	1
	GR_{50}	23	>140	>140	41	--	--
	GR_{80}	34	>140	>140	76	--	--
47	35	A	B	G	G	A	B
	70	A	C	E	C	A	A
	140	A	A	D	B	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	10	20	80	51	--	--
	GR_{80}	14	45	>140	104	--	--
125	35	C	D	G	B	B	C
	70	B	B	G	B	A	C
	140	A	A	E	B	A	B
	GR_{20}	--	--	--	--	1	1
	GR_{50}	10	8	>140	2	--	--
	GR_{80}	41	34	>140	16	--	--
51	35	B	B	C	C	B	B
	70	A	A	C	B	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	3	4	3	24	--	--
	GR_{80}	11	14	61	49	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	KCHSC	LOLSS	SETVI	HORSS	TRZSS
42	35	B	B	F	B	B	B
	70	B	D	E	B	B	B
	140	A	A	C	A	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	7	1	76	1	--	--
	GR_{80}	22	1	>140	19	--	--
55	35	C	B	D	C	B	B
	70	A	B	C	B	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	4	4	21	29	--	--
	GR_{80}	18	21	50	46	--	--
159	35	B	B	E	E	B	B
	70	A	A	D	D	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	11	4	36	43	--	--
	GR_{80}	25	19	89	113	--	--
96	35	F	G	E	G	B	B
	70	F	D	D	D	A	B
	140	E	D	D	C	A	A
	GR_{20}	--	--	--	--	1	1
	GR_{50}	125	79	48	72	--	--
	GR_{80}	>140	>140	>140	128	--	--
173	35	D	F	F	F	B	C
	70	C	E	E	E	A	B
	GR_{20}	--	--	--	--	1	1
	GR_{50}	27	60	119	54	--	--
	GR_{80}	59	131	>140	104	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	KCHSC	LOLSS	SETVI	HORSS	TRZSS
28	35	G	G	G	G	G	F
	70	G	F	G	G	F	D
	GR_{20}	--	--	--	--	43	17
	GR_{50}	>140	88	>140	>140	--	--
	GR_{80}	>140	>140	>140	>140	--	--
161	35	D	G	G	F	B	C
	70	C	G	G	D	B	C
	GR_{20}	--	--	--	--	1	1
	GR_{50}	30	>140	38	53	--	--
	GR_{80}	57	>140	82	128	--	--
74	35	B	B	F	C	B	C
	70	B	A	E	B	B	C
	GR_{20}	--	--	--	--	1	1
	GR_{50}	10	3	>140	3	--	--
	GR_{80}	25	11	>140	49	--	--
150	35	G	D	G	G	F	D
	70	G	D	G	F	E	D
	GR_{20}	--	--	--	--	8	1
	GR_{50}	>140	7	>140	79	--	--
	GR_{80}	>140	>140	>140	>140	--	--
36	35	G	G	G	G	F	C
	70	G	G	G	F	D	C
	GR_{20}	--	--	--	--	16	1
	GR_{50}	>140	>140	>140	126	--	--
	GR_{80}	>140	>140	>140	>140	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	KCHSC	LOLSS	SETVI	HORSS	TRZSS
117	35	E	D	E	G	G	G
	70	D	C	D	D	G	F
	GR_{20}	--	--	--	--	73	32
	GR_{50}	41	20	41	59	--	--
	GR_{80}	>140	67	>140	99	--	--

Table 10: Activity of Herbicidal Compounds in Wheat and Barley

Compd. No.	Application Rate (g ai/ha)	Visual Gorowth Reduction (%) 21 days After Application						
		KCHSC	MATSS	SASKR	VERPE	VIOSS	HORSS	TRZSS
49	35	B	D	C	E	A	C	C
	70	B	C	B	D	A	B	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	4	15	1	37	5	--	--
	GR_{80}	18	93	30	>140	8	--	--
21	35	A	B	C	F	A	B	C
	70	A	B	B	G	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	1	5	1	130	4	--	--
	GR_{80}	1	31	25	>140	6	--	--
132	35	B	G	C	G	A	D	D
	70	B	F	C	C	A	C	D
	140	A	F	C	B	A	A	C
	GR_{20}	--	--	--	--	--	9	10
	GR_{50}	4	>140	1	58	9	--	--
	GR_{80}	20	>140	66	99	17	--	--
157	35	B	D	C	F	A	B	C
	70	B	C	B	D	A	A	C
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	6	21	11	50	1	--	--
	GR_{80}	18	64	34	>140	4	--	--
99	35	C	F	C	A	A	B	B
	70	B	E	C	A	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	9	63	10	8	9	--	--
	GR_{80}	27	>140	48	17	19	--	--
141	35	C	C	C	C	A	B	C
	70	B	A	B	B	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	7	15	4	14	7	--	--

Compd. No.	Application Rate (g ai/ha)	Visual Gorowth Reduction (%) 21 days After Application						
		KCHSC	MATSS	SASKR	VERPE	VIOSS	HORSS	TRZSS
	GR_{80}	28	33	40	43	11	--	--
108	35	G	F	G	D	F	F	C
	70	G	D	F	C	F	E	C
	GR_{20}	--	--	--	--	--	16	6
	GR_{50}	>140	58	136	22	85	--	--
	GR_{80}	>140	>140	>140	67	>140	--	--
122	35	B	G	A	A	A	D	C
	70	A	F	A	A	A	B	B
	GR_{20}	--	--	--	--	--	3	1
	GR_{50}	5	>140	<17.5	1	10	--	--
	GR_{80}	14	>140	<17.5	2	21	--	--
52	35	C	G	D	C	A	B	B
	70	B	D	C	A	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	5	62	4	20	12	--	--
	GR_{80}	38	91	84	37	19	--	--
163	35	C	C	C	C	C	B	C
	70	B	A	B	A	A	A	C
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	8	5	3	13	14	--	--
	GR_{80}	36	24	27	30	29	--	--
169	37.1	D	C	D	B	C	A	C
	74.3	B	A	C	A	A	A	B
	149	B	A	B	A	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	8	15	5	19	21	--	--
	GR_{80}	72	35	83	37	36	--	--
72	35	D	G	F	B	F	E	C
	70	D	F	D	A	E	D	B
	GR_{20}	--	--	--	--	--	5	1
	GR_{50}	27	126	48	1	67	--	--

Compd. No.	Application Rate (g ai/ha)	Visual Gorowth Reduction (%) 21 days After Application						
		KCHSC	MATSS	SASKR	VERPE	VIOSS	HORSS	TRZSS
	GR_{80}	105	>140	106	8	>140	--	--
89	35	B	C	B	A	A	D	C
	70	B	B	B	A	A	D	B
	GR_{20}	--	--	--	--	--	3	1
	GR_{50}	12	14	9	3	11	--	--
	GR_{80}	25	36	21	6	19	--	--
129	35	B	G	C	F	C	B	C
	70	A	F	B	E	A	B	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	7	89	6	92	17	--	--
	GR_{80}	21	131	41	>140	34	--	--
38	35	B	D	D	F	A	B	B
	70	B	B	D	D	A	B	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	1	21	17	42	13	--	--
	GR_{80}	24	56	68	112	26	--	--
8	35	A	D	B	A	B	D	C
	70	A	C	B	A	B	C	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	3	26	1	1	13	--	--
	GR_{80}	6	73	16	1	28	--	--
69	35	B	C	B	B	B	B	B
	70	B	B	B	A	A	A	B
	GR_{20}	--	--	--	--	--	1	1
	GR_{50}	5	5	7	1	3	--	--
	GR_{80}	19	29	29	4	8	--	--
86	35	C	D	D	B	D	F	D
	70	C	D	C	B	D	F	D
	GR_{20}	--	--	--	--	--	14	1
	GR_{50}	12	22	18	15	21	--	--
	GR_{80}	55	85	66	34	77	--	--

Table 11: Activity of Herbicidal Compounds in Wheat and Barley

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		KCHSC	MATSS	SASKR	VIOSS	HORSS	TRZSS
149	35	F	E	D	F	D	E
	70	D	D	D	D	C	C
	GR_{20}	18	9	6	11	21	20
	GR_{50}	56	38	24	44	--	--
	GR_{80}	>140	>140	100	>140	--	--
165	35	B	G	C	F	C	D
	70	B	E	B	D	B	C
	GR_{20}	--	--	--	--	9	8
	GR_{50}	9	81	4	55	--	--
	GR_{80}	30	>140	46	>140	--	--

ALOMY: blackgrass (*Alopecurus myosuroides*)

APESV: bentgrass (*Apera spica-venti*)

BROTE: downy brome (*Bromus tectorum*)

HORSS: barley, including spring and winter (*Hordeum vulgare*)

TRZSS: wheat, including spring and winter (*Triticum aestivum*)

LOLSS: ryegrass including, Italian ryegrass (*Lolium multiflorum*), rigid ryegrass (*Lolium rigidum*), annual ryegrass (*Lolium multiflorum subsp. Gaudini)*

PHAMI: lesser canary grass (*Phalaris minor*)

SETVI: green foxtail (*Setaria viridis*)

KCHSC: kochia (*Kochia scoparia*)

LAMSS: including purple deadnettle (*Lamium purpureum*) and henbit (*Lamium amplexicaule*)

GALAP: cleavers (*Galium aparine*)

VERPE: bird’s-eye speedwell (*veronica persica)*

PAPRH: common poppy *(Papaver rhoeas*)

SASKR: Russian thistle (*Salsola iberica*)

CIRAR: Canada thistle (*Cirsium arvense*)

VIOSS: wild pansy (*Viola tricolor*), field violet (*Viola arvensis*)

MATSS: scented mayweed (*Matricaria chamomilla),* pineappleweed (*Matricaria matricarioides)*

STEME: common chickweed (*Stellaria media*).

g ai/ha: grams active ingredient per hectare

nt: Not tested

GR_{20}: Growth reduction of 20% of plant growth

GR_{50}: Growth reduction of 50% of plant growth

GR_{80}: Growth reduction of 80% of plant growth

GR_{90}: Growth reduction of 90% of plant growth

Example E. Evaluation of Preemergent Herbicidal Activity

[00372] Pre-Emergent Test III. Seeds of test species were planted into square plastic pots (10 cm wide) containing sandy loam soil. After planting, all pots were sub-irrigated 16 h prior to compound application.

[00373] 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 water and 0.02% w/v (weight/volume) of Triton X-155 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 water and 0.02% w/v (weight/volume) of Triton X-155 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 soil surface 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. Control pots were sprayed in the same manner with the solvent blank.

[00374] The treated pots and control pots were placed in a greenhouse as described above and watered through surface irrigation. After 21 d, the condition of the test pots as compared with that of the untreated pots was determined visually and scored on a scale of 0 to 100 percent where 0 corresponds to no herbicidal effect and 100 corresponds to plant death or lack of emergence from the soil and is presented as indicated in Table A.

[00375] 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), herbicidal injury of a specific compound at various rates 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 provide plant growth reduction (GR) of 20 percent, 50 percent, 80 percent and 90 percent, respectively. Probit analysis was applied to data collected from multiple dose rates of individual compounds utilizing the procedures explained in the following examples. The data for some of the dose rates and analysis of all of the dose rates are captured in the following tables.

[00376] Some of the compounds tested, application rates employed, plant species tested, and results are given in Table 12.

Table 12: Preemergent Activity of Herbicidal Compounds in Wheat and Barley

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	LAMSS	LOLSS	SETVI	HORSS	TRZSS
20	35	A	A	G	F	F	E
	70	A	A	E	B	E	E
	GR_{20}	--	--	--	--	17	10
	GR_{50}	6	6	>70	32	--	--
	GR_{80}	16	9	>70	71	--	--
147	35	C	A	G	E	G	F
	70	B	A	G	C	G	F
	GR_{20}	--	--	--	--	70	23
	GR_{50}	19	1	52	17	--	--
	GR_{80}	33	5	>70	>70	--	--
214	35	C	A	G	G	G	G
	70	A	A	E	G	G	F
	GR_{20}	--	--	--	--	93	28
	GR_{50}	13	1	>70	>70	--	--
	GR_{80}	28	2	>70	>70	--	--

Compound No.	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application					
		APESV	LAMSS	LOLSS	SETVI	HORSS	TRZSS
49	35	F	A	G	F	G	G
	70	E	A	G	F	G	G
	GR_{20}	--	--	--	--	>70	>70
	GR_{50}	>70	1	>70	>70	--	--
	GR80	>70	3	>70	>70	--	--
42	35	B	A	G	E	F	E
	70	A	A	G	D	E	E
	GR_{20}	--	--	--	--	11	5
	GR_{50}	12	1	>70	36	--	--
	GR_{80}	27	1	>70	>70	--	--

APESV: bentgrass (*Apera spica-venti*)

LAMPU: purple deadnettle (*Lamium purpureum)*

LOLSS: ryegrass including, Italian ryegrass (*Lolium multiflorum*), rigid ryegrass (*Lolium rigidum*), annual ryegrass (*Lolium multiflorum subsp. Gaudini)*

SETVI: green foxtail (*Setaria viridis*)

HORSS: barley, including spring and winter (*Hordeum vulgare*)

TRZSS: wheat, including spring and winter (*Triticum aestivum*)

g ai/ha: grams active ingredient per hectare

nt: Not tested

GR_{20}: Growth reduction of 20% of plant growth

GR_{50}: Growth reduction of 50% of plant growth

GR_{80}: Growth reduction of 80% of plant growth

GR_{90}: Growth reduction of 90% of plant growth

Example F. Evaluation of Postemergence Herbicidal Activity in Direct Seeded Rice

[00377] Seeds or nutlets of the desired test plant species were planted in a soil matrix prepared by mixing a loam soil (43 percent silt, 19 percent clay, and 38 percent sand, with a pH of about 8.1 and an organic matter content of about 1.5 percent) and river sand in an 80 to 20 ratio. The soil matrix was contained in plastic pots with a surface area of 139.7 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 10–17 d in a greenhouse with an approximate 14-h photoperiod which was maintained at about 29 °C during the day and 26 °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.

[00378] A weighed amount, determined by the highest rate to be tested, of each test compound was placed in 25 mL glass vials and dissolved in a volume of 97:3 v/v acetone–DMSO to obtain 12X stock solutions. If the test compound did not dissolve readily, the mixture was warmed and/or sonicated. The concentrated stock solutions were added to the spray solutions so that the final acetone and DMSO concentrations were 16.2% and 0.5%, respectively. Spray solutions were diluted to the appropriate final concentrations with the addition of 10 mL of an aqueous mixture of 1.5% (v/v) Agri-dex crop oil concentrate. The final spray solutions contained 1.25% (v/v) Agri-dex crop oil concentrate. Compound requirements are based upon a 12 mL application volume at a rate of 187 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 (m^2) at a spray height of 18 inches (43 cm) above average plant canopy height. Control plants were sprayed in the same manner with the solvent blank.

[00379] The treated plants and control plants were placed in a greenhouse as described above and watered by sub-irrigation to prevent wash-off of the test compounds. After 20–22 d, the condition of the test plants, 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 and is presented as indicated in Table A.

[00380] 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), herbicidal injury of a specific compound at various rates 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 provide plant growth reduction (GR) of 20 percent, 50 percent, 80 percent and 90 percent, respectively. Probit analysis was applied to data collected from multiple dose rates of individual compounds utilizing the procedures explained in the following examples. The

data for some of the dose rates and analysis of all of the dose rates is captured in the following tables.

[00381] Some of the application rates and ratios employed, plant species tested, and results are given in Table 13.

Table 13: Activity of Herbicidal Compounds in Direct Seeded Rice

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
216	35	B	B	B	B	A	A	G
	70	B	B	A	A	A	A	G
	GR_{20}	--	--	--	--	--	--	>70
	GR_{50}	8	10	4	8	1	1	--
	GR_{80}	27	70	15	23	1	1	--
217	35	A	A	A	A	A	A	E
	70	A	A	A	A	A	A	C
	GR_{20}	--	--	--	--	--	--	10
	GR_{50}	3	5	1	1	1	1	--
	GR_{80}	5	11	1	3	1	1	--
135	35	B	C	C	G	B	A	G
	70	B	B	C	D	A	A	G
	GR_{20}	--	--	--	--	--	--	>70
	GR_{50}	4	5	17	57	2	1	--
	GR_{80}	11	49	70	114	5	1	--
165	35	B	C	B	G	nt	A	G

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
	70	A	C	A	A	nt	A	F
	GR_{20}	--	--	--	--	--	--	44
	GR_{50}	12	19	10	24	--	1	--
	GR_{80}	27	67	24	56	--	1	--
134	35	A	A	A	A	nt	A	D
	70	A	A	A	A	A	A	B
	GR_{20}	--	--	--	--	--	--	5
	GR_{50}	3	6	1	6	1	1	--
	GR_{80}	12	13	1	15	1	1	--
122	35	C	A	C	G	A	A	G
	70	B	A	B	G	A	A	G
	GR_{20}	--	--	--	--	--	--	70
	GR_{50}	5	1	6	>70	1	1	--
	GR_{80}	42	1	47	>70	1	1	--

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
8	35	A	A	A	G	A	A	C
	70	A	A	A	F	A	A	B
	GR_{20}	--	--	--	--	--	--	2
	GR_{50}	4	1	2	>70	1	3	--
	GR_{80}	9	1	6	>70	1	5	--
58	35	G	A	G	G	A	A	G
	70	G	A	G	E	A	A	G
	GR_{20}	--	--	--	--	--	--	>70
	GR_{50}	>70	4	>70	>70	5	2	--
	GR_{80}	>70	8	>70	>70	8	4	--
146	35	D	A	B	G	A	A	F
	70	A	A	B	C	A	A	D
	GR_{20}	--	--	--	--	--	--	18
	GR_{50}	8	1	17	44	1	3	--
	GR_{80}	29	1	32	87	1	4	--
47	35	F	A	F	C	A	A	G
	70	F	A	G	G	A	A	G
	GR_{20}	--	--	--	--	--	--	>70

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
	GR_{50}	>70	1	>70	>70	1	1	--
	GR_{80}	>70	3	>70	>70	1	1	--
125	35	E	A	E	E	A	A	G
	70	D	A	D	D	A	A	G
	GR_{20}	--	--	--	--	--	--	0
	GR_{50}	46	4	40	43	1	1	--
	GR_{80}	>70	10	>70	>70	1	1	--
159	35	A	A	A	A	A	A	E
	70	A	A	A	A	A	A	D
	GR_{20}	--	--	--	--	--	--	12
	GR_{50}	3	2	2	12	1	1	--
	GR_{80}	8	5	4	19	1	1	--
124	35	A	A	A	A	A	A	D
	70	A	A	A	A	A	A	B
	GR_{20}	--	--	--	--	--	--	1
	GR_{50}	4	1	2	7	1	1	--
	GR_{80}	8	1	6	16	1	1	--
96	35	D	A	E	B	A	A	G

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
	70	B	A	B	C	A	A	G
	GR_{20}	--	--	--	--	--	--	130
	GR_{50}	19	3	29	27	1	1	--
	GR_{80}	58	6	84	58	1	1	--
173	35	C	A	C	E	A	A	E
	70	A	A	A	A	A	A	D
	GR_{20}	--	--	--	--	--	--	16
	GR_{50}	8	2	12	24	1	1	--
	GR_{80}	26	4	33	47	1	1	--
93	35	A	A	A	A	A	A	E
	70	A	A	A	A	A	A	D
	GR_{20}	--	--	--	--	--	--	13
	GR_{50}	1	1	1	6	1	1	--
	GR_{80}	1	2	1	11	1	1	--

Compound No	Application Rate (g ai/ha)	Visual Growth Reduction (%) 21 Days After Application						
		BRAPP	CYPSS	ECHSS	LEFSS	SCPJU	SEBEX	ORYSS
74	35	A	A	A	A	A	A	D
	70	A	A	A	A	A	A	D
	GR_{20}	--	--	--	--	--	--	4
	GR_{50}	1	5	1	6	1	1	--
	GR_{80}	5	10	1	13	1	1	--
11	35	D	A	B	G	A	A	F
	70	B	A	A	G	A	A	E
	GR_{20}	--	--	--	--	--	--	25
	GR_{50}	13	1	11	175	1	3	--
	GR_{80}	44	1	25	463	1	7	--

BRAPP: broadleaf signalgrass (*Brachiaria platyphylla*)

CYPSS: sedge, including small-flower flatsedge (*Cyperus difformis*), yellow nutsedge (*Cyperus esculentus*), rice flatsedge (*Cyperus iria*)

ECHSS: including barnyardgrass, (*Echinochloa crus-galli*), junglerice, (*Echinochloa colonum*)

LEFSS: sprangletop, including Chinese sprangletop (*Leptochloa chinensis*), green sprangletop (*Leptochloa dubia*)

SCPJU: Japanese bulrush, *Schoenoplectus juncoides*

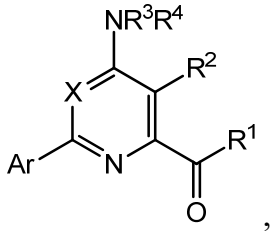
SEBEX : hemp sesbania (*Sesbania exaltata*)

ORYSS : *Oryza sativa*

nt: Not tested
g ai/ha : grams active ingredient per hectare

WHAT IS CLAIMED IS:

1. A compound of Formula (I):



(I)

wherein

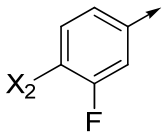
X is CH, CF, CCl, or CCH_3;

R^1 is $OR^{1'}$, wherein $R^{1'}$ is H or C_1-C_8 alkyl;

R^2 is halogen;

R^3 and R^4 are each 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, hydroxy, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, formyl, (C_1-C_3 alkyl)carbonyl, (C_1-C_3 haloalkyl)carbonyl, or (C_1-C_6 alkoxy)carbonyl;

Ar is Ar2:



Ar2

wherein

X_2 is H, F, Cl, Br, I, ethynyl, haloethynyl, CH_3, CFH_2, CF_2H, CF_3, OCF_2H, OCF_3, CN, $CONH_2$, CO_2H, or NO_2;

with provisos that:

i) X_2 is not Cl, when R^2 is Cl and X is CH;

or an N-oxide or agriculturally acceptable salt thereof.

2. The compound of claim 1, wherein $R^{1'}$ is H or methyl.

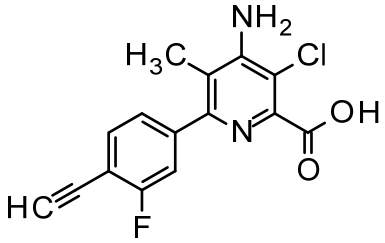
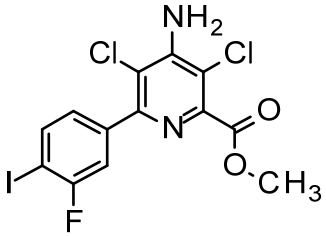
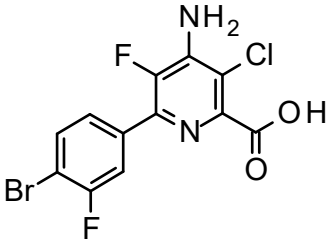
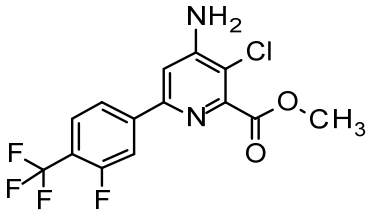
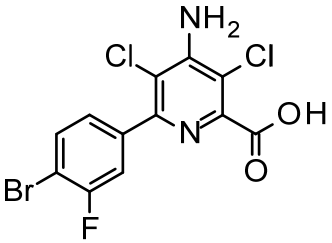
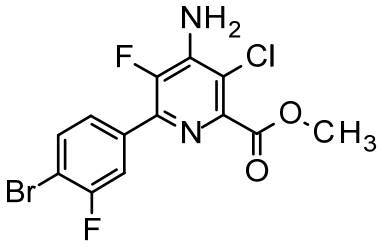
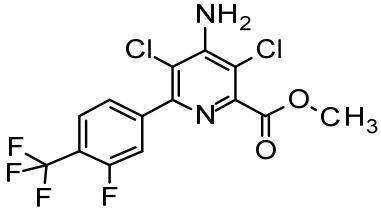
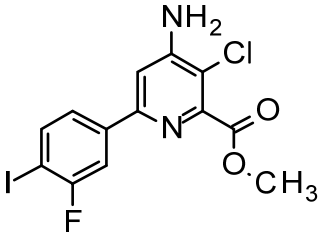
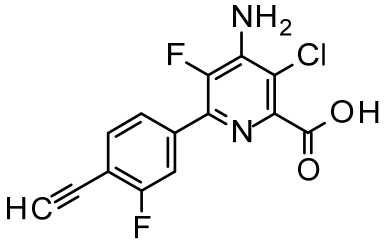
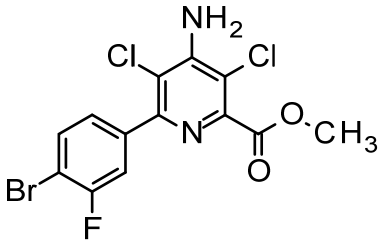
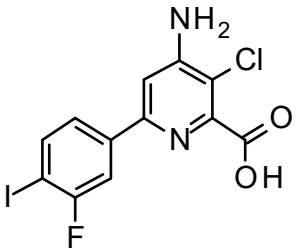
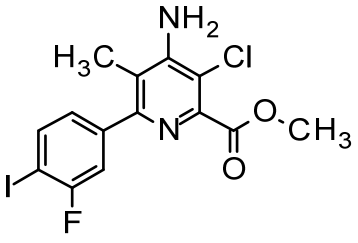
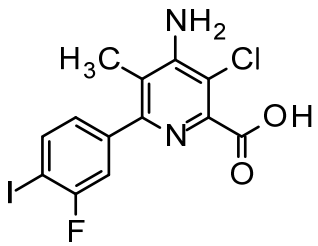
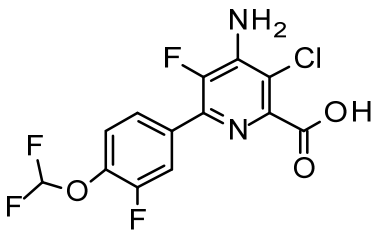
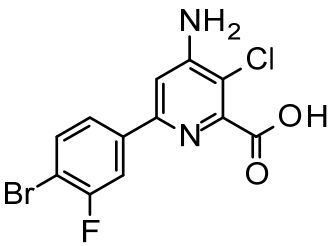
3. The compound of claim 1, wherein R^2 is Cl.

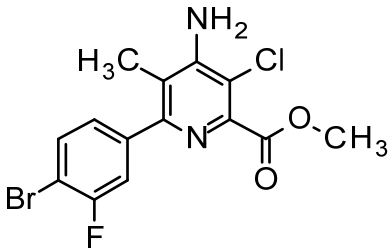
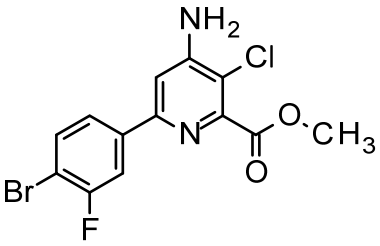
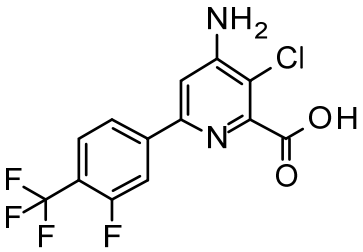
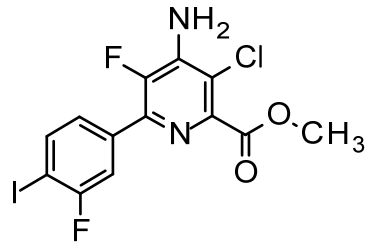
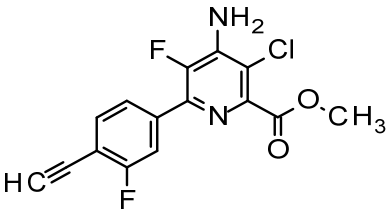
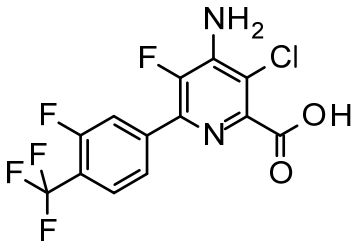
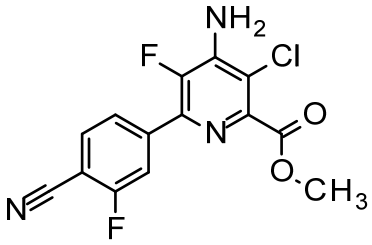
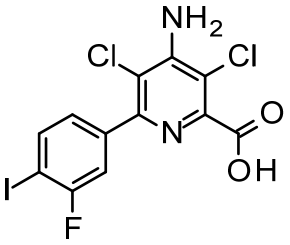
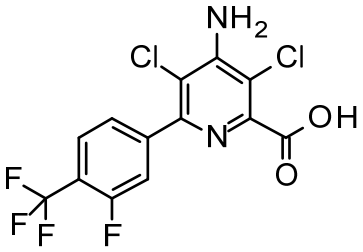
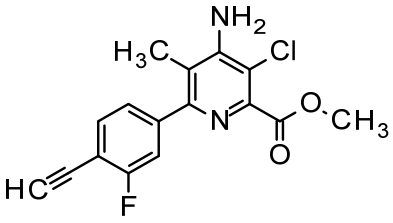
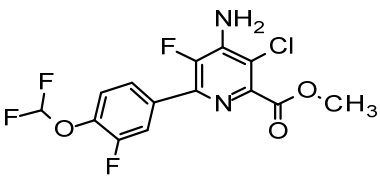
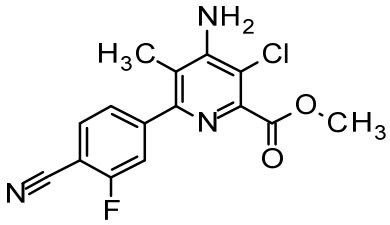
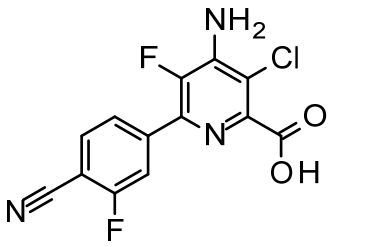
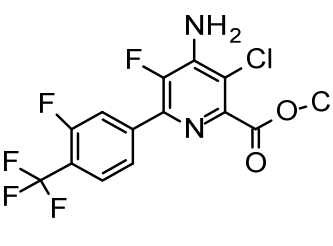
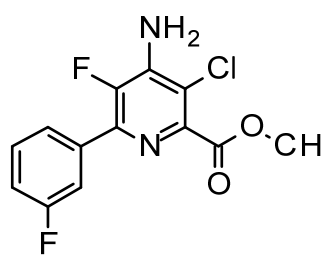
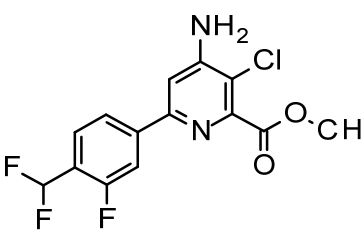
4. The compound of claim 1, wherein R^3 and R^4 are hydrogen.

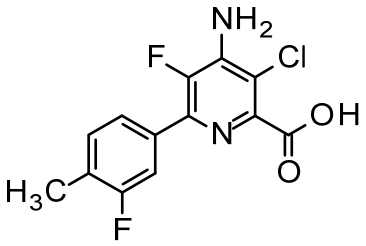
5. The compound of claim 1, wherein X_2 is H, Cl, Br, I, ethynyl, CH_3, CF_2H, CF_3, OCF_2H, or CN.

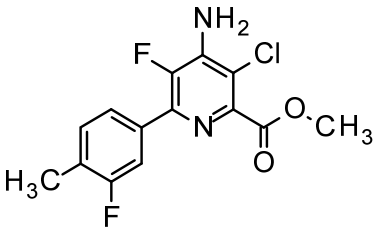
6. The compound of claim 1, wherein X_2 is Br or I.

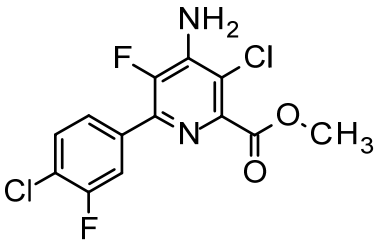
7. The compound of claim 1 or an N-oxide or agriculturally acceptable salt thereof, wherein the compound is:

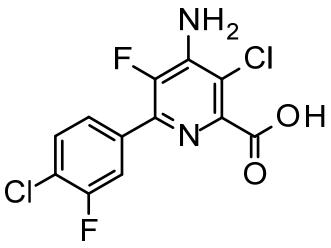






















or 

8. A herbicidal composition comprising the compound of claim 1 or an N-oxide or agriculturally acceptable salt thereof, and an agriculturally acceptable adjuvant or carrier.

9. The composition of claim 8, further comprising at least one additional herbicidal compound.

10. The composition of claim 8 or 9, further comprising a safener.

11. A method for controlling undesirable vegetation, which comprises applying the compound of claim 1, or the composition of any one of claims 8-10.