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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
26 June 2014 (26.06.2014)

WIPO | PCT

(10) International Publication Number
WO 2014/100166 A1

(51) International Patent Classification:
A01N 37/46 (2006.01)

(21) International Application Number:
PCT/US2013/076101

(22) International Filing Date:
18 December 2013 (18.12.2013)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/739,038 19 December 2012 (19.12.2012) US

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

(72) Inventors: **LO, William C.**; 12027 Weathered Edge Drive, Fishers, IN 46037 (US). **HUNTER, James E.**; 5953 North Evanston Avenue, Indianapolis, IN 46220 (US). **WATSON, Gerald B.**; 535 Quail Valley Drive, Zionsville, IN 46077 (US). **PATNY, Akshay**; 35 Crescent Street, Apt 410, Waltham, MA 02453 (US). **IYER, Pravin S.**; 302 Manbhum Millenium Residency, St. 10 West Marredpally, Secunderabad 500026 (IN). **BORUWA, Joshodeep**; 2-5/87 Navaratna House, Habsiguda Street No 2, Hyderabad 500007 (IN).

(74) Agent: **CORVIN, Carl**; Dow AgroSciences LLC, 9330 Zionsville Rd, Indianapolis, Indiana 46268 (US).

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

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

Declarations under Rule 4.17:

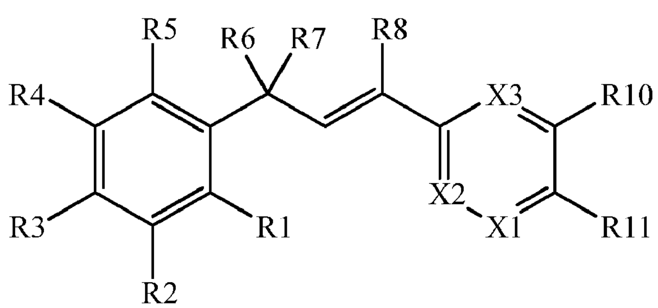
— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

Published:

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

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(54) Title: PESTICIDAL COMPOSITIONS AND PROCESSES RELATED THERETO



Formula One

(57) Abstract: This document discloses molecules having the following formula ("Formula One"): and processes associated therewith.

WO 2014/100166 A1

PESTICIDAL COMPOSITIONS AND PROCESSES RELATED THERETO

CROSS REFERENCE TO RELATED APPLICATIONS

This application claims the benefit of U.S. Provisional Patent Application Serial No. 61/739,038 filed December 19, 2012, the entire disclosure of which is hereby expressly incorporated by reference.

FIELD OF THE DISCLOSURE

The invention disclosed in this document is related to the field of processes to produce molecules that are useful as pesticides (*e.g.*, acaricides, insecticides, molluscicides, and nematicides), such molecules, and processes of using such molecules to control pests.

BACKGROUND OF THE DISCLOSURE

Pests cause millions of human deaths around the world each year. Furthermore, there are more than ten thousand species of pests that cause losses in agriculture. The world-wide agricultural losses amount to billions of U.S. dollars each year.

Termites cause damage to all kinds of private and public structures. The world-wide termite damage losses amount to billions of U.S. dollars each year.

Stored food pests eat and adulterate stored food. The world-wide stored food losses amount to billions of U.S. dollars each year, but more importantly, deprive people of needed food.

There is an acute need for new pesticides. Certain pests are developing resistance to pesticides in current use. Hundreds of pest species are resistant to one or more pesticides. The development of resistance to some of the older pesticides, such as DDT, the carbamates, and the organophosphates, is well known. But resistance has even developed to some of the newer pesticides, for example, imidacloprid.

Therefore, for many reasons, including the above reasons, a need exists for new pesticides.

DEFINITIONS

The examples given in the definitions are generally non-exhaustive and must not be construed as limiting the invention disclosed in this document. It is understood that a substituent should comply with chemical bonding rules and steric compatibility constraints in relation to the particular molecule to which it is attached.

"**Alkenyl**" means an acyclic, unsaturated (at least one carbon-carbon double bond), branched or unbranched, substituent consisting of carbon and hydrogen, for example, vinyl, allyl, butenyl, pentenyl, and hexenyl.

"**Alkenyloxy**" means an alkenyl further consisting of a carbon-oxygen single bond, for example, allyloxy, butenyloxy, pentenyloxy, hexenyloxy.

"**Alkoxy**" means an alkyl further consisting of a carbon-oxygen single bond, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy, and *tert*-butoxy.

"**Alkyl**" means an acyclic, saturated, branched or unbranched, substituent consisting of carbon and hydrogen, for example, methyl, ethyl, (C_3)alkyl which represents *n*-propyl and isopropyl), (C_4)alkyl which represents *n*-butyl, *sec*-butyl, isobutyl, and *tert*-butyl.

"**Alkynyl**" means an acyclic, unsaturated (at least one carbon-carbon triple bond), branched or unbranched, substituent consisting of carbon and hydrogen, for example, ethynyl, propargyl, butynyl, and pentynyl.

"**Alkynyloxy**" means an alkynyl further consisting of a carbon-oxygen single bond, for example, pentynyloxy, hexynyloxy, heptynyloxy, and octynyloxy.

"**Aryl**" means a cyclic, aromatic substituent consisting of hydrogen and carbon, for example, phenyl, naphthyl, and biphenyl.

"**$(C_x$-$C_y)$**" where the subscripts "x" and "y" are integers such as 1, 2, or 3, means the range of carbon atoms for a substituent – for example, $(C_1$-$C_4)$alkyl means methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *sec*-butyl, isobutyl, and *tert*-butyl, each individually.

"**Cycloalkenyl**" means a monocyclic or polycyclic, unsaturated (at least one carbon-carbon double bond) substituent consisting of carbon and hydrogen, for example, cyclobutenyl, cyclopentenyl, cyclohexenyl, norbornenyl, bicyclo[2.2.2]octenyl, tetrahydronaphthyl, hexahydronaphthyl, and octahydronaphthyl.

"**Cycloalkenyloxy**" means a cycloalkenyl further consisting of a carbon-oxygen single bond, for example, cyclobutenyloxy, cyclopentenyloxy, norbornenyloxy, and bicyclo[2.2.2]octenyloxy.

"**Cycloalkyl**" means a monocyclic or polycyclic, saturated substituent consisting of carbon and hydrogen, for example, cyclopropyl, cyclobutyl, cyclopentyl, norbornyl, bicyclo[2.2.2]octyl, and decahydronaphthyl.

"**Cycloalkoxy**" means a cycloalkyl further consisting of a carbon-oxygen single bond, for example, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, norbornyloxy, and bicyclo[2.2.2]octyloxy.

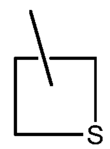
"**Halo**" means fluoro, chloro, bromo, and iodo.

"**Haloalkoxy**" means an alkoxy further consisting of, from one to the maximum possible number of identical or different, halos, for example, fluoromethoxy, trifluoromethoxy, 2,2-difluoropropoxy, chloromethoxy, trichloromethoxy, 1,1,2,2-tetrafluoroethoxy, and pentafluoroethoxy.

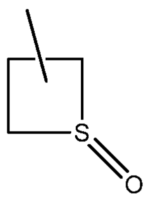
"**Haloalkyl**" means an alkyl further consisting of, from one to the maximum possible number of, identical or different, halos, for example, fluoromethyl, trifluoromethyl, 2,2-difluoropropyl, chloromethyl, trichloromethyl, and 1,1,2,2-tetrafluoroethyl.

"**Heterocyclyl**" means a cyclic substituent that may be fully saturated, partially unsaturated, or fully unsaturated, where the cyclic structure contains at least one carbon and at least one heteroatom, where said heteroatom is nitrogen, sulfur, or oxygen. In the case of sulfur, that atom can be in other oxidation states such as a sulfoxide and sulfone. Examples of aromatic heterocyclyls include, but are not limited to, benzofuranyl, benzoisothiazolyl, benzoisoxazolyl, benzoxazolyl, benzothienyl, benzothiazolyl, cinnolinyl, furanyl, imidazolyl, indazolyl, indolyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, oxadiazolyl, oxazolinyl, oxazolyl, phthalazinyl, pyrazinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl, quinoxalinyl, tetrazolyl, thiazolinyl, thiazolyl, thienyl, triazinyl, and triazolyl. Examples of fully saturated heterocyclyls include, but are not limited to, piperazinyl, piperidinyl, morpholinyl, pyrrolidinyl, oxetanyl, tetrahydrofuranyl, tetrahydrothienyl and tetrahydropyranyl. Examples of partially unsaturated heterocyclyls include, but are not limited to, 1,2,3,4-tetrahydroquinolinyl, 4,5-dihydro-oxazolyl, 4,5-dihydro-1*H*-pyrazolyl, 4,5-dihydro-isoxazolyl, and 2,3-dihydro-[1,3,4]-oxadiazolyl.

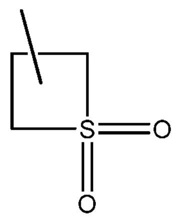
Additional examples include the following



thietanyl



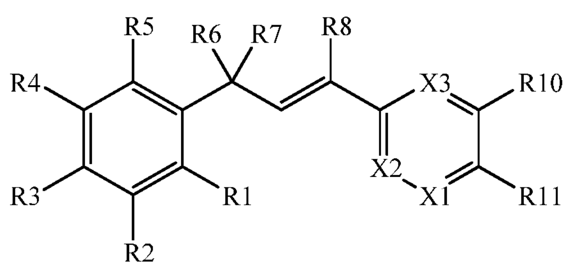
thietanyl-oxide



thietanyl-dioxide.

DETAILED DESCRIPTION OF THE DISCLOSURE

This document discloses molecules having the following formula ("**Formula One**"):



Formula One

wherein:

(a) **R1** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$alkoxy, halo$(C_1\text{-}C_8)$alkoxy, $S(C_1\text{-}C_8)$alkyl, S(halo$(C_1\text{-}C_8)$alkyl), $S(O)(C_1\text{-}C_8)$alkyl, S(O)(halo$(C_1\text{-}C_8)$alkyl), $S(O)_2(C_1\text{-}C_8)$alkyl, $S(O)_2$(halo$(C_1\text{-}C_8)$alkyl), N(R14)(R15),

(2) **substituted $(C_1\text{-}C_8)$alkyl**, wherein said substituted $(C_1\text{-}C_8)$alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo$(C_1\text{-}C_8)$alkyl**, wherein said substituted halo$(C_1\text{-}C_8)$alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted $(C_1\text{-}C_8)$alkoxy**, wherein said substituted $(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo$(C_1\text{-}C_8)$alkoxy**, wherein said substituted halo$(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2;

(b) **R2** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$alkoxy, halo$(C_1\text{-}C_8)$alkoxy, $S(C_1\text{-}C_8)$alkyl, S(halo$(C_1\text{-}C_8)$alkyl), $S(O)(C_1\text{-}C_8)$alkyl, S(O)(halo$(C_1\text{-}C_8)$alkyl), $S(O)_2(C_1\text{-}C_8)$alkyl, $S(O)_2$(halo$(C_1\text{-}C_8)$alkyl), N(R14)(R15),

(2) **substituted $(C_1\text{-}C_8)$alkyl**, wherein said substituted $(C_1\text{-}C_8)$alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo$(C_1\text{-}C_8)$alkyl**, wherein said substituted halo$(C_1\text{-}C_8)$alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted $(C_1\text{-}C_8)$alkoxy**, wherein said substituted $(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo$(C_1\text{-}C_8)$alkoxy**, wherein said substituted halo$(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2;

(c) **R3** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$alkoxy, halo$(C_1\text{-}C_8)$alkoxy, $S(C_1\text{-}C_8)$alkyl, S(halo$(C_1\text{-}C_8)$alkyl), $S(O)(C_1\text{-}C_8)$alkyl, S(O)(halo$(C_1\text{-}C_8)$alkyl), $S(O)_2(C_1\text{-}C_8)$alkyl, $S(O)_2$(halo$(C_1\text{-}C_8)$alkyl), N(R14)(R15),

(2) **substituted $(C_1\text{-}C_8)$alkyl**, wherein said substituted $(C_1\text{-}C_8)$alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo$(C_1\text{-}C_8)$alkyl**, wherein said substituted halo$(C_1\text{-}C_8)$alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted $(C_1\text{-}C_8)$alkoxy**, wherein said substituted $(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo$(C_1\text{-}C_8)$alkoxy**, wherein said substituted halo$(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2;

(d) **R4** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$alkoxy, halo$(C_1\text{-}C_8)$alkoxy, $S(C_1\text{-}C_8)$alkyl, S(halo$(C_1\text{-}C_8)$alkyl), $S(O)(C_1\text{-}C_8)$alkyl, S(O)(halo$(C_1\text{-}C_8)$alkyl), $S(O)_2(C_1\text{-}C_8)$alkyl, $S(O)_2$(halo$(C_1\text{-}C_8)$alkyl), N(R14)(R15),

(2) **substituted $(C_1\text{-}C_8)$alkyl**, wherein said substituted $(C_1\text{-}C_8)$alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo$(C_1\text{-}C_8)$alkyl**, wherein said substituted halo$(C_1\text{-}C_8)$alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted $(C_1\text{-}C_8)$alkoxy**, wherein said substituted $(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo$(C_1\text{-}C_8)$alkoxy**, wherein said substituted halo$(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2;

(e) **R5** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, $(C_1\text{-}C_8)$alkoxy, halo$(C_1\text{-}C_8)$alkoxy, $S(C_1\text{-}C_8)$alkyl, S(halo$(C_1\text{-}C_8)$alkyl), $S(O)(C_1\text{-}C_8)$alkyl, S(O)(halo$(C_1\text{-}C_8)$alkyl), $S(O)_2(C_1\text{-}C_8)$alkyl, $S(O)_2$(halo$(C_1\text{-}C_8)$alkyl), N(R14)(R15),

(2) **substituted $(C_1\text{-}C_8)$alkyl**, wherein said substituted $(C_1\text{-}C_8)$alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo$(C_1\text{-}C_8)$alkyl**, wherein said substituted halo$(C_1\text{-}C_8)$alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted $(C_1\text{-}C_8)$alkoxy**, wherein said substituted $(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo$(C_1\text{-}C_8)$alkoxy**, wherein said substituted halo$(C_1\text{-}C_8)$alkoxy has one or more substituents selected from CN and NO_2;

(f) **R6** is a $(C_1\text{-}C_8)$haloalkyl;

(g) **R7** is selected from H, F, Cl, Br, I, OH, $(C_1\text{-}C_8)$alkoxy, and halo$(C_1\text{-}C_8)$alkoxy;

(h) **R8** is selected from H, $(C_1\text{-}C_8)$alkyl, halo$(C_1\text{-}C_8)$alkyl, OR14, and N(R14)(R15);

(i) **R9** is selected from H, F, Cl, Br, I, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, OR14, and N(R14)(R15);

(j) **R10** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $S(O)(C_1-C_8)$alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2(C_1-C_8)$alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), NR14R15, C(=O)H, C(=O)N(R14)(R15), CN(R14)(R15)(=NOH), $(C=O)O(C_1-C_8)$alkyl, (C=O)OH, heterocyclyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl,

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from OH, (C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, $S(O)(C_1-C_8)$alkyl, $S(O)_2(C_1-C_8)$alkyl, NR14R15, and

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from (C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, $S(O)(C_1-C_8)$alkyl, $S(O)_2(C_1-C_8)$alkyl, and N(R14)(R15);

(k) **R11** is $C(=X5)N(H)((C_0-C_8)alkyl)N(R11a)(C(=X5)(R11b))$

wherein each X5 is independently selected from O or S, and

wherein each R11a is independently selected from H, (C_1-C_8)alkyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl, cyclo(C_3-C_8)alkyl, and substituted cyclo(C_3-C_8)alkyl,

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, OC(=O)H, OH, $S(C_1-C_8)$alkyl, $S(O)(C_1-C_8)$alkyl, $S(O)_2(C_1-C_8)$alkyl, $OS(O)_2$aryl, $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, wherein each said substituted aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, wherein each said substituted heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), $C(=O)(C_1-C_8)$alkyl, $C(=O)(C_3-C_6)$cycloalkyl, $S(=O)_2(C_1-C_8)$alkyl, NR14R15, and oxo, wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

wherein said substituted cyclo(C_3-C_8)alkyl, has one or more substituents selected from CN and NO_2

wherein each R11b is independently selected from (C_1-C_8)alkyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl, cyclo(C_3-C_8)alkyl, substituted cyclo(C_3-C_8)alkyl, (C_2-C_8)alkenyl, and (C_2-C_8)alkynyl,

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, OC(=O)H, OH, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, $S(O)_2$(C_1-C_8)alkyl, $OS(O)_2$aryl, N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, wherein each said substituted aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, wherein each said substituted heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), C(=O)(C_1-C_8)alkyl, C(=O)(C_3-C_6)cycloalkyl, $S(=O)_2$(C_1-C_8)alkyl, NR14R15, and oxo, wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo,

wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

wherein said substituted cyclo(C_3-C_8)alkyl, has one or more substituents selected from CN and NO_2;

(l) **R12** is selected from **(v)**, H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, and cyclo(C_3-C_6)alkyl;

(m) **R13** is selected from **(v)**, H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(n) **each R14** is independently selected from H, (C_1-C_8)alkyl, (C2-C8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl,

(C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-C(=O)N(R16)(R17), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl),C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, C(=O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), heterocyclyl, C(=O)(C_1-C_8)alkyl, C(=O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(o) **each R15** is independently selected from H, (C_1-C_8)alkyl, (C2-C8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-C(=O)N(R16)(R17), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl), C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, C(=O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), heterocyclyl, C(=O)(C_1-C_8)alkyl, C(=O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(p) **each R16** is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(q) **each R17** is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2, wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $N((C_1-C_8)alkyl)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(r) **X1** is selected from N and CR12;

(s) **X2** is selected from N, CR9, and CR13;

(t) **X3** is selected from N and CR9; and

(v) R12 and R13 together form a linkage containing 3 to 4 atoms selected from C, N, O, and S, wherein said linkage connects back to the ring to form a 5 to 6 member saturated or unsaturated cyclic ring, wherein said linkage has at least one substituent X4 wherein X4 is selected from R14, N(R14)(R15), N(R14)(C(=O)R14), N(R14)(C(=S)R14), N(R14)(C(=O)N(R14)(R14)), N(R14)(C(=S)N(R14)(R14)), N(R14)(C(=O)N(R14)((C2-C8)alkenyl)), N(R14)(C(=S)N(R14)((C2-C8)alkenyl)), wherein each R14 is independently selected.

In another embodiment of this invention R1 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R2 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R3 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl,

(C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R4 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R5 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R2 and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, R3, and R5 are H.

In another embodiment of this invention R2, R3, and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, and R5 are H.

In another embodiment of this invention R2, R3, and R4 are independently selected from F and Cl and R1and R5 are H.

In another embodiment of this invention R1 is selected from Cl and H.

In another embodiment of this invention R2 is selected from CF_3, CH_3, Cl, F, and H.

In another embodiment of this invention R3 is selected from OCH_3, CH_3, F, Cl, or H.

In another embodiment of this invention R4 is selected from CF_3, CH_3, Cl, F, and H.

In another embodiment of this invention R5 is selected from F, Cl, and H.

In another embodiment of this invention R6 may be selected from any combination of one or more of the following - halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

In another embodiment of this invention R6 is trifluoromethyl.

In another embodiment of this invention R7 may be selected from any combination of one or more of the following - H, F, Cl, Br, and I.

In another embodiment of this invention R7 is selected from H, OCH_3, and OH.

In another embodiment of this invention R8 may be selected from any combination of one or more of the following - H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

In another embodiment of this invention R8 is selected from CH_3 and H.

In another embodiment of this invention R9 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R10 may be selected from any combination of one or more of the following – H, F, Cl, Br, I, CN, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, halo(C_8)alkoxy, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

In another embodiment of this invention R10 may be selected from any combination of one or more of the following - H, Cl, Br, CH_3, and CF_3.

In another embodiment of this invention R10 is selected from Br, $C(=NOH)NH_2$, C(=O)H, $C(=O)NH_2$, $C(=O)OCH_2CH_3$, C(=O)OH, CF_3, CH_2CH_3, CH_2OH, CH3, Cl, CN, F, H, NH_2, NHC(=O)H, $NHCH_3$, NO_2, OCH_3, $OCHF_2$, and pyridyl.

In another embodiment R11 is C(=(O or S))N(H)N(H)(C(=(O or S))(halo(C_1-C_8)alkyl))

In another embodiment of this invention R12 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R12 is selected from CH3, and H.

In another embodiment of this invention R13 may be selected from any combination of one or more of the following - H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

In another embodiment of this invention R13 is selected from CH_3, Cl and H.

In another embodiment of this invention R12-R13 are a hydrocarbyl linkage containing CH=CHCH=CH.

In another embodiment of this invention R14 may be selected from any combination of one or more of the following – H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), O-(C_8)alkyl-(substituted-heterocyclyl), methyl-C(=O)N(R16)(R17), ethyl-C(=O)N(R16)(R17), (C_3)alkyl-C(=O)N(R16)(R17), (C_4)alkyl-C(=O)N(R16)(R17), (C_5)alkyl-C(=O)N(R16)(R17), (C_6)alkyl-C(=O)N(R16)(R17), (C_7)alkyl-C(=O)N(R16)(R17), and (C_8)alkyl-C(=O)N(R16)(R17).

In another embodiment of this invention R14 may be selected from any combination of one or more of the following – H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, $C((CH_3)_2)$-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O-CH_2-halopyridyl, and $CH_2C(=O)N(H)(CH_2CF_3)$.

In another embodiment of this invention R15 may be selected from any combination of one or more of the following - H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), O-(C_8)alkyl-(substituted-heterocyclyl), methyl-C(=O)N(R16)(R17), ethyl-C(=O)N(R16)(R17), (C_3)alkyl-C(=O)N(R16)(R17), (C_4)alkyl-C(=O)N(R16)(R17), (C_5)alkyl-

C(=O)N(R16)(R17), (C_6)alkyl-C(=O)N(R16)(R17), (C_7)alkyl-C(=O)N(R16)(R17), and (C_8)alkyl-C(=O)N(R16)(R17).

In another embodiment of this invention R15 may be selected from any combination of one or more of the following – H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, $C((CH_3)_2)$-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O-CH_2-halopyridyl, and $CH_2C(=O)N(H)(CH_2CF_3)$.

In another embodiment of this invention R16 may be selected from any combination of one or more of the following – H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), and O-(C_8)alkyl-(substituted-heterocyclyl).

In another embodiment of this invention R16 may be selected from any combination of one or more of the following – H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl.

In another embodiment of this invention R17 may be selected from any combination of one or more of the following - H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), and O-(C_8)alkyl-(substituted-heterocyclyl).

In another embodiment of this invention R17 may be selected from any combination of one or more of the following – H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl.

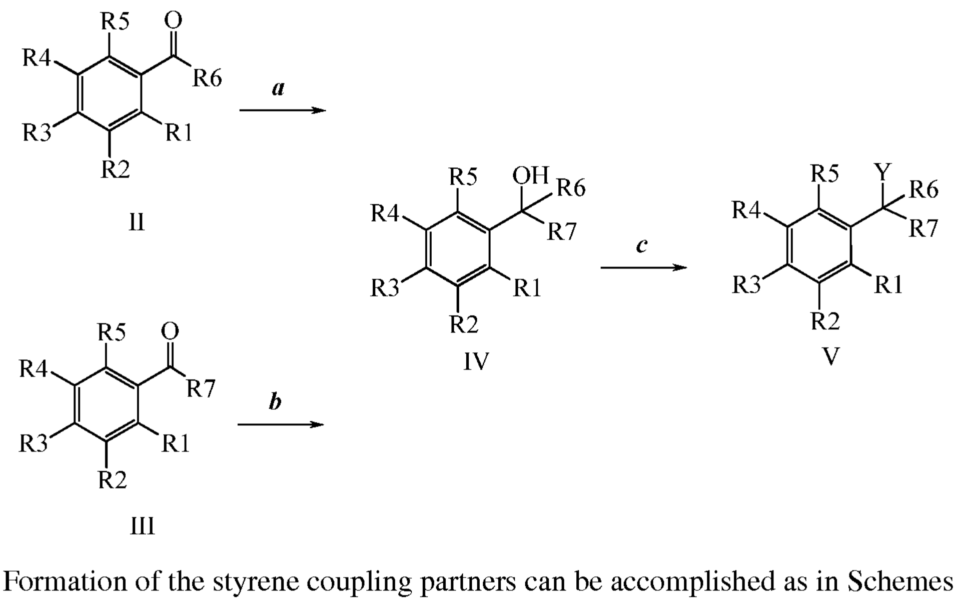
In another embodiment of this invention X1 is CR12, X2 is CR13, and X3 is CR9.

In another embodiment of this invention a heterocyclyl has preferably about 6 to 10 atoms in the ring structure, more preferably, 6 to 8 atoms.

The molecules of Formula One will generally have a molecular mass of about 100 Daltons to about 1200 Daltons. However, it is generally preferred if the molecular mass is from about 120 Daltons to about 900 Daltons, and it is even more generally preferred if the molecular mass is from about 140 Daltons to about 600 Daltons.

The benzyl alcohol of Formula IV, wherein R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, can be synthesized in two ways. One way, disclosed in step ***a*** of Scheme I, is by treatment of the ketone of Formula II, wherein R1, R2, R3, R4, R5, and R6 are as previously disclosed, with a reducing agent, such as sodium borohydride ($NaBH_4$), under basic conditions, such as aqueous sodium hydroxide (NaOH), in a polar protic solvent, such as methanol (MeOH) at 0 °C. Alternatively, an aldehyde of Formula III, wherein R1, R2, R3, R4, R5, and R7 are as previously disclosed, is allowed to react with trifluorotrimethylsilane in the presence of a catalytic amount of tetrabutylammonium fluoride in a polar aprotic solvent, such as tetrahydrofuran (THF), as in step ***b*** of Scheme I. The compound of Formula IV can be transformed into the compound of Formula V, wherein Y is selected from Br, Cl or I, and R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, by reaction with a halogenating reagent, such as *N*-bromosuccinimide and triethyl phosphite in a non-reactive solvent, such as dichloromethane (CH_2Cl_2) at reflux temperature to provide Y = Br, or such as thionyl chloride and pyridine in a hydrocarbon solvent, such as toluene at reflux temperature to provide Y = Cl, as in step ***c*** of Scheme I.

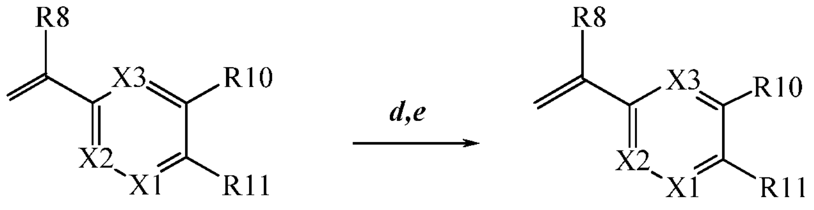
Scheme I



Formation of the styrene coupling partners can be accomplished as in Schemes II, III IV and V.

In Scheme II, a vinylbenzoic acid of Formula VI, wherein R11 is (C=O)OH and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, can be converted in two steps to the vinylbenzamide of Formula VIIa, wherein R11 is (C=O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, and X are as previously disclosed. As in step ***d*** of Scheme II, the benzoic acid of Formula VI is treated with oxalyl chloride in the presence of a catalytic amount of *N,N*-dimethylformamide (DMF) in a non-reactive solvent such as CH_2Cl_2 to form the acid chloride, which is subsequently allowed to react with an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed, in the presence of a base, such as triethylamine (TEA), in a polar aprotic solvent, such as THF, to provide the vinyl benzamide of Formula VIIa, wherein R11 is (C=O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, as in step ***e*** of Scheme II.

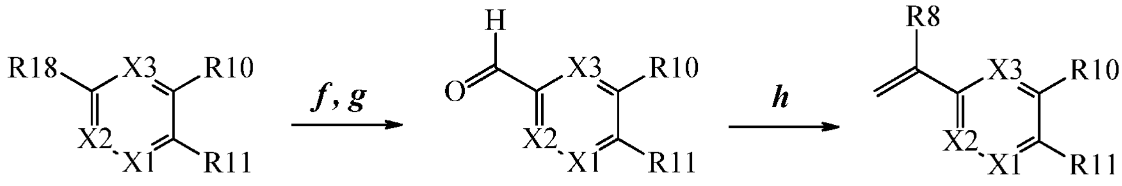
Scheme II



VI VIIa

In Schemes III and IV, a halobenzoic acid of Formula VIII, wherein R18 is Br or I, R11 is (C=O)OH and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed can be converted to a vinylbenzoic acid ester of Formula VIIb1 or Formula VIIb2, wherein R18 is Br or I, R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. In step ***f*** of Scheme III, the halobenzoic acid of Formula VIII, wherein R18 is Br, is treated with a base, such as *n*-butyllithium (*n*-BuLi), and DMF in a polar, aprotic solvent, such as THF, at a temperature of about -78 °C. The resulting formyl benzoic acid is allowed to react with an acid, such as sulfuric acid (H_2SO_4), in the presence of an alcohol, such as ethyl alcohol (EtOH), as in step ***g***, to provide the formyl benzoic acid ethyl ester of Formula IX, wherein R11 is (C=O)O(C_1-C_6 alkyl), and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The vinyl benzoic acid ester of Formula VIIb1 is accessed via reaction of the compounds of Formula IX, with a base, such as potassium carbonate (K_2CO_3), and methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, at ambient temperature, as in step ***h*** of Scheme III.

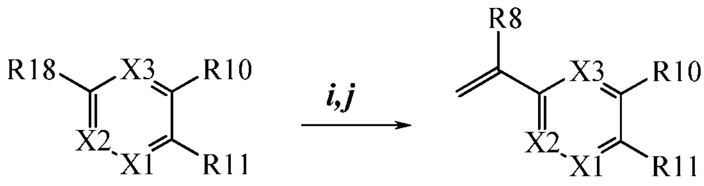
Scheme III



VIII IX VIIb1

In step ***i*** of Scheme IV, the halobenzoic acid of Formula VIII, wherein R18 is Br, R11 is (C=O)OH, and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, is treated with di-*tert*-butyl dicarbonate in the presence of a base, such as TEA and a catalytic amount of 4-(dimethylamino)pyridine (DMAP) in a polar aprotic solvent, such as THF, at ambient temperature. The resulting benzoic acid *tert*-butyl ester is allowed to react with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such a tetrakis(triphenylphospine)palladium(0) ($Pd(PPh_3)_4$), and a base, such as K_2CO_3, in a non-reactive solvent such as toluene at reflux temperature, as in step ***j***, to provide the vinyl benzoic acid ester of Formula VIIb2, wherein R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

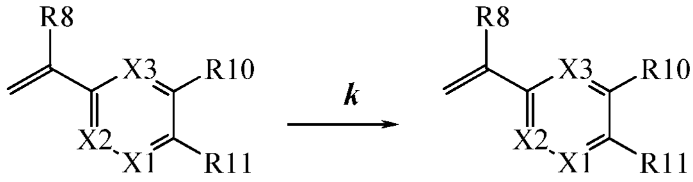
Scheme IV



VIII VIIb2

In step ***k*** of Scheme V, the vinyl benzoic acid ester of Formula VIIb2, wherein R10 is Br, R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R12, R13, X1, X2, and X3 are as previously defined, can be further transformed into the corresponding vinyl benzoic acid ester of Formula VIIb3, wherein R10 is CN, R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with copper(I) cyanide (CuCN) in a polar aprotic solvent, such as DMF, at 140 °C.

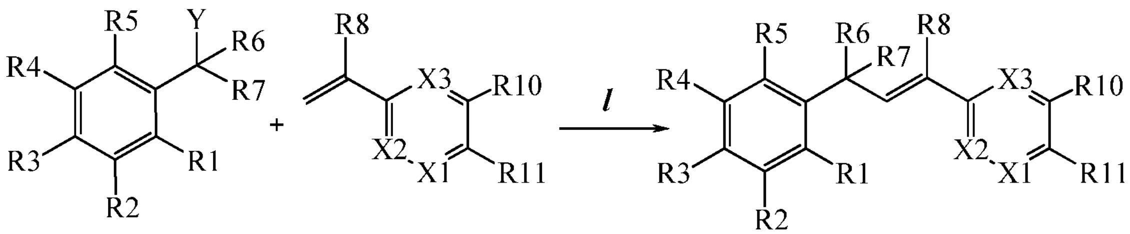
Scheme V



VIIb2 VIIb3

Coupling of the compounds of Formula V with the compounds of Formula VIIa, VIIb1, VIIb2 and VIIb3 can be accomplished as in Schemes VI, VII, and VIII. In step ***l*** of Scheme VI, a compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzamide of Formula VIIa, wherein R11 is (C=O)N(R14)(R15), and R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of copper(I) chloride (CuCl) and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

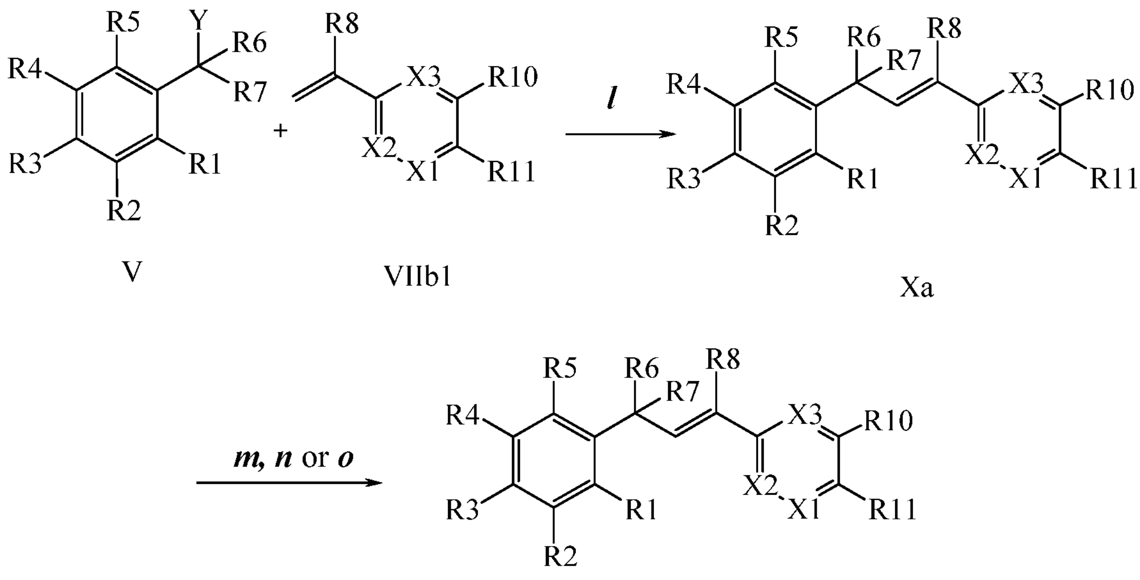
Scheme VI



V VIIa Formula One

In step ***l*** of Scheme VII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzoic acid ester of Formula VIIb1, wherein R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the compounds of Formula Xa, wherein R11 is (C=O)O(C_1-C_6 alkyl), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula Xa are then converted to the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, by either a two-step process as disclosed in steps ***m*** and ***n*** or in one step as disclosed in step ***o***. In step ***m*** of Scheme VII, the ester of Formula Xa is saponified to the corresponding acid under acidic conditions, such as about 11 Normal (N) hydrochloric acid (HCl), in a polar aprotic solvent, such as 1,4-dioxane, at about 100 °C. The acid can subsequently be coupled to an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed using peptide coupling reagents, such as 1-hydroxybenzotriazole (HOBt), *N*-(3-dimethylaminopropyl)-*N*'-ethyl-carbodiimide hydrochloride (EDC•HCl), benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP), 1-hydroxy-7-azabenzotriazole (HOAt), or *O*-benzotriazole-*N,N,N',N'*-tetramethyl-uronium-hexafluoro-phosphate (HBTU) in the presence of a base, such as *N,N*-diisopropylethylamine (DIPEA) or DMAP to give the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed. Alternatively, the ester of Formula Xa is allowed to react with an amine (HN(R14)(R15)) in the presence of a solution of trimethylaluminum in toluene in a non-reactive solvent, such as CH_2Cl_2, at ambient temperature, as in step ***o*** of Scheme VII, to access the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

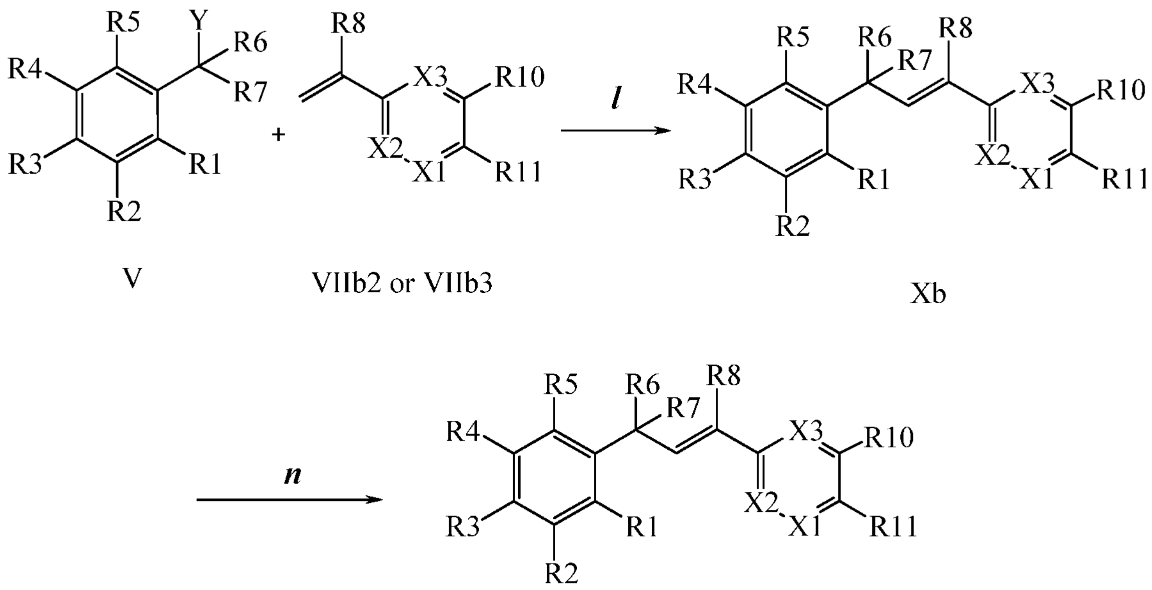
Scheme VII



Formula One

In step ***l*** of Scheme VIII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzoic acid ester of Formula VIIb2 or VIIb3, wherein R11 is (C=O)O(C_1-C_6 alkyl), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the compounds of Formula Xb, wherein R11 is (C=O)OH, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed. The compounds of Formula Xb are then converted to the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed, in one step as disclosed in step ***n***. In step ***n*** of Scheme VIII, the acid of Formula Xb can be coupled to an amine (HN(R14)(R15)), wherein R14 and R15 are as previously disclosed, using peptide coupling reagents, such as 1-hydroxybenzotriazole (HOBt), *N*-(3-dimethylaminopropyl)-*N*'-ethyl-carbodiimide hydrochloride (EDC•HCl), benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP), 2-chloro-1,3-dimethylimidazolidinium hexafluorophosphate (CIP), 1-hydroxy-7-azabenzotriazole (HOAt), or *O*-benzotriazole-*N,N,N',N'*-tetramethyl-uronium-hexafluoro-phosphate (HBTU) in the presence of a base, such as DIPEA or DMAP to give the molecules of Formula One, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, R14, R15, X1, X2, and X3 are as previously disclosed.

Scheme VIII

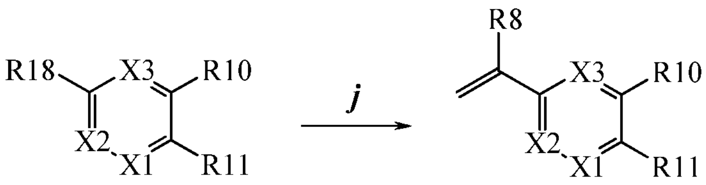


V   VIIb2 or VIIb3   Xb

Formula One

In step ***j*** of Scheme IX, the halobenzoketone of Formula VIIIb, wherein R18 is Br, R10 and R11 together form a linkage, having 3-4 carbon atoms and an oxo substituent and with the ring carbon atoms form a 5- or 6-membered cyclic ring, and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, is allowed to react with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such as $Pd(PPh_3)_4$, and a base, such as K_2CO_3, in a non-reactive solvent such as toluene at reflux temperature, to provide the vinyl benzoketone of Formula VIIb4, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an oxo substituent and with the ring carbon atoms form a 5- or 6-membered ring, and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed.

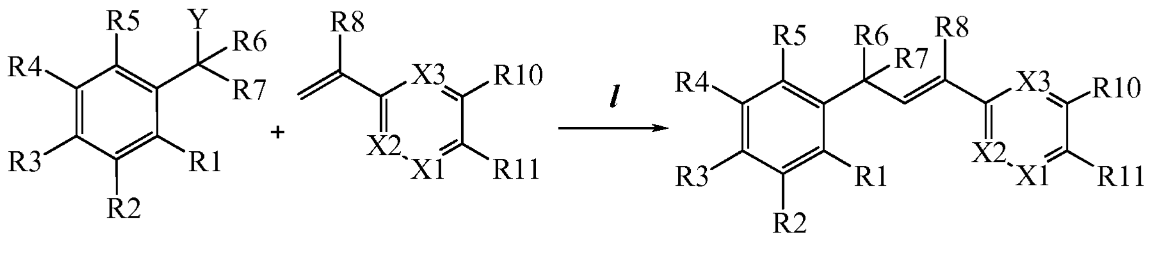
Scheme IX



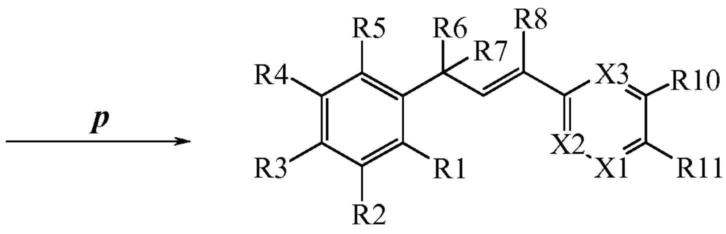
VIIIb VIIb4

In step ***l*** of Scheme X, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the vinylbenzoketone of Formula VIIb4 as previously disclosed, wherein R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the compounds of Formula Xc, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an oxo substituent and with the ring carbon atoms form a 5- or 6-membered ring, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula Xc are then converted to the molecules of Formula Xd, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an oxime [(C=N)(OH)] substituent and with the ring carbon atoms form a 5- or 6-membered ring,, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, in step ***p***. In step ***p*** of Scheme X, the ketone of Formula Xc is allowed to react with hydroxylamine hydrochloride in the presence of sodium acetate and in a polar protic solvent, such as EtOH, at a temperature of about 78 °C, to give the molecules of Formula Xd as previously disclosed.

Scheme X



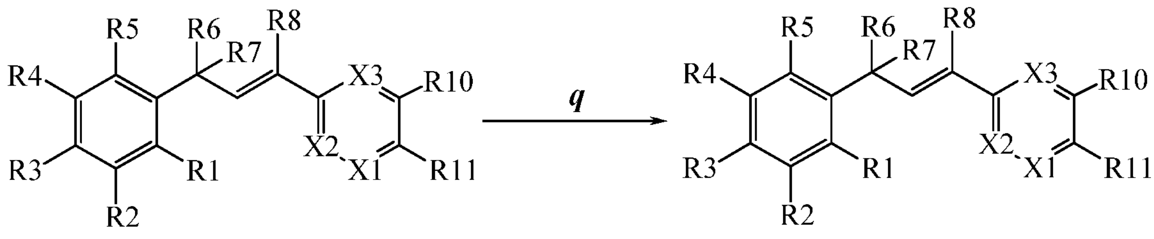
V VIIb4 Xc



Xd

The compounds of Formula Xc are also converted to the molecules of Formula Xe, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an amine substituent and with the ring carbon atoms form a 5- or 6-membered ring, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, as demonstrated in step ***q*** of Scheme XI. The ketone of Formula Xc is allowed to react with ammonium acetate in the presence of sodium cyanoborohydride and in a polar protic solvent, such as CH_3OH, at a temperature of about 65 °C, to give the molecules of Formula Xe.

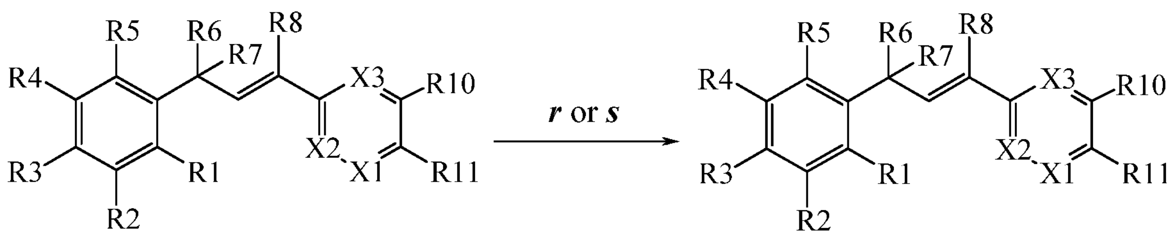
Scheme XI



Xc Xe

The compounds of Formula Xe are converted to the molecules of Formula One, wherein R10 and R11 together form a linkage as previously disclosed in (u), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously, in one step as disclosed in steps ***r*** or ***s***. In step ***r*** of Scheme XII, the amine of Formula Xe is allowed to react with an isocyanate in a polar, aprotic solvent such as diethyl ether at ambient temperature to provide the molecules of Formula One as previously disclosed. In step ***s*** of Scheme XII, the amine of Formula Xe is coupled to an acid with HOBt•H_2O and EDC•HCl in the presence of a base, such as DIPEA, in a non-reactive solvent, such as CH_2Cl_2, to give the molecules of Formula One, as previously disclosed.

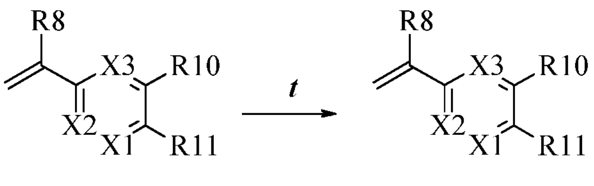
Scheme XII



Xe Formula One

In step ***t*** of Scheme XIII, the vinyl benzyl chloride of Formula XIa, wherein R11 is -CH_2Cl and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be transformed into the corresponding phthalimide-protected benzyl amine of Formula XIIa, wherein R11 is CH_2N(Phthalimide), and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 70 °C.

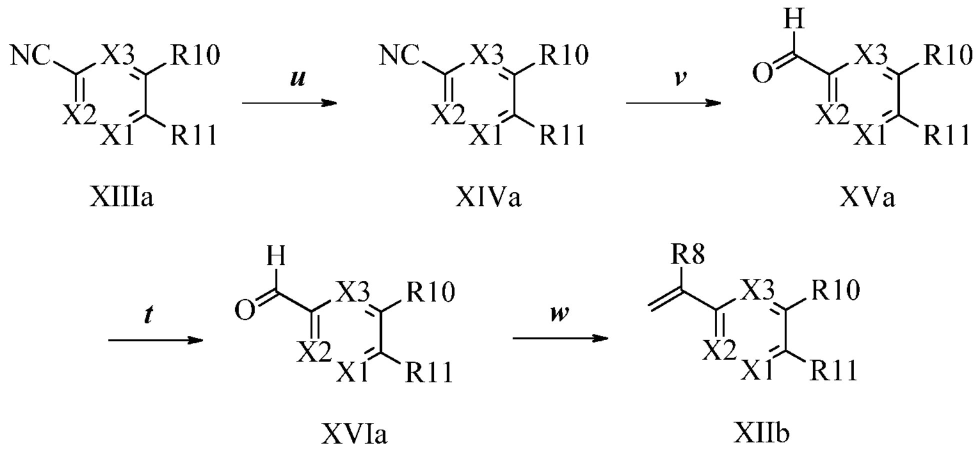
Scheme XIII



XIa XIIa

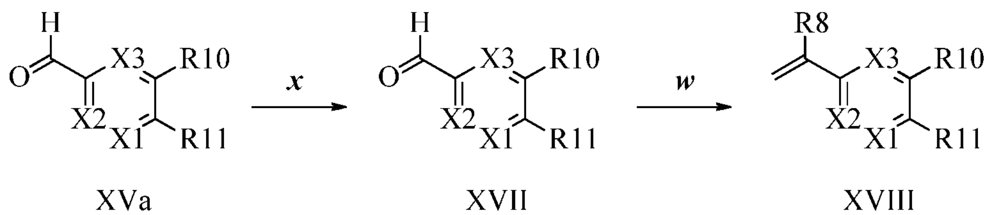
In step ***u*** of Scheme XIV, the 4-methylbenzonitrile of Formula XIIIa, wherein R11 is CH_3 and R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be transformed into the corresponding benzyl bromide of Formula XIVa, wherein R11 is CH_2Br and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with *N*-bromosuccinimide (NBS) and azobisisobutyronitrile (AIBN) in a non-reactive solvent, such as carbon tetrachloride at 77 °C. The nitrile group (CN) of Formula XIVa can be reduced to the corresponding aldehyde of Formula XVa, wherein R11 is CH_2Br and R9, R10, R12, R13, X1, X2, and X3 are as previously defined via reaction with diisobutylaluminum hydride (DIBAL-H) in an aprotic solvent, such as toluene, at 0 °C, followed by quenching with 1.0 M hydrochloric acid (HCl) as in step ***v*** of Scheme XIV. The compound of Formula XVa can be further transformed to the corresponding phthalimide-protected benzyl amine of Formula XVIa, wherein R11 is CH_2N(Phthalimide) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 60 °C as in step ***t*** of Scheme XIV. In step ***w*** of Scheme XIV, the aldehyde of Formula XVIa can be converted to the olefin of Formula XIIb, wherein R11 is CH_2N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, in the presence of a base, such as K_2CO_3, at ambient temperature.

Scheme XIV



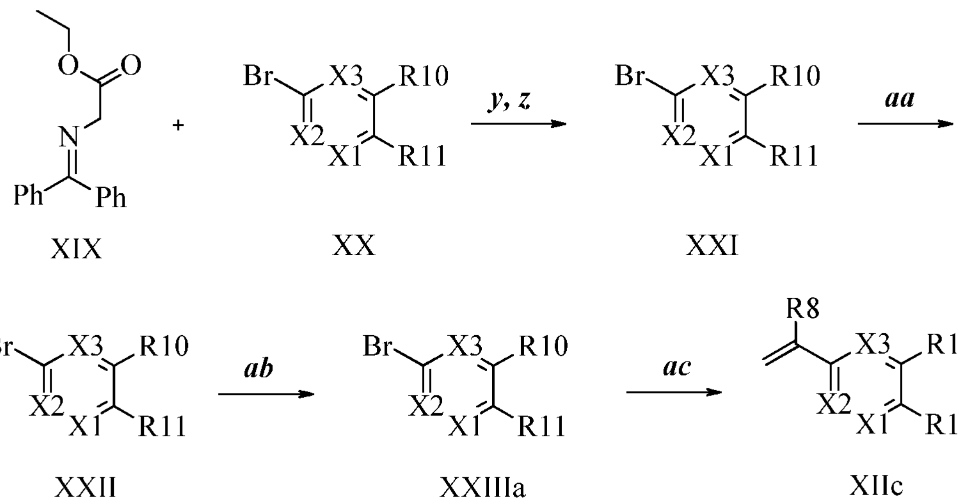
The aldehyde of Formula XVa, wherein R11 is CH_2Br and R9, R10, R12, R13, X1, X2, and X3 are as previously defined, can be reacted with a nucleophile, such as 2-aminopyridine, in a polar aprotic solvent, such as *N,N*-dimethylacetamide (DMA), in the presence of a base, such as K_2CO_3, at ambient temperature to provide the compound of Formula XVII, wherein R11 is CH_2NH(2-pyridine) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, as in step ***x*** of Scheme XV. In step ***w*** of Scheme XV, the compound of Formula XVII can be converted to the olefin of Formula XVIII, wherein R11 is CH_2NH(2-pyridine) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

Scheme XV



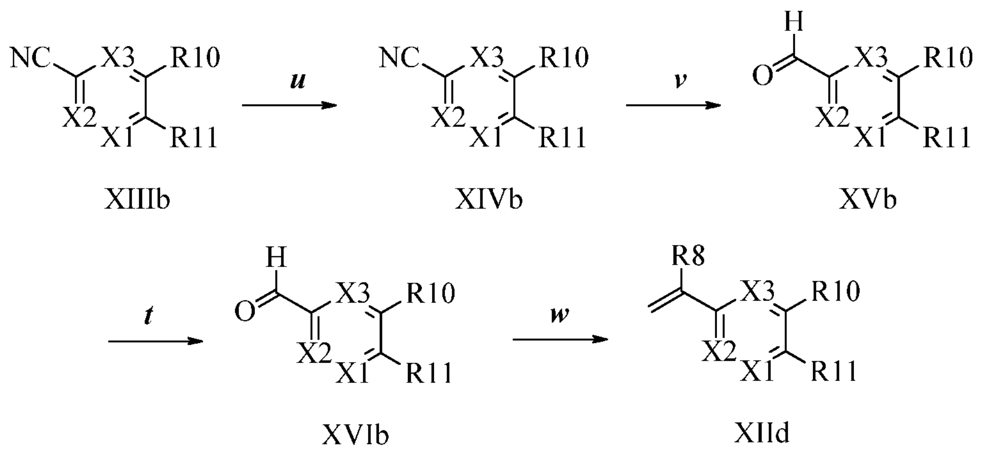
In a two-step, one-pot reaction as in steps ***y*** and ***z*** of Scheme XVI, the compound of Formula XIX can be reacted with the compounds of Formula XX, wherein R10 and R11 are Cl, X1 is N, and R9, R13, X2, and X3 are as previously disclosed, in the presence of a base, such as sodium hydride (NaH), and a polar aprotic solvent, such as DMF, at ambient temperature to provide the compounds of Formula XXI, wherein R10 is Cl, R11 is $(CH)NH_2CO_2CH_2CH_3$, X1 is N, and R9, R13, X2, and X3 are as previously defined. Hydrolysis and decarboxylation of the compounds of Formula XXI can be accomplished by reaction under acidic conditions, such as with 3 N HCl, at reflux temperature, to afford the compounds of Formula XXII, wherein R10 is Cl, R11 is CH_2NH_2•HCl, X1 is N, and R9, R13, X2, and X3 are as previously disclosed, as in step ***aa*** in Scheme XVI. The compounds of Formula XXII can be further transformed to the corresponding phthalimide-protected benzyl amines of Formula XXIIIa, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R9, R13, X1, X2, and X3 are as previously disclosed, by reaction with phthalic anhydride in the presence of a base, such as TEA, and an aprotic solvent, such as toluene, at reflux temperature as in step ***ab*** of Scheme XVI. The bromide of Formula XXIIIa can be converted to the olefin of Formula XIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R8, R9, R13, X2 and X3 are as previously disclosed, by reaction with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such as $Pd(PPh_3)_4$, and a base, such as K_2CO_3, in a non-reactive solvent such as toluene at reflux temperature, as in step ***ac*** of Scheme XVI.

Scheme XVI



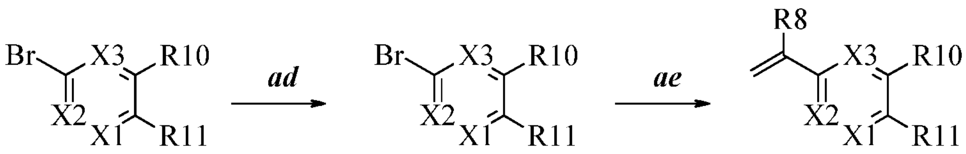
In step ***u*** of Scheme XVII, the 4-methylnaphthonitrile of Formula XIIIb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_3, and R12, R13, X1 and X2 are as previously defined, can be transformed into the corresponding naphthyl bromide of Formula XIVb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2Br, and R12, R13, X1 and X2 are as previously disclosed, by reaction with *N*-bromosuccinimide (NBS) and azobisisobutyronitrile (AIBN) in a non-reactive solvent, such as carbon tetrachloride at 77 °C. The nitrile group (CN) of Formula XIVb can be reduced to the corresponding aldehyde of Formula XVb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring (or if desired a non-aromatic ring), R11 is CH_2Br, and R12, R13, X1 and X2 are as previously defined via reaction with diisobutylaluminum hydride (DIBAL-H) in an aprotic solvent, such as toluene, at 0 °C, followed by quenching with 1.0 M HCl as in step ***v*** of Scheme XVII. The compound of Formula XVb can be further transformed to the corresponding phthalimide-protected benzyl amine of Formula XVIb, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide), and R12, R13, X1 and X2 are as previously disclosed, by reaction with potassium phthalimide in a polar aprotic solvent, such as DMF, at 60 °C as in step ***t*** of Scheme XVII. In step ***w*** of Scheme XVII, the aldehyde of Formula XVIb can be converted to the olefin of Formula XIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide), and R8, R12, R13, X1 and X2 are as previously disclosed, by reaction with methyl triphenyl phosphonium bromide in a polar aprotic solvent, such as 1,4-dioxane, in the presence of a base, such as K_2CO_3, at ambient temperature.

Scheme XVII



The compound of Formula XXIV, wherein R11 is NHNH$_2$•HCl and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, can be transformed into the corresponding phthalimide-protected hydrazine of Formula XXV, wherein R11 is NHN(Phthalimide) and R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with phthalic anhydride in glacial acetic acid at reflux temperature as in step ***ad*** of Scheme XVIII. The bromide of Formula XXV can be converted to the olefin of Formula XIIe, wherein R11 is NHN(Phthalimide) and R8, R9, R10, R13, X1, X2 and X3 are as previously disclosed, by reaction with vinyl boronic anhydride pyridine complex in the presence of a palladium catalyst, such as Pd(PPh$_3$)$_4$, and a base, such as K_2CO_3, in a polar aprotic solvent such as 1,2-dimethoxyethane at 150 °C under microwave conditions, as in step ***ae*** of Scheme XVIII.

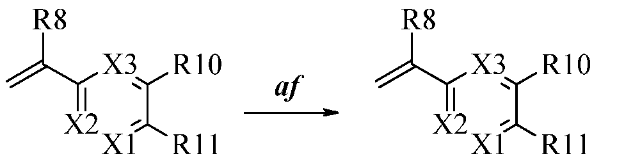
Scheme XVIII



XXIV XXV XIIe

In step ***af*** of Scheme XIX, the compound of Formula XXVI, wherein R11 is B(OH)$_2$, and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react with 2-hydroxyisoindoline-1,3-dione in the presence of CuCl and pyridine in a solvent, such as 1,2-dichlorobenzene, at ambient temperature to provide the compound of Formula XIIf, wherein R11 is ON(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

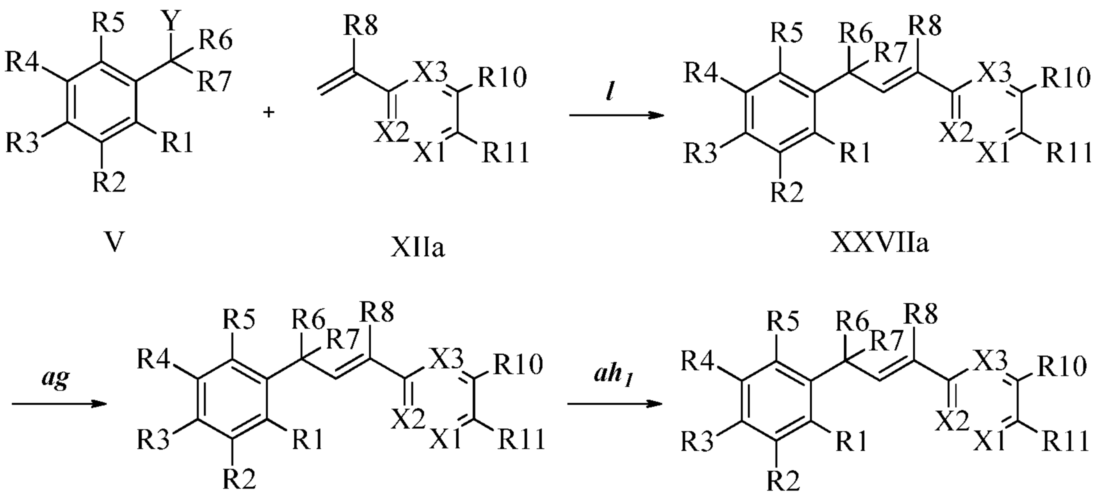
Scheme XIX



XXVI XIIf

In step ***l*** of Scheme XX, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIa, wherein R11 is CH$_2$N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIIa, wherein R11 is CH$_2$N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIa is removed as in step ***ag*** of Scheme XX by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIIa, wherein R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIa can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by acylation with an anhydride, such as acetic anhydride, and a base, such as TEA, in a non-reactive solvent such as CH_2Cl_2 at 0 °C as in step ***ah₁*** of Scheme XX.

Scheme XX



V XIIa XXVIIa XXVIIIa Formula One

In step ***l*** of Scheme XXI, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIb, wherein R11 is CH_2N(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIIb, wherein R11 is CH_2N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIb is removed as in step ***ag*** of Scheme XXI by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIIb, wherein R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of HOBt•H_2O, EDC•HCl and a base, such as DIPEA, in a polar aprotic solvent, such as DMF, as in step $\boldsymbol{ah_{2a}}$ of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is CH_2N(C=S)(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a thioacid in the presence of HOBt•H_2O, EDC•HCl and a base, such as DIPEA, in a polar aprotic solvent, such as DMF, as in step $\boldsymbol{ah_2}$ of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is CH_2N(C=O)N(R14)(R15) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, in two steps. The first step (step $\boldsymbol{ah_{3a}}$ of Scheme XXI) involves reaction with an aldehyde in a polar protic solvent such as MeOH, followed by reaction with sodium borohydride. The second step (step $\boldsymbol{ah_{3b}}$ of Scheme XXI) involves acylation with an acid chloride, such as cyclopropylcarbonyl chloride, and a base, such as TEA, in a non-reactive solvent such as CH_2Cl_2 at ambient temperature of Scheme XXI.

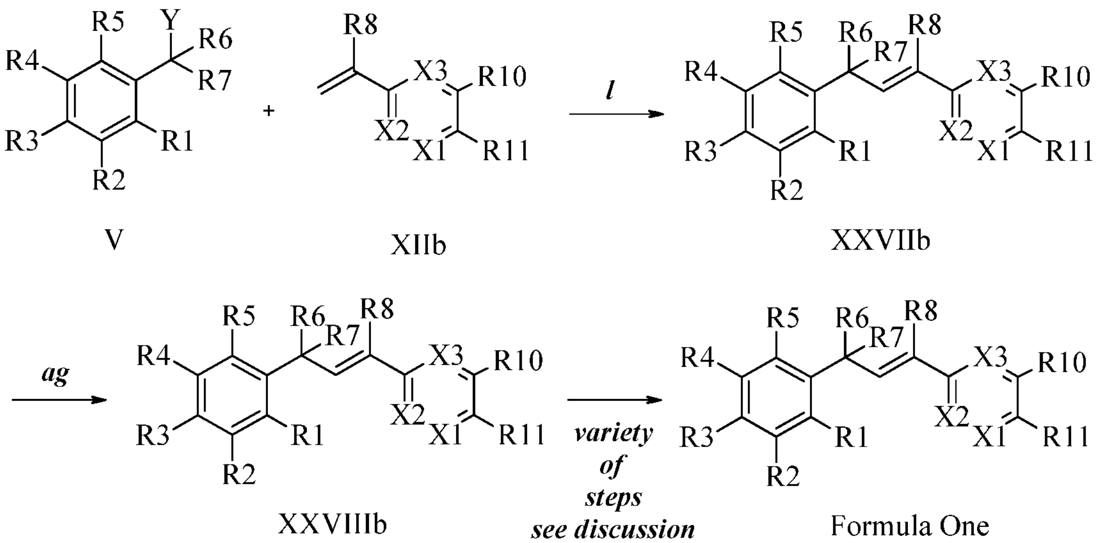
In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is CH_2N(C=O)N(R14)(R15) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an isocyanate (step $\boldsymbol{ai_1}$ of Scheme XXI) or a carbamoyl chloride (step $\boldsymbol{ai_2}$ of Scheme XXI) in the presence of a base such as TEA and in a non-reactive solvent such as CH_2Cl_2 at 0 °C.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is CH_2N(C=S)N(R14)(R15) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an isothiocyanate in the presence of a base such as TEA and in a non-reactive solvent such as CH_2Cl_2 at 0 °C, as in steps ***aj*** of Scheme XXI.

In another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is CH_2N(C=O)O(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a dicarbonate, such as di-*tert*-butyl dicarbonate in the presence of a base such as TEA and in a non-reactive solvent such as CH_2Cl_2 at ambient temperature, as in steps ***ak*** of Scheme XXI.

In yet another embodiment, the compounds of Formula XXVIIIb can be transformed into the compounds of Formula One, wherein R11 is $CH_2N(C{=}O)(C{=}O)O(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with a chlorooxalic acid ester, such as 2-chloro-2-oxoacetate in the presence of a base such as TEA and in a non-reactive solvent such as CH_2Cl_2 at 0 °C, as in steps ***al*** of Scheme XXI.

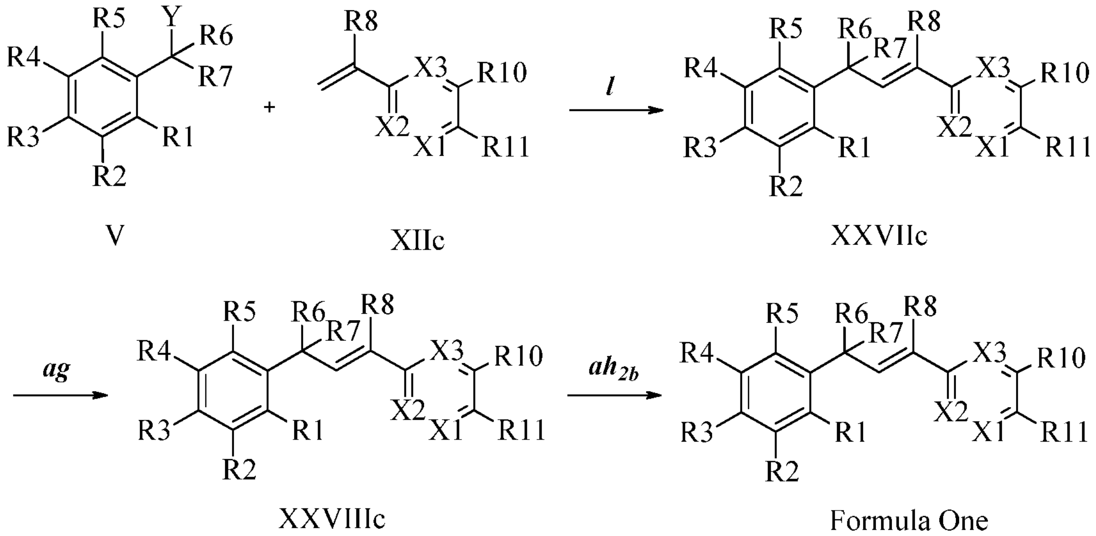
Scheme XXI



In step ***l*** of Scheme XXII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R8, R9, R12, R13, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIIc, wherein R10 is Cl, R11 is CH_2N(Phthalimide), X1 is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIc is removed as in step ***ag*** of Scheme XXII by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIIc, wherein R10 is Cl, R11 is CH_2NH_2, X1 is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIc can be transformed into the compounds of Formula One, wherein R10 is Cl, R11 is $CH_2N(C{=}O)(R14)$, X1 is N, and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of $HOBt{\bullet}H_2O$, $EDC{\bullet}HCl$

and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ***ah*$_{2b}$** of Scheme XXII.

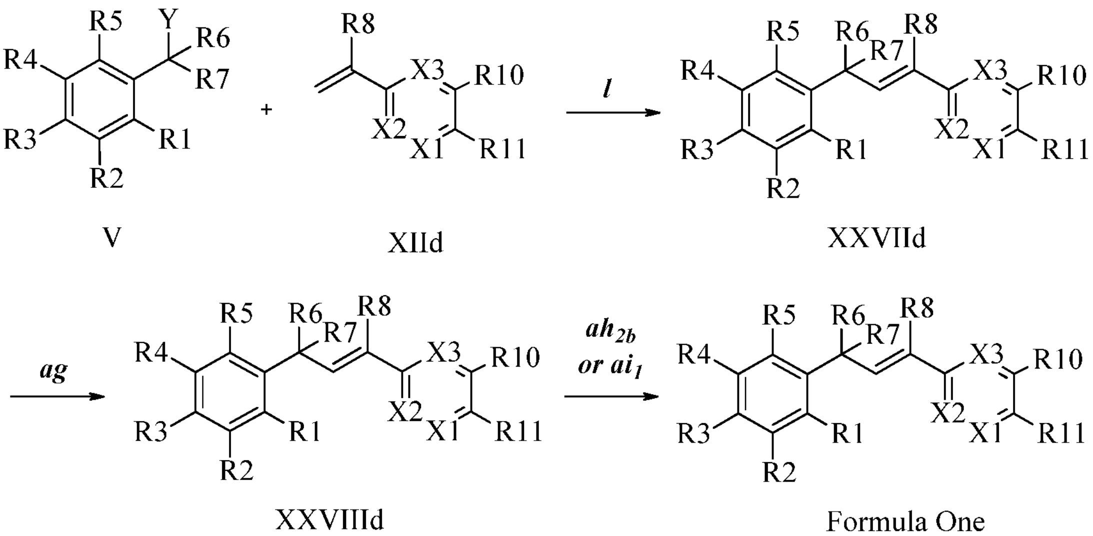
Scheme XXII



In step ***l*** of Scheme XXIII, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring (or if desired a non-aromatic ring), R11 is CH_2N(Phthalimide) and R8, R9, R12, R13, X1 and X2 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2N(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIId is removed as in step ***ag*** of Scheme XXIII by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIId, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is CH_2NH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed. The compounds of Formula XXVIIId can be transformed into the compounds of Formula One, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is $CH_2N(C{=}O)(R14)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1 and X2 are as previously disclosed, by reaction with an acid in the presence of HOBt•H_2O, EDC•HCl and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ***ah$_{2b}$*** of Scheme XXIII.

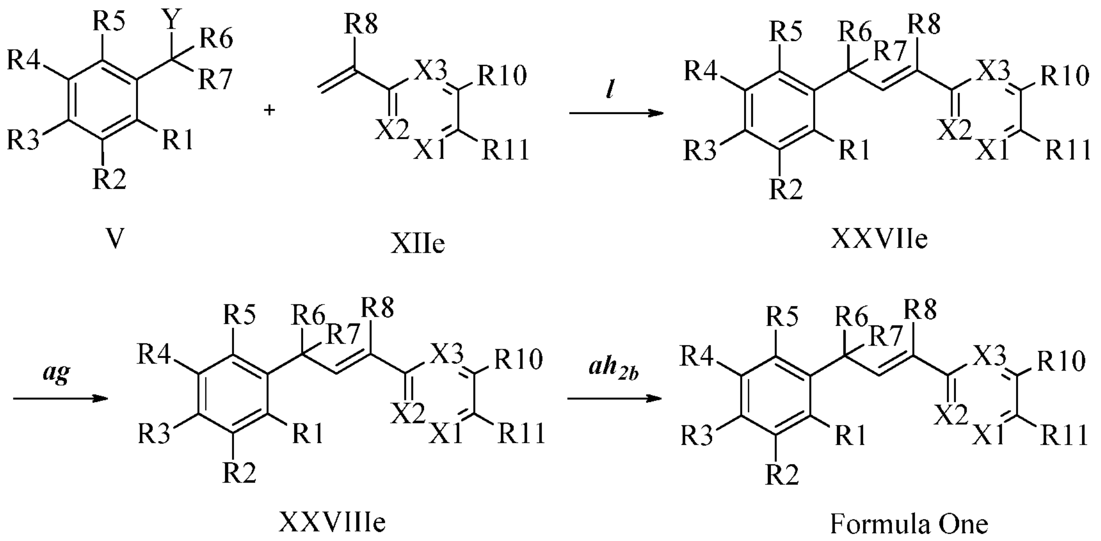
In another embodiment, the compounds of Formula XXVIIId can be transformed into the compounds of Formula One, wherein X3 is CR9, R10 and X3 together form a linkage having 4 carbon atoms and with the ring carbon atoms form a 6-membered aromatic ring, R11 is $CH_2N(C{=}O)N(R14)(R15)$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1 and X2 are as previously disclosed, by reaction with an isocyanate in the presence of a base such as TEA and in a non-reactive solvent such as CH_2Cl_2 at 0 °C as in step ***ai$_1$*** of Scheme XXIII.

Scheme XXIII



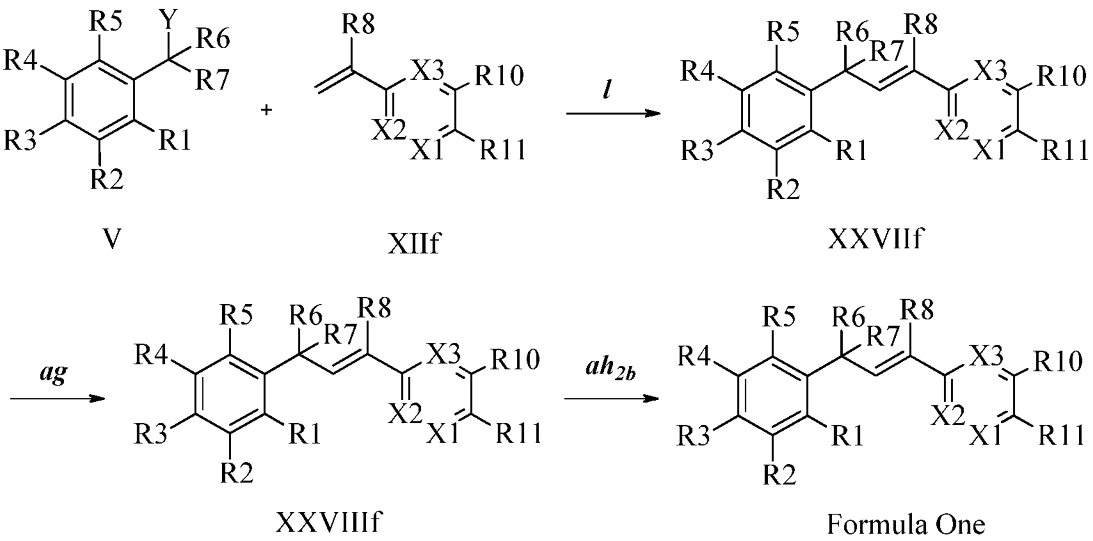
In step ***l*** of Scheme XXIV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIe, wherein R11 is NHN(Phthalimide) and R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIIe, wherein R11 is NHN(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIe is removed as in step ***ag*** of Scheme XXIV by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIIe, wherein R11 is $NHNH_2$ and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIe can be transformed into the compounds of Formula One, wherein R11 is NHN(C=O)(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of HOBt•H_2O, EDC•HCl and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ***ah*$_{2b}$** of Scheme XXIV.

Scheme XXIV



In step ***l*** of Scheme XXV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XIIf, wherein R11 is ON(Phthalimide) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula XXVIIf, wherein R11 is ON(Phthalimide) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The phthalimide protecting group in the compounds of Formula XXVIIf is removed as in step ***ag*** of Scheme XXV by reaction with hydrazine hydrate in a polar protic solvent such as EtOH at 90 °C to provide the compounds of Formula XXVIIIf, wherein R11 is ONH_2 and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed. The compounds of Formula XXVIIIf can be transformed into the compounds of Formula One, wherein R11 is ON(C=O)(R14) and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, by reaction with an acid in the presence of HOBt•H_2O, EDC•HCl and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ***ah*$_{2b}$** of Scheme XXV.

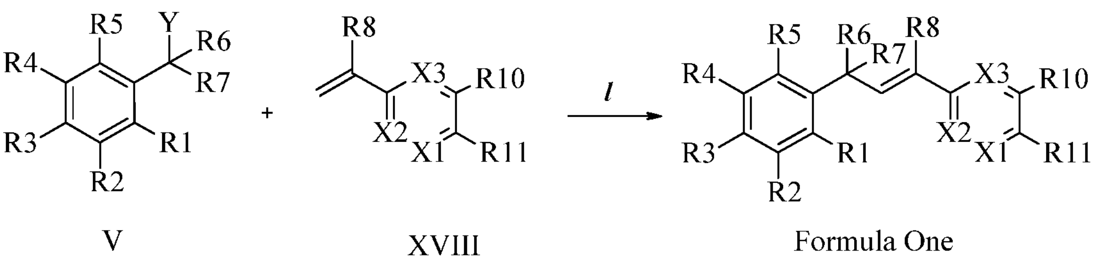
Scheme XXV



In step *l* of Scheme XXVI, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XVIII, wherein R11 is CH_2NH(2-pyridine) and R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compounds of Formula One, wherein R11 is CH_2NH(2-pyridine), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R12, R13, X1, X2, and X3 are as previously disclosed.

The compounds of Formula One can be further elaborated by standard methods. For example, when R11 contains a thioether, the thioether can be oxidized to the sulfone by treatment with oxone in the presence of an acetone:water mixture at ambient temperature. When R11 contains an oxalate ester, the compound of Formula One can be transformed into the corresponding oxalamide by reaction with an amine hydrochloride and a solution of trimethylaluminum in toluene in a non-reactive solvent such as CH_2Cl_2.

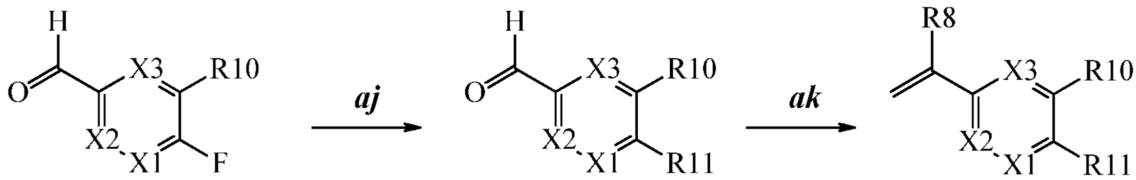
Scheme XXVI



In Scheme XXVII, a fluorobenzaldehyde of Formula XXIX, wherein R10, X1, X2, and X3 are as previously disclosed can be converted to a (1,2,4-triazol-1-yl)benzaldehyde of

Formula XXX, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R10, X1, X2, and X3 are as previously disclosed by reaction with a substituted or unsubstituted 1,2,4-triazole in the presence of a base, such as potassium carbonate, in a solvent such as DMF as in step ***aj***. In step ***ak***, the (1,2,4-triazol-1-yl)benzaldehyde of Formula XXX is converted to a (1,2,4-triazol-1-yl)vinyl benzene of Formula XXXIa wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R10, X1, X2, and X3 are as previously disclosed by reaction with triphenyl phosphonium bromide in the presence of a base, such as potassium carbonate, in an aprotic solvent, such as 1,4-dioxane.

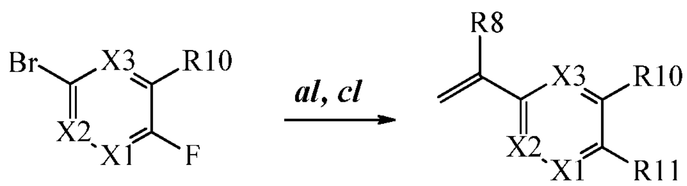
Scheme XXVII



XXIX XXX XXXIa

In Scheme XXVIII, a bromofluorobenzene of Formula XXXII, wherein R10, X1, X2, and X3 are as previously disclosed can be converted to a (1,2,4-triazol-1-yl)vinylbenzene of Formula XXXIb, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R10, X1, X2, and X3 are as previously disclosed in two steps. In step ***al***, the bromofluorobenzene is reacted with a substituted or unsubstituted 1,2,4-triazole in the presence of a base, such as potassium carbonate, in a solvent such as DMF to generate the (1,2,4-triazol-1-yl)bromobenzene. In step ***cl***, the (1,2,4-triazol-1-yl)bromobenzene is reacted with vinyl boronic anhydride pyridine complex in the presence of a catalyst, such as Pd $(PPh_3)_4$, and a base, such as potassium carbonate in a solvent such as toluene.

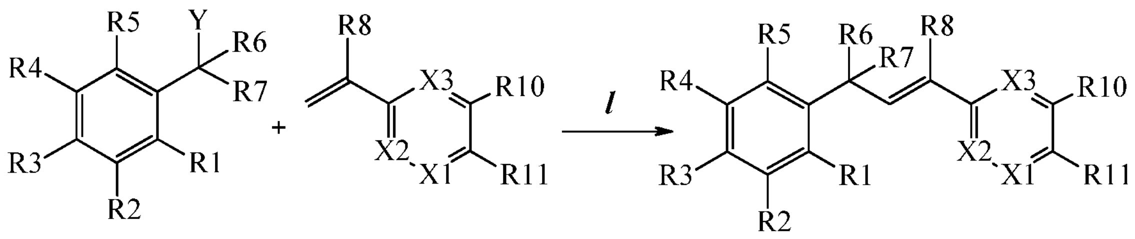
Scheme XXVIII



XXXII XXXIb

Coupling of the compounds of Formula V with compounds of Formula XXXIa and XXXIb can be accomplished as in Schemes XXIX. In step ***l***, a compound of Formula V, wherein Y is Br, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and a vinylbenzene of Formula XXXIa or XXXIb, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R8, R9, R10, X1, X2, and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the molecules of Formula One, wherein R11 is a substituted or unsubstituted 1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed.

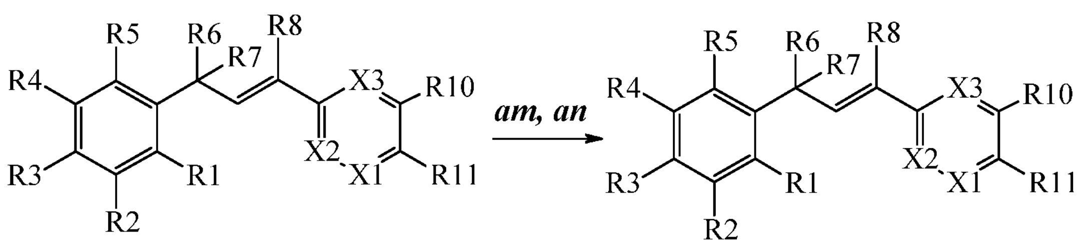
Scheme XXIX



V XXXIa or XXXIb Formula One

In Scheme XXX, compounds of Formula XXXIII wherein R11 is a 3-nitro-1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed can be converted to compounds of Formula One, wherein R11 is a 3-amido-1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R8, R10, X1, X2, and X3 are as previously disclosed by a two-step process. In step ***am***, the 3-nitro-1,2,4-triazol-1-yl group is reduced to a 3-amino-1,2,4-triazol-1-yl group in the presence of zinc dust and ammonium chloride in a protic solvent, such as MeOH. In step ***an***, the 3-amino-1,2,4-triazol-1-yl group is acylated with an acid chloride, such as cyclopropylcarbonyl chloride or acetyl chloride, in the presence of a base, such as TEA, in a solvent such as CH_2Cl_2.

Scheme XXX

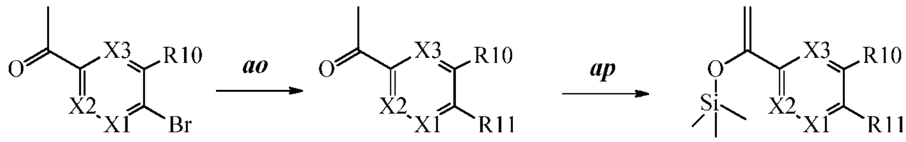


XXXIII Formula One

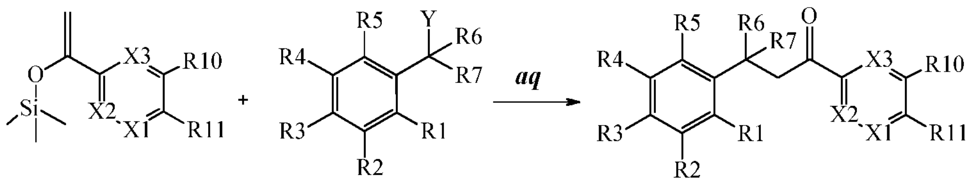
In step ***ao*** of Scheme XXXI, a bromophenyl methyl ketone of Formula XXXIV wherein R10, X1, X2, and X3 are as previously disclosed is converted to an phenyl methyl ketone of the

Formula XXXV wherein R11 is a 1,2,4-triazol-1-yl group, and R10, X1, X2, and X3 are as previously disclosed by treatment with 1,2,4-triazole in the presence of a base, such as cesium carbonate, and a catalyst, such as copper iodide, in a solvent, such as DMF. In step ***ap***, the 1,2,4-triazolylacetophenone of Formula XXXV is converted to the trimethylsilyl enol ether of Formula XXXVI by treatment with trimethylsilyl triflluoromethanesulfonate in the presence of a base, such as TEA, in an aprotic solvent, such as CH_2Cl_2. In step ***aq***, the silyl enol ether is reacted with a compound of Formula V, wherein Y is Br, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene at a temperature of about 180 °C to generate a ketone of the Formula XXXVII, wherein R11 is a 1,2,4-triazol-1-yl group, and R1, R2, R3, R4, R5, R6, R7, R10, X1, X2, and X3 are as previously disclosed. In step ***ar***, the ketone of the Formula XXXVII is treated with methylmagnesium bromide in an aprotic solvent, such as THF to generate the tertiary alcohol. The tertiary alcohol then undergoes an elimination reaction when treated with a catalytic amount of *p*-toluenesulfonic acid in a solvent, such as toluene, when heated to a temperature to allow azeotropic removal of water to produce compounds of Formula One wherein R11 is a 1,2,4-triazol-1-yl group, R8 is methyl, and R1, R2, R3, R4, R5, R6, R7, R10, X1, X2, and X3 are as previously disclosed, as in step ***as***.

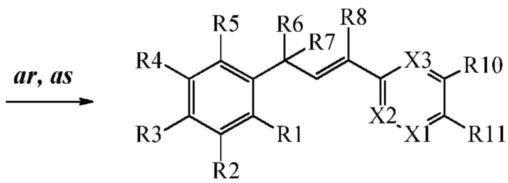
Scheme XXXI



XXXIV XXXV XXXVI



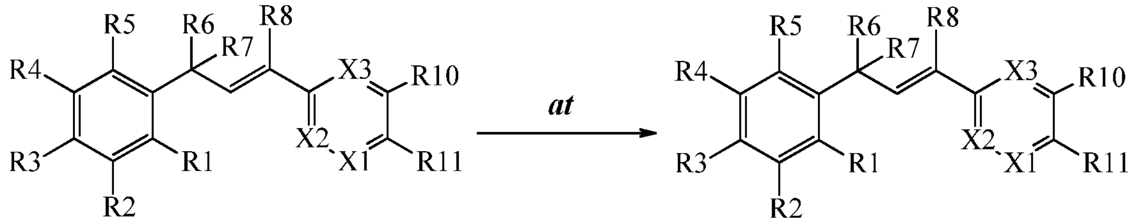
XXXVI V XXXVII



Formula One

In Scheme XXXII, a compound of Formula XXXVIII, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an oxo substituent and with the ring carbon atoms form a 5- or 6-membered cyclic ring, and R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula One, wherein R10 and R11 together form a linkage, having 3-4 carbon atoms and an alkylamine substituent with the ring carbon atoms form a 5- or 6-membered cyclic ring and R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, by treatment with an alkylamine, such as 3,3,3-trifluoropropylamine, in the presence of a reducing agent, such as sodium cyanoborohydride, in a solvent, such as DCE.

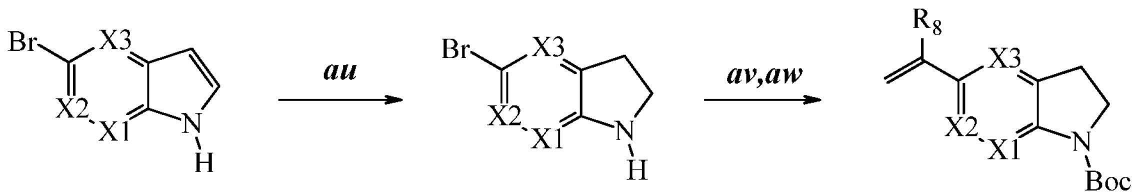
Scheme XXXII



XXXVIII Formula One

In Scheme XXXIII, a compound of Formula XXXIX, wherein X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XL, wherein X1, X2, and X3 are as previously disclosed, by treatment with a reducing agent, such as sodium cyanoborohydride, in a solvent, such as acetic acid, as in step ***au***. In step ***av***, the nitrogen atom is protected with a *tert*-butyloxycarbonyl (BOC) group by reaction with di-*tert*-butyl dicarbonate in the presence of a catalyst, such as DMAP, in a solvent, such as acetonitrile. The bromide of Formula XL can be converted to the olefin of Formula XLI, wherein R8, X1, X2 and X3 are as previously disclosed, by reaction with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2$(dppf), and a base, such as K_2CO_3, in a polar aprotic solvent such as DMSO at 100 °C, as in step ***aw***.

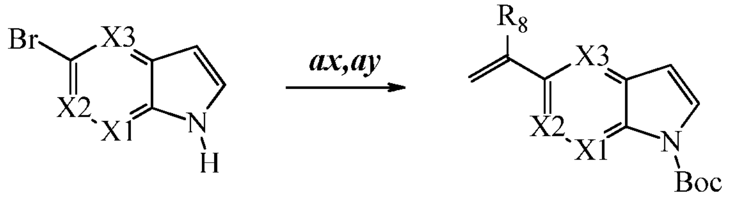
Scheme XXXIII



XXXIX XL XLI

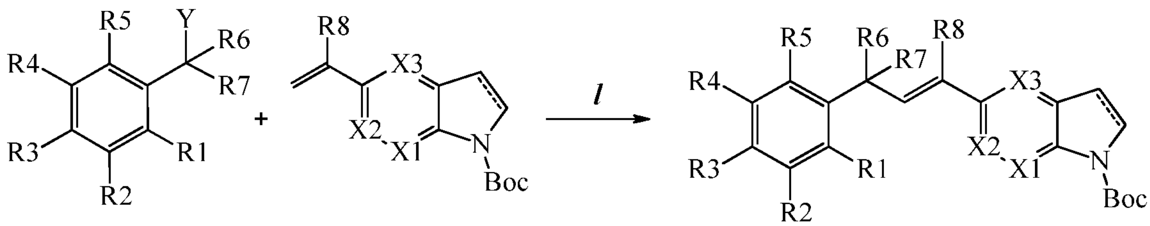
In Scheme XXXIV, a compound of Formula XXXIX, wherein X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XLII, wherein X1, X2, and X3 are as previously disclosed in two steps. In step ***ax***, the olefin is formed by treatment of the bromide with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2$, and a ligand, such as triphenylphosphine, and a base, such as Cs_2CO_3, in a solvent mixture such as THF/water. In step ***ay***, the nitrogen atom is protected with a *tert*-butyloxycarbonyl (BOC) group by reaction with di-*tert*-butyl dicarbonate in the presence of a catalyst, such as DMAP, in a solvent, such as acetonitrile.

Scheme XXXIV



In step ***l*** of Scheme XXXV, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compounds of Formula XLI or XLII, wherein R8, X1, X2 and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 150 °C to provide the corresponding compounds of Formula XLIIIa or XLIIIb, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed.

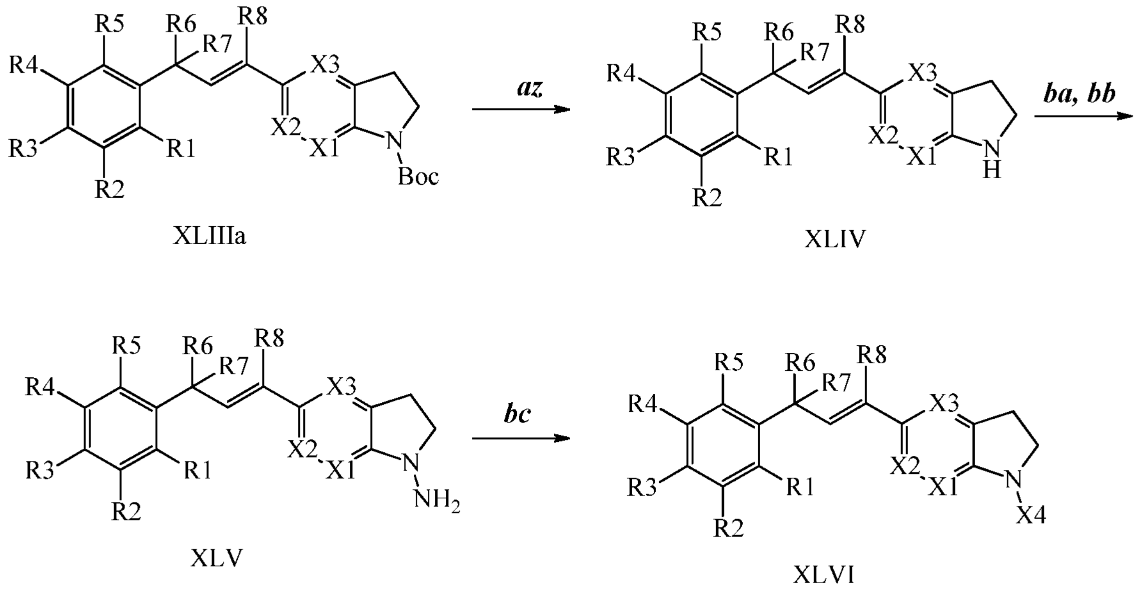
Scheme XXXV



In Scheme XXXVI, a compound of Formula XLIIIa, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed is converted to a molecule of Formula XLIV, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed by treatment with trifluoroacetic acid, in a solvent such as CH_2Cl_2, as in step ***az***. Compounds of the Formula XLIV can then be transformed into compounds of the Formula XLV wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, in two steps. In step ***ba***, the indoline is treated with sodium nitrite ($NaNO_2$), in an acid, such as concentrated HCl, at a temperature around 5 °C, to form the nitrosoindole. In step ***bb***, the nitrosoindole is reacted with ammonium chloride in the presence of zinc powder in a protic solvent, such as MeOH. In step ***bc***, compounds of the Formula XLV are transformed into compounds of the Formula XLVI, wherein X4 is N(R14)(C(=O)R14) and R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, by treatment with and acid, such as 3,3,3-

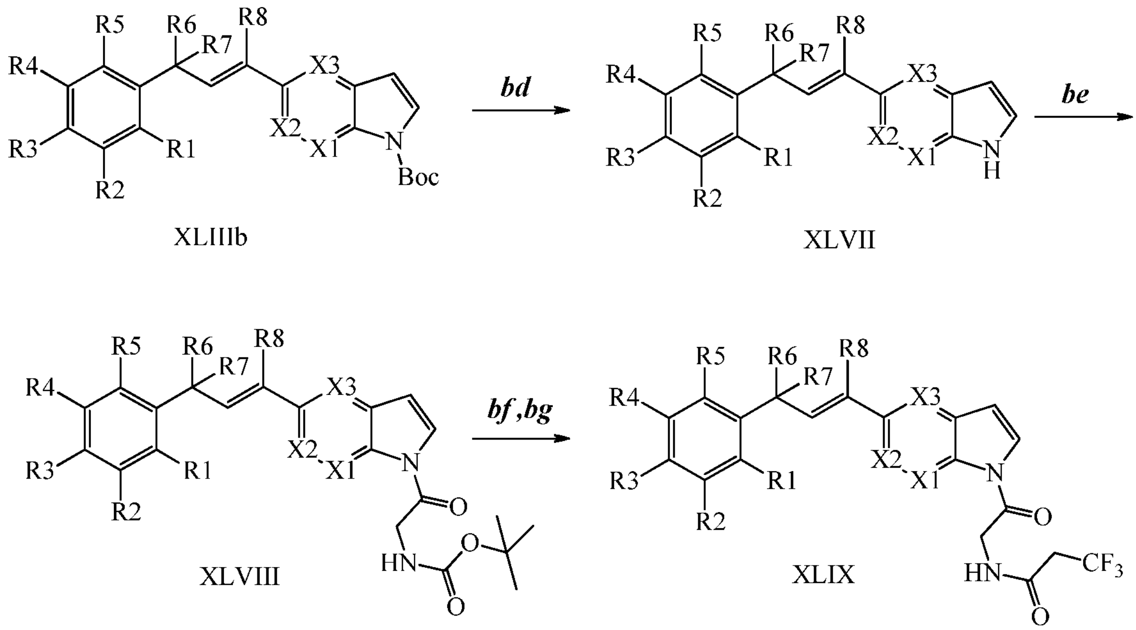
trifluoropropanoic acid, PyBOP, and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2.

Scheme XXXVI



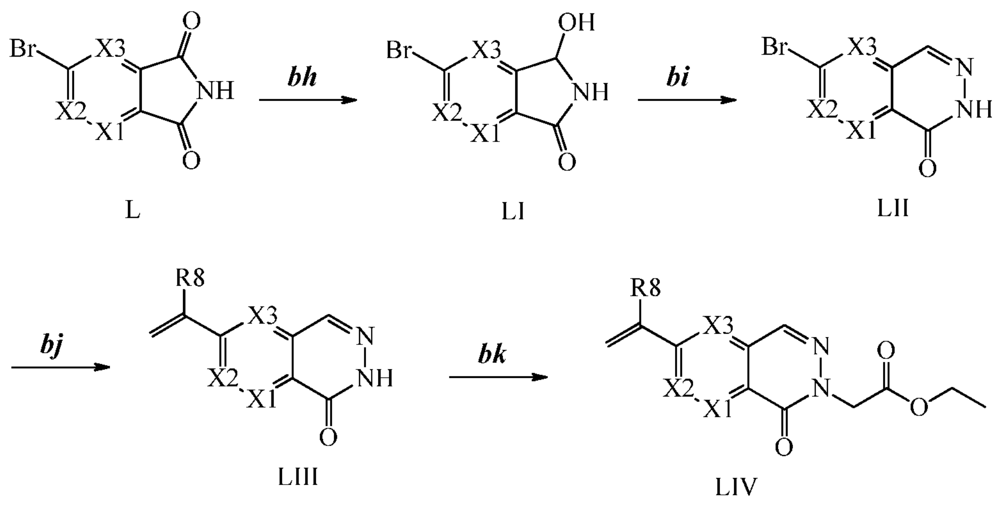
In Scheme XXXVII, a compound of Formula XLIIIb, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed is converted to an indole of Formula XLVII, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed by treatment with trifluoroacetic acid, in a solvent such as CH_2Cl_2, as in step ***bd***. Compounds of the Formula XLVII can be transformed into compounds of the Formula XLVIII wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, by reaction with 4-nitrophenyl-2-((*tert*-butoxycarbonyl)amino)acetate in the presence of potassium fluoride and a crown ether, such as 18-crown-6-ether, in a solvent, such as acetonitrile, as in step ***be***. Compounds of the Formula XLVIII can be transformed into compounds of the Formula XLIX, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed in two steps. In step ***bf***, the Boc group is removed by treatment with trifluoroacetic acid, in a solvent such as CH_2Cl_2. In step ***bg***, the amine is treated with 3,3,3-trifluoropropanoic acid, PyBOP, and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2.

Scheme XXXVII



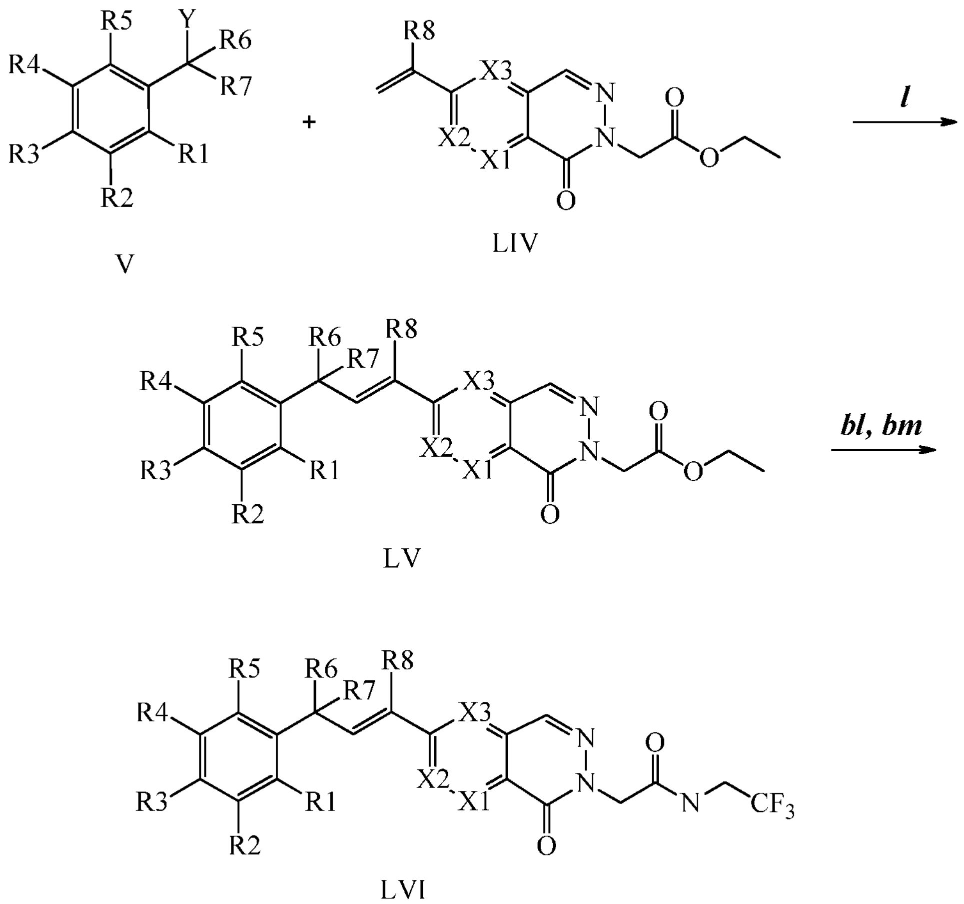
In Scheme XXXVIII, a compound of Formula L, wherein X1, X2, and X3 are as previously disclosed is converted to a compound of the Formula LI, wherein X1, X2, and X3 are as previously disclosed by treatment with copper (II) sulfate pentahydrate and Zn powder in a base, such as sodium hydroxide as in step ***bh***. Compounds of the Formula LI can be transformed into compounds of the Formula LII wherein X1, X2, and X3 are as previously disclosed, by reaction with hydrazine, in a solvent such as water, at a temperature around 95 °C, as in step ***bi***. In step ***bj***, the olefin of the Formula LIII wherein X1, X2, and X3 are as previously disclosed is formed by treatment of the bromide with potassium vinyl trifluoroborate in the presence of a palladium catalyst, such as $PdCl_2$(dppf), and a base, such as K_2CO_3, in a solvent mixture such as DMSO. Compounds of the Formula LIV, wherein X1, X2, and X3 are as previously disclosed, can be formed from compounds of the Formula LIII by reaction with ethyl bromoacetate, in the presence of a base, such as Cs_2CO_3, in a solvent, such as DMF.

Scheme XXXVIII



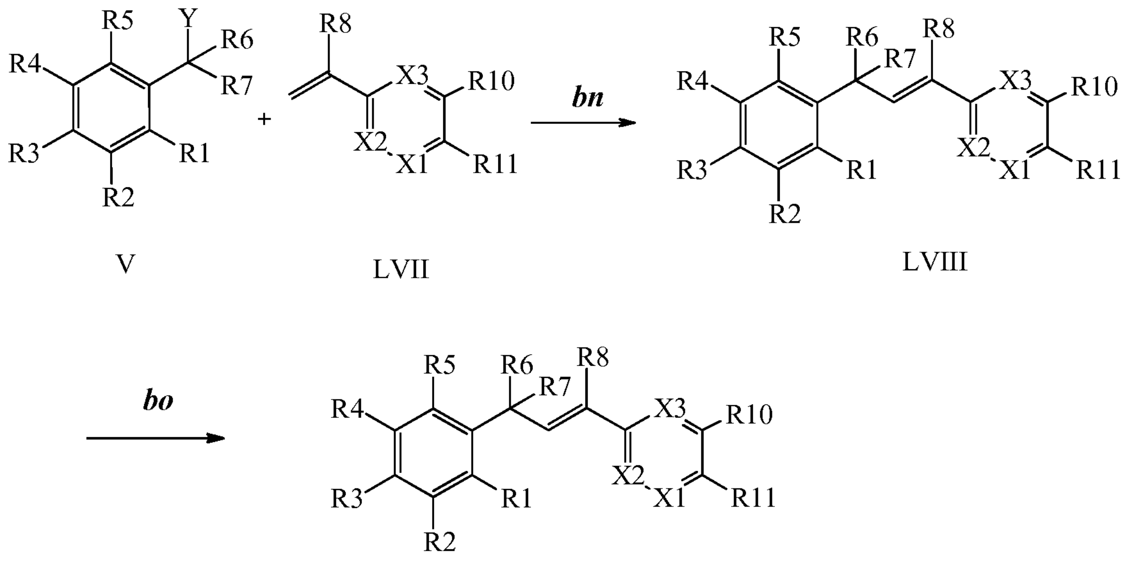
In step ***l*** of Scheme XXXIX, the compound of Formula V, wherein Y, R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed, and the compound of Formula LIV, wherein R8, X1, X2 and X3 are as previously disclosed, are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as 1,2-dichlorobenzene, at a temperature of about 180 °C to provide the corresponding compound of Formula LV, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed. The compound of Formula LV can be further transformed into a compound of the Formula LVI, wherein R1, R2, R3, R4, R5, R6, R7, R8, X1, X2, and X3 are as previously disclosed, in two steps. In step ***bl***, the ester is hydrolyzed to the acid in the presence of HCl and acetic acid, at a temperature of about 100 °C. In step ***bm***, the acid is treated with an amine, such as 2,2,2-trifluoroethylamine, PyBOP, and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2.

Scheme XXXIX



In step ***bn*** of Scheme XL, carboxylic acids of the Formula LVII, wherein R11 is C(=O)OH and R8, R10, X1, X2, and X3 are as previously disclosed and compounds of the Formula V, wherein Y is Br and R1, R2, R3, R4, R5, R6, and R7 are as previously disclosed are allowed to react in the presence of CuCl and 2,2-bipyridyl in a solvent, such as *N*-methyl pyrrolidine, at a temperature of about 150 °C to afford compounds of Formula LVIII, wherein R11 is (C=O)OH and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, X1, X2, and X3 are as previously disclosed. Compounds of the Formula LVIII can be further transformed to the corresponding benzamides of Formula LIX, wherein R11 is (C=O)N(R14)(R15), and R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, X1, X2, and X3 are as previously disclosed, by treatment with an amine, such as 2-amino-*N*-(2,2,2-trifluoroethyl)acetamide, PyBOP, and a base, such as DIPEA, in a polar aprotic solvent, such as CH_2Cl_2, as in step ***bo***.

Scheme XL



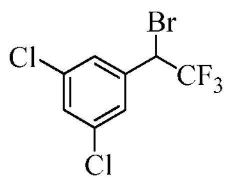
LIX

EXAMPLES

The examples are for illustration purposes and are not to be construed as limiting the invention disclosed in this document to only the embodiments disclosed in these examples.

Starting materials, reagents, and solvents that were obtained from commercial sources were used without further purification. Anhydrous solvents were purchased as Sure/Seal™ from Aldrich and were used as received. Melting points were obtained on a Thomas Hoover Unimelt capillary melting point apparatus or an OptiMelt Automated Melting Point System from Stanford Research Systems and are uncorrected. Molecules are given their known names, named according to naming programs within ISIS Draw, ChemDraw, or ACD Name Pro. If such programs are unable to name a molecule, the molecule is named using conventional naming rules. ^{1}H NMR spectral data are in ppm (δ) and were recorded at 300, 400, or 600 MHz, and ^{13}C NMR spectral data are in ppm (δ) and were recorded at 75, 100, or 150 MHz, unless otherwise stated.

Example 1: Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (AI1)

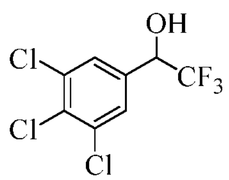


Step 1 Method A. 1-(3,5-Dichlorophenyl)-2,2,2-trifluoroethanol (AI2). To a stirred solution of 1-(3,5-dichlorophenyl)-2,2,2-trifluoroethanone (procured from Rieke Metals, UK; 5.0 grams (g), 20.5 millimoles (mmol)) in MeOH (100 mL) at 0 °C were added sodium borohydride ($NaBH_4$; 3.33 g, 92.5 mL) and 1 Normal (N) aqueous sodium hydroxide solution (NaOH; 10 mL). The reaction mixture was warmed to 25 °C and stirred for 2 hours (h). After the reaction was deemed complete by thin layer chromatography (TLC), saturated (satd) aqueous (aq) ammonium chloride (NH_4Cl) solution was added to the reaction mixture, and the mixture was concentrated under reduced pressure. The residue was diluted with diethyl ether (Et_2O) and washed with water (3 x 50 mL). The organic layer was dried over sodium sulfate (Na_2SO_4) and concentrated under reduced pressure to afford the title compound as a liquid (4.0 g, 79%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 3H), 5.00 (m, 2H), 2.74 (s, 1H); ESIMS *m/z* 242.97 ($[M-H]^-$).

Step 1 Method B. 1-(3,5-Dichlorophenyl)-2,2,2-trifluoroethanol (AI2). To a stirred solution of 3,5-dichlorobenzaldehyde (10 g, 57 mmol) in THF (250 mL) were added trifluoromethyltrimethylsilane (9.79 g, 69.2 mmol) and a catalytic amount of tetrabutylammonium fluoride (TBAF). The reaction mixture was stirred at 25 °C for 8 h. After the reaction was deemed complete by TLC, the reaction mixture was diluted with 3 N hydrochloric acid (HCl) and then was stirred for 16 h. The reaction mixture was diluted with water and was extracted with ethyl acetate (EtOAc; 3 x). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a liquid (8.41 g, 60%).

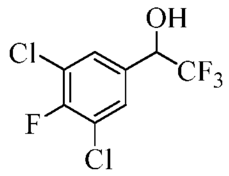
The following compounds were made in accordance with the procedures disclosed in **Step 1 Method B** of **Example 1** above.

2,2,2-Trifluoro-1-(3,4,5-trichlorophenyl)ethanol (AI3)



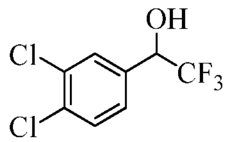
The product was isolated as a pale yellow liquid (500 mg, 65%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.45 (s, 2H), 5.00 (m, 1H), 2.80 (s, 1H); ESIMS *m/z* 278 ($[M+H]^+$); IR (thin film) 3420, 1133, 718 cm^{-1}.

1-(3,5-Dichloro-4-fluorophenyl)-2,2,2-trifluoroethanol (AI4)



The product was isolated as a pale yellow liquid (500 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 2H), 5.00 (m, 1H), 2.80 (s, 1H); ESIMS *m/z* 262 ($[M+H]^+$); IR (thin film) 3420, 1133, 718 cm^{-1}.

1-(3,4-Dichlorophenyl)-2,2,2-trifluoroethanol (AI5)

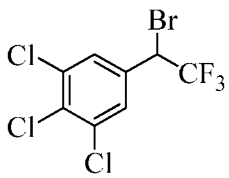


The product was isolated as a pale yellow liquid (500 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (s, 1H), 7.51 (m, 1H), 7.35 (m, 1H), 5.01 (m, 1H), 2.60 (s, 1H); EIMS *m/z* 244 ($[M]^+$).

Step 2. 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (AI1). To a stirred solution of 1-(3,5-dichlorophenyl)-2,2,2-trifluoroethanol (4.0 g, 16.3 mmol) in CH_2Cl_2 (50 mL), were added *N*-bromosuccinimide (NBS; 2.9 g, 16.3 mmol) and triphenyl phosphite (5.06 g, 16.3 mmol), and the resultant reaction mixture was heated at reflux for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to 25 °C and was concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 100% pentane) afforded the title compound as a liquid (2.0 g, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 3H), 5.00 (m, 1H); EIMS *m/z* 306 ($[M]^+$).

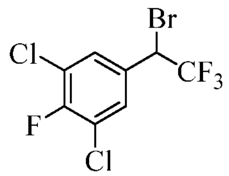
The following compounds were made in accordance with the procedures disclosed in **Step 2** of **Example 1**.

5-(1-Bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (AI6)



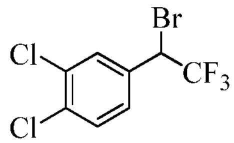
The product was isolated as a colorless oil (300 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (s, 2H), 5.00 (m, 1H); EIMS *m/z* 340.00 ($[M]^+$).

5-(1-Bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (AI7)



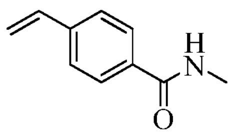
The product was isolated as a colorless oil (320 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (s, 2H), 5.00 (m, 2H); EIMS *m/z* 324.00 ([M]$^+$).

4-(1-Bromo-2,2,2-trifluoroethyl)-1,2-dichlorobenzene (AI8)



The product was isolated as a colorless oil (300 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (s, 1H), 7.51 (m, 1H), 7.35 (m, 1H), 5.01 (m, 1H); EIMS *m/z* 306.00 ([M]$^+$).

Example 2: Preparation of *N*-Methyl-4-vinylbenzamide (AI9)

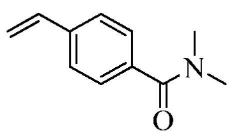


Step 1. 4-Vinylbenzoyl chloride (AI10). To a stirred solution of 4-vinylbenzoic acid (1 g, 6.75 mmol) in CH_2Cl_2 (20 mL) at 0 °C were added a catalytic amount of *N,N*-dimethylformamide (DMF) and oxalyl chloride (1.27 g, 10.12 mmol) dropwise over a period of 15 minutes (min). The reaction mixture was stirred at 25 °C for 6 h. After the reaction was deemed complete by TLC, the reaction mixture was concentrated under reduced pressure to give the crude acid chloride.

Step 2. *N*-Methyl-4-vinylbenzamide (AI9). To 1 M *N*-methylamine in THF (13.5 mL, 13.5 mmol) at 0 °C were added TEA (1.34 mL, 10.12 mmol) and the acid chloride from Step 1 above in THF (10 mL), and the reaction mixture was stirred at 25 °C for 3 h. After the reaction was deemed complete by TLC, the reaction mixture was quenched with water and then was extracted with EtOAc (3x). The combined EtOAc layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (650 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 2H), 6.79 (m, 1H), 6.20 (br s,1H), 5.82 (d, *J* = 17.6 Hz, 1H), 5.39 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 161.95 ([M+H]$^+$).

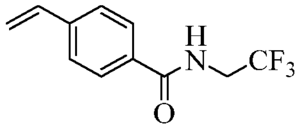
The following compounds were made in accordance with the procedures disclosed in accordance with **Example 2**.

***N,N*-Dimethyl-4-vinylbenzamide (AI11)**



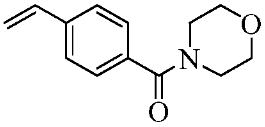
The product was isolated as an off-white solid (650 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (m, 4H), 6.71 (m, 1H), 5.80 (d, *J* = 17.6 Hz, 1H), 5.31 (d, *J* = 10.8 Hz, 1H), 3.05 (s, 3H), 3.00 (s, 3H); ESIMS *m/z* 176.01 ([M+H]$^+$).

_N_-(2,2,3-Trifluoromethyl)-4-vinylbenzamide (AI12)



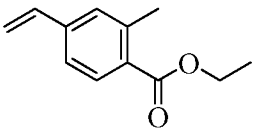
The product was isolated as an off-white solid (900 mg, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 2H), 6.79 (m, 1H), 6.20 (br s,1H), 5.82 (d, *J* = 17.6 Hz, 1H), 5.39 (d, *J* = 10.8 Hz, 1H), 4.19 (m, 2H); ESIMS *m/z* 230.06 ([M+H]$^+$).

Morpholino(4-vinylphenyl)methanone (AI13)



The product was isolated as a white solid (850 mg, 60%): ESIMS *m/z* 218.12 ([M+H]$^+$).

Example 3: Preparation of Ethyl 2-methyl-4-vinylbenzoate (AI14)

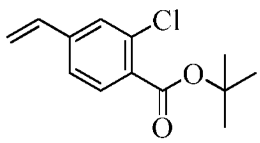


Step 1. 4-Formyl-2-methylbenzoic acid (AI15). To a stirred solution of 4-bromo-2-methylbenzoic acid (10 g, 46.4 mmol) in dry THF (360 mL) at -78 °C was added *n*-BuLi (1.6 M solution in hexane; 58.17 mL, 93.0 mmol) and DMF (8 mL). The reaction mixture was stirred at -78 °C for 1 h then was warmed to 25 °C and stirred for 1 h. The reaction mixture was quenched with 1 N HCl solution and extracted with EtOAc. The combined EtOAc extracts were washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure. The residue was washed with *n*-hexane to afford the title compound as a solid (3.0 g, 40%): mp 196–198 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.32 (br s, 1H), 10.05 (s, 1H), 7.98 (m, 1H), 7.84 (m, 2H), 2.61 (s, 3H); ESIMS *m/z* 163.00 ([M-H]$^-$).

Step 2. Ethyl 4-formyl-2-methylbenzoate (AI16). To a stirred solution of 4-formyl-2-methylbenzoic acid (3 g, 18.2 mmol) in ethyl alcohol (EtOH; 30 mL) was added sulfuric acid (H_2SO_4, x M; 2 mL), and the reaction mixture was heated at 80 °C for 18 h. The reaction mixture was cooled to 25 °C and concentrated under reduced pressure. The residue was diluted with EtOAc and washed with water. The combined EtOAc extracts were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a solid (2.8 g, 80%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.04 (m, 1H), 7.75 (m, 2H), 4.43 (m, 2H), 2.65 (s, 3H), 1.42 (m, 3H).

Step 3. Ethyl 2-methyl-4-vinylbenzoate (AI14). To a stirred solution of ethyl 4-formyl-2-methylbenzoate (2.8 g, 4 mmol) in 1,4-dioxane (20 mL) were added potassium carbonate (K_2CO_3; 3.01 g, 21.87 mmol) and methyltriphenyl phosphonium bromide (7.8 g, 21.87 mmol) at 25 °C. Then the reaction mixture was heated at 100 °C for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to 25 °C and filtered, and the filtrate was concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 25–30% EtOAc in *n*-Hexane) to afford the title compound as a solid (2.0 g, 72%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 1H), 7.27 (m, 2H), 6.68 (dd, *J* =17.6, 10.8 Hz , 1H), 5.84 (d, *J* = 17.6 Hz, 1H), 5.39 (d, *J* = 10.8 Hz, 1H), 4.39 (m, 2H), 2.60 (s, 3H), 1.40 (m, 3H); ESIMS *m/z* 191.10 ([M-H]$^-$); IR (thin film) 2980, 1716, 1257 cm^{-1}.

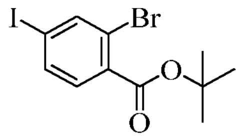
Example 4: Preparation of *tert*-Butyl 2-chloro-4-vinylbenzoate (AI17)



Step 1. *tert*-Butyl 4-bromo-2-chlorobenzoate (AI18). To a stirred solution of 4-bromo-2-chlorobenzoic acid (5 g, 21.37 mmol) in THF (30 mL) was added di-*tert*-butyl dicarbonate (25.5 g, 25.58 mmol), TEA (3.2 g, 31.98 mmol) and DMAP (0.78 g, 6.398 mmol), and the reaction mixture was stirred at 25 °C for 18 h. The reaction mixture was diluted with EtOAc and washed with water. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 2–3% EtOAc in *n*-hexane) to afford the title compound as a liquid (3.2 g, 51%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (m, 2H), 7.44 (d, *J* = 8.4 Hz, 1H), 1.59 (s, 9H); ESIMS *m/z* 290.10 ([M+H]$^+$); IR(thin film) 1728 cm^{-1}.

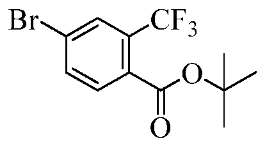
The following compounds were made in accordance with the procedures disclosed in **Step 1** of **Example 4**.

***tert*-Butyl 2-bromo-4-iodobenzoate (AI19)**



The product was isolated as a colorless oil (1.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 1.59 (s, 9H); ESIMS *m/z* 382.10 ($[M+H]^+$); IR(thin film) 1727 cm^{-1}.

***tert*-Butyl 4-bromo-2-(trifluoromethyl)benzoate(AI20)**

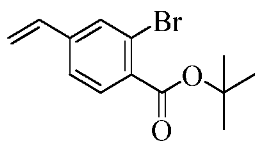


The product was isolated as a colorless oil (1 g, 52%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (s, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 1H), 1.57 (s, 9H); ESIMS *m/z* 324.10 ($[M+H]^+$); IR (thin film) 1725 cm^{-1}.

Step 2. *tert*-Butyl 2-chloro-4-vinylbenzoate (AI17). To a stirred solution of *tert*-butyl 4-bromo-2-chlorobenzoate (1.6 g, 5.50 mmol) in toluene (20 mL) was added tetrakis(triphenylphospine)palladium(0) ($Pd(PPh_3)_4$; (0.31 mg, 0.27 mmol), K_2CO_3 (2.27 g, 16.5 mmol) and vinylboronic anhydride pyridine complex (2.0 g, 8.3 mmol) and the reaction mixture was heated to reflux for 16 h. The reaction mixture was filtered, and the filtrate was washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 5–6% EtOAc in *n*-hexane) afforded the title compound as a liquid (0.6 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.1 Hz, 1H), 7.44 (m, 1H), 7.31 (d, *J* = 8.0 Hz, 1H), 6.69 (dd, *J* =17.6, 10.8 Hz , 1H) , 5.85 (d, *J* = 17.6 Hz, 1H), 5.40 (d, *J* = 10.8 Hz, 1H), 1.60 (s, 9H); ESIMS *m/z* 238.95 ($[M+H]^+$); IR (thin film) 2931, 1725, 1134 cm^{-1}.

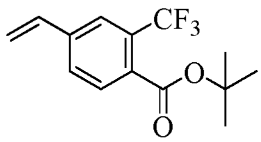
The following compounds were made in accordance with the procedures disclosed in **Step 2** of **Example 4**.

***tert*-Butyl 2-bromo-4-vinylbenzoate (AI21)**



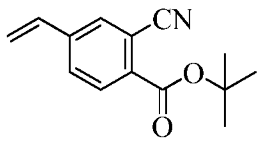
The product was isolated as a colorless oil (1g, 52%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 1H), 6.68 (dd, *J* =17.6, 10.8 Hz , 1H), 5.84 (d, *J* = 17.6 Hz, 1H), 5.39 (d, *J* = 10.8 Hz, 1H), 1.60 (s, 9H); ESIMS *m/z* 282.10 ($[M+H]^+$); IR (thin film) 2978, 1724, 1130 cm^{-1}.

***tert*-Butyl 2-(trifluoromethyl)-4-vinylbenzoate (AI22)**



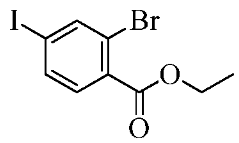
The product was isolated as a colorless oil (1.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 6.4 Hz, 2H), 7.59 (d, *J* = 7.6 Hz, 1H), 6.77 (dd, *J* = 17.6, 10.8 Hz , 1H), 5.89 (d, *J* = 17.6 Hz, 1H), 5.44 (d, *J* = 10.8 Hz, 1H), 1.58 (s, 9H); ESIMS *m/z* 272.20 ($[M+H]^+$); IR (thin film) 2982, 1727, 1159 cm^{-1}.

Example 5: Preparation of *tert*-Butyl 2-cyano-4-vinylbenzoate (AI23)



To a stirred solution of *tert*-butyl 2-bromo-4-vinylbenzoate (0.5 g, 1.77 mmol) in DMF (20 mL) was added copper(I) cyanide (CuCN; 0.23 g, 2.65 mmol), and the reaction mixture was heated at 140 °C for 3 h. The reaction mixture was cooled to 25 °C, diluted with water, and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 15% EtOAc in *n*-hexane) to afford the title compound as a white solid (0.3 g, 72%): mp 51–53 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.77 (s, 1H), 7.64 (d, *J* = 8.4 Hz, 1H), 6.75 (dd, *J* = 17.6, 10.8 Hz , 1H), 5.93 (d, *J* = 17.6 Hz, 1H), 5.51 (d, *J* = 10.8 Hz, 1H), 1.65 (s, 9H); ESIMS *m/z* 229.84 ($[M+H]^+$); IR (thin film) 2370, 1709, 1142 cm^{-1}.

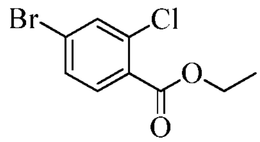
Example 6: Preparation of Ethyl 2-bromo-4-iodobenzoate (AI46)



To a stirred solution of 4-iodo-2-bromobenzoic acid (5 g, 15.29 mmol) in ethyl alcohol (EtOH; 100 mL) was added sulfuric acid (H_2SO_4; 5 mL), and the reaction mixture was heated at 80 °C for 18 h. The reaction mixture was cooled to 25 °C and concentrated under reduced pressure. The residue was diluted with EtOAc (2x100 mL) and washed with water (100 mL). The combined EtOAc extracts were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the compound as a pale yellow solid (5 g, 92%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.04 (d, *J* = 1.2 Hz, 1H), 7.71 (d, *J* = 7.6 Hz, 1H), 7.51 (d, *J* = 8.4 Hz, 1H), 4.41 (q, *J* = 7.2 Hz, 2H), 1.41 (t, *J* = 7.2 Hz, 3H).

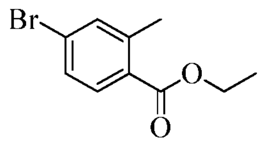
The following compounds were made in accordance with the procedures disclosed in **Example 6**.

Ethyl 4-bromo-2-chlorobenzoate (AI47)



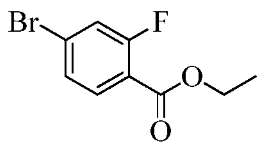
The title compound was isolated as an off-white solid (2.0 g, 80 %): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.25 (d, *J* = 1.2 Hz, 1H), 7.79 (d, *J* = 7.6 Hz, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 4.65 (q, *J* = 7.2 Hz, 2H), 1.56 (t, *J* = 7.2 Hz, 3H).

Ethyl 4-bromo-2-methylbenzoate (AI48)



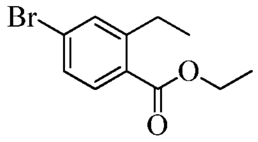
The title compound was isolated as a pale yellow liquid (3.0 g, 83%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.4 Hz, 1H), 7.41 (s, 1H), 7.39 (d, *J* = 8.4 Hz, 1H), 4.42 (q, *J* = 7.2 Hz, 2H), 2.60 (s, 3H), 1.40 (t, *J* = 7.2 Hz, 3H)ESIMS *m/z* 229.11 ([M+H]$^+$); IR (thin film) 1725 cm^{-1}.

Ethyl 4-bromo-2-fluorolbenzoate (AI49)



The title compound was isolated as a colorless liquid (9.0 g, 79%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.84 (t, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 2.0 Hz, 1H), 7.58 (d, *J* = 1.6 Hz, 1H), 4.34 (q, *J* = 7.2 Hz, 2H), 1.32 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 246.99 ([M+H]$^+$), IR (thin film) 1734 cm^{-1}.

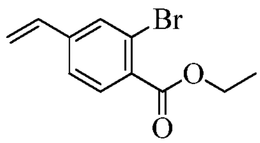
Example 7: Preparation of Ethyl 4-bromo-2-ethylbenzoate (AI50)



To a stirred solution of 4-bromo-2-fluorobenzoic acid (2.0 g, 9.17 mmol) in THF (16 mL), was added 1.0 M ethyl magnesium bromide in THF (32 mL, 32.0 mmol) dropwise at 0°C and the resultant reaction mixture was stirred at ambient temperature for 18h. The reaction mixture was quenched with 2 N HCl and extracted with ethyl acetate. The combined ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude 4-bromo-2-ethylbenzoic acid as a colorless liquid that was used in the next step without purification (0.4 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 8.4 Hz, 1H), 7.47 (m, 1H), 7.43 (m, 1H), 2.95 (q, *J* = 4.0 Hz, 2H), 1.32 (t, *J* = 4.0 Hz, 3H); ESIMS *m/z* 228.97 ($[M+H]^+$).

The title compound was synthesized from 4-bromo-2-ethylbenzoic acid in accordance to the procedure in **Example 6**, isolated as a colorless liquid (0.15 g, 68%): ^{1}H NMR (400 MHz, DMSO-d_6)δ 7.90 (d, *J* = 8.4 Hz, 1H), 7.47 (m, 2H), 4.40 (q, *J* = 7.2 Hz, 2H), 3.06 (q, *J* = 7.6 Hz, 2H), 1.42 (t, *J* = 7.2 Hz, 3H), 1.26 (t, *J* = 7.6 Hz, 3H); ESIMS *m/z* 226.96 ($[M-H]^-$); IR (thin film) 3443, 1686, 568 cm^{-1}.

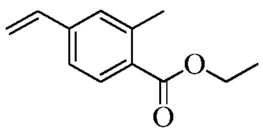
Example 8: Preparation of Ethyl 2-bromo-4-vinylbenzoate (AI51)



To a stirred solution of ethyl 2-bromo-4-iodobenzoate (5 g, 14.3 mmol) in THF/water (100 mL, 9:1) was added potassium vinyltrifluoroborate (1.89 g, 14.3 mmol), Cs_2CO_3 (18.27 g, 56.07 mmol) and triphenylphosphine (0.22 g, 0.85 mmol) and the reaction mixture was degassed with argon for 20 min, then charged with $PdCl_2$ (0.05 g,0.28 mmol). The reaction mixture was heated to reflux for 16 h. The reaction mixture was cooled to ambient temperature and filtered through a celite bed and washed with ethyl acetate. The filtrate was again extracted with ethyl acetate and the combined organic layers washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford crude compound**.** The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/ petroleum ether) to afford the title compound as a light brown gummy material (2 g, 56%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 1.2 Hz, 1H), 7.51 (d, *J* = 8.4 Hz, 1H), 6.69 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.86 (d, *J* = 17.6 Hz, 1H), 5.42 (d, *J* = 11.2 Hz, 1H), 4.42 (q, *J* = 7.2Hz, 2H), 1.43 (t, *J* = 3.6 Hz, 3H); ESIMS *m/z* 255.18 ($[M+H]^+$); IR (thin film) 1729 cm^{-1}.

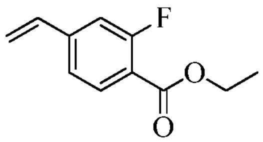
The following compounds were made in accordance with the procedures disclosed in **Example 8**.

Ethyl 2-methyl-4-vinylbenzoate (AI52)



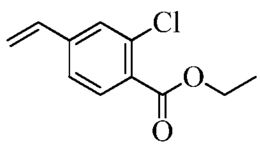
The title compound was isolated as a colorless liquid (0.8 g, 80 %): ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.4 Hz, 1H), 7.27 (m, 2H), 6.79 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.86 (d, *J* = 17.6 Hz, 1H), 5.42 (d, *J* = 11.2 Hz, 1H), 4.42 (q, *J* = 7.2 Hz, 2H), 2.60 (s, 3H), 1.43 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 191.10 ($[M+H]^+$); IR (thin film) 1717, 1257 cm^{-1}.

Ethyl 2-fluoro-4-vinylbenzoate (AI53)



The title compound was isolated as a pale yellow liquid (2.0 g, 50 %): ^1H NMR (400 MHz, DMSO-d_6) δ 7.87 (t, *J* = 8.0 Hz, 1H), 7.51(d, *J* = 16.0 Hz, 1H), 7.48 (d, *J* = 16.0 Hz, 1H), 6.82 (dd, *J* = 17.6, 10.8 Hz, 1H), 6.09 (d, *J* = 17.6 Hz, 1H), 5.50 (d, *J* = 10.8 Hz, 1H), 4.35 (q, *J* = 7.2 Hz, 2H), 1.35 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 195.19 ($[M+H]^+$); IR (thin film) 1728 cm^{-1}.

Example 9: Preparation of Ethyl 2-chloro-4-vinylbenzoate (AI54)

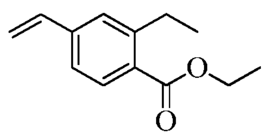


To a stirred solution of ethyl 2-chloro-4-bromobenzoate (2 g, 7.63 mmol) in dimethylsulfoxide (20 mL) was added potassium vinyltrifluoroborate (3.06 g, 22.9 mmol) and potassium carbonate (3.16 g, 22.9 mmol). The reaction mixture was degassed with argon for 30 min. Bistriphenylphosphine(diphenylphosphinoferrocene)palladium dichloride (0.27 g, 0.38 mmol) was added and the reaction mixture was heated to 80 °C for 1 h. The reaction mixture was diluted with water (100 mL), extracted with ethyl acetate (2 x 50 mL), washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to obtain the compound as brown gummy material (1.1 g, 69%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 8.4 Hz, 1H), 7.46 (s, 1H), 7.33 (d, *J* = 8.4 Hz, 1H), 6.70 (dd, *J* = 17.6, 11.2 Hz, 1H), 5.87

(d, *J* = 17.6 Hz, 1H), 5.42 (d, *J* = 10.8 Hz, 1H), 4.41 (q, *J* = 7.2 Hz,2H), 1.43 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 211.22 ([M+H]$^+$); IR (thin film) 1729, 886 cm^{-1}.

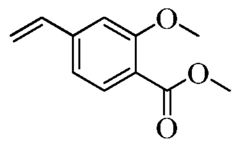
The following compounds were made in accordance with the procedures disclosed in **Example 9**.

Ethyl 2-ethyl-4-vinylbenzoate (AI55)



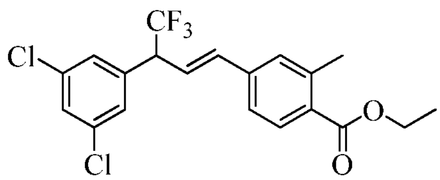
The title compound was isolated as a color less liquid (1.0 g, 66 %): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (m, 1H), 7.29 (m, 2H), 6.76 (d, *J* = 10.8 Hz, 1H), 5.86 (d, *J* = 17.6 Hz, 1H), 5.36 (d, *J* = 10.5 Hz, 1H), 4.41 (q, *J* = 7.2 Hz, 2H), 3.10 (q, *J* = 7.2 Hz, 2H), 1.40 (t, *J* = 7.2 Hz, 3H), 1.30 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 205.26 ([M+H]$^+$); IR (thin film) 1720, 1607, 1263 cm^{-1}.

Methyl 2-methoxy-4-vinylbenzoate (AI56)



The title compound was isolated as a pale yellow liquid (1.2 g, 75 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.0 Hz, 1H), 7.04 (d, *J* = 1.2 Hz, 1H), 6.97 (s, 1H), 6.74 (dd, *J* = 11.2, 11.2 Hz, 1H), 5.86 (d, *J* = 17.6 Hz, 1H), 5.39 (d, *J* = 17.6 Hz, 1H) 3.93 (s, 3H), 3.91 (s, 3H). ESIMS *m/z* 193.18 ([M+H]$^+$); IR (thin film) 1732 cm^{-1}.

Example 10: Preparation of (*E*)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (AI24)

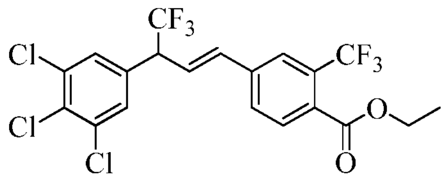


To a stirred solution of ethyl 2-methyl-4-vinylbenzoate (2.0 g, 10.5 mmol) in 1,2-dichlorobenzene (25 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (6.44 g, 21.0 mmol), copper(I) chloride (CuCl; 208 mg, 21 mmol) and 2,2bipyridyl (0.65 g, 4.1 mmol). The reaction mixture was degassed with argon for 30 min and then stirred at 180 °C for 24 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to 25 °C and filtered, and the filtrate was concentrated under reduced pressure.

Purification by flash chromatography (SiO_2, 100-200 mesh; eluting with 25–30% EtOAc in petroleum ether) afforded the title compound as a solid (1.7 g, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.0 Hz, 1H), 7.37 (m, 1H), 7.27–7.24 (m, 4H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.59 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.38 (q, *J* = 7.2 Hz, 2H), 4.08 (m, 1H), 2.62 (s, 3H), 1.42 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 415.06 ([M-H]$^-$); IR (thin film) 1717, 1255, 1114 cm^{-1}.

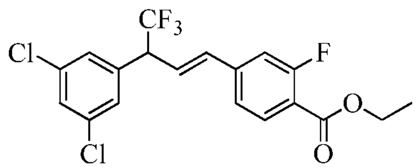
Compounds **AI25, AI57-AI68** and **AC1–AC5** (Table 1) were made in accordance with the procedures disclosed in **Example 10.**

(*E*)-Ethyl 4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)-benzoic acid (AI25)



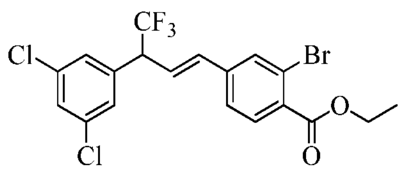
The product was isolated as a pale brown gummy liquid (500 mg, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.0 Hz, 1H), , 7.71 (m, 1H), 7.61 (d, *J* = 7.6 Hz, 1H),7.42 (s, 2H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.57 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.42 (q, *J* = 7.2 Hz, 2H), 4.19 (m, 1H), 1.40 (t, *J* = 7.6 Hz, 3H),; ESIMS *m/z* 502.99 ([M-H]$^-$); IR (thin film) 1730, 1201, 1120, 749 cm^{-1}.

(*E*)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluorobenzoate (AI57)



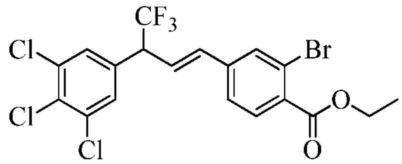
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 (s, 1H), 7.26 (s, 3H), 7.21 (d, *J* = 8.4 Hz, 1H), 7.16 (d, *J* = 11.6 Hz, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.47 (dd, *J* = ,16.0, 8.0 Hz, 1H), 4.41 (q, *J* = 6.8 Hz, 2H), 4.18 (m, 1H), 1.41 (t, *J* = 6.8 Hz, 3H); ESIMS *m/z* 419.33 ([M-H]$^-$); IR (thin film) 1723, 1115, 802 cm^{-1}.

(*E*)-Ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-bromobenzoate (AI58)



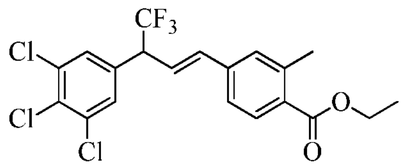
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.0 Hz, 1H), 7.67 (s, 1H), 7.38 (m, 2H), 7.26 (m, 2H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.42 (q, *J* = 7.2 Hz, 2H), 4.39 (m, 1H), 1.42 (t, J = 7.2 Hz, 3H); ESIMS m/z 481.22 ([M-H]$^-$); IR (thin film) 1727, 1114, 801, 685 cm^{-1}.

(E)-Ethyl 2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl)benzoate (AI59)



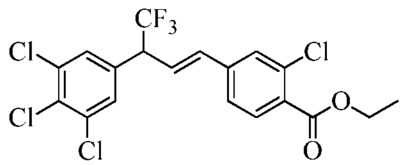
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, J = 8.0 Hz, 1H), 7.67 (d, J = 1.6 Hz, 1H), 7.40 (s, 2H), 7.36 (d, J = 1.6 Hz, 1H), 6.56 (d, J = 16.0 Hz, 1H), 6.44 (dd, J = 16.0, 7.6 Hz, 1H), 4.42 (q, J = 6.8 Hz, 2H), 4.15 (m, 1H), 1.42 (t, J = 6.8 Hz, 3H); ESIMS m/z 514.74 ([M-H]$^-$); IR (thin film) 1726, 1115, 808, 620 cm^{-1}.

(E)-Ethyl 2-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl)benzoate (AI60)



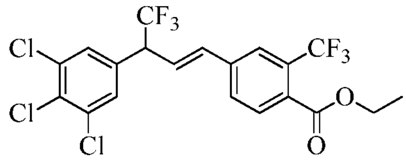
The title compound was isolated as a light brown gummy material: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, J = 8.8 Hz, 1H), 7.34 (d, J = 6.0 Hz, 2H), 7.25 (d, J = 7.2 Hz, 2H), 6.59 (d, J = 16.0 Hz, 1H), 6.42 (dd, J = 16.0, 8.0 Hz, 1H), 4.38 (q, J = 7.2 Hz, 2H), 4.19 (m, 1H), 2.63 (s, 3H), 1.41 (t, J = 7.2 Hz, 3H).

(E)-Ethyl 2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl)benzoate (AI61)



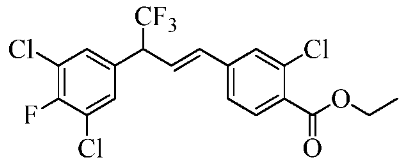
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J = 8.0 Hz, 1H), 7.46 (d, J = 1.6 Hz, 1H), 7.40 (s, 2H), 7.31 (d, J = 1.6 Hz, 1H), 6.57 (d, J = 16.0 Hz, 1H), 6.44 (dd, J = 16.0 Hz,, 8.0 Hz, 1H), 4.42 (q, J = 6.8 Hz, 2H), 4.15 (m, 1H), 1.42 (t, J = 6.8 Hz, 3H); ESIMS m/z 470.73 ([M-H]$^-$); IR (thin film) 1726, 1115, 809, 3072 cm^{-1}.

(E)-Ethyl 4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzoate (AI62)



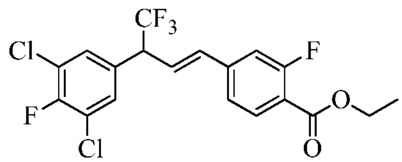
The title compound was isolated as a pale brown liquid (1.0 g, 46.3 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.0 Hz, 1H), 7.71 (s, 1H), 7.61 (d, *J* = 7.6 Hz, 1H), 7.41 (s, 2H) 6.65 (d, *J* = 16.0 Hz, 1H), 6.49 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.42 (q, *J* = 7.6 Hz, 2H), 4.15 (m, 1H), 1.42 (t, *J* = 7.6 Hz, 3H); ESIMS *m/z* 502.99 ([M-H]$^-$); IR (thin film) 1730, 1202, 1120, 750 cm^{-1}.

(*E*)-Ethyl 2-chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI63)



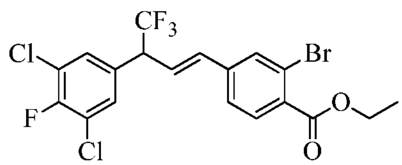
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 6.0 Hz, 1H), 7.46 (d, *J* = 1.8 Hz, 2H), 7.34 (m, 1H), 7.24 (m, 1H), 6.57 (d, *J* = 16.2 Hz, 1H), 6.45 (dd, *J* = 16.2, 7.2 Hz, 1H), 4.43 (q, *J* = 7.2 Hz, 2H), 4.13 (m, 1H), 1.41 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 455.0 ([M+H]$^+$); IR (thin film) 1728, 1115, 817 cm^{-1}.

(*E*)-Ethyl 2-fluoro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI64)



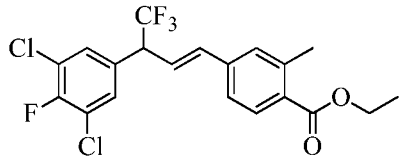
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (t, *J* = 7.6 Hz, 1H), 7.34 (d, *J* = 5.6 Hz, 2H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.16 (d, *J* = 11.6 Hz, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.49 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.42 (q, *J* = 7.6 Hz, 2H), 4.13 (m, 1H), 1.41 (t, *J* = 7.6 Hz, 3H); ESIMS *m/z* 436.81([M-H]$^-$); IR (thin film) 1725 cm^{-1}.

(*E*)-Ethyl 2-bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI65)



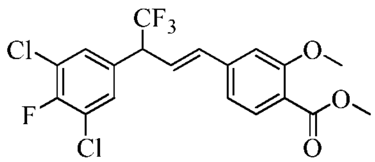
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.0 Hz, 1H), 7.67 (s, 1H), 7.36 (m, 3H), 6.56 (d, *J* = 15.6 Hz, 1H), 6.44 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.42 (q, *J* = 6.8 Hz, 2H), 4.10 (m, 1H), 1.42 (t, *J* = 6.8 Hz, 3H); ESIMS *m/z* 498.74 ([M-H]$^-$); IR (thin film) 1726, 1114, 820, 623 cm^{-1}.

(*E*)-Ethyl 2-methyl-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI66)



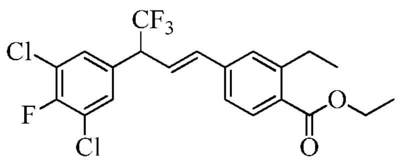
The title compound was isolated as a brown semi-solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, *J* = 8.8 Hz, 1H), 7.34 (d, *J* = 6.0 Hz, 2H), 7.25 (d, *J* = 7.2 Hz, 2H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 16.0 Hz, 8.0 Hz, 1H), 4.38 (q, *J* = 7.2 Hz, 2H), 4.19 (m, 1H), 2.63 (s, 3H), 1.41 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 432.90 ([M-H]$^-$); IR (thin film) 1715 cm^{-1}.

(*E*)-Methyl 2-methoxy-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI67)



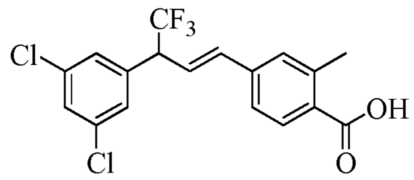
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 6.0 Hz, 2H), 7.03 (d, *J* = 1.2 Hz, 1H), 6.92 (s, 1H), 6.59 (d, *J* = 15.6 Hz, 1H), 6.42 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.13 (m, 1H), 3.93 (s, 3H), 3.88 (s, 3H); ESIMS *m/z* 437.29 ([M+H]$^+$); IR (thin film) 1724 cm^{-1}.

(*E*)-Ethyl 2-ethyl-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoate (AI68)



^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 9.6 Hz, 2H), 7.26 (m, 1H), 7.24 (m, 1H), 6.60 (d, *J* = 15.6 Hz, 1H), 6.42 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.38 (q, *J* = 7.2 Hz, 2H), 4.14 (m, 1H), 3.01 (q, *J* = 7.6 Hz 2H), 1.41 (t, *J* = 7.2 Hz, 3H), 1.26 (t, *J* = 7.6 Hz, 3H); ESIMS *m/z* 447.05 ([M-H]$^-$); IR (thin film) 1715, 1115, 817 cm^{-1}.

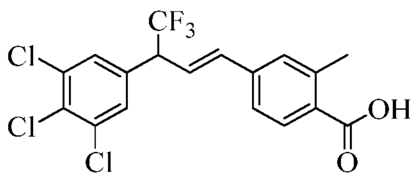
Example 11: Preparation of (*E*)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI32)



To a stirred solution of (*E*)-ethyl 4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (1.7 g, 4.0 mmol) in 1,4-dioxane (10 mL) was added 11 N HCl (30 mL), and the reaction mixture was heated at 100 °C for 48 h. The reaction mixture was cooled to 25 °C and concentrated under reduced pressure. The residue was diluted with water and extracted with chloroform ($CHCl_3$). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure, and the crude compound was washed with *n*-hexane to afford the title compound as a white solid (0.7 g, 50%): mp 142–143 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.62 (br s, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.66 (s, 3H), 7.52–7.44 (m, 2H), 6.89 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.78–6.74 (d, *J* = 16.0 Hz, 1H), 4.84 (m, 1H), 2.50 (s, 3H); ESIMS *m/z* 387.05 ([M-H]$^-$); IR (thin film) 3448, 1701, 1109, 777 cm^{-1}.

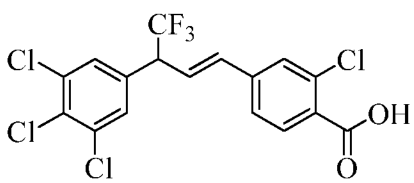
The following compounds were made in accordance with the procedures disclosed in **Example 11**.

(*E*)-2-Methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI26)



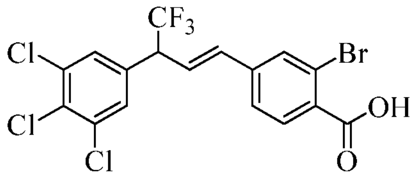
The product was isolated as a pale brown gummy liquid (1 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 8.0 Hz, 1H), 7.77 (s, 1H), 7.65 (m, 1H), 7.41 (s, 2H), 6.68 (d, *J* = 16.0 Hz, 1H), 6.53 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.16 (m, 1H), 2.50 (s, 3H); ESIMS *m/z* 422.67 ([M-H]$^-$).

(*E*)-2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI27)



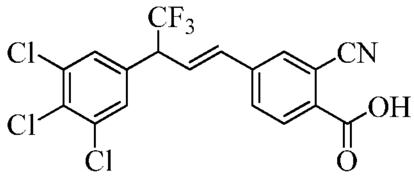
The product was isolated as an off-white semi-solid (1 g, 45%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.4 Hz, 1H), 7.50 (m, 1H), 7.40 (s, 1H), 7.36 (m, 2H), 6.59 (d, *J* = 15.6 Hz, 1H), 6.48 (dd, *J* = 15.6, 7.6 Hz, 1H), 4.14 (m, 1H); ESIMS *m/z* 442.72 ($[M-H]^-$); IR (thin film) 3472, 1704, 1113, 808 cm^{-1}.

(*E*)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI28)



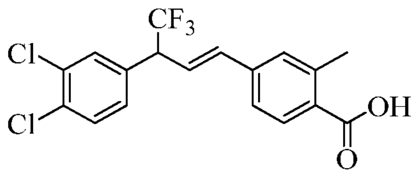
The product was isolated as a brown solid (1 g, 45%): mp 70–71 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.0 Hz, 1H), 7.72 (s, 1H), 7.40 (m, 3H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.14 (m, 1H); ESIMS *m/z* 484.75 ($[M-H]^-$); IR (thin film) 3468, 1700 cm^{-1}.

(*E*)-2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI29)



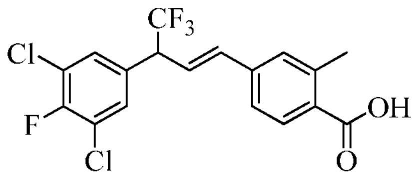
The product was isolated as an off-white solid (500 mg, 45%): mp 100–101 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.85 (d, *J* = 7.6 Hz, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.65 (br s, 1H), 7.42 (s, 2H), 6.73 (d, *J* = 16.0 Hz, 1H), 6.58 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.19 (m, 1H); ESIMS *m/z* 431.93 ($[M-H]^-$).

***E*)-4-(3-(3,4-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI30)**



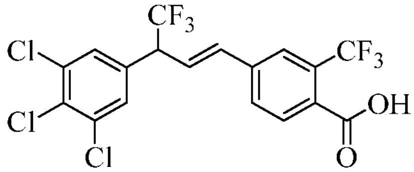
The product was isolated as a pale brown liquid (500 mg, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (m, 1H), 7.49 (m, 2H), 7.29 (m, 1H), 7.22 (m, 2H), 6.73 (d, *J* = 16.0 Hz, 1H), 6.58 (dd, *J* = 16.0, 7.8 Hz, 1H), 4.16 (m, 1H), 2.64 (s, 3H); ESIMS *m/z* 386.84 ($[M-H]^-$); IR (thin film) 3428, 1690, 1113, 780 cm^{-1}.

(*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI31)



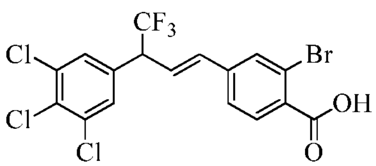
The product was isolated as a white solid (500 mg, 50%): mp 91–93°C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 5.6 Hz, 1H), 7.30 (m, 3H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.65 (s, 3H); ESIMS *m/z* 406.87 ($[M-H]^-$).

(*E*)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzoic acid (AI33)



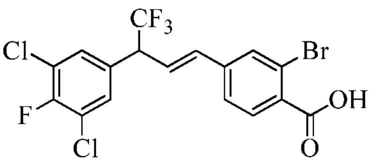
The product was isolated as a white solid (500 mg, 45%): mp 142–143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 8.0 Hz, 1H), 7.77 (s, 1H), 7.65 (m, 1H), 7.41 (s, 2H), 6.68 (d, *J* = 16.0 Hz, 1H), 6.53 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.16 (m, 1H); ESIMS *m/z* 474.87 ($[M-H]^-$).

(*E*)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (AI69)



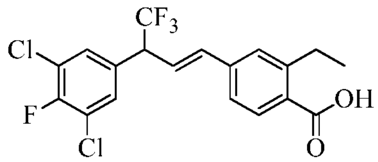
The title compound was isolated as a brown solid (0.8 g, 28%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 13.42 (br, 1H), 7.98 (d, *J* = 1.5 Hz, 1H), 7.94 (m, 2H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.65 (m, 1H), 7.06 (dd, *J* = 15.9, 9.0 Hz, 1H), 6.80 (d, *J* = 15.9 Hz, 1H), 4.91 (m, 1H); ESIMS *m/z* 484.75 ($[M-H]^-$); IR (thin film) 3469, 1700 cm^{-1}.

(*E*)-2-Bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluo robut-1-enyl)benzoic acid (AI70)



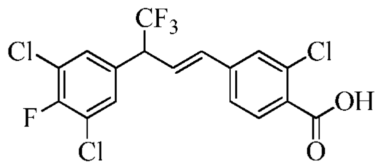
The title compound was isolated as a yellow liquid (0.3 g, crude): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.1 Hz, 1H), 7.67 (s, 1H), 7.34 (m, 3H), 6.56 (d, *J* = 15.9 Hz, 1H), 6.45 (dd, *J* = 15.9, 7.6 Hz, 1H), 4.43 (m, 1H); ESIMS *m/z* 471.0 ([M-H]$^-$).

(*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-ethylbenzoic acid (AI71)



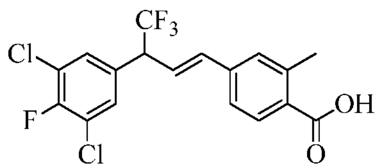
The title compound was isolated as a brown gummy material (0.2 g, crude): ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.5 (br, 1H), 7.85 (d, *J* = 6.3 Hz, 2H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.52 (m, 2H), 6.96 (dd, *J* = 8.7, 8.7 Hz, 1H), 6.78 (d, *J* = 15.6 Hz, 1H), 4.80 (m, 1H), 4.06 (q, *J* = 7.2 Hz, 2H), 1.33 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 419.06 ([M-H]$^-$).

(*E*)-2-Chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (AI72)



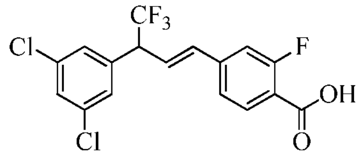
The title compound was isolated as a yellow liquid (0.7 g, 95%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.85 (d, *J* = 6.0 Hz, 1H), 7.46 (d, *J* = 1.8 Hz, 1H), 7.41 (s, 3H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.16 (m, 1H); ESIMS *m/z* 455.0 ([M+H]$^+$); IR (thin film) 1728, 1115, 817 cm^{-1}.

(*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid (AI73)



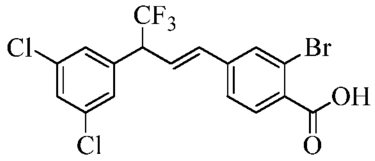
The title compound was isolated as a light brown gummy material (0.7 g, 38%): mp 91-93 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 5.6 Hz, 1H), 7.30 (m, 3H), 6.10 (d, *J* = 16.0 Hz, 1H), 6.46 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.03 (m, 1H), 2.65 (s, 3H); ESIMS *m/z* 406.87 ([M-H]$^-$).

(*E*)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluorobenzoic acid (AI74)



The title compound was isolated as a light brown liquid (0.3 g, crude): ESIMS *m/z* 393.15 ([M-H]$^-$).

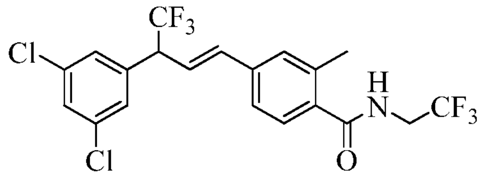
(*E*)-2-Bromo-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (AI75)



The title compound was isolated as a light brown liquid (0.35 g, crude): ESIMS *m/z* 451.91 ([M-H]$^-$).

Prophetically, compounds **AI34, AI36-AI41, AI44-AI45** (Table 1) could be made in accordance with the procedures disclosed in **Example 10**, or **Examples 10 and 11**.

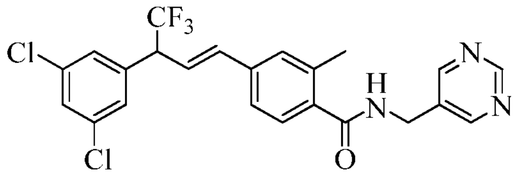
Example 12: Preparation of (*E*)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methyl-*N*-(2,2,2-trifluoroethyl)benzamide (AC6)



To a stirred solution of (*E*)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoic acid in DMF was added 2,2,2-trifluoroethylamine, 1-hydroxybenzotriazole hydrate (HOBt•H_2O), *N*-(3-dimethylaminopropyl)-*N*'-ethylcarbodiimide hydrochloride (EDC•HCl) and DIPEA, and the reaction mixture was stirred at 25 °C for 18 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with hexane:EtOAc afforded a white semi-solid (110 mg, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) 7.40 (m, 2H), 7.26 (m, 3H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.82 (br s, 1H), 4.08 (m, 3H), 2.52 (s, 3H); ESIMS *m/z* 468.40 ([M-H]$^-$); IR (thin film) 1657, 1113, 804 cm^{-1}.

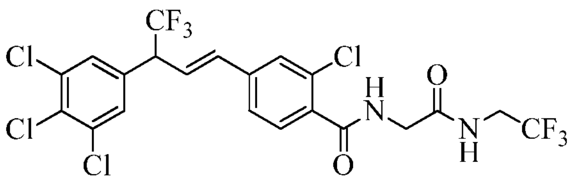
Compounds **AC7–AC38, AC40-AC58, AC110-AC112, AC117,** and **AC118** (Table 1) were made in accordance with the procedures disclosed in **Example 12**.

Example 13: Preparation of 4-((*E*)-3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methyl-*N*-((pyrimidin-5-yl)methyl)benzamide (AC39)



To a stirred solution of (pyrimidin-5-yl)methanamine (0.15 g, 1.43 mmol) in CH_2Cl_2 (10 mL) was added drop wise trimethylaluminum (2 M solution in toluene; 0.71 mL, 1.43 mmol), and the reaction mixture was stirred at 25 °C for 30 min. A solution of ethyl 4-((*E*)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzoate (0.3 g, 0.71 mmol) in CH_2Cl_2 was added drop wise to the reaction mixture at 25 °C. The reaction mixture was stirred at reflux for 18 h, cooled to 25 °C, quenched with 0.5 N HCl solution (50 mL) and extracted with EtOAc (2 x 50 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 40% EtOAc in *n*-hexane) to afford the title compound (0.18 g, 55%): mp 141–144 °C; ^{1}H (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 8.79 (s, 2H), 7.37 (m, 2H), 7.23 (m, 2H),7.21 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 7.6 Hz 1H), 6.21 (m, 1H), 4.65 (s, 2H), 4.11 (m, 1H), 2.46 (s, 3H); ESIMS *m/z* 477.83 ([M-H]$^-$).

Example 14: Preparation of (*E*)-2-Chloro-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC64)

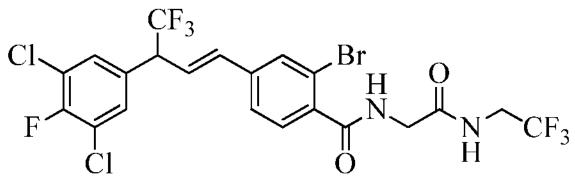


To a stirred solution of glycine amide (0.15 g, 0.58 mmol) in CH_2Cl_2 (5 mL) was added trimethylaluminum (2 M solution in toluene; 1.45 mL, 2.91 mmol) dropwise, and the reaction mixture was stirred at 28 °C for 30 min. A solution of (*E*)-ethyl 2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoate (0.3 g, 0.58 mmol) in CH_2Cl_2 (5 mL) was added drop wise to the reaction mixture at 28 °C. The reaction mixture was stirred at reflux for 18 h, cooled to 25 °C, quenched with 1N HCl solution (50 mL) and extracted with CH_2Cl_2 (2 x 50 mL). The combined organic extracts were washed with brine, dried over

Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; eluting with 40% EtOAc in *n*-hexane) to afford the title compound as yellow solid (0.15 g, 50%): mp 83-85 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.44 (s, 1H), 7.40 (s, 2H), 7.36 (d, *J* = 6.8 Hz, 1H), 7.05 (t, *J* = 5.2 Hz, 1H), 6.70 (t, *J* = 5.2 Hz, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.44 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.23 (d, *J* = 5.6 Hz, 2H), 4.15 (m, 1H), 4.01 (m, 2H); ESIMS *m/z* 580.72 ($[M-H]^-$).

Compounds **AC59-AC75** (Table 1) were made in accordance with the procedures disclosed in **Example 14**.

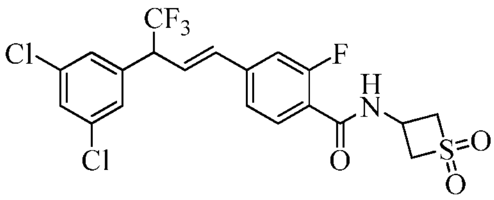
Example 15: Preparation of (*E*)-2-Bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)benzamide (AC79)



To a stirred solution of (*E*)-2-bromo-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)benzoic acid (300 mg, 0.638 mmol) in CH_2Cl_2 (5.0 mL) was added 2-amino-*N*-(2,2,2-trifluoroethyl)acetamide (172. mg, 0.638 mmol) followed by benzotriazol-1-yl-oxytripyrrolidinophosphonium hexafluorophosphate (PyBOP) (364.5 mg, 0.701 mmol) and DIPEA (0.32 mL, 1.914 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with water and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 40% ethyl acetate/ petroleum ether) afforded the title compound as an off-white solid (121 mg, 31 %): ^1H NMR (400 MHz, $CDCl_3$) δ 8.69 (t, *J* = 6.0 Hz, 1H), 8.58 (t, *J* = 6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, *J* = 6.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, *J* = 15.6 Hz, 1H), 4.83 (t, *J* = 8.0 Hz, 1H), 3.98 (m, 4H); ESIMS *m/z* 610.97 ($[M+H]^+$); IR (thin film) 3303, 1658, 1166, 817 cm^{-1}.

Compounds **AC76-AC80, AC96-AC102,** and **AC113** (Table 1) were made in accordance with the procedures disclosed in **Example 15**.

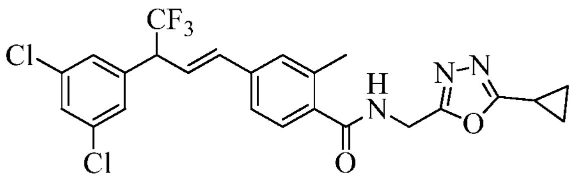
Example 16: Preparation of (*E*)-4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*-(1,1-dioxidothietan-3-yl)-2-fluorobenzamide (AC83)



To a stirred solution of (*E*)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-fluoro-*N*-(thietan-3-yl)benzamide (100 mg, 0.2159 mmol) in acetone/ water (1:1, 5.0 mL) was added oxone (266 mg, 0.4319 mmol) and the resultant reaction mixture was stirred at ambient temperature for 4h. The reaction mixture was diluted with water and extracted with ethyl acetate. The combined ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/ pet ether) afforded the title compound as an off white solid (70.0 mg, 66 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (t, *J* = 8.4 Hz, 1H), 7.39 (t, *J* = 1.6 Hz, 1H), 7.31 (d, *J* = 1.2 Hz, 1H), 7.26 (m, 2H), 7.23 (m, 2H), 7.19 (d, *J* = 1.6 Hz, 1H), 6.60 (d, *J* = 16.8 Hz, 1H), 6.49 (dd, *J* = 16.8, 7.6 Hz, 1H), 4.90 (m, 1H), 4.64 (m, 2H), 4.14 (m, 2H),; ESIMS *m/z* 493.83 ([M-H]$^-$); IR (thin film) 1527, 1113, 801, 1167, 1321 cm^{-1}.

Compounds **AC81-AC87** (Table 1) were made in accordance with the procedures disclosed in **Example 16**.

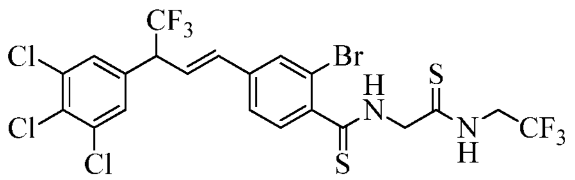
Example 17: Preparation of (E)-*N*-((5-Cyclopropyl-1,3,4-oxadiazol-2-yl)methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-methylbenzamide (AC89)



A solution of (E)-*N*-(2-(2-(cyclopropanecarbonyl)hydrazinyl)-2-oxoethyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzamide (200 mg, 0.379 mmol) in $POCl_3$ (2.0 mL) was stirred at ambient temperature for 10 min, then the resultant reaction mixture was heated to 50 °C for 1h. The reaction mixture was quenched with ice water at 0 °C and extracted with ethyl acetate. The combined ethyl acetate layer was washed with saturated $NaHCO_3$ solution and brine solution, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 50% ethyl acetate/ pet ether) afforded the title compound as a light brown gummy material (70.0 mg, 36 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.79

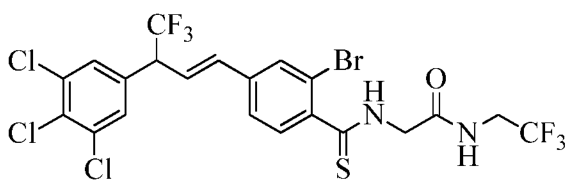
(d, J = 5.6 Hz, 2H), 4.14 (m, 1H), 2.48 (s, 3H), 2.18 (m, 1H), 1.16 (m, 4H); ESIMS m/z 509.89 ($[M+H]^+$); IR (thin film) 1666, 1166, 1112, 800 cm^{-1}.

Example 18: Preparation of (*E*)-2-Bromo-*N*-(2-thioxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzothioamide (AC90)



To a stirred solution of (*E*)-2-bromo-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (400 mg, 0.638 mmol) in 5 mL of THF at ambient temperature was added 2,4-bis(4-methoxyphenyl)-1,3,2,4-dithiadiphosphetane-2,4-disulfide (Lawesson's reagent) (336 mg, 0.830 mmol) in one portion. The resulting reaction mixture was stirred for 18 h. TLC showed the reaction was not complete, therefore additional Lawesson's reagent (168 mg, 0.415 mmol) was added and reaction stirred for 48 h. After the reaction was deemed complete by TLC, the reaction mixture was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 230-400 mesh; eluting with 20% EtOAc in hexanes) afforded the title compound as a yellow glassy oil (188 mg, 44.7%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (m, 1H), 8.27 (m, 1H), 7.60 (d, J = 1.6 Hz, 1H), 7.49 (d, J = 8.0 Hz, 2H), 7.40 (s, 2H), 7.36 (dd, J = 8.2, 1.7 Hz, 1H), 6.53 (d, J = 16.0 Hz, 1H), 6.38 (dd, J = 15.9, 7.9 Hz, 1H), 4.89 (d, J = 8.4, 5.5 Hz, 2H), 4.48 (qd, J = 9.0, 6.0 Hz, 2H), 4.11 (m, 1H); ESIMS m/z 656.9 ($[M-H]^-$).

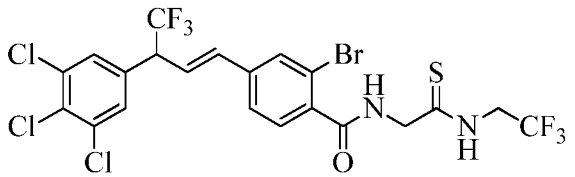
Example 19: Preparation of (*E*)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenylthioamido)-*N*-(2,2,2-trifluoroethyl)acetamide (AC91)



To a stirred solution of (E)-2-bromo-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (400 mg, 0.638mmol) in 5 mL of THF at ambient temperature was added Lawesson's reagent (64.5 mg, 0.160 mmol) in one portion. The resulting reaction mixture was stirred for 18 h, after which time, the reaction mixture was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 230-400 mesh; eluting with 20% EtOAc in hexanes) afforded the title compounds as a yellow oil (18.5 mg, 4.51%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (t, *J* = 5.0 Hz, 1H), 7.58 (d, *J* = 1.6 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 2H), 7.34 (dd, *J* = 8.1, 1.6 Hz, 1H), 6.52 (m, 2H), 6.37 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.54 (d, *J* = 4.9 Hz, 2H), 4.12 (m, 1H), 3.99 (qd, *J* = 8.9, 6.5 Hz, 2H); ESIMS *m/z* 640.9 ([M-H]$^-$).

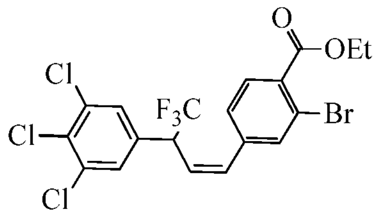
The following compound was made in accordance with the procedures disclosed in **Example 19**.

(E)-2-Bromo-N-(2-thioxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC92)



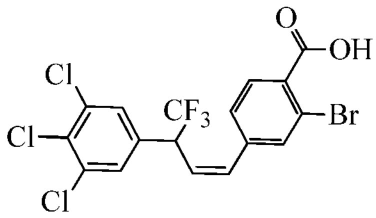
The product was isolated as a colorless oil (17.9 mg, 4.36%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.16 (d, *J* = 6.1 Hz, 1H), 7.65 (d, *J* = 1.6 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.41 (m, 3H), 7.21 (t, *J* = 5.6 Hz, 1H), 6.55 (d, *J* = 15.9 Hz, 1H), 6.41 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.59 (d, *J* = 5.6 Hz, 2H), 4.45 (qd, *J* = 9.0, 6.0 Hz, 2H), 4.12 (q, *J* = 7.2 Hz, 1H); ESIMS *m/z* 640.9 ([M-H]$^-$).

Example 106: Preparation of Ethyl (*Z*) 2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoate (AI76)



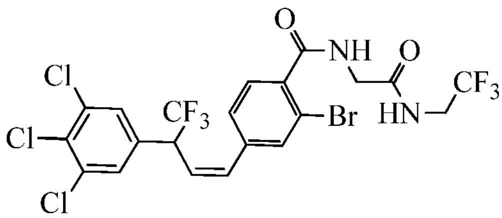
The title compound was made in accordance with the procedure disclosed in Example 88 and was isolated as a yellow viscous oil (416 mg, 23%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 1.7 Hz, 1H), 7.35 (s, 2H), 7.12 (dd, *J* = 8.0, 1.7 Hz, 1H), 6.86 (d, *J* = 11.4 Hz, 1H), 6.23 - 5.91 (m, 1H), 4.42 (q, *J* = 7.1 Hz, 2H), 4.33 - 4.10 (m, 1H), 1.42 (t, *J* = 7.2 Hz, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -69.34 (d, *J* = 8.3 Hz); EIMS *m/z* 514.10 ([M]$^+$); IR (thin film) 2983, 1727, 1247, 1204, 1116 cm^{-1}.

Example 107 : Preparation of (*Z*)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (AI77)



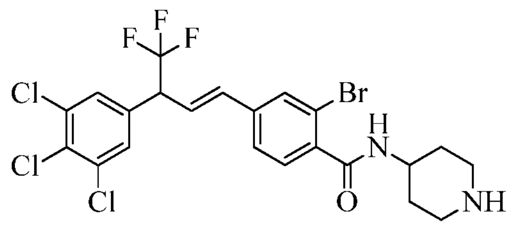
To a stirred solution of (Z)-ethyl 2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoate (360 mg, 0.70 mmol) in CH_3CN (1.0 mL) was added iodotrimethylsilane (0.28 mL, 2.8 mmol). The reaction mixture was heated to reflux for 20 h, allowed to cool to ambient temperature and partitioned between CH_2Cl_2 and aq. 10 % $Na_2S_2O_3$. Organic phase was washed once with aq. 10% $Na_2S_2O_3$ and dried over $MgSO_4$ and concentrated in vacuo. Passing the material through a silica plug with 10% EtOAc in hexanes, followed by 20% MeOH in CH_2Cl_2) as the eluting solvents afforded the title compound as a yellow foam (143 mg, 42%): mp 54-64°C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.36 (s, 1H), 7.99 (d, J = 8.0 Hz, 1H), 7.43 (s, 1H), 7.30 (s, 2H), 7.14 (d, J = 7.9 Hz, 1H), 6.85 (d, J = 11.4 Hz, 1H), 6.15 (t, J = 10.9 Hz, 1H), 4.36 - 4.09 (m, 1H);^{19}F NMR (376 MHz, $CDCl_3$) δ -69.30.

Example 108 : Preparation of (*Z*)-2-Bromo-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC95)



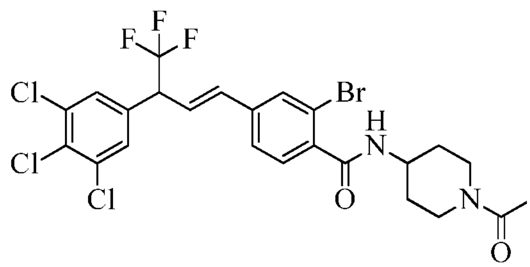
To a stirred solution of (Z)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid (200 mg, 0.41 mmol) in anhydrous THF (5.0 mL) was added DCI (82 mg, 0.51 mmol). The mixture was heated in a 50 °C oil bath for 1.5 h, treated with 2-amino-*N*-(2,2,2-trifluoroethyl)acetamide hydrochloride (109 mg, .057 mmol) and the resulting mixture heated to reflux for 8 h. After cooling to ambient temperature, the mixture was taken up in Et_2O and washed twice with aq. 5% $NaHSO_4$ (2X) and once with sat. NaCl (1X). After dying over $MgSO_4$, concentration in vacuo and purification by medium pressure chromatography on silica with EtOAc/Hexanes as the eluents, the title compound was obtained as a white foam (160 mg, 41%) mp 48-61°C: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (d, J = 7.9 Hz, 1H), 7.44 - 7.29 (m, 3H), 7.14 (dd, J = 7.9, 1.6 Hz, 1H), 6.86 (d, J = 11.4 Hz, 1H), 6.76 (t, J = 5.9 Hz, 1H), 6.59 (br s, 1H), 6.21 - 6.04 (m, 1H), 4.23 (d, J = 5.5 Hz, 1H), 3.98 (qd, J = 9.0, 6.5 Hz, 2H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -69.31, -72.3; EIMS *m/z* 626.9 ($[M+1]^+$).

Example 109a: Preparation of (*E*)-2-Bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC114)



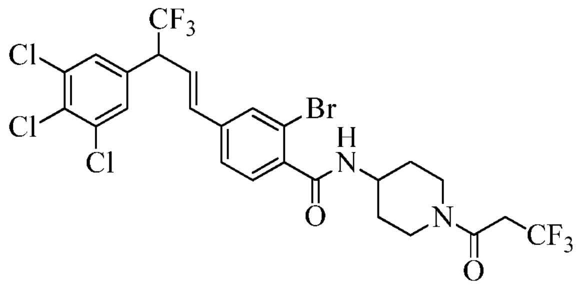
(*E*)-*tert*-Butyl 4-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido)piperidine-1-carboxylate (0.75 g, 1.11 mmol) was added to dioxane HCl (10 mL) at 0 °C and was stirred for 18 h. The reaction mixture was concentrated under reduced pressure and triturated with diethylether to afford the compound as a light brown solid (0.6 g, 88%).

Example 109b: Preparation of (*E*)-*N*-(1-Acetylpiperidin-4-yl)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC103)



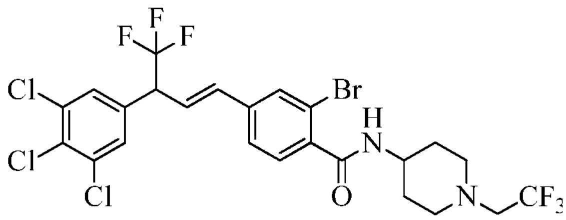
To a stirred solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol) in CH_2Cl_2 (10.0 mL) was added TEA (0.046 mL, 0.35 mmol) and stirred for 10 min. Then acetyl chloride (0.014, 0.18 mmol) was added and stirred for 16 h at ambient temperature. The reaction mixture was diluted with CH_2Cl_2 and washed with saturated $NaHCO_3$ solution and brine solution. The combined CH_2Cl_2 layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford crude compound**.** The crude compound was washed with 5% diethyl ether / *n*-pentane to afford the title compound as a white solid (0.054 g, 50%).

Example 110: Preparation of (*E*)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-*N*-(1-(3,3,3-trifluoropropanoyl)piperidin-4-yl)benzamide (AC104)



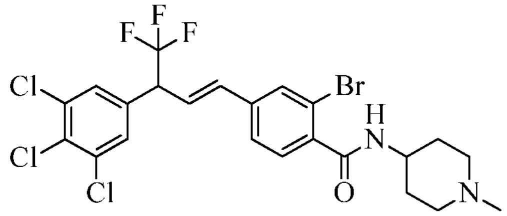
To a stirred solution of 3,3,3-trifluoropropanoic acid (0.02g, 0.16 mmol) in CH_2Cl_2 (10.0 mL), (*E*)-2-bromo-N-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol), PYBOP (0.09 g, 0.17 mmol), and DIPEA (0.06 g, 0.48 mmol) were added at ambient temperature. The reaction mixture was stirred at ambient temperature for 5 h. The reaction mixture was diluted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with 3N HCl and saturated $NaHCO_3$ solution, the separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude compound**.** The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% MeOH in CH_2Cl_2) to afford the title compound as an off white gummy material (0.035 g, 29.%).

Example 111: Preparation of (E)-2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-N-(1-(2,2,2-trifluoroethyl)piperidin-4-yl)benzamide (AC105)



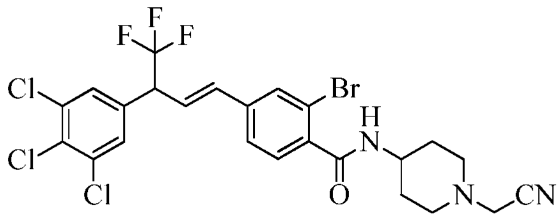
To a stirred solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol) in THF (5.0 mL) was added TEA (0.06 mL, 0.64 mmol) and stirred for 10 min. Then 2,2,2-trifluoroethyl triflluoromethanesulfonate (0.03, 0.16 mmol) was added and stirred for 16 h at ambient temperature. The reaction mixture was diluted with ethyl acetate and washed with saturated $NaHCO_3$ solution and brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a brown solid (0.05 g, 44%).

Example 112: Preparation of (*E*)-2-Bromo-*N*-(1-methylpiperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC106)



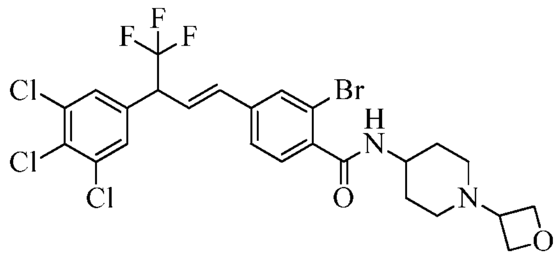
A solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.1 g, 0.16 mmol), formaldehyde (30% in water) (0.1 mL, 0.16 mmol) and acetic acid (0.01 mL) in MeOH (5.0 mL) was stirred at ambient temperature for 30 min. After that $NaBH_3CN$ (0.01 g, 0.16 mmol) was added at 0°C and the reaction was stirred for 8 h at ambient temperature. The solvent was removed under reduced pressure to obtain residue which was diluted with ethyl acetate and washed with saturated aq. $NaHCO_3$ solution and brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to obtain a residue, which was triturated with diethyl ether/ pentane to afford the title compound as a pale yellow gummy material (0.06 g, 59%).

Example 113: Preparation of ((*E*)-2-Bromo-*N*-(1-(cyanomethyl)piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC107)



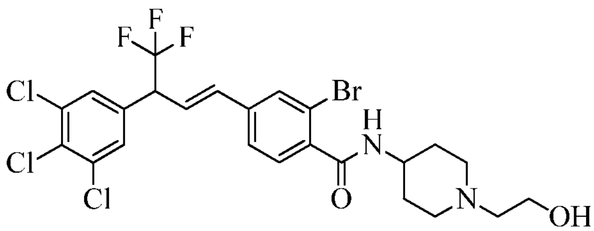
To a stirred solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.25 g, 0.43 mmol) in THF (10.0 mL) was added TEA (0.16 mL, 1.29 mmol) and the reaction was stirred for 10 min. Then 2-bromoacetonitrile (0.07, 0.65 mmol) was added and the reaction was stirred for 8 h at ambient temperature. The reaction mixture was diluted with ethyl acetate and washed with saturated brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (0.125 g, 46.8%).

Example 114: Preparation of (*E*)-2-Bromo-*N*-(1-(oxetan-3-yl)piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC108)



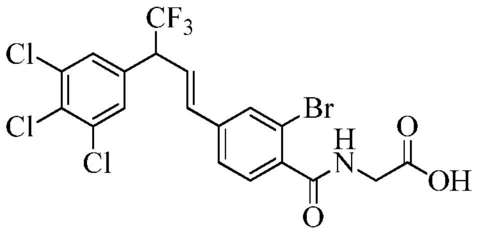
A solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.2 g, 0.35 mmol), oxetan-3-one (0.027 g, 0.38 mmol) and acetic acid (0.01 mL) in MeOH (5.0 mL) was stirred at ambient temperature for 30 min. After that $NaBH_3CN$ (0.022 g, 0.35 mmol) was added at 0 °C slowly lot wise over the period of 10 min and the reaction was stirred for 8 h at ambient temperature. The solvent was removed under reduced pressure to obtain a residue which was diluted with ethyl acetate and washed with saturated $NaHCO_3$ solution and brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to obtain a residue, which was triturated with diethyl ether/ pentane to afford the title compound as an off-white solid (0.05 g, 23%).

Example 115: Preparation of (*E*)-2-Bromo-*N*-(1-(2-hydroxyethyl)piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamide (AC109)



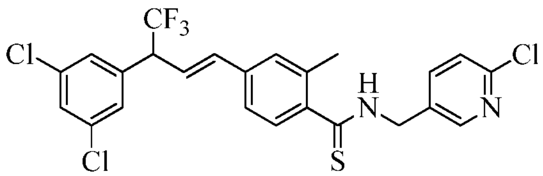
To a stirred solution of (*E*)-2-bromo-*N*-(piperidin-4-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide (0.25 g, 0.43 mmol) in THF (10.0 mL) was added TEA (0.16 mL, 1.29 mmol) and the reaction was stirred for 10 min. Then 2-chloroethanol (0.05, 0.65 mmol) was added and the reaction was stirred for 8 h at ambient temperature. The reaction mixture was diluted with ethyl acetate and washed with saturated brine solution. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (0.09 g, 34%).

Example 116: Preparation of (*E*)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzamido)acetic acid (AI78)



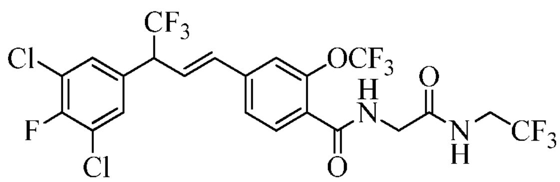
To a stirred solution of (*E*)-*tert*-butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido)acetate (440 mg, 0.734 mmol) in CH_2Cl_2 (36.0 ml), was added TFA (4.0 mL) and the reaction mixture was stirred at ambient temperature for 1 h. The reaction mixture was concentrated under reduced pressure to obtain residue which was washed with *n*-pentane to afford the title compound as an off-white solid (310 mg, 78%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 13.0 (s, 1H), 8.75 (t, *J* = 5.7 Hz, 1H), 7.93 (m, 2H), 7.62 (d, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 8.1 Hz, 1H), 6.96 (dd, *J* = 15.3, 9.3 Hz, 1H), 6.78 (d, *J* = 15.3 Hz, 1H), 4.83 (m, 1H), 3.90 (d, *J* = 5.7 Hz, 2H); ESIMS *m/z* 543.61([M+H]$^+$); IR (thin film) 3429, 1635, 1114, 772 cm^{-1}.

Example 117: Preparation of (*E*)-*N*-((6-Chloropyridin-3-yl)methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-methylbenzothioamide (AC115)



To the stirred solution of (*E*)-*N*-((6-chloropyridin-3-yl)methyl)-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-enyl)-2-methylbenzamide (0.06 g, 0.117 mmol) in toluene (3 mL) was added Lawesson's reagent (0.14 g, 0.351 mmol) and the reaction was irradiated at 100 °C for 1 h, then cooled to ambient temperature and concentrated under reduced pressure to provide crude compound. The crude product was purified by preparative HPLC to afford the product as yellow color solid (0.03 g, 49%).

Example 118: Preparation of (*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*-(2-oxo-2-((2,2,2-trifluoroethyl)amino)ethyl)-2-(trifluoromethoxy)benzamide (AC116)

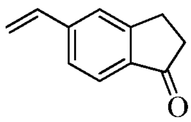


Step 1. 2-(Trifluoromethoxy)-4-vinylbenzoic acid (AI79): To a stirred solution of 4-bromo-2-(trifluoromethoxy)benzoic acid (1 g, 3.67 mmol) in DMSO (20 mL) was added potassium vinyltrifluoroborate (1.47 g, 11.02 mmol) and potassium carbonate (1.52 g, 11.02 mmol). The reaction mixture was degassed with argon for 30 min. Bistriphenylphosphine(diphenylphosphinoferrocene)palladium dichloride (0.13 g, 0.18 mmol) was added and the reaction mixture was heated to 80 °C for 1 h. The reaction mixture was diluted with water (100mL), extracted with ethyl acetate (2 x 50 mL), washed with brine, and dried over Na_2SO_4. Concentration under reduced pressure furnished the crude compound which was purified by flash column chromatography to afford the product as pale yellow gummy material (0.4 g, 47%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 8.1 Hz, 1H), 7.44 (d, *J* = 1.8 Hz, 1H), 7.35 (s, 1H), 6.78 (dd, *J* =17.4.1, 11.1 Hz, 1H), 5.92 (d, *J* = 17.4 Hz, 1H), 5.51 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 232.97 ($[M+H]^+$).

Step 2. (*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-(trifluoromethoxy)benzoic acid (AI80): To a stirred solution of 2-(trifluoromethoxy)-4-vinylbenzoic acid (0.356 g, 1.53 mmol) in 1N methyl pyrrolidine (5.0 mL) was added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichloro 4-fluorobenzene (1.0 g, 3.07 mmol), copper(I) chloride (CuCl; 0.03 g, 0.307 mmol) and 2,2 bipyridyl (0.095 g, 0.614 mmol). The reaction mixture was stirred at 150 °C for 1 h. After the reaction was complete by TLC, the reaction mixture was diluted with water (100mL) and extracted with ethyl acetate (2X 50 mL). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to obtain the crude compound which was purified by flash column chromatography to afford the product as pale yellow gummy material (0.3 g, 21%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.0 Hz, 1H), 7.45 (d, *J* = 1.6 Hz, 1H), 7.35 (s, 3H), 6.63 (d, *J* = 16.0 Hz, 1H), 6.50 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H); ESIMS *m/z* 474.81 ($[M-H]^-$).

Step 3. (*E*)-4-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-*N*-(2-oxo-2-(2,2,2-trifluoroethylamino)ethyl)-2-(trifluoromethoxy)benzamide (AC116) : A mixture of (*E*)-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2-(trifluoromethoxy)benzoic acid (0.25 g, 0.52 mmol), 2-amino-*N*-(2,2,2-trifluoroethyl)acetamide (0.158 g, 0.62 mmol), PyBOP (0.40 g, 0.78 mmol) and DIPEA (0.134 g, 1.04 mmol) in CH_2Cl_2 (10.0 mL) were stirred at ambient temperature for 16 h. The reaction mixture was diluted with water and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 20% ethyl acetate/ pet ether) afforded the title compound as a pale yellow gummy material (0.15 g, 47 %).

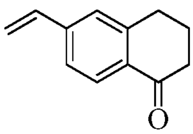
Example 20: Preparation of 5-Vinyl-2,3-dihydro-1*H*-inden-1-one (BI1)



To a stirred solution of 5-bromo-2,3-dihydro-1*H*-inden-1-one (5 g, 23.7 mmol) in toluene were added vinylboronic anhydride pyridine complex (8.55 g, 35.54 mmol), $Pd(PPh_3)_4$ (0.1 g, 0.094 mmol), K_2CO_3 (22.88 g, 165.83 mmol). The resultant reaction mixture was heated at reflux for 16 h. The reaction mixture was cooled to 25 °C and filtered, and the filtrate was concentrated under reduced pressure. The residue was diluted with EtOAc and washed with water and brine. The combined organic extracts were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The obtained residue was purified by flash column chromatography (SiO_2, 5% EtOAc in petroleum ether) afforded the title compound as a solid (1.8 g, 48%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 7.2 Hz, 1H), 7.49 (br s, 1H), 7.44 (d, *J* = 7.2 Hz, 1H), 6.82 (m, 1H), 5.90 (d, *J* = 7.4 Hz, 1H), 5.42 (d, *J* = 6.4 Hz, 1H), 3.20 (m, 2H), 2.70 (m, 2H); ESIMS *m/z* 159.06 ([M+H]$^-$).

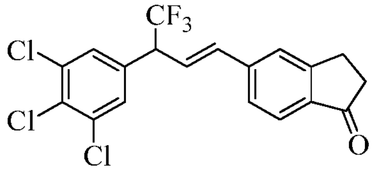
The following compound was made in accordance with the procedures disclosed in **Example 20**.

6-Vinyl-3,4-dihydronaphthalen-1(2*H*)-one (BI2)



The product was isolated as an off-white solid (5 g, 48%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.85 (d, *J* = 8.4 Hz, 1H), 7.48 (m, 2H), 6.82 (m, 1H), 6.02 (d, *J* = 7.4 Hz, 1H), 5.44 (d, *J* = 6.4 Hz, 1H), 2.95 (m, 2H), 2.60 (m, 2H), 2.00 (m, 2H); ESIMS *m/z* 173.14 ([M-H]$^-$); IR (thin film) 1681 cm^{-1}.

Example 21: Preparation of (*E*)-5-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-one (BI3)

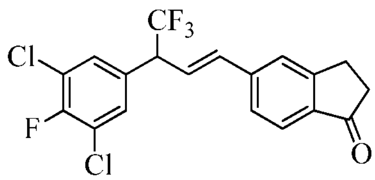


5-(1-Bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (4 g, 11.7 mmol), 5-vinyl-2,3-dihydro-1*H*-inden-1-one (0.92 g, 5.8 mmol), CuCl (0.115 g, 1.171 mmol) and 2,2-

bipyridyl (0.053 g, 0.34 mmol) in 1,2-dichlorobenzene (25 mL) were heated at 180 °C for 16 h. The reaction mixture was cooled to 25 °C and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 5% EtOAc in petroleum ether) to afford the title compound as a liquid (1.28 g, 25%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.4 Hz, 1H), 7.52 (m, 3H), 6.68 (d, *J* = 7.4 Hz, 1H), 6.52 (m, 1H), 4.18 (m, 1H), 3.18 (m, 2H), 2.75 (m, 2H); ESIMS *m/z* 419.14 ([M+H]$^-$); IR (thin film) 1708.94, 1113.60, 807.77 cm^{-1}.

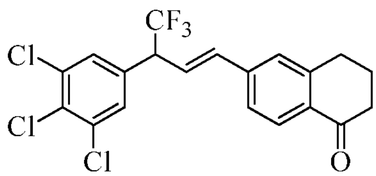
The following compound was made in accordance with the procedures disclosed in **Example 21**.

(E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2,3-dihydro-1*H*-inden-1-one (BI4)



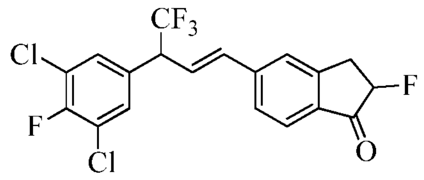
The product was isolated as a brown semi-solid (1.2 g, 16%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.4 Hz, 1H), 7.54 (m, 3H), 7.30 (s, 1H), 6.68 (d, *J* = 7.4 Hz, 1H), 6.52 (m, 1H), 4.18 (m, 1H), 3.18 (m, 2H), 2.75 (m, 2H); ESIMS *m/z* 400.84 ([M-H]$^-$); IR (thin film) 815, 1113, 1709 cm^{-1}.

(*E*)-6-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2*H*)-one (BI5)



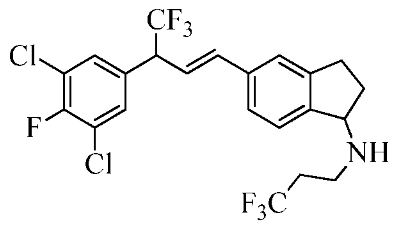
The product was isolated as a pale yellow semi solid (1.2 g, 30%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.0 Hz, 1H), 7.42 (s, 2H), 7.35 (m, 1H), 7.24 (m, 2H), 6.62 (d, *J* = 16 Hz, 1H), 6.46 (m, 1H), 4.18 (m, 1H), 2.95 (m, 2H), 2.65 (m, 2H), 2.19 (m, 2H); ESIMS *m/z* 432.94 ([M-H]$^-$); IR (thin film) 1680, 1113, 808 cm^{-1}.

Example 22: Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-fluoro-2,3-dihydro-1*H*-inden-1-one (BI6)



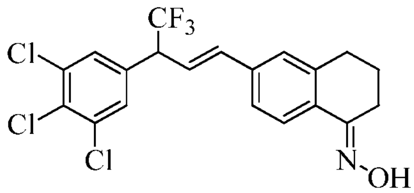
To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2,3-dihydro-1*H*-inden-1-one (0.5 g, 1.24 mmol) in acetonitrile (20 mL), was added Selectfluor® (0.52 g, 1.48 mmol) and the reaction was heated to reflux temperature for 16 h. The reaction mixture was cooled to ambient temperature, concentrated under reduced pressure and diluted with CH_2Cl_2. The solution was washed with water and brine, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the crude product which was purified by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in petroleum ether) to afford the title compound as a pale yellow semi solid (0.1g, 24%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (m, 1H), 7.48 (m, 2H), 7.32 (m, 2H), 6.65 (d, J = 16.0 Hz, 1H), 6.54 (dd, J = 16.0, 8.0 Hz, 1H), 5.38 (m, 1H), 4.18 (m, 1H), 3.62 (m, 1H), 3.32 (m, 1H); ESIMS *m/z* 419.06 ([M-H]$^-$); IR (thin film) 1728, 1114, 817 cm^{-1}.

Example 23: Preparation of (E)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*-(3,3,3-trifluoropropyl)-2,3-dihydro-1H-inden-1-amine (BC10)



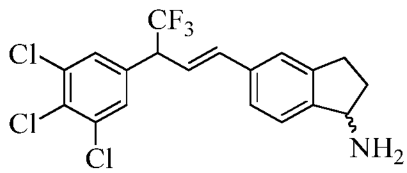
To a stirred solution of (E)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-2,3-dihydro-1*H*-inden-1-one (0.15 g, 0.35 mmol) in DCE (10 mL), was added trifluoropropyl amine (0.048 g, 0.42 mmol) and sodium cyanoborohydride (0.055 g, 0.875 mmol) in cooling and the reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was diluted with DCE, was washed with water and brine and dried over anhydrous sodium sulfate. Concentration under reduced pressure gave the crude compound, which was purified by flash column chromatography (SiO_2, 100-200 mesh; 10-15% EtOAc in petroleum ether) to afford the title compound as a colorless gummy material (0.042g, 24%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38-7.20 (m, 5H), 6.62 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.02 (m, 3H), 2.82 (m, 1H), 2.50 (m, 2H), 1.82 (m, 1H), 1.42 (m, 1H); ESIMS *m/z* 497.98 ([M-H]$^-$); IR (thin film) 3027, 1654, 815 cm^{-1}.

Example 24: Preparation of 6-((*E*)-4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2*H*)-one oxime (BI5a)



To a stirred solution of ((*E*)-6-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-3,4-dihydronaphthalen-1(2*H*)-one (0.4 g, 0.92 mmol) in EtOH (50 mL) were added hydroxylamine hydrochloride (0.128 g, 1.85 mmol) and sodium acetate (0.23 g, 2.77 mmol), and the reaction mixture was heated at reflux for 3 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with water and extracted with EtOAc. The combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to give the crude compound, which was purified by flash column chromatography (SiO_2, 100-200 mesh; 10-15% EtOAc in petroleum ether). The title compound was isolated as a solid (0.3 g, 73%): mp 155–158 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.4 Hz, 1H), 7.41 (s, 2H), 7.24 (m, 1H), 7.17 (m, 1H), 6.57 (d, *J* = 16 Hz, 1H), 6.46 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.82 (m, 4H), 2.04 (m, 2H); ESIMS *m/z* 445.95 ($[M-H]^-$).

Example 25: Preparation of (*E*)-5-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-amine (BI5b)

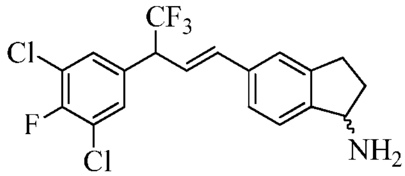


To a stirred solution of (*E*)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-one (1 g, 2.39 mmol) in CH_3OH (10 mL) were added ammonium acetate (1.84 g, 23.9 mmol) and sodium cyanoborohydride ($NaCNBH_3$; 0.44 g, 7.17 mmol,) and the reaction mixture was heated at reflux for 16 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with water and extracted with EtOAc . The combined organic extracts were washed with water and saturated aqueous sodium bicarbonate (satd aq $NaHCO_3$) solution, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a liquid (500 mg, crude): ^{1}H NMR

(400 MHz, DMSO-d_6) δ 7.85 (s, 2H), 7.40 (s, 1H), 7.30 (s, 2H), 6.71 (s, 2H), 4.78 (m, 1H), 4.2 (m, 1H), 2.80 (m, 1H), 2.73 (m, 1H), 1.60 (m, 2H); ESIMS *m/z* 419.02 ($[M+H]^+$); IR (thin film) 2924, 1552, 1112, 807 cm^{-1}.

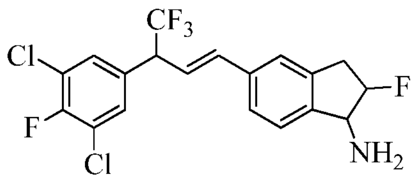
The following compound was made in accordance with the procedures disclosed in **Example 25**.

(*E*)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2,3-dihydro-1*H*-inden-1-amine (BI7)



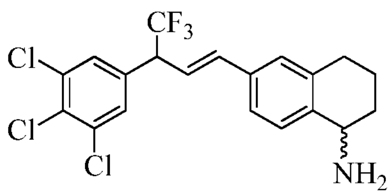
The product was isolated as a light brown gummy material, taken as such to the next step (0.15 g, crude compound): ESIMS *m/z* 401.97 ($[M-H]^-$).

(*E*)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-fluoro-2,3-dihydro-1*H*-inden-1-amine (BI8)



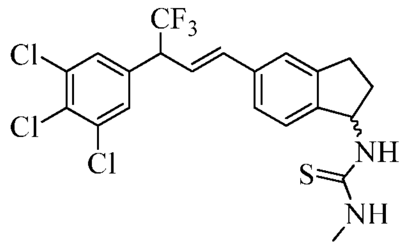
The product was isolated as a light brown gummy material, taken as such to the next step (0.15 g, crude compound): ESIMS *m/z* 420.15 ($[M-H]^-$).

(*E*)-6-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-1,2,3,4-tetrahydronaphthalen-1-amine (BI9)



The product was isolated as a pale yellow liquid (500 mg crude).

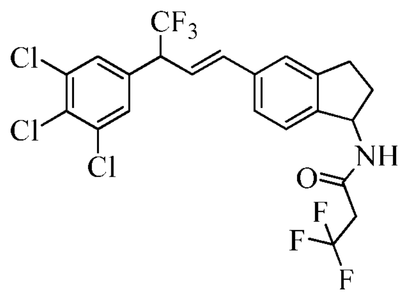
Example 26: Preparation of (*E*)-1-Methyl-3-(5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)-but-1-enyl)-2,3-dihydro-1*H*-inden-1-yl)thiourea (BC1)



To a stirred solution of (*E*)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-amine (0.1 g, 0.23 mmol) in Et_2O (5 mL) was added methylisothiocyanate (0.026 g, 0.35 mmol), and the mixture was stirred for 2 h at 25 °C. The reaction mixture was concentrated under reduced pressure, and the residue was purified by flash column chromatography (SiO_2, 20% EtOAc in petroleum ether). The title compound was isolated as a liquid (65 mg, 50%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.39 (s, 2H), 7.25 – 7.18 (m, 3H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.30 (dd, *J* = 16.0, 8.4 Hz, 1H), 5.91 – 5.70 (br, 2H), 4.05 (m, 1H), 3.05 – 2.80 (m, 6H), 2.70 (m, 1H), 1.81 (m, 1H); ESIMS *m/z* 492.17 ($[M+H]^+$); IR (thin film) 3211, 1569, 1113, 806 cm^{-1}.

Compounds **BC2** – **BC3** in Table 1 were made in accordance with the procedures disclosed in **Example 26**.

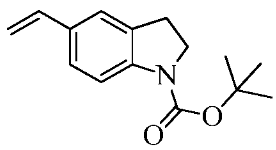
Example 27: Preparation of (*E*)-3,3,3-Trifluoro-*N*-(5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-yl)propanamide (BC4)



To a stirred solution of (*E*)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2,3-dihydro-1*H*-inden-1-amine (0.1 g, 0.23 mmol) in CH_2Cl_2 (10 mL) were added trifluoropropionic acid (0.044 g, 0.34 mmol), EDC•HCl (0.038 g, 0.35 mmol), HOBt•H_2O (0.07 g, 0.46 mmol) and DIPEA (0.074 g, 0.57 mmol), and the reaction mixture was stirred for 16 h at 25 °C. The reaction mixture was diluted with CH_2Cl_2 and washed with water. The combined organic layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. The crude material was purified by flash column chromatography (SiO_2, 15% EtOAc in petroleum ether) to afford the title compound as a liquid (65 mg, 65%): ^1H NMR (400 MHz, $CDCl_3$) δ 7.39 (s, 2H), 7.25-7.20 (m, 3H), 6.34 (d, *J* = 16.0 Hz, 1H), 6.30 (dd, J = 16.0, 8.0 Hz, 1H), 5.81 (br, 1H), 5.48 (m, 1H), 4.10 (m, 1H), 3.10 (m, 2H), 2.86-3.07 (m, 2H), 2.86 (m, 1H), 1.81 (m, 1H); ESIMS *m/z* 529.02 ([M+H]$^+$); IR (thin film) 3283, 1652, 1241, 811 cm^{-1}.

Compounds **BC5** – **BC9, BC11** in Table 1 were made in accordance with the procedures disclosed in **Example 27**.

Example 28: Preparation of *tert*-Butyl 5-vinylindoline-1-carboxylate (BI10)

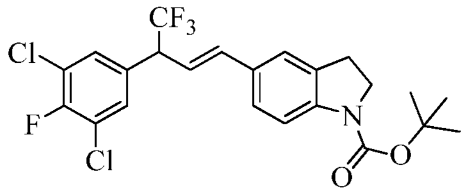


Step 1. 5-Bromo-indoline (BI11): To 5-Bromo-1*H*-indole (2.5 g, 12.82 mmol) in acetic acid (10.0 mL), $NaCNBH_3$ (2.38 g, 38.46 mmol) was added portion wise at 10 °C over the period of 20 min. After that the reaction mixture was stirred at ambient temperature for 3 h. The reaction mixture was diluted with water and extracted with diethyl ether. The organic layer was washed with saturated $NaHCO_3$, water and brine solution. The combined ether layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford title compound as a pale yellow semi-solid (1.8 g, 71%).

Step 2. *tert*-Butyl-5-bromoindoline-1-carboxylate (BI12): To a stirred solution of 5-bromo-indoline (3.0 g , 15mmol) in acetonitrile (100 ml), was added DMAP (0.185 g , 1.522 mmol) and di-*tert*-butyl dicarbonate (3.98 g, 18.3 mmol) and the reaction was stirred at ambient temperature for 16 h. The reaction mixture was concentrated on reduced pressure to obtain a residue which was diluted with diethyl ether and washed with water and brine solution (2X). The combined ether layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as an off-white solid, which was used in the next step without further purification (3.0 g).

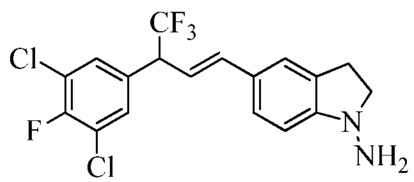
Step 3. *tert*-Butyl-5-vinylindoline-1-carboxylate (BI10): A stirred solution of *tert*-butyl-5-bromoindoline-1-carboxylate (2.0 g, 6.73 mmol), potassium vinyl trifluoroborate (2.6 g, 20.20 mmol) and K_2CO_3 (2.78 g, 20.2 mmol) in DMSO (50.0 mL) was degassed with argon for 20 min at ambient temperature. $PdCl_2$(dppf) (0.49 g, 0.67mmol) was added at ambient temperature, then the reaction mixture was heated to 100 °C for 3 h. The reaction mixture was cooled to ambient temperature and filtered through a celite bed under vacuum and washed with diethyl ether. The reaction mixture was extracted with diethyl ether. The combined diethyl ether layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/ petroleum ether) to afford the title compound as an off-white solid (1.2 g, 73%): Mp 85.5 -88.6 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 (m, 3H), 6.69 (dd, J = 17.4, 10.8 Hz, 1H), 5.64 (d, J = 10.5 Hz, 1H), 5.13 (d, J = 10.5 Hz, 1H), 4.00 (t, J = 9.0 Hz, 2H), 3.10 (t, J = 9.0 Hz, 2H), 1.55 (bs, 9H).

Example 29: Preparation of (*E*)-*tert*-Butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indoline-1-carboxylate (BI13)



To a stirred solution of *tert*-butyl-5-vinylindoline-1-carboxylate (1.28 g, 5.23mmol) in1,2-dichlorobenzene (10.0 mL), was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (3.4 g ,10 mmol), CuCl (103 mg, 1.05 mmol) and 2,2-bipyridyl (0.326 g, 2.092 mmol) and the resultant reaction mixture was degassed with argon for 30 min and heated to 150 °C for 1 h. The reaction mixture was cooled to ambient temperature and filtered and the filtrate was concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/ petroleum ether) to afford the title compound as a pale yellow gummy solid (0.3 g, 61%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J = 6.0 Hz, 2H), 7.22 (s, 2H), 7.16 (d, J = 8.4 Hz, 1H), 6.52 (d, J = 16.0 Hz, 1H), 6.21 (dd, J = 16.0, 7.6 Hz, 1H), 4.07 (m, 3H), 3.10 (t, J = 8.4 Hz, 2H), 1.55 (s, 9H); ESIMS *m/z* 433.79 ([M-H]$^-$); IR (thin film) 1168, 858 cm^{-1}.

Example 30: Preparation of (*E*)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-amine (BI14)



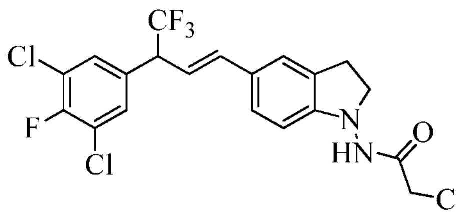
Step 1. (*E*)- 5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline (BI15) To a stirred solution of (*E*)-*tert*-butyl-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline-1-carboxylate (0.2 g, 0.4 mmol) in CH_2Cl_2 (10.0 mL) was added TFA (0.6 mL) and the reaction was stirred at ambient temperature for 2 h. The reaction mixture was diluted with CH_2Cl_2, washed with saturated aq $NaHCO_3$, water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as a light brown gummy material which was used in the next step without further purification (0.12 g): ^{1}H NMR (400 MHz, $CDCl_3$) δ

7.33 (d, J = 6.4 Hz, 2H), 7.21 (s, 1H), 7.02 (d, J = 8.0 Hz, 1H), 6.57 (d, J = 8.4 Hz, 1H), 6.49 (d, J = 15.6 Hz, 1H), 6.21(dd, J = 15.6, 8.4 Hz, 1H), 4.07 (m, 1H), 3.61 (t, J = 8.4 Hz, 2H), 3.05 (t, J = 8.4 Hz, 2H); ESIMS m/z 389.89 ($[M+H]^+$); IR (thin film) 3385, 1112, 816 cm^{-1}.

Step 2. 5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-nitrosoindoline (BI16): To (*E*)- 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline (0.2 g, 0.5 mmol) in concentrated HCl (5.0 ml) at 5 °C, was added slowly $NaNO_2$ in water and the reaction was allowed to stir at ambient temperature for 2 h. The reaction mixture was diluted with CH_2Cl_2, and the CH_2Cl_2 layer washed with water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude product as a pale yellow solid that was used in the next step without further purification (0.2 g): ^1H NMR (400 MHz, $CDCl_3$) δ 7.33 (d, J = 8.4 Hz, 1H), 7.39 (m, 4H), 6.61 (d, J = 16.0 Hz, 1H), 6.35 (dd, J =16.0, 8.4 Hz, 1H), 4.07 (m, 3H), 3.23 (t, J = 8.4 Hz, 2H); ESIMS m/z 418.82 ($[M+H]^+$); IR (thin film) 1488, 1112, 860 cm^{-1}.

Step 3. (*E*)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-amine (BI14): To (*E*)- 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-nitrosoindoline (0.1 g, 0.2 mmol) in MeOH(10.0 mL) was added zinc powder (77.5 mg) and NH_4Cl (36.9 mg, 0.69 mmol) in water (2.0 mL). The reaction mixture was stirred at ambient temperature for 3 h. The reaction mixture was diluted with CH_2Cl_2 and the CH_2Cl_2 layer was washed with water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound, which was purified by column chromatography (SiO_2, 100-200 mesh; eluting with 2% ethyl acetate/ petroleum ether) to afford the title compound as a light brown gummy material (0.08 g): ESIMS m/z 404.86 ($[M+H]^+$).

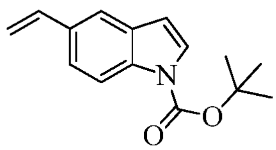
Example 31: Preparation of (*E*)-N-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)indolin-1-yl)-3,3,3-trifluoropropanamide (BC12)



To a stirred solution of (*E*)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)indoline-1-amine (0.1 g, 0.247 mmol) in CH_2Cl_2 (10.0 ml) was added 3,3,3-trifluoropropanoic acid (0.038 g, 0.297 mmol), PyBOP (0.192 g, 0.370 mmol) and DIPEA

(0.047 g, 0.370 mmol) and the reaction was stirred at ambient temperature for 18 h. The reaction mixture was diluted with CH_2Cl_2, and the separated CH_2Cl_2 layer dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 20-25% ethyl acetate/ petroleum ether) to afford the title compound as a light brown gummy material (0.12 g, 33%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32, (d, *J* = 6.0 Hz, 2H) 7.28 (m, 1H), 7.20 (d, *J* = 8.0, 1H), 7.14 (d, *J* = 8.8, 1H), 6.70 (d, *J* = 8.0 Hz, 1H), 6.60 (m, 2H), 4.15 (m, 1H), 3.85 (m, 1H), 3.65 (m, 1H), 3.46 (m, 2H), 3.19 (m, 2H); ESIMS *m/z* 514.86 ($[M+H]^+$); IR (thin film) 3428, 1112, 857 cm^{-1}.

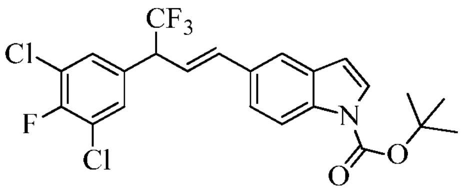
Example 32: Preparation of *tert*-Butyl-5-vinyl-1*H*-indole-1-carboxylate (BI17)



Step 1. 5-Vinyl-1*H*-indole (BI18): A mixture of 5-bromo-1*H*-indole (2.5 g, 12.82 mmol), potassium vinyltrifluoroborate (2.57 g ,19.2 mmol), Cs_2CO_3 (12.53 g, 38.46 mmol) and triphenylphosphine (201 mg, 0.769 mmol) in THF/water (9:1, 75 ml) was degassed with argon for 20 min, then charged with $PdCl_2$ (45.3 mg,0.256 mmol). The reaction mixture was heated to reflux for 16 h, then cooled to ambient temperature, filtered through celite bed and washed with ethyl acetate. The filtrate was again extracted with ethyl acetate, and the combined organic layer washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/ petroleum ether) to afford the title compound as a light brown gummy material (1.5 g, 83%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (br, 1H), 7.68 (s, 1H), 7.45 (s, 2H), 7.21 (m, 1H), 6.90 (dd, *J* =16.0, 10.8 Hz, 1H), 6.55 (m, 1H), 5.75 (d, *J* = 10.5 Hz, 1H), 5.21 (d, *J* = 10.5 Hz, 1H); ESIMS *m/z* 142.05 ($[M-H]^-$).

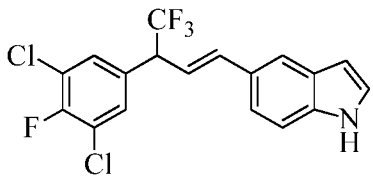
Step 2. *tert*-Butyl-5-vinyl-1*H*-indole-1-carboxylate (BI17): To a stirred solution of 5-vinyl-1*H*-indole (0.7 g, 4.89 mmol) in acetonitrile (20 ml) was added DMAP (59.65 mg, 0.489 mmol) and di-*tert*-butyl dicarbonate (1.38 g, 6.36 mmol), and the reaction was stirred at ambient temperature for 3 h. The reaction mixture was concentrated under reduced pressure to obtain a residue which was diluted with CH_2Cl_2 and washed with water and brine solution. The combined CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/ petroleum ether) to afford the title compound as an off-white semi-solid (0.7 g, 59%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.0 Hz, 1H), 7.60 (s, 2H), 7.30 (d, *J* = 8.4 Hz, 1H), 7.21 (m, 1H), 6.90 (dd, *J* =16.0, 10.8 Hz, 1H), 6.59 (s, 1H), 5.75 (d, *J* = 10.5 Hz, 1H), 5.21 (d, *J* = 10.5 Hz, 1H), 1.65 (s, 9H); ESIMS *m/z* 242.10 ([M-H]$^-$); IR (thin film) 1630 cm^{-1}.

Example 33: Preparation of (*E*)-*tert*-Butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1*H*-indole-1-carboxylate (BI19)



To a stirred solution of *tert*-butyl 5-vinyl-1*H*-indole-1-carboxylate (0.65 g, 2.67 mmol), in 1,2-dichlorobenzene (10.0 mL) was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-fluorobenzene (1.74 g, 5.37 mmol), CuCl (53 mg, 0.537 mmol) and 2,2-bipyridyl (167 mg, 1.07 mmol). The resultant reaction mixture was degassed with argon for 30 min and heated to 150 °C for 2 h. The reaction mixture was cooled to ambient temperature and filtered, and the filtrate concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 2% ethyl acetate/ petroleum ether) to afford the title compound as a light brown gummy material (0.25 g, 10%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.0 Hz, 1H), 7.60 (m, 2H), 7.39 (m, 3H), 6.69 (d, *J* = 16.0 Hz, 1H), 6.55 (d, *J* = 10.5 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.10 (m, 1H), 1.65 (s, 9H); ESIMS *m/z* 485.91 ([M-H]$^-$); IR (thin film) 1165, 854 cm^{-1}.

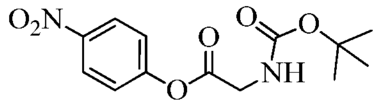
Example 34: Preparation of (*E*)-5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1*H*-indole (BI20)



To a stirred solution of (*E*)-*tert*-butyl 5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1*H*-indole-1-carboxylate (0.2 g, 0.40 mmol) in CH_2Cl_2 (10.0 mL) was added TFA (70 mg, 0.61 mmol) and the reaction was stirred at ambient temperature for 2 h. The reaction mixture was diluted with CH_2Cl_2 and washed with saturated $NaHCO_3$ solution, water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a light brown solid (0.2

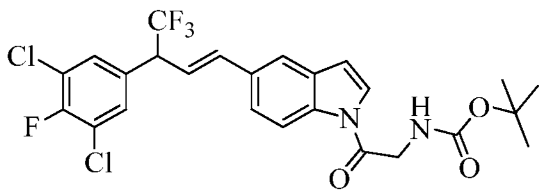
g, 97%): mp 132.9-138.8 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 11.19 (br, 1H), 8.20 (d, *J* = 8.0 Hz, 1H), 7.60 (m, 2H), 7.39 (m, 3H), 6.69 (d, *J* = 16.0 Hz, 1H), 6.55 (d, *J* = 10.5 Hz, 1H), 6.36 (dd, *J* =16.0, 8.0 Hz, 1H), 4.82 (m, 1H); ESIMS *m/z* 387.98 ([M+H]$^+$).

Example 35: Preparation of 4-Nitrophenyl 2-((*tert*-butoxycarbonyl)amino)acetate (BI21)



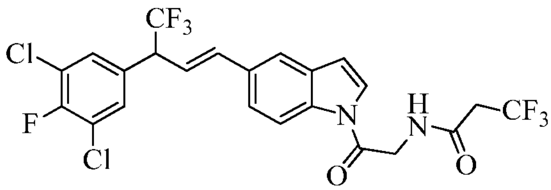
To a stirred solution of 4-nitrophenol (1.0 g, 7.19 mmol) in CH_2Cl_2 (20.0 mL) was added *N*-Boc glycine (1.38 g, 7.91 mmol) and EDC HCl (2.05 g,10.785 mmol) and the reaction was stirred at ambient temperature for 24 h. The reaction mixture was diluted with CH_2Cl_2 and washed with water and saturated brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the title compound as a light brown gummy material that was used in the next step without further purification (1.1 g): ^1H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 9.2 Hz, 2H), 7.33 (d, *J* = 8.8 Hz, 2H), 5.07 (br, 1H), 4.20 (s, 2H), 1.47 (s, 9H); ESIMS *m/z* 296.27 ([M+H]$^+$).

Example 36: Preparation of (*E*)-*tert*-Butyl (2-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1*H*-indol-1-yl)-2-oxoethyl)carbamate (BI22)



To a stirred solution of (*E*)-5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1*H*-indole (0.1 g, 0.258 mmol) in acetonitrile (5.0 mL) was added 4-nitrophenyl 2-(*tert*-butoxycarbonylamino) acetate (0.114 g, 0.387 mmol), potassium fluoride (0.03 g, 0.516 mmol), 18-crown-6-ether (0.075 g, 0.283 mmol) and DIPEA (0.0332 g, 0.258 mmol) and the reaction was stirred at ambient temperature for 16 h. The reaction mixture was concentrated to obtain a residue which was diluted with CH_2Cl_2 and washed with water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a light brown gummy material which was used in the next step without further purification (0.1 g): ESIMS *m/z* 545.23 ([M+H]$^+$).

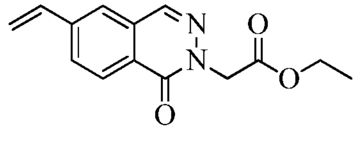
Example 37: Preparation of (*E*)-*N*-(2-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1*H*-indol-1-yl)-2-oxoethyl)-3,3,3-trifluoropropanamide (BC13)



Step 1. (*E*)-2-Amino-1-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1*H*-indol-1-yl)ethanone (BI23): To a stirred solution of (*E*)-*tert*-butyl 2-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1*H*-indol-1-yl)-2-oxoethylcarbamate (0.05 g, 0.09 mmol) in CH_2Cl_2 (5.0 mL) was added TFA (0.01 mL) and the reaction was stirred at ambient temperature for 16 h. The reaction mixture was diluted with CH_2Cl_2 and washed with saturated $NaHCO_3$ solution, water and brine solution. The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound which was used in the next step without further purification (50 mg).

Step 2. (*E*)-*N*-(2-(5-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1*H*-indol-1-yl)-2-oxoethyl)-3,3,3-trifluoropropanamide (BC13): To a stirred solution of (*E*)-2-amino-1-(5-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1*H*-indol-1-yl) ethanone (0.04 g, 0.09 mmol) in CH_2Cl_2 (5.0 ml) was added 3,3,3-trifluoropropanoic acid (17.5 mg, 0.136 mmol), PyBOP (70 mg, 0.135 mmol) and DIPEA (29 mg, 0.225 mmol) and the reaction was stirred at ambient temperature for 16 h. The reaction mixture was diluted with CH_2Cl_2, and the CH_2Cl_2 layer was washed with water and saturated brine solution .The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound, which was purified by column chromatography (SiO_2, 100-200 mesh; 10% ethyl acetate/ petroleum ether) to afford the title compound as an off-white solid (30 mg, 60%): mp 121-126 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (br, 1H), 7.59 (s, 1H), 7.45 (m, 4H), 6.72 (d, J = 3.6 Hz, 3H) , 6.39 (m, 1H), 4.71 (t, J = 7.2 Hz, 2H), 4.15 (m, 1H), 3.51 (m, 1H), 3.28 (m, 1H); ESIMS *m/z* 553.06 ([M-H]$^-$).

Example 38: Preparation of Ethyl 2-(1-oxo-6-vinylphthalazin-2(1*H*)-yl)acetate (BI24)



Step 1. 5-Bromo-3-hydroxyisoindoline-1-one (BI25): A mixture of Zn powder (1.73 g, 26.154 mmol), copper (II) sulfate pentahydrate (0.02 g ,0.08 mmol) and 2M aq NaOH (27 mL) were cooled to 0 °C. 5-Bromoisoindoline-1,3-dione (5 g, 22mmol) was added at the same temperature over the period of 30 min. The reaction mixture was stirred at 0 °C for 30 min and 3 h at ambient temperature. The reaction mixture was filtered and the filtrate

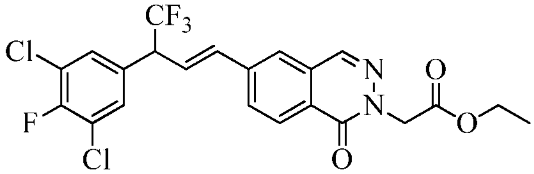
was neutralized with concentrated HCl. The reaction mixture was diluted with ethanol and extracted with ethyl acetate. The combined ethyl acetate layer was dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a brown solid, which was used in the next step without further purification (1.3 g): mp 258-261°C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.03 (br, 1H), 7.81 (m, 2H), 7.69 (m, 1H), 6.44 (m, 1H), 5.88 (d, J = 9.3 Hz, 1H); ESIMS *m/z* 225.83 ([M-H]$^-$); IR (thin film) 1684, 3246, 606 cm^{-1}.

Step 2. 6-Bromophthalazine-1(2*H*)-one (BI26): To a stirred solution of 5-bromo-3-hydroxyisoindoline-1-one (1.0 g, 4.40 mmol) in water, was added hydrazine hydrate (0.45 g , 8.80 mmol) and heated to 95°C for 5 h. The reaction mixture was cooled to ambient temperature, filtered and washed with diethyl ether and pentane (1:1) to afford the title compound as a white solid that was used in the next step without further purification (0.5 g): ESIMS *m/z* 225.15 ([M+H]$^+$).

Step 3. 6-Vinylphthalazine-1(2*H*)-one (BI27): A solution of 6-bromophthalazine-1(2*H*)-one (0.25 g, 1.11 mmol), potassium vinyl trifluoroborate (0.446 g, 3.33 mmol) and K_2CO_3 (0.46 g, 3.33 mmol) in DMSO (2 mL) was degassed with argon for 20 min at ambient temperature. $PdCl_2$(dppf) (0.04 g, 0.055 mmol) was added at ambient temperature, and the reaction mixture was heated to 80 °C for 2 h. The reaction mixture was cooled to ambient temperature and filtered through celite bed under vacuum and washed with ethyl acetate. The reaction mixture was extracted with ethyl acetate and the combined ethyl acetate layer dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 50% ethyl acetate/ petroleum ether) to afford the title compound as a brown solid (0.12 g, 63%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 13.61 (br, 1H), 8.33 (m, 1H), 8.19 (m, 1H), 8.01 (m, 2H), 6.97 (m, 1H), 6.15 (m, 1H), 5.56 (d, J = 10.8 Hz, 1H); ESIMS *m/z* 172.93 ([M+H]$^+$); IR (thin film) 1748, 1655, 3241 cm^{-1}.

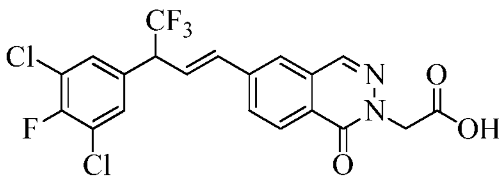
Step 4. Ethyl-2-(1-oxo-6-vinylphthalazine-2(1H)-yl acetate (BI24): To a stirred solution of 6-vinylphthalazine-1(2*H*)-one (0.5 g, 2.90 mmol) in DMF (5.0 mL) was added Cs_2CO_3 (0.94 g, 2.90 mmol) and the reaction was stirred for 10 min. Ethyl bromoacetate (0.48 g,2.90 mmol) was added to the reaction mixture at ambient temperature and the reaction was stirred for 8 h at ambient temperature. The reaction mixture was diluted and extracted with ethyl acetate, and the ethyl acetate layer was washed with water and brine solution (2X). The separated ethyl acetate layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford crude product. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 25% ethyl acetate/ petroleum ether) to afford the title compound as a brown solid (0.34 g, 45%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.45 (m, 1H), 8.24 (m, 1H), 8.04 (m, 2H), 7.01 (m, 1H), 6.17 (d, *J* = 2.1 Hz, 1H), 5.56 (d, *J* = 10.8 Hz, 1H), 4.92 (s, 2H), 4.19 (m, 2H), 1.23 (m, 3H). ESIMS *m/z* 259.10 ([M+H]$^{+}$); IR (thin film) 1750, 1660 cm^{-1}.

Example 39: Preparation of (*E*)-Ethyl 2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1H)-yl)acetate (BI28)



To a stirred solution of ethyl-2-(1-oxo-6-vinylphthalazine-2(1*H*)-yl acetate (0.07 g, 0.27 mmol) in 1,2-dichlorobenzene (1.0 mL) was added 5-(1-bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2fluorobenzene (0.17 g, 0.54 mmol), CuCl (0.005 g, 0.05 mmol) and 2,2-bipyridyl (0.016 g, 0.10 mmol) and the resultant reaction mixture was degassed with argon for 30 min and heated to 180 °C for 12 h. The reaction mixture was cooled to ambient temperature and filtered and the filtrated was concentrated under reduced pressure. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 10-15% ethyl acetate/ petroleum ether) to afford the title compound as a brown solid (40 mg, 29%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.40 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 1.5 Hz, 1H), 7.65 (s, 1H), 7.37 (d, *J* = 6.3 Hz, 2H), 6.76 (d, *J* = 16.0 Hz, 1H), 6.59 (dd, *J* =16.0, 8.0 Hz, 1H), 4.96 (s, 2H), 4.29 (m, 3H), 1.31 (t, *J* = 7.2 Hz, 3H); ESIMS *m/z* 503.0 ([M+H]$^{+}$); IR (thin film) 1660, 1114, 817 cm^{-1}.

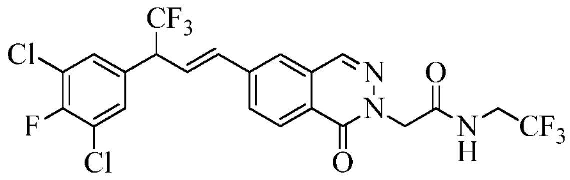
Example 40: Preparation of (*E*)-2-(6-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1*H*)-yl)acetic acid (BI29)



A solution of (*E*)-ethyl-2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-oxophthalazin-2(1*H*)-yl) acetate (0.04 g, 0.07mmol) in HCl (0.5 mL) and acetic acid (0.5 mL) was heated to 100 °C for 3 h. The solvent was removed under reduced pressure and the residue diluted with water. The aqueous layer was extracted with ethyl acetate and the separated ethyl acetate layer dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was triturated with diethyl ether-pentane mixture to afford the title compound as a brown solid (0.03 g): ^{1}H NMR (400

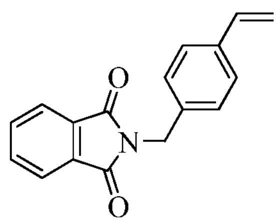
MHz, DMSO-d_6) δ 13.0 (br s, 1H), 8.43 (m, 1H), 8.23 (d, *J* = 8.1 Hz, 1H), 8.14 (m, 2H), 7.91 (m, 2H), 7.16 (dd, *J* =16.0, 8.0 Hz, 1H), 6.99 (d, *J* = 16.0 Hz, 1H), 4.96 (m, 3H),; ESIMS *m/z* 473.0 ([M-H]$^-$); IR (thin film) 1629, 1168, 817 cm^{-1}.

Example 41: Preparation of (*E*)-2-(6-(3-(3,5-Dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-1-oxophthalazin-2(1*H*)-yl)-N-(2,2,2-trifluoroethyl)acetamide (BC14)



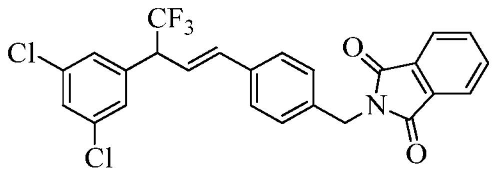
To a stirred solution of (*E*)-2-(6-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-enyl)-1-oxophthalazin-2(1*H*)-yl)acetic acid (0.15 g, 0.31 mmol) in CH_2Cl_2 (20.0 ml) was added 2,2,2,-trifluoroethanamine (0.03 g, 0.31mmol), PyBOP (0.17 g, 0.34 mmol) and DIPEA (0.15 ml, 0.93 mmol) at ambient temperature, and the reaction was stirred for 18 h. The reaction mixture was diluted with CH_2Cl_2 and washed with 3N HCl (2 x 20 mL), $NaHCO_3$ (2 x 20 mL) and brine solution (2x).The separated CH_2Cl_2 layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to afford the crude compound. The crude compound was purified by column chromatography (SiO_2, 100-200 mesh; 20-25% ethyl acetate/ petroleum ether) to afford the title compound as a brown solid (0.11 g): mp 172-175 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.83 (t, *J* = 6.6 Hz, 1H), 8.42 (t, *J* = 14.7 Hz, 1H), 8.22 (d, *J* = 8.1 Hz, 1H), 8.13 (t, *J* = 6.3 Hz, 1H), 7.98-7.86 (m, 2H), 7.16 - 7.07 (m, 1H), 7.01 - 6.93 (m, 1H), 4.96 - 4.81 (m, 3H), 4.00 - 3.88 (m, 2H); ESIMS *m/z* 554.0 ([M-H]$^-$).

Example 42: Preparation of 2-(4-Vinylbenzyl)isoindoline-1,3-dione (CI1)



To a stirred solution of 1-(chloromethyl)-4-vinylbenzene (10 g, 66 mmol) in DMF (100 mL) was added potassium phthalimide (13.3 g, 72.1 mmol), and the resultant reaction mixture was heated at 70 °C for 16 h. The reaction mixture was diluted with water and extracted with $CHCl_3$. The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Recrystallization from CH_3OH afforded the title compound as an off-white solid (8 g, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (m, 2H), 7.71 (m, 2H), 7.39 (m, 4H), 6.65 (dd, J = 17.6, 10.8 Hz, 1H), 5.72 (d, J = 17.6 Hz, 1H), 5.21 (d, J = 10.8 Hz , 1H), 4.82 (s, 2H); GCMS m/z 263.2 ([M]$^+$); IR (thin film) 3420, 1133, 718 cm^{-1}.

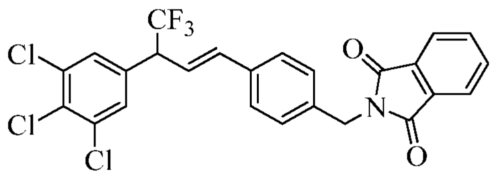
Example 43: Preparation of (*E*)-2-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI2)



Using the procedure of Example 10 with 2-(4-vinylbenzyl)isoindoline-1,3-dione and 1-(1-bromoethyl)-3,5-dichlorobenzene as the starting materials, the title compound was isolated as an off-white solid (0.3 g, 40-50%): mp 142–145 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 2H), 7.74 (m, 2H), 7.42 (m, 2H), 7.36 (m,3H), 7.27 (m, 2H), 6.58 (d, J = 16.0 Hz, 1H), 6.32 (dd, J = 16.0, 8.0 Hz, 1H), 4.82 (s, 2H), 4.05 (m, 1H); ESIMS m/z 488.17 ([M-H]$^-$).

The following compound was made in accordance with the procedures disclosed in **Example 43**.

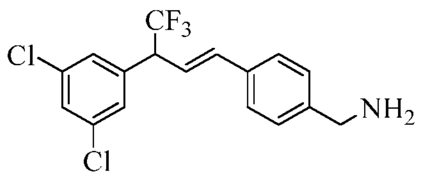
(*E*)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI3)



The title compound was isolated as an off white solid (0.3 g, 56%): mp 145–146 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (m, 2H), 7.74 (m, 2H), 7.42-7.31 (m, 6H), , 6.58 (d, J = 16.0 Hz, 1H), 6.53 (dd, J = 16.0, 8.0 Hz, 1H), 4.82 (s, 2H), 4.05 (m, 1H); ESIMS m/z 522.2 ([M-H]$^-$); IR (thin film) 1716, 1110, 712 cm^{-1}.

Prophetically, compounds **CI4**–**CI5** (Table 1) could be made in accordance with the procedures disclosed in **Example 43**.

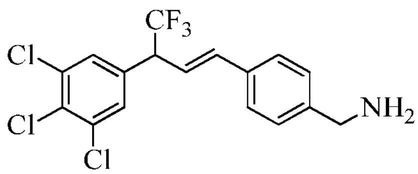
Example 44: Preparation of (*E*)-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (CI6)



To a stirred solution of (*E*)-2-(4-(3-(3,5-dichlorophenyl)but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (1.2 g, 2.45 mmol) in EtOH was added hydrazine hydrate (0.61 g, 12 mmol), and the resultant reaction mixture was heated at 90 °C for 1 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the crude title compound as a gummy liquid (0.9 g) which was used without further purification.

The following compounds were made in accordance with the procedures disclosed in **Example 44**.

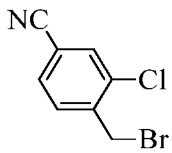
(*E*)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)methanamine (CI7)



The title compound was isolated and used without further purification.

Prophetically, compounds **CI8**–**CI9** (Table 1) could be made in accordance with the procedures disclosed in **Example 44**.

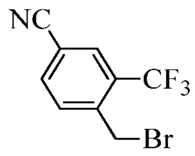
Example 45: Preparation of 4-(Bromomethyl)-3-chlorobenzonitrile (CI10)



To a stirred solution of 3-chloro-4-methylbenzonitrile (5 g, 25.4 mmol) in carbon tetrachloride (CCl_4; 50 mL) under an argon atmosphere was added NBS (5.16 g, 29 mmol), and the mixture was degassed for 30 min. To this was added azobisisobutyronitrile (AIBN; 0.3 g, 1.8 mmol), and the resultant reaction mixture was heated at reflux for 4 h. The reaction mixture was cooled to ambient temperature, washed with water, and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in *n*-Hexane) to afford the title compound as a white solid (4.8 g, 68%): mp 87–88 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (s, 1H), 7.59 (s, 2H), 4.60 (s, 2H); ESIMS *m/z* 229.77 ($[M+H]^+$); IR (thin film) 2235, 752, 621 cm^{-1}.

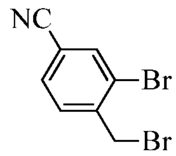
The following compounds were made in accordance with the procedures disclosed in **Example 45**.

4-(Bromomethyl)-3-(trifluoromethyl)benzonitrile (CI11)



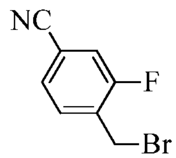
The title compound was isolated as an off-white gummy material (5 g, 66%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 4.62 (s, 2H); ESIMS *m/z* 262.11 ($[M-H]^-$); IR (thin film) 2236, 1132, 617 cm^{-1}.

3-Bromo-4-(bromomethyl)benzonitrile (CI12)



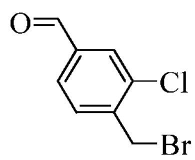
The title compound was isolated as an off-white solid(5 g, 67%): mp 82–83 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.61 (m, 2H), 4.62 (s, 2H); EIMS *m/z* 272.90; IR (thin film) 2229, 618 cm^{-1}.

4-(Bromomethyl)-3-fluorobenzonitrile (CI13)



The title compound was isolated as an off-white solid (2 g, 60%): mp 79–81 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (t, *J* = 8.0 Hz, 1H), 7.48 (dd, *J* = 8.0 Hz, 8.0, 1H), 7.38 (dd, *J* = 5 Hz, 1H), 4.5 (s, 2H); EIMS *m/z* 215.

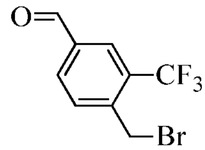
Example 46: Preparation of 4-(Bromomethyl)-3-chlorobenzaldehyde (CI14)



To a stirred solution of 4-(bromomethyl)-3-chlorobenzonitrile (4.8 g, 17 mmol) in toluene (50 mL) at 0 °C was added dropwise diisobutylaluminum hydride (DIBAL-H, 1.0 M solution in toluene; 23.9 mL), and the reaction mixture was stirred at 0 °C for 1 h. 10 M HCl in water (5 mL) was added until the reaction mixture turned to a white slurry and then additional 1 N HCl (20 mL) was added. The organic layer was collected and the aqueous layer was extracted with $CHCl_3$. The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in *n*-Hexane) to afford the title compound as a white solid (3.8 g, 80%): mp 64–66 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.00 (s, 1H), 7.92 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.64 (d, *J* = 8.0 Hz, 1H), 4.60 (s, 2H); ESIMS *m/z* 232.78 ($[M+H]^+$).

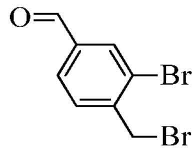
The following compounds were made in accordance with the procedures disclosed in **Example 46**.

4-(Bromomethyl)-3-(trifluoromethyl)benzaldehyde (CI15)



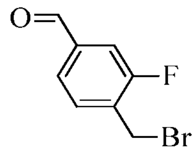
The title compound was isolated as a pale yellow low-melting solid (5 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.09 (s, 1H), 8.19 (s, 1H), 8.09 (m, 1H), 7.81 (m, 1H), 4.61 (s, 2H); ESIMS *m/z* 265.04 ($[M-H]^-$); IR (thin film) 1709, 1126, 649 cm^{-1}.

3-Bromo-4-(bromomethyl)benzaldehyde (CI16)



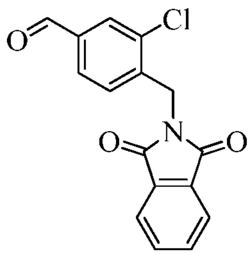
The title compound was isolated as a pale yellow solid (5 g, 62%): mp 94–95 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.96 (s, 1H), 8.05 (s, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 4.60 (s, 2H); EIMS *m/z* 275.90.

4-(Bromomethyl)-3-fluorobenzaldehyde (CI17)



The title compound was isolated as an off-white solid (5 g, 61%): mp 43–45 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.1 (s, 1H), 7.54 (t, *J* = 8 Hz, 1H), 7.48 (d, *J* = 8 Hz, 1H), 7.38 (d, *J* = 5 Hz, 1H), 4.5 (s, 2H); EIMS *m/z* 216.

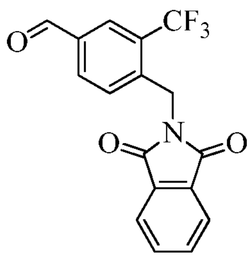
Example 47: Preparation of 3-chloro-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (CI18)



To a stirred solution of 4-(bromomethyl)-3-chlorobenzaldehyde (3.8 g, 14 mmol) in DMF (40 mL) was added potassium pthalimide (3.54 g, 19.14 mmol), and the mixture was heated at 60°C for 6 h. The reaction mixture was cooled to ambient temperature and diluted with water (100 mL). The solid obtained was separated by filtration and dried under vacuum to afford the title compound as a white solid (2.8 g, 60%): mp 123–126 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.21 (s, 1H), 7.91 (m, 3H), 7.80 (m, 2H), 7.20 (m, 1H), 5.05 (s, 2H); ESIMS *m/z* 298.03 ([M-H]$^-$).

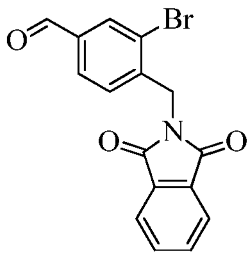
The following compounds were made in accordance with the procedures disclosed in **Example 47**.

4-((1,3-Dioxoisoindolin-2-yl)-3-(trifluoromethyl)benzaldehyde (CI19)



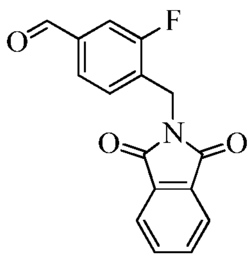
The title compound was isolated as an off white solid (1 g, 62%): mp 142–143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.15 (s, 1H), 7.91 (m, 2H), 7.80 (m, 3H), 7.27 (m, 1H), 5.19 (s, 2H); ESIMS *m/z* 332.03 ([M-H]$^-$).

3-Bromo-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (CI20)



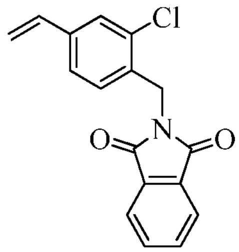
The title compound was isolated as an off-white solid (0.5 g, 64%): mp 159–161 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.21 (s, 1H), 7.91 (m, 3H), 7.80 (m, 2H), 7.20 (m, 1H), 5.05 (s, 2H); ESIMS *m/z* 314.00 ([M-CHO]$^-$).

4-((1,3-Dioxoisoindolin-2-yl)-3-fluorobenzaldehyde (CI21)



The title compound was isolated as a white solid (2 g, 60%): mp 154–156 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 7.9 (m, 2H), 7.75 (m, 2H), 7.6 (m, 2H), 7.5 (t, *J* = 7.6 Hz, 1H), 5.05 (s, 2H); EIMS *m/z* 283.1.

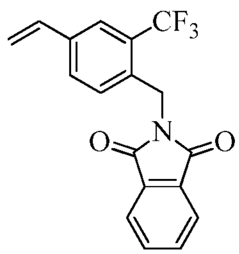
Example 48: Preparation of 2-(2-Chloro-4-vinylbenzyl)isoindoline-1,3-dione (CI22)



To a stirred solution of 3-chloro-4-((1,3-dioxoisoindolin-2-yl)methyl)benzaldehyde (2.8 g, 8.2 mmol) in 1,4-dioxane (30 mL) were added K_2CO_3 (1.68 g, 12.24 mmol) and methyl triphenyl phosphonium bromide (4.37 g, 12.24 mmol) at ambient temperature. Then the resultant reaction mixture was heated at 100 °C for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the obtained filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 20% EtOAc in *n*-Hexane) to afford the title compound as a white solid (1.94 g, 70%): mp 141–143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (m, 2H), 7.70 (m, 2H), 7.41 (m, 1H), 7.21 (m, 2H), 6.71 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.72 (d, *J* = 17.6 Hz, 1H), 5.23 (d, *J* = 10.8 Hz, 1H), 4.92 (s, 2H); ESIMS *m/z* 298.10 ($[M-H]^-$).

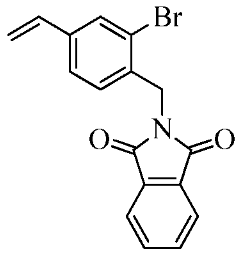
The following compounds were made in accordance with the procedures disclosed in **Example 48**.

2-(2-(Trifluoromethyl)-4-vinylbenzyl)isoindoline-1,3-dione (CI23)



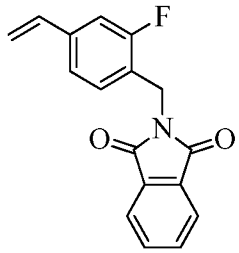
The title compound was isolated as a light brown solid (0.5 g, 60%): mp 134–135 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.80 (m, 2H), 7.71 (s, 1H), 7.46 (d, *J* = 8.0 Hz, 1H), 7.16 (d, *J* = 8.0 Hz, 1H), 6.65 (m, 1H), 5.80 (d, *J* = 17.8 Hz, 1H), 5.19 (d, *J* = 10.8 Hz, 1H), 5.09 (s, 2H); ESIMS *m/z* 332.10 ($[M+H]^+$).

2-(2-Bromo-4-vinylbenzyl)isoindoline-1,3-dione (CI24)



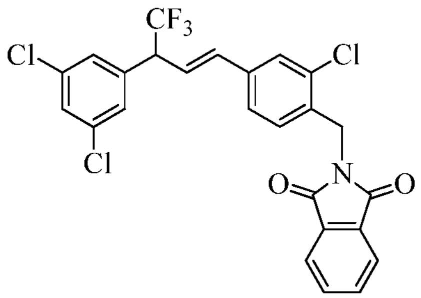
The title compound was isolated as an off white solid (0.5 g, 62%): mp 126–128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.62 (s, 1H), 7.21 (m, 1H), 7.16 (d, *J* = 8.0 Hz, 1H), 6.62 (m, 1H), 5.72 (d, *J* = 17.8 Hz, 1H), 5.15 (d, *J* = 10.8 Hz, 1H), 4.95 (s, 2H); EIMS *m/z* 341.10.

2-(2-Fluoro-4-vinylbenzyl)isoindoline-1,3-dione (CI25)



The title compound was isolated as a white solid (0.5 g, 61%): mp 140–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (m, 2H), 7.72 (m, 2H), 7.25 (m, 1H), 7.11 (m, 2H), 6.63 (m, 1H), 5.80 (d, *J* = 17.6 Hz, 1H), 5.28 (d, *J* = 10.8 Hz, 1H), 4.92 (s, 2H); EIMS *m/z* 282.08.

Example 49: Preparation of (*E*)-2-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI26)

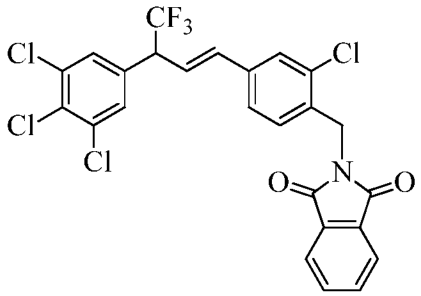


To a stirred solution of 2-(2-chloro-4-vinylbenzyl)isoindoline-1,3-dione (2.0 g, 6.51 mmol) in 1,2-dichlorobenzene (25 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,5-dichlorobenzene (3.48 g, 11.36 mmol), CuCl (112 mg, 1.13 mmol) and 2,2- bipyridyl (0.35 g). The resultant reaction mixture was degassed with argon for 30 min and then was stirred at 180 °C for 24 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 25–30%

EtOAc in *n*-hexane) to afford the title compound as solid (1.3 g, 50%): mp 141–143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.42 (m, 2H), 7.24 (m, 2H), 7.20 (m, 2H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.10 (m, 1H); ESIMS *m/z* 524.07 ([M+H]$^+$).

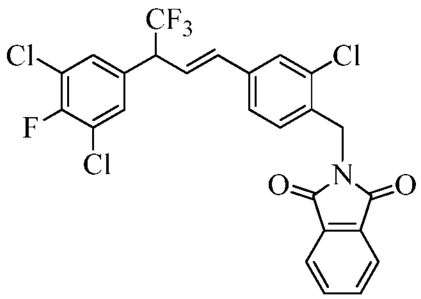
The following compounds were made in accordance with the procedures disclosed in **Example 49**.

(*E*)-2-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI27)



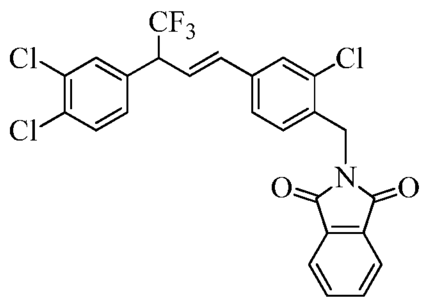
The title compound was isolated as a pale white solid (0.2 g, 55%): mp 128–129 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.79 (m, 2H), 7.42 (m, 3H), 7.22 (m, 2H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.05 (m, 1H); ESIMS *m/z* 557.99 ([M+H]$^+$).

(*E*)-2-(2-Chloro-4-(3-(3,5-dichloro-4-fluorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI28)



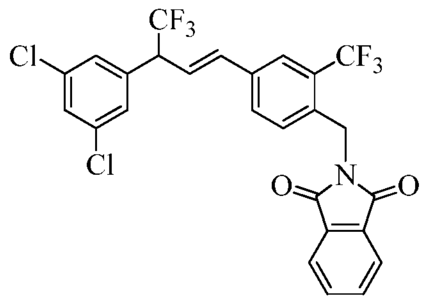
The title compound was isolated as an off white solid (0.2 g, 54%): mp 177–180 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (m, 2H), 7.77 (m, 2H), 7.42 (s, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.21 (m, 2H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.00 (s, 2H), 4.05 (m, 1H); ESIMS *m/z* 540.08 ([M-H]$^-$); IR (thin film) 1716 cm^{-1}.

(*E*)-2-(2-Chloro-4-(3-(3,4-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (CI29)



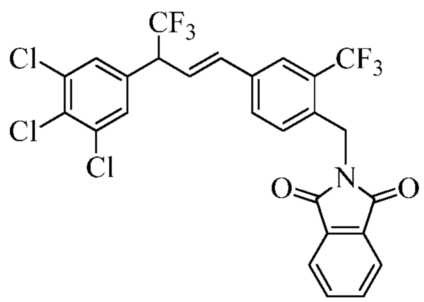
The title compound was isolated as an off-white solid (0.2 g, 59%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (m, 2H), 7.76 (m, 2H), 7.47 (m, 3H), 7.21 (m, 3H), 6.50 (d, J = 16.0 Hz, 1H), 6.32 (dd, J = 16.0, 7.6 Hz, 1H), 4.97 (s, 2H), 4.11 (m, 1H); ESIMS *m/z* 522.27 ([M-H]$^{-}$); IR (thin film) 3064, 1717, 1111, 715 cm^{-1}.

(*E*)-2-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(trifluoromethyl)-benzyl)isoindoline-1,3-dione (CI30)



The title compound was isolated as an off-white solid (0.2 g, 54%): mp 141–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) 7.94 (m, 2H), 7.80 (m, 2H), 7.69 (s, 1H), 7.44 (m, 1H), 7.38 (m, 1H), 7.24 (m, 2H), 7.19 (m, 1H), 6.60 (d, J = 16.0 Hz, 1H), 6.39 (dd, J = 16.0, 7.6 Hz, 1H), 5.10 (s, 2H), 4.11 (m, 1H); ESIMS *m/z* 556.00 ([M-H]$^{-}$).

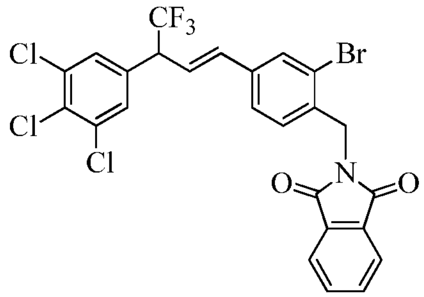
(*E*)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)-benzyl)isoindoline-1,3-dione (CI31)



The title compound was isolated as an off-white solid (0.2 g, 56%): mp 130–132 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (m, 2H), 7.80 (m, 2H), 7.69 (s, 1H), 7.44 (m, 3H), 7.19

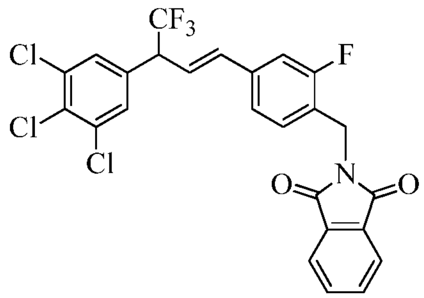
(m, 1H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 7.6 Hz, 1H), 5.10 (s, 2H), 4.12 (m, 1H); ESIMS *m/z* 589.57 ([M-2H]$^-$).

(*E*)-2-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (CI32)



The title compound was isolated as a pale yellow solid (0.2 g, 55%): mp 160–162 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (m, 2H), 7.80 (m, 2H), 7.62 (s, 1H), 7.39 (s, 2H), 7.24 (m, 1H), 7.16 (m, 1H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.98 (s, 2H), 4.12 (m, 1H); ESIMS *m/z* 599.78 ([M-H]$^-$).

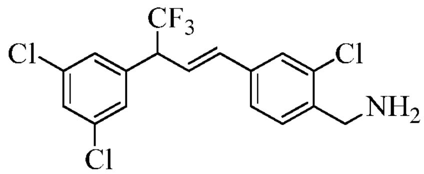
(*E*)-2-(2-Fluoro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-isoindoline-1,3-dione (CI33)



The title compound was isolated as an off-white solid (0.2 g, 55%): mp 72–74 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (m, 2H), 7.74 (m, 2H), 7.38 (s, 2H), 7.34 (m, 1H), 7.18 (m, 2H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.91 (s, 2H), 4.08 (m, 1H); ESIMS *m/z* 539.89 ([M-H]$^-$); IR (thin film)1773 cm^{-1}.

Prophetically, compounds **CI34**–**CI41** (Table 1) could be made in accordance with the procedures disclosed in **Example 49.**

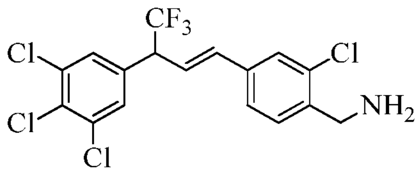
Example 50: Preparation of (*E*)-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (CI42)



To a stirred solution of (*E*)-2-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)isoindoline-1,3-dione (0.4 g, 0.76 mmol) in EtOH was added hydrazine hydrate (0.38 g, 7.6 mmol), and the resultant reaction mixture was heated at 80 °C for 2 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a gummy liquid (0.3 g), which was carried on to the next step without further purification.

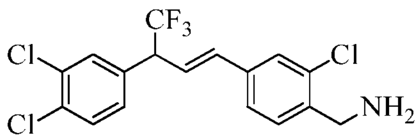
The following compounds were made in accordance with the procedures disclosed in **Example 50**.

(*E*)-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI43)



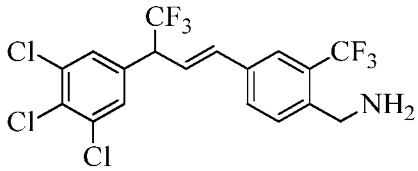
The product obtained in this reaction was carried on to the next step without further purification.

(*E*)-(2-Chloro-4-(3-(3,4-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-methanamine (CI44)



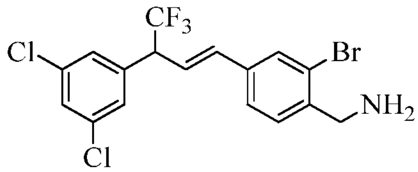
The product obtained in this reaction was carried on to the next step without further purification.: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (d, *J* = 8.4 Hz, 2H), 7.39 (m, 2H), 7.23 (m, 2H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.12 (m, 1H), 3.90 (s, 2H); ESIMS *m/z* 391.90 ([M-H]$^-$); IR (thin film) 3370, 3280, 1111, 817 cm^{-1}.

(*E*)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)-phenyl)methanamine (CI45)



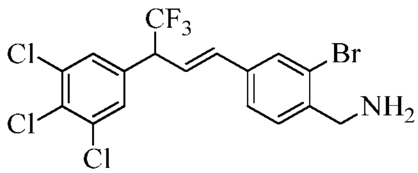
The title compound was isolated as a gummy material. The product obtained in this reaction was carried on to the next step without further purification.

(*E*)-(2-Bromo-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-methanamine (CI46)



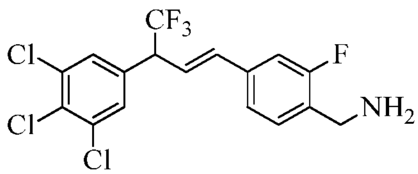
The title compound was isolated as a gummy material: The product obtained in this reaction was carried on to the next step without further purification.

(*E*)-(2-Bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI47)



The title compound was isolated as a gummy material. The product obtained in this reaction was carried on to the next step without further purification.

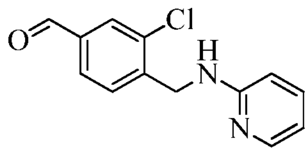
(*E*)-(2-Fluoro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-methanamine (CI48)



The title compound was isolated as a gummy material: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 7.33 (t, J = 7.6 Hz, 1H), 7.13 (m, 2H), 6.56 (d, J = 16.0 Hz, 1H), 6.33 (dd, J = 16.0, 7.6 Hz, 1H), 4.08 (m, 1H), 3.90 (s, 2H); ESIMS *m/z* 413.84 ([M+H]$^+$); IR (thin film) 3368, 3274, 1114, 808 cm^{-1}.

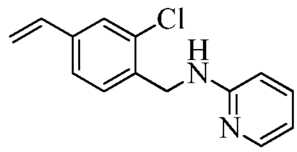
Prophetically, compounds **CI49**–**CI57** (Table 1) could be made in accordance with the procedures disclosed in **Example 50**.

Example 51: Preparation of 3-Chloro-4-((pyridin-2-ylamino)methyl)benzaldehyde (CI58)



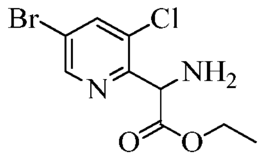
To a stirred solution of 4-(bromomethyl)-3-chlorobenzaldehyde (2 g, 9 mmol) in *N,N*-dimethylacetamide (DMA; 20 mL) was added K_2CO_3 (2.36 g, 17.16 mmol) and 2-aminopyridine (0.84 g, 8.58 mmol), and the reaction mixture was stirred at ambient temperature for 4 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in *n*-Hexane) to afford the title compound as off-white solid (1.05 g, 50%): mp 122–123 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 9.94 (s, 1H), 8.11 (s, 1H), 7.88 (s, 1H), 7.72 (d, *J* = 4.8 Hz, 1H), 7.62 (d, *J* = 5.7 Hz, 1H), 7.4 (m, 1H), 6.64 (d, *J* = 3.9 Hz, 1H), 6.38 (d, *J* = 6.3 Hz, 1H), 5.04 (br s, 1H), 4.71 (s, 2H); ESIMS *m/z* 246.97 ($[M+H]^+$).

Example 52: Preparation of *N*-(2-Chloro-4-vinylbenzyl)pyridin-2-amine (CI59)



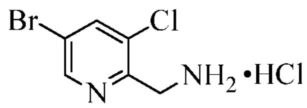
To a stirred solution of 3-chloro-4-((pyridin-2-ylamino)methyl)benzaldehyde (1 g, 4. mmol) in 1,4-dioxane (20 mL) were added K_2CO_3 (0.84 g, 6.09 mmol) and methyl triphenyl phosphonium bromide (2.17 g, 6.09 mmol) at ambient temperature. Then the resultant reaction mixture was heated at 100 °C for 18 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the obtained filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography (SiO_2, 100-200 mesh; 10% EtOAc in *n*-Hexane) to afford the title compound as a white solid (0.5 g, 50%): mp 119–121 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.42 – 7.40 (m, 3H), 7.26 (s, 1H), 6.66 (m, 2H), 6.36 (d, *J* = 6.3 Hz, 1H), 5.75 (d, *J* = 13.2 Hz, 1H), 4.92 (br s, 1H), 4.60 (s, 2H); ESIMS *m/z* 245.05 ($[M+H]^+$).

Example 53: Preparation of Ethyl 2-amino-2-(5-bromo-3-chloropyridin-2-yl)acetate (CI60)



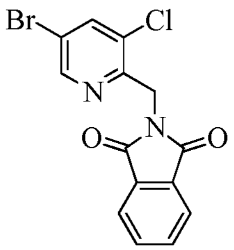
Ethyl 2-(diphenylmethyleneamino)acetate (10.2 g, 38.2 mmol) was added to sodium hydride (NaH; 3.18 g, 133.52 mmol) in DMF (50 mL) at 0 °C, and the mixture was stirred for 30 min. To this was added 5-bromo-2,3-dichloropyridine (12.9 g, 57.23 mmol), and the reaction mixture was stirred for 3 h at ambient temperature. The reaction mixture was quenched with 2 N HCl solution and then stirred for 4 h at ambient temperature. The mixture was extracted with EtOAc. The combined EtOAc layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (20–30% EtOAc in hexane) afforded the title compound as a liquid (1.3 g, 20%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.89 (s, 1H), 5.09 (s1H), 4.23 (m, 2H), 2.27 (br s, 2H), 1.26 (m, 3H); ESIMS *m/z* 293.05 ($[M+H]^+$); IR (thin film) 3381, 3306, 1742, 759, 523 cm^{-1}.

Example 54: Preparation of (5-Bromo-3-chloropyridin-2-yl)methanamine hydrochloride (CI61)



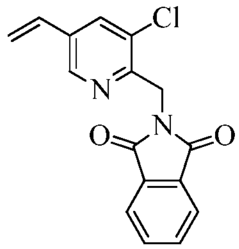
A stirred solution of ethyl 2-amino-2-(5-bromo-3-chloropyridin-2-yl)acetate (0.5 g, 1.7 mmol) in 3 N HCl (25 mL) was heated at reflux for 4 h. The reaction mixture was washed with diethyl ether and water. The combined ether layer was concentrated under reduced pressure to afford the title compound as an off-white solid (400 mg, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.70 (br s, 2H), 8.45 (s, 1H), 4.56 (m, 2H); ESIMS *m/z* 221.15 ($[M+H]^+$).

Example 55: Preparation of 2-((5-Bromo-3-chloropyridin-2-yl)methyl)isoindoline-1,3-dione (CI62)



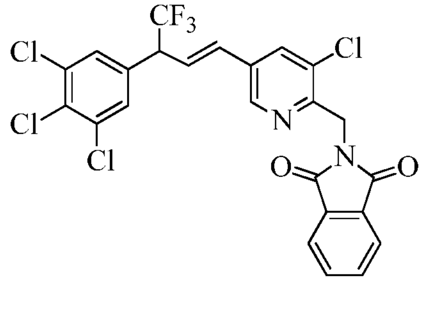
To a stirred solution of (5-bromo-3-chloropyridin-2-yl)methanamine hydrochloride (0.3 g, 1.4 mmol) in toluene (40 mL) was added TEA (0.41 g, 4.08 mmol) and phthalic anhydride (0.24 g, 1.63 mmol), and the reaction mixture was heated at reflux for 2 h. The reaction mixture was concentrated under reduced pressure, and the residue was diluted with water and extracted with EtOAc . The combined EtOAc layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography (20–30% EtOAc in hexane) to afford the title compound as a white solid (0.25 g, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.45 (s, 1H), 7.88 (m, 2H), 7.74 (m, 2H), 4.56 (m, 2H); ESIMS *m/z* 349 ([M-H]$^-$); IR (thin film) 3307, 1665, 1114, 813 cm^{-1}.

Example 56: Preparation of 2-((3-Chloro-5-vinylpyridin-2-yl)methyl)isoindoline-1,3-dione (CI63)



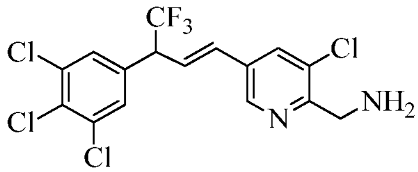
To a stirred solution of 2-((5-bromo-3-chloropyridin-2-yl)methyl)isoindoline-1,3-dione (0.23 g, 0.65 mmol) in toluene (10 mL) were added $Pd(PPh_3)_4$ (3.7 mg, 0.003 mmol), K_2CO_3 (0.269 g, 1.95 mmol) and vinyl boronic anhydride pyridine complex (0.78 g, 3.28 mmol), and the reaction mixture was heated at reflux for 16 h. The reaction mixture was filtered, and the filtrate was washed with water and brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (20–30% EtOAc in hexane) afforded the title compound as an off-white solid (0.2 g, 65%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.91 (m, 2H), 7.77 (m, 3H), 7.72 (m, 1H), 6.63 (m, 1H), 5.79 (d, J = 16.0 Hz, 1H), 5.39 (d, J = 16.0 Hz, 1H), 5.12 (s, 2H); ESIMS *m/z* 299.20 ([M+H]$^+$).

Example 57: Preparation of (*E*)-2-((3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichloro-phenyl)but-1-en-1-yl)pyridin-2-yl)methyl)isoindoline-1,3-dione (CI64)



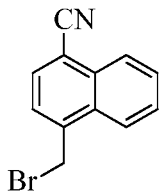
To a stirred solution of 2-((3-chloro-5-vinylpyridin-2-yl)methyl)isoindoline-1,3-dione (0.35 g, 1.17 mmol) in 1,2-dichlorobenzene (10 mL) were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.8 g, 2.3 mmol), CuCl (23 mg, 0.12 mmol), 2,2-bipyridyl (0.073 g, 0.234 mmol), and the reaction mixture was heated at 180 °C for 16 h. The reaction mixture was concentrated under reduced pressure and purified by column chromatography (20–30% EtOAc in hexane) to afford the title compound as a liquid (0.4 g, 50%): mp 79–82 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.91 (m, 2H), 7.77 (m, 3H), 7.36 (s, 2H), 6.51 (d, J = 15.6 Hz, 1H), 6.32 (dd, J = 15.6, 8.0 Hz, 1H), 5.30 (s, 2H), 4.13 (m, 1H); ESIMS *m/z* 559 ($[M+H]^+$).

Example 58: Preparation of (*E*)-(3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl)methanamine (CI65)



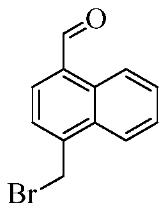
To a stirred solution of (*E*)-2-((3-chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl)methyl)isoindoline-1,3-dione (200 mg, 0.358 mmol) in EtOH (5 mL) was added hydrazine hydrate (89.6 mg, 1.79 mmol), and the reaction mixture was heated at reflux for 2 h. The reaction mixture was concentrated under reduced pressure, and the residue was dissolved in CH_2Cl_2. The organic layer was washed with water and brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a solid (100 mg). The product obtained in this reaction was carried on to the next step without further purification.

Example 59: Preparation of 4-(Bromomethyl)-1-naphthonitrile (CI66)



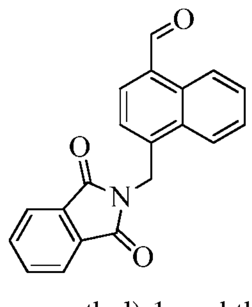
To a stirred solution of 4-methyl-1-naphthonitrile (5 g, 30 mmol) in CCl_4 (50 mL) under argon atmosphere was added NBS (6.06 g, 34.09 mmol), and the reaction mixture was degassed for 30 min. AIBN (0.3 g, 2.1 mmol) was added, and the resultant reaction mixture was heated at reflux for 4 h. The reaction mixture was cooled to ambient temperature, diluted with water and extracted with CH_2Cl_2 (3 x 100 mL). The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in *n*-Hexane) to afford the title compound as a white solid (3.8 g, 52%): mp 131–133 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (m, 1H), 8.24 (m, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.78 (m, 2H), 7.62 (d, *J* = 8.0 Hz, 1H), 4.95 (s, 2H); ESIMS *m/z* 245.92 ([M+H]$^+$); IR (thin film) 2217 cm^{-1}.

Example 60: Preparation of 4-(Bromomethyl)-1-naphthaldehyde (CI67)



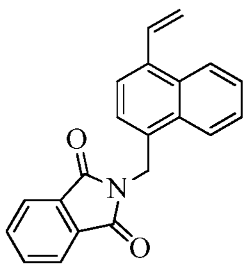
To a stirred solution of 4-(bromomethyl)-1-naphthonitrile (8 g, 33mmol) in toluene (100 mL) at 0 °C was added dropwise DIBAL-H (1.0 M solution in toluene; 43 mL), and the reaction mixture was stirred at 0 °C for 1 h. 3 N HCl in water (50 mL) was added to the mixture until it became a white slurry and then additional 1 N HCl (20 mL) was added. The organic layer was collected and the aqueous layer was extracted with EtOAc (3 x100 mL). The combined organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 5% EtOAc in petroleum ether) afforded the title compound as a white solid (7 g, 88%): mp 115–116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.41 (s, 1H), 9.35 (m, 1H), 8.22 (m, 1H), 7.90 (d, *J* = 8.0 Hz, 1H), 7.75 (m, 3H), 4.95 (s, 2H); ESIMS *m/z* 248.88 ([M+H]$^+$).

Example 61: Preparation of 4-((1,3-Dioxoisoindolin-2-yl)methyl)-1-naphthaldehyde (CI68)



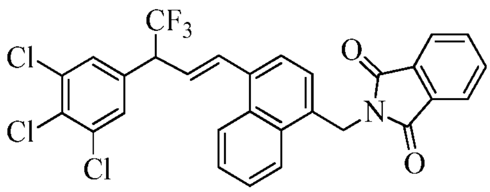
To a stirred solution of 4-(bromomethyl)-1-naphthaldehyde (7 g, 28. mmol) in DMF (100 mL) was added potassium phthalimide (7.3 g, 39.5 mmol), and the mixture was heated at 85 °C for 2 h. The reaction mixture was cooled to ambient temperature and diluted with water (100 mL). The obtained solid was separated by filtration and dried under vacuum to afford the title compound as a white solid (8.8 g, 98%): mp 190–192 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.39 (s, 1H), 9.25 (m, 1H), 8.41 (m, 1H), 8.10 (d, *J* = 8.0 Hz, 1H), 7.95 (m, 4H), 7.80 (m, 4H), 7.61 (m, 4H), 5.39 (s, 2H); ESIMS *m/z* 316.09 ([M+H]$^+$); IR (thin film) 1708 cm^{-1}.

Example 62: Preparation of 2-((4-Vinylnaphthalen-1-yl)methyl) isoindoline-1,3-dione (CI69)



To a stirred solution of 4-((1,3-dioxoisoindolin-2-yl)methyl)-1-naphthaldehyde (9 g, 28.5 mmol) in 1,4-dioxane (100 mL) were added K_2CO_3 (6 g, 42.8 mmol) and methyl triphenyl phosphonium bromide (15.3 g, 35.7 mmol) at ambient temperature. The reaction mixture was heated at 100 °C for 14 h and then was cooled to ambient temperature. The reaction mixture was filtered, and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 20% EtOAc in petroleum ether) afforded the title compound as a white solid (6 g, 67%): mp 146–147 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (m, 2H), 7.95 (m, 4H), 7.65 (m, 4H), 7.39 (m, 1H), 5.81 (m, 1H), 5.45 (m, 1H), 5.21 (s, 2H); ESIMS *m/z* 314.13 ([M+H]$^+$).

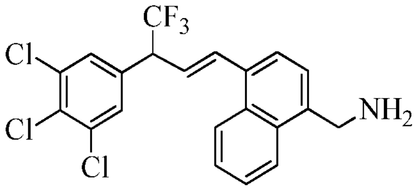
Example 63: Preparation of (*E*)-2-((4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)isoindoline-1,3-dione (CI70)



To a stirred solution of 2-((4-vinylnaphthalen-1-yl)methyl)isoindoline-1,3-dione (1.5 g, 4.79 mmol) in 1,2-dichlorobenzene (15 mL) were added 1-(1-bromo-2,2,2-trifluoroethyl)-3,4,5-trichlorobenzene (3.2 g, 9.5 mmol), CuCl (24 mg, 0.24 mmol) and 2,2-bipyridyl (0.149 g, 0.95 mmol), and the resultant reaction mixture was degassed with argon for 30 min and then stirred at 180 °C for 14 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25–30% EtOAc in petroleum ether) afforded the title compound as an off-white solid (1.5 g, 56%): mp 158–160 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (m, 1H), 7.89 (m, 2H), 7.74 (m, 2H), 7.64

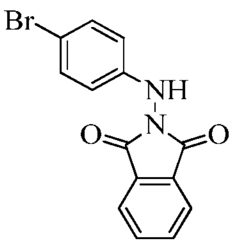
(m, 2H), 7.58 (m, 2H), 7.46 (s, 2H), 7.36 (m, 2H), 6.31 (m, 1H), 5.30 (s, 2H), 4.21 (m, 1H); ESIMS *m/z* 572.08 ([M-H]⁻).

Example 64: Preparation of (*E*)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methanamine (CI71)



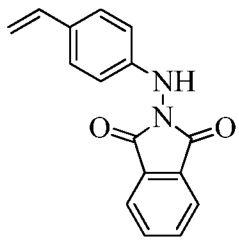
To a stirred solution of (*E*)-2-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)isoindoline-1,3-dione (0.4 g, 0.7 mmol) in EtOH was added hydrazine hydrate (0.18 g, 3.5 mmol), and the resultant reaction mixture was heated at 80 °C for 2 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2, and the solution was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The title compound was isolated as a gummy liquid (150 mg, 50%). The product obtained in this reaction was carried on to the next step without further purification.

Example 65: Preparation of 2-((4-Bromophenyl)amino)isoindoline-1,3-dione (CI72)



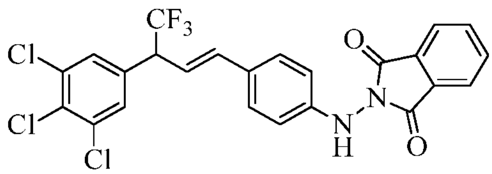
To a stirred solution of (4-bromophenyl)hydrazine hydrochloride (0.5 g, 2.2 mmol) in glacial acetic acid (8 mL) was added phthalic anhydride (0.398 g, 2.690 mmol), and the reaction mixture was stirred at 130 °C for 1 h under a nitrogen atmosphere. The reaction mixture was quenched with satd aq. $NaHCO_3$ solution and filtered to give a solid. Purification by column chromatography (SiO_2, 0–10% EtOAc in petroleum ether) afforded the title compound as a solid (60 mg, 84%): mp 205–206 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 7.99 (m, 4H), 7.32 (d, *J* = 8.8 Hz, 2H), 6.79 (d, *J* = 8.8 Hz, 2H); ESIMS *m/z* 314.95 ([M-H]⁻).

Example 66: Preparation of 2-((4-Vinylphenyl)amino)isoindoline-1,3-dione (CI73)



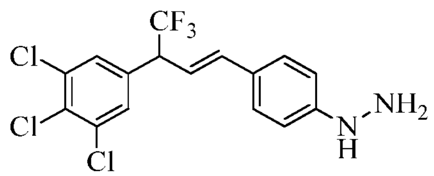
To a solution of 2-(4-bromophenylamino)isoindoline-1,3-dione (2 g, 6. mmol) in 1,2-dimethoxyethane (20 mL) and water (4 mL) were added vinyl boronic anhydride pyridine complex (4.57 g, 18.98 mmol) and K_2CO_3 (1.3 g, 9.5 mmol) followed by $Pd(PPh_3)_4$ (0.219 g, 0.189 mmol). The resultant reaction mixture was heated at 150 °C in a microwave for 30 min and then was concentrated under reduced pressure. Purification by column chromatography (SiO_2, 15% EtOAc in petroleum ether) afforded the title compound as a solid (200 mg, 13%): mp 174–176 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.94 (m, 4H), 7.29 (d, J = 8.4 Hz, 2H), 6.72 (d, J = 8.4 Hz, 2H), 6.61 (m, 1H), 5.61 (d, J = 17.6 Hz, 1H), 5.05 (d, J = 11.2 Hz, 1H); ESIMS *m/z* 263.18 ($[M-H]^-$).

Example 67: Preparation of (*E*)-2-((4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)amino)isoindoline-1,3-dione (CI74)



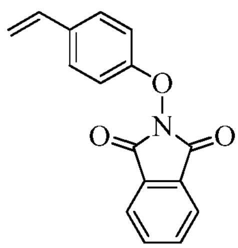
To a stirred solution of 2-(4-vinylphenylamino)isoindoline-1,3-dione (0.3 g, 1.1 mmol) in 1,2-dichlorobenzene (5 mL) were added CuCl (0.022 g, 0.273 mmol), 2,2-bipyridyl (0.07 g, 0.46 mmol) and 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.77 g, 2.27 mmol). The reaction mixture was degassed with argon for 30 min and was heated at 180 °C for 2 h. The reaction mixture was then concentrated under reduced pressure, and the residue was purified by column chromatography (SiO_2, 0–30% EtOAc in petroleum ether) to afford the title compound as a solid (450 mg, 75%): mp 187–189 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.75 (s, 1H), 7.96 (m, 4H), 7.82 (s, 2H), 7.37 (d, J = 8.8 Hz, 1H), 6.73 (d, J = 8.4 Hz, 2H), 6.61 (m, 2H), 6.58 (m, 1H), 4.59 (m, 1H); ESIMS *m/z* 523.05 ($[M-H]^-$).

Example 68: Preparation of (*E*)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydrazine (CI75)



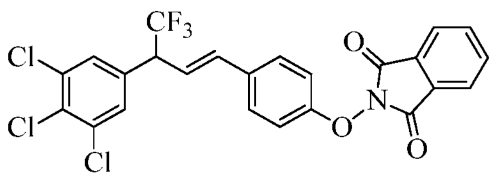
To a stirred solution of (*E*)-2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)phenylamino)isoindoline-1,3-dione (0.16 g, 0.31 mmol) in EtOH (5 mL), was added hydrazine hydrate (0.076 g, 1.52 mmol), and the reaction mixture was heated at 85 °C for 1 h. The reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure to afford the title compound as a solid (0.08 g, 66%) which was carried on to the next step without further purification.

Example 69: Preparation of 2-(4-Vinylphenoxy)isoindoline-1,3-dione (CI76)



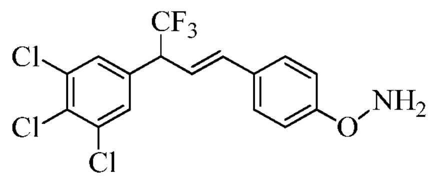
To a stirred solution of 4-vinylphenylboronic acid (2 g, 13 mmol), 2-hydroxyisoindoline-1,3-dione (3.63 g, 24.53 mmol), and CuCl (1.214 g 12.26 mmol) in 1,2-dichloroethane (50 mL) was added pyridine (1.065 g, 13.48 mmol), and the resultant reaction mixture was stirred at ambient temperature for 48 h. The reaction mixture was diluted with water and extracted with $CHCl_3$. The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 20% EtOAc in petroleum ether) afforded the title compound as a white solid (2 g, 63%): mp 129–131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, *J* = 2.0 Hz, 2H), 7.82 (d, *J* = 3.2 Hz, 2H), 7.38 (d, *J* = 2.0 Hz, 2H), 7.14 (d, *J* = 2.0 Hz, 2H), 6.70 (m, 1H), 5.83 (d, *J* = 16.0 Hz, 1H), 5.22 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 266.12 ($[M+H]^+$).

Example 70: Preparation of (*E*)-2-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenoxy)isoindoline-1,3-dione (CI77)



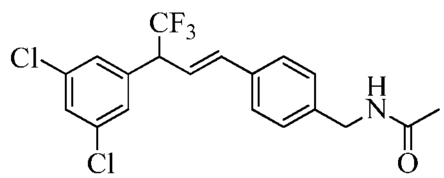
To a stirred solution of 2-(4-vinylphenoxy)isoindoline-1,3-dione (0.3g, 1.1 mmol) in 1,2-dichlorobenzene (10 mL) was added 1-(1-bromoethyl)-3,4,5-trichlorobenzene (769 mg, 2.26 mmol), CuCl (22 mg, 0.22mmol) and 2,2-bipyridyl (35 mg, 0.44 mmol), and the resultant reaction mixture was degassed with argon for 30 min and heated to 180 °C for 24 h. The reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. The crude material was purified by column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in petroleum ether) to afford the title compound as a solid (0.29 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (m, 1H), 7.62 (m, 2H), 7.50 (m, 1H), 7.40 (s, 2H), 7.12 (s, 1H), 6.90 (m, 2H), 6.60 (m, 2H), 6.20 (m,1H), 4.08 (m, 1H); ESIMS *m/z* 524.09 ([M-H]$^-$).

Example 71: Preparation of (*E*)-*O*-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydroxylamine (CI78)



To a stirred solution of (*E*)-2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)phenoxy)isoindoline-1,3-dione (0.2 g, 0.4 mmol) in EtOH was added hydrazine hydrate (0.1 g, 1.9 mmol), and the resultant reaction mixture was heated at 90 °C for 1 h. The reaction mixture was filtered, and the filtrate was concentrated. The residue was dissolved in CH_2Cl_2. washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude title compound as a gummy liquid (0.08 g, 53%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 6.98 (s, 1H), 6.82 (s, 2H), 6.48 (m, 1H), 6.20 (m, 1H), 5.02 (s, 1H), 4.08 (m, 1H); ESIMS *m/z* 394.94 ([M-H]$^-$).

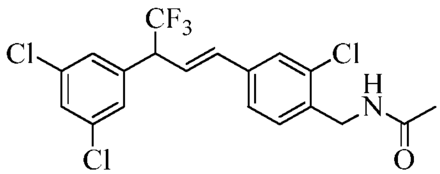
Example 72: Preparation of (*E*)-*N*-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-enyl)benzyl)acetamide (CC1)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.3 g, 0.8 mmol) in CH_2Cl_2 (10 mL) was added acetic anhydride (0.12 mL, 1.14 mmol), and TEA (0.217 mL, 1.52 mmol), and the resultant reaction mixture was stirred at ambient temperature for 6 h. The reaction mixture was diluted with water and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 30–50% ethyl acetate in hexane) afforded the title compound as an off-white solid (0.2 g, 60%) mp 107–109 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.75 (br s, 1H), 4.46 (d, *J* = 6 Hz, 2H), 4.01 (m, 1H), 2.11 (s, 3H); ESIMS *m/z* 402.00 ([M+H]$^+$).

Compounds **CC2** – **CC6** in Table 1 were made in accordance with the procedures disclosed in **Example 72**. In addition, compound **DC56** in Table 1 was made from compound **DC55** in accordance with the procedures disclosed in **Example 72.**

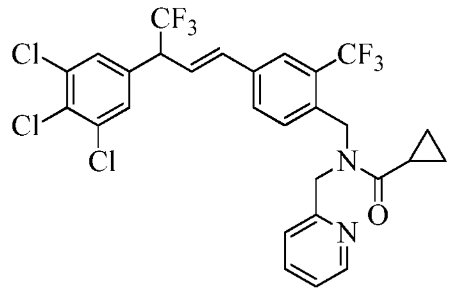
Example 73: Preparation of (*E*)-*N*-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)acetamide (CC7)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.3 g, 0.8 mmol) in DMF (5 mL) was added 2,2,2-trifluoro-propanoic acid (97 mg, 0.76 mmol), HOBt•H_2O (174 mg, 1.14 mmol) and EDC•HCl (217 mg, 1.14 mmol) and DIPEA (196 mg, 1.52 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with water and extracted with EtOAc. The combined EtOAc layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; ethyl acetate in hexane (30–50% afforded the title compound as an off-white solid (0.2 g, 60%): mp 127–128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (m, 4H), 7.24 (m, 2H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H) , 5.86 (br s, 1H), 4.51 (d, *J* = 6.0 Hz, 2H), 4.05 (m, 1H), 2.02 (s, 3H); ESIMS *m/z* 436.03 ([M+H]$^+$).

Compounds **CC8** – **CC28** in Table 1 were made in accordance with the procedures disclosed in **Example 73**.

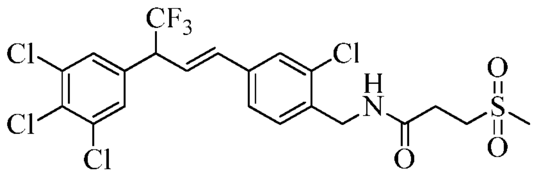
Example 74: Preparation of (*E*)-*N*-(Pyridin-2-ylmethyl)-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)cyclopropanecarboxamide (CC29)



Step 1: (*E*)-1-(Pyridin-2-yl)-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)methanamine. (*E*)-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)phenyl)methanamine (0.46 g, 1 mmol) was dissolved in CH_3OH (3 mL). To this was added pyridine-2-carbaldehyde (0.107 g, 1 mmol). The reaction mixture was stirred for 1 h. After 1 h, $NaBH_4$ (0.076 g, 2 mmol) was added and left at ambient temperature for 3 h. The reaction mixture was concentrated to give an oily residue. Purification by flash column chromatography (SiO_2, 100-200 mesh; 30–50% EtOAc in hexane) afforded the title compound as a pale yellow liquid (0.22 g, 40%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, *J*= 4.8 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.10 (m, 1H), 4.02 (s, 2H), 3.96 (s, 2H); ESIMS *m/z* 552.95 ($[M+H]^+$); IR (thin film) 3338, 1114, 808 cm^{-1}.

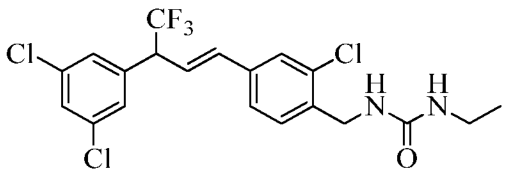
Step 2: (*E*)-*N*-(Pyridin-2-ylmethyl)-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-2-(trifluoromethyl)benzyl)cyclopropanecarboxamide. (*E*)-1-(Pyridin-2-yl)-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzyl)methanamine (0.27 g, 0.05 mmol) was taken up in CH_2Cl_2 (3 mL). To this was added TEA (0.14 mL, 0.1 mmol). The reaction mixture was stirred for 10 min. After 10 min, the reaction mixture was cooled to 0 °C, and cyclopropylcarbonyl chloride (0.08 mL, 0.075 mmol) was added. The reaction mixture was stirred at ambient temperature for 1 h and then was washed with water and satd aq $NaHCO_3$ solution. The organic layer was dried over anhydrous Na_2SO_4 and evaporated to obtain pale yellow gummy material (0.15 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, *J* = 4.6 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.02 (s, 1H), 4.8 (s, 1H), 4.8 (d, *J* = 10 Hz, 2H), 4.10 (m, 1H), 1.8 (m, 1H), 1.2 (m, 2H), 0.6 (m, 2H); ESIMS *m/z* 620.86 ($[M-H]^-$); IR (thin film) 1645, 1115, 808 cm^{-1}.

Example 75: Preparation of (*E*)-*N*-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-(methylsulfonyl)propanamide (CC30)



(*E*)-*N*-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-(methylthio)propanamide (0.15 g, 0.28 mmol) was treated with oxone (0.175 g, 0.569 mmol) in 1:1 acetone:water (20mL) for 4 h at ambient temperature. The acetone was evaporated to obtain a white solid (0.095 g, 60%): mp 101–104 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 4H), 7.24 (m, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.12 (br s, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.91 (s, 3H), 2.78 (m, 2H); ESIMS *m/z* 559.75 ([M-H]$^-$).

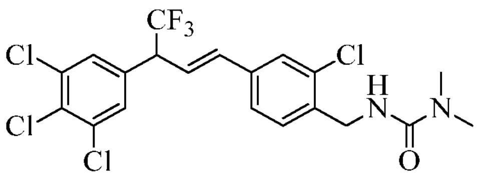
Example 76: Preparation of (*E*)-1-(2-Chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)-3-ethylurea (CC31)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0 °C were added TEA (0.141 mL, 1 mmol) and ethylisocyanate (0.053 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30–50% EtOAc in hexane) afforded the title compound as a solid (0.141 g, 60%): mp 177–178 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (m, 2H), 7.41 (m, 3H), 7.24 (m, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.70 (br s, 1H), 4.43 (s, 2H), 4.08 (m, 1H), 3.21 (m, 2H), 1.25 (m, 3H); ESIMS *m/z* 463 ([M-H]$^-$).

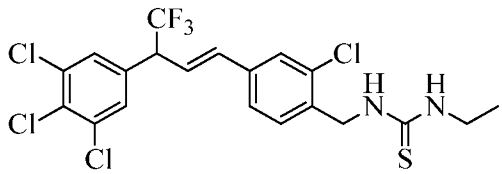
Compounds **CC32** – **CC35** in Table 1 were made in accordance with the procedures disclosed in **Example 76**.

Example 77: Preparation of (*E*)-3-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-1,1-dimethylurea (CC36)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0 °C were added TEA (0.141 mL, 1 mmol) and *N,N*-dimethylcarbamoyl chloride (0.08 g, 0.075 mmol), and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30–50% EtOAc in hexane) afforded the title compound as a solid (0.15 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, J = 16.0 Hz, 1H), 6.34 (dd, J = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, J = 6.0 Hz, 2H), 4.10 (m, 1H), 2.9 (s, 3H), 2.7 (s, 3H); ESIMS *m/z* 497 ([M-H]$^-$); IR (thin film) 3350, 1705, 1114, 808 cm^{-1}.

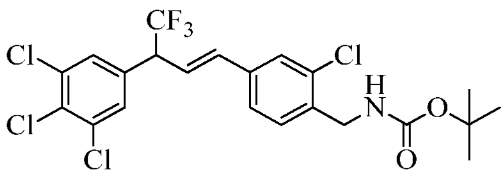
Example 78: Preparation of (*E*)-1-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-3-ethylthiourea (CC37)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0 °C were added TEA (0.141 mL, 1 mmol) and ethyl isothicyanate (0.053 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30–50% EtOAc in hexane) afforded the title compound as a solid (0.14 g, 60%): mp 88–91 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, J = 8 Hz, 1H), 7.41 (d, J = 7.2 Hz, 2H), 7.26 (m, 2H), 6.50 (d, J = 16 Hz, 1H), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.0 (br s, 1H), 5.73 (br s, 1H), 4.80 (br s, 2H), 4.09 (m, 1H), 1.23 (m, 3H); ESIMS *m/z* 515.01 ([M+H]$^+$).

Compound **CC38** in Table 1 was made in accordance with the procedures disclosed in **Example 78**.

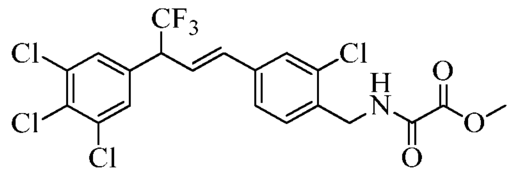
Example 79: Preparation of (*E*)-*tert*-Butyl (2-chloro-4-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)benzyl)-3-ethylurea (CC39)



To a stirred solution of (*E*)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol in CH_2Cl_2 (5 mL) at 0 °C were added TEA (0.141 mL, 1 mmol) and di-*tert*-butyl dicarbonate (0.163 mL, 0.75 mmol), and the reaction mixture was stirred for 4 h at ambient temperature. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 10–20% EtOAc in hexane) afforded the title compound as a white solid (0.147 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, *J* = 6.0 Hz, 2H), 4.10 (m, 1H), 1.53 (s, 9H); ESIMS *m/z* 526.09 ([M-H]$^-$); IR (thin film) 3350, 1705, 1114, 808 cm^{-1}.

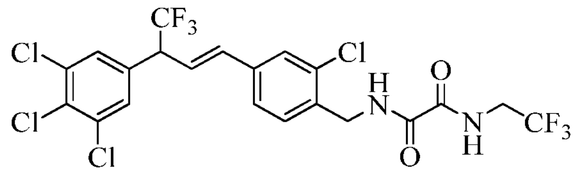
Compound **CC40** in Table 1 was made in accordance with the procedures disclosed in **Example 79**.

Example 80: Preparation of (*E*)-Methyl 2-((2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)amino)-2-oxoacetate (CC41)



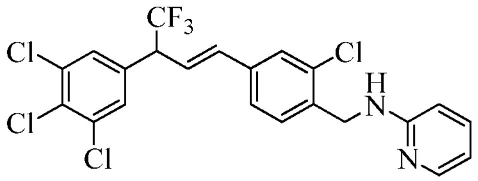
To a stirred solution of (*E*)-(2-chloro-4-(3-(3,4,5-trichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)methanamine (0.2 g, 0.5 mmol) in CH_2Cl_2 (5 mL) at 0 °C were added TEA (0.141 mL, 1 mmol) and methyl 2-chloro-2-oxoacetate (0.09 g, 0.75 mmol), and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20% EtOAc in hexane) afforded the title compound as a solid (0.12 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (m, 1H). 7.43 (m, 3H), 7.38 (m, 1H), 7.23 (s, 1H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.60 (d, *J* = 4.4 Hz, 2H), 4.18 (m, 1H), 3.85 (s, 3H); ESIMS *m/z* 512.22 ([M-H]$^-$); IR (thin film) 1740, 1701, 1114, 808 cm^{-1}.

Example 81: Preparation of (*E*)-*N*^{1}-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-*N*^{2}-(2,2,2-trifluoroethyl)oxalamide (CC42)



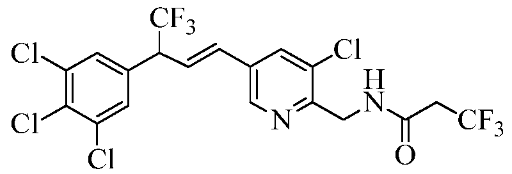
To a stirred solution of 2,2,2-trifluoroethylamine hydrochloride (0.1 g, 0.77 mmol) in CH_2Cl_2 (10 mL) was added dropwise trimethylaluminum (2 M solution in toluene; 0.39 mL, 0.77 mmol), and the reaction mixture was stirred at 25 °C for 30 min. A solution of (*E*)-methyl 2-((2-chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)-2-oxoacetate (0.2 g, 0.38 mmol) in CH_2Cl_2 (5 mL) was added dropwise to the reaction mixture at 25 °C. The reaction mixture was stirred at reflux for 18 h, cooled to 25 °C, quenched with 0.5 N HCl solution (50 mL) and extracted with EtOAc (2 x 50 mL). The combined organic extracts were washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh; 20%–40% EtOAc in *n*-hexane) to afford the title compound (0.13 g, 60%): mp 161–163 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.45 (br s, 2H), 7.90 (s, 2H), 7.75 (s, 1H), 7.46 (s, 1H), 7.28 (s, 1H), 6.93 (m, 1H), 6.75 (m, 1H), 4.80 (m, 1H), 4.40 (s, 2H), 3.90 (s, 2H); ESIMS *m/z* 578.96 ([M-H]$^-$).

Example 82: Preparation of (*E*)-*N*-(2-Chloro-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)pyridin-2-amine (CC43)



To a stirred solution of *N*-(2-chloro-4-vinylbenzyl)pyridin-2-amine (0.3 g, 1.22 mmol) in 1,2-dichlorobenzene (5 mL) were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (0.83 g, 2.44 mmol), CuCl (24 mg, 0.24 mmol) and 2,2-bipyridyl (76 mg, 0.48 mmol). The resultant reaction mixture was degassed with argon for 30 min and then stirred at 180 °C for 24 h. After the reaction was deemed complete by TLC, the reaction mixture was cooled to ambient temperature and filtered, and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 15% EtOAc in *n*-hexane) afforded the title compound as an off-white solid (0.2 g, 35%): mp 140–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, *J* = 4.0 Hz, 1H), 7.40 (m, 5H), 7.22 (m, 1H), 6.61 (m, 2H), 6.35 (m, 2H), 4.94 (br s, 1H), 4.61 (d, *J* = 6.4 Hz, 2H), 4.11 (m, 1H); ESIMS *m/z* 505.39 ([M+H]$^+$).

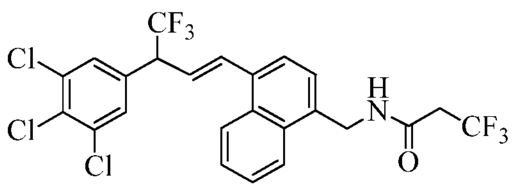
Example 83: Preparation of (*E*)-*N*-((3-Chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)-but-1-en-1-yl)pyridin-2-yl)methyl)-3,3,3-trifluoropropanamide (CC44)



To a stirred solution of (*E*)-(3-chloro-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)pyridin-2-yl)methanamine (0.1 g, 0.2 mmol) in CH_2Cl_2 (5 mL) were added 3,3,3-trifluoropropanoic acid (45 mg, 0.350 mmol), EDC•HCl (67 mg, 0.350 mmol), HOBt•H_2O (71 mg, 0.467 mmol) and DIPEA (60.2 mg, 0.467 mmol), and the reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with CH_2Cl_2 and washed with water. The combined CH_2Cl_2 layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in petroleum ether) afforded the title compound as a pale yellow liquid (30 mg, 35%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 7.77 (s, 1H), 7.47 (br s, 1H), 7.40 (s, 2H), 6.58 (d, J = 16.0 Hz, 1H), 6.45 (dd, J = 16.0, 8.0 Hz, 1H), 4.68 (d, J = 4.0 Hz, 2H), 4.14 (m, 1H), 3.24 (q, J = 10.8 Hz, 2H); ESIMS *m/z* 536.88 ([M-H]$^-$); IR (thin film) 3320, 1674, 1114, 808.

Compound **CC45** in Table 1 was made in accordance with the procedures disclosed in **Example 83**.

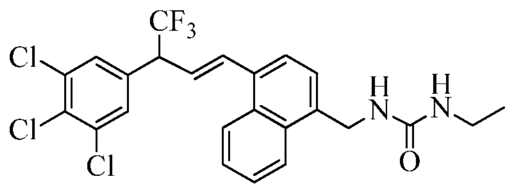
Example 84: Preparation of (*E*)-3,3,3-Trifluoro-*N*-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)propanamide (CC46)



To a stirred solution of (*E*)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methanamine (0.1 g, 0.22 mmol) in CH_2Cl_2 (8 mL) were added 3,3,3-trifluoropropanoic acid (0.032 g, 0.24 mmol), HOBt•H_2O (52 mg, 0.33 mmol), EDC•HCl (0.065 g, 0.33 mmol) and DIPEA (0.044 g, 0.45 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with water and extracted with EtOAc (3 x30 mL). The combined EtOAc layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; 15% EtOAc in *n*-hexane) afforded the title compound as a gummy material (60 mg, 50%): mp 151–153 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (m, 1H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 1H), 6.42 (m, 1H), 5.92 (br s, 1H), 4.92 (m, 2H), 4.24 (m, 1H), 3.12 (m, 2H); ESIMS m/z 554.04 ([M-H]$^-$).

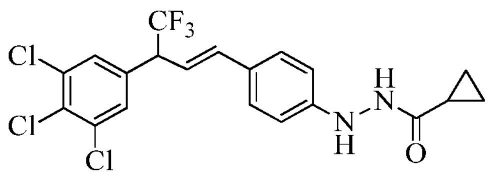
Compounds **CC47** – **CC48** in Table 1 were made in accordance with the procedures disclosed in **Example 84**.

Example 85: Preparation of (*E*)-1-Ethyl-3-((4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methyl)urea (CC49)



To a stirred solution of (*E*)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)naphthalen-1-yl)methanamine (0.1 g, 0.22 mmol) in CH_2Cl_2 at 0 °C were added TEA (0.064 mL, 0.44 mmol) and ethylisocyanate (0.023 mL, 0.33 mmol), and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2. The organic layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 30% EtOAc in hexane) afforded the title compound as a solid (0.07 g, 60%): mp 84–87 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (m, 1H), 7.98 (m, 1H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 4.6 (br s, 1H), 4.24 (m, 1H), 3.21 (m, 2H), 1.2 (t, J = 4.6 Hz, 3H); ESIMS m/z 515.33 ([M+H]$^+$).

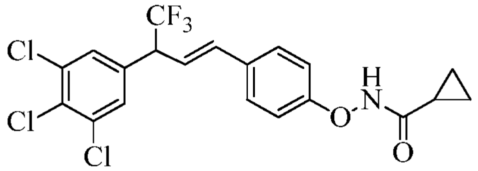
Example 86: Preparation of (*E*)-*N*'-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)cyclopropanecarbohydrazide (CC50)



To a stirred solution of (*E*)-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydrazine (0.1 g, 0. 3 mmol) in CH_2Cl_2 (10 mL) was added DIPEA (65 mg, 0.51 mmol), HOBt•H_2O (59 mg, 0.38 mmol), EDC•HCl (73 mg, 0.38 mmol) and cyclopropanecarbonyl chloride (0.024 g, 0.28 mmol), and the reaction mixture was stirred at ambient temperature for 1 h. The reaction mixture was diluted with satd aq $NaHCO_3$ solution and extracted with CH_2Cl_2. The combined CH_2Cl_2 layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 5–25% EtOAc in petroleum ether) afforded the title compound as a solid (65 mg, 55%): mp 138–140 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.81 (s, 1H), 7.90 (s, 1H), 7.84 (s, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 15.6 Hz, 1H), 6.61 (m, 1H), 6.57 (s, 1H), 6.48 (dd, *J* = 15.6, 8.8 Hz, 1H), 4.74 (m, 1H), 1.64 (m, 1H), 0.75 (m, 4H); ESIMS *m/z* 461.32 ([M-H]$^-$).

Compound **CC51** in Table 1 was made in accordance with the procedures disclosed in **Example 86.**

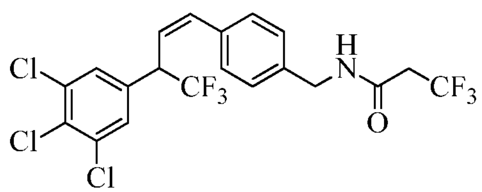
Example 87: Preparation of (*E*)-*N*-(4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenoxy)cyclopropanecarboxamide (CC52)



To a stirred solution of (*E*)-*O*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)hydroxylamine (0.15 g, 0.38 mmol) in CH_2Cl_2 (5 mL) was added EDC•HCl (0.109 g, 0.569 mmol), HOBt•H_2O (0.087 g, 0.569 mmol), DIPEA (0.097 g, 0.758 mmol) and cyclopropanecarboxylic acid (0.049 g, 0.569 mmol). The resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with water and extracted with $CHCl_3$ (35 mL) The combined $CHCl_3$ layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2; 20% EtOAc in hexane) afforded the title compound as a brown liquid (0.06 g, 34%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.65 (m, 1H), 6.20 (m, 1H), 5.55 (s, 1H), 4.08 (m, 1H), 1.90 (m, 1H), 1.30 – 1.10 (m, 4H); ESIMS *m/z* 464.87 ([M-H]$^-$).

Compound **CC53** in Table 1 was made in accordance with the procedures disclosed in **Example 87**.

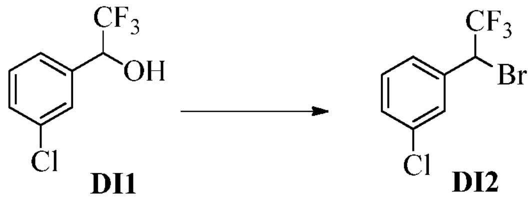
Example 88: Preparation of (*Z*)-3,3,3-Trifluoro-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)propanamide (CC54)



A silicon borate vial was charged with (*E*)-3,3,3-trifluoro-*N*-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzyl)propanamide (133 mg, 0.269 mmol) and dimethyl sulfoxide (DMSO; 10 mL). The mixture was placed within 0.6 to 1 meter (m) of a bank of eight 115 watt Sylvania FR48T12/350BL/VHO/180 Fluorescent Tube Black Lights and four 115 watt Sylvania (daylight) F48T12/D/VHO Straight T12 Fluorescent Tube Lights for 72 h. The mixture was concentrated *in vacuo* and purified by reverse phase chromatography to give the title compound as a colorless oil (11 mg, 8%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.28 (s, 2H), 7.25 (m, 2H), 7.10 (d, *J* = 8.0 Hz, 2H), 6.89 (d, *J* = 11.4 Hz, 1H), 6.07 (br s, 1H), 6.01 (m, 1H), 4.51 (d, *J* = 5.8 Hz, 2H), 4.34 (m, 1H), 3.12 (q, *J* = 7.5 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.44, 137.20, 135.38, 135.23, 134.82, 134.68, 131.71, 129.00, 128.80, 128.69, 128.10, 127.96, 122.63,76.70, 47.33 (q, *J* = 28 Hz), 43.59, 42.12 (q, *J* = 30 Hz); ESIMS *m/z* 504 ($[M+H]^+$).

Compounds **DC46, AC93. AC94** in Table 1 were made in accordance with the procedures disclosed in **Example 88**.

Example 89: Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3-chlorobenzene (DI2)

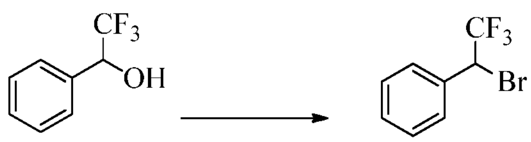


DI1 **DI2**

The title compound was synthesized in two steps via 1-(3-chlorophenyl)-2,2,2-trifluoroethanol (**DI1**, prepared as in Step 1, Method B in Example 1); isolated as a colorless viscous oil (1.5 g, 75%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (s, 1H), 7.42-7.35 (m, 3H), 5.02 (m, 1H), 2.65 (br s, 1H)) and Step 2 in Example 1 and isolated (0.14 g, 22%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (br s, 1H), 7,42-7.35 (m, 3H), 5.07 (m, 1H).

The following compounds were made in accordance with the procedures disclosed in **Example 89**.

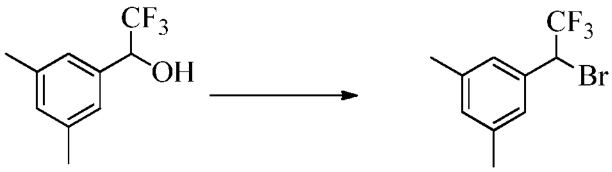
(1-Bromo-2,2,2-trifluoroethyl)benzene (DI4)



DI3 **DI4**

2,2,2-Trifluoro-1-phenylethanol (**DI3**) was isolated (10 g, 80%): ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.48 (m, 2H), 7.40 (m, 3H), 5.02 (m, 1H), 2.65 (d, *J* = 7.1 Hz, 1H). The title compound (**DI4**) was isolated as a liquid (8.0 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (m, 2H), 7.40 (m, 3H), 5.00 (q, *J* = 7.5 Hz, 1H).

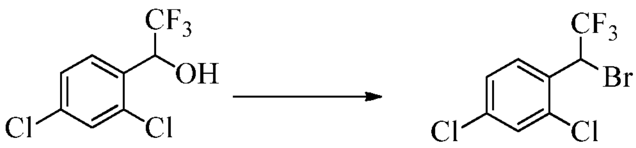
1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-dimethylbenzene (DI20)



DI19 **DI20**

1-(3,5-Dimethylphenyl)-2,2,2-trifluoroethanol (**DI19**) was isolated an off white solid : ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (s, 2H), 7.02 (s, 1H), 4.95 (m, 1H), 2.32 (s, 6H); ESIMS *m/z* 204 ($[M]^-$). The title compound (**DI20**) was isolated (3.0 g, 51%).

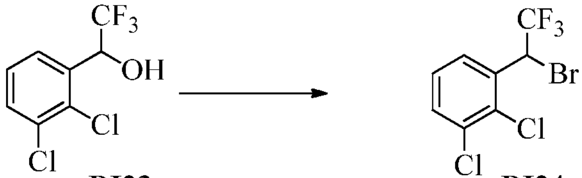
1-(1-Bromo-2,2,2-trifluoroethyl)-2,4-dichlorobenzene (DI22)



DI21 **DI22**

1-(2,4-Dichlorophenyl)-2,2,2-trifluoroethanol (**DI21**) was isolated as an off white powder (5.3 g, 61%): mp 49-51 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.66 (d, 1H), 7.42-7.44 (d, 1H), 7.32-7.36 (d, 1H), 5.6 (m, 1H), 2.7 (s, 1H); ESIMS *m/z* 244 ($[M]^+$). The title compound (**DI22**) was isolated (3.2 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.72 (m, 1H), 7.4-7.42 (m, 1H), 7.3-7.38 (m, 1H), 5.7-5.8 (m, 1H).

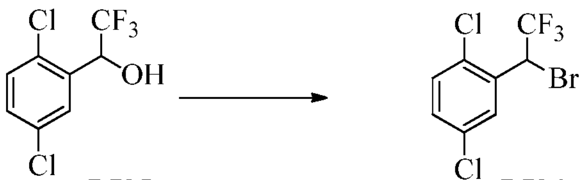
1-(1-Bromo-2,2,2-trifluoroethyl)-2,3-dichlorobenzene (DI24)



DI23 **DI24**

1-(2,3-Dichlorophenyl)-2,2,2-trifluoroethanol (**DI23**) was isolated as a pale yellow oil (5.2 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.64 (d, 1H), 7.52-7.54 (m, 1H), 7.29-7.33 (t, 1H), 5.6-5.76 (m, 1H), 2.7 (s, 1H); ESIMS *m/z* 243.9 ($[M]^+$). The title compound (**DI24**) was isolated as an oil (8.7 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62-7.71 (m, 1H), 7.44-7.52 (m, 1H), 7.27-7.3 (s, 1H), 5.81-5.91 (m, 1H).

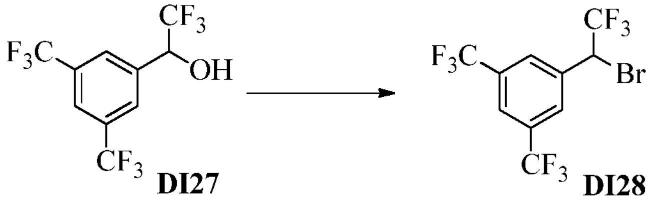
2-(1-Bromo-2,2,2-trifluoroethyl)-1,4-dichlorobenzene (DI26)



DI25 **DI26**

1-(2,5-Dichlorophenyl)-2,2,2-trifluoroethanol (**DI25**) was isolated as a yellow oil (4.1 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.7 (s, 1H), 7.3-7.37 (m, 2H), 5.51-5.6 (m, 1H), 2.7 (s, 1H); ESIMS *m/z* 244 ([M]$^+$)). The title compound (**DI26**) was isolated (3.0 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.7-7.78 (m, 1H), 7.3-7.4 (m, 2H), 5.7-5.8 (m, 1H).

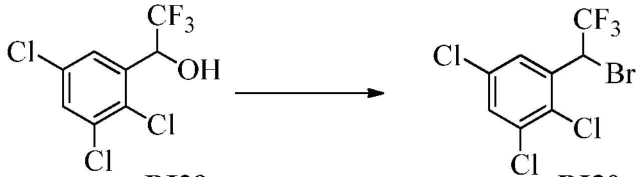
1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-bis(trifluoromethyl)benzene (DI28)



DI27 **DI28**

1-(3,5-Bis(trifluoromethyl)phenyl)-2,2,2-trifluoroethanol (**DI27**) was isolated (3.8 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (m, 3H), 5.25 (m, 1H), 3.2 (br, 1H); ESIMS *m/z* 312.2 ([M]$^+$). The title compound (**DI28**) was prepared and carried on crude.

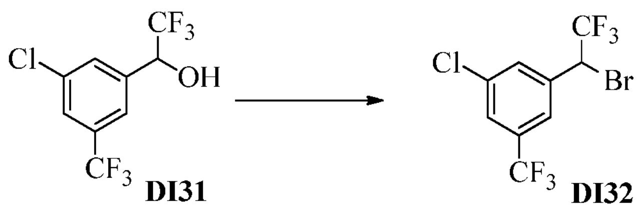
1-(1-Bromo-2,2,2-trifluoroethyl)-2,3,5-trichlorobenzene (DI30)



DI29 **DI30**

2,2,2-Trifluoro-1-(2,3,5-trichlorophenyl)ethanol (**DI29**) was isolated as a white solid (4.0 g, 60%): mp 113-115 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 1H), 7.50 (d, 1H), 5.60-5.70 (m, 1H), 2.75 (s, 1H); ESIMS *m/z* 278.0 ([M$^+$]). The title compound (**DI30**) was isolated (2.9 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, 1H), 7.50 (d, 1H), 5.72-5.82 (m, 1H).

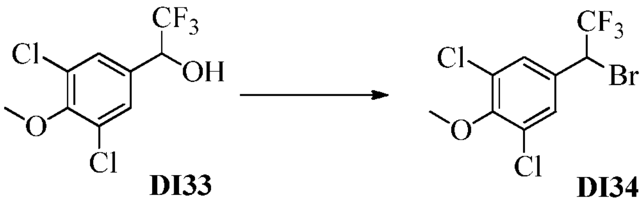
1-(1-Bromo-2,2,2-trifluoroethyl)-3-chloro-5-(trifluoromethyl)benzene (DI32)



DI31 **DI32**

1-(3-Chloro-5-(trifluoromethyl)phenyl)-2,2,2-trifluoroethanol (**DI31**) was isolated as a pale yellow oil (2.0 g, 50%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (m, 3H), 5.08 (m, 1H), 2.81 (s, 1H); ESIMS *m/z* 278.1 ([M]$^+$). The title compound (**DI32**) was isolated oil (2.0 g, 40%): ESIMS *m/z* 342 ([M]$^+$).

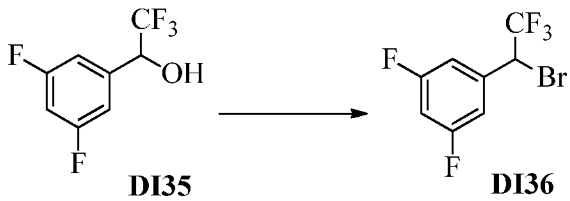
5-(1-Bromo-2,2,2-trifluoroethyl)-1,3-dichloro-2-methoxybenzene (DI34)



DI33 DI34

1-(3,5-Dichloro-4-methoxyphenyl)-2,2,2-trifluoroethanol (**DI33**) was isolated as an off white solid (0.8 g, 60%); mp 92-95 °C: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (s, 2H), 5.00 (m, 1H), 3.89 (s, 3H), 2.64 (m, 1H); ESIMS *m/z* 274 ($[M]^+$). The title compound (**DI34**) was isolated as a colorless liquid (0.6 g, 57%).

Example 90: Preparation of 1-(1-Bromo-2,2,2-trifluoroethyl)-3,5-difluorobenzene (DI36)

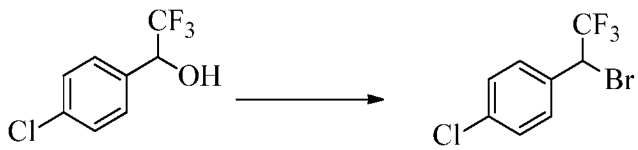


DI35 DI36

The title compound was synthesized in two steps via 1-(3,5-difluorophenyl)-2,2,2-trifluoroethanol (**DI35**, prepared as in Step 1, Method A in Example 1; isolated as a colorless oil (0.2 g, 75%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (m, 2H), 6.88 (m, 1H), 5.06 (m, 1H), 2.66 (s, 1H); ESIMS *m/z* 212 ($[M]^+$) and Step 2 in Example 1 and isolated (3.2 g, 50%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.05 (m, 2H), 6.86 (m, 1H), 5.03 (q, J = 7.4 Hz, 1H).

The following compounds were made in accordance with the procedures disclosed in **Example 90.**

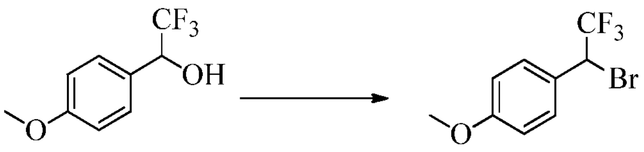
1-(1-Bromo-2,2,2-trifluoroethyl)-4-chlorobenzene (DI38)



DI37 DI38

1-(4-Chlorophenyl)-2,2,2-trifluoroethanol (**DI37**) was isolated as a colorless oil (5.0 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44-7.38 (m, 4H), 5.05 (m, 1H), 2.55 (s, 1H); ESIMS *m/z* 210 ($[M]^+$). The title compound (**DI38**) was isolated (3.0 g, 46 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, J = 8.2 Hz, 2H), 7.37 (d, J = 8.2 Hz, 2H), 5.10 (q, J = 7.2 Hz, 1H).

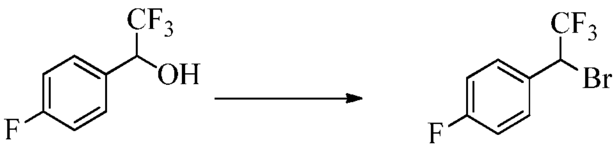
1-(1-Bromo-2,2,2-trifluoroethyl)-4-methoxybenzene (DI40)



DI39 **DI40**

2,2,2-Trifluoro-1-(4-methoxyphenyl)ethanol (**DI39**) was isolated as a pale yellow liquid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (d, *J* = 8.8 Hz, 2H), 6.95 (m, *J* = 8.8 Hz, 2H), 5.00 (m, 1H), 3.82 (s, 3H), 2.44 (s, 1H); ESIMS *m/z* 206.1 ([M]$^{+}$). The title compound (**DI40**) was isolated (3.8 g, 62%).

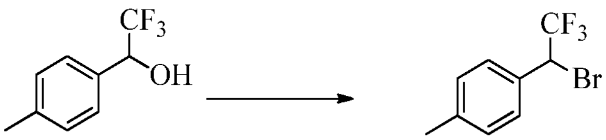
1-(1-Bromo-2,2,2-trifluoroethyl)-4-fluorobenzene (DI42)



DI41 **DI42**

2,2,2-Trifluoro-1-(4-fluorophenyl)ethanol (**DI41**) was isolated as a colorless oil (5 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48-7.45 (m, 2H), 7.13-7.07 (m, 2H), 5.06 (m, 1H), 2.53 (s, 1H); ESIMS *m/z* 194 ([M]$^{+}$). The title compound (**DI42**) was prepared and carried on as crude intermediate.

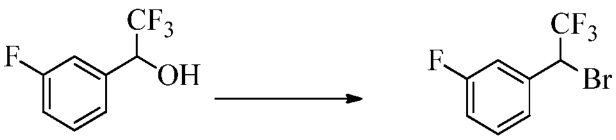
1-(1-Bromo-2,2,2-trifluoroethyl)-4-methylbenzene (DI44)



DI43 **DI44**

2,2,2-Trifluoro-1-(*p*-tolyl)ethanol (**DI43**) was isolated as colorless oil (5.0 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.0 Hz, 2H), 7.23 (d, *J* = 8.0 Hz, 2H), 5.02 (m, 1H), 2.46 (m, 1H), 2.37 (s, 3H); ESIMS *m/z* 190 ([M]$^{+}$). The title compound (**DI44**) was isolated (3.0 g, 45%).

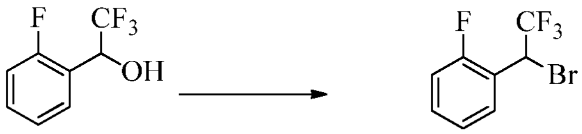
1-(1-Bromo-2,2,2-trifluoroethyl)-3-fluorobenzene (DI46)



DI45 **DI46**

2,2,2-Trifluoro-1-(3-fluorophenyl)ethanol (**DI45**) was isolated as a colorless viscous oil (2.8 g, 93%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (m, 1H), 7.25 (m, 2H), 7.14 (m, 1H), 5.06 (m, 1H), 2.60 (s, 1H); ESIMS *m/z* 194 ($[M]^+$). The title compound (**DI46**) was isolated (2.0 g, 61%).

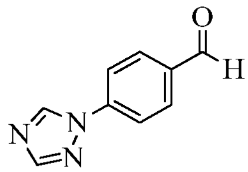
1-(1-Bromo-2,2,2-trifluoroethyl)-2-fluorobenzene (DI48)



DI47 **DI48**

2,2,2-Trifluoro-1-(2-fluorophenyl)ethanol (**DI47**) was isolated as a colorless oil (2.5 g, 99%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.40 (m, 1H), 7.43 (m,1H), 7.24 (m, 1H), 7.13 (m, 1H), 5.42 (m, 1H), 2.65 (s, 1H); ESIMS *m/z* 194 ($[M]^+$). The title compound (**DI48**) was isolated (2.0 g, 61%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (m, 1H), 7.40 (m, 1H), 7.23 (m, 1H), 7.10 (m, 1H), 5.40 (m, 1H); GCMS *m/z* 255 ($[M-H]^-$).

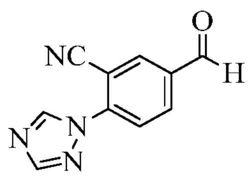
Example 91: Preparation of 4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI5)



To a stirring solution of 4-fluorobenzaldehyde (10.0 g, 80.6 mmol) in DMF (150 mL) were added K_2CO_3 (13.3 g, 96.7 mmol) and 1,2,4- triazole (6.67 g, 96.7 mmol) and the resultant reaction mixture was stirred at 120 °C for 6 h. After completion of reaction (by TLC), the reaction mixture was diluted with water and extracted with EtOAc (3 x100 mL). The combined EtOAc layer was washed with water and brine, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a solid (9.0 g, 65%): mp 145-149 °C: ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.08 (s, 1H), 8.70 (s, 1H), 8.16 (s, 1H), 8.06 (d, J = 8.0 Hz, 2H), 7.92 (d, J = 8.0 Hz, 2H); ESIMS *m/z* 173.9 ($[M+H]^+$).

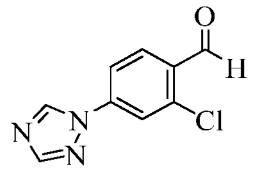
The following compound was made in accordance with the procedures disclosed in **Example 91.**

5-Formyl-2-(1*H*-1,2,4-triazol-1-yl)benzonitrile (DI49)



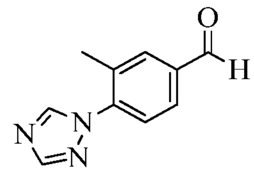
The title compound was isolated (2.8 g, 60%); ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.98 (s, 1H), 8.35 (s, 1H), 8.30 (d, 1H), 8.22 (s, 1H), 8.07 (d, 1H); IR (thin film) 3433, 3120, 1702, 1599, 1510 cm^{-1}.

2-Chloro-4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI50)



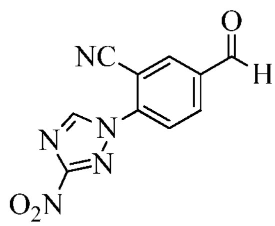
The title compound was isolated as an off white solid (3.0 g, 40%): mp 149-151 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.74 (s, 1H), 8.17 (s, 1H), 8.10 (s, 1H), 7.90 (m, 2H) ; ESIMS *m/z* 208.10 ($[M+H]^+$).

5-Methyl-4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI51)



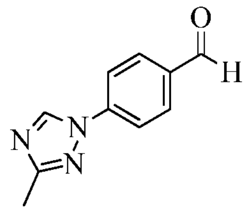
The title compound was isolated as a white solid (0.5 g, 74 %): mp 109-111 °C; ^{1}H NMR (400 MHz, D_6-DMSO) δ 10.06 (s, 1H), 9.00 (s, 1H), 8.30 (s, 1H), 7.99 (s, 1H), 7.92 (d, J = 9.2 Hz, 1H), 7.69 (d, J = 9.2 Hz, 1H), 2.30 (s, 3H); ESIMS *m/z* 188.13 ($[M+H]^+$).

Example 92: Preparation of 5-Formyl-2-(3-nitro-1*H*-1,2,4-triazol-1-yl)benzonitrile (DI52)



To a stirring solution of 2-fluoro-5-formylbenzonitrile (0.5 g, 3.3 mmol) in DMF (25 mL) were added K_2CO_3 (0.68 g, 4.95 mmol) and 3-nitro-1,2,4 triazole (0.45 g, 4.2 mmol) and the resultant reaction mixture was stirred at ambient temperature for 14 h. After completion of reaction (TLC), the reaction mixture was diluted with water and extracted with EtOAc. The combined EtOAc layer was washed with water and brine then dried over Na_2SO_4 and concentrated under reduced pressure to afforded the title compound as a pale yellow solid (0.36 g, 45%): mp 170-172 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.12 (s, 1H), 9.61 (s, 1H), 8.69 (s, 1H), 8.45 (d, J = 9.3 Hz, 1H), 8.23 (d, J = 9.3 Hz, 1H); ESIMS *m/z* 242.3 ($[M-H]^-$); IR (thin film) 2238, 1705, 1551, 1314 cm^{-1}.

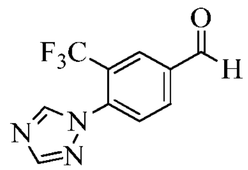
Example 93: Preparation of 4-(3-Methyl-1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI53)



To a stirring solution of 4-fluorobenzaldehyde (5.0 g, 40.32 mmol) in DMF (50 mL), were added K_2CO_3 (3.34 g, 40.32 mmol) and 3-methyl-1,2,4-trizole (3.34 g, 40.32 mmol) and the resultant reaction mixture was stirred at ambient temperature for 4 h. After completion of the reaction (TLC), the reaction mixture was diluted with water and extracted with EtOAc (3x). The combined EtOAc layer was washed with water and brine then dried over Na_2SO_4 and concentrated under reduced pressure to afforded the title compound as a white solid (4.1 g, 60%): mp 125-128°C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.05 (s, 1H), 8.76 (s, 1H), 8.02 (d, 2H), 7.85 (d, 2H), 2.50 (s, 3H); ESIMS *m/*z 188.04 ($[M+H]^+$).

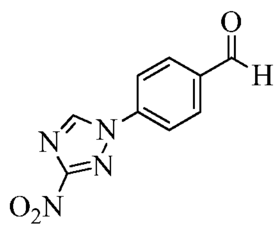
The following compound was made in accordance with the procedures disclosed in **Example 93**.

4-(1*H*-1,2,4-triazol-1-yl)-3-(trifluoromethyl)benzaldehyde (DI54)



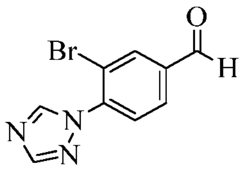
The title compound was isolated as white solid (1.05 g, 60%): mp 81-83 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.15 (s, 1H), 8.43 (s, 1H), 8.37 (s, 1H), 8.25 (d, *J* = 7.2 Hz, 1H), 8.18 (s, 1H), 7.79 (d, *J* = 7.2 Hz, 1H); ESIMS *m/z* 241.0 ($[M]^+$).

4-(3-Nitro-1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI55)



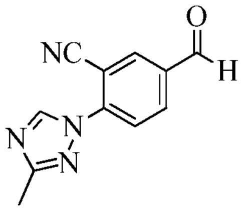
The title compound was isolated as pale yellow solid (0.10 g, 23%): mp 159-161 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.10 (s, 1H), 8.89 (s, 1H), 8.15 (m, 2H), 8.00 (m, 2H); ESIMS *m/z* 217.11 ($[M-H]^-$).

3-Bromo-4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI56)



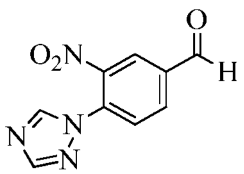
The title compound was isolated as white solid (3.2 g, 51%): mp 126-128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.04 (s, 1H), 8.69 (s, 1H), 8.27 (M, 1H, 8.18 (s, 1H) 7.99 (d, *J* = 9.2 Hz, 1H), 7.76 (d, *J* = 9.2 Hz, 1H); ESIMS *m/z* 250.9 ($[M]^+$).

5-Formyl-2-(3-methyl-1H-1,2,4-triazol-1-yl)benzonitrile (DI57)



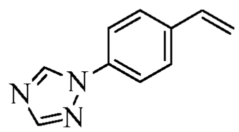
The title compound was isolated as white solid (0.13 g, 30%): mp 147-149 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.07 (s, 1H), 8.89 (s, 1H), 8.32 (d, *J* = 1.8 Hz, 1H), 8.24 (dd, *J* = 8.6, 1.3 Hz, 1H), 8.06 (d, *J* = 8.6 Hz, 1H), 2.54 (s, 3H); ESIMS *m/z* 213.09 ($[M+H]^+$); IR (thin film) 2239, 1697 cm^{-1}.

3-Nitro-4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (DI58)



The title compound was isolated as pale yellow solid (3.0 g, 60 %): mp 116-118 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.15 (s, 1H), 8.48 (s, 1H), 8.46 (s, 1H), 8.26 (d, *J* = 6.9 Hz, 1H), 8.16 (s, 1H), 7.83 (d, *J* = 6.9 Hz, 1H); ESIMS *m/z* 219.00 ($[M+H]^+$).

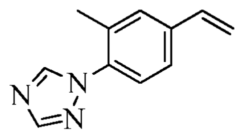
Example 94: Preparation of 1-(4-Vinylphenyl)-1*H*-1,2,4-triazole (DI59)



To a stirred solution of 4-[1,2,4]triazol-1-yl-benzaldehyde (9.0 g, 52 mmol) in 1,4-dioxane (100 mL), were added K_2CO_3 (10.76 g, 78 mmol) and methyl triphenyl phosphonium bromide (22.2 g, 62.4 mmol) at ambient temperature. The resultant reaction mixture was heated to 70 °C for 18 h. After completion of the reaction (TLC), the reaction mixture was cooled to ambient temperature and filtered and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) to afforded the title compound as a white solid (5.6 g, 63%): ESIMS *m/z* 172.09 ($[M+H]^+$).

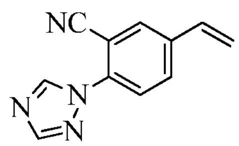
The following compound was made in accordance with the procedures disclosed in **Example 94**.

1-(2-Methyl-4-vinylphenyl)-1*H*-1,2,4-triazole (DI60)



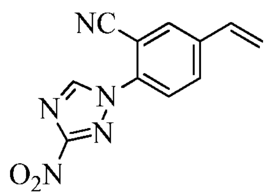
The title compound was isolated as an off white solid (1.5 g, 76%): ^1H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 8.11 (s, 1H), 7.35 (m, 2H), 7.27 (d, *J* = 8.7 Hz, 1H), 6.74 (m, 1H), 5.82 (d, *J* = 17.3 Hz, 1H), 5.36 (d, *J* = 10.0 Hz, 1H), 2.25 (s, 3H); ESIMS *m/z* 186.14 ($[M+H]^+$).

2-(1*H*-1,2,4-Triazol-1-yl)-5-vinylbenzonitrile (DI61)



The title compound was isolated as an off-white solid (1.40 g, 71%): mp 126-129 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.76 (s, 1H), 8.18 (s, 1H), 7.82-7.84 (m, 1H), 7.72-7.80 (m, 2H), 6.70-6.80 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.90-5.95 (d, *J* = 17.6 Hz, 1H), 5.50-5.70 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 197.03 ($[M+H]^+$).

Example 95: Preparation of 2-(3-Nitro-1*H*-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI62)

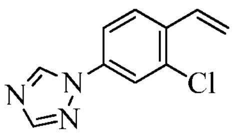


To a stirred solution of 5-formyl-2-(3-nitro-1*H*-1,2,4-triazol-1-yl)benzonitrile (0.36 g, 1.49 mmol) in 1,4-dioxane (25 mL), were added K_2CO_3 (0.3 g, 2.2 mmol) and methyl triphenyl phosphonium bromide (0.63 g, 1.79 mmol). The resultant reaction mixture was heated to 100 °C for 18 h. After completion of the reaction (TLC), the reaction mixture was cooled to ambient temperature and filtered and the obtained filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) to afford the title compound as a solid (0.25 g, 70%): mp 103-105 °C; ^1H

NMR (400 MHz, DMSO-d_6) δ 9.50 (s, 1H), 8.34 (m, 1H), 7.98 (d, *J* = 7.8 Hz, 1H), 7.68 (d, *J* = 7.8 Hz, 1H), 6.87 (m, 1H), 6.20 (d, *J* = 15.7 Hz, 1H), 5.56 (d, *J* = 11.8 Hz, 1H); ESIMS *m/z* 240.27 ($[M-H]^-$); IR (thin film) 2240, 1514, 1312 cm^{-1}.

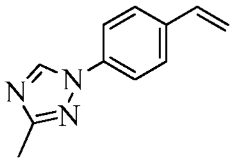
The following compound was made in accordance with the procedures disclosed in **Example 95**.

1-(3-Chloro-4-vinylphenyl)-1*H*-1,2,4-triazole (DI63)



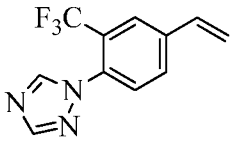
The title compound was isolated as an off-white solid (2.3 g, 80%): mp 134-137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H), 8.11 (s, 1H), 7.76 (s, 1H), 7.70 (d, *J* = 9.0 Hz, 1H), 7.57 (d, *J* = 9.0 Hz, 1H), 7.10 (m, 1H), 5.80 (d, *J* = 17.2 Hz, 1H), 5.47 (d, *J* = 12.4 Hz, 1H); ESIMS *m/z* 206.04 ($[M+H]^+$.

3-Methyl-1-(4-vinylphenyl)-1H-1,2,4-triazole (DI64)



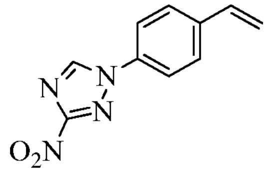
The title compound was isolated as a white solid (0.6 g, 60%): mp 109-111 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.40-7.60 (m, 4H), 6.70-7.00 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.80 (d, *J* = 17.6 Hz, 1H), 5.30 (d, *J* = 17.6 Hz,1H), 2.50 (s, 3H); ESIMS *m/z* 186.20 ($[M+H]^+$).

1-(2-(Trifluoromethyl)-4-vinylphenyl)-1H-1,2,4-triazole (DI65)



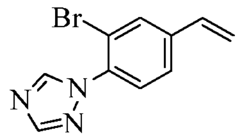
The title compound was isolated as a colorless oil (0.6 g, 60%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 8.14 (s, 1H), 7.84 (s, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.50 (d, *J* = 7.6 Hz, 1H), 6.70-6.90 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.90-6.00 (d, *J* = 17.6 Hz, 1H), 5.50-5.80 (d, *J* = 10.8 Hz 1H); ESIMS *m/z* 240.16 ($[M+H]^+$).

3-Nitro-1-(4-vinylphenyl)-1*H*-1,2,4-triazole (DI66)



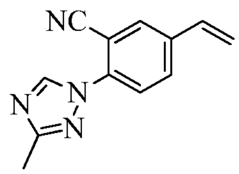
The title compound was isolated as a pale yellow solid (61 mg, 20%): mp 137-139 °C; [1]H NMR (400 MHz, $CDCl_3$) δ 8.60 (s, 1H), 7.68 (d, *J* = 7.7 Hz, 2H),7.60 (d, *J* = 8.3 Hz, 2H), 6.77 (dd, *J* = 17.7, 10.8, 1H), 5.87 (d, *J* = 17.7 Hz, 1H), 5.42 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 217.28 ($[M+H]^+$).

1-(2-Bromo-4-vinylphenyl)-1*H*-1,2,4-triazole (DI67)



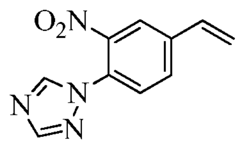
The title compound was isolated as a white solid (1.2 g, 40%): mp 75-77 °C; [1]H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 8.12 (s, 1H), 7.75 (s, 1H) 7.42 (s, 2H), 6.70 (m, 1H), 5.83 (d, *J* = 18 Hz, 1H), 5.42 (d, *J* = 12 Hz, 1H); ESIMS *m/z* 249.1 ($[M]^+$).

2-(3-Methyl-1*H*-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI68)



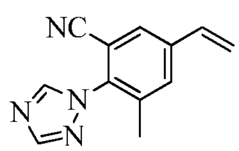
The title compound was isolated as an off-white solid (0.6 g, 60%): mp 96-97 °C; [1]H NMR (400 MHz, $CDCl_3$) δ 8.66 (s, 1H), 7.80 (s, 1H), 7.74 (m, 2H), 6.73 (dd, *J* = 17.6 Hz, 10.8 Hz, 1H), 5.88 (d, *J* = 17.6 Hz, 1H), 5.49 (d, *J* = 10.8 Hz, 1H), 2.52 (s, 3H); ESIMS *m/z* 211.10 ($[M+H]^+$); IR (thin film) 2229 cm^{-1}.

1-(2-Nitro-4-vinylphenyl)-1*H*-1,2,4-triazole (DI69)



The title compound was isolated as a yellow solid (1.78 g, 60%): mp 102-104 °C; [1]H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.12 (s, 1H), 8.02 (s, 1H), 7.72-7.76 (d, *J* = 8.0 Hz, 1H), 7.52-7.56 (d, *J* = 17.6 Hz, 1H), 6.70-6.82 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.85-6.00 (d, *J* = 17.6 Hz, 1H), 5.50-5.60 (d, *J* = 10.8, Hz 1H); ESIMS *m/z* 217.0 ($[M+H]^+$).

Example 96: Preparation of 3-Methyl-2-(1*H*-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI70)



Step 1. 5-Bromo-2-fluoro-3-methylbenzaldehyde: To a stirred solution of di-isopropyl amine (4.01 g, 39.88 mmol) in THF (20 mL) was added *n*-butyl lithium (1.6 M in hexane) (19.9 mL, 31.91 mmol) at -78 °C slowly dropwise over the period of 10 min, the reaction mixture was stirred at -78°C for 30 min. A solution of 4-bromo-1-fluoro-2-methylbenzene (5.0 g, 26.6 mmol) in THF (30.0 mL) was added at -78°C, and the reaction mixture was stirred for 1h at the same temperature. DMF (5.0 mL) was added and stirred at -78°C for another 30 min. The reaction was monitored by TLC; then the reaction mixture was quenched with 1N HCl solution (aq) at 0°C. The aqueous layer was extracted with diethyl ether, washed with water and saturated brine solution. The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to obtain the crude compound purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 5% ethyl acetate/ pet ether) to afford the title compound as a white solid (3.6 g, 64 %); mp 48-50°C: ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.22 (s, 1H), 7.67 (s, 1H), 7.60 (s, 1H), 6.75 (dd, J = 17.6, 10.8 Hz, 1H), 5.92 (dd, J = 17.6, 10.8 Hz, 1H), 5.52 (d, J = 17.6 Hz, 1H), 2.21 (s, 3H); ESIMS *m/z* 211.35 ($[M-H]^-$).

Step 2. ((*E*)-5-Bromo-2-fluoro-3-methylbenzaldehyde oxime: To a stirred solution of 5-bromo-2-fluoro-3-methylbenzaldehyde (3.5 g, 16.2 mmol) in ethanol (50.0 mL) were added sodium acetate (2.0 g, 24.3 mmol) and hydroxylamine hydrochloride (1.69 g, 24.3 mmol) at ambient temperature. The reaction mixture was stirred at ambient temperature for 3 h. The reaction mixture was concentrated on rotavapour to obtain crude compound, which was washed with water filtered and dried under vacuum to afford the title compound as a white solid: mp 126-127 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.73 (d, J = 2.4 Hz, 1H), 7.51 (s, 1H), 7.34 (d, J = 2.4 Hz, 1H), 2.25 (s, 3H); ESIMS *m/z* 232.10 ($[M+H]^+$).

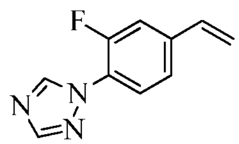
Step 3. 5-Bromo-2-fluoro-3-methylbenzonitrile: A stirred solution of (*E*)-5-bromo-2-fluoro-3-methylbenzaldehyde oxime (0.5 g, 2.2 mmol) in acetic anhydride (5.0 mL) was heated to reflux for 18 h. The reaction mixture was diluted with water and extracted with ethyl acetate. The combined ethyl acetate layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound as a light brown gummy material (0.4 g, crude): ESIMS *m/z* 213.82 ($[M+H]^+$).

Step 4. 5-Bromo-3-methyl-2-(1H-1,2,4-triazol-1-yl)benzonitrile (DI71) : To a stirred solution of 5-bromo-2-fluoro-3-methylbenzonitrile (1.0 g, 47.716 mmol), in DMF (10.0 mL) was added potassium carbonate (1.95 g, 14.14 mmol) followed by 1*H*-1,2,4-triazole (0.811 g, 9.433 mmol) at ambient temperature. The reaction mixture was heated to 140 °C for 18 h. The reaction mixture was cooled to ambient temperature, diluted with water and extracted with ethyl acetate (2 x 100 mL). The combined ethyl acetate layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/ pet ether) to afford the title compound as a pink solid (0.6 g, 49 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 8.23 (s, 1H), 7.91 (d, *J* = 2.4 Hz, 2H), 2.21 (s, 3H), ESIMS *m/z* 262.57 ([M+H]$^+$); IR (thin film) 2231, 554 cm^{-1}.

Step 5. 3-Methyl-2-(1H-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (DI70) : A mixture of 5-bromo-3-methyl-2-(1H-1,2,4-triazol-1-yl)benzonitrile (0.6 g, 2.3 mmol), potassium carbonate (0.95 g, 6.87 mmol), vinyl boronic anhydride (0.82 g, 3.43 mmol) and triphenylphosphine (0.13 g, 0.114 mmol) in toluene (20.0 mL) were stirred and degassed with argon for 30 min. The reaction mixture was heated to reflux for 18 h. The reaction mixture was cooled to ambient temperature, diluted with water and extracted with ethyl acetate (2 x 100 mL). The combined ethyl acetate layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the crude compound that was purified by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% ethyl acetate/ pet ether) to afford the title compound as a pink solid (0.25 g, 52 %): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 8.22 (s, 1H), 7.67 (s, 1H), 7.60 (s, 1H), 6.75 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.92 (d, *J* = 17.6, 1H), 5.52 (d, *J* = 10.8 Hz, 1H), 2.21 (s, 3H), ESIMS *m/z* 211.35 ([M+H]$^+$); IR (thin film) 2236, 1511 cm^{-1}.

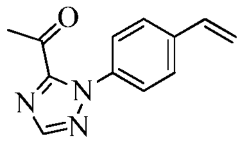
The following compound was made in accordance with the procedures disclosed in Steps 4 and 5 of **Example 96**.

1-(2-Fluoro-4-vinylphenyl)-1H-1,2,4-triazole (DI72)



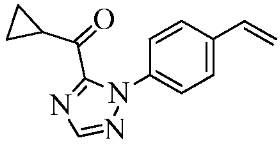
1-(4-Bromo-2-fluorophenyl)-1H-1,2,4-triazole (**DI73**) was isolated as a pale yellow solid (3.0 g, 75%): mp 113-116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 8.13 (m, 2H), 7.50 (m, 1H), 7.21 (m, 1H); ESIMS *m/z* 241.93 ([M]$^+$). The title compound (**DI72**) was isolated as a yellow solid (1.0 g, 71%): mp 67-70 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.13 (s, 1H), 7.94 (m, 1H), 7.41 (m, 1H), 7.24 (s, 1H), 6.75 (dd, *J* = 17.6, 10.8 Hz, 1H), 5.81 (d, *J* = 17.6 Hz, 1H), 5.37 (d, *J* = 10.8 Hz, 1H); ESIMS *m/z* 190.00 ([M+H]$^+$).

Example 119: Preparation of 1-(1-(4-Vinylphenyl)-1*H*-1,2,4-triazol-5-yl)ethanone (DI78)



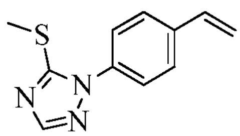
To a stirred solution of 1-(4-vinyl-phenyl)-1*H*-[1,2,4]triazole (1 g, 5.8 mmol) in 25 mL of THF, was added n-BuLi (0.37 g, 5.8 mmol) at -78 °C and stirred for 30 min. To this *N*-methoxy-*N*-methyl acetamide in THF (0.66 g, 6.4 mmol) was added and the resultant reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3 x50 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (280 mg, 23%): mp 97-98 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.50 (d, 2H), 7.38 (d, 2H), 6.68 (dd, 1H), 5.85 (d, 1H), 5.38 (d, 1H), 2.75 (s, 3H); ESIMS *m/z* 214.14 ([M+H]$^+$).

Example 120: Preparation of Cyclopropyl(1-(4-vinylphenyl)-1*H*-1,2,4-triazol-5-yl)methanone (DI79)



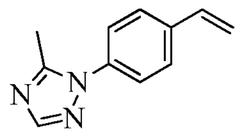
To a stirred solution of 1-(4-vinyl-phenyl)-1*H*-[1,2,4]triazole (1 g, 5.8 mmol) in 25 mL of THF, was added n-BuLi (0.37 g, 5.8 mmol) at -78 °C and stirred for 30 min. To this *N*-methoxy *N*-methylcyclopropoxide in THF (0.82 g, 6.4 mmol) was added and the resultant reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3 x25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (420 mg, 30%): mp 90-91 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.50 (d, J = 7.8 Hz, 2H), 7.38 (d, J = 7.8 Hz, 2H), 6.75 (dd, J = 16.3, 10.7 Hz, 1H), 5.81 (d, J = 16.3 Hz, 1H), 5.35 (d, J = 10.7 Hz, 1H), 3.22 (m, 1H), 1.27(m, 2H), 1.18 (m, 2H); ESIMS *m/z* 240.18 ([M+H]$^+$); IR (thin film) 2922, 1630 cm^{-1}.

Example 121: Preparation of 5-(Methylthio)-1-(4-vinylphenyl)-1*H*-1,2,4-triazole (DI80)



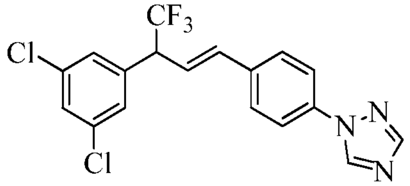
To a stirred solution of 1-(4-vinyl-phenyl)-1*H*-[1,2,4]triazole (1 g, 5.8 mmol) in 50 mL of THF, was added n-BuLi (0.41 g, 6.4 mmol) at -78 °C and stirred for 30 min. To this dimethyldisulfide in THF (0.6 g, 6.43 mmol) was added and the resultant reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3 x 25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure. The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) to afford the title compound as an off white solid (0.6 g, 48%): mp 68-70 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.05 (m, 4H), 6.75 (dd, *J* = 16.4, 10.7 Hz, 1H), 5.81 (d, *J* = 16.4 Hz, 1H), 5.35 (d, *J* = 10.7 Hz, 1H), 2.73 (s, 3H); ESIMS *m/z* 218.09 ($[M+H]^+$).

Example 122: Preparation of 5-Methyl-1-(4-vinylphenyl)-1*H*-1,2,4-triazole (DI81)



To a stirred solution of 1-(4-vinyl-phenyl)-1*H*-[1,2,4]triazole (0.5 g, 2.9 mmol) in 10 mL of THF, was added n-BuLi (0.22 g, 3.5 mmol) at -78 °C and stirred for 30 min. To this methyl iodide in THF (0.50 g, 3.5 mmol) was added and the resultant reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was quenched with a saturated aqueous NH_4Cl solution and extracted with EtOAc (3 x 25 mL). The combined EtOAc layer was washed with brine and dried over sodium sulphate and concentrated under reduced pressure The crude compound was purified by flash chromatography (SiO_2, 100-200 mesh, 40% EtOAc in Pet ether) afford the title compound as a pale brown liquid (250 mg, 46%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.55 (d, *J* = 9 Hz, 2H), 7.42 (d, *J* = 9 Hz, 2H), 6.76 (dd, *J* = 18, 11 Hz, 1H), 5.83 (d, *J* = 18 Hz, 1H), 5.38 (d, *J* = 11 Hz, 1H), 2.55 (s, 3H); ESIMS *m/z* 186.13 ($[M+H]^+$); IR (thin film) 1517, 1386, 1182, 847 cm^{-1}.

Example 97: Preparation of (E)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)phenyl)-1*H*-1,2,4-triazole (DC1)

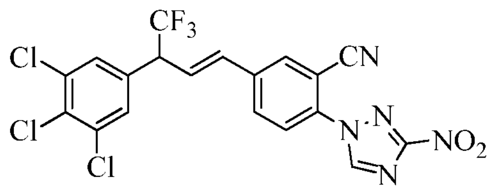


To a stirred solution of 1-(1-bromo-2,2,2-trifluoro-ethyl)-3,5-dichloro-benzene (2.0 g, 6.51 mmol) in 1,2-dichlorobenzene (25 mL), were added 1-(4-vinyl-phenyl)-1*H*-

[1,2,4]triazole (2.22 g, 13.0 mmol), CuCl (64 mg, 0.65 mmol) and 2,2 -bipyridyl (0.2 g, 1.3 mmol). The resultant reaction mixture was degassed with argon for 30 min, then stirred at 180 °C for 24 h. After completion of reaction (TLC), the reaction mixture was cooled to ambient temperature and filtered and the filtrate concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh; 25-30% EtOAc in petroleum ether) afforded the title compound as an off-white solid (0.8 g, 32%): mp 93–97 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.56 (s, 1H), 8.11 (s, 1H), 7.68 (d, J = 8.4 Hz, 2H), 7.54 (d, J = 8.4 Hz, 2H), 7.38 (t, J = 1.8 Hz, 1H), 7.29 (s, 2H), 6.62 (d, J = 15.6 Hz, 1H), 6.42 (dd, J = 15.6, 8.2 Hz, 1H), 4.15 (m, 1H); ESIMS *m/z* 398.05 ($[M+H]^+$).

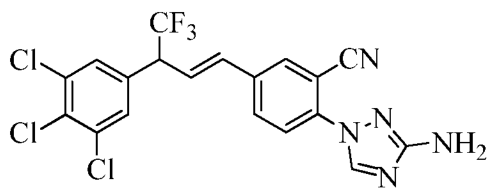
Compounds **DC2-DC37, DC44, DC45, DC47-49, DC50, DC51, DC54, DC58, DC60, DC62,** and **DC63-DC67** in Table 1 were made in accordance with the procedures disclosed in **Example 97**.

Example 98: Preparation of (E)-2-(3-Nitro-1*H*-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzonitrile (DC40)



To a stirred solution of 2-(3-nitro-1*H*-1,2,4-triazol-1-yl)-5-vinylbenzonitrile (0.9 g, 3.7 mmol) in 1,2- dichlorobenzene (10 mL), were added 5-(1-bromo-2,2,2-trifluoroethyl)-1,2,3-trichlorobenzene (2.5 g, 7.5 mmol), CuCl (73 mg, 0.74 mmol) and 2,2-bipyridyl (0.23 g, 1.49 mmol) and the resultant reaction mixture was degassed with argon for 30 min and then stirred at 180°C for 14 h. After completion of the reaction (TLC), the reaction mixture was cooled to ambient temperature and filtered and the filtrate was concentrated under reduced pressure. Purification by flash chromatography (SiO_2, 100-200 mesh, 25-30% EtOAc in Pet ether) afforded the title compound as an off white solid (0.9 g, 50%): mp 70–73 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.86 (s, 1H), 7.88 (m, 3H), 7.44 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.56 (dd, J = 16.0, 7.6 Hz, 1H), 4.19 (m, 1H); ESIMS *m/z* 436.11 ($[M-2H]^-$).

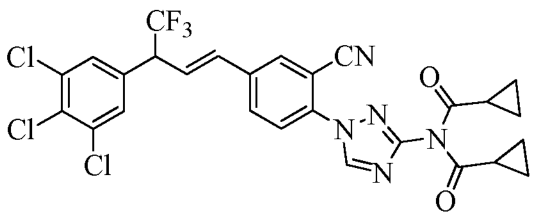
Example 99: Preparation of (E)-2-(3-Amino-1*H*-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzonitrile (DC41)



To a stirred solution of (E)-2-(3-nitro-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzonitrile (0.6 g, 1.2 mmol) in MeOH (10 mL), were added Zn dust (0.39g, 5.98 mmol) and sat. aq NH_4Cl solution (5 mL) and the resultant reaction mixture was stirred at ambient temperature for 2 h. After completion of the reaction (TLC), the reaction mass was concentrated under reduced pressure. The reaction mass was diluted with CH_2Cl_2, filtered through a celite bed, and the obtained filtrate concentrated under reduced pressure to afford the title compound as a solid (0.5 g, 89%): mp 72-75 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.72 (s, 1H), 8.26 (s, 1H), 8.01 (d, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.77 (d, J = 8.4 Hz, 1H), 6.42 (dd, J = 15.6, 9.2 Hz, 1H), 6.83 (d, J = 15.6 Hz, 1H), 5.87 (s, 2H), 4.89 (m, 1H); ESIMS *m/z* 469.95 ([M-H]$^-$).

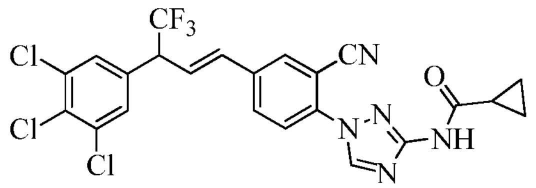
Compound **DC38** in Table 1 was made in accordance with the procedures disclosed in **Example 99**. Also, compound **DC55** in Table 1 was made from compound **DC54** in accordance with the procedures disclosed in **Example 99**, with the exception of using ammonium formate in place of ammonium chloride.

Example 100: Preparation of (E)-*N*-(1-(2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-1H-1,2,4-triazol-3-yl)-N-(cyclopropanecarbonyl)cyclopropanecarboxamide (DC42)



To a stirred solution of (E)-2-(3-amino-1*H*-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzonitrile (0.1 g, 0.21 mmol) in CH_2Cl_2 at ambient temperature, was added cyclopropylcarbonyl chloride (0.045 g, 0.42 mmol) and the reaction mixture was stirred for 2 h at ambient temperature. The reaction mixture was diluted with CH_2Cl_2 and washed with water and brine and dried over Na_2SO_4. Concentration under reduced pressure and purification by preparative HPLC afforded the title compound as a solid (0.09g, 79%): mp 104-107 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.78 (s, 2H), 7.83 (s, 1H), 7.80 (m, 2H), 7.42 (s, 2H) , 6.65 (d, J = 16.4 Hz, 1H), 6.51 (dd, J = 7.6, 8.0 Hz, 1H), 4.17 (m, 1H), 2.16 (m, 2H), 1.25 (m, 4H), 1.00 (m, 4H); ESIMS *m/z* 609.98 ([M+H]$^+$); IR (thin film) 2234, 1714, 1114, 807 cm^{-1}.

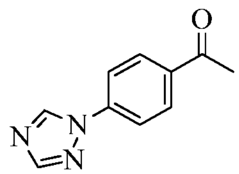
Example 101: Preparation of (E)-*N*-(1-(2-Cyano-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)phenyl)-1*H*-1,2,4-triazol-3-yl)cyclopropanecarboxamide (DC43)



To a stirred solution of (E)-2-(3-amino-1H-1,2,4-triazol-1-yl)-5-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzonitrile (0.15 g,0.31 mmol) in CH_2Cl_2 at 0 °C, were added TEA (0.1 g, 1 mmol) and cyclopropylcarbonyl chloride (0.04 g, 0.38mmol) and the reaction mixture was stirred for 1 h at 0 °C. The reaction mixture was diluted with CH_2Cl_2 and washed with water and brine and dried over Na_2SO_4. Concentration under reduced pressure and purification by column chromatography (SiO_2, 100-200 mesh) afforded the title compound as a solid (66 mg, 34%): mp 109-112 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.94 (br s, 1H), 8.36 (s, 1H), 8.08 (m, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.84 (d, J = 8.4 Hz, 1H), 7.13 (dd, J = 15.6, 9.2 Hz, 1H), 6.87 (d, J = 15.6 Hz, 1H), 4.92 (m, 1H), 1.99 (br s, 1H), 0.82 (s, 4H); ESIMS *m/z* 540.04 ($[M+H]^+$); IR (thin film) 3233, 2233, 1699, 1114, 807cm^{-1}.

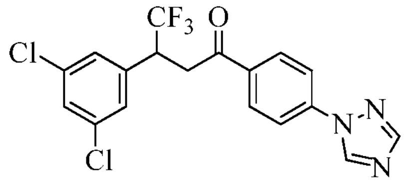
Compound **DC39** in Table 1 was made in accordance with the procedures disclosed in **Example 101**.

Example 102: Preparation of 1-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)ethanone (DI74)



To a stirred solution of 4-bromoacetophenone (10 g, 50 mmol) in DMF (100 mL), were added 1,2,4-triazole (5 g, 75mmol), Cs_2CO_3 (32.6 g, 100.5 mmol) and CuI (1.4 g, 10.1 mmol) and the resultant reaction mixture was refluxed for 48 h. After completion of the reaction (by TLC), the reaction mixture was cooled to ambient temperature and diluted with water (200 mL) and extracted with EtOAc. The combined organic layer was washed with brine and dried over Na_2SO_4 and concentrated under reduced pressure. Purification by washing with diethyl ether afforded the title compound as a solid (5 g, 96%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 8.16, (s, 1H), 8.13 (d, J = 8.6 Hz, 2H), 7.83 (d, J = 8.6 Hz, 2H), 2.66 (s, 3H); ESIMS *m/z* 186.02 ($[M-H]^-$).

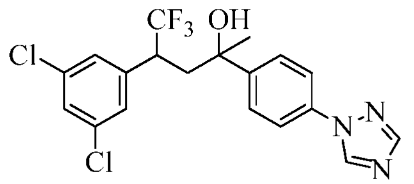
Example 103: Preparation of 1-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (DI75)



Step 1. 1-(4-(1-(Trimethylsilyloxy)vinyl)phenyl)-1H-1,2,4-triazole (DI76) To a stirred solution of 1-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)ethanone (4.5 g, 24.0 mmol) in CH_2Cl_2 at 0 °C, were added TEA (3.7 g, 36.1 mmol) and trimethylsilyl triflluoromethanesulfonate (8 g, 36 mmol) and the resultant reaction mixture was stirred for 1 h. The reaction mixture was quenched with a mixture of sat aq sodium bicarbonate solution and ether. The ether layer and was separated, washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound (5.5 g) which was taken directly to next step.

Step 2. 1-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (DI75): To a stirred solution of 1-(4-(1-(trimethylsilyloxy)vinyl)phenyl)-1*H*-1,2,4-triazole (6g, 23 mmol) and 1-(1-bromo-2,2,2-trifluoro-ethyl)-3,5-dichlorobenzene (7.1 g, 34.7 mmol) in 1,2-dichlorobenzene (30 mL) was degassed with argon. To this CuCl (0.23g, 2.31 mmol) and 2,2-bipyridyl (0.73g, 4.63 mmol) was added to the above reaction mixture and the resultant reaction mixture was heated to 180 °C for 18 h. After completion of the reaction (by TLC), the reaction mixture was absorbed onto silica gel and purified by column chromatography (SiO2; 10% EtOAc in petroleum ether) to afford title compound as a solid (3 g, 31%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.15 (s, 1H), 8.10 (d, *J* = 8.3 Hz, 2H), 7.82 (d, *J* = 8.3 Hz, 2H), 7.33 (m, 1H), 7.30 (m, 2H), 4.20 (m, 1H), 3.63 (m, 2H); ESIMS *m/z* 412. 14 ([M-H]$^-$).

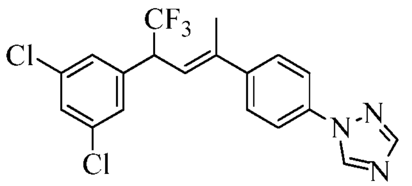
Example 104: Preparation of 2-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-4-(3,5-dichlorophenyl)-5,5,5-trifluoropentan-2-ol (DI77)



To a solution of 1-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-3-(3,5-dichlorophenyl)-4,4,4-trifluorobutan-1-one (300 mg, 0.726 mmol) in THF cooled to 0 °C was added methylmagnesium bromide (450 mg, 5 mmol) drop wise. The reaction was stirred for 3 h at 0

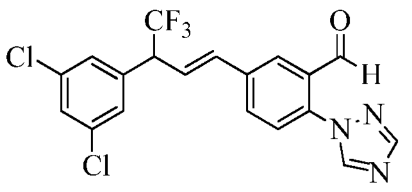
°C, then the reaction mixture was quenched with sat aq NH_4Cl solution and extracted with ethyl acetate. The combined EtOAc layer was washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20%-25% EtOAc in petroleum ether) afforded the title compound as a solid (100 mg, 32%): ^{1}H NMR (400 MHz, $CDCl_3$) δ two diastereoisomers 8.58 (s, 1H, minor), 8.48 (s, 1H, major), 8.13 (s, 1H, minor), 8.09 (s, 1H, major), 7.70 (d, *J* = 9.0 Hz, 2H, minor), 7.53 (d, *J* = 9.0 Hz, 2H, minor), 7.40 (d, *J* = 9.0 Hz, 2H, major), 7.31 (m, 1H, minor), 7.27 (d, *J* = 9.0 Hz, 2H, major), 7.20 (m, 2H, minor), 7.01 (m, 1H, major), 6.75 (m, 2H, major), 350 (m, 1H), 2.50 (m, 2H), 1.56 (s, 3H, major), 1.54 (s, 3H, minor); ESIMS *m/z* 430.05 ($[M+H]^+$).

Example 105: Preparation of (E)-1-(4-(4-(3,5-Dichlorophenyl)-5,5,5-trifluoropent-2-en-2-yl)phenyl)-1H-1,2,4-triazole (DC68)



To a solution of 2-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-4-(3,5-dichlorophenyl)-5,5,5-trifluoropentan-2-ol (100 mg, 0.233 mmol) in toluene was added a catalytic amount of *p*-toluenesulfonic acid (PTSA) and the water was removed by azeotropic distillation over the course of 12 h. The reaction mixture was cooled to ambient temperature and dissolved in ethyl acetate. The solution was washed with sat aq $NaHCO_3$ solution and brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography (SiO_2, 100-200 mesh; 20%-25% EtOAc in petroleum ether) afforded the title compound as a solid (30 mg, 31%).

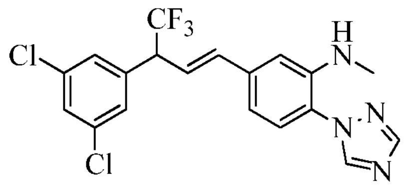
Example 123: Preparation of (*E*)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1H-1,2,4-triazol-1-yl)benzaldehyde (DC52)



To a stirred solution of (*E*)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1*H*-1,2,4-triazol-1-yl)benzonitrile (0.3 g, 0.71 mmol) in toluene (10 mL) at -78 °C was added dropwise diisobutylaluminum hydride (DIBAL-H, 1.0 M solution in toluene; 0.85 mL), and the reaction mixture was stirred at -78 °C for 20 min. The reaction mixture was quenched with the addition of 1 N HCl solution, then the aqueous layer was extracted with EtOAc (2x). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 50% EtOAc/ Pet ether) to afford the title compound as a yellow oil.

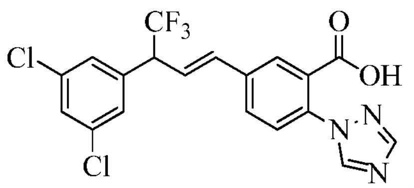
Compound **DC53** in Table 1 was made in accordance with the procedures disclosed in **Example 123**.

Example 124: Preparation of (*E*)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*-methyl-2-(1*H*-1,2,4-triazol-1-yl)aniline (DC57)



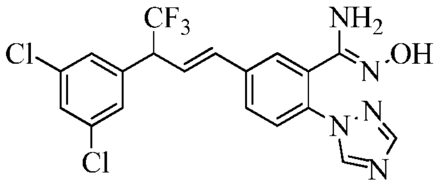
To a stirred solution of (*E*)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1*H*-1,2,4-triazol-1-yl)aniline (0.3 g, 0.7 mmol) in CH_2Cl_2 (10 mL) was added TEA (0.155 mL, 1.09 mmol) and methyl iodide (0.124 g, 0.873 mmol). The reaction was stirred at ambient temperature for 18 h. The CH_2Cl_2 layer was washed with water and brine, dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 50% EtOAc/ Pet ether) to afford the title compound as a yellow semi-solid (0.07 g, 70%).

Example 125: Preparation of (*E*)-5-(3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1*H*-1,2,4-triazol-1-yl)benzoic acid (DC61)



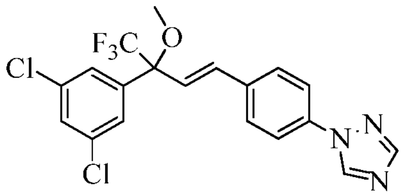
A solution of (*E*)-ethyl 5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1*H*-1,2,4-triazol-1-yl)benzoate (0.2 g, 0.4 mmol) in 6 N HCl (10 mL) was stirred at 100 °C for 18 h. The reaction was cooled to ambient temperature, resulting in a white solid precipitate. The precipitate was filtered to afford the title compound as a white solid (0.12 g, 60%).

Example 126: Preparation of (*Z*)-5-((*E*)-3-(3,5-Dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-*N*'-hydroxy-2-(1H-1,2,4-triazol-1-yl)benzimidamide (DC59)



A solution of (*E*)-5-(3-(3,5-dichlorophenyl)-4,4,4-trifluorobut-1-en-1-yl)-2-(1*H*-1,2,4-triazol-1-yl)benzonitrile (0.3 g, 0.71 mmol), sodium acetate (0.087 g, 1.065 mmol) and hydroxylammonium chloride (0.072 g, 1.065 mmol) in 9:1 ethanol/water mixture (10 mL) was stirred at 70 °C for 8 h. The reaction was cooled to ambient temperature, and the ethanol was evaporated. The residue was dissolved in water and extracted with EtOAc (2x). The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off white solid.

Example 127: Preparation of (*E*)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluoro-3-methoxybut-1-en-1-yl)phenyl)-1*H*-1,2,4-triazole (DC70)

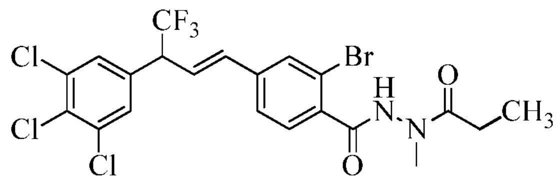


Step 1. (*E*)-3-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-1-(3,5-dichlorophenyl)prop-2-en-1-one: To a solution of 1-(3,5-dichlorophenyl)ethanone (0.5 g, 2.6 mmol) in ethanol (20 mL) was added 4-(1*H*-1,2,4-triazol-1-yl)benzaldehyde (0.46 g, 2.65 mmol) and the reaction was cooled to 0 °C. Sodium hydroxide (0.22 g, 5.29 mmol) in water (10 mL) was then added and the reaction was allowed to stir for 2 h at 0 °C. The reaction was extracted with EtOAc and the combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound (0.149 g, 17%):); ESIMS *m/z* 430.05 ($[M+H]^+$) 344.08

Step 2. (*E*)-4-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-2-(3,5-dichlorophenyl)-1,1,1-trifluorobut-3-en-2-ol (DC69): To a solution of (*E*)-3-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-1-(3,5-dichlorophenyl)prop-2-en-1-one (1 g, 3 mmol) in THF (150 mL) was added trifluoromethyltrimethylsilane (0.517 g, 3.644 mmol) and tetra-*n*-butylammonium fluoride (TBAF) (1.0 M, 1 mL) at 0 °C. The reaction was slowly warmed to ambient temperature and allowed to stir for 2 h. The reaction was then cooled to 0 °C and 5 M HCl solution was added and the reaction was stirred for an additional 4 h at ambient temperature. The reaction was extracted with CH_2Cl_2 and the combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure. The crude compound was purified by flash column chromatography (SiO_2; 25% EtOAc/ hexanes) to afford the title compound as an off-white solid (0.3 g, 25%).

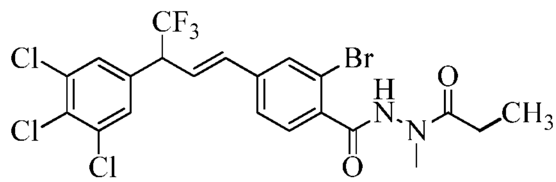
Step 3. (*E*)-1-(4-(3-(3,5-Dichlorophenyl)-4,4,4-trifluoro-3-methoxybut-1-en-1-yl)phenyl)-1*H*-1,2,4-triazole (DC70): To a solution of (*E*)-4-(4-(1*H*-1,2,4-triazol-1-yl)phenyl)-2-(3,5-dichlorophenyl)-1,1,1-trifluorobut-3-en-2-ol (0.15 g, 0.36 mmol) in THF (5 mL) was added NaH (60%, 10 mg, 0.44 mmol) at 0 °C. The reaction was allowed to stir at 0 °C for 30 min, then methyl iodide (61 mg, 0.44 mmol) was added slowly and the reaction was warmed to ambient temperature and allowed to stir for 4 h. The reaction was quenched with aq NH_4Cl solution and extracted with CH_2Cl_2. The combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure to afford the title compound as an off-white solid (55 mg, 35%).

Prophetic Example F11: Preparation of (*E*)-2-Bromo-*N*'-methyl-*N*'-propionyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzohydrazide (F11)



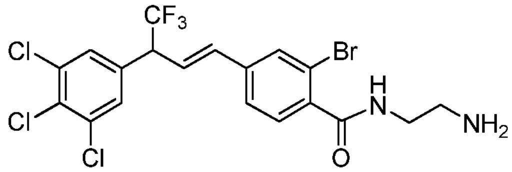
Prophetically, (*E*)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzoic acid can be reacted with *N*-methylpropionohydrazide in the presence of *N*-(3-dimethylaminopropyl)-*N*'-ethyl-carbodiimide hydrochloride (EDC•HCl) and DMAP in 1,2-dichloroethane (DCE) to furnish the title molecule (*Org. Lett.* 2004, *6,* 929-931).

Example 128: Preparation of (*E*)-2-Bromo-*N*'-methyl-*N*'-propionyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)benzohydrazide (F11)



To a stirred solution of (*E*)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl) but-1-enyl) benzoic acid (200 mg, 0.41 mmol) in DCE (15 mL) was added *N*-methylpropionohydrazide (WO 2009110510) (50 mg, 0.49 mmol), DMAP (55 mg, 0.45 mmol), EDC•HCl (60 mg, 0.41 mmol) and DIPEA (0.20 mL, 1.1 mmol). The reaction mixture was stirred at 25 °C for 12 h, - diluted with water and extracted with EtOAc. The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh) eluting with 30% EtOAc in hexane afforded the title compound as an off white solid (86 mg, 34 %).

Example 129: Preparation of (*E*)-*N*-(2-Aminoethyl)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide



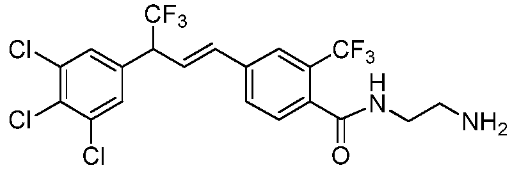
Step 1. (*E*)-*tert*-Butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido)ethylcarbamate: PyBOP (420 mg, 0.82 mmol) and DIPEA (0.410 mL, 2.46 mmol) were added to a stirred solution of (*E*)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (400 mg, 0.82 mmol) and *tert*-butyl 2-aminoethylcarbamate (130 mg, 0.82 mmol) in CH_2Cl_2 (10 mL) and the reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was partitioned between water and CH_2Cl_2 (25 mL). The organic layer was washed with 2N HCl followed by saturated $NaHCO_3$ and brine. The organic layer was dried (Na_2SO_4), filtered, concentrated and the residue was purified by column chromatography on silica (100-200 mesh) eluting with 40% EtOAc in petroleum ether to afford the title compound as a brown solid (200 mg, 39%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.38 (t, J = 5.2 Hz, 1H), 7.91 - 7.89 (m, 3H), 7.58 (d, J = 6.8 Hz, 1H), 7.41 (d, J = 7.6 Hz, 1H), 6.99 (dd, J = 15.6, 9.2 Hz, 1H), 6.84 (t, J = 6.0 Hz, 1H), 6.76 (t, J = 15.6 Hz, 1H), 4.84 - 4.80 (m, 1H), 3.24 - 3.20 (m, 2H), 3.11- 3.08 (m, 2H), 1.30 (s, 9H); ESIMS *m/z* 628.80 ([M+H]$^+$); IR (thin film) 3365, 1701, 1167, 699, 555 cm^{-1}.

Step 2. (*E*)-*N*-(2-Aminoethyl)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamide: TFA (0.5 mL) was added to a stirred solution of (*E*)-*tert*-butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzamido)ethylcarbamate (200 mg, 0.31 mmol) in CH_2Cl_2 (10 mL) at 0 °C and the reaction mixture was then stirred at ambient temperature for 18 h. The volatiles were evaporated under reduced pressure; water was added to the residue and the mixture extracted with CH_2Cl_2. The organic layer was washed with brine, dried (Na_2SO_4), filtered, concentrated and the residue was purified by column chromatography on silica (100-200 mesh) eluting with 1-5% MeOH in CH_2Cl_2 to afford the title compound as a brown solid (50 mg, 31%): ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.56 (bs, 1H), 7.70 (bs, 2H), 7.94 - 7.91 (m, 3H), 7.62 -7.59 (m, 1H), 7.50 (d, J = 7.6 Hz, 1H), 7.00 (dd, J = 15.6, 9.2 Hz, 1H), 6.77 (d,

J = 15.6 Hz, 1H), 4.84 - 4.81 (m, 1H), 3.46- 3.41 (m, 2H), 2.95 - 2.92 (m, 2H); ESIMS *m/z* 528.72 ($[M+H]^+$); IR (thin film) 3435, 1671, 1113, 722, 555 cm^{-1}.

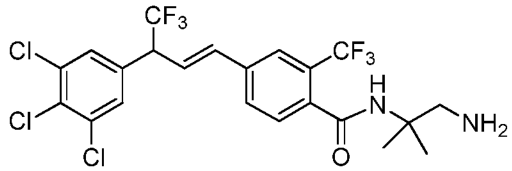
The following compounds were made in accordance with the procedures disclosed in **Step 2** of **Example 129**.

(*E*)-*N*-(2-Aminoethyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide



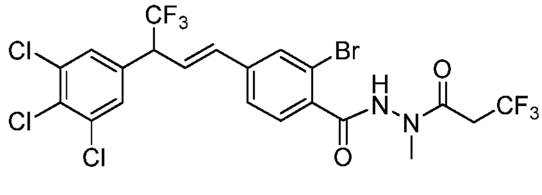
Isolated as a brown semi solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (s, 1H), 7.50 (s, 2H), 7.40 (s, 2H), 6.57 (d, J = 15.9 Hz, 1H), 6.41 (dd, J = 15.9, 7.9 Hz, 1H), 4.10 (p, J = 8.6 Hz, 1H), 3.52 (q, J = 5.2 Hz, 2H), 3.01 – 2.94 (m, 2H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -59.11, -68.61; ESIMS *m/z* 521 ($[M+H]^+$).

(*E*)-*N*-(1-Amino-2-methylpropan-2-yl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide



Isolated as a brown semi solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, J = 1.1 Hz, 1H), 7.56 (d, J = 1.6 Hz, 1H), 7.53 (d, J = 7.9 Hz, 1H), 7.41 (s, 2H), 6.61 (d, J = 15.9 Hz, 1H), 6.41 (dd, J = 15.9, 7.9 Hz, 1H), 6.29 (s, 1H), 4.11 (p, J = 8.6 Hz, 1H), 2.86 (s, 2H), 1.43 (s, 6H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -58.81, -68.61; ESIMS *m/z* 549 ($[M+H]^+$)..

Example 130: (*E*)-2-Bromo-*N'*-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-N'-(3,3,3-trifluoropropanoyl)benzohydrazide



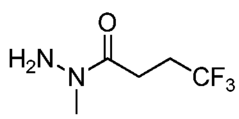
Step 1. (*E*)-*tert*-Butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoyl)-1-methylhydrazinecarboxylate: To a stirred solution of (*E*)-2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoic acid (800 mg, 1.63 mmol) in

DCE (15 mL) was added *tert*-butyl hydrazinecarboxylate (290 mg, 1.96 mmol), DMAP (218 mg, 1.86 mmol), EDC•HCl (279 mg, 1.86 mmol) and DIPEA (0.8 mL, 4.89 mmol). The reaction mixture was stirred at 25 °C for 12 h, then diluted with water and extracted with EtOAc. The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30% hexane:EtOAc) afforded the title compound as a brown gum (800 mg, 72%): ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.5 (bs, 1H), 7.96 (s, 1H), 7.91 (s, 2H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.37 (s, 1H), 7.03 (dd, *J* = 15.3, 8.7 Hz, 1H), 6.78 (d, *J* = 15.9 Hz, 1H), 4.87- 4.81 (m, 1H), 3.08 (s, 3H), 1.43 (s, 9H).

Step 2. (*E*)-2-Bromo-N'-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzohydrazide: HCl (4M in dioxane) was added to a stirred solution of (*E*)-*tert*-butyl 2-(2-bromo-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzoyl)-1-methylhydrazinecarboxylate (1.0 g 1.6 mmol) in 1,4-dioxane (100 mL). The reaction mixture was stirred at ambient temperature for 4 h. The volatiles were evaporated and the residue was triturated with ether to afford the title compound as a light yellow solid (660, 99%): ^{1}H NMR (300 MHz, DMSO-d_6) δ11.8 (s, 1H), 8.02 (s, 1H), 7.91 (s, 2H), 7.68 (d, *J* = 9.3 Hz, 2H), 7.52 (d, *J* = 8.1 Hz, 1H), 7.07 (dd, *J* = 15.6, 9.3 Hz, 1H), 6.78 (d, *J* = 15.3 Hz, 1H), 4.89- 4.83 (m, 1H), 2.81 (s, 3H); ESIMS *m/z* 517.0 ([M+H]$^+$).

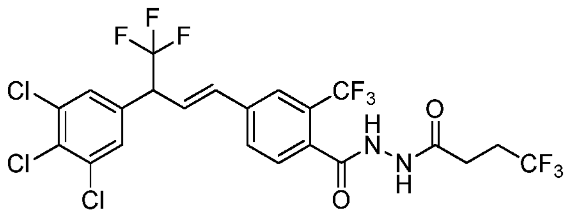
Step 3. (*E*)-2-Bromo-N'-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)-N'-(3,3,3-trifluoropropanoyl)benzohydrazide: To a stirred solution of (*E*)-2-bromo-*N'*-methyl-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-enyl)benzohydrazide (200 mg, 0.46 mmol) in DCE (15 mL), was added 3,3,3-trifluoropropanoic acid (59 mg, 0.38 mmol), DMAP (46 mg, 0.42 mmol), EDC•HCl (65 mg, 0.42 mmol) and DIPEA (0.2 mL, 1.16 mmol). The reaction mixture was stirred at 25 °C for 12 h, then diluted with water and extracted with EtOAc. The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by flash column chromatography (SiO_2, 100-200 mesh; eluting with 30 % hexane:EtOAc) afforded the title compound as a yellow solid (50 mg, 25 %).

Example 131: Preparation of 4,4,4-Trifluoro-*N*-methylbutanehydrazide



To a stirred solution of methylhydrazine (7.81 g, 169 mmol) in CH_2Cl_2 (150 mL) cooled to 0 °C was added over 2 hours 4,4,4-trifluorobutanoyl chloride (2.72 g, 16.94 mmol, 0.3 M solution in CH_2Cl_2) via a syringe pump. After the addition the reaction was stirred at 0 °C for -1 h and then was allowed to warm to ambient temperature. After an additional hour of stirring at ambient temperature, the reaction mixture was poured into a - saturated aqueous solution of Na_2CO_3 (400 mL). The organic layer was -separated and the -aqueous solution was extracted with additional CH_2Cl_2 (1 x 150 mL). The -CH_2Cl_2 layers -were -combined, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a yellow liquid: ^{1}H NMR (400 MHz, $CDCl_3$) major rotomer δ 3.77 (s, 2H), 3.20 (s, 3H), 2.93 - 2.85 (m, 2H), 2.48 - 2.33 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) major rotomer δ 172.81 , 127.22 (q, J = 276.0 Hz), 29.55 (q, J = 29.4 Hz), 25.70 (q, J = 3.0 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) major rotomer δ -66.69.

Example 132: Preparation of (*E*)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-*N′*-(4,4,4-trifluorobutanoyl)-2-(trifluoromethyl)benzohydrazide

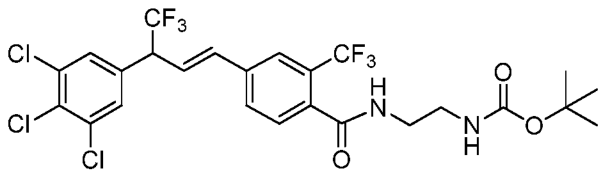


Step 1. (*E*)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl chloride: To (*E*)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoic acid (3.048 g, 6.38 mmol) was added neat thionyl chloride (10 mL, 138 mmol)-and the reaction mixture was stirred at 80 °C for 1.5 h. The reaction mixture was concentrated under reduced pressure to afford the title compound as a red gummy residue: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, J = 8.2 Hz, 1H), 7.83 - 7.75 (m, 1H), 7.70 (dd, J = 8.2, 1.7 Hz, 1H), 7.42 (s, 2H), 6.67 (d, J = 16.0 Hz, 1H), 6.55 (dd, J = 15.9, 7.6 Hz, 1H), 4.16 (p, J = 8.5 Hz, 1H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -59.59, -68.47; ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.62 , 140.39 , 135.01 , 134.03 , 133.68 (q, J = 1.8 Hz), 133.18 (q, J = 1.8 Hz), 132.29 , 132.20 , 129.63 , 129.13 (q, J = 33.4 Hz), 129.09, 126.32 (q, J = 2.4 Hz), 125.67 (q, J = 281.4 Hz), 125.28 (q, J = 5.6 Hz), 122.45 (q, J = 274.1 Hz), 52.38 (q, J = 28.9 Hz).

Step 2. (*E*)-4-(4,4,4-Trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-*N′*-(4,4,4-trifluorobutanoyl)-2-(trifluoromethyl)benzohydrazide: To a stirred solution of (*E*)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzoyl chloride (143 mg, 0.288 mmol) in DCE (3 mL) were added 4,4,4-trifluorobutanehydrazide (45 mg, 0.29 mmol), and 4-methylmorpholine (63.4 µL, 0.577 mmol), and the resultant reaction mixture was stirred at ambient temperature for 18 h. The reaction mixture was diluted with water and extracted with EtOAc (3 x 30 mL). The combined EtOAc layers were washed with aqueous 1M HCl (- 1 x 30 mL), saturated aqueous $NaHCO_3$ (1 x 30 mL), and brine. The resulting organic solution was dried over Na_2SO_4 and concentrated under reduced pressure. Purification by automated flash column chromatography (SiO_2, hexanes and EtOAc) afforded the title compound as a beige solid (78 mg, 42%): ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.23 (s, 1H), 9.85 (s, 1H), 7.70 - 7.62 (m, 1H), 7.55 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.41 (s, 2H), 6.59 (d, *J* = 15.9 Hz, 1H), 6.44 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.19 - 4.05 (m, 1H), 2.51 (dd, *J* = 9.0, 6.6 Hz, 2H), 2.37 - 2.18 (m, 2H); ^{19}F NMR (376 MHz, CDC13) δ -59.46, -67.07, -68.59; ESIMS *m/z* 615 ($[M-H]^-$).

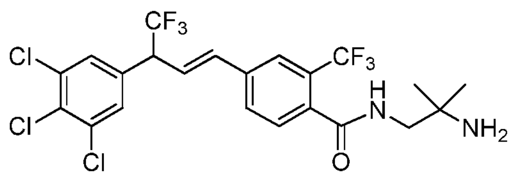
The following compounds were made in accordance with the procedures disclosed in **Step 2** of **Example 132**.

(*E*)-*tert*-Butyl (2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)ethyl)carbamate



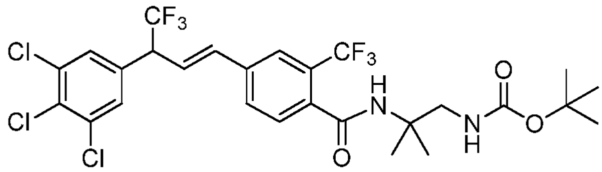
Isolated as a brown semi solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 1.6 Hz, 1H), 7.61 – 7.48 (m, 2H), 7.42 (s, 2H), 6.62 (d, *J* = 15.9 Hz, 1H), 6.52 (s, 1H), 6.43 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.90 (s, 1H), 4.12 (p, *J* = 8.7 Hz, 1H), 3.56 (q, *J* = 5.5 Hz, 2H), 3.38 (q, *J* = 6.1 Hz, 2H), 1.42 (s, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -59.11, -68.58; ESIMS *m/z* 619 ($[M+H]^+$).

(*E*)-*N*-(2-Amino-2-methylpropyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide



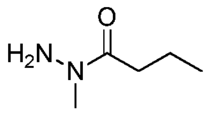
Isolated as a dark green solid: mp 55-65 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (s, 1H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.42 (s, 2H), 6.61 (d, *J* = 15.9 Hz, 1H), 6.42 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.12 (p, *J* = 8.6 Hz, 1H), 3.33 (d, *J* = 5.7 Hz, 2H), 2.05 (s, 1H), 1.17 (s, 6H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -59.02, -68.60; ESIMS *m/z* 548 ($[M+H]^+$).

(*E*)-*tert*-Butyl (2-methyl-2-(4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamido)propyl)carbamate



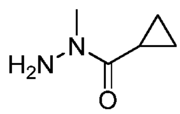
Isolated as a brown semi solid: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.61 (m, 1H), 7.57 – 7.49 (m, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.41 (s, 2H), 6.69 (s, 1H), 6.61 (d, *J* = 15.9 Hz, 1H), 6.41 (dd, *J* = 15.9, 7.8 Hz, 1H), 5.13 (t, *J* = 6.4 Hz, 1H), 4.12 (p, *J* = 8.6 Hz, 1H), 3.29 (d, *J* = 6.7 Hz, 2H), 1.45 (s, 6H), 1.40 (s, 9H); ^{19}F NMR (376 MHz, $CDCl_3$) δ -59.02, rotomers -68.58 & -68.60; ESIMS *m/z* 647 ($[M+H]^+$).

Example 133: Preparation of *N*-Methylbutanehydrazide



To a stirred solution of methylhydrazine (4.48 mL, 85 mmol) in CH_2Cl_2 (57 mL) cooled to 0 °C was added over 2 h butyric anhydride (1.39 mL, 8.5 mmol, 0.3 M solution in CH_2Cl_2) via a syringe pump. After the addition the reaction was stirred at 0 °C for 1 h and then was allowed to stir overnight while slowly warming to ambient temperature. The reaction mixture was poured into a solution of saturated Na_2CO_3 (200 mL). The organic layer was separated, dried over Na_2SO_4, and concentrated under reduced pressure to afford the title compound as a yellow liquid: ^{1}H NMR (400 MHz, $CDCl_3$) major rotomer δ 4.47 (s, 2H), 3.21 (s, 3H), 2.31 (dd, *J* = 8.1, 7.0 Hz, 2H), 1.66 (h, *J* = 7.5 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) major rotomer δ 171.67, 38.48, 34.76, 18.74, 13.90; EIMS *m/z* 116 ($[M]^+$).

Example 134: Preparation of *N*-Methylcyclopropanecarbohydrazide

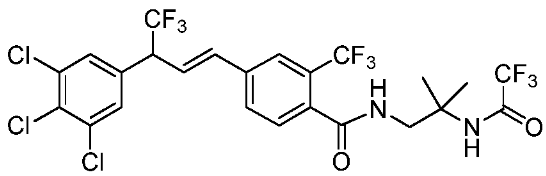


Step 1. Benzyl 2-(cyclopropanecarbonyl)-2-methylhydrazinecarboxylate: Cyclopropanecarboxylic acid (600 mg, 6.97 mmol), DMAP (170 mg, 1.39 mmol) and EDC•HCl (1.19 g, 7.67 mmol) were added in that order to a stirred solution of benzyl 2-methylhydrazinecarboxylate hydrochloride (*JOC*, **2013**, *78*, 3541-3552.) (1.80 g, 8.37 mmol) in DCE (10 mL) and the reaction mixture was stirred at 25 °C for 12 h. Water was added to the reaction mixture and the mixture extracted with EtOAc. The organic layer was washed with brine, dried (Na_2SO_4), filtered, concentrated and the residue was purified by column

chromatography on silica (100-200 mesh) eluting with 30 % hexane in EtOAc to afford the title compound as a colourless gum (1.6 g, 80 %): 1H NMR (300 MHz, DMSO-d_6) δ10.01 (bs, 1H), 7.37 (s, 5 H), 5.18 (s, 2H), 2.97 (s, 3H), 1.98 - 1.89 (m, 1H), 0.70 – 0.68 (m, 4H); ESIMS *m/z* 247.0 ($[M-H]^-$); IR (thin film) 3502, 2928, 1736 cm^{-1}.

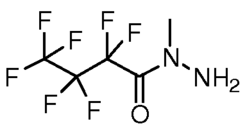
Step 2. *N*-Methylcyclopropanecarbohydrazide: 10 % Pd/C (- 0.16 g) was added to a stirred solution of benzyl 2-(cyclopropanecarbonyl)-2-methylhydrazinecarboxylate (1.6 g, 6.45 mmol) in MeOH (10 mL). The reaction mixture was stirred -under hydrogen - (20 psi) for 3 h. The volatiles were evaporated and the residue was triturated with Et_2O to afford the title compound as a yellow liquid (700 mg, 95 %): ^1H NMR (400 MHz, DMSO-d_6) δ 4.75 (bs, 2H), 3.00 (s, 3H), 2.71-2.68 (m, 1H), 0.70 - 0.62 (m, 4H). ESIMS *m/z* 114.2 ($[M+H]^+$); IR (thin film) 3321, 1633 cm^{-1}.

Example 135: Preparation of (*E*)-*N*-(2-Methyl-2-(2,2,2-trifluoroacetamido)propyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide



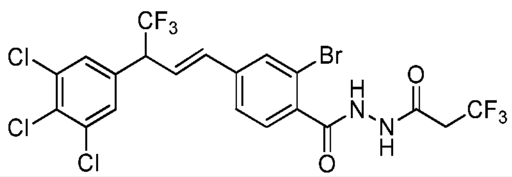
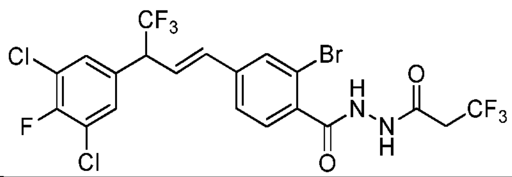
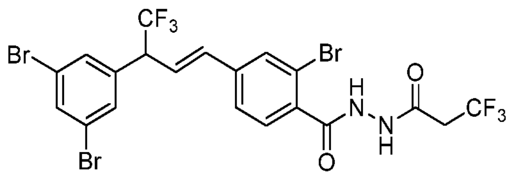
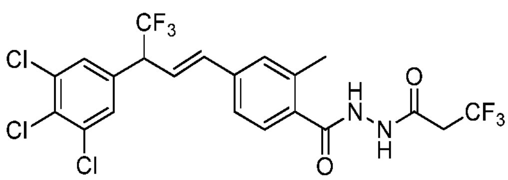
To -a solution of (*E*)-*N*-(2-amino-2-methylpropyl)-4-(4,4,4-trifluoro-3-(3,4,5-trichlorophenyl)but-1-en-1-yl)-2-(trifluoromethyl)benzamide (155 mg, 0.283 mmol), 1-[bis(dimethylamino)methylene]-1*H*-1,2,3-triazolo[4,5-*b*]pyridinium 3-oxid hexafluorophosphate (HATU) (118 mg, 0.311 mmol), and 2,2,2-trifluoroacetic acid (0.026 mL, 0.340 mmol) in DMF (1 mL) was -added *N*-methylmorpholine (0.062 mL, 0.566 mmol). The mixture was stirred at ambient temperature for 18 h, -then partitioned between water and EtOAc. The organic phase was washed with water and -brine, - dried (sodium sulfate) and concentrated -under reduced pressure. Purification by column chromatography eluting with EtOAc in hexanes (10%-100%) - afforded the title compound as a yellow oil (26 mg, 13%).

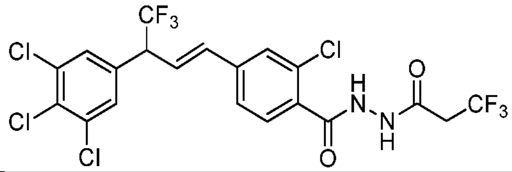
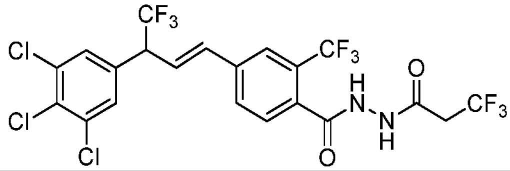
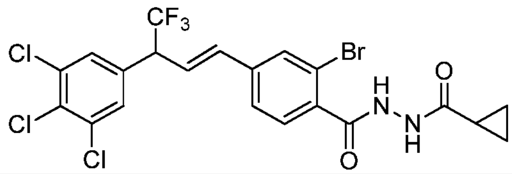
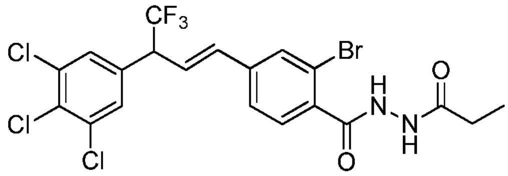
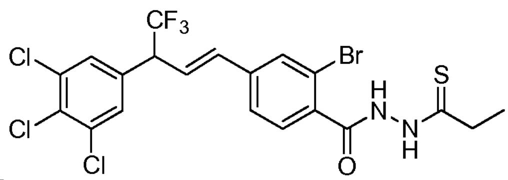
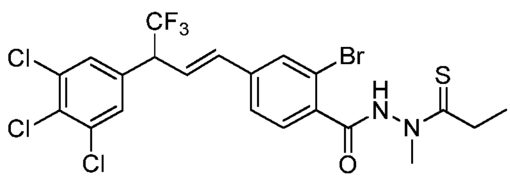
Example 136: Preparation of 2,2,3,3,4,4,4-Heptafluoro-*N*-methylbutanehydrazide

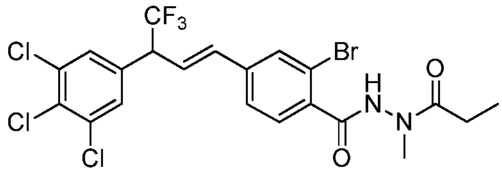
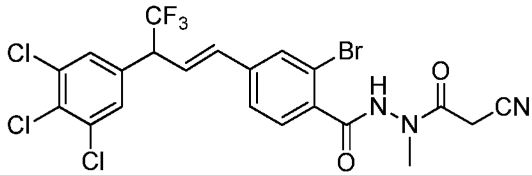
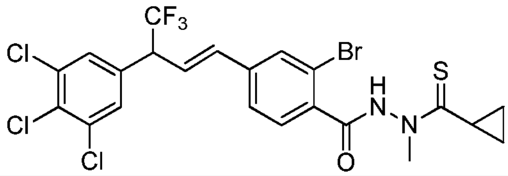
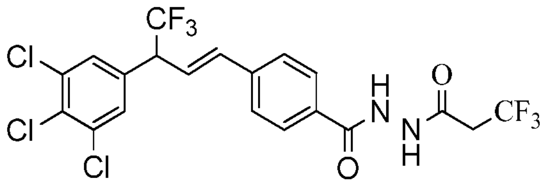
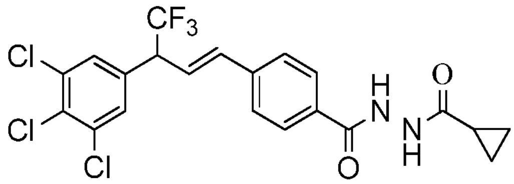
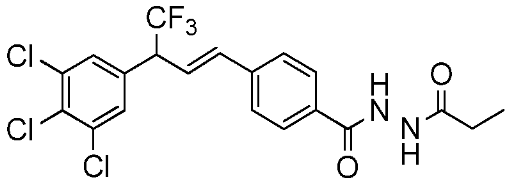


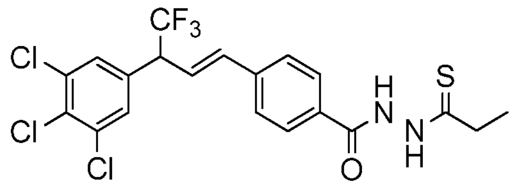
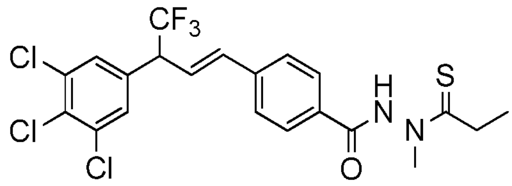
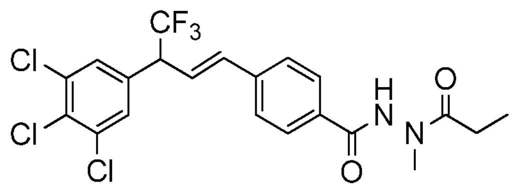
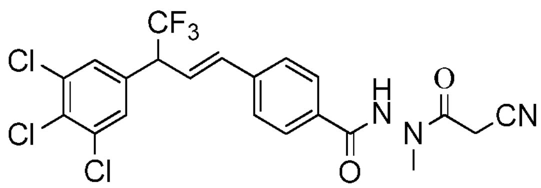
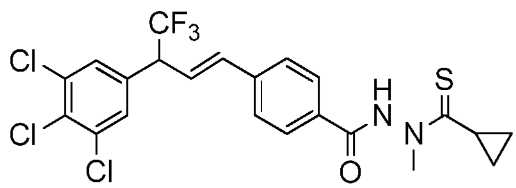
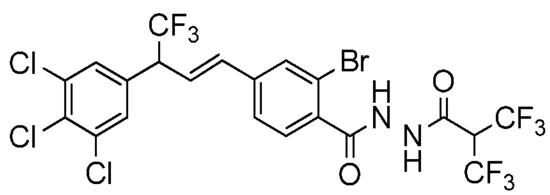
Methylhydrazine (4.5 mL, 85 mmol) in CH_2Cl_2 (57 mL) was cooled -at 0° C. –To this was added a 0.15 M - solution of 2,2,3,3,4,4,4-heptafluorobutanoic anhydride (35 g, 8.5 mmol) in CH_2Cl_2 over 2 h via a syringe pump. After the addition was complete, the reaction was slowly warmed to ambient temperature. After 18 h the mixture was washed a -saturated solution of Na_2CO_3. (225 mL). The organic layer was dried with Na_2SO_4 and concentrated in vacuo to afford the title compound as yellow oil (840 mg, 36%): ^1H NMR (400 MHz, $CDCl_3$) δ 3.93 (s, 2H), 3.29 (t, J = 0.9 Hz, 3H); ^{19}F NMR (376 MHz, $CDCl_3$) major rotomer δ -80.58 (t, J = 9.8 Hz), -112.70 – -112.94 (m), -123.59 – -123.70 (m); EIMS m/z 242 ($[M]^+$).

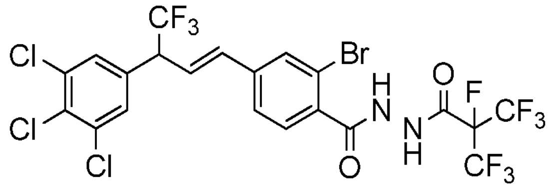
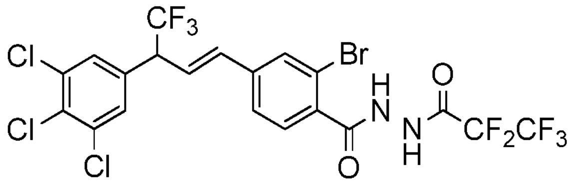
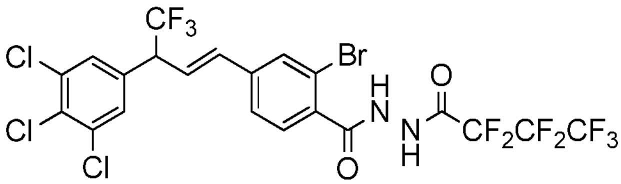
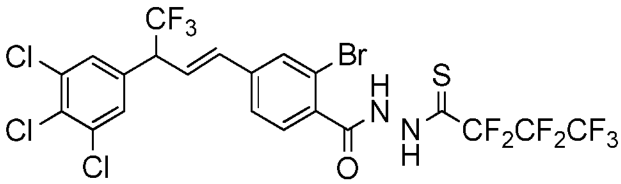
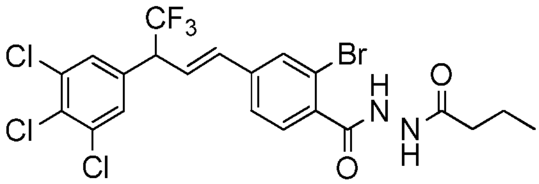
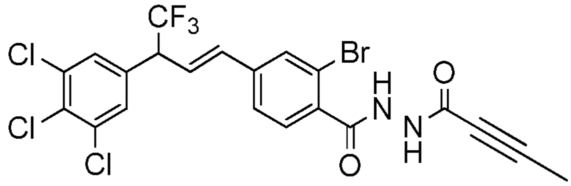
The following prophetic molecules could be made in accordance with the procedures disclosed in Prophetic Example F11:

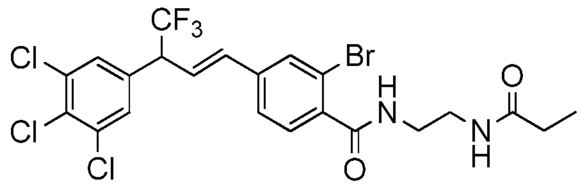
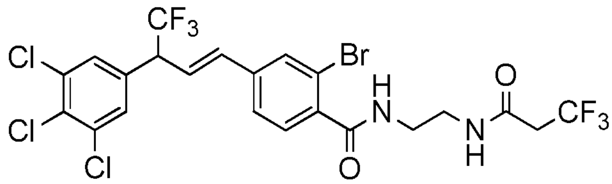
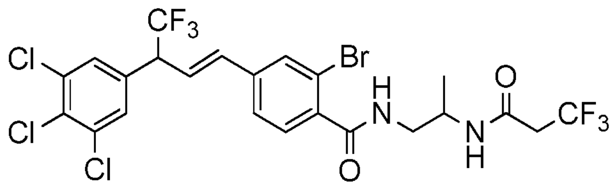
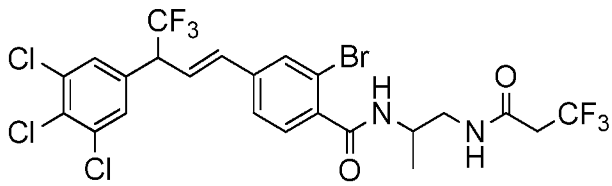
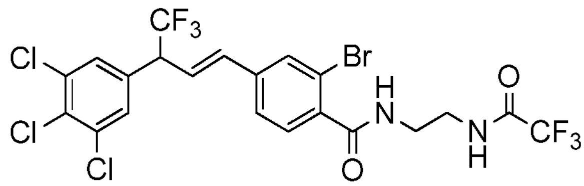
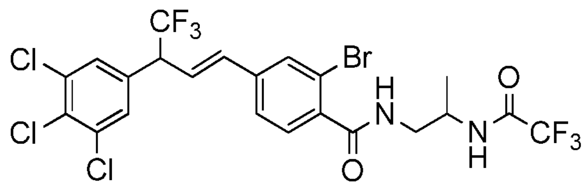
Compound Number	Structure
F1	
F2	
F3	
F4	

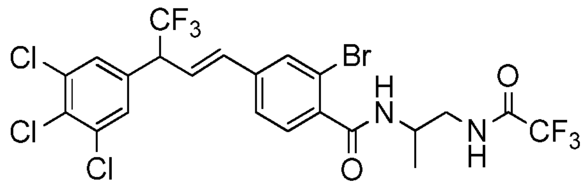
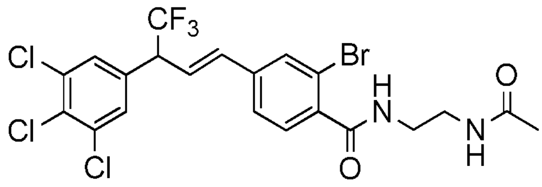
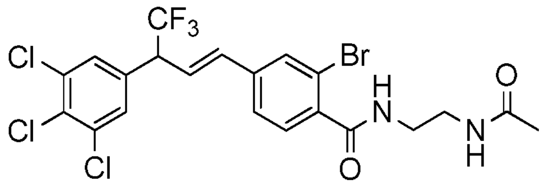
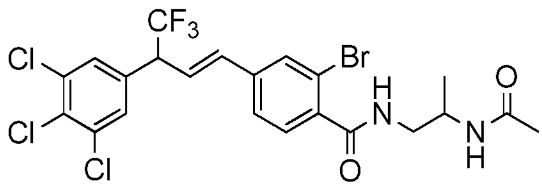
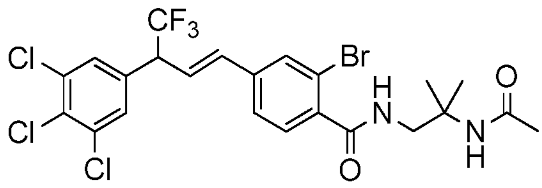
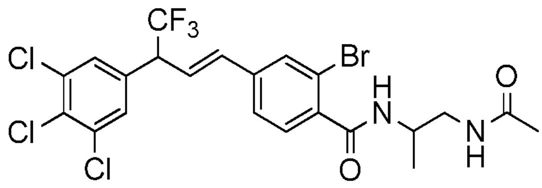
F5	
F6	
F7	
F8	
F9	
F10	

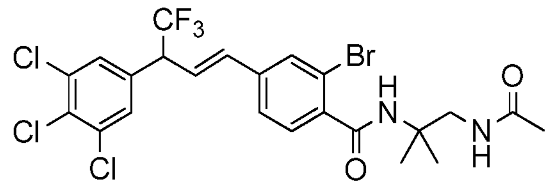
F11	
F12	
F13	
F14	
F15	
F16	

F17	
F18	
F19	
F20	
F21	
F22	

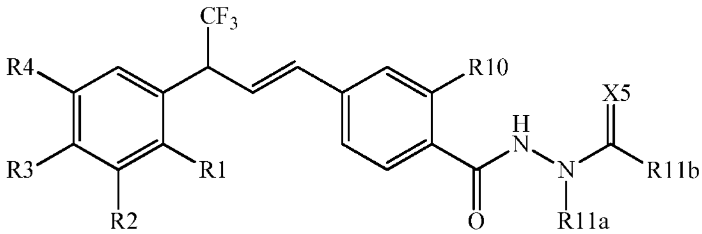
F23	
F24	
F25	
F26	
F27	
F28	

F29	
F30	
F31	
F32	
F33	
F34	

F35	
F36	
F37	
F38	
F39	
F40	

F41	

The following prophetic molecules could be made in accordance with the procedures disclosed in this application:



Compound Number	R1	R2	R3	R4	R10	R11a	X5	R11b
F42	F	F	F	H	Br	H	O	CH_2CF_3
F43	F	F	F	H	Cl	H	O	CH_2CF_3
F44	F	F	F	H	CF_3	H	O	CH_2CF_3
F45	F	F	F	H	CH_3	H	O	CH_2CF_3
F46	F	F	F	H	Br	H	O	Cyclopropyl
F47	F	F	F	H	Cl	H	O	Cyclopropyl
F48	F	F	F	H	CF_3	H	O	Cyclopropyl
F49	F	F	F	H	CH_3	H	O	Cyclopropyl
F50	F	F	F	H	Br	H	O	CH_2CH_3
F51	F	F	F	H	Cl	H	O	CH_2CH_3
F52	F	F	F	H	CF_3	H	O	CH_2CH_3
F53	F	F	F	H	CH_3	H	O	CH_2CH_3
F54	F	F	F	H	Br	H	S	CH_2CH_3
F55	F	F	F	H	Cl	H	S	CH_2CH_3

F56	F	F	F	H	CF_3	H	S	CH_2CH_3
F57	F	F	F	H	CH_3	H	S	CH_2CH_3
F58	F	F	F	H	Br	CH_3	S	CH_2CH_3
F59	F	F	F	H	Cl	CH_3	S	CH_2CH_3
F60	F	F	F	H	CF_3	CH_3	S	CH_2CH_3
F61	F	F	F	H	CH_3	CH_3	S	CH_2CH_3
F62	F	F	F	H	Br	CH_3	O	CH_2CH_3
F63	F	F	F	H	Cl	CH_3	O	CH_2CH_3
F64	F	F	F	H	CF_3	CH_3	O	CH_2CH_3
F65	F	F	F	H	CH_3	CH_3	O	CH_2CH_3
F66	F	F	F	H	Br	CH_3	O	CH_2CN
F67	F	F	F	H	Cl	CH_3	O	CH_2CN
F68	F	F	F	H	CF_3	CH_3	O	CH_2CN
F69	F	F	F	H	CH_3	CH_3	O	CH_2CN
F70	F	F	F	H	Br	CH_3	S	cyclopropyl
F71	F	F	F	H	Cl	CH_3	S	cyclopropyl
F72	F	F	F	H	CF_3	CH_3	S	cyclopropyl
F73	F	F	F	H	CH_3	CH_3	S	cyclopropyl
F74	Cl	Cl	H	Cl	Br	H	O	CH_2CF_3
F75	Cl	Cl	H	Cl	Cl	H	O	CH_2CF_3
F76	Cl	Cl	H	Cl	CF_3	H	O	CH_2CF_3
F77	Cl	Cl	H	Cl	CH_3	H	O	CH_2CF_3
F78	Cl	Cl	H	Cl	Br	H	O	cyclopropyl
F79	Cl	Cl	H	Cl	Cl	H	O	cyclopropyl
F80	Cl	Cl	H	Cl	CF_3	H	O	cyclopropyl
F81	Cl	Cl	H	Cl	CH_3	H	O	cyclopropyl

F82	Cl	Cl	H	Cl	Br	H	O	CH_2CH_3
F83	Cl	Cl	H	Cl	Cl	H	O	CH_2CH_3
F84	Cl	Cl	H	Cl	CF_3	H	O	CH_2CH_3
F85	Cl	Cl	H	Cl	CH_3	H	O	CH_2CH_3
F86	Cl	Cl	H	Cl	Br	H	S	CH_2CH_3
F87	Cl	Cl	H	Cl	Cl	H	S	CH_2CH_3
F88	Cl	Cl	H	Cl	CF_3	H	S	CH_2CH_3
F89	Cl	Cl	H	Cl	CH_3	H	S	CH_2CH_3
F90	Cl	Cl	H	Cl	Br	CH_3	S	CH_2CH_3
F91	Cl	Cl	H	Cl	Cl	CH_3	S	CH_2CH_3
F92	Cl	Cl	H	Cl	CF_3	CH_3	S	CH_2CH_3
F93	Cl	Cl	H	Cl	CH_3	CH_3	S	CH_2CH_3
F94	Cl	Cl	H	Cl	Br	CH_3	O	CH_2CH_3
F95	Cl	Cl	H	Cl	Cl	CH_3	O	CH_2CH_3
F96	Cl	Cl	H	Cl	CF_3	CH_3	O	CH_2CH_3
F97	Cl	Cl	H	Cl	CH_3	CH_3	O	CH_2CH_3
F98	Cl	Cl	H	Cl	Br	CH_3	O	CH_2CN
F99	Cl	Cl	H	Cl	Cl	CH_3	O	CH_2CN
F100	Cl	Cl	H	Cl	CF_3	CH_3	O	CH_2CN
F101	Cl	Cl	H	Cl	CH_3	CH_3	O	CH_2CN
F102	Cl	Cl	H	Cl	Br	CH_3	S	cyclopropyl
F103	Cl	Cl	H	Cl	Cl	CH_3	S	cyclopropyl
F104	Cl	Cl	H	Cl	CF_3	CH_3	S	cyclopropyl
F105	Cl	Cl	H	Cl	CH_3	CH_3	S	cyclopropyl
F106	H	H	H	OCF_3	Br	H	O	CH_2CF_3
F107	H	H	H	OCF_3	Cl	H	O	CH_2CF_3

F108	H	H	H	OCF_3	CF_3	H	O	CH_2CF_3
F109	H	H	H	OCF_3	CH_3	H	O	CH_2CF_3
F110	H	H	H	OCF_3	Br	H	O	cyclopropyl
F111	H	H	H	OCF_3	Cl	H	O	cyclopropyl
F112	H	H	H	OCF_3	CF_3	H	O	cyclopropyl
F113	H	H	H	OCF_3	CH_3	H	O	cyclopropyl
F114	H	H	H	OCF_3	Br	H	O	CH_2CH_3
F115	H	H	H	OCF_3	Cl	H	O	CH_2CH_3
F116	H	H	H	OCF_3	CF_3	H	O	CH_2CH_3
F117	H	H	H	OCF_3	CH_3	H	O	CH_2CH_3
F118	H	H	H	OCF_3	Br	H	S	CH_2CH_3
F119	H	H	H	OCF_3	Cl	H	S	CH_2CH_3
F120	H	H	H	OCF_3	CF_3	H	S	CH_2CH_3
F121	H	H	H	OCF_3	CH_3	H	S	CH_2CH_3
F122	H	H	H	OCF_3	Br	CH_3	S	CH_2CH_3
F123	H	H	H	OCF_3	Cl	CH_3	S	CH_2CH_3
F124	H	H	H	OCF_3	CF_3	CH_3	S	CH_2CH_3
F125	H	H	H	OCF_3	CH_3	CH_3	S	CH_2CH_3
F126	H	H	H	OCF_3	Br	CH_3	O	CH_2CH_3
F127	H	H	H	OCF_3	Cl	CH_3	O	CH_2CH_3
F128	H	H	H	OCF_3	CF_3	CH_3	O	CH_2CH_3
F129	H	H	H	OCF_3	CH_3	CH_3	O	CH_2CH_3
F130	H	H	H	OCF_3	Br	CH_3	O	CH_2CN
F131	H	H	H	OCF_3	Cl	CH_3	O	CH_2CN
F132	H	H	H	OCF_3	CF_3	CH_3	O	CH_2CN
F133	H	H	H	OCF_3	CH_3	CH_3	O	CH_2CN

F134	H	H	H	OCF_3	Br	CH_3	S	cyclopropyl
F135	H	H	H	OCF_3	Cl	CH_3	S	cyclopropyl
F136	H	H	H	OCF_3	CF_3	CH_3	S	cyclopropyl
F137	H	H	H	OCF_3	CH_3	CH_3	S	cyclopropyl
F138	H	F	H	Br	Br	H	O	CH_2CF_3
F139	H	F	H	Br	Cl	H	O	CH_2CF_3
F140	H	F	H	Br	CF_3	H	O	CH_2CF_3
F141	H	F	H	Br	CH_3	H	O	CH_2CF_3
F142	H	F	H	Br	Br	H	O	cyclopropyl
F143	H	F	H	Br	Cl	H	O	cyclopropyl
F144	H	F	H	Br	CF_3	H	O	cyclopropyl
F145	H	F	H	Br	CH_3	H	O	cyclopropyl
F146	H	F	H	Br	Br	H	O	CH_2CH_3
F147	H	F	H	Br	Cl	H	O	CH_2CH_3
F148	H	F	H	Br	CF_3	H	O	CH_2CH_3
F149	H	F	H	Br	CH_3	H	O	CH_2CH_3
F150	H	F	H	Br	Br	H	S	CH_2CH_3
F151	H	F	H	Br	Cl	H	S	CH_2CH_3
F152	H	F	H	Br	CF_3	H	S	CH_2CH_3
F153	H	F	H	Br	CH_3	H	S	CH_2CH_3
F154	H	F	H	Br	Br	CH_3	S	CH_2CH_3
F155	H	F	H	Br	Cl	CH_3	S	CH_2CH_3
F156	H	F	H	Br	CF_3	CH_3	S	CH_2CH_3
F157	H	F	H	Br	CH_3	CH_3	S	CH_2CH_3
F158	H	F	H	Br	Br	CH_3	O	CH_2CH_3
F159	H	F	H	Br	Cl	CH_3	O	CH_2CH_3

F160	H	F	H	Br	CF_3	CH_3	O	CH_2CH_3
F161	H	F	H	Br	CH_3	CH_3	O	CH_2CH_3
F162	H	F	H	Br	Br	CH_3	O	CH_2CN
F163	H	F	H	Br	Cl	CH_3	O	CH_2CN
F164	H	F	H	Br	CF_3	CH_3	O	CH_2CN
F165	H	F	H	Br	CH_3	CH_3	O	CH_2CN
F166	H	F	H	Br	Br	CH_3	S	cyclopropyl
F167	H	F	H	Br	Cl	CH_3	S	cyclopropyl
F168	H	F	H	Br	CF_3	CH_3	S	cyclopropyl
F169	H	F	H	Br	CH_3	CH_3	S	cyclopropyl
F170	H	CH_3	Cl	H	Br	H	O	CH_2CF_3
F171	H	CH_3	Cl	H	Cl	H	O	CH_2CF_3
F172	H	CH_3	Cl	H	CF_3	H	O	CH_2CF_3
F173	H	CH_3	Cl	H	CH_3	H	O	CH_2CF_3
F174	H	CH_3	Cl	H	Br	H	O	cyclopropyl
F175	H	CH_3	Cl	H	Cl	H	O	cyclopropyl
F176	H	CH_3	Cl	H	CF_3	H	O	cyclopropyl
F177	H	CH_3	Cl	H	CH_3	H	O	cyclopropyl
F178	H	CH_3	Cl	H	Br	H	O	CH_2CH_3
F179	H	CH_3	Cl	H	Cl	H	O	CH_2CH_3
F180	H	CH_3	Cl	H	CF_3	H	O	CH_2CH_3
F181	H	CH_3	Cl	H	CH_3	H	O	CH_2CH_3
F182	H	CH_3	Cl	H	Br	H	S	CH_2CH_3
F183	H	CH_3	Cl	H	Cl	H	S	CH_2CH_3
F184	H	CH_3	Cl	H	CF_3	H	S	CH_2CH_3
F185	H	CH_3	Cl	H	CH_3	H	S	CH_2CH_3

F186	H	CH_3	Cl	H	Br	CH_3	S	CH_2CH_3
F187	H	CH_3	Cl	H	Cl	CH_3	S	CH_2CH_3
F188	H	CH_3	Cl	H	CF_3	CH_3	S	CH_2CH_3
F189	H	CH_3	Cl	H	CH_3	CH_3	S	CH_2CH_3
F190	H	CH_3	Cl	H	Br	CH_3	O	CH_2CH_3
F191	H	CH_3	Cl	H	Cl	CH_3	O	CH_2CH_3
F192	H	CH_3	Cl	H	CF_3	CH_3	O	CH_2CH_3
F193	H	CH_3	Cl	H	CH_3	CH_3	O	CH_2CH_3
F194	H	CH_3	Cl	H	Br	CH_3	O	CH_2CN
F195	H	CH_3	Cl	H	Cl	CH_3	O	CH_2CN
F196	H	CH_3	Cl	H	CF_3	CH_3	O	CH_2CN
F197	H	CH_3	Cl	H	CH_3	CH_3	O	CH_2CN
F198	H	CH_3	Cl	H	Br	CH_3	S	cyclopropyl
F199	H	CH_3	Cl	H	Cl	CH_3	S	cyclopropyl
F200	H	CH_3	Cl	H	CF_3	CH_3	S	cyclopropyl
F201	H	CH_3	Cl	H	CH_3	CH_3	S	cyclopropyl
F202	H	Cl	CH_3	H	Br	H	O	CH_2CF_3
F203	H	Cl	CH_3	H	Cl	H	O	CH_2CF_3
F204	H	Cl	CH_3	H	CF_3	H	O	CH_2CF_3
F205	H	Cl	CH_3	H	CH_3	H	O	CH_2CF_3
F206	H	Cl	CH_3	H	Br	H	O	cyclopropyl
F207	H	Cl	CH_3	H	Cl	H	O	cyclopropyl
F208	H	Cl	CH_3	H	CF_3	H	O	cyclopropyl
F209	H	Cl	CH_3	H	CH_3	H	O	cyclopropyl
F210	H	Cl	CH_3	H	Br	H	O	CH_2CH_3
F211	H	Cl	CH_3	H	Cl	H	O	CH_2CH_3

F212	H	Cl	CH_3	H	CF_3	H	O	CH_2CH_3
F213	H	Cl	CH_3	H	CH_3	H	O	CH_2CH_3
F214	H	Cl	CH_3	H	Br	H	S	CH_2CH_3
F215	H	Cl	CH_3	H	Cl	H	S	CH_2CH_3
F216	H	Cl	CH_3	H	CF_3	H	S	CH_2CH_3
F217	H	Cl	CH_3	H	CH_3	H	S	CH_2CH_3
F218	H	Cl	CH_3	H	Br	CH_3	S	CH_2CH_3
F219	H	Cl	CH_3	H	Cl	CH_3	S	CH_2CH_3
F220	H	Cl	CH_3	H	CF_3	CH_3	S	CH_2CH_3
F221	H	Cl	CH_3	H	CH_3	CH_3	S	CH_2CH_3
F222	H	Cl	CH_3	H	Br	CH_3	O	CH_2CH_3
F223	H	Cl	CH_3	H	Cl	CH_3	O	CH_2CH_3
F224	H	Cl	CH_3	H	CF_3	CH_3	O	CH_2CH_3
F225	H	Cl	CH_3	H	CH_3	CH_3	O	CH_2CH_3
F226	H	Cl	CH_3	H	Br	CH_3	O	CH_2CN
F227	H	Cl	CH_3	H	Cl	CH_3	O	CH_2CN
F228	H	Cl	CH_3	H	CF_3	CH_3	O	CH_2CN
F229	H	Cl	CH_3	H	CH_3	CH_3	O	CH_2CN
F230	H	Cl	CH_3	H	Br	CH_3	S	cyclopropyl
F231	H	Cl	CH_3	H	Cl	CH_3	S	cyclopropyl
F232	H	Cl	CH_3	H	CF_3	CH_3	S	cyclopropyl
F233	H	Cl	CH_3	H	CH_3	CH_3	S	cyclopropyl
F234	H	CH_3	F	CH_3	Br	H	O	CH_2CF_3
F235	H	CH_3	F	CH_3	Cl	H	O	CH_2CF_3
F236	H	CH_3	F	CH_3	CF_3	H	O	CH_2CF_3
F237	H	CH_3	F	CH_3	CH_3	H	O	CH_2CF_3

F238	H	CH_3	F	CH_3	Br	H	O	cyclopropyl
F239	H	CH_3	F	CH_3	Cl	H	O	cyclopropyl
F240	H	CH_3	F	CH_3	CF_3	H	O	cyclopropyl
F241	H	CH_3	F	CH_3	CH_3	H	O	cyclopropyl
F242	H	CH_3	F	CH_3	Br	H	O	CH_2CH_3
F243	H	CH_3	F	CH_3	Cl	H	O	CH_2CH_3
F244	H	CH_3	F	CH_3	CF_3	H	O	CH_2CH_3
F245	H	CH_3	F	CH_3	CH_3	H	O	CH_2CH_3
F246	H	CH_3	F	CH_3	Br	H	S	CH_2CH_3
F247	H	CH_3	F	CH_3	Cl	H	S	CH_2CH_3
F248	H	CH_3	F	CH_3	CF_3	H	S	CH_2CH_3
F249	H	CH_3	F	CH_3	CH_3	H	S	CH_2CH_3
F250	H	CH_3	F	CH_3	Br	CH_3	S	CH_2CH_3
F251	H	CH_3	F	CH_3	Cl	CH_3	S	CH_2CH_3
F252	H	CH_3	F	CH_3	CF_3	CH_3	S	CH_2CH_3
F253	H	CH_3	F	CH_3	CH_3	CH_3	S	CH_2CH_3
F254	H	CH_3	F	CH_3	Br	CH_3	O	CH_2CH_3
F255	H	CH_3	F	CH_3	Cl	CH_3	O	CH_2CH_3
F256	H	CH_3	F	CH_3	CF_3	CH_3	O	CH_2CH_3
F257	H	CH_3	F	CH_3	CH_3	CH_3	O	CH_2CH_3
F258	H	CH_3	F	CH_3	Br	CH_3	O	CH_2CN
F259	H	CH_3	F	CH_3	Cl	CH_3	O	CH_2CN
F260	H	CH_3	F	CH_3	CF_3	CH_3	O	CH_2CN
F261	H	CH_3	F	CH_3	CH_3	CH_3	O	CH_2CN
F262	H	CH_3	F	CH_3	Br	CH_3	S	cyclopropyl
F263	H	CH_3	F	CH_3	Cl	CH_3	S	cyclopropyl

F264	H	CH_3	F	CH_3	CF_3	CH_3	S	cyclopropyl
F265	H	CH_3	F	CH_3	CH_3	CH_3	S	cyclopropyl
F266	H	Cl	H	Br	Br	H	O	CH_2CF_3
F267	H	Cl	H	Br	Cl	H	O	CH_2CF_3
F268	H	Cl	H	Br	CF_3	H	O	CH_2CF_3
F269	H	Cl	H	Br	CH_3	H	O	CH_2CF_3
F270	H	Cl	H	Br	Br	H	O	cyclopropyl
F271	H	Cl	H	Br	Cl	H	O	cyclopropyl
F272	H	Cl	H	Br	CF_3	H	O	cyclopropyl
F273	H	Cl	H	Br	CH_3	H	O	cyclopropyl
F274	H	Cl	H	Br	Br	H	O	CH_2CH_3
F275	H	Cl	H	Br	Cl	H	O	CH_2CH_3
F276	H	Cl	H	Br	CF_3	H	O	CH_2CH_3
F277	H	Cl	H	Br	CH_3	H	O	CH_2CH_3
F278	H	Cl	H	Br	Br	H	S	CH_2CH_3
F279	H	Cl	H	Br	Cl	H	S	CH_2CH_3
F280	H	Cl	H	Br	CF_3	H	S	CH_2CH_3
F281	H	Cl	H	Br	CH_3	H	S	CH_2CH_3
F282	H	Cl	H	Br	Br	CH_3	S	CH_2CH_3
F283	H	Cl	H	Br	Cl	CH_3	S	CH_2CH_3
F284	H	Cl	H	Br	CF_3	CH_3	S	CH_2CH_3
F285	H	Cl	H	Br	CH_3	CH_3	S	CH_2CH_3
F286	H	Cl	H	Br	Br	CH_3	O	CH_2CH_3
F287	H	Cl	H	Br	Cl	CH_3	O	CH_2CH_3
F288	H	Cl	H	Br	CF_3	CH_3	O	CH_2CH_3
F289	H	Cl	H	Br	CH_3	CH_3	O	CH_2CH_3

F290	H	Cl	H	Br	Br	CH_3	O	CH_2CN
F291	H	Cl	H	Br	Cl	CH_3	O	CH_2CN
F292	H	Cl	H	Br	CF_3	CH_3	O	CH_2CN
F293	H	Cl	H	Br	CH_3	CH_3	O	CH_2CN
F294	H	Cl	H	Br	Br	CH_3	S	cyclopropyl
F295	H	Cl	H	Br	Cl	CH_3	S	cyclopropyl
F296	H	Cl	H	Br	CF_3	CH_3	S	cyclopropyl
F297	H	Cl	H	Br	CH_3	CH_3	S	cyclopropyl
F298	H	H	Br	Br	Br	H	O	CH_2CF_3
F299	H	H	Br	Br	Cl	H	O	CH_2CF_3
F300	H	H	Br	Br	CF_3	H	O	CH_2CF_3
F301	H	H	Br	Br	CH_3	H	O	CH_2CF_3
F302	H	H	Br	Br	Br	H	O	cyclopropyl
F303	H	H	Br	Br	Cl	H	O	cyclopropyl
F304	H	H	Br	Br	CF_3	H	O	cyclopropyl
F305	H	H	Br	Br	CH_3	H	O	cyclopropyl
F306	H	H	Br	Br	Br	H	O	CH_2CH_3
F307	H	H	Br	Br	Cl	H	O	CH_2CH_3
F308	H	H	Br	Br	CF_3	H	O	CH_2CH_3
F309	H	H	Br	Br	CH_3	H	O	CH_2CH_3
F310	H	H	Br	Br	Br	H	S	CH_2CH_3
F311	H	H	Br	Br	Cl	H	S	CH_2CH_3
F312	H	H	Br	Br	CF_3	H	S	CH_2CH_3
F313	H	H	Br	Br	CH_3	H	S	CH_2CH_3
F314	H	H	Br	Br	Br	CH_3	S	CH_2CH_3
F315	H	H	Br	Br	Cl	CH_3	S	CH_2CH_3

F316	H	H	Br	Br	CF_3	CH_3	S	CH_2CH_3
F317	H	H	Br	Br	CH_3	CH_3	S	CH_2CH_3
F318	H	H	Br	Br	Br	CH_3	O	CH_2CH_3
F319	H	H	Br	Br	Cl	CH_3	O	CH_2CH_3
F320	H	H	Br	Br	CF_3	CH_3	O	CH_2CH_3
F321	H	H	Br	Br	CH_3	CH_3	O	CH_2CH_3
F322	H	H	Br	Br	Br	CH_3	O	CH_2CN
F323	H	H	Br	Br	Cl	CH_3	O	CH_2CN
F324	H	H	Br	Br	CF_3	CH_3	O	CH_2CN
F325	H	H	Br	Br	CH_3	CH_3	O	CH_2CN
F326	H	H	Br	Br	Br	CH_3	S	cyclopropyl
F327	H	H	Br	Br	Cl	CH_3	S	cyclopropyl
F328	H	H	Br	Br	CF_3	CH_3	S	cyclopropyl
F329	H	H	Br	Br	CH_3	CH_3	S	cyclopropyl
F330	H	H	Cl	NO_2	Br	H	O	CH_2CF_3
F331	H	H	Cl	NO_2	Cl	H	O	CH_2CF_3
F332	H	H	Cl	NO_2	CF_3	H	O	CH_2CF_3
F333	H	H	Cl	NO_2	CH_3	H	O	CH_2CF_3
F334	H	H	Cl	NO_2	Br	H	O	cyclopropyl
F335	H	H	Cl	NO_2	Cl	H	O	cyclopropyl
F336	H	H	Cl	NO_2	CF_3	H	O	cyclopropyl
F337	H	H	Cl	NO_2	CH_3	H	O	cyclopropyl
F338	H	H	Cl	NO_2	Br	H	O	CH_2CH_3
F339	H	H	Cl	NO_2	Cl	H	O	CH_2CH_3
F340	H	H	Cl	NO_2	CF_3	H	O	CH_2CH_3
F341	H	H	Cl	NO_2	CH_3	H	O	CH_2CH_3

F342	H	H	Cl	NO_2	Br	H	S	CH_2CH_3
F343	H	H	Cl	NO_2	Cl	H	S	CH_2CH_3
F344	H	H	Cl	NO_2	CF_3	H	S	CH_2CH_3
F345	H	H	Cl	NO_2	CH_3	H	S	CH_2CH_3
F346	H	H	Cl	NO_2	Br	CH_3	S	CH_2CH_3
F347	H	H	Cl	NO_2	Cl	CH_3	S	CH_2CH_3
F348	H	H	Cl	NO_2	CF_3	CH_3	S	CH_2CH_3
F349	H	H	Cl	NO_2	CH_3	CH_3	S	CH_2CH_3
F350	H	H	Cl	NO_2	Br	CH_3	O	CH_2CH_3
F351	H	H	Cl	NO_2	Cl	CH_3	O	CH_2CH_3
F352	H	H	Cl	NO_2	CF_3	CH_3	O	CH_2CH_3
F353	H	H	Cl	NO_2	CH_3	CH_3	O	CH_2CH_3
F354	H	H	Cl	NO_2	Br	CH_3	O	CH_2CN
F355	H	H	Cl	NO_2	Cl	CH_3	O	CH_2CN
F356	H	H	Cl	NO_2	CF_3	CH_3	O	CH_2CN
F357	H	H	Cl	NO_2	CH_3	CH_3	O	CH_2CN
F358	H	H	Cl	NO_2	Br	CH_3	S	cyclopropyl
F359	H	H	Cl	NO_2	Cl	CH_3	S	cyclopropyl
F360	H	H	Cl	NO_2	CF_3	CH_3	S	cyclopropyl
F361	H	H	Cl	NO_2	CH_3	CH_3	S	cyclopropyl
F362	H	H	F	CN	Br	H	O	CH_2CF_3
F363	H	H	F	CN	Cl	H	O	CH_2CF_3
F364	H	H	F	CN	CF_3	H	O	CH_2CF_3
F365	H	H	F	CN	CH_3	H	O	CH_2CF_3
F366	H	H	F	CN	Br	H	O	cyclopropyl
F367	H	H	F	CN	Cl	H	O	cyclopropyl

F368	H	H	F	CN	CF_3	H	O	cyclopropyl
F369	H	H	F	CN	CH_3	H	O	cyclopropyl
F370	H	H	F	CN	Br	H	O	CH_2CH_3
F371	H	H	F	CN	Cl	H	O	CH_2CH_3
F372	H	H	F	CN	CF_3	H	O	CH_2CH_3
F373	H	H	F	CN	CH_3	H	O	CH_2CH_3
F374	H	H	F	CN	Br	H	S	CH_2CH_3
F375	H	H	F	CN	Cl	H	S	CH_2CH_3
F376	H	H	F	CN	CF_3	H	S	CH_2CH_3
F377	H	H	F	CN	CH_3	H	S	CH_2CH_3
F378	H	H	F	CN	Br	CH_3	S	CH_2CH_3
F379	H	H	F	CN	Cl	CH_3	S	CH_2CH_3
F380	H	H	F	CN	CF_3	CH_3	S	CH_2CH_3
F381	H	H	F	CN	CH_3	CH_3	S	CH_2CH_3
F382	H	H	F	CN	Br	CH_3	O	CH_2CH_3
F383	H	H	F	CN	Cl	CH_3	O	CH_2CH_3
F384	H	H	F	CN	CF_3	CH_3	O	CH_2CH_3
F385	H	H	F	CN	CH_3	CH_3	O	CH_2CH_3
F386	H	H	F	CN	Br	CH_3	O	CH_2CN
F387	H	H	F	CN	Cl	CH_3	O	CH_2CN
F388	H	H	F	CN	CF_3	CH_3	O	CH_2CN
F389	H	H	F	CN	CH_3	CH_3	O	CH_2CN
F390	H	H	F	CN	Br	CH_3	S	cyclopropyl
F391	H	H	F	CN	Cl	CH_3	S	cyclopropyl
F392	H	H	F	CN	CF_3	CH_3	S	cyclopropyl
F393	H	H	F	CN	CH_3	CH_3	S	cyclopropyl

F394	H	Cl	OCF_3	Cl	Br	H	O	CH_2CF_3
F395	H	Cl	OCF_3	Cl	Cl	H	O	CH_2CF_3
F396	H	Cl	OCF_3	Cl	CF_3	H	O	CH_2CF_3
F397	H	Cl	OCF_3	Cl	CH_3	H	O	CH_2CF_3
F398	H	Cl	OCF_3	Cl	Br	H	O	cyclopropyl
F399	H	Cl	OCF_3	Cl	Cl	H	O	cyclopropyl
F400	H	Cl	OCF_3	Cl	CF_3	H	O	cyclopropyl
F401	H	Cl	OCF_3	Cl	CH_3	H	O	cyclopropyl
F402	H	Cl	OCF_3	Cl	Br	H	O	CH_2CH_3
F403	H	Cl	OCF_3	Cl	Cl	H	O	CH_2CH_3
F404	H	Cl	OCF_3	Cl	CF_3	H	O	CH_2CH_3
F405	H	Cl	OCF_3	Cl	CH_3	H	O	CH_2CH_3
F406	H	Cl	OCF_3	Cl	Br	H	S	CH_2CH_3
F407	H	Cl	OCF_3	Cl	Cl	H	S	CH_2CH_3
F408	H	Cl	OCF_3	Cl	CF_3	H	S	CH_2CH_3
F409	H	Cl	OCF_3	Cl	CH_3	H	S	CH_2CH_3
F410	H	Cl	OCF_3	Cl	Br	CH_3	S	CH_2CH_3
F411	H	Cl	OCF_3	Cl	Cl	CH_3	S	CH_2CH_3
F412	H	Cl	OCF_3	Cl	CF_3	CH_3	S	CH_2CH_3
F413	H	Cl	OCF_3	Cl	CH_3	CH_3	S	CH_2CH_3
F414	H	Cl	OCF_3	Cl	Br	CH_3	O	CH_2CH_3
F415	H	Cl	OCF_3	Cl	Cl	CH_3	O	CH_2CH_3
F416	H	Cl	OCF_3	Cl	CF_3	CH_3	O	CH_2CH_3
F417	H	Cl	OCF_3	Cl	CH_3	CH_3	O	CH_2CH_3
F418	H	Cl	OCF_3	Cl	Br	CH_3	O	CH_2CN
F419	H	Cl	OCF_3	Cl	Cl	CH_3	O	CH_2CN

F420	H	Cl	OCF_3	Cl	CF_3	CH_3	O	CH_2CN
F421	H	Cl	OCF_3	Cl	CH_3	CH_3	O	CH_2CN
F422	H	Cl	OCF_3	Cl	Br	CH_3	S	cyclopropyl
F423	H	Cl	OCF_3	Cl	Cl	CH_3	S	cyclopropyl
F424	H	Cl	OCF_3	Cl	CF_3	CH_3	S	cyclopropyl
F425	H	Cl	OCF_3	Cl	CH_3	CH_3	S	cyclopropyl
F426	H	Cl	CN	Cl	Br	H	O	CH_2CF_3
F427	H	Cl	CN	Cl	Cl	H	O	CH_2CF_3
F428	H	Cl	CN	Cl	CF_3	H	O	CH_2CF_3
F429	H	Cl	CN	Cl	CH_3	H	O	CH_2CF_3
F430	H	Cl	CN	Cl	Br	H	O	cyclopropyl
F431	H	Cl	CN	Cl	Cl	H	O	cyclopropyl
F432	H	Cl	CN	Cl	CF_3	H	O	cyclopropyl
F433	H	Cl	CN	Cl	CH_3	H	O	cyclopropyl
F434	H	Cl	CN	Cl	Br	H	O	CH_2CH_3
F435	H	Cl	CN	Cl	Cl	H	O	CH_2CH_3
F436	H	Cl	CN	Cl	CF_3	H	O	CH_2CH_3
F437	H	Cl	CN	Cl	CH_3	H	O	CH_2CH_3
F438	H	Cl	CN	Cl	Br	H	S	CH_2CH_3
F439	H	Cl	CN	Cl	Cl	H	S	CH_2CH_3
F440	H	Cl	CN	Cl	CF_3	H	S	CH_2CH_3
F441	H	Cl	CN	Cl	CH_3	H	S	CH_2CH_3
F442	H	Cl	CN	Cl	Br	CH_3	S	CH_2CH_3
F443	H	Cl	CN	Cl	Cl	CH_3	S	CH_2CH_3
F444	H	Cl	CN	Cl	CF_3	CH_3	S	CH_2CH_3
F445	H	Cl	CN	Cl	CH_3	CH_3	S	CH_2CH_3

F446	H	Cl	CN	Cl	Br	CH_3	O	CH_2CH_3
F447	H	Cl	CN	Cl	Cl	CH_3	O	CH_2CH_3
F448	H	Cl	CN	Cl	CF_3	CH_3	O	CH_2CH_3
F449	H	Cl	CN	Cl	CH_3	CH_3	O	CH_2CH_3
F450	H	Cl	CN	Cl	Br	CH_3	O	CH_2CN
F451	H	Cl	CN	Cl	Cl	CH_3	O	CH_2CN
F452	H	Cl	CN	Cl	CF_3	CH_3	O	CH_2CN
F453	H	Cl	CN	Cl	CH_3	CH_3	O	CH_2CN
F454	H	Cl	CN	Cl	Br	CH_3	S	cyclopropyl
F455	H	Cl	CN	Cl	Cl	CH_3	S	cyclopropyl
F456	H	Cl	CN	Cl	CF_3	CH_3	S	cyclopropyl
F457	H	Cl	CN	Cl	CH_3	CH_3	S	cyclopropyl
F458	H	CH_3	H	Br	Br	H	O	CH_2CF_3
F459	H	CH_3	H	Br	Cl	H	O	CH_2CF_3
F460	H	CH_3	H	Br	CF_3	H	O	CH_2CF_3
F461	H	CH_3	H	Br	CH_3	H	O	CH_2CF_3
F462	H	CH_3	H	Br	Br	H	O	cyclopropyl
F463	H	CH_3	H	Br	Cl	H	O	cyclopropyl
F464	H	CH_3	H	Br	CF_3	H	O	cyclopropyl
F465	H	CH_3	H	Br	CH_3	H	O	cyclopropyl
F466	H	CH_3	H	Br	Br	H	O	CH_2CH_3
F467	H	CH_3	H	Br	Cl	H	O	CH_2CH_3
F468	H	CH_3	H	Br	CF_3	H	O	CH_2CH_3
F469	H	CH_3	H	Br	CH_3	H	O	CH_2CH_3
F470	H	CH_3	H	Br	Br	H	S	CH_2CH_3
F471	H	CH_3	H	Br	Cl	H	S	CH_2CH_3

F472	H	CH_3	H	Br	CF_3	H	S	CH_2CH_3
F473	H	CH_3	H	Br	CH_3	H	S	CH_2CH_3
F474	H	CH_3	H	Br	Br	CH_3	S	CH_2CH_3
F475	H	CH_3	H	Br	Cl	CH_3	S	CH_2CH_3
F476	H	CH_3	H	Br	CF_3	CH_3	S	CH_2CH_3
F477	H	CH_3	H	Br	CH_3	CH_3	S	CH_2CH_3
F478	H	CH_3	H	Br	Br	CH_3	O	CH_2CH_3
F479	H	CH_3	H	Br	Cl	CH_3	O	CH_2CH_3
F480	H	CH_3	H	Br	CF_3	CH_3	O	CH_2CH_3
F481	H	CH_3	H	Br	CH_3	CH_3	O	CH_2CH_3
F482	H	CH_3	H	Br	Br	CH_3	O	CH_2CN
F483	H	CH_3	H	Br	Cl	CH_3	O	CH_2CN
F484	H	CH_3	H	Br	CF_3	CH_3	O	CH_2CN
F485	H	CH_3	H	Br	CH_3	CH_3	O	CH_2CN
F486	H	CH_3	H	Br	Br	CH_3	S	cyclopropyl
F487	H	CH_3	H	Br	Cl	CH_3	S	cyclopropyl
F488	H	CH_3	H	Br	CF_3	CH_3	S	cyclopropyl
F489	H	CH_3	H	Br	CH_3	CH_3	S	cyclopropyl
F490	H	H	F	CH_3	Br	H	O	CH_2CF_3
F491	H	H	F	CH_3	Cl	H	O	CH_2CF_3
F492	H	H	F	CH_3	CF_3	H	O	CH_2CF_3
F493	H	H	F	CH_3	CH_3	H	O	CH_2CF_3
F494	H	H	F	CH_3	Br	H	O	cyclopropyl
F495	H	H	F	CH_3	Cl	H	O	cyclopropyl
F496	H	H	F	CH_3	CF_3	H	O	cyclopropyl
F497	H	H	F	CH_3	CH_3	H	O	cyclopropyl

F498	H	H	F	CH_3	Br	H	O	CH_2CH_3
F499	H	H	F	CH_3	Cl	H	O	CH_2CH_3
F500	H	H	F	CH_3	CF_3	H	O	CH_2CH_3
F501	H	H	F	CH_3	CH_3	H	O	CH_2CH_3
F502	H	H	F	CH_3	Br	H	S	CH_2CH_3
F503	H	H	F	CH_3	Cl	H	S	CH_2CH_3
F504	H	H	F	CH_3	CF_3	H	S	CH_2CH_3
F505	H	H	F	CH_3	CH_3	H	S	CH_2CH_3
F506	H	H	F	CH_3	Br	CH_3	S	CH_2CH_3
F507	H	H	F	CH_3	Cl	CH_3	S	CH_2CH_3
F508	H	H	F	CH_3	CF_3	CH_3	S	CH_2CH_3
F509	H	H	F	CH_3	CH_3	CH_3	S	CH_2CH_3
F510	H	H	F	CH_3	Br	CH_3	O	CH_2CH_3
F511	H	H	F	CH_3	Cl	CH_3	O	CH_2CH_3
F512	H	H	F	CH_3	CF_3	CH_3	O	CH_2CH_3
F513	H	H	F	CH_3	CH_3	CH_3	O	CH_2CH_3
F514	H	H	F	CH_3	Br	CH_3	O	CH_2CN
F515	H	H	F	CH_3	Cl	CH_3	O	CH_2CN
F516	H	H	F	CH_3	CF_3	CH_3	O	CH_2CN
F517	H	H	F	CH_3	CH_3	CH_3	O	CH_2CN
F518	H	H	F	CH_3	Br	CH_3	S	cyclopropyl
F519	H	H	F	CH_3	Cl	CH_3	S	cyclopropyl
F520	H	H	F	CH_3	CF_3	CH_3	S	cyclopropyl
F521	H	H	F	CH_3	CH_3	CH_3	S	cyclopropyl
F522	H	H	F	Cl	Br	H	O	CH_2CF_3
F523	H	H	F	Cl	Cl	H	O	CH_2CF_3

F524	H	H	F	Cl	CF_3	H	O	CH_2CF_3
F525	H	H	F	Cl	CH_3	H	O	CH_2CF_3
F526	H	H	F	Cl	Br	H	O	cyclopropyl
F527	H	H	F	Cl	Cl	H	O	cyclopropyl
F528	H	H	F	Cl	CF_3	H	O	cyclopropyl
F529	H	H	F	Cl	CH_3	H	O	cyclopropyl
F530	H	H	F	Cl	Br	H	O	CH_2CH_3
F531	H	H	F	Cl	Cl	H	O	CH_2CH_3
F532	H	H	F	Cl	CF_3	H	O	CH_2CH_3
F533	H	H	F	Cl	CH_3	H	O	CH_2CH_3
F534	H	H	F	Cl	Br	H	S	CH_2CH_3
F535	H	H	F	Cl	Cl	H	S	CH_2CH_3
F536	H	H	F	Cl	CF_3	H	S	CH_2CH_3
F537	H	H	F	Cl	CH_3	H	S	CH_2CH_3
F538	H	H	F	Cl	Br	CH_3	S	CH_2CH_3
F539	H	H	F	Cl	Cl	CH_3	S	CH_2CH_3
F540	H	H	F	Cl	CF_3	CH_3	S	CH_2CH_3
F541	H	H	F	Cl	CH_3	CH_3	S	CH_2CH_3
F542	H	H	F	Cl	Br	CH_3	O	CH_2CH_3
F543	H	H	F	Cl	Cl	CH_3	O	CH_2CH_3
F544	H	H	F	Cl	CF_3	CH_3	O	CH_2CH_3
F545	H	H	F	Cl	CH_3	CH_3	O	CH_2CH_3
F546	H	H	F	Cl	Br	CH_3	O	CH_2CN
F547	H	H	F	Cl	Cl	CH_3	O	CH_2CN
F548	H	H	F	Cl	CF_3	CH_3	O	CH_2CN
F549	H	H	F	Cl	CH_3	CH_3	O	CH_2CN

F550	H	H	F	Cl	Br	CH_3	S	cyclopropyl
F551	H	H	F	Cl	Cl	CH_3	S	cyclopropyl
F552	H	H	F	Cl	CF_3	CH_3	S	cyclopropyl
F553	H	H	F	Cl	CH_3	CH_3	S	cyclopropyl
F554	H	F	F	F	Br	H	O	CH_2CF_3
F555	H	F	F	F	Cl	H	O	CH_2CF_3
F556	H	F	F	F	CF_3	H	O	CH_2CF_3
F557	H	F	F	F	CH_3	H	O	CH_2CF_3
F558	H	F	F	F	Br	H	O	cyclopropyl
F559	H	F	F	F	Cl	H	O	cyclopropyl
F560	H	F	F	F	CF_3	H	O	cyclopropyl
F561	H	F	F	F	CH_3	H	O	cyclopropyl
F562	H	F	F	F	Br	H	O	CH_2CH_3
F563	H	F	F	F	Cl	H	O	CH_2CH_3
F564	H	F	F	F	CF_3	H	O	CH_2CH_3
F565	H	F	F	F	CH_3	H	O	CH_2CH_3
F566	H	F	F	F	Br	H	S	CH_2CH_3
F567	H	F	F	F	Cl	H	S	CH_2CH_3
F568	H	F	F	F	CF_3	H	S	CH_2CH_3
F569	H	F	F	F	CH_3	H	S	CH_2CH_3
F570	H	F	F	F	Br	CH_3	S	CH_2CH_3
F571	H	F	F	F	Cl	CH_3	S	CH_2CH_3
F572	H	F	F	F	CF_3	CH_3	S	CH_2CH_3
F573	H	F	F	F	CH_3	CH_3	S	CH_2CH_3
F574	H	F	F	F	Br	CH_3	O	CH_2CH_3
F575	H	F	F	F	Cl	CH_3	O	CH_2CH_3

F576	H	F	F	F	CF_3	CH_3	O	CH_2CH_3
F577	H	F	F	F	CH_3	CH_3	O	CH_2CH_3
F578	H	F	F	F	Br	CH_3	O	CH_2CN
F579	H	F	F	F	Cl	CH_3	O	CH_2CN
F580	H	F	F	F	CF_3	CH_3	O	CH_2CN
F581	H	F	F	F	CH_3	CH_3	O	CH_2CN
F582	H	F	F	F	Br	CH_3	S	cyclopropyl
F583	H	F	F	F	Cl	CH_3	S	cyclopropyl
F584	H	F	F	F	CF_3	CH_3	S	cyclopropyl
F585	H	F	F	F	CH_3	CH_3	S	cyclopropyl
F586	H	CF_3	H	CF_3	Br	H	O	CH_2CF_3
F587	H	CF_3	H	CF_3	Cl	H	O	CH_2CF_3
F588	H	CF_3	H	CF_3	CF_3	H	O	CH_2CF_3
F589	H	CF_3	H	CF_3	CH_3	H	O	CH_2CF_3
F590	H	CF_3	H	CF_3	Br	H	O	cyclopropyl
F591	H	CF_3	H	CF_3	Cl	H	O	cyclopropyl
F592	H	CF_3	H	CF_3	CF_3	H	O	cyclopropyl
F593	H	CF_3	H	CF_3	CH_3	H	O	cyclopropyl
F594	H	CF_3	H	CF_3	Br	H	O	CH_2CH_3
F595	H	CF_3	H	CF_3	Cl	H	O	CH_2CH_3
F596	H	CF_3	H	CF_3	CF_3	H	O	CH_2CH_3
F597	H	CF_3	H	CF_3	CH_3	H	O	CH_2CH_3
F598	H	CF_3	H	CF_3	Br	H	S	CH_2CH_3
F599	H	CF_3	H	CF_3	Cl	H	S	CH_2CH_3
F600	H	CF_3	H	CF_3	CF_3	H	S	CH_2CH_3
F601	H	CF_3	H	CF_3	CH_3	H	S	CH_2CH_3

F602	H	CF_3	H	CF_3	Br	CH_3	S	CH_2CH_3
F603	H	CF_3	H	CF_3	Cl	CH_3	S	CH_2CH_3
F604	H	CF_3	H	CF_3	CF_3	CH_3	S	CH_2CH_3
F605	H	CF_3	H	CF_3	CH_3	CH_3	S	CH_2CH_3
F606	H	CF_3	H	CF_3	Br	CH_3	O	CH_2CH_3
F607	H	CF_3	H	CF_3	Cl	CH_3	O	CH_2CH_3
F608	H	CF_3	H	CF_3	CF_3	CH_3	O	CH_2CH_3
F609	H	CF_3	H	CF_3	CH_3	CH_3	O	CH_2CH_3
F610	H	CF_3	H	CF_3	Br	CH_3	O	CH_2CN
F611	H	CF_3	H	CF_3	Cl	CH_3	O	CH_2CN
F612	H	CF_3	H	CF_3	CF_3	CH_3	O	CH_2CN
F613	H	CF_3	H	CF_3	CH_3	CH_3	O	CH_2CN
F614	H	CF_3	H	CF_3	Br	CH_3	S	cyclopropyl
F615	H	CF_3	H	CF_3	Cl	CH_3	S	cyclopropyl
F616	H	CF_3	H	CF_3	CF_3	CH_3	S	cyclopropyl
F617	H	CF_3	H	CF_3	CH_3	CH_3	S	cyclopropyl
F618	H	F	H	CF_3	Br	H	O	CH_2CF_3
F619	H	F	H	CF_3	Cl	H	O	CH_2CF_3
F620	H	F	H	CF_3	CF_3	H	O	CH_2CF_3
F621	H	F	H	CF_3	CH_3	H	O	CH_2CF_3
F622	H	F	H	CF_3	Br	H	O	cyclopropyl
F623	H	F	H	CF_3	Cl	H	O	cyclopropyl
F624	H	F	H	CF_3	CF_3	H	O	cyclopropyl
F625	H	F	H	CF_3	CH_3	H	O	cyclopropyl
F626	H	F	H	CF_3	Br	H	O	CH_2CH_3
F627	H	F	H	CF_3	Cl	H	O	CH_2CH_3

F628	H	F	H	CF_3	CF_3	H	O	CH_2CH_3
F629	H	F	H	CF_3	CH_3	H	O	CH_2CH_3
F630	H	F	H	CF_3	Br	H	S	CH_2CH_3
F631	H	F	H	CF_3	Cl	H	S	CH_2CH_3
F632	H	F	H	CF_3	CF_3	H	S	CH_2CH_3
F633	H	F	H	CF_3	CH_3	H	S	CH_2CH_3
F634	H	F	H	CF_3	Br	CH_3	S	CH_2CH_3
F635	H	F	H	CF_3	Cl	CH_3	S	CH_2CH_3
F636	H	F	H	CF_3	CF_3	CH_3	S	CH_2CH_3
F637	H	F	H	CF_3	CH_3	CH_3	S	CH_2CH_3
F638	H	F	H	CF_3	Br	CH_3	O	CH_2CH_3
F639	H	F	H	CF_3	Cl	CH_3	O	CH_2CH_3
F640	H	F	H	CF_3	CF_3	CH_3	O	CH_2CH_3
F641	H	F	H	CF_3	CH_3	CH_3	O	CH_2CH_3
F642	H	F	H	CF_3	Br	CH_3	O	CH_2CN
F643	H	F	H	CF_3	Cl	CH_3	O	CH_2CN
F644	H	F	H	CF_3	CF_3	CH_3	O	CH_2CN
F645	H	F	H	CF_3	CH_3	CH_3	O	CH_2CN
F646	H	F	H	CF_3	Br	CH_3	S	cyclopropyl
F647	H	F	H	CF_3	Cl	CH_3	S	cyclopropyl
F648	H	F	H	CF_3	CF_3	CH_3	S	cyclopropyl
F649	H	F	H	CF_3	CH_3	CH_3	S	cyclopropyl
F650	H	Cl	H	CF_3	Br	H	O	CH_2CF_3
F651	H	Cl	H	CF_3	Cl	H	O	CH_2CF_3
F652	H	Cl	H	CF_3	CF_3	H	O	CH_2CF_3
F653	H	Cl	H	CF_3	CH_3	H	O	CH_2CF_3

F654	H	Cl	H	CF_3	Br	H	O	cyclopropyl
F655	H	Cl	H	CF_3	Cl	H	O	cyclopropyl
F656	H	Cl	H	CF_3	CF_3	H	O	cyclopropyl
F657	H	Cl	H	CF_3	CH_3	H	O	cyclopropyl
F658	H	Cl	H	CF_3	Br	H	O	CH_2CH_3
F659	H	Cl	H	CF_3	Cl	H	O	CH_2CH_3
F660	H	Cl	H	CF_3	CF_3	H	O	CH_2CH_3
F661	H	Cl	H	CF_3	CH_3	H	O	CH_2CH_3
F662	H	Cl	H	CF_3	Br	H	S	CH_2CH_3
F663	H	Cl	H	CF_3	Cl	H	S	CH_2CH_3
F664	H	Cl	H	CF_3	CF_3	H	S	CH_2CH_3
F665	H	Cl	H	CF_3	CH_3	H	S	CH_2CH_3
F666	H	Cl	H	CF_3	Br	CH_3	S	CH_2CH_3
F667	H	Cl	H	CF_3	Cl	CH_3	S	CH_2CH_3
F668	H	Cl	H	CF_3	CF_3	CH_3	S	CH_2CH_3
F669	H	Cl	H	CF_3	CH_3	CH_3	S	CH_2CH_3
F670	H	Cl	H	CF_3	Br	CH_3	O	CH_2CH_3
F671	H	Cl	H	CF_3	Cl	CH_3	O	CH_2CH_3
F672	H	Cl	H	CF_3	CF_3	CH_3	O	CH_2CH_3
F673	H	Cl	H	CF_3	CH_3	CH_3	O	CH_2CH_3
F674	H	Cl	H	CF_3	Br	CH_3	O	CH_2CN
F675	H	Cl	H	CF_3	Cl	CH_3	O	CH_2CN
F676	H	Cl	H	CF_3	CF_3	CH_3	O	CH_2CN
F677	H	Cl	H	CF_3	CH_3	CH_3	O	CH_2CN
F678	H	Cl	H	CF_3	Br	CH_3	S	cyclopropyl
F679	H	Cl	H	CF_3	Cl	CH_3	S	cyclopropyl

F680	H	Cl	H	CF_3	CF_3	CH_3	S	cyclopropyl
F681	H	Cl	H	CF_3	CH_3	CH_3	S	cyclopropyl
F682	H	H	F	CF_3	Br	H	O	CH_2CF_3
F683	H	H	F	CF_3	Cl	H	O	CH_2CF_3
F684	H	H	F	CF_3	CF_3	H	O	CH_2CF_3
F685	H	H	F	CF_3	CH_3	H	O	CH_2CF_3
F686	H	H	F	CF_3	Br	H	O	cyclopropyl
F687	H	H	F	CF_3	Cl	H	O	cyclopropyl
F688	H	H	F	CF_3	CF_3	H	O	cyclopropyl
F689	H	H	F	CF_3	CH_3	H	O	cyclopropyl
F690	H	H	F	CF_3	Br	H	O	CH_2CH_3
F691	H	H	F	CF_3	Cl	H	O	CH_2CH_3
F692	H	H	F	CF_3	CF_3	H	O	CH_2CH_3
F693	H	H	F	CF_3	CH_3	H	O	CH_2CH_3
F694	H	H	F	CF_3	Br	H	S	CH_2CH_3
F695	H	H	F	CF_3	Cl	H	S	CH_2CH_3
F696	H	H	F	CF_3	CF_3	H	S	CH_2CH_3
F697	H	H	F	CF_3	CH_3	H	S	CH_2CH_3
F698	H	H	F	CF_3	Br	CH_3	S	CH_2CH_3
F699	H	H	F	CF_3	Cl	CH_3	S	CH_2CH_3
F700	H	H	F	CF_3	CF_3	CH_3	S	CH_2CH_3
F701	H	H	F	CF_3	CH_3	CH_3	S	CH_2CH_3
F702	H	H	F	CF_3	Br	CH_3	O	CH_2CH_3
F703	H	H	F	CF_3	Cl	CH_3	O	CH_2CH_3
F704	H	H	F	CF_3	CF_3	CH_3	O	CH_2CH_3
F705	H	H	F	CF_3	CH_3	CH_3	O	CH_2CH_3

F706	H	H	F	CF_3	Br	CH_3	O	CH_2CN
F707	H	H	F	CF_3	Cl	CH_3	O	CH_2CN
F708	H	H	F	CF_3	CF_3	CH_3	O	CH_2CN
F709	H	H	F	CF_3	CH_3	CH_3	O	CH_2CN
F710	H	H	F	CF_3	Br	CH_3	S	cyclopropyl
F711	H	H	F	CF_3	Cl	CH_3	S	cyclopropyl
F712	H	H	F	CF_3	CF_3	CH_3	S	cyclopropyl
F713	H	H	F	CF_3	CH_3	CH_3	S	cyclopropyl
F714	H	Cl	Cl	Cl	Cl	H	O	cyclopropyl
F715	H	Cl	Cl	Cl	CF_3	H	O	cyclopropyl
F716	H	Cl	Cl	Cl	CH_3	H	O	cyclopropyl
F717	H	Cl	Cl	Cl	Cl	H	O	CH_2CH_3
F718	H	Cl	Cl	Cl	CF_3	H	O	CH_2CH_3
F719	H	Cl	Cl	Cl	CH_3	H	O	CH_2CH_3
F720	H	Cl	Cl	Cl	Cl	H	S	CH_2CH_3
F721	H	Cl	Cl	Cl	CF_3	H	S	CH_2CH_3
F722	H	Cl	Cl	Cl	CH_3	H	S	CH_2CH_3
F723	H	Cl	Cl	Cl	Cl	CH_3	S	CH_2CH_3
F724	H	Cl	Cl	Cl	CF_3	CH_3	S	CH_2CH_3
F725	H	Cl	Cl	Cl	CH_3	CH_3	S	CH_2CH_3
F726	H	Cl	Cl	Cl	Cl	CH_3	O	CH_2CH_3
F727	H	Cl	Cl	Cl	CF_3	CH_3	O	CH_2CH_3
F728	H	Cl	Cl	Cl	CH_3	CH_3	O	CH_2CH_3
F729	H	Cl	Cl	Cl	Cl	CH_3	O	CH_2CN
F730	H	Cl	Cl	Cl	CF_3	CH_3	O	CH_2CN
F731	H	Cl	Cl	Cl	CH_3	CH_3	O	CH_2CN

F732	H	Cl	Cl	Cl	Cl	CH_3	S	cyclopropyl
F733	H	Cl	Cl	Cl	CF_3	CH_3	S	cyclopropyl
F734	H	Cl	Cl	Cl	CH_3	CH_3	S	cyclopropyl
F735	H	Cl	H	Cl	Br	H	O	CH_2CF_3
F736	H	Cl	H	Cl	Cl	H	O	CH_2CF_3
F737	H	Cl	H	Cl	CF_3	H	O	CH_2CF_3
F738	H	Cl	H	Cl	CH_3	H	O	CH_2CF_3
F739	H	Cl	H	Cl	Br	H	O	cyclopropyl
F740	H	Cl	H	Cl	Cl	H	O	cyclopropyl
F741	H	Cl	H	Cl	CF_3	H	O	cyclopropyl
F742	H	Cl	H	Cl	CH_3	H	O	cyclopropyl
F743	H	Cl	H	Cl	Br	H	O	CH_2CH_3
F744	H	Cl	H	Cl	Cl	H	O	CH_2CH_3
F745	H	Cl	H	Cl	CF_3	H	O	CH_2CH_3
F746	H	Cl	H	Cl	CH_3	H	O	CH_2CH_3
F747	H	Cl	H	Cl	Br	H	S	CH_2CH_3
F748	H	Cl	H	Cl	Cl	H	S	CH_2CH_3
F749	H	Cl	H	Cl	CF_3	H	S	CH_2CH_3
F750	H	Cl	H	Cl	CH_3	H	S	CH_2CH_3
F751	H	Cl	H	Cl	Br	CH_3	S	CH_2CH_3
F752	H	Cl	H	Cl	Cl	CH_3	S	CH_2CH_3
F753	H	Cl	H	Cl	CF_3	CH_3	S	CH_2CH_3
F754	H	Cl	H	Cl	CH_3	CH_3	S	CH_2CH_3
F755	H	Cl	H	Cl	Br	CH_3	O	CH_2CH_3
F756	H	Cl	H	Cl	Cl	CH_3	O	CH_2CH_3
F757	H	Cl	H	Cl	CF_3	CH_3	O	CH_2CH_3

F758	H	Cl	H	Cl	CH_3	CH_3	O	CH_2CH_3
F759	H	Cl	H	Cl	Br	CH_3	O	CH_2CN
F760	H	Cl	H	Cl	Cl	CH_3	O	CH_2CN
F761	H	Cl	H	Cl	CF_3	CH_3	O	CH_2CN
F762	H	Cl	H	Cl	CH_3	CH_3	O	CH_2CN
F763	H	Cl	H	Cl	Br	CH_3	S	cyclopropyl
F764	H	Cl	H	Cl	Cl	CH_3	S	cyclopropyl
F765	H	Cl	H	Cl	CF_3	CH_3	S	cyclopropyl
F766	H	Cl	H	Cl	CH_3	CH_3	S	cyclopropyl
F767	H	H	Cl	Cl	Br	H	O	CH_2CF_3
F768	H	H	Cl	Cl	Cl	H	O	CH_2CF_3
F769	H	H	Cl	Cl	CF_3	H	O	CH_2CF_3
F770	H	H	Cl	Cl	CH_3	H	O	CH_2CF_3
F771	H	H	Cl	Cl	Br	H	O	cyclopropyl
F772	H	H	Cl	Cl	Cl	H	O	cyclopropyl
F773	H	H	Cl	Cl	CF_3	H	O	cyclopropyl
F774	H	H	Cl	Cl	CH_3	H	O	cyclopropyl
F775	H	H	Cl	Cl	Br	H	O	CH_2CH_3
F776	H	H	Cl	Cl	Cl	H	O	CH_2CH_3
F777	H	H	Cl	Cl	CF_3	H	O	CH_2CH_3
F778	H	H	Cl	Cl	CH_3	H	O	CH_2CH_3
F779	H	H	Cl	Cl	Br	H	S	CH_2CH_3
F780	H	H	Cl	Cl	Cl	H	S	CH_2CH_3
F781	H	H	Cl	Cl	CF_3	H	S	CH_2CH_3
F782	H	H	Cl	Cl	CH_3	H	S	CH_2CH_3
F783	H	H	Cl	Cl	Br	CH_3	S	CH_2CH_3

F784	H	H	Cl	Cl	Cl	CH_3	S	CH_2CH_3
F785	H	H	Cl	Cl	CF_3	CH_3	S	CH_2CH_3
F786	H	H	Cl	Cl	CH_3	CH_3	S	CH_2CH_3
F787	H	H	Cl	Cl	Br	CH_3	O	CH_2CH_3
F788	H	H	Cl	Cl	Cl	CH_3	O	CH_2CH_3
F789	H	H	Cl	Cl	CF_3	CH_3	O	CH_2CH_3
F790	H	H	Cl	Cl	CH_3	CH_3	O	CH_2CH_3
F791	H	H	Cl	Cl	Br	CH_3	O	CH_2CN
F792	H	H	Cl	Cl	Cl	CH_3	O	CH_2CN
F793	H	H	Cl	Cl	CF_3	CH_3	O	CH_2CN
F794	H	H	Cl	Cl	CH_3	CH_3	O	CH_2CN
F795	H	H	Cl	Cl	Br	CH_3	S	cyclopropyl
F796	H	H	Cl	Cl	Cl	CH_3	S	cyclopropyl
F797	H	H	Cl	Cl	CF_3	CH_3	S	cyclopropyl
F798	H	H	Cl	Cl	CH_3	CH_3	S	cyclopropyl
F799	H	Cl	F	Cl	Cl	H	O	CH_2CF_3
F800	H	Cl	F	Cl	CF_3	H	O	CH_2CF_3
F801	H	Cl	F	Cl	CH_3	H	O	CH_2CF_3
F802	H	Cl	F	Cl	Br	H	O	cyclopropyl
F803	H	Cl	F	Cl	Cl	H	O	cyclopropyl
F804	H	Cl	F	Cl	CF_3	H	O	cyclopropyl
F805	H	Cl	F	Cl	CH_3	H	O	cyclopropyl
F806	H	Cl	F	Cl	Br	H	O	CH_2CH_3
F807	H	Cl	F	Cl	Cl	H	O	CH_2CH_3
F808	H	Cl	F	Cl	CF_3	H	O	CH_2CH_3
F809	H	Cl	F	Cl	CH_3	H	O	CH_2CH_3

F810	H	Cl	F	Cl	Br	H	S	CH_2CH_3
F811	H	Cl	F	Cl	Cl	H	S	CH_2CH_3
F812	H	Cl	F	Cl	CF_3	H	S	CH_2CH_3
F813	H	Cl	F	Cl	CH_3	H	S	CH_2CH_3
F814	H	Cl	F	Cl	Br	CH_3	S	CH_2CH_3
F815	H	Cl	F	Cl	Cl	CH_3	S	CH_2CH_3
F816	H	Cl	F	Cl	CF_3	CH_3	S	CH_2CH_3
F817	H	Cl	F	Cl	CH_3	CH_3	S	CH_2CH_3
F818	H	Cl	F	Cl	Br	CH_3	O	CH_2CH_3
F819	H	Cl	F	Cl	Cl	CH_3	O	CH_2CH_3
F820	H	Cl	F	Cl	CF_3	CH_3	O	CH_2CH_3
F821	H	Cl	F	Cl	CH_3	CH_3	O	CH_2CH_3
F822	H	Cl	F	Cl	Br	CH_3	O	CH_2CN
F823	H	Cl	F	Cl	Cl	CH_3	O	CH_2CN
F824	H	Cl	F	Cl	CF_3	CH_3	O	CH_2CN
F825	H	Cl	F	Cl	CH_3	CH_3	O	CH_2CN
F826	H	Cl	F	Cl	Br	CH_3	S	cyclopropyl
F827	H	Cl	F	Cl	Cl	CH_3	S	cyclopropyl
F828	H	Cl	F	Cl	CF_3	CH_3	S	cyclopropyl
F829	H	Cl	F	Cl	CH_3	CH_3	S	cyclopropyl
F830	H	Br	H	Br	Cl	H	O	CH_2CF_3
F831	H	Br	H	Br	CF_3	H	O	CH_2CF_3
F832	H	Br	H	Br	CH_3	H	O	CH_2CF_3
F833	H	Br	H	Br	Br	H	O	cyclopropyl
F834	H	Br	H	Br	Cl	H	O	cyclopropyl
F835	H	Br	H	Br	CF_3	H	O	cyclopropyl

F836	H	Br	H	Br	CH_3	H	O	cyclopropyl
F837	H	Br	H	Br	Br	H	O	CH_2CH_3
F838	H	Br	H	Br	Cl	H	O	CH_2CH_3
F839	H	Br	H	Br	CF_3	H	O	CH_2CH_3
F840	H	Br	H	Br	CH_3	H	O	CH_2CH_3
F841	H	Br	H	Br	Br	H	S	CH_2CH_3
F842	H	Br	H	Br	Cl	H	S	CH_2CH_3
F843	H	Br	H	Br	CF_3	H	S	CH_2CH_3
F844	H	Br	H	Br	CH_3	H	S	CH_2CH_3
F845	H	Br	H	Br	Br	CH_3	S	CH_2CH_3
F846	H	Br	H	Br	Cl	CH_3	S	CH_2CH_3
F847	H	Br	H	Br	CF_3	CH_3	S	CH_2CH_3
F848	H	Br	H	Br	CH_3	CH_3	S	CH_2CH_3
F849	H	Br	H	Br	Br	CH_3	O	CH_2CH_3
F850	H	Br	H	Br	Cl	CH_3	O	CH_2CH_3
F851	H	Br	H	Br	CF_3	CH_3	O	CH_2CH_3
F852	H	Br	H	Br	CH_3	CH_3	O	CH_2CH_3
F853	H	Br	H	Br	Br	CH_3	O	CH_2CN
F854	H	Br	H	Br	Cl	CH_3	O	CH_2CN
F855	H	Br	H	Br	CF_3	CH_3	O	CH_2CN
F856	H	Br	H	Br	CH_3	CH_3	O	CH_2CN
F857	H	Br	H	Br	Br	CH_3	S	cyclopropyl
F858	H	Br	H	Br	Cl	CH_3	S	cyclopropyl
F859	H	Br	H	Br	CF_3	CH_3	S	cyclopropyl
F860	H	Br	H	Br	CH_3	CH_3	S	cyclopropyl

Example A: BIOASSAYS ON BEET ARMYWORM ("BAW") AND CORN EARWORM ("CEW") AND CABBAGE LOOPER ("CL")

BAW has few effective parasites, diseases, or predators to lower its population. BAW infests many weeds, trees, grasses, legumes, and field crops. In various places, it is of economic concern upon asparagus, cotton, corn, soybeans, tobacco, alfalfa, sugar beets, peppers, tomatoes, potatoes, onions, peas, sunflowers, and citrus, among other plants. CEW is known to attack corn and tomatoes, but it also attacks artichoke, asparagus, cabbage, cantaloupe, collards, cowpeas, cucumbers, eggplant, lettuce, lima beans, melon, okra, peas, peppers, potatoes, pumpkin, snap beans, spinach, squash, sweet potatoes, and watermelon, among other plants. CEW is also known to be resistant to certain insecticides. CL feeds on a wide variety of cultivated plants and weeds. It feeds readily on crucifers, and has been reported damaging broccoli, cabbage, cauliflower, Chinese cabbage, collards, kale, mustard, radish, rutabaga, turnip, and watercress. Other vegetable crops injured include beet, cantaloupe, celery, cucumber, lima bean, lettuce, parsnip, pea, pepper, potato, snap bean, spinach, squash, sweet potato, tomato, and watermelon. CL is also known to be resistant to certain insecticides. Consequently, because of the above factors control of these pests is important. Furthermore, molecules that control these pests are useful in controlling other pests.

Certain molecules disclosed in this document were tested against BAW and CEW and CL using procedures described in the following examples. In the reporting of the results, the "**BAW & CEW & CL Rating Table**" was used (See Table Section).

BIOASSAYS ON BAW (*Spodoptera exigua*)

Bioassays on BAW were conducted using a 128-well diet tray assay. one to five second instar BAW larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 µg/cm^2 of the test compound (dissolved in 50 µL of 90:10 acetone-water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25 °C, 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled **"Table 3: Assay Results"** (See Table Section).

BIOASSAYS ON CEW (*Helicoverpa zea*)

Bioassays on CEW were conducted using a 128-well diet tray assay. one to five second instar CEW larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 µg /cm^2 of the test compound (dissolved in 50 μL of 90:10 acetone–water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25 °C, 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "**Table 3: Assay Results**" (See Table Section).

Bioassays on CL (*Trichoplusia ni*)

Bioassays on CL were conducted using a 128-well diet tray assay. One to five second instar CL larvae were placed in each well (3 mL) of the diet tray that had been previously filled with 1 mL of artificial diet to which 50 μg /cm^2 of the test compound (dissolved in 50 μL of 90:10 acetone–water mixture) had been applied (to each of eight wells) and then allowed to dry. Trays were covered with a clear self-adhesive cover, and held at 25 °C, 14:10 light-dark for five to seven days. Percent mortality was recorded for the larvae in each well; activity in the eight wells was then averaged. The results are indicated in the table entitled "**Table 3A: Assay Results**" (See Table Section).

Example B: Bioassays on Green Peach Aphid ("GPA") (*Myzus persicae*).

GPA is the most significant aphid pest of peach trees, causing decreased growth, shriveling of the leaves, and the death of various tissues. It is also hazardous because it acts as a vector for the transport of plant viruses, such as potato virus Y and potato leafroll virus to members of the nightshade/potato family *Solanaceae*, and various mosaic viruses to many other food crops. GPA attacks such plants as broccoli, burdock, cabbage, carrot, cauliflower, daikon, eggplant, green beans, lettuce, macadamia, papaya, peppers, sweet potatoes, tomatoes, watercress, and zucchini, among other plants. GPA also attacks many ornamental crops such as carnation, chrysanthemum, flowering white cabbage, poinsettia, and roses. GPA has developed resistance to many pesticides.

Certain molecules disclosed in this document were tested against GPA using procedures described in the following example. In the reporting of the results, the "**GPA Rating Table**" was used (See Table Section).

Cabbage seedlings grown in 3-inch pots, with 2-3 small (3-5 cm) true leaves, were used as test substrate. The seedlings were infested with 20-50 GPA (wingless adult and nymph stages) one day prior to chemical application. Four pots with individual seedlings were used for each treatment. Test compounds (2 mg) were dissolved in 2 mL of acetone/MeOH (1:1) solvent, forming stock solutions of 1000 ppm test compound. The stock solutions were diluted 5X with 0.025% Tween 20 in water to obtain the solution at 200 ppm test compound. A hand-held aspirator-type sprayer was used for spraying a solution to both sides of cabbage leaves until runoff. Reference plants (solvent check) were sprayed with the diluent only containing 20% by volume of acetone/MeOH (1:1) solvent. Treated plants were held in a holding room for three days at approximately 25 °C and ambient relative humidity (RH) prior to grading. Evaluation was conducted by counting the number of live aphids per plant under a microscope. Percent Control was measured by using Abbott's correction formula (W.S. Abbott, "A Method of Computing the Effectiveness of an Insecticide" J. Econ. Entomol. 18 (1925), pp.265-267) as follows.

Corrected % Control = 100 * (X - Y) / X

where

X = No. of live aphids on solvent check plants and

Y = No. of live aphids on treated plants

The results are indicated in the table entitled "**Table 3: Assay Results"** (See Table Section).

PESTICIDALLY ACCEPTABLE ACID ADDITION SALTS, SALT DERIVATIVES, SOLVATES, ESTER DERIVATIVES, POLYMORPHS, ISOTOPES AND RADIONUCLIDES

Molecules of Formula One may be formulated into pesticidally acceptable acid addition salts. By way of a non-limiting example, an amine function can form salts with hydrochloric, hydrobromic, sulfuric, phosphoric, acetic, benzoic, citric, malonic, salicylic, malic, fumaric, oxalic, succinic, tartaric, lactic, gluconic, ascorbic, maleic, aspartic, benzenesulfonic, methanesulfonic, ethanesulfonic, hydroxymethanesulfonic, and hydroxyethanesulfonic acids. Additionally, by way of a non-limiting example, an acid function can form salts including those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Examples of preferred cations include sodium, potassium, and magnesium.

Molecules of Formula One may be formulated into salt derivatives. By way of a non-limiting example, a salt derivative can be prepared by contacting a free base with a sufficient amount of the desired acid to produce a salt. A free base may be regenerated by treating the salt with a suitable dilute aqueous base solution such as dilute aqueous sodium hydroxide (NaOH), potassium carbonate, ammonia, and sodium bicarbonate. As an example, in many cases, a pesticide, such as 2,4-D, is made more water-soluble by converting it to its dimethylamine salt..

Molecules of Formula One may be formulated into stable complexes with a solvent, such that the complex remains intact after the non-complexed solvent is removed. These complexes are often referred to as "solvates." However, it is particularly desirable to form stable hydrates with water as the solvent.

Molecules of Formula One may be made into ester derivatives. These ester derivatives can then be applied in the same manner as the invention disclosed in this document is applied.

Molecules of Formula One may be made as various crystal polymorphs. Polymorphism is important in the development of agrochemicals since different crystal polymorphs or structures of the same molecule can have vastly different physical properties and biological performances.

Molecules of Formula One may be made with different isotopes. Of particular importance are molecules having ^{2}H (also known as deuterium) in place of ^{1}H.

Molecules of Formula One may be made with different radionuclides. Of particular importance are molecules having ^{14}C.

STEREOISOMERS

Molecules of Formula One may exist as one or more stereoisomers. Thus, certain molecules can be produced as racemic mixtures. It will be appreciated by those skilled in the art that one stereoisomer may be more active than the other stereoisomers. Individual stereoisomers may be obtained by known selective synthetic procedures, by conventional synthetic procedures using resolved starting materials, or by conventional resolution procedures. Certain molecules disclosed in this document can exist as two or more isomers. The various isomers include geometric isomers, diastereomers, and enantiomers. Thus, the molecules disclosed in this document include geometric isomers, racemic mixtures, individual stereoisomers, and optically active mixtures. It will be appreciated by those skilled in the art that one isomer may be more active than the others. The structures disclosed in the present disclosure are drawn in only one geometric form for clarity, but are intended to represent all geometric forms of the molecule.

COMBINATIONS

Molecules of Formula One may also be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with one or more compounds having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematicidal, rodenticidal, or virucidal properties. Additionally, the molecules of Formula One may also be used in combination (such as, in a compositional mixture, or a simultaneous or sequential application) with compounds that are antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, or synergists. Examples of such compounds in the above groups that may be used with the Molecules of Formula One are - (3-ethoxypropyl)mercury bromide, 1,2-dichloropropane, 1,3-dichloropropene, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,3,6-TBA-dimethylammonium, 2,3,6-TBA-lithium, 2,3,6-TBA-potassium, 2,3,6-TBA-sodium, 2,4,5-T, 2,4,5-T-2-butoxypropyl, 2,4,5-T-2-ethylhexyl, 2,4,5-T-3-butoxypropyl, 2,4,5-TB, 2,4,5-T-butometyl, 2,4,5-T-butotyl, 2,4,5-T-butyl, 2,4,5-T-isobutyl, 2,4,5-T-isoctyl, 2,4,5-T-isopropyl, 2,4,5-T-methyl, 2,4,5-T-pentyl, 2,4,5-T-sodium, 2,4,5-T-triethylammonium, 2,4,5-T-trolamine, 2,4-D, 2,4-D-2-butoxypropyl, 2,4-D-2-ethylhexyl, 2,4-D-3-butoxypropyl, 2,4-D-ammonium, 2,4-DB, 2,4-DB-butyl, 2,4-DB-dimethylammonium, 2,4-DB-isoctyl, 2,4-DB-potassium, 2,4-DB-sodium, 2,4-D-butotyl, 2,4-D-butyl, 2,4-D-diethylammonium, 2,4-D-dimethylammonium, 2,4-D-diolamine, 2,4-D-dodecylammonium, 2,4-DEB, 2,4-DEP, 2,4-D-ethyl, 2,4-D-heptylammonium, 2,4-D-isobutyl, 2,4-D-isoctyl, 2,4-D-isopropyl, 2,4-D-isopropylammonium, 2,4-D-lithium, 2,4-D-meptyl, 2,4-D-methyl, 2,4-D-octyl, 2,4-D-pentyl, 2,4-D-potassium, 2,4-D-propyl, 2,4-D-sodium, 2,4-D-tefuryl, 2,4-D-tetradecylammonium, 2,4-D-triethylammonium, 2,4-D-tris(2-hydroxypropyl)ammonium, 2,4-D-trolamine, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 4-aminopyridine, 4-CPA, 4-CPA-potassium, 4-CPA-sodium, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetophos, acetoprole, acibenzolar, acibenzolar-S-methyl, acifluorfen, acifluorfen-methyl, acifluorfen-sodium, aclonifen, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, acypetacs-copper, acypetacs-zinc, alachlor, alanycarb, albendazole, aldicarb, aldimorph, aldoxycarb, aldrin, allethrin, allicin, allidochlor, allosamidin, alloxydim, alloxydim-sodium, allyl alcohol, allyxycarb, alorac, *alpha*-cypermethrin, *alpha*-endosulfan, ametoctradin, ametridione, ametryn, amibuzin, amicarbazone, amicarthiazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminocyclopyrachlor-methyl, aminocyclopyrachlor-potassium, aminopyralid, aminopyralid-potassium, aminopyralid-tris(2-hydroxypropyl)ammonium, amiprofos-methyl, amiprophos, amisulbrom, amiton, amiton oxalate, amitraz, amitrole, ammonium sulfamate, ammonium α-naphthaleneacetate, amobam, ampropylfos, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arsenous oxide, asomate, aspirin, asulam, asulam-potassium, asulam-sodium, athidathion, atraton, atrazine, aureofungin, aviglycine, aviglycine hydrochloride, azaconazole, azadirachtin, azafenidin, azamethiphos, azimsulfuron, azinphos-ethyl, azinphos-methyl, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxystrobin, bachmedesh, barban, barium hexafluorosilicate, barium polysulfide, barthrin, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benazolin, benazolin-dimethylammonium, benazolin-ethyl, benazolin-potassium, bencarbazone, benclothiaz, bendiocarb, benfluralin, benfuracarb, benfuresate, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulfuron-methyl, bensulide, bensultap, bentaluron, bentazone, bentazone-sodium, benthiavalicarb, benthiavalicarb-isopropyl, benthiazole, bentranil, benzadox, benzadox-ammonium, benzalkonium chloride, benzamacril, benzamacril-isobutyl, benzamorf, benzfendizone, benzipram, benzobicyclon, benzofenap, benzofluor, benzohydroxamic acid, benzoximate, benzoylprop, benzoylprop-ethyl, benzthiazuron, benzyl benzoate, benzyladenine, berberine, berberine chloride, *beta*-cyfluthrin, *beta*-cypermethrin, bethoxazin, bicyclopyrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, bilanafos-sodium, binapacryl, bingqingxiao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismerthiazol, bispyribac, bispyribac-sodium, bistrifluron, bitertanol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, brassinolide, brassinolide-ethyl, brevicomin, brodifacoum, brofenvalerate, brofluthrinate, bromacil, bromacil-lithium, bromacil-sodium, bromadiolone, bromethalin, bromethrin, bromfenvinfos, bromoacetamide, bromobonil, bromobutide, bromocyclen, bromo-DDT, bromofenoxim, bromophos, bromophos-ethyl, bromopropylate, bromothalonil, bromoxynil, bromoxynil butyrate, bromoxynil heptanoate, bromoxynil octanoate, bromoxynil-potassium, brompyrazon, bromuconazole, bronopol, bucarpolate, bufencarb, buminafos, bupirimate, buprofezin, Burgundy mixture, busulfan, butacarb, butachlor, butafenacil, butamifos, butathiofos, butenachlor, butethrin, buthidazole, buthiobate, buthiuron, butocarboxim, butonate, butopyronoxyl, butoxycarboxim, butralin, butroxydim, buturon, butylamine, butylate, cacodylic acid, cadusafos, cafenstrole, calcium arsenate, calcium chlorate, calcium cyanamide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbamorph, carbanolate, carbaryl, carbasulam, carbendazim, carbendazim benzenesulfonate, carbendazim sulfite, carbetamide, carbofuran, carbon disulfide, carbon tetrachloride, carbophenothion, carbosulfan, carboxazole, carboxide, carboxin, carfentrazone, carfentrazone-ethyl, carpropamid, cartap, cartap hydrochloride, carvacrol, carvone, CDEA, cellocidin, CEPC, ceralure, Cheshunt mixture, chinomethionat, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloramben, chloramben-ammonium, chloramben-diolamine, chloramben-methyl, chloramben-methylammonium, chloramben-sodium, chloramine phosphorus, chloramphenicol, chloraniformethan, chloranil, chloranocryl, chlorantraniliprole, chlorazifop, chlorazifop-propargyl, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlordimeform hydrochloride, chlorempenthrin, chlorethoxyfos, chloreturon, chlorfenac, chlorfenac-ammonium, chlorfenac-sodium, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfluazuron, chlorflurazole, chlorfluren, chlorfluren-methyl, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlormephos, chlormequat, chlormequat chloride, chlornidine, chlornitrofen, chlorobenzilate, chlorodinitronaphthalenes, chloroform, chloromebuform, chloromethiuron, chloroneb, chlorophacinone, chlorophacinone-sodium, chloropicrin, chloropon, chloropropylate, chlorothalonil, chlorotoluron, chloroxuron, chloroxynil, chlorphonium, chlorphonium chloride, chlorphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlorthal, chlorthal-dimethyl, chlorthal-monomethyl, chlorthiamid, chlorthiophos, chlozolinate, choline chloride, chromafenozide, cinerin I, cinerin II, cinerins, cinidon-ethyl, cinmethylin, cinosulfuron, ciobutide, cisanilide, cismethrin, clethodim, climbazole, cliodinate, clodinafop, clodinafop-propargyl, cloethocarb, clofencet, clofencet-potassium, clofentezine, clofibric acid, clofop, clofop-isobutyl, clomazone, clomeprop, cloprop, cloproxydim, clopyralid, clopyralid-methyl, clopyralid-olamine, clopyralid-potassium, clopyralid-tris(2-hydroxypropyl)ammonium, cloquintocet, cloquintocet-mexyl, cloransulam, cloransulam-methyl, closantel, clothianidin, clotrimazole, cloxyfonac, cloxyfonac-sodium, CMA, codlelure, colophonate, copper acetate, copper acetoarsenite, copper arsenate, copper carbonate, basic, copper hydroxide, copper naphthenate, copper oleate, copper oxychloride, copper silicate, copper sulfate, copper zinc chromate, coumachlor, coumafuryl, coumaphos, coumatetralyl, coumithoate, coumoxystrobin, CPMC, CPMF, CPPC, credazine, cresol, crimidine, crotamiton, crotoxyphos, crufomate, cryolite, cue-lure, cufraneb, cumyluron, cuprobam, cuprous oxide, curcumenol, cyanamide, cyanatryn, cyanazine, cyanofenphos, cyanophos, cyanthoate, cyantraniliprole, cyazofamid, cybutryne, cyclafuramid, cyclanilide, cyclethrin, cycloate, cycloheximide, cycloprate, cycloprothrin, cyclosulfamuron, cycloxaprid, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop, cyhalofop-butyl, cyhalothrin, cyhexatin, cymiazole, cymiazole hydrochloride, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyperquat chloride, cyphenothrin, cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram, cypromid, cyprosulfamide, cyromazine, cythioate, daimuron, dalapon, dalapon-calcium, dalapon-magnesium, dalapon-sodium, daminozide, dayoutong, dazomet, dazomet-sodium, DBCP, *d*-camphor, DCIP, DCPTA, DDT, debacarb, decafentin, decarbofuran, dehydroacetic acid, delachlor, deltamethrin, demephion, demephion-O, demephion-S, demeton, demeton-methyl, demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulphon, desmedipham, desmetryn, *d*-fanshiluquebingjuzhi, diafenthiuron, dialifos, di-allate, diamidafos, diatomaceous earth, diazinon, dibutyl phthalate, dibutyl succinate, dicamba, dicamba-diglycolamine, dicamba-dimethylammonium, dicamba-diolamine, dicamba-isopropylammonium, dicamba-methyl, dicamba-olamine, dicamba-potassium, dicamba-sodium, dicamba-trolamine, dicapthon, dichlobenil, dichlofenthion, dichlofluanid, dichlone, dichloralurea, dichlorbenzuron, dichlorflurenol, dichlorflurenol-methyl, dichlormate, dichlormid, dichlorophen, dichlorprop, dichlorprop-2-ethylhexyl, dichlorprop-butotyl, dichlorprop-dimethylammonium, dichlorprop-ethylammonium, dichlorprop-isoctyl, dichlorprop-methyl, dichlorprop-P, dichlorprop-P-2-ethylhexyl, dichlorprop-P-dimethylammonium, dichlorprop-potassium, dichlorprop-sodium, dichlorvos, dichlozoline, diclobutrazol, diclocymet, diclofop, diclofop-methyl, diclomezine, diclomezine-sodium, dicloran, diclosulam, dicofol, dicoumarol, dicresyl, dicrotophos, dicyclanil, dicyclonon, dieldrin, dienochlor, diethamquat, diethamquat dichloride, diethatyl, diethatyl-ethyl, diethofencarb, dietholate, diethyl pyrocarbonate, diethyltoluamide, difenacoum, difenoconazole, difenopenten, difenopenten-ethyl, difenoxuron, difenzoquat, difenzoquat metilsulfate, difethialone, diflovidazin, diflubenzuron, diflufenican, diflufenzopyr, diflufenzopyr-sodium, diflumetorim, dikegulac, dikegulac-sodium, dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimepiperate, dimetachlone, dimetan, dimethacarb, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimethirimol, dimethoate, dimethomorph, dimethrin, dimethyl carbate, dimethyl phthalate, dimethylvinphos, dimetilan, dimexano, dimidazon, dimoxystrobin, dinex, dinex-diclexine, dingjunezuo, diniconazole, diniconazole-M, dinitramine, dinobuton, dinocap, dinocap-4, dinocap-6, dinocton, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dinoseb acetate, dinoseb-ammonium, dinoseb-diolamine, dinoseb-sodium, dinoseb-trolamine, dinosulfon, dinotefuran, dinoterb, dinoterb acetate, dinoterbon, diofenolan, dioxabenzofos, dioxacarb, dioxathion, diphacinone, diphacinone-sodium, diphenamid, diphenyl sulfone, diphenylamine, dipropalin, dipropetryn, dipyrithione, diquat, diquat dibromide, disparlure, disul, disulfiram, disulfoton, disul-sodium, ditalimfos, dithianon, dithicrofos, dithioether, dithiopyr, diuron, d-limonene, DMPA, DNOC, DNOC-ammonium, DNOC-potassium, DNOC-sodium, dodemorph, dodemorph acetate, dodemorph benzoate, dodicin, dodicin hydrochloride, dodicin-sodium, dodine, dofenapyn, dominicalure, doramectin, drazoxolon, DSMA, dufulin, EBEP, EBP, ecdysterone, edifenphos, eglinazine, eglinazine-ethyl, emamectin, emamectin benzoate, EMPC, empenthrin, endosulfan, endothal, endothal-diammonium, endothal-dipotassium, endothal-disodium, endothion, endrin, enestroburin, EPN, epocholeone, epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaoan, esdépalléthrine, esfenvalerate, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin, ethiprole, ethirimol, ethoate-methyl, ethofumesate, ethohexadiol, ethoprophos, ethoxyfen, ethoxyfen-ethyl, ethoxyquin, ethoxysulfuron, ethychlozate, ethyl formate, ethyl α-naphthaleneacetate, ethyl-DDD, ethylene, ethylene dibromide, ethylene dichloride, ethylene oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mercaptide, ethylmercury acetate, ethylmercury bromide, ethylmercury chloride, ethylmercury phosphate, etinofen, etnipromid, etobenzanid, etofenprox, etoxazole, etridiazole, etrimfos, eugenol, EXD, famoxadone, famphur, fenamidone, fenaminosulf, fenamiphos, fenapanil, fenarimol, fenasulam, fenazaflor, fenazaquin, fenbuconazole, fenbutatin oxide, fenchlorazole, fenchlorazole-ethyl, fenchlorphos, fenclorim, fenethacarb, fenfluthrin, fenfuram, fenhexamid, fenitropan, fenitrothion, fenjuntong, fenobucarb, fenoprop, fenoprop-3-butoxypropyl, fenoprop-butometyl, fenoprop-butotyl, fenoprop-butyl, fenoprop-isoctyl, fenoprop-methyl, fenoprop-potassium, fenothiocarb, fenoxacrim, fenoxanil, fenoxaprop, fenoxaprop-ethyl, fenoxaprop-P, fenoxaprop-P-ethyl, fenoxasulfone, fenoxycarb, fenpiclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenridazon, fenridazon-potassium, fenridazon-propyl, fenson, fensulfothion, fenteracol, fenthiaprop, fenthiaprop-ethyl, fenthion, fenthion-ethyl, fentin, fentin acetate, fentin chloride, fentin hydroxide, fentrazamide, fentrifanil, fenuron, fenuron TCA, fenvalerate, ferbam, ferimzone, ferrous sulfate, fipronil, flamprop, flamprop-isopropyl, flamprop-M, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, flocoumafen, flometoquin, flonicamid, florasulam, fluacrypyrim, fluazifop, fluazifop-butyl, fluazifop-methyl, fluazifop-P, fluazifop-P-butyl, fluazinam, fluazolate, fluazuron, flubendiamide, flubenzimine, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flucofuron, flucycloxuron, flucythrinate, fludioxonil, fluenetil, fluensulfone, flufenacet, flufenerim, flufenican, flufenoxuron, flufenprox, flufenpyr, flufenpyr-ethyl, flufiprole, flumethrin, flumetover, flumetralin, flumetsulam, flumezin, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, flumorph, fluometuron, fluopicolide, fluopyram, fluorbenside, fluoridamid, fluoroacetamide, fluorodifen, fluoroglycofen, fluoroglycofen-ethyl, fluoroimide, fluoromidine, fluoronitrofen, fluothiuron, fluotrimazole, fluoxastrobin, flupoxam, flupropacil, flupropadine, flupropanate, flupropanate-sodium, flupyradifurone, flupyrsulfuron, flupyrsulfuron-methyl, flupyrsulfuron-methyl-sodium, fluquinconazole, flurazole, flurenol, flurenol-butyl, flurenol-methyl, fluridone, flurochloridone, fluroxypyr, fluroxypyr-butometyl, fluroxypyr-meptyl, flurprimidol, flursulamid, flurtamone, flusilazole, flusulfamide, fluthiacet, fluthiacet-methyl, flutianil, flutolanil, flutriafol, fluvalinate, fluxapyroxad, fluxofenim, folpet, fomesafen, fomesafen-sodium, fonofos, foramsulfuron, forchlorfenuron, formaldehyde, formetanate, formetanate hydrochloride, formothion, formparanate, formparanate hydrochloride, fosamine, fosamine-ammonium, fosetyl, fosetyl-aluminium, fosmethilan, fospirate, fosthiazate, fosthietan, frontalin, fuberidazole, fucaojing, fucaomi, funaihecaoling, fuphenthiourea, furalane, furalaxyl, furamethrin, furametpyr, furathiocarb, furcarbanil, furconazole, furconazole-cis, furethrin, furfural, furilazole, furmecyclox, furophanate, furyloxyfen, *gamma*-cyhalothrin, *gamma*-HCH, genit, gibberellic acid, gibberellins, gliftor, glufosinate, glufosinate-ammonium, glufosinate-P, glufosinate-P-ammonium, glufosinate-P-sodium, glyodin, glyoxime, glyphosate, glyphosate-diammonium, glyphosate-dimethylammonium, glyphosate-isopropylammonium, glyphosate-monoammonium, glyphosate-potassium, glyphosate-sesquisodium, glyphosate-trimesium, glyphosine, gossyplure, grandlure, griseofulvin, guazatine, guazatine acetates, halacrinate, halfenprox, halofenozide, halosafen, halosulfuron, halosulfuron-methyl, haloxydine, haloxyfop, haloxyfop-etotyl, haloxyfop-methyl, haloxyfop-P, haloxyfop-P-etotyl, haloxyfop-P-methyl, haloxyfop-sodium, HCH, hemel, hempa, HEOD, heptachlor, heptenophos, heptopargil, heterophos, hexachloroacetone, hexachlorobenzene, hexachlorobutadiene, hexachlorophene, hexaconazole, hexaflumuron, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox, HHDN, holosulf, huancaiwo, huangcaoling, huanjunzuo, hydramethylnon, hydrargaphen, hydrated lime, hydrogen cyanide, hydroprene, hymexazol, hyquincarb, IAA, IBA, icaridin, imazalil, imazalil nitrate, imazalil sulfate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazaquin-methyl, imazaquin-sodium, imazethapyr, imazethapyr-ammonium, imazosulfuron, imibenconazole, imicyafos, imidacloprid, imidaclothiz, iminoctadine, iminoctadine triacetate, iminoctadine trialbesilate, imiprothrin, inabenfide, indanofan, indaziflam, indoxacarb, inezin, iodobonil, iodocarb, iodomethane, iodosulfuron, iodosulfuron-methyl, iodosulfuron-methyl-sodium, iofensulfuron, iofensulfuron-sodium, ioxynil, ioxynil octanoate, ioxynil-lithium, ioxynil-sodium, ipazine, ipconazole, ipfencarbazone, iprobenfos, iprodione, iprovalicarb, iprymidam, ipsdienol, ipsenol, IPSP, isamidofos, isazofos, isobenzan, isocarbamid, isocarbophos, isocil, isodrin, isofenphos, isofenphos-methyl, isolan, isomethiozin, isonoruron, isopolinate, isoprocarb, isopropalin, isoprothiolane, isoproturon, isopyrazam, isopyrimol, isothioate, isotianil, isouron, isovaledione, isoxaben, isoxachlortole, isoxadifen, isoxadifen-ethyl, isoxaflutole, isoxapyrifop, isoxathion, ivermectin, izopamfos, japonilure, japothrins, jasmolin I, jasmolin II, jasmonic acid, jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, jodfenphos, juvenile hormone I, juvenile hormone II, juvenile hormone III, kadethrin, karbutilate, karetazan, karetazan-potassium, kasugamycin, kasugamycin hydrochloride, kejunlin, kelevan, ketospiradox, ketospiradox-potassium, kinetin, kinoprene, kresoxim-methyl, kuicaoxi, lactofen, lambda-cyhalothrin, latilure, lead arsenate, lenacil, lepimectin, leptophos, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron, lvdingjunzhi, lvxiancaolin, lythidathion, MAA, malathion, maleic hydrazide, malonoben, maltodextrin, MAMA, mancopper, mancozeb, mandipropamid, maneb, matrine, mazidox, MCPA, MCPA-2-ethylhexyl, MCPA-butotyl, MCPA-butyl, MCPA-dimethylammonium, MCPA-diolamine, MCPA-ethyl, MCPA-isobutyl, MCPA-isoctyl, MCPA-isopropyl, MCPA-methyl, MCPA-olamine, MCPA-potassium, MCPA-sodium, MCPA-thioethyl, MCPA-trolamine, MCPB, MCPB-ethyl, MCPB-methyl, MCPB-sodium, mebenil, mecarbam, mecarbinzid, mecarphon, mecoprop, mecoprop-2-ethylhexyl, mecoprop-dimethylammonium, mecoprop-diolamine, mecoprop-ethadyl, mecoprop-isoctyl, mecoprop-methyl, mecoprop-P, mecoprop-P-2-ethylhexyl, mecoprop-P-dimethylammonium, mecoprop-P-isobutyl, mecoprop-potassium, mecoprop-P-potassium, mecoprop-sodium, mecoprop-trolamine, medimeform, medinoterb, medinoterb acetate, medlure, mefenacet, mefenpyr, mefenpyr-diethyl, mefluidide, mefluidide-diolamine, mefluidide-potassium, megatomoic acid, menazon, mepanipyrim, meperfluthrin, mephenate, mephosfolan, mepiquat, mepiquat chloride, mepiquat pentaborate, mepronil, meptyldinocap, mercuric chloride, mercuric oxide, mercurous chloride, merphos, mesoprazine, mesosulfuron, mesosulfuron-methyl, mesotrione, mesulfen, mesulfenfos, metaflumizone, metalaxyl, metalaxyl-M, metaldehyde, metam, metam-ammonium, metamifop, metamitron, metam-potassium, metam-sodium, metazachlor, metazosulfuron, metazoxolon, metconazole, metepa, metflurazon, methabenzthiazuron, methacrifos, methalpropalin, methamidophos, methasulfocarb, methazole, methfuroxam, methidathion, methiobencarb, methiocarb, methiopyrisulfuron, methiotepa, methiozolin, methiuron, methocrotophos, methometon, methomyl, methoprene, methoprotryne, methoquin-butyl, methothrin, methoxychlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl iodide, methyl isothiocyanate, methylacetophos, methylchloroform, methyldymron, methylene chloride, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophenoxide, methylneodecanamide, metiram, metobenzuron, metobromuron, metofluthrin, metolachlor, metolcarb, metominostrobin, metosulam, metoxadiazone, metoxuron, metrafenone, metribuzin, metsulfovax, metsulfuron, metsulfuron-methyl, mevinphos, mexacarbate, mieshuan, milbemectin, milbemycin oxime, milneb, mipafox, mirex, MNAF, moguchun, molinate, molosultap, monalide, monisouron, monochloroacetic acid, monocrotophos, monolinuron, monosulfuron, monosulfuron-ester, monuron, monuron TCA, morfamquat, morfamquat dichloride, moroxydine, moroxydine hydrochloride, morphothion, morzid, moxidectin, MSMA, muscalure, myclobutanil, myclozolin, N-(ethylmercury)-p-toluenesulphonanilide, nabam, naftalofos, naled, naphthalene, naphthaleneacetamide, naphthalic anhydride, naphthoxyacetic acids, naproanilide, napropamide, naptalam, naptalam-sodium, natamycin, neburon, niclosamide, niclosamide-olamine, nicosulfuron, nicotine, nifluridide, nipyraclofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen, nitrofluorfen, nitrostyrene, nitrothal-isopropyl, norbormide, norflurazon, nornicotine, noruron, novaluron, noviflumuron, nuarimol, OCH, octachlorodipropyl ether, octhilinone, ofurace, omethoate, orbencarb, orfralure, ortho-dichlorobenzene, orthosulfamuron, oryctalure, orysastrobin, oryzalin, osthol, ostramone, oxabetrinil, oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazon, oxapyrazon-dimolamine, oxapyrazon-sodium, oxasulfuron, oxaziclomefone, oxine-copper, oxolinic acid, oxpoconazole, oxpoconazole fumarate, oxycarboxin, oxydemeton-methyl, oxydeprofos, oxydisulfoton, oxyfluorfen, oxymatrine, oxytetracycline, oxytetracycline hydrochloride, paclobutrazol, paichongding, para-dichlorobenzene, parafluron, paraquat, paraquat dichloride, paraquat dimetilsulfate, parathion, parathion-methyl, parinol, pebulate, pefurazoate, pelargonic acid, penconazole, pencycuron, pendimethalin, penflufen, penfluron, penoxsulam, pentachlorophenol, pentanochlor, penthiopyrad, pentmethrin, pentoxazone, perfluidone, permethrin, pethoxamid, phenamacril, phenazine oxide, phenisopham, phenkapton, phenmedipham, phenmedipham-ethyl, phenobenzuron, phenothrin, phenproxide, phenthoate, phenylmercuriurea, phenylmercury acetate, phenylmercury chloride, phenylmercury derivative of pyrocatechol, phenylmercury nitrate, phenylmercury salicylate, phorate, phosacetim, phosalone, phosdiphen, phosfolan, phosfolan-methyl, phosglycin, phosmet, phosnichlor, phosphamidon, phosphine, phosphocarb, phosphorus, phostin, phoxim, phoxim-methyl, phthalide, picloram, picloram-2-ethylhexyl, picloram-isoctyl, picloram-methyl, picloram-olamine, picloram-potassium, picloram-triethylammonium, picloram-tris(2-

hydroxypropyl)ammonium, picolinafen, picoxystrobin, pindone, pindone-sodium, pinoxaden, piperalin, piperonyl butoxide, piperonyl cyclonene, piperophos, piproctanyl, piproctanyl bromide, piprotal, pirimetaphos, pirimicarb, pirimioxyphos, pirimiphos-ethyl, pirimiphos-methyl, plifenate, polycarbamate, polyoxins, polyoxorim, polyoxorim-zinc, polythialan, potassium arsenite, potassium azide, potassium cyanate, potassium gibberellate, potassium naphthenate, potassium polysulfide, potassium thiocyanate, potassium α-naphthaleneacetate, *pp'*-DDT, prallethrin, precocene I, precocene II, precocene III, pretilachlor, primidophos, primisulfuron, primisulfuron-methyl, probenazole, prochloraz, prochloraz-manganese, proclonol, procyazine, procymidone, prodiamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, proglinazine, proglinazine-ethyl, prohexadione, prohexadione-calcium, prohydrojasmon, promacyl, promecarb, prometon, prometryn, promurit, propachlor, propamidine, propamidine dihydrochloride, propamocarb, propamocarb hydrochloride, propanil, propaphos, propaquizafop, propargite, proparthrin, propazine, propetamphos, propham, propiconazole, propineb, propisochlor, propoxur, propoxycarbazone, propoxycarbazone-sodium, propyl isome, propyrisulfuron, propyzamide, proquinazid, prosuler, prosulfalin, prosulfocarb, prosulfuron, prothidathion, prothiocarb, prothiocarb hydrochloride, prothioconazole, prothiofos, prothoate, protrifenbute, proxan, proxan-sodium, prynachlor, pydanon, pymetrozine, pyracarbolid, pyraclofos, pyraclonil, pyraclostrobin, pyraflufen, pyraflufen-ethyl, pyrafluprole, pyramat, pyrametostrobin, pyraoxystrobin, pyrasulfotole, pyrazolynate, pyrazophos, pyrazosulfuron, pyrazosulfuron-ethyl, pyrazothion, pyrazoxyfen, pyresmethrin, pyrethrin I, pyrethrin II, pyrethrins, pyribambenz-isopropyl, pyribambenz-propyl, pyribencarb, pyribenzoxim, pyributicarb, pyriclor, pyridaben, pyridafol, pyridalyl, pyridaphenthion, pyridate, pyridinitril, pyrifenox, pyrifluquinazon, pyriftalid, pyrimethanil, pyrimidifen, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrimitate, pyrinuron, pyriofenone, pyriprole, pyripropanol, pyriproxyfen, pyrithiobac, pyrithiobac-sodium, pyrolan, pyroquilon, pyroxasulfone, pyroxsulam, pyroxychlor, pyroxyfur, quassia, quinacetol, quinacetol sulfate, quinalphos, quinalphos-methyl, quinazamid, quinclorac, quinconazole, quinmerac, quinoclamine, quinonamid, quinothion, quinoxyfen, quintiofos, quintozene, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, quwenzhi, quyingding, rabenzazole, rafoxanide, rebemide, resmethrin, rhodethanil, rhodojaponin-III, ribavirin, rimsulfuron, rotenone, ryania, saflufenacil, saijunmao, saisentong, salicylanilide, sanguinarine, santonin, schradan, scilliroside, sebuthylazine, secbumeton, sedaxane, selamectin, semiamitraz, semiamitraz chloride, sesamex, sesamolin, sethoxydim, shuangjiaancaolin, siduron, siglure, silafluofen, silatrane, silica gel, silthiofam, simazine, simeconazole, simeton, simetryn, sintofen, SMA, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium orthophenylphenoxide, sodium pentachlorophenoxide, sodium polysulfide, sodium thiocyanate, sodium α-naphthaleneacetate, sophamide, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, spiroxamine, streptomycin, streptomycin sesquisulfate, strychnine, sulcatol, sulcofuron, sulcofuron-sodium, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramid, sulfometuron, sulfometuron-methyl, sulfosulfuron, sulfotep, sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulprofos, sultropen, swep, *tau*-fluvalinate, tavron, tazimcarb, TCA, TCA-ammonium, TCA-calcium, TCA-ethadyl, TCA-magnesium, TCA-sodium, TDE, tebuconazole, tebufenozide, tebufenpyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, teflubenzuron, tefluthrin, tefuryltrione, tembotrione, temephos, tepa, TEPP, tepraloxydim, terallethrin, terbacil, terbucarb, terbuchlor, terbufos, terbumeton, terbuthylazine, terbutryn, tetcyclacis, tetrachloroethane, tetrachlorvinphos, tetraconazole, tetradifon, tetrafluron, tetramethrin, tetramethylfluthrin, tetramine, tetranactin, tetrasul, thallium sulfate, thenylchlor, theta-cypermethrin, thiabendazole, thiacloprid, thiadifluor, thiamethoxam, thiapronil, thiazafluron, thiazopyr, thicrofos, thicyofen, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thifluzamide, thiobencarb, thiocarboxime, thiochlorfenphim, thiocyclam, thiocyclam hydrochloride, thiocyclam oxalate, thiodiazole-copper, thiodicarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thiometon, thionazin, thiophanate, thiophanate-methyl, thioquinox, thiosemicarbazide, thiosultap, thiosultap-diammonium, thiosultap-disodium, thiosultap-monosodium, thiotepa, thiram, thuringiensin, tiadinil, tiaojiean, tiocarbazil, tioclorim, tioxymid, tirpate, tolclofos-methyl, tolfenpyrad, tolylfluanid, tolylmercury acetate, topramezone, tralkoxydim, tralocythrin, tralomethrin, tralopyril, transfluthrin, transpermethrin, tretamine, triacontanol, triadimefon, triadimenol, triafamone, tri-allate, triamiphos, triapenthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazoxide, tribenuron, tribenuron-methyl, tribufos, tributyltin oxide, tricamba, trichlamide, trichlorfon, trichlormetaphos-3, trichloronat, triclopyr, triclopyr-butotyl, triclopyr-ethyl, triclopyr-triethylammonium, tricyclazole, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, trifloxysulfuron, trifloxysulfuron-sodium, triflumizole, triflumuron, trifluralin, triflusulfuron, triflusulfuron-methyl, trifop, trifop-methyl, trifopsime, triforine, trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, trinexapac-ethyl, triprene, tripropindan, triptolide, tritac, triticonazole, tritosulfuron, trunc-call, uniconazole, uniconazole-P, urbacide, uredepa, valerate, validamycin, valifenalate, valone, vamidothion, vangard, vaniliprole, vernolate, vinclozolin, warfarin, warfarin-potassium, warfarin-sodium, xiaochongliulin, xinjunan, xiwojunan, XMC, xylachlor, xylenols, xylylcarb, yishijing, zarilamid, zeatin, zengxiaoan, zeta-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zineb, ziram, zolaprofos, zoxamide, zuomihuanglong, α-chlorohydrin, α-ecdysone, α-multistriatin, and α-naphthaleneacetic acid. For more information consult the "COMPENDIUM OF PESTICIDE COMMON NAMES" located at http://www.alanwood.net/pesticides/index.html. Also consult "THE PESTICIDE MANUAL" 14th Edition, edited by C D S Tomlin, copyright 2006 by British Crop Production Council, or its prior or more recent editions.

BIOPESTICIDES

Molecules of Formula One may also be used in combination (such as in a compositional mixture, or a simultaneous or sequential application) with one or more biopesticides. The term "biopesticide" is used for microbial biological pest control agents that are applied in a similar manner to chemical pesticides. Commonly these are bacterial, but there are also examples of fungal control agents, including *Trichoderma* spp. and *Ampelomyces quisqualis* (a control agent for grape powdery mildew). *Bacillus subtilis* are used to control plant pathogens. Weeds and rodents have also been controlled with microbial agents. One well-known insecticide example is *Bacillus thuringiensis*, a bacterial disease of Lepidoptera, Coleoptera, and Diptera. Because it has little effect on other organisms, it is considered more environmentally friendly than synthetic pesticides. Biological insecticides include products based on:

1. entomopathogenic fungi (*e.g. Metarhizium anisopliae*);
2. entomopathogenic nematodes (*e.g. Steinernema feltiae*); and
3. entomopathogenic viruses (*e.g. Cydia pomonella* granulovirus).

Other examples of entomopathogenic organisms include, but are not limited to, baculoviruses, bacteria and other prokaryotic organisms, fungi, protozoa and Microsproridia. Biologically derived insecticides include, but not limited to, rotenone, veratridine, as well as microbial toxins; insect tolerant or resistant plant varieties; and organisms modified by recombinant DNA technology to either produce insecticides or to convey an insect resistant property to the genetically modified organism. In one embodiment, the molecules of Formula One may be used with one or more biopesticides in the area of seed treatments and soil amendments. *The Manual of Biocontrol Agents* gives a review of the available biological insecticide (and other biology-based control) products. Copping L.G. (ed.) (2004). *The*

Manual of Biocontrol Agents (formerly the *Biopesticide Manual*) 3rd Edition. British Crop Production Council (BCPC), Farnham, Surrey UK.

OTHER ACTIVE COMPOUNDS

Molecules of Formula One may also be used in combination (such as in a compositional mixture, or a simultaneous or sequential application) with one or more of the following:

1. 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;
2. 3-(4'-chloro-2,4-dimethyl[1,1'-biphenyl]-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;
3. 4-[[(6-chloro-3-pyridinyl)methyl]methylamino]-2(5*H*)-furanone;
4. 4-[[(6-chloro-3-pyridinyl)methyl]cyclopropylamino]-2(5*H*)-furanone;
5. 3-chloro-*N*2-[(1*S*)-1-methyl-2-(methylsulfonyl)ethyl]-*N*1-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1,2-benzenedicarboxamide;
6. 2-cyano-*N*-ethyl-4-fluoro-3-methoxy-benenesulfonamide;
7. 2-cyano-*N*-ethyl-3-methoxy-benzenesulfonamide;
8. 2-cyano-3-difluoromethoxy-*N*-ethyl-4-fluoro-benzenesulfonamide;
9. 2-cyano-3-fluoromethoxy-*N*-ethyl-benzenesulfonamide;
10. 2-cyano-6-fluoro-3-methoxy-*N,N*-dimethyl-benzenesulfonamide;
11. 2-cyano-*N*-ethyl-6-fluoro-3-methoxy-*N*-methyl-benzenesulfonamide;
12. 2-cyano-3-difluoromethoxy-*N,N*-dimethylbenzenesulfon-amide;
13. 3-(difluoromethyl)-*N*-[2-(3,3-dimethylbutyl)phenyl]-1-methyl-1*H*-pyrazole-4-carboxamide;
14. *N*-ethyl-2,2-dimethylpropionamide-2-(2,6-dichloro-α,α,α-trifluoro-*p*-tolyl) hydrazone;
15. *N*-ethyl-2,2-dichloro-1-methylcyclopropane-carboxamide-2-(2,6-dichloro-α,α,α-trifluoro-*p*-tolyl) hydrazone nicotine;
16. O-{(E-)-[2-(4-chloro-phenyl)-2-cyano-1-(2-trifluoromethylphenyl)-vinyl]} S-methyl thiocarbonate;
17. (E)-N1-[(2-chloro-1,3-thiazol-5-ylmethyl)]-N2-cyano-N1-methylacetamidine;
18. 1-(6-chloropyridin-3-ylmethyl)-7-methyl-8-nitro-1,2,3,5,6,7-hexahydro-imidazo[1,2-a]pyridin-5-ol;
19. 4-[4-chlorophenyl-(2-butylidine-hydrazono)methyl)]phenyl mesylate; and
20. N-Ethyl-2,2-dichloro-1-methylcyclopropanecarboxamide-2-(2,6-dichloro-*alpha,alpha,alpha*-trifluoro-p-tolyl)hydrazone.

SYNERGISTIC MIXTURES

Molecules of Formula One may be used with certain active compounds to form synergistic mixtures where the mode of action of such compounds compared to the mode of action of the molecules of Formula One are the same, similar, or different. Examples of modes of action include, but are not limited to: acetylcholinesterase inhibitor; sodium channel modulator; chitin biosynthesis inhibitor; GABA and glutamate-gated chloride channel antagonist; GABA and glutamate-gated chloride channel agonist; acetylcholine receptor agonist; acetylcholine receptor antagonist; MET I inhibitor; Mg-stimulated ATPase inhibitor; nicotinic acetylcholine receptor; Midgut membrane disrupter; oxidative phosphorylation disrupter, and ryanodine receptor (RyRs). Generally, weight ratios of the molecules of Formula One in a synergistic mixture with another compound are from about 10:1 to about 1:10, in another embodiment from about 5:1 to about 1:5, and in another embodiment from about 3:1, and in another embodiment about 1:1.

FORMULATIONS

A pesticide is rarely suitable for application in its pure form. It is usually necessary to add other substances so that the pesticide can be used at the required concentration and in an appropriate form, permitting ease of application, handling, transportation, storage, and maximum pesticide activity. Thus, pesticides are formulated into, for example, baits, concentrated emulsions, dusts, emulsifiable concentrates, fumigants, gels, granules, microencapsulations, seed treatments, suspension concentrates, suspoemulsions, tablets, water soluble liquids, water dispersible granules or dry flowables, wettable powders, and ultra low volume solutions. For further information on formulation types see "Catalogue of Pesticide Formulation Types and International Coding System" Technical Monograph n°2, 5th Edition by CropLife International (2002).

Pesticides are applied most often as aqueous suspensions or emulsions prepared from concentrated formulations of such pesticides. Such water-soluble, water-suspendable, or emulsifiable formulations are either solids, usually known as wettable powders, or water dispersible granules, or liquids usually known as emulsifiable concentrates, or aqueous suspensions. Wettable powders, which may be compacted to form water dispersible granules, comprise an intimate mixture of the pesticide, a carrier, and surfactants. The concentration of the pesticide is usually from about 10% to about 90% by weight. The carrier is usually selected from among the attapulgite clays, the montmorillonite clays, the diatomaceous earths, or the purified silicates. Effective surfactants, comprising from about 0.5% to about 10% of the wettable powder, are found among sulfonated lignins, condensed naphthalenesulfonates, naphthalenesulfonates, alkylbenzenesulfonates, alkyl sulfates, and non-ionic surfactants such as ethylene oxide adducts of alkyl phenols.

Emulsifiable concentrates of pesticides comprise a convenient concentration of a pesticide, such as from about 50 to about 500 grams per liter of liquid dissolved in a carrier that is either a water miscible solvent or a mixture of water-immiscible organic solvent and emulsifiers. Useful organic solvents include aromatics, especially xylenes and petroleum fractions, especially the high-boiling naphthalenic and olefinic portions of petroleum such as heavy aromatic naphtha. Other organic solvents may also be used, such as the terpenic solvents including rosin derivatives, aliphatic ketones such as cyclohexanone, and complex alcohols such as 2-ethoxyethanol. Suitable emulsifiers for emulsifiable concentrates are selected from conventional anionic and non-ionic surfactants.

Aqueous suspensions comprise suspensions of water-insoluble pesticides dispersed in an aqueous carrier at a concentration in the range from about 5% to about 50% by weight. Suspensions are prepared by finely grinding the pesticide and vigorously mixing it into a carrier comprised of water and surfactants. Ingredients, such as inorganic salts and synthetic or natural gums may also be added, to increase the density and viscosity of the aqueous carrier. It is often most effective to grind and mix the pesticide at the same time by preparing the aqueous mixture and homogenizing it in an implement such as a sand mill, ball mill, or piston-type homogenizer.

Pesticides may also be applied as granular compositions that are particularly useful for applications to the soil. Granular compositions usually contain from about 0.5% to about 10% by weight of the pesticide, dispersed in a carrier that comprises clay or a similar substance. Such compositions are usually prepared by dissolving the pesticide in a suitable solvent and applying it to a granular carrier which has been pre-formed to the appropriate particle size, in the range of from about 0.5 to about 3 mm. Such compositions may also be formulated by making a dough or paste of the carrier and compound and crushing and drying to obtain the desired granular particle size.

Dusts containing a pesticide are prepared by intimately mixing the pesticide in powdered form with a suitable dusty agricultural carrier, such as kaolin clay, ground volcanic rock, and the like. Dusts can suitably contain from about 1% to about 10% of the pesticide. They can be applied as a seed dressing or as a foliage application with a dust blower machine.

It is equally practical to apply a pesticide in the form of a solution in an appropriate organic solvent, usually petroleum oil, such as the spray oils, which are widely used in agricultural chemistry.

Pesticides can also be applied in the form of an aerosol composition. In such compositions the pesticide is dissolved or dispersed in a carrier, which is a pressure-generating propellant mixture. The aerosol composition is packaged in a container from which the mixture is dispensed through an atomizing valve.

Pesticide baits are formed when the pesticide is mixed with food or an attractant or both. When the pests eat the bait they also consume the pesticide. Baits may take the form of granules, gels, flowable powders, liquids, or solids. They can be used in pest harborages.

Fumigants are pesticides that have a relatively high vapor pressure and hence can exist as a gas in sufficient concentrations to kill pests in soil or enclosed spaces. The toxicity of the fumigant is proportional to its concentration and the exposure time. They are characterized by a good capacity for diffusion and act by penetrating the pest's respiratory system or being absorbed through the pest's cuticle. Fumigants are applied to control stored product pests under gas proof sheets, in gas sealed rooms or buildings or in special chambers.

Pesticides can be microencapsulated by suspending the pesticide particles or droplets in plastic polymers of various types. By altering the chemistry of the polymer or by changing factors in the processing, microcapsules can be formed of various sizes, solubility, wall thicknesses, and degrees of penetrability. These factors govern the speed with which the active ingredient within is released, which in turn, affects the residual performance, speed of action, and odor of the product.

Oil solution concentrates are made by dissolving pesticide in a solvent that will hold the pesticide in solution. Oil solutions of a pesticide usually provide faster knockdown and kill of pests than other formulations due to the solvents themselves having pesticidal action and the dissolution of the waxy covering of the integument increasing the speed of uptake of the pesticide. Other advantages of oil solutions include better storage stability, better penetration of crevices, and better adhesion to greasy surfaces.

Another embodiment is an oil-in-water emulsion, wherein the emulsion comprises oily globules which are each provided with a lamellar liquid crystal coating and are dispersed in an aqueous phase, wherein each oily globule comprises at least one compound which is agriculturally active, and is individually coated with a monolamellar or oligolamellar layer comprising: (1) at least one non-ionic lipophilic surface-active agent, (2) at least one non-ionic hydrophilic surface-active agent and (3) at least one ionic surface-active agent, wherein the globules having a mean particle diameter of less than 800 nanometers. Further information on the embodiment is disclosed in U.S. patent publication 20070027034 published February 1, 2007, having Patent Application serial number 11/495,228. For ease of use, this embodiment will be referred to as “OIWE”.

For further information consult “Insect Pest Management” 2nd Edition by D. Dent, copyright CAB International (2000). Additionally, for more detailed information consult “Handbook of Pest Control – The Behavior, Life History, and Control of Household Pests” by Arnold Mallis, 9th Edition, copyright 2004 by GIE Media Inc.

OTHER FORMULATION COMPONENTS

Generally, when the molecules disclosed in Formula One are used in a formulation, such formulation can also contain other components. These components include, but are not limited to, (this is a non-exhaustive and non-mutually exclusive list) wetters, spreaders, stickers, penetrants, buffers, sequestering agents, drift reduction agents, compatibility agents, anti-foam agents, cleaning agents, and emulsifiers. A few components are described forthwith.

A wetting agent is a substance that when added to a liquid increases the spreading or penetration power of the liquid by reducing the interfacial tension between the liquid and the surface on which it is spreading. Wetting agents are used for two main functions in agrochemical formulations: during processing and manufacture to increase the rate of wetting of powders in water to make concentrates for soluble liquids or suspension concentrates; and during mixing of a product with water in a spray tank to reduce the wetting time of wettable powders and to improve the penetration of water into water-dispersible granules. Examples of wetting agents used in wettable powder, suspension concentrate, and water-dispersible granule formulations are: sodium lauryl sulfate; sodium dioctyl sulfosuccinate; alkyl phenol ethoxylates; and aliphatic alcohol ethoxylates.

A dispersing agent is a substance which adsorbs onto the surface of particles and helps to preserve the state of dispersion of the particles and prevents them from reaggregating. Dispersing agents are added to agrochemical formulations to facilitate dispersion and suspension during manufacture, and to ensure the particles redisperse into water in a spray tank. They are widely used in wettable powders, suspension concentrates and water-dispersible granules. Surfactants that are used as dispersing agents have the ability to adsorb strongly onto a particle surface and provide a charged or steric barrier to reaggregation of particles. The most commonly used surfactants are anionic, non-ionic, or mixtures of the two types. For wettable powder formulations, the most common dispersing agents are sodium lignosulfonates. For suspension concentrates, very good adsorption and stabilization are obtained using polyelectrolytes, such as sodium naphthalene sulfonate formaldehyde condensates. Tristyrylphenol ethoxylate phosphate esters are also used. Non-ionics such as alkylarylethylene oxide condensates and EO-PO block copolymers are sometimes combined with anionics as dispersing agents for suspension concentrates. In recent years, new types of very high molecular weight polymeric surfactants have been developed as dispersing agents. These have very long hydrophobic ‘backbones’ and a large number of ethylene oxide chains forming the ‘teeth’ of a ‘comb’ surfactant. These high molecular weight polymers can give very good long-term stability to suspension concentrates because the hydrophobic backbones have many anchoring points onto the particle surfaces. Examples of dispersing agents used in agrochemical formulations are: sodium lignosulfonates; sodium naphthalene sulfonate formaldehyde condensates; tristyrylphenol ethoxylate phosphate esters; aliphatic alcohol ethoxylates; alkyl ethoxylates; EO-PO block copolymers; and graft copolymers.

An emulsifying agent is a substance which stabilizes a suspension of droplets of one liquid phase in another liquid phase. Without the emulsifying agent the two liquids would separate into two immiscible liquid phases. The most commonly used emulsifier blends contain alkylphenol or aliphatic alcohol with twelve or more ethylene oxide units and the oil-soluble calcium salt of dodecylbenzenesulfonic acid. A range of hydrophile-lipophile balance (“HLB”) values from 8 to 18 will normally provide good stable emulsions. Emulsion stability can sometimes be improved by the addition of a small amount of an EO-PO block copolymer surfactant.

A solubilizing agent is a surfactant which will form micelles in water at concentrations above the critical micelle concentration. The micelles are then able to dissolve or solubilize water-insoluble materials inside the hydrophobic part of the micelle. The types of surfactants usually used for solubilization are non-ionics, sorbitan monooleates, sorbitan monooleate ethoxylates, and methyl oleate esters.

Surfactants are sometimes used, either alone or with other additives such as mineral or vegetable oils as adjuvants to spray-tank mixes to improve the biological performance of the pesticide on the target. The types of surfactants used for bioenhancement depend generally on the nature and mode of action of the pesticide. However, they are often non-ionics such as: alkyl ethoxylates; linear aliphatic alcohol ethoxylates; aliphatic amine ethoxylates.

A carrier or diluent in an agricultural formulation is a material added to the pesticide to give a product of the required strength. Carriers are usually materials with high absorptive capacities, while diluents are usually materials with low absorptive capacities. Carriers and diluents are used in the formulation of dusts, wettable powders, granules and water-dispersible granules.

Organic solvents are used mainly in the formulation of emulsifiable concentrates, oil-in-water emulsions, suspoemulsions, and ultra low volume formulations, and to a lesser extent, granular formulations. Sometimes mixtures of solvents are used. The first main groups of solvents are aliphatic paraffinic oils such as kerosene or refined paraffins. The second main group (and the most common) comprises the aromatic solvents such as xylene and higher molecular weight fractions of C9 and C10 aromatic solvents. Chlorinated hydrocarbons are useful as cosolvents to prevent crystallization of pesticides when the formulation is emulsified into water. Alcohols are sometimes used as cosolvents to increase solvent power. Other solvents may include vegetable oils, seed oils, and esters of vegetable and seed oils.

Thickeners or gelling agents are used mainly in the formulation of suspension concentrates, emulsions and suspoemulsions to modify the rheology or flow properties of the liquid and to prevent separation and settling of the dispersed particles or droplets. Thickening, gelling, and anti-settling agents generally fall into two categories, namely water-insoluble particulates and water-soluble polymers. It is possible to produce suspension concentrate formulations using clays and silicas. Examples of these types of materials, include, but are not limited to, montmorillonite, bentonite, magnesium aluminum silicate, and attapulgite. Water-soluble polysaccharides have been used as thickening-gelling agents for many years. The types of polysaccharides most commonly used are natural extracts of seeds and seaweeds or are synthetic derivatives of cellulose. Examples of these types of materials include, but are not limited to, guar gum; locust bean gum; carrageenam; alginates; methyl cellulose; sodium carboxymethyl cellulose (SCMC); hydroxyethyl cellulose (HEC). Other types of anti-settling agents are based on modified starches, polyacrylates, polyvinyl alcohol and polyethylene oxide. Another good anti-settling agent is xanthan gum.

Microorganisms can cause spoilage of formulated products. Therefore preservation agents are used to eliminate or reduce their effect. Examples of such agents include, but are not limited to: propionic acid and its sodium salt; sorbic acid and its sodium or potassium salts; benzoic acid and its sodium salt; *p*-hydroxybenzoic acid sodium salt; methyl *p*-hydroxybenzoate; and 1,2-benzisothiazolin-3-one (BIT).

The presence of surfactants often causes water-based formulations to foam during mixing operations in production and in application through a spray tank. In order to reduce the tendency to foam, anti-foam agents are often added either during the production stage or before filling into bottles. Generally, there are two types of anti-foam agents, namely silicones and non-silicones. Silicones are usually aqueous emulsions of dimethyl polysiloxane, while the non-silicone anti-foam agents are water-insoluble oils, such as octanol and nonanol, or silica. In both cases, the function of the anti-foam agent is to displace the surfactant from the air-water interface.

"Green" agents (*e.g.*, adjuvants, surfactants, solvents) can reduce the overall environmental footprint of crop protection formulations. Green agents are biodegradable and generally derived from natural and/or sustainable sources, *e.g.* plant and animal sources. Specific examples are: vegetable oils, seed oils, and esters thereof, also alkoxylated alkyl polyglucosides.

For further information, see "Chemistry and Technology of Agrochemical Formulations" edited by D.A. Knowles, copyright 1998 by Kluwer Academic Publishers. Also see "Insecticides in Agriculture and Environment – Retrospects and Prospects" by A.S. Perry, I. Yamamoto, I. Ishaaya, and R. Perry, copyright 1998 by Springer-Verlag.

PESTS

In general, the molecules of Formula One may be used to control pests *e.g.* beetles, earwigs, cockroaches, flies. aphids, scales, whiteflies, leafhoppers, ants, wasps, termites, moths, butterflies, lice, grasshoppers, locusts, crickets, fleas, thrips, bristletails, mites, ticks, nematodes, and symphylans.

In another embodiment, the molecules of Formula One may be used to control pests in the **Phyla Nematoda** and/or **Arthropoda**.

In another embodiment, the molecules of Formula One may be used to control pests in the **Subphyla Chelicerata**, **Myriapoda**, and/or **Hexapoda**.

In another embodiment, the molecules of Formula One may be used to control pests in the **Classes** of **Arachnida, Symphyla**, and/or **Insecta**.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Anoplura**. A non-exhaustive list of particular genera includes, but is not limited to, *Haematopinus* spp., *Hoplopleura* spp., *Linognathus* spp., *Pediculus* spp., and *Polyplax* spp. A non-exhaustive list of particular species includes, but is not limited to, *Haematopinus asini, Haematopinus suis*, *Linognathus setosus*, *Linognathus ovillus*, *Pediculus humanus capitis*, *Pediculus humanus humanus*, and *Pthirus pubis.*

In another embodiment, the molecules of Formula One may be used to control pests in the **Order Coleoptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Acanthoscelides* spp., *Agriotes* spp., *Anthonomus* spp., *Apion* spp., *Apogonia* spp., *Aulacophora* spp., *Bruchus* spp., *Cerosterna* spp., *Cerotoma* spp., *Ceutorhynchus* spp., *Chaetocnema* spp., *Colaspis* spp., *Ctenicera* spp., *Curculio* spp., *Cyclocephala* spp., *Diabrotica* spp., *Hypera* spp., *Ips* spp., *Lyctus* spp., *Megascelis* spp., *Meligethes* spp., *Otiorhynchus* spp., *Pantomorus* spp., *Phyllophaga* spp., *Phyllotreta* spp., *Rhizotrogus* spp., *Rhynchites* spp., *Rhynchophorus* spp., *Scolytus* spp., *Sphenophorus* spp., *Sitophilus* spp., and *Tribolium* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acanthoscelides obtectus, Agrilus planipennis, Anoplophora glabripennis, Anthonomus grandis, Ataenius spretulus, Atomaria linearis, Bothynoderes punctiventris, Bruchus pisorum, Callosobruchus maculatus, Carpophilus hemipterus, Cassida vittata, Cerotoma trifurcata, Ceutorhynchus assimilis, Ceutorhynchus napi, Conoderus scalaris, Conoderus stigmosus, Conotrachelus nenuphar, Cotinis nitida, Crioceris asparagi, Cryptolestes ferrugineus, Cryptolestes pusillus, Cryptolestes turcicus, Cylindrocopturus adspersus, Deporaus marginatus, Dermestes lardarius, Dermestes maculatus, Epilachna varivestis, Faustinus cubae, Hylobius pales, Hypera postica, Hypothenemus hampei, Lasioderma serricorne, Leptinotarsa decemlineata, Liogenys fuscus, Liogenys suturalis, Lissorhoptrus oryzophilus, Maecolaspis joliveti, Melanotus communis, Meligethes aeneus, Melolontha melolontha, Oberea brevis, Oberea linearis, Oryctes rhinoceros, Oryzaephilus mercator, Oryzaephilus surinamensis, Oulema melanopus, Oulema oryzae, Phyllophaga cuyabana, Popillia japonica, Prostephanus truncatus, Rhyzopertha dominica,, Sitona lineatus, Sitophilus granarius, Sitophilus oryzae, Sitophilus zeamais, Stegobium paniceum, Tribolium castaneum, Tribolium confusum, Trogoderma variabile,* and *Zabrus tenebrioides.*

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Dermaptera**.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Blattaria**. A non-exhaustive list of particular species includes, but is not limited to, *Blattella germanica, Blatta orientalis, Parcoblatta pennsylvanica, Periplaneta americana, Periplaneta australasiae, Periplaneta brunnea, Periplaneta fuliginosa, Pycnoscelus surinamensis,* and *Supella longipalpa.*

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Diptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Aedes* spp., *Agromyza* spp., *Anastrepha* spp., *Anopheles* spp., *Bactrocera* spp., *Ceratitis* spp., *Chrysops* spp., *Cochliomyia* spp., *Contarinia* spp., *Culex* spp., *Dasineura* spp., *Delia* spp., *Drosophila* spp., *Fannia* spp., *Hylemyia* spp., *Liriomyza* spp., *Musca* spp., *Phorbia* spp., *Tabanus* spp., and *Tipula* spp. A non-exhaustive list of particular species includes, but is not limited to, *Agromyza frontella*, *Anastrepha suspensa*, *Anastrepha ludens, Anastrepha obliqa, Bactrocera cucurbitae*, *Bactrocera dorsalis*, *Bactrocera invadens, Bactrocera zonata,* *Ceratitis capitata*, *Dasineura brassicae*, *Delia platura*, *Fannia canicularis*, *Fannia scalaris*, *Gasterophilus intestinalis*, *Gracillia perseae*, *Haematobia irritans*, *Hypoderma lineatum*, *Liriomyza brassicae, Melophagus ovinus*, *Musca autumnalis*, *Musca domestica*, *Oestrus ovis*, *Oscinella frit*, *Pegomya betae, Psila rosae*, *Rhagoletis cerasi*, *Rhagoletis pomonella*, *Rhagoletis mendax, Sitodiplosis mosellana*, and *Stomoxys calcitrans*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Hemiptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Adelges* spp., *Aulacaspis* spp., *Aphrophora* spp., *Aphis* spp., *Bemisia* spp., *Ceroplastes* spp., *Chionaspis* spp., *Chrysomphalus* spp., *Coccus* spp., *Empoasca* spp., *Lepidosaphes* spp., *Lagynotomus* spp., *Lygus* spp., *Macrosiphum* spp., *Nephotettix* spp., *Nezara* spp., *Philaenus* spp., *Phytocoris* spp., *Piezodorus* spp., *Planococcus* spp., *Pseudococcus* spp., *Rhopalosiphum* spp., *Saissetia* spp., *Therioaphis* spp., *Toumeyella* spp., *Toxoptera* spp., *Trialeurodes* spp., *Triatoma* spp. and *Unaspis* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acrosternum hilare*, *Acyrthosiphon pisum, Aleyrodes proletella, Aleurodicus dispersus, Aleurothrixus floccosus*, *Amrasca biguttula biguttula, Aonidiella aurantii*, *Aphis gossypii*, *Aphis glycines*, *Aphis pomi*, *Aulacorthum solani*, *Bemisia argentifolii, Bemisia tabaci*, *Blissus leucopterus*, *Brachycorynella asparagi*, *Brevennia rehi, Brevicoryne brassicae*, *Calocoris norvegicus*, *Ceroplastes rubens*, *Cimex hemipterus*, *Cimex lectularius*, *Dagbertus fasciatus*, *Dichelops furcatus, Diuraphis noxia, Diaphorina citri, Dysaphis plantaginea*, *Dysdercus suturellus*, *Edessa meditabunda, Eriosoma lanigerum*, *Eurygaster maura*, *Euschistus heros, Euschistus servus*, *Helopeltis antonii, Helopeltis theivora*, *Icerya purchasi*, *Idioscopus nitidulus*, *Laodelphax striatellus*, *Leptocorisa oratorius, Leptocorisa varicornis, Lygus hesperus*, *Maconellicoccus hirsutus, Macrosiphum euphorbiae*, *Macrosiphum granarium*, *Macrosiphum rosae*, *Macrosteles quadrilineatus*, *Mahanarva frimbiolata, Metopolophium dirhodum*, *Mictis longicornis, Myzus persicae*, *Nephotettix cinctipes*, *Neurocolpus longirostris, Nezara viridula, Nilaparvata lugens*, *Parlatoria pergandii*, *Parlatoria ziziphi*, *Peregrinus maidis*, *Phylloxera vitifoliae*, *Physokermes piceae*,, *Phytocoris californicus, Phytocoris relativus, Piezodorus guildinii, Poecilocapsus lineatus*, *Psallus vaccinicola, Pseudacysta perseae, Pseudococcus brevipes*, *Quadraspidiotus perniciosus*, *Rhopalosiphum maidis, Rhopalosiphum padi, Saissetia oleae*, *Scaptocoris castanea*, *Schizaphis graminum*, *Sitobion avenae*, *Sogatella furcifera*, *Trialeurodes vaporariorum*, *Trialeurodes abutiloneus, Unaspis yanonensis*, and *Zulia entrerriana*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Hymenoptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Acromyrmex* spp., *Atta* spp., *Camponotus* spp., *Diprion* spp., *Formica* spp., *Monomorium* spp., *Neodiprion* spp., *Pogonomyrmex* spp., *Polistes* spp., *Solenopsis* spp., *Vespula* spp., and *Xylocopa* spp. A non-exhaustive list of particular species includes, but is not limited to, *Athalia rosae, Atta texana, Iridomyrmex humilis*, *Monomorium minimum, Monomorium pharaonis, Solenopsis invicta, Solenopsis geminata, Solenopsis molesta, Solenopsis richtery, Solenopsis xyloni,* and *Tapinoma sessile.*

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Isoptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Coptotermes* spp., *Cornitermes* spp., *Cryptotermes* spp., *Heterotermes* spp., *Kalotermes* spp., *Incisitermes* spp., *Macrotermes* spp., *Marginitermes* spp., *Microcerotermes* spp., *Procornitermes* spp., *Reticulitermes* spp., *Schedorhinotermes* spp., and *Zootermopsis* spp. A non-exhaustive list of particular species includes, but is not limited to, *Coptotermes curvignathus, Coptotermes frenchi, Coptotermes formosanus*, *Heterotermes aureus, Microtermes obesi, Reticulitermes banyulensis, Reticulitermes grassei, Reticulitermes flavipes*, *Reticulitermes hageni, Reticulitermes hesperus*, *Reticulitermes santonensis, Reticulitermes speratus, Reticulitermes tibialis,* and *Reticulitermes virginicus.*

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Lepidoptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Adoxophyes* spp., *Agrotis* spp., *Argyrotaenia* spp., *Cacoecia* spp., *Caloptilia* spp., *Chilo* spp., *Chrysodeixis* spp., *Colias* spp., *Crambus* spp., *Diaphania* spp., *Diatraea* spp., *Earias* spp., *Ephestia* spp., *Epimecis* spp., *Feltia* spp., *Gortyna* spp., *Helicoverpa* spp., *Heliothis* spp., *Indarbela* spp., *Lithocolletis* spp., *Loxagrotis* spp., *Malacosoma* spp., *Peridroma* spp., *Phyllonorycter* spp., *Pseudaletia* spp., *Sesamia* spp., *Spodoptera* spp., *Synanthedon* spp., and *Yponomeuta* spp. A non-exhaustive list of particular species includes, but is not limited to, *Achaea janata, Adoxophyes orana, Agrotis ipsilon*, *Alabama argillacea, Amorbia cuneana, Amyelois transitella, Anacamptodes defectaria, Anarsia lineatella*, *Anomis sabulifera*, *Anticarsia gemmatalis*, *Archips argyrospila*, *Archips rosana*, *Argyrotaenia citrana*, *Autographa gamma, Bonagota cranaodes, Borbo cinnara, Bucculatrix thurberiella*, *Capua reticulana, Carposina niponensis*, *Chlumetia transversa*, *Choristoneura rosaceana, Cnaphalocrocis medinalis, Conopomorpha cramerella, Cossus cossus*, *Cydia caryana, Cydia funebrana*, *Cydia molesta*, *Cydia nigricana, Cydia pomonella*, *Darna diducta, Diatraea saccharalis*, *Diatraea grandiosella, Earias insulana, Earias vittella, Ecdytolopha* *aurantianum, Elasmopalpus lignosellus*, *Ephestia cautella*, *Ephestia elutella*, *Ephestia kuehniella*, *Epinotia aporema, Epiphyas postvittana, Erionota thrax*, *Eupoecilia ambiguella*, *Euxoa auxiliaris*, *Grapholita molesta*, *Hedylepta indicata*, *Helicoverpa armigera*, *Helicoverpa zea*, *Heliothis virescens, Hellula undalis*, *Keiferia lycopersicella*, *Leucinodes orbonalis*, *Leucoptera coffeella, Leucoptera malifoliella, Lobesia botrana*, *Loxagrotis albicosta*, *Lymantria dispar*, *Lyonetia clerkella*, *Mahasena corbetti*, *Mamestra brassicae*, *Maruca testulalis*, *Metisa plana*, *Mythimna unipuncta*, *Neoleucinodes elegantalis*, *Nymphula depunctalis*, *Operophtera brumata, Ostrinia nubilalis*, *Oxydia vesulia, Pandemis cerasana*, *Pandemis heparana*, *Papilio demodocus, Pectinophora gossypiella*, *Peridroma saucia*, *Perileucoptera coffeella*, *Phthorimaea operculella*, *Phyllocnistis citrella, Pieris rapae*, *Plathypena scabra, Plodia interpunctella*, *Plutella xylostella*, *Polychrosis viteana*, *Prays endocarpa, Prays oleae*, *Pseudaletia unipuncta, Pseudoplusia includens*, *Rachiplusia nu, Scirpophaga incertulas, Sesamia inferens*, *Sesamia nonagrioides, Setora nitens, Sitotroga cerealella*, *Sparganothis pilleriana, Spodoptera exigua*, *Spodoptera frugiperda, Spodoptera eridania, Thecla basilides, Tineola bisselliella*, *Trichoplusia ni*, *Tuta absoluta, Zeuzera coffeae*, and *Zeuzera pyrina*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Mallophaga**. A non-exhaustive list of particular genera includes, but is not limited to, *Anaticola* spp., *Bovicola* spp., *Chelopistes* spp., *Goniodes* spp., *Menacanthus* spp., and *Trichodectes* spp. A non-exhaustive list of particular species includes, but is not limited to, *Bovicola bovis, Bovicola caprae, Bovicola ovis*, *Chelopistes meleagridis, Goniodes dissimilis, Goniodes gigas, Menacanthus stramineus*, *Menopon gallinae,* and *Trichodectes canis*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Orthoptera**. A non-exhaustive list of particular genera includes, but is not limited to, *Melanoplus* spp., and *Pterophylla* spp. A non-exhaustive list of particular species includes, but is not limited to, *Anabrus simplex*, *Gryllotalpa africana, Gryllotalpa australis, Gryllotalpa brachyptera, Gryllotalpa hexadactyla*, *Locusta migratoria, Microcentrum retinerve*, *Schistocerca gregaria,* and *Scudderia furcata*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Siphonaptera**. A non-exhaustive list of particular species includes, but is not limited to, *Ceratophyllus gallinae, Ceratophyllus niger, Ctenocephalides canis*, *Ctenocephalides felis*, and *Pulex irritans*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Thysanoptera**. A non-exhaustive list of particular genera includes, but is not limited to, Caliothrips spp., Frankliniella spp., *Scirtothrips* spp., and *Thrips* spp. A non-exhaustive list of particular sp. includes, but is not limited to, *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella schultzei, Frankliniella williamsi*, *Heliothrips haemorrhoidalis, Rhipiphorothrips cruentatus, Scirtothrips citri*, *Scirtothrips dorsalis*, and *Taeniothrips rhopalantennalis, Thrips hawaiiensis, Thrips nigropilosus, Thrips orientalis, Thrips tabaci*.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Thysanura**. A non-exhaustive list of particular genera includes, but is not limited to, *Lepisma* spp. and *Thermobia* spp.

In another embodiment, the molecules of Formula One may be used to control pests of the **Order Acarina**. A non-exhaustive list of particular genera includes, but is not limited to, *Acarus* spp., *Aculops* spp., *Boophilus* spp., *Demodex* spp., *Dermacentor* spp., *Epitrimerus* spp., *Eriophyes* spp., *Ixodes* spp., *Oligonychus* spp., *Panonychus* spp., *Rhizoglyphus* spp., and *Tetranychus* spp. A non-exhaustive list of particular species includes, but is not limited to, *Acarapis woodi, Acarus siro*, *Aceria mangiferae*, *Aculops lycopersici*, *Aculus pelekassi, Aculus schlechtendali*, *Amblyomma americanum*, *Brevipalpus obovatus*, *Brevipalpus phoenicis*, *Dermacentor variabilis*, *Dermatophagoides pteronyssinus*, *Eotetranychus carpini, Notoedres cati, Oligonychus coffeae, Oligonychus ilicis, Panonychus citri*, *Panonychus ulmi*, *Phyllocoptruta oleivora*, *Polyphagotarsonemus latus, Rhipicephalus sanguineus*, *Sarcoptes scabiei*, *Tegolophus perseaflorae, Tetranychus urticae*, and *Varroa destructor.*

In another embodiment, the molecules of Formula One may be used to control pest of the **Order Symphyla**. A non-exhaustive list of particular sp. includes, but is not limited to, *Scutigerella immaculata.*

In another embodiment, the molecules of Formula One may be used to control pests of the **Phylum Nematoda**. A non-exhaustive list of particular genera includes, but is not limited to, *Aphelenchoides* spp., *Belonolaimus* spp., *Criconemella* spp., *Ditylenchus* spp., *Heterodera* spp., *Hirschmanniella* spp., *Hoplolaimus* spp., *Meloidogyne* spp., *Pratylenchus* spp., and *Radopholus* spp. A non-exhaustive list of particular sp. includes, but is not limited to, *Dirofilaria immitis*, *Heterodera zeae, Meloidogyne incognita, Meloidogyne javanica, Onchocerca volvulus*, *Radopholus similis, and Rotylenchulus reniformis.*

For additional information consult "HANDBOOK OF PEST CONTROL – THE BEHAVIOR, LIFE HISTORY, AND CONTROL OF HOUSEHOLD PESTS" by Arnold Mallis, 9th Edition, copyright 2004 by GIE Media Inc.

APPLICATIONS

Molecules of Formula One are generally used in amounts from about 0.01 grams per hectare to about 5000 grams per hectare to provide control. Amounts from about 0.1 grams per hectare to about 500 grams per hectare are generally preferred, and amounts from about 1 gram per hectare to about 50 grams per hectare are generally more preferred.

The area to which a molecule of Formula One is applied can be any area inhabited (or maybe inhabited, or traversed by) a pest, for example: where crops, trees, fruits, cereals, fodder species, vines, turf and ornamental plants, are growing; where domesticated animals are residing; the interior or exterior surfaces of buildings (such as places where grains are stored), the materials of construction used in building (such as impregnated wood), and the soil around buildings. Particular crop areas to use a molecule of Formula One include areas where apples, corn, sunflowers, cotton, soybeans, canola, wheat, rice, sorghum, barley, oats, potatoes, oranges, alfalfa, lettuce, strawberries, tomatoes, peppers, crucifers, pears, tobacco, almonds, sugar beets, beans and other valuable crops are growing or the seeds thereof are going to be planted. It is also advantageous to use ammonium sulfate with a molecule of Formula One when growing various plants.

Controlling pests generally means that pest populations, pest activity, or both, are reduced in an area. This can come about when: pest populations are repulsed from an area; when pests are incapacitated in or around an area; or pests are exterminated, in whole, or in part, in or around an area. Of course, a combination of these results can occur. Generally, pest populations, activity, or both are desirably reduced more than fifty percent, preferably more than 90 percent. Generally, the area is not in or on a human; consequently, the locus is generally a non-human area.

The molecules of Formula One may be used in mixtures, applied simultaneously or sequentially, alone or with other compounds to enhance plant vigor (*e.g.* to grow a better root system, to better withstand stressful growing conditions). Such other compounds are, for example, compounds that modulate plant ethylene receptors, most notably 1-methylcyclopropene (also known as 1-MCP). Furthermore, such molecules may be used during times when pest activity is low, such as before the plants that are growing begin to produce valuable agricultural commodities. Such times include the early planting season when pest pressure is usually low.

The molecules of Formula One can be applied to the foliar and fruiting portions of plants to control pests. The molecules will either come in direct contact with the pest, or the pest will consume the pesticide when eating leaf, fruit mass, or extracting sap, that contains the pesticide. The molecules of Formula One can also be applied to the soil, and when applied in this manner, root and stem feeding pests can be controlled. The roots can absorb a molecule taking it up into the foliar portions of the plant to control above ground chewing and sap feeding pests.

Generally, with baits, the baits are placed in the ground where, for example, termites can come into contact with, and/or be attracted to, the bait. Baits can also be applied to a surface of a building, (horizontal, vertical, or slant surface) where, for example, ants, termites, cockroaches, and flies, can come into contact with, and/or be attracted to, the bait. Baits can comprise a molecule of Formula One.

The molecules of Formula One can be encapsulated inside, or placed on the surface of a capsule. The size of the capsules can range from nanometer size (about 100-900 nanometers in diameter) to micrometer size (about 10-900 microns in diameter).

Because of the unique ability of the eggs of some pests to resist certain pesticides, repeated applications of the molecules of Formula One may be desirable to control newly emerged larvae.

Systemic movement of pesticides in plants may be utilized to control pests on one portion of the plant by applying (for example by spraying an area) the molecules of Formula One to a different portion of the plant. For example, control of foliar-feeding insects can be achieved by drip irrigation or furrow application, by treating the soil with for example pre- or post-planting soil drench, or by treating the seeds of a plant before planting.

Seed treatment can be applied to all types of seeds, including those from which plants genetically modified to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* or other insecticidal toxins, those expressing herbicide resistance, such as “Roundup Ready” seed, or those with “stacked” foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, drought resistance, or any other beneficial traits. Furthermore, such seed treatments with the molecules of Formula One may further enhance the ability of a plant to better withstand stressful growing conditions. This results in a healthier, more vigorous plant, which can lead to higher yields at harvest time. Generally, about 1 gram of the molecules of Formula One to about 500 grams per 100,000 seeds is expected to provide good benefits, amounts from about 10 grams to about 100 grams per

100,000 seeds is expected to provide better benefits, and amounts from about 25 grams to about 75 grams per 100,000 seeds is expected to provide even better benefits.

It should be readily apparent that the molecules of Formula One may be used on, in, or around plants genetically modified to express specialized traits, such as *Bacillus thuringiensis* or other insecticidal toxins, or those expressing herbicide resistance, or those with "stacked" foreign genes expressing insecticidal toxins, herbicide resistance, nutrition-enhancement, or any other beneficial traits.

The molecules of Formula One may be used for controlling endoparasites and ectoparasites in the veterinary medicine sector or in the field of non-human animal keeping. The molecules of Formula One are applied, such as by oral administration in the form of, for example, tablets, capsules, drinks, granules, by dermal application in the form of, for example, dipping, spraying, pouring on, spotting on, and dusting, and by parenteral administration in the form of, for example, an injection.

The molecules of Formula One may also be employed advantageously in livestock keeping, for example, cattle, sheep, pigs, chickens, and geese. They may also be employed advantageously in pets such as, horses, dogs, and cats. Particular pests to control would be fleas and ticks that are bothersome to such animals. Suitable formulations are administered orally to the animals with the drinking water or feed. The dosages and formulations that are suitable depend on the species.

The molecules of Formula One may also be used for controlling parasitic worms, especially of the intestine, in the animals listed above.

The molecules of Formula One may also be employed in therapeutic methods for human health care. Such methods include, but are limited to, oral administration in the form of, for example, tablets, capsules, drinks, granules, and by dermal application.

Pests around the world have been migrating to new environments (for such pest) and thereafter becoming a new invasive species in such new environment. The molecules of Formula One may also be used on such new invasive species to control them in such new environment.

The molecules of Formula One may also be used in an area where plants, such as crops, are growing (*e.g.* pre-planting, planting, pre-harvesting) and where there are low levels (even no actual presence) of pests that can commercially damage such plants. The use of such molecules in such area is to benefit the plants being grown in the area. Such benefits, may include, but are not limited to, improving the health of a plant, improving the yield of a plant (*e.g.* increased biomass and/or increased content of valuable ingredients), improving the vigor of a plant (*e.g.* improved plant growth and/or greener leaves), improving the quality of a plant (*e.g.* improved content or composition of certain ingredients), and improving the tolerance to abiotic and/or biotic stress of the plant.

Before a pesticide can be used or sold commercially, such pesticide undergoes lengthy evaluation processes by various governmental authorities (local, regional, state, national, and international). Voluminous data requirements are specified by regulatory authorities and must be addressed through data generation and submission by the product registrant or by a third party on the product registrant's behalf, often using a computer with a connection to the World Wide Web. These governmental authorities then review such data and if a determination of safety is concluded, provide the potential user or seller with product registration approval. Thereafter, in that locality where the product registration is granted and supported, such user or seller may use or sell such pesticide.

A molecule according to Formula One can be tested to determine its efficacy against pests. Furthermore, mode of action studies can be conducted to determine if said molecule has a different mode of action than other pesticides. Thereafter, such acquired data can be disseminated, such as by the internet, to third parties.

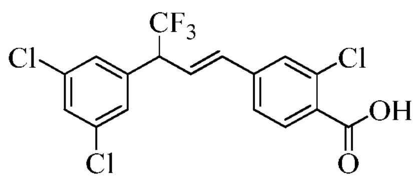
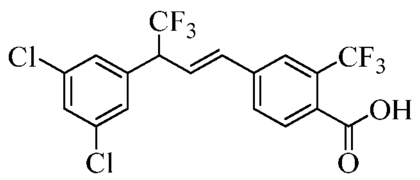
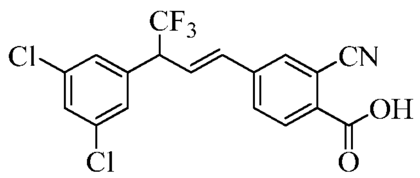
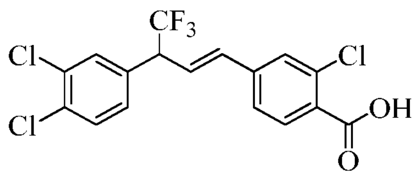
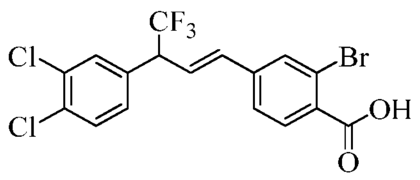
The headings in this document are for convenience only and must not be used to interpret any portion hereof.

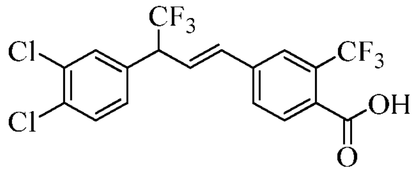
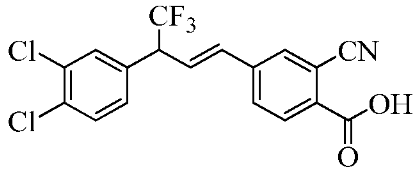
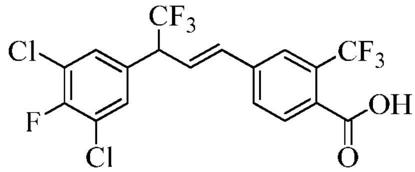
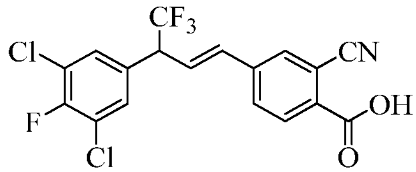
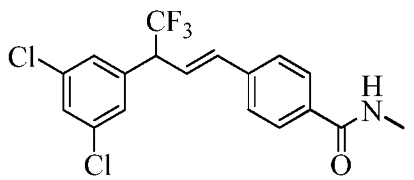
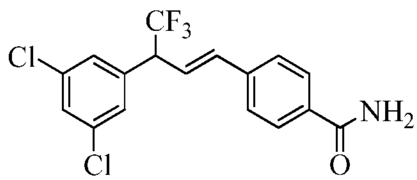
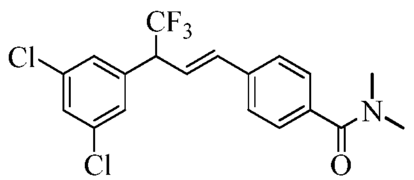
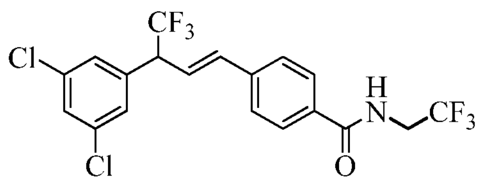
TABLE SECTION

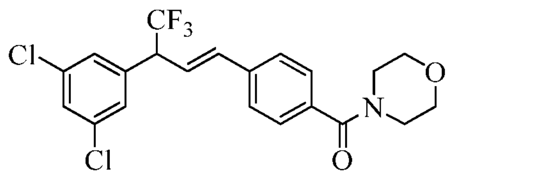
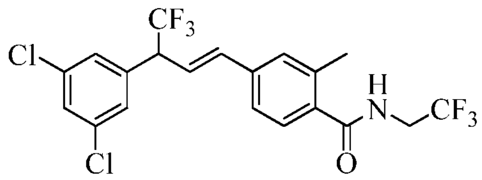
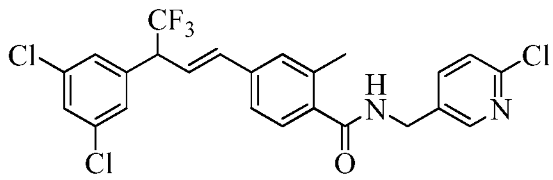
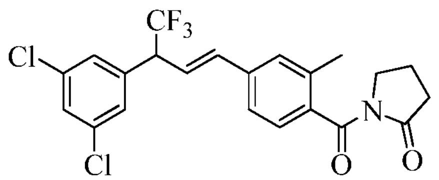
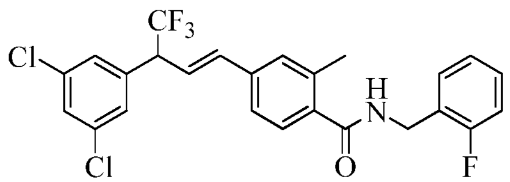
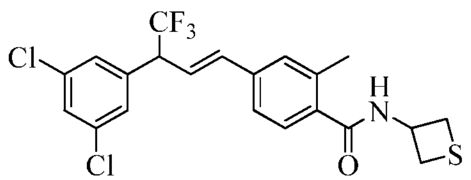
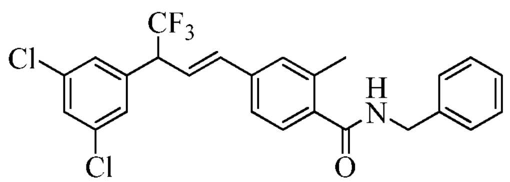
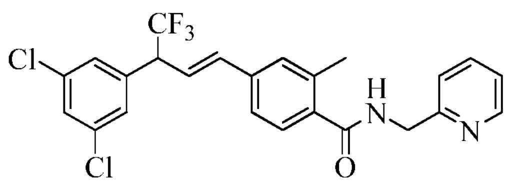
BAW & CEW & CL Rating Table	
% Control (or Mortality)	**Rating**
50-100	A
More than 0 – Less than 50	B
Not Tested	C
No activity noticed in this bioassay	D

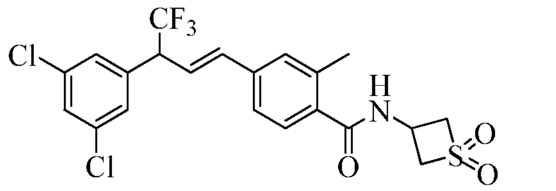
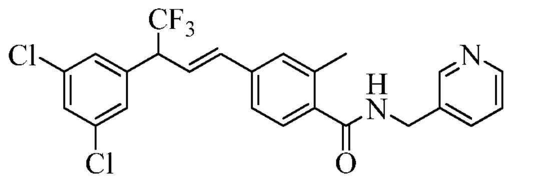
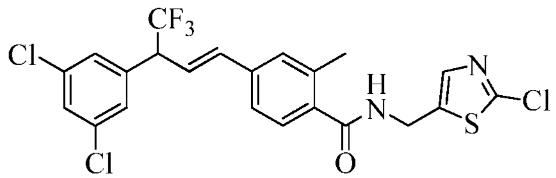
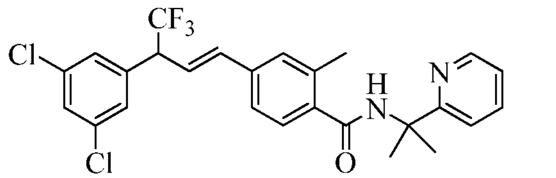
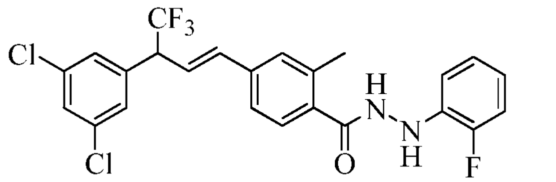
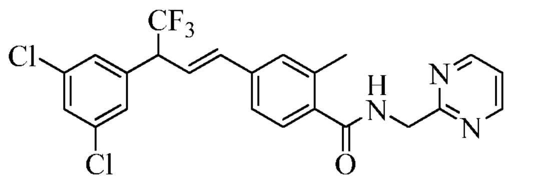
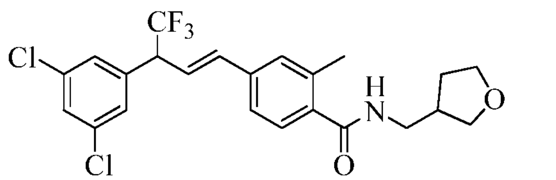
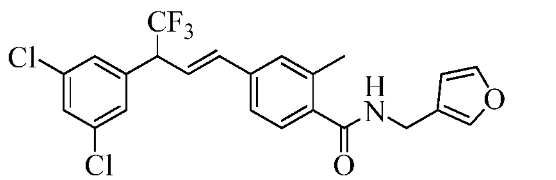
GPA Rating Table	
% Control (or Mortality)	**Rating**
80-100	A
More than 0 – Less than 80	B
Not Tested	C
No activity noticed in this bioassay	D

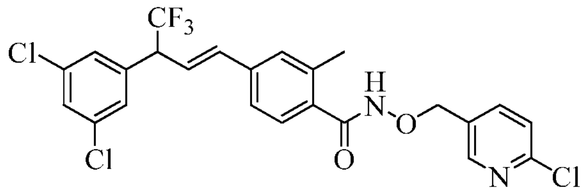
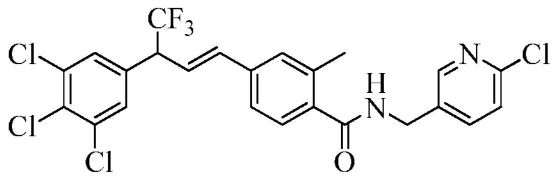
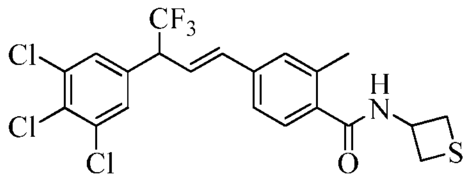
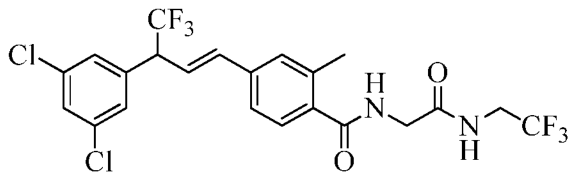
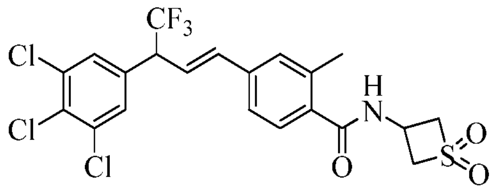
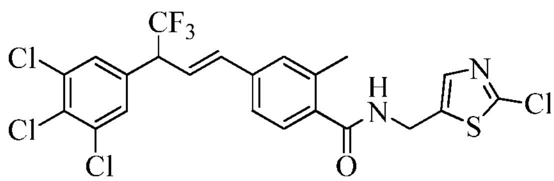
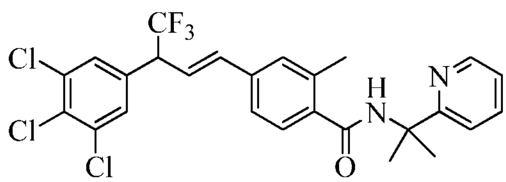
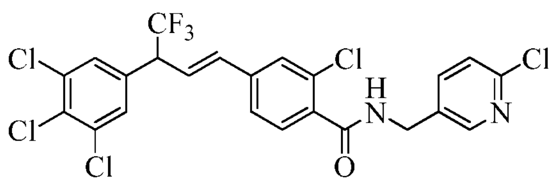
Table 1: Structures for Compounds

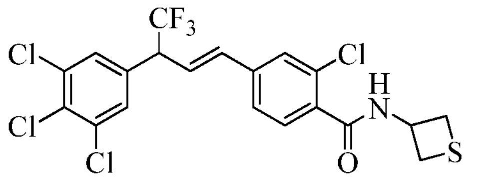
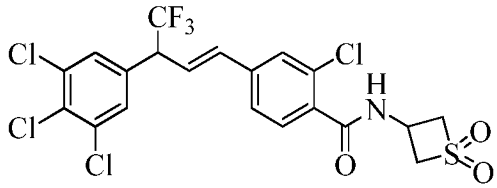
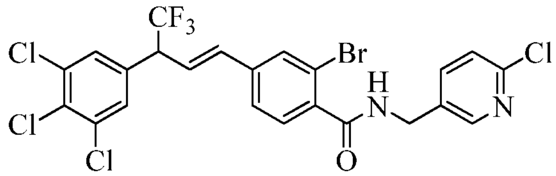
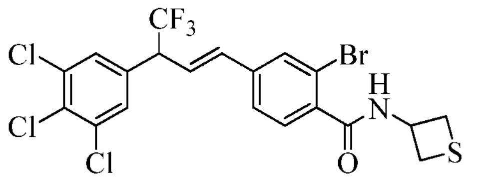
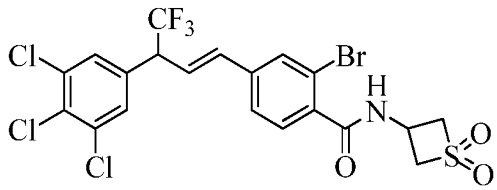
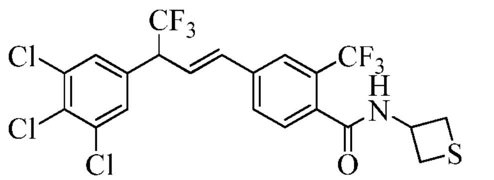
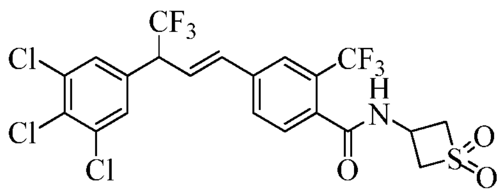
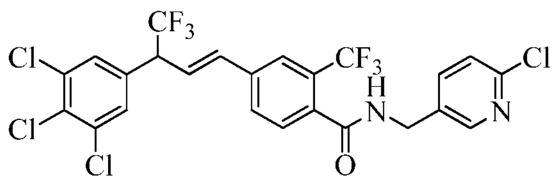
Compound Number	Structure
AI34	
AI36	
AI37	
AI38	
AI39	

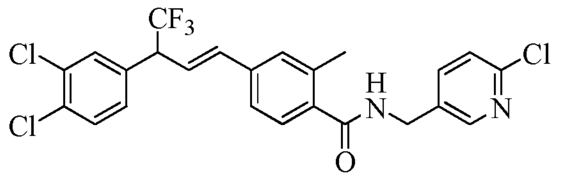
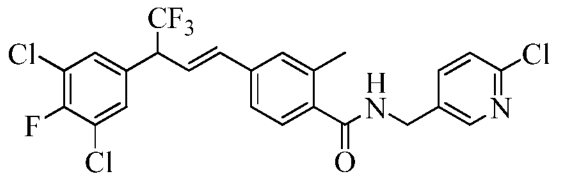
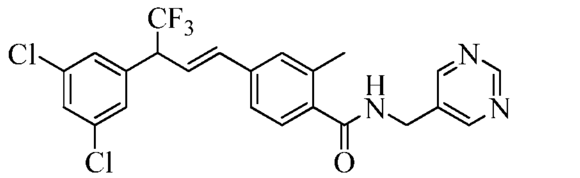
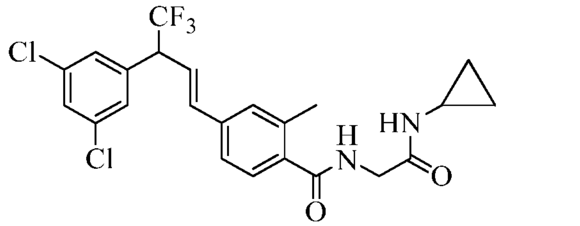
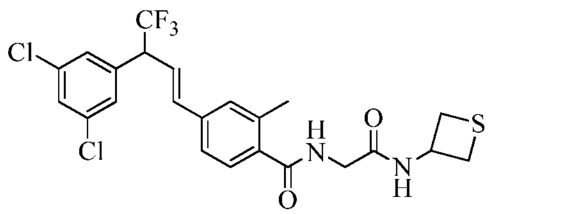
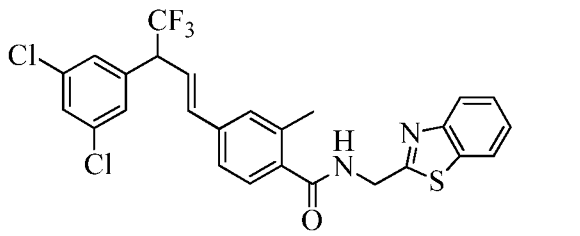
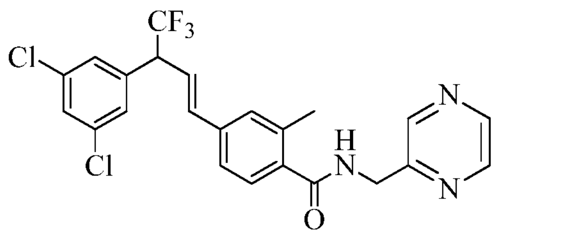
AI40	
AI41	
AI44	
AI45	
AC1	
AC2	
AC3	
AC4	

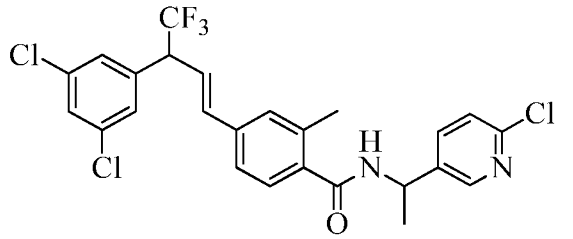
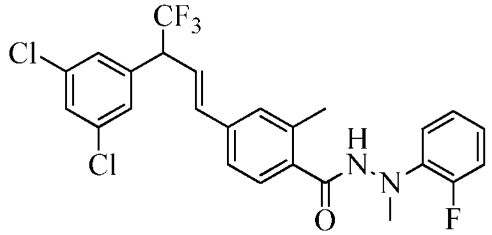
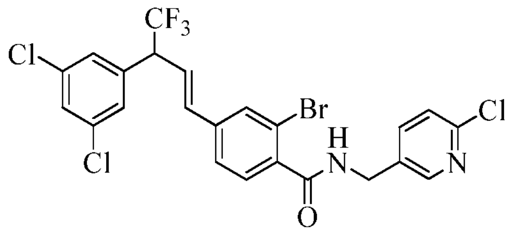
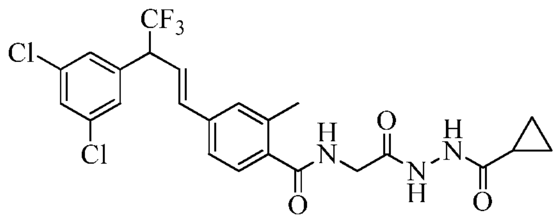
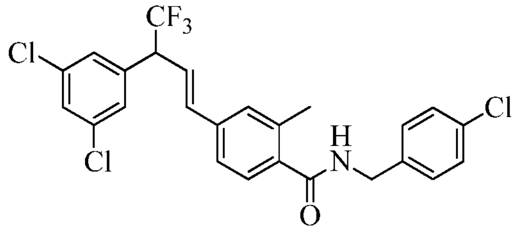
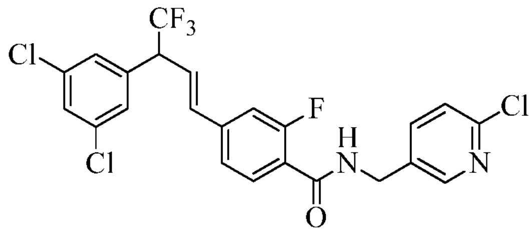
AC5	
AC6	
AC7	
AC8	
AC9	
AC10	
AC11	
AC12	

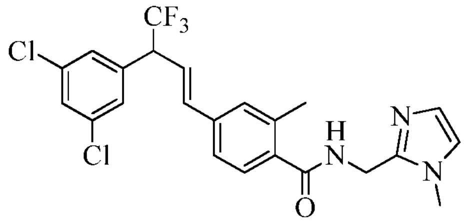
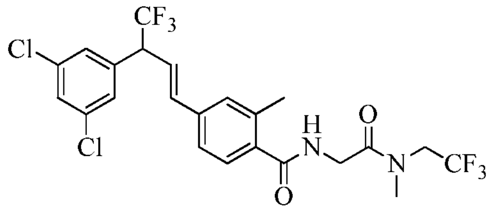
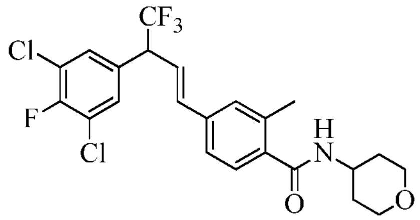
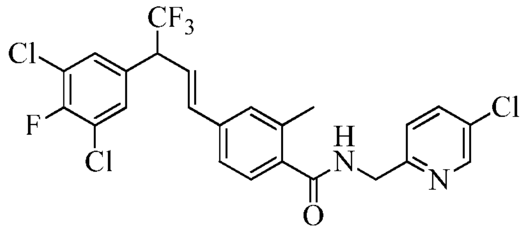
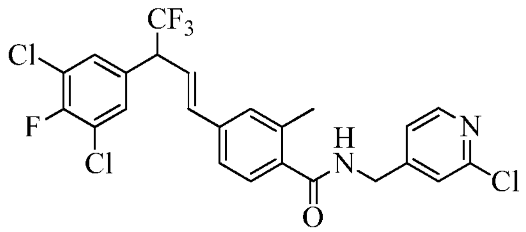
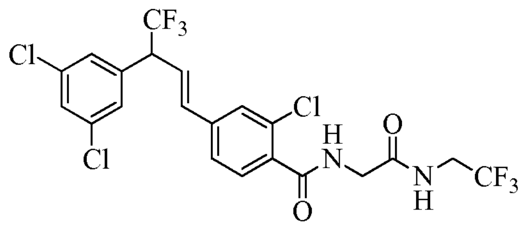
AC13	
AC14	
AC15	
AC16	
AC17	
AC18	
AC19	
AC20	

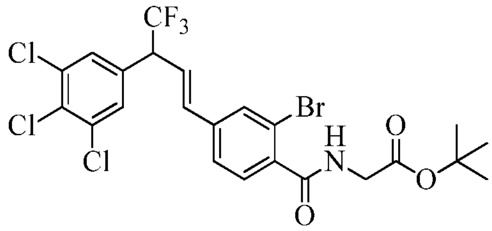
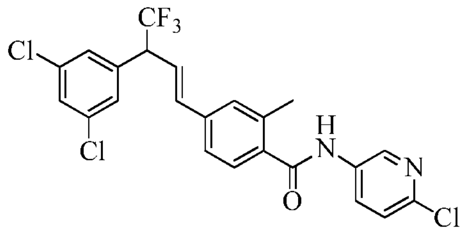
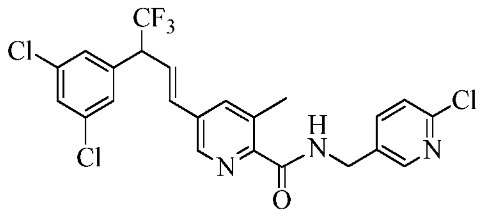
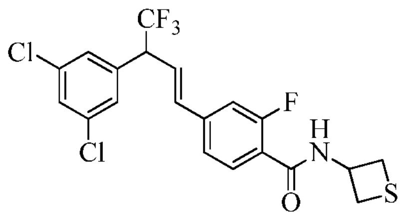
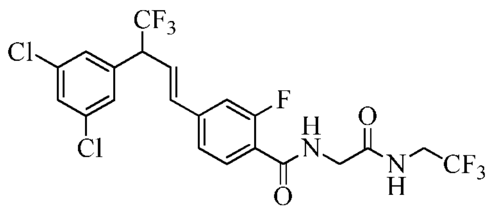
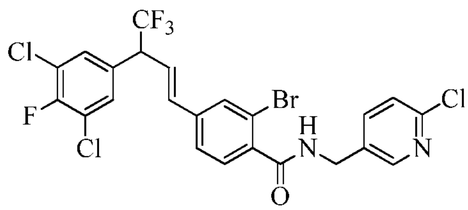
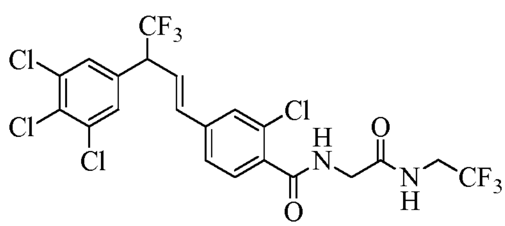
AC21	
AC22	
AC23	
AC24	
AC25	
AC26	
AC27	
AC28	

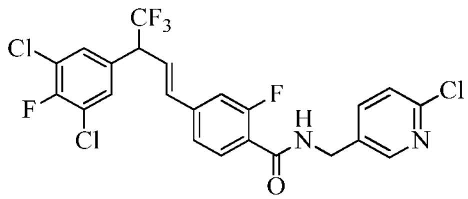
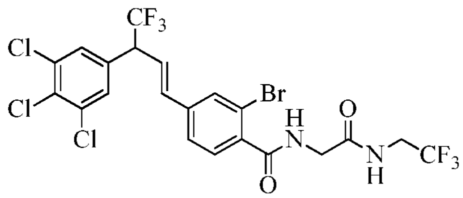
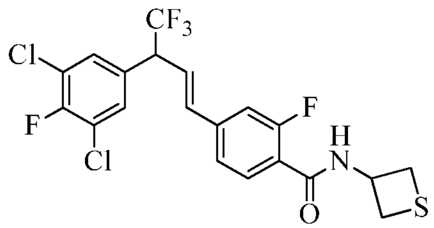
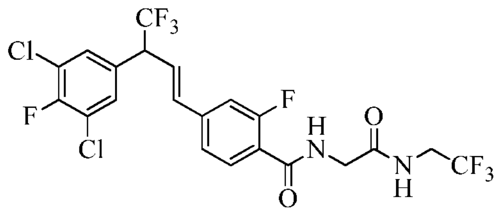
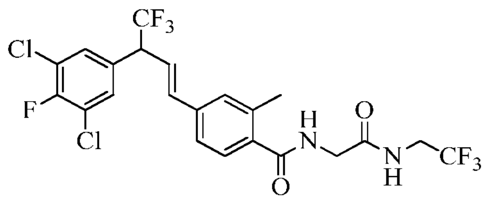
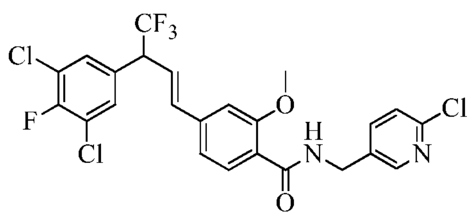
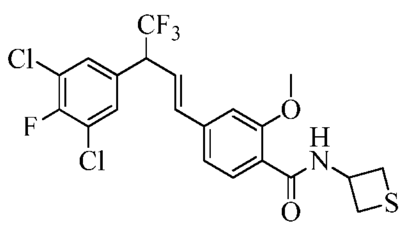
AC29	
AC30	
AC31	
AC32	
AC33	
AC34	
AC35	
AC36	

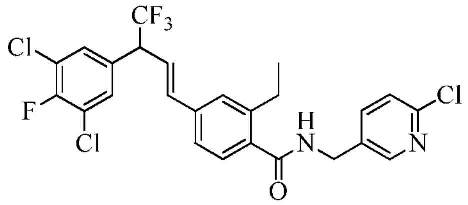
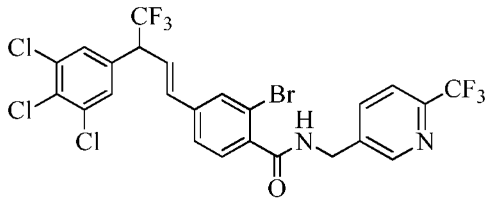
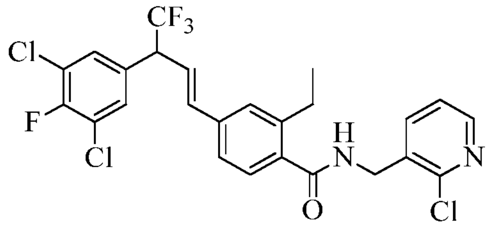
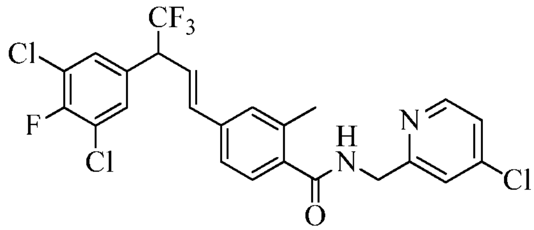
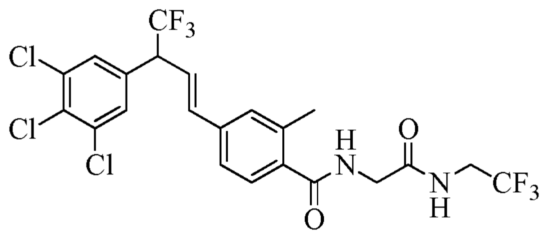
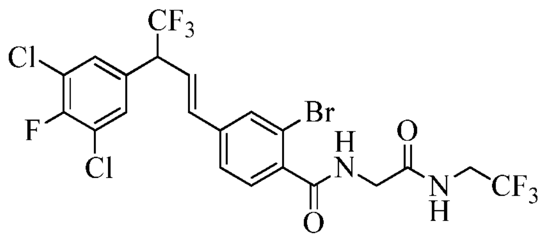
AC37	
AC38	
AC39	
AC40	
AC41	
AC42	
AC43	

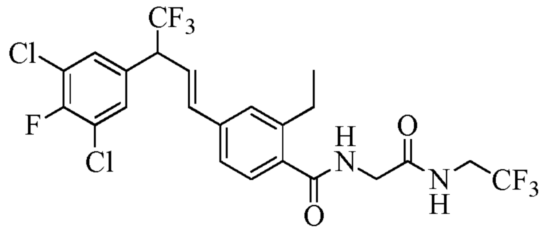
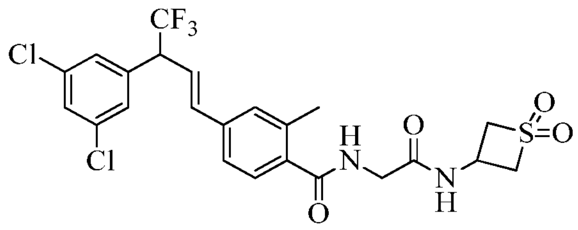
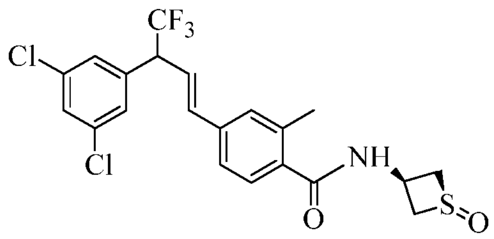
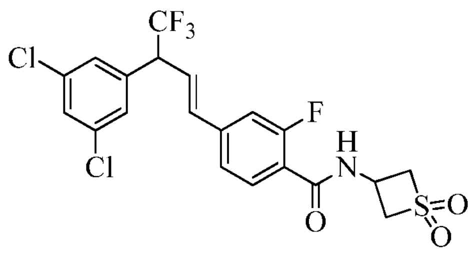
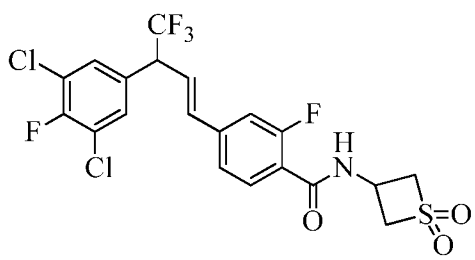
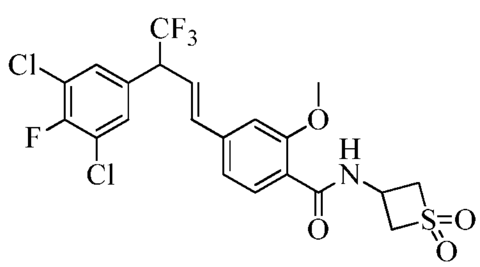
AC44	
AC45	
AC46	
AC47	
AC48	
AC49	

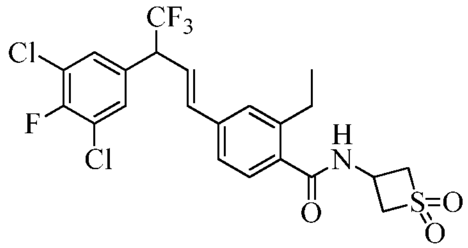
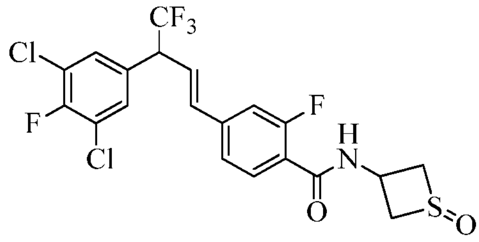
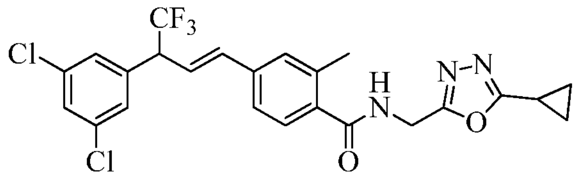
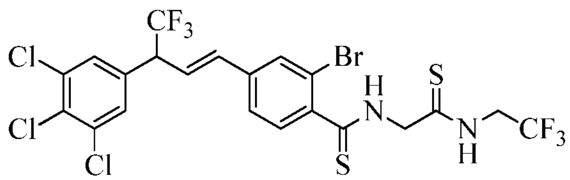
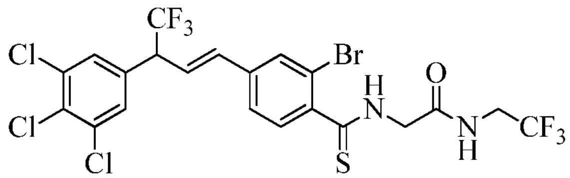
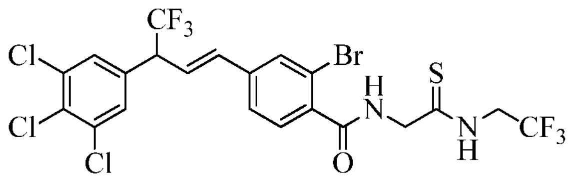
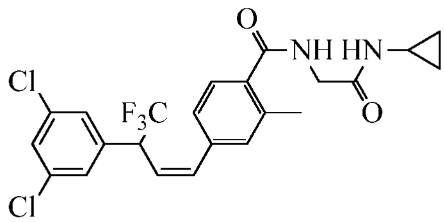
AC50	
AC51	
AC52	
AC53	
AC54	
AC57	

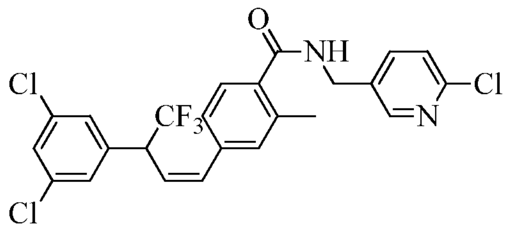
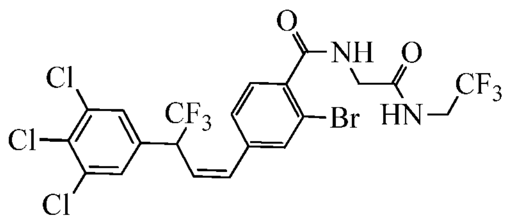
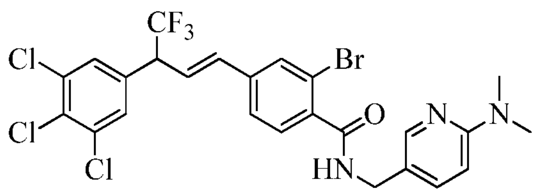
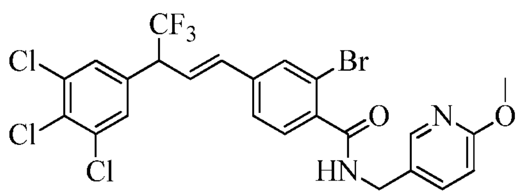
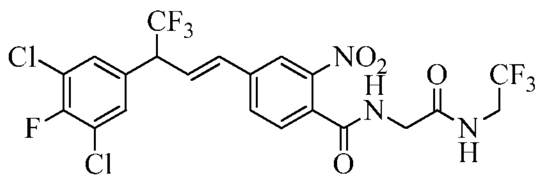
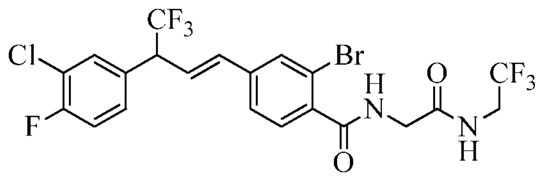
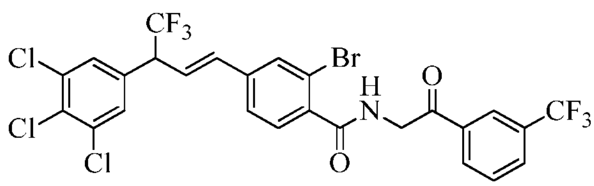
AC58	
AC59	
AC60	
AC61	
AC62	
AC63	
AC64	

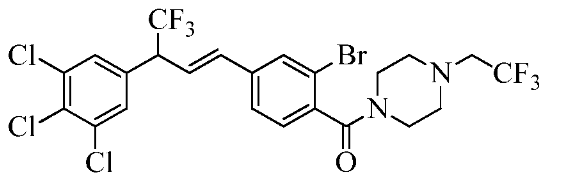
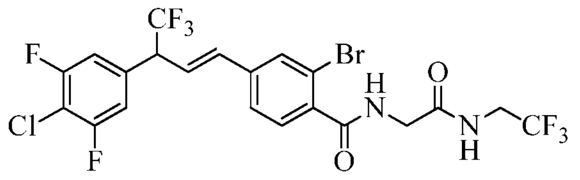
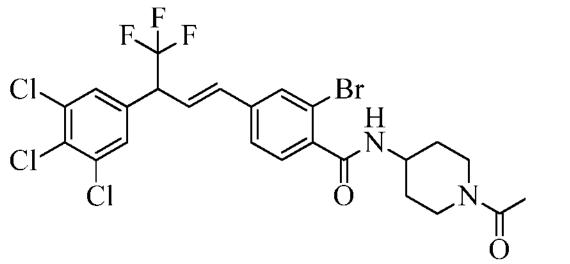
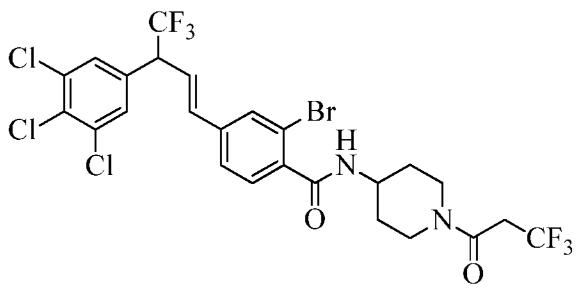
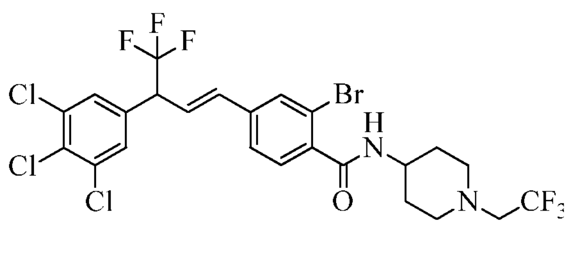
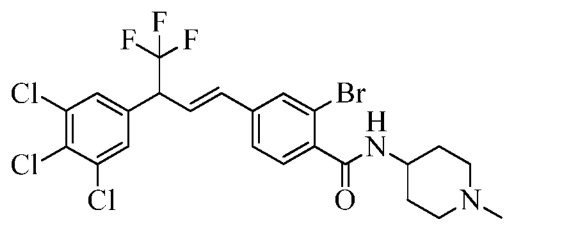
AC65	
AC66	
AC67	
AC68	
AC69	
AC70	
AC71	

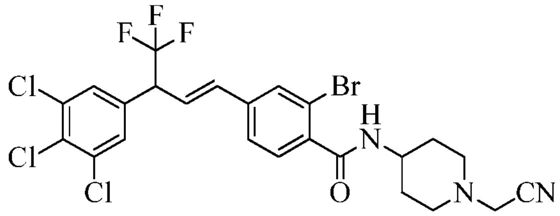
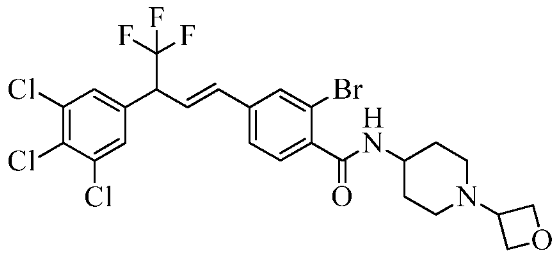
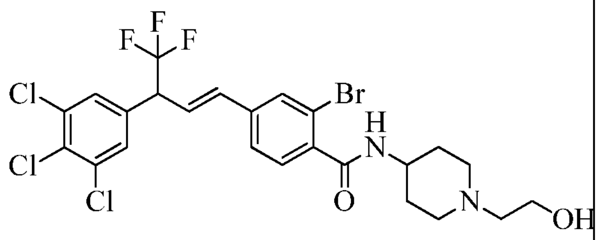
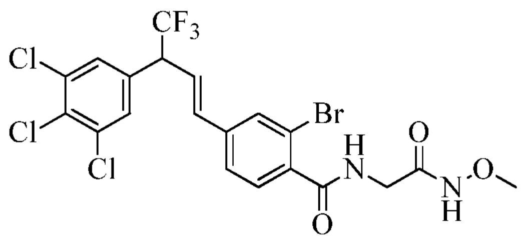
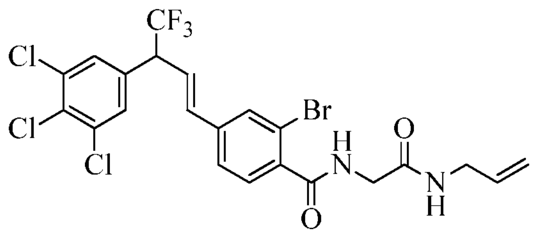
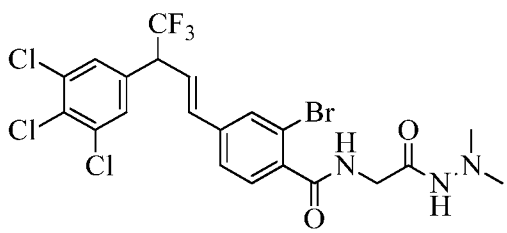
AC72	
AC75	
AC76	
AC77	
AC78	
AC79	

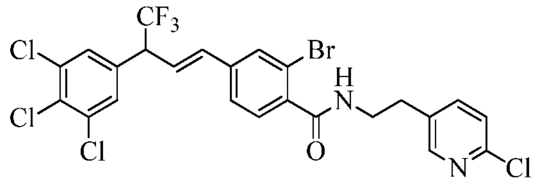
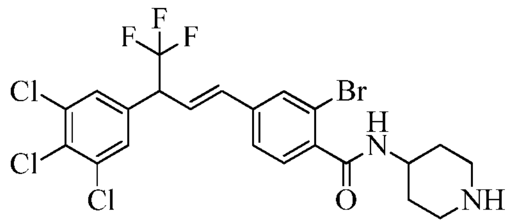
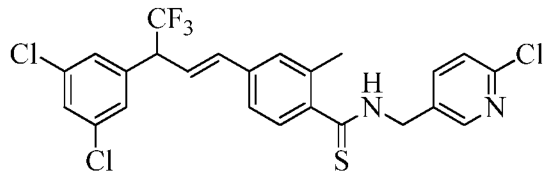
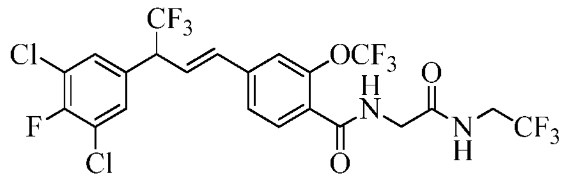
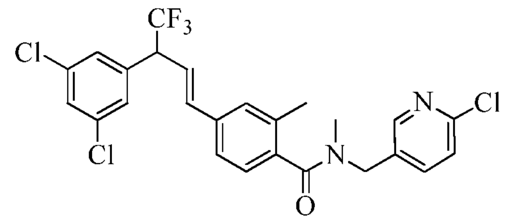
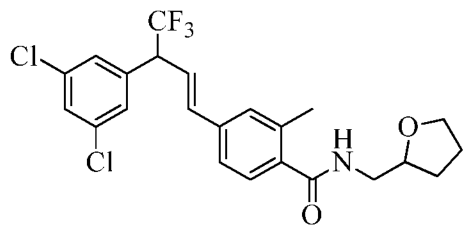
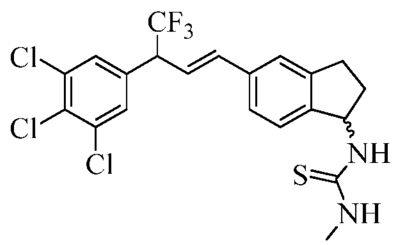
AC80	
AC81	
AC82	
AC83	
AC84	
AC85	

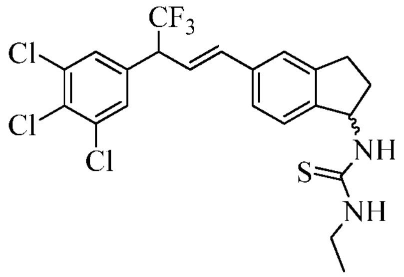
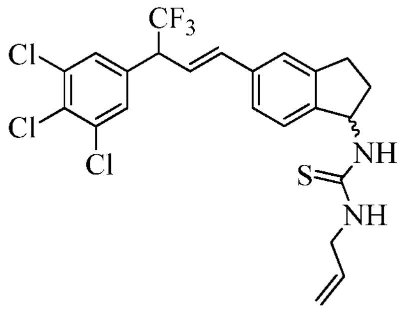
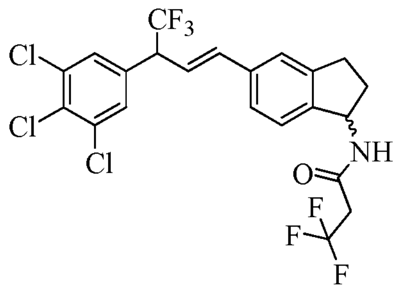
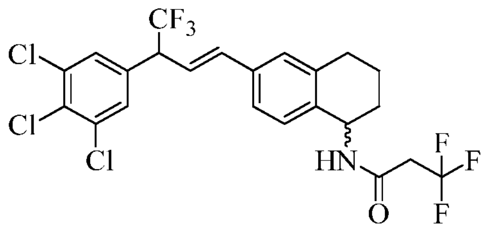
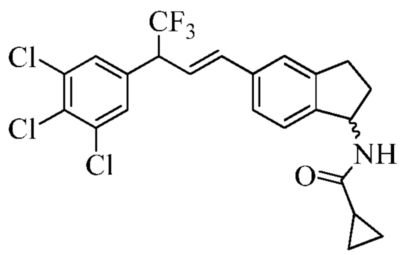
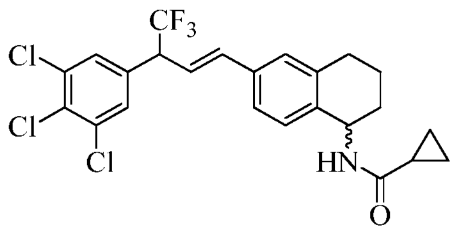
AC86	
AC87	
AC89	
AC90	
AC91	
AC92	
AC93	

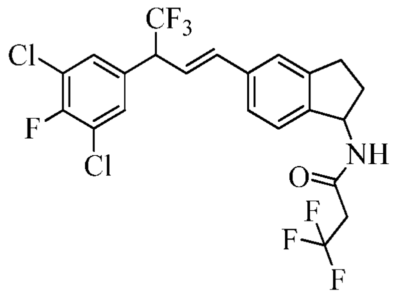
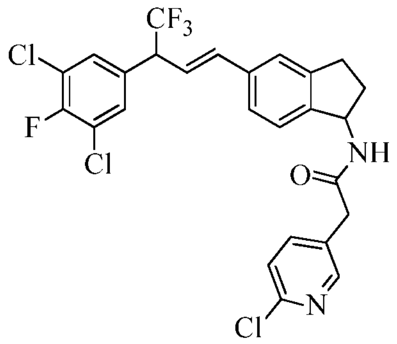
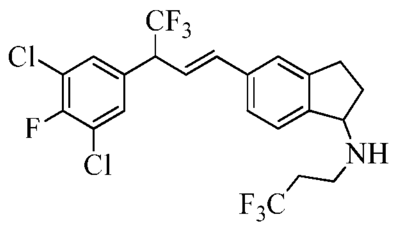
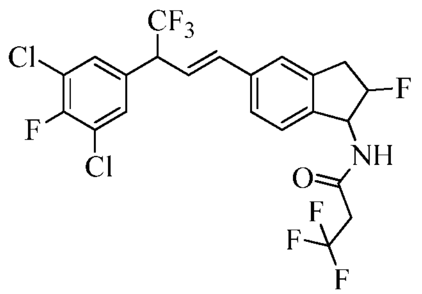
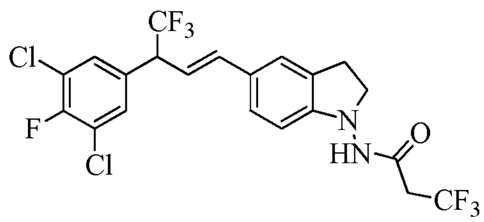
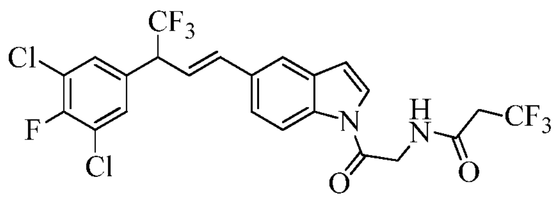
AC94	
AC95	
AC96	
AC97	
AC98	
AC99	
AC100	

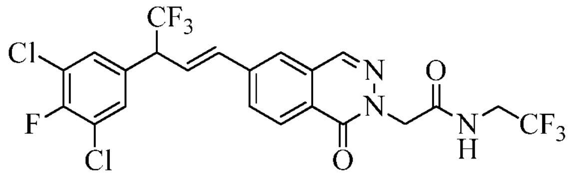
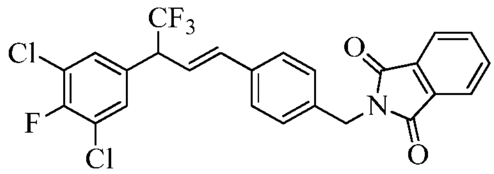
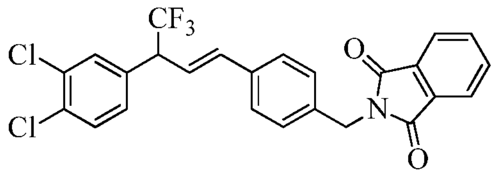
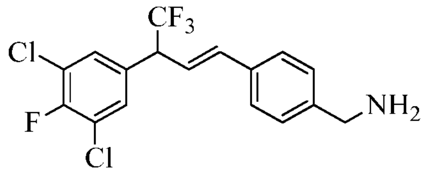
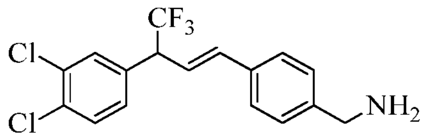
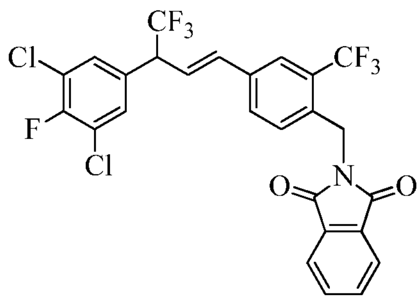
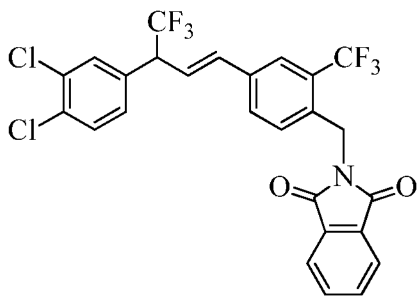
AC101	
AC102	
AC103	
AC104	
AC105	
AC106	

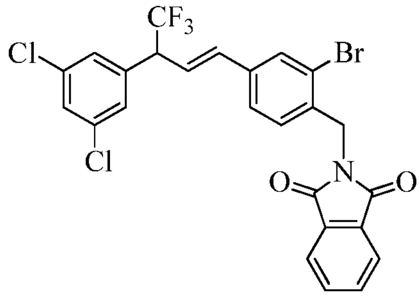
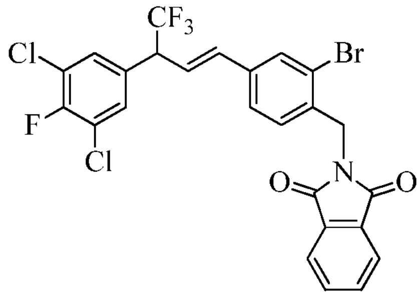
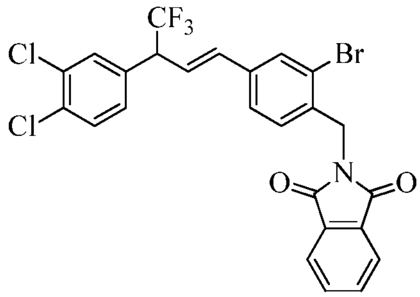
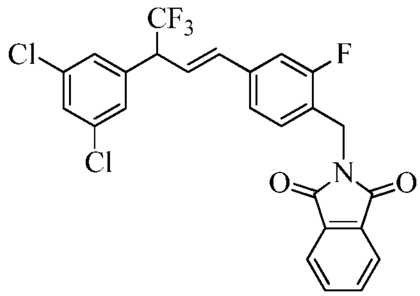
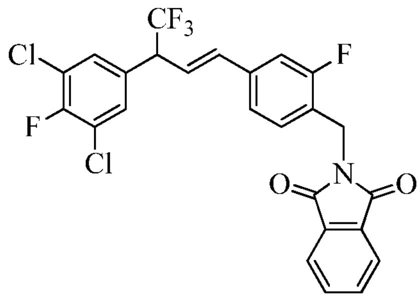
AC107	
AC108	
AC109	
AC110	
AC111	
AC112	

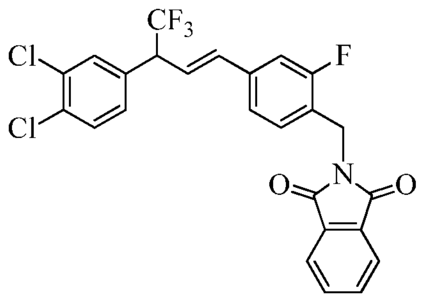
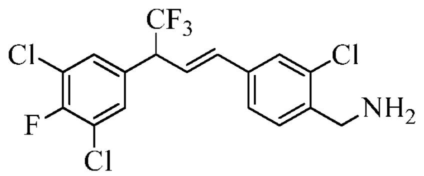
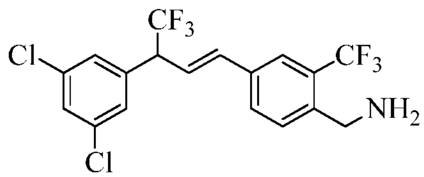
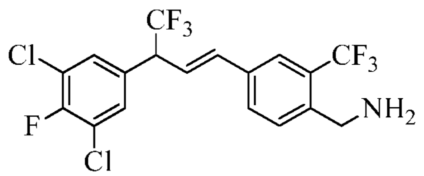
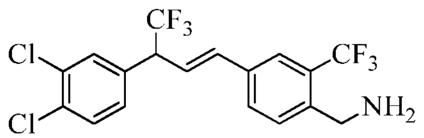
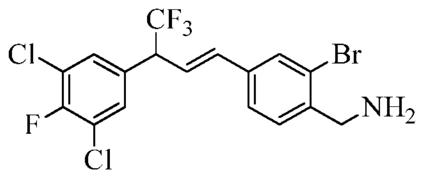
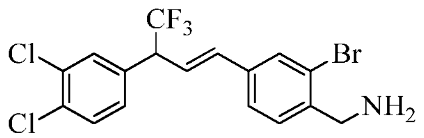
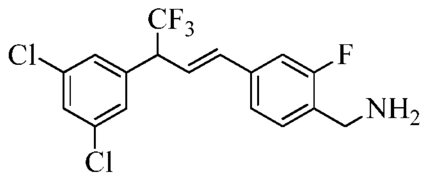
AC113	
AC114	
AC115	
AC116	
AC117	
AC118	
BC1	

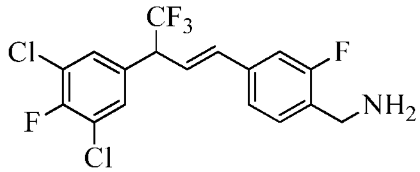
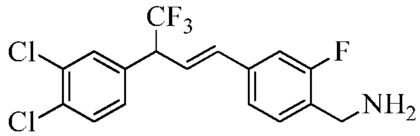
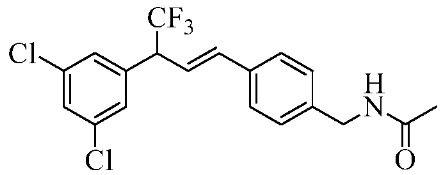
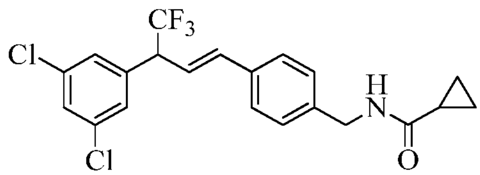
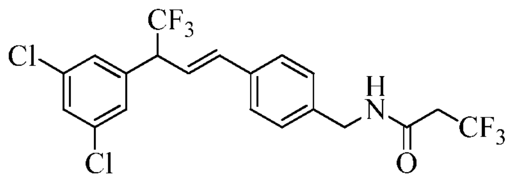
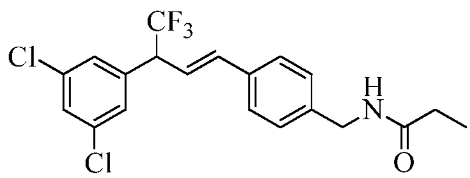
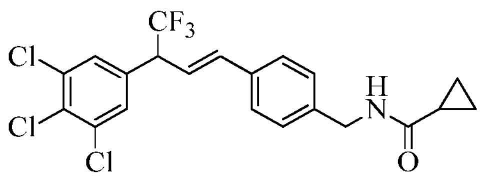
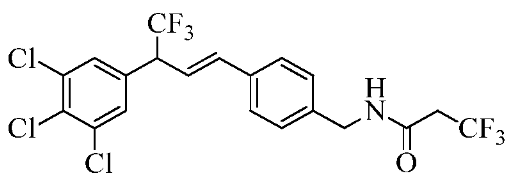
BC2	
BC3	
BC4	
BC5	
BC6	
BC7	

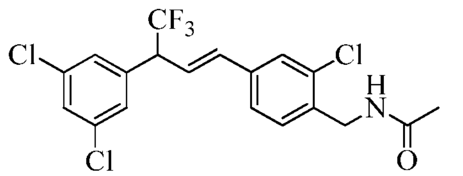
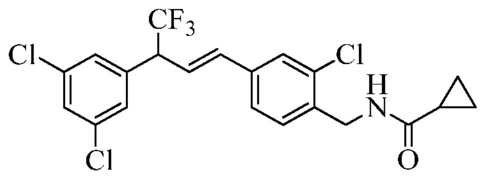
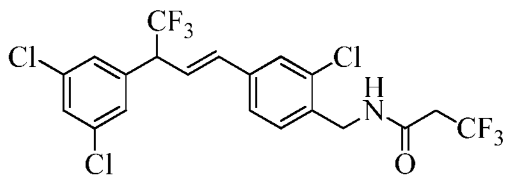
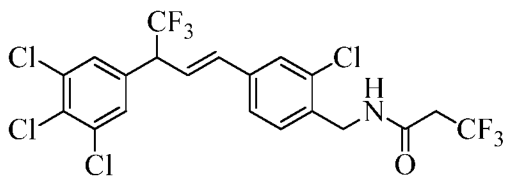
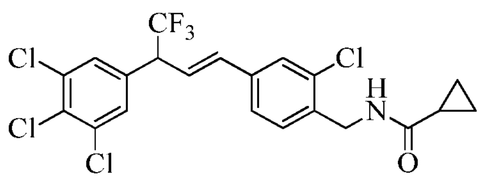
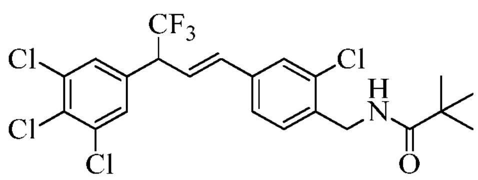
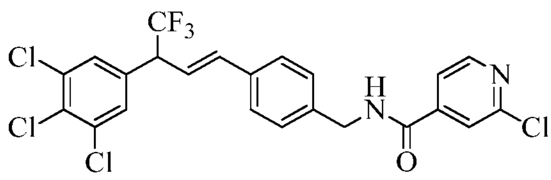
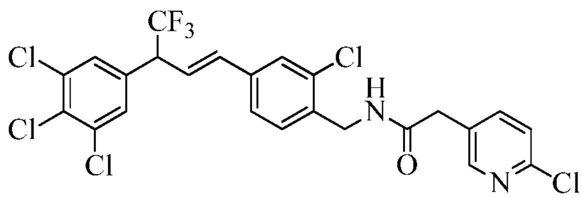
BC8	
BC9	
BC10	
BC11	
BC12	
BC13	

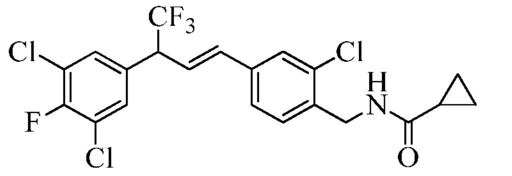
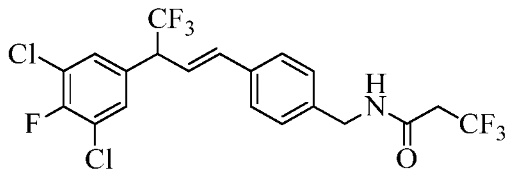
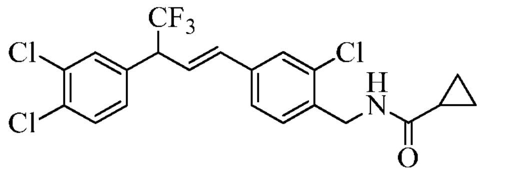
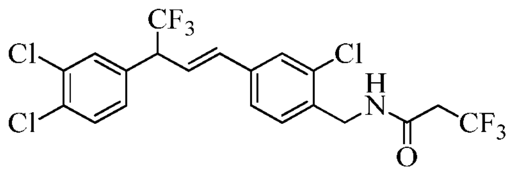
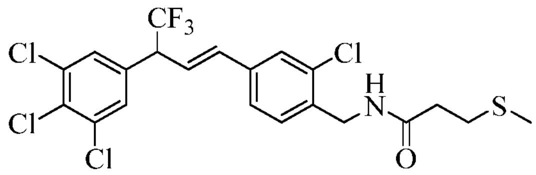
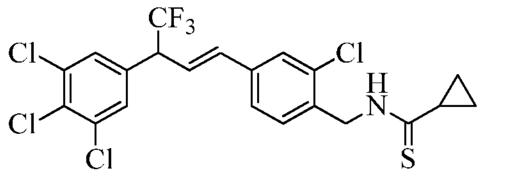
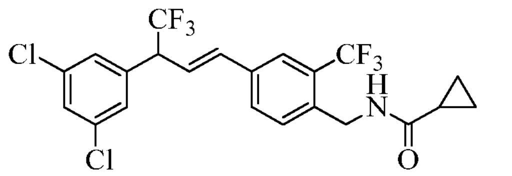
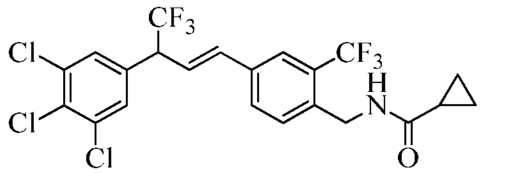
BC14	
CI4	
CI5	
CI8	
CI9	
CI34	
CI35	

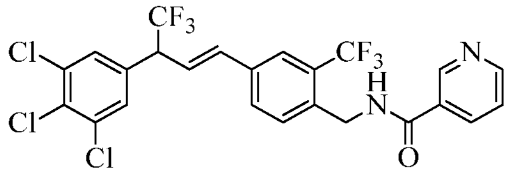
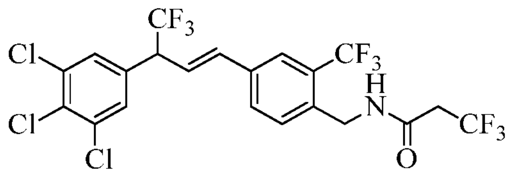
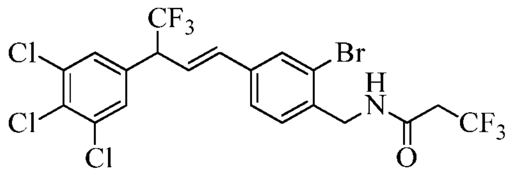
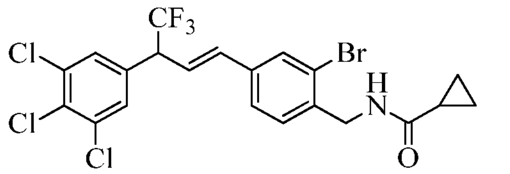
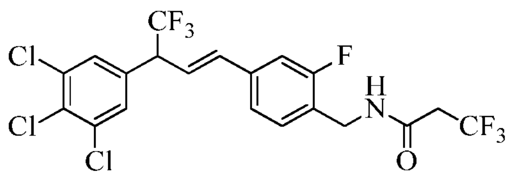
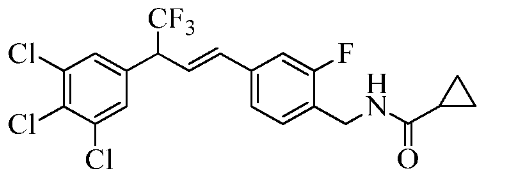
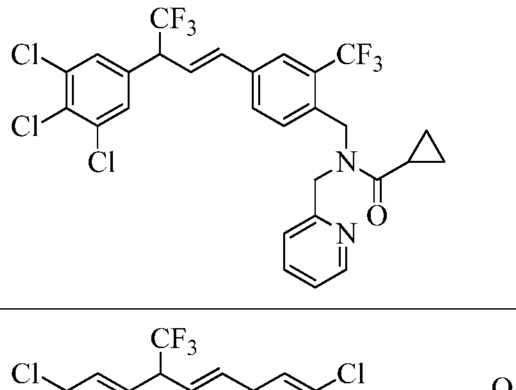
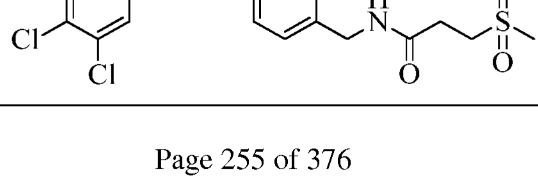
CI36	
CI37	
CI38	
CI39	
CI40	

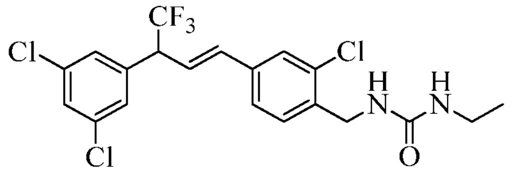
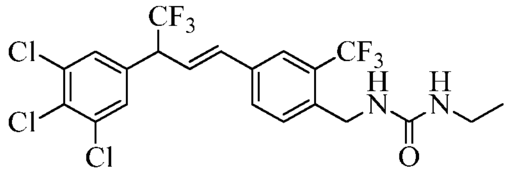
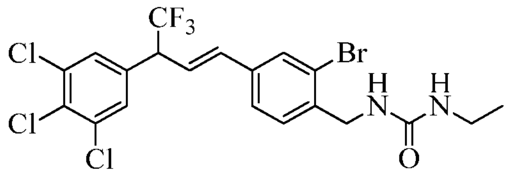
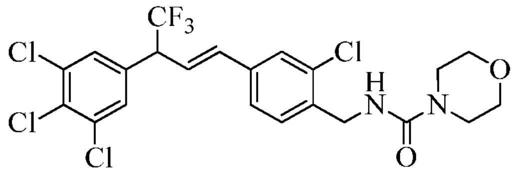
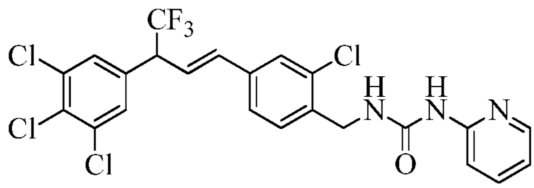
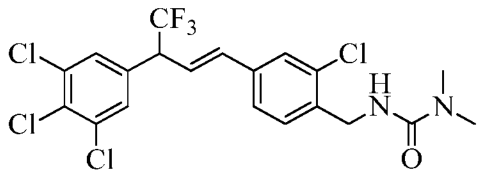
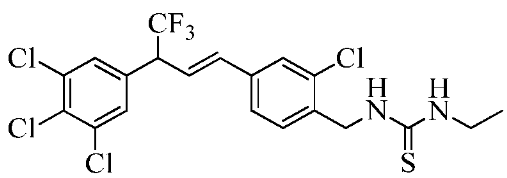
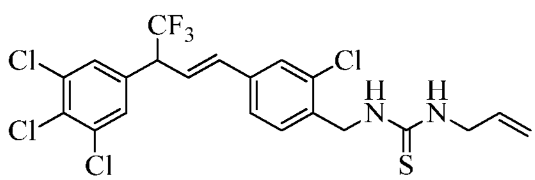
CI41	
CI49	
CI50	
CI51	
CI52	
CI53	
CI54	
CI55	

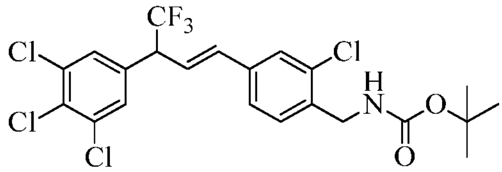
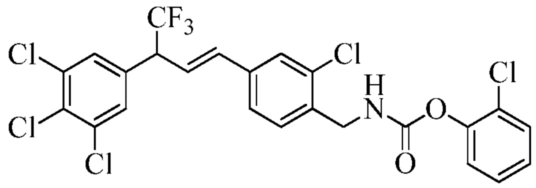
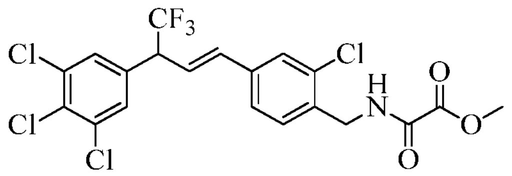
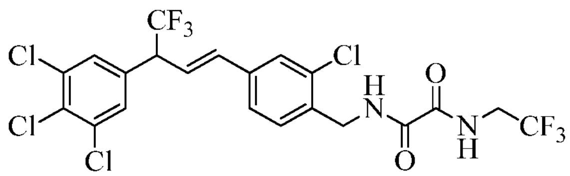
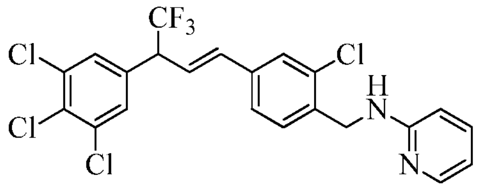
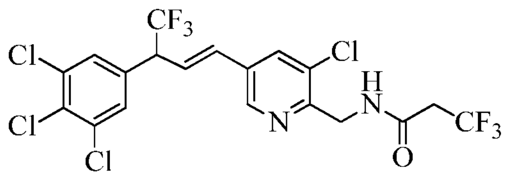
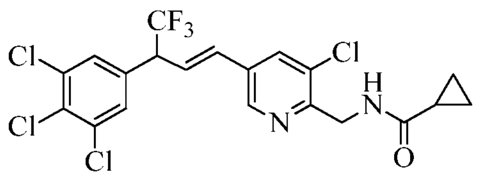
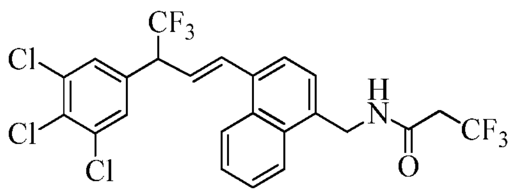
CI56	
CI57	
CC1	
CC2	
CC3	
CC4	
CC5	
CC6	

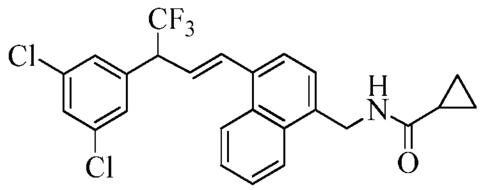
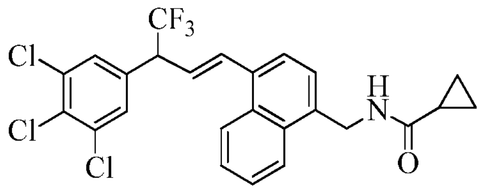
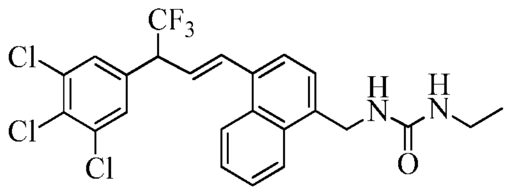
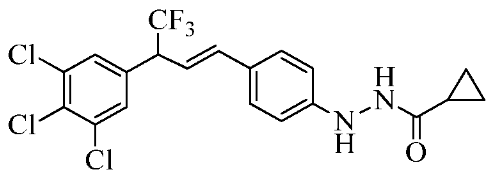
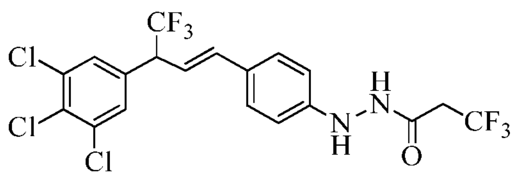
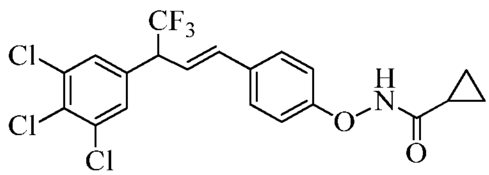
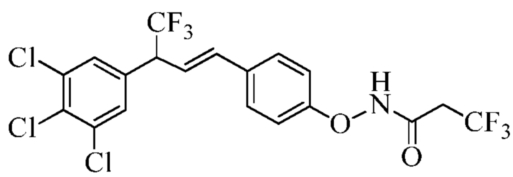
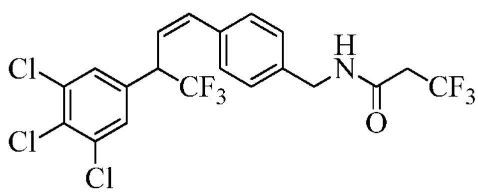
CC7	
CC8	
CC9	
CC10	
CC11	
CC12	
CC13	
CC14	

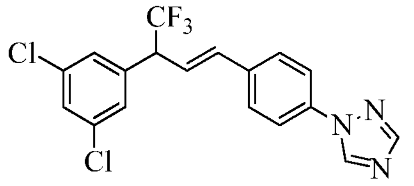
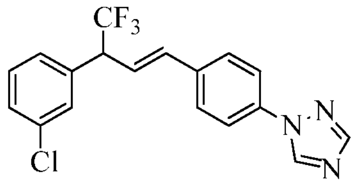
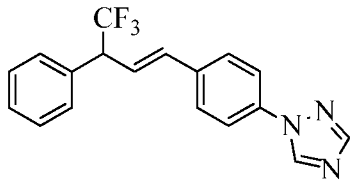
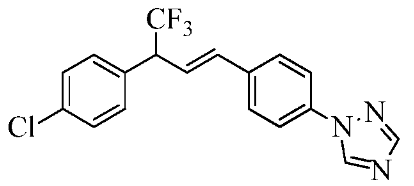
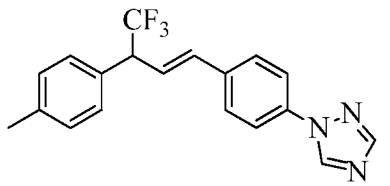
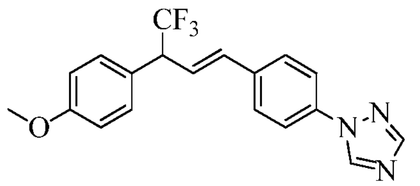
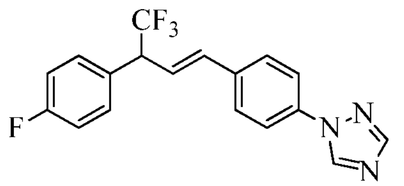
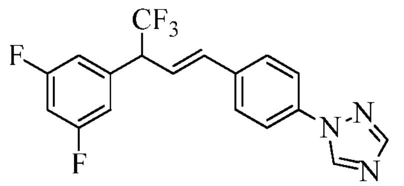
CC15	
CC16	
CC17	
CC18	
CC19	
CC20	
CC21	
CC22	

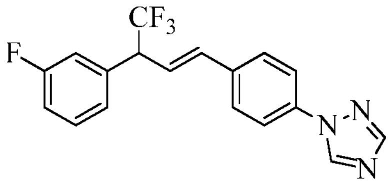
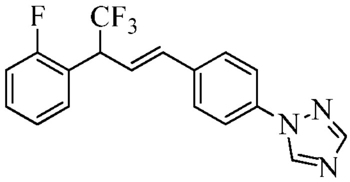
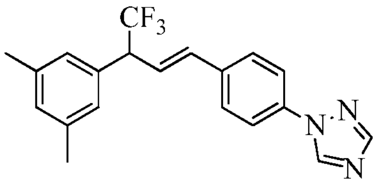
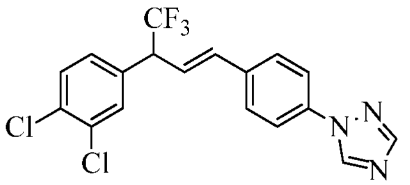
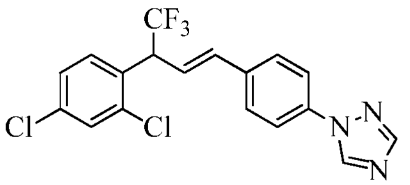
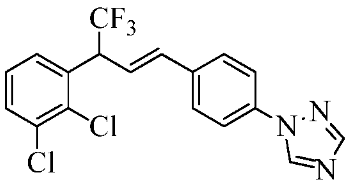
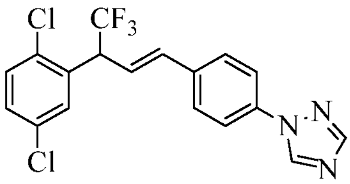
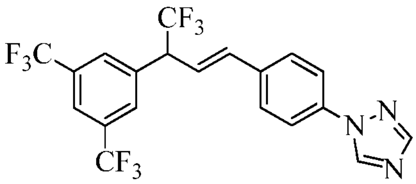
CC23	
CC24	
CC25	
CC26	
CC27	
CC28	
CC29	
CC30	

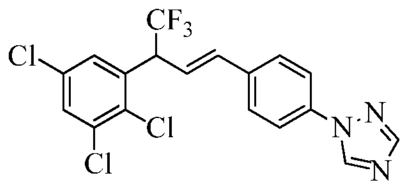
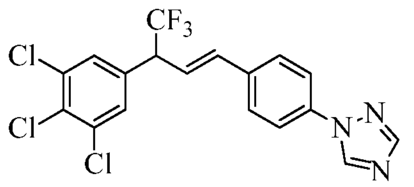
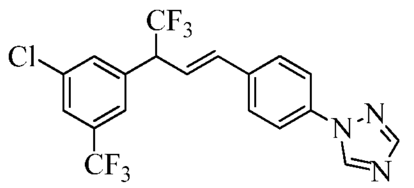
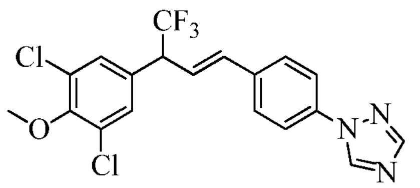
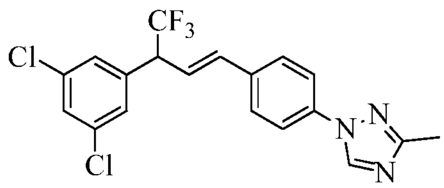
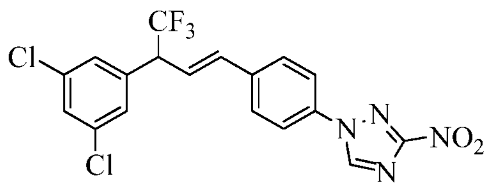
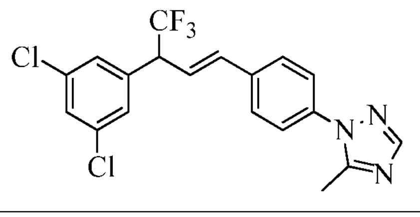
CC31	
CC32	
CC33	
CC34	
CC35	
CC36	
CC37	
CC38	

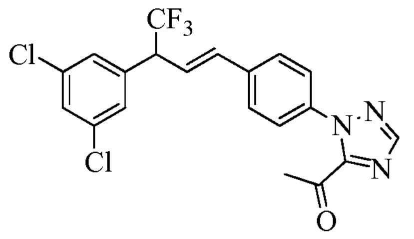
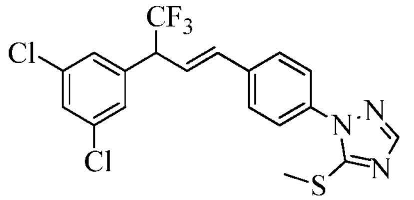
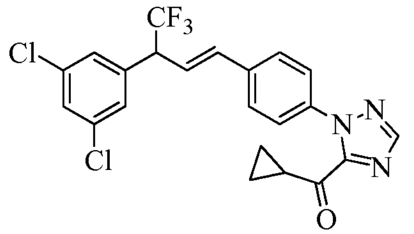
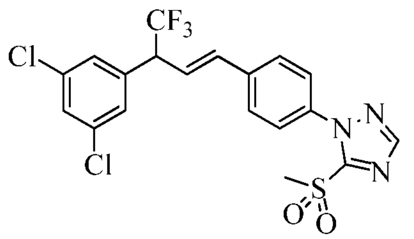
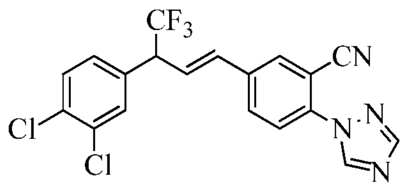
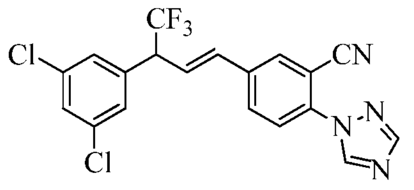
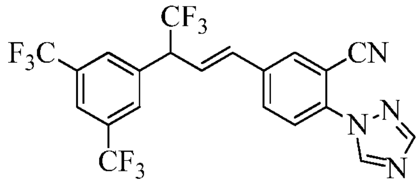
CC39	
CC40	
CC41	
CC42	
CC43	
CC44	
CC45	
CC46	

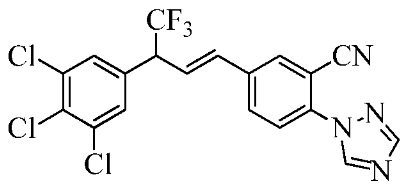
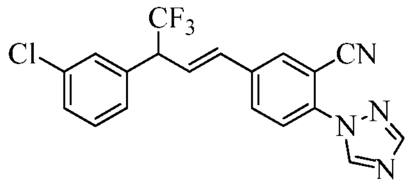
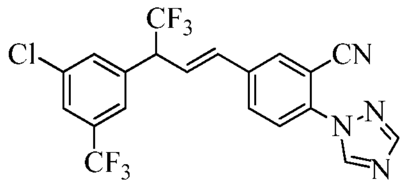
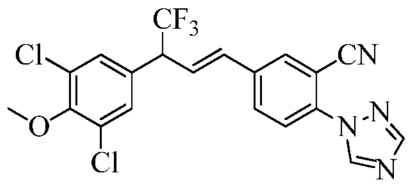
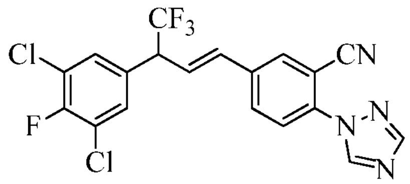
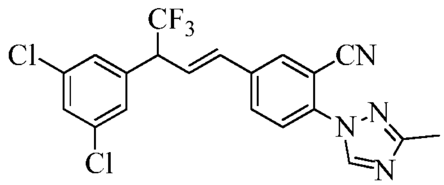
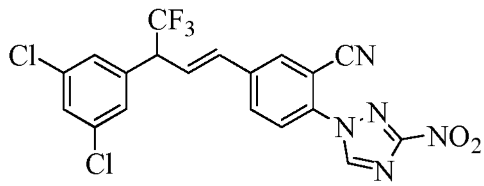
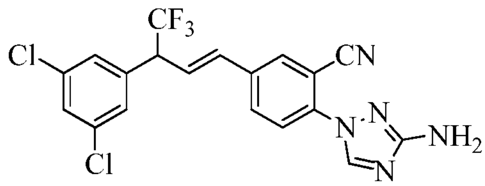
CC47	
CC48	
CC49	
CC50	
CC51	
CC52	
CC53	
CC54	

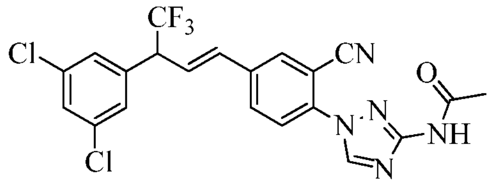
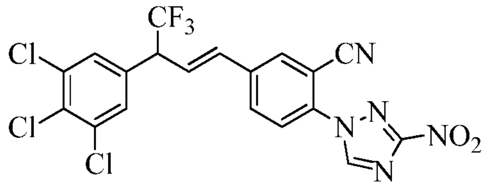
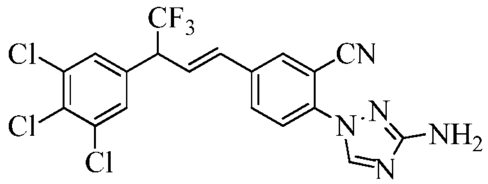
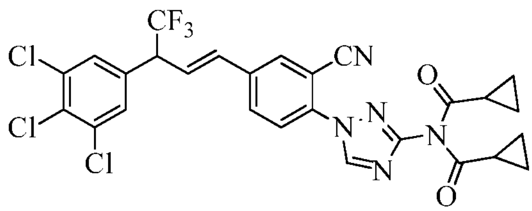
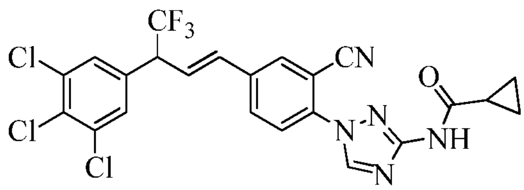
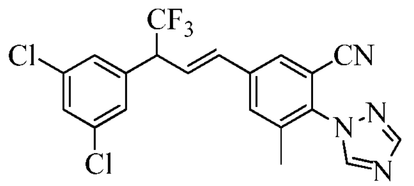
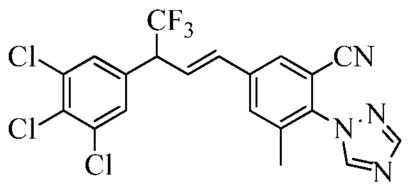
DC1	
DC2	
DC3	
DC4	
DC5	
DC6	
DC7	
DC8	

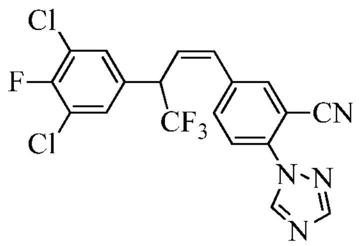
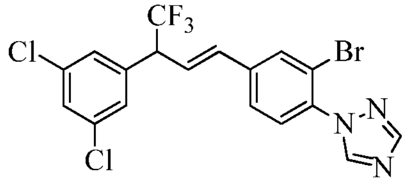
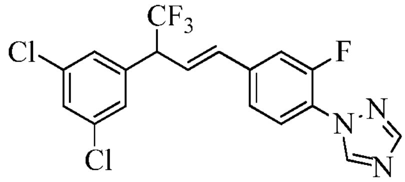
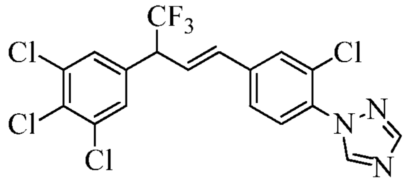
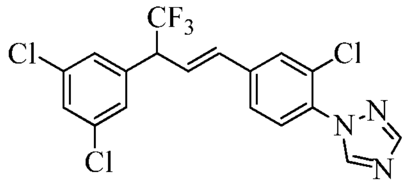
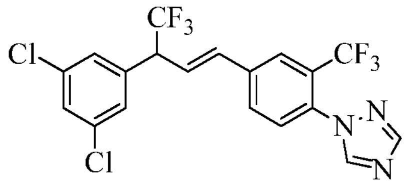
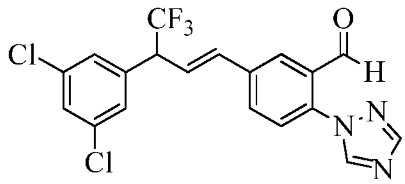
DC9	
DC10	
DC11	
DC12	
DC13	
DC14	
DC15	
DC16	

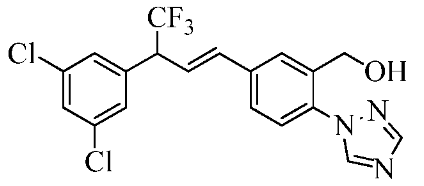
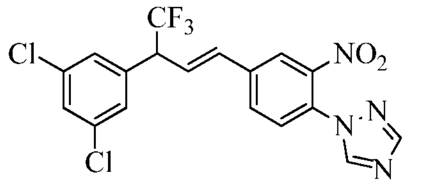
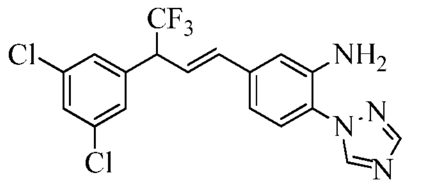
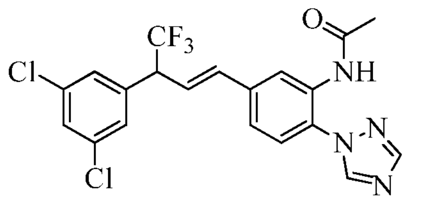
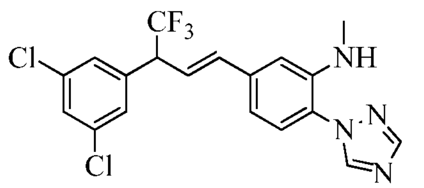
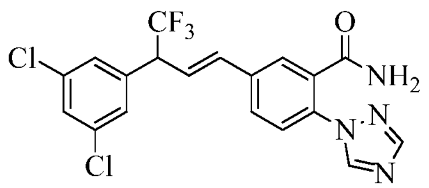
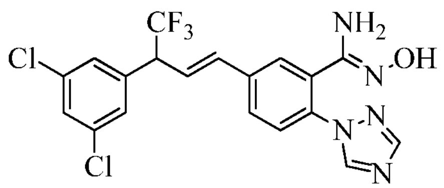
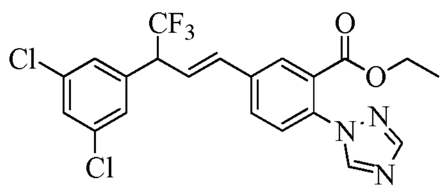
DC17	
DC18	
DC19	
DC20	
DC21	
DC22	
DC23	

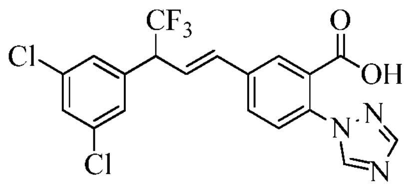
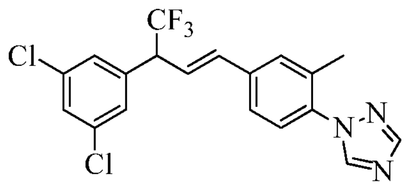
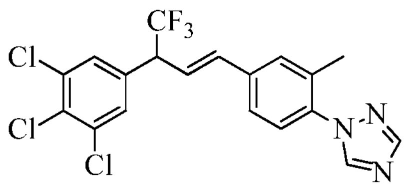
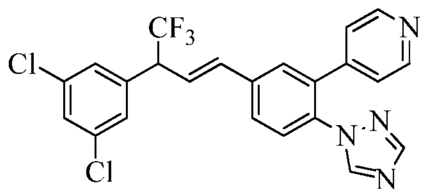
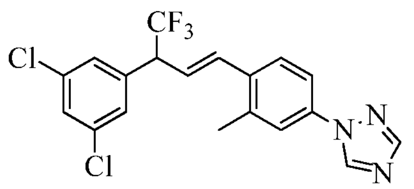
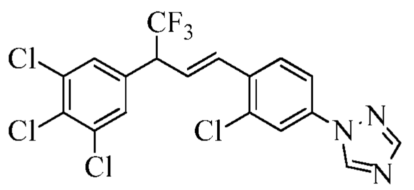
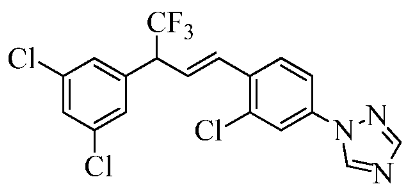
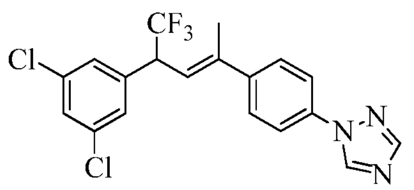
DC24	
DC25	
DC26	
DC27	
DC28	
DC29	
DC30	

DC31	
DC32	
DC33	
DC34	
DC35	
DC36	
DC37	
DC38	

DC39	
DC40	
DC41	
DC42	
DC43	
DC44	
DC45	

DC46	
DC47	
DC48	
DC49	
DC50	
DC51	
DC52	

DC53	
DC54	
DC55	
DC56	
DC57	
DC58	
DC59	
DC60	

DC61	
DC62	
DC63	
DC64	
DC65	
DC66	
DC67	
DC68	

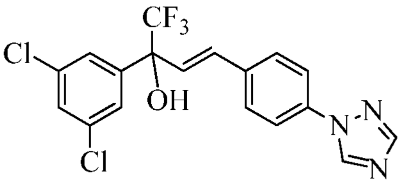
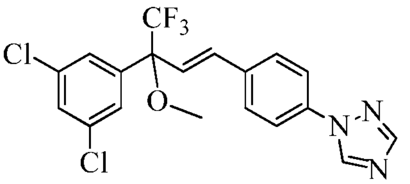
DC69	
DC70	

Table 1A: Structures of Prophetic F Compounds Subsequently Exemplified

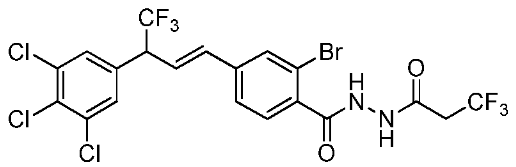
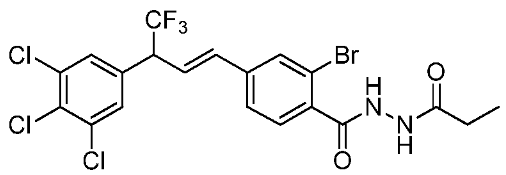
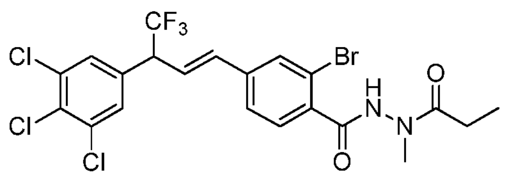
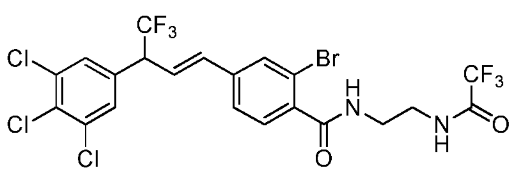
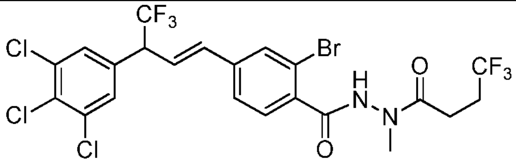
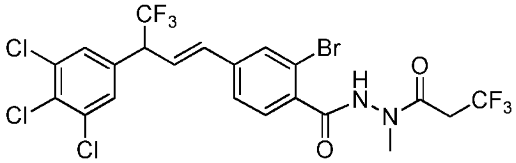
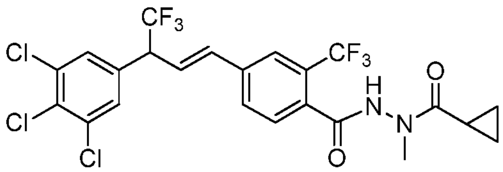
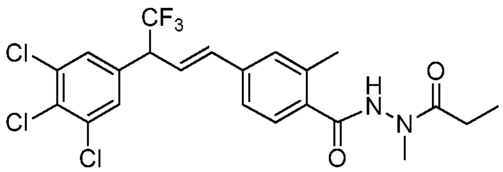
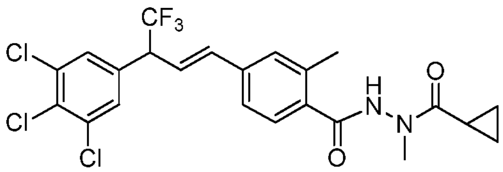
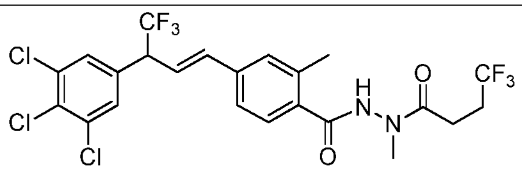
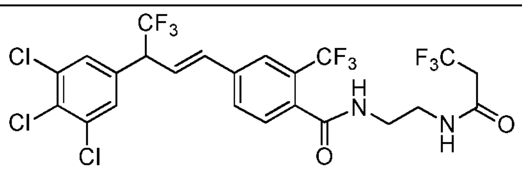
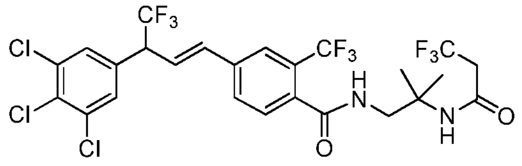
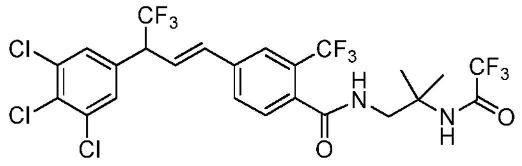
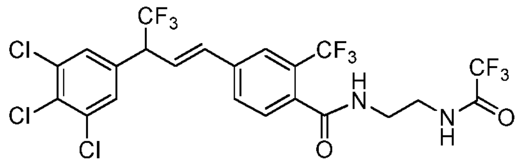
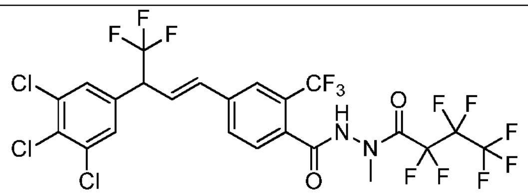
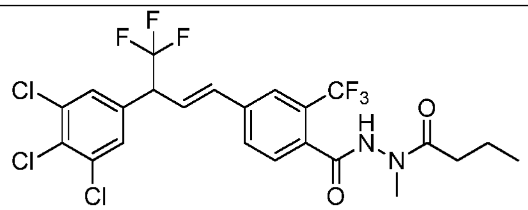
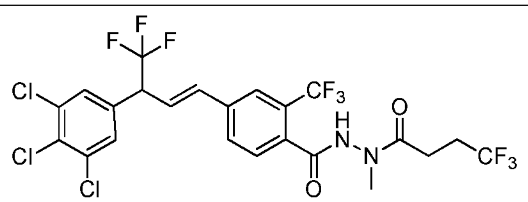
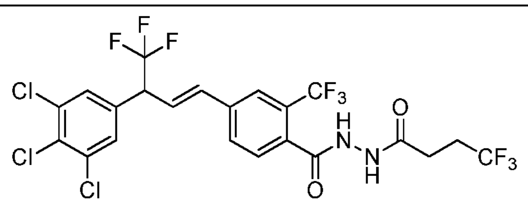
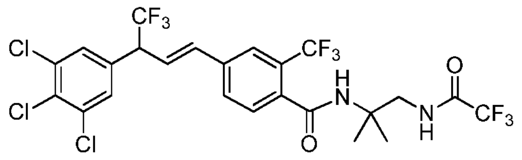
Compound Number	Structure	Appearance	Prepared as in Example:
F1		**Brown solid**	**15**
F8		**White solid**	**128**
F11		**Off white solid**	**128**
F33		**Brown gum**	**15**

Table 1B: Structures of FA Compounds Subsequently Exemplified

Compound Number	Structure	Appearance	Prepared as in Example:
FA1		Brown gum	130
FA2		Yellow solid	130
FA3		Brown liquid	128
FA4		Yellow solid	128
FA5		Pale yellow syrup	128
FA6		Light brown liquid	128
FA7		Golden solid	135

FA8		**Pale clear solid**	**135**
FA9		**Yellow oil**	**135**
FA10		**Light yellow clear solid**	**15**
FA11		**Pale yellow oil**	**132**
FA12		**Pale yellow oil**	**132**
FA13		**White solid**	**130**
FA14		**Beige solid**	**132**
FA15		**Viscous yellow oil**	**135**

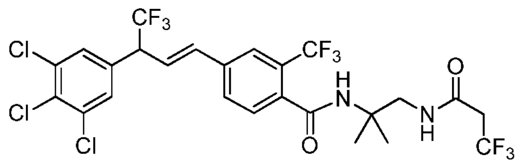
FA16		**Light green oil**	**135**

Table 2: Analytical Data for Compounds in Table 1.

Compound Number	mp (°C)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1})
AC1	156–161	386.09 ([M-H]$^-$)	7.83 (m, 2H), 7.68-7.63 (m, 5H), 6.93 (dd, *J* = 15.6, 8.0 Hz, 1H), 6.81 (d *J* = 15.6 Hz, 1H,), 4.15 (m, 1H), 2.80 (s, 3H)	
AC2	110–112	374 ([M+H]$^+$)	7.80 (d, *J* = 8.4 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.38 (m, 1H), 7.30 (s, 2H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.46 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	
AC3	162–166	402.24 ([M+H]$^+$)	7.42 (m, 4H), 7.37 (t, *J* = 1.8 Hz, 1H), 7.28 (s, 2H), 6.63 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.15 (m, 1H), 3.20 (s, 3H), 3.00 (s, 3H)	
AC4	122–126	454 ([M-H]$^-$)	7.79 (d, *J* = 1.2 Hz, 2H), 7.48 (d, *J* = 8.4 Hz, 2H), 7.38 (t, *J* = 1.8 Hz, 1H), 7.30 (s, 2H), 6.64 (d, *J* = 15.6 Hz, 1H), 6.40 (dd, *J* = 15.6, 8.0 Hz, 1H), 6.30 (m, 1H), 4.15 (m, 3H)	

AC5		444.12 ([M+H]$^+$)	7.67 (s, 3H), 7.64 (d, *J* = 8.0 Hz, 2H), 7.42 (d, *J* = 8.0 Hz, 2H), 6.91 (dd, *J* = 15.6, 8.0 Hz, 1H), 6.80 (d, *J* = 15.6 Hz, 1H), 4.80 (m, 1H), 3.60 (br s, 8H)	
AC6		468.40 ([M-H]$^-$)	7.40 (m, 2H), 7.26 (m, 3H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.82 (br s, 1H), 4.08 (m, 3H), 2.52 (s, 3H)	1657, 1113, 804
AC7		511.02 ([M-H]$^-$)	8.39 (s, 1H), 7.74 (m, 1H), 7.39 (m, 3H), 7.24 (m, 4H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.16 (br s, 1H), 4.63 (m, 2H), 4.12 (m, 1H), 2.41 (s, 3H)	3276, 1645, 1111, 801
AC8		454.11 ([M-H]$^-$)	7.39 (s, 1H), 7.22 (m, 2H), 7.19 (m, 3H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.39-6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.22 (m, 1H), 3.95 (t, *J* = 7.0 Hz, 2H), 2.62 (t, *J* = 8.0 Hz, 2H), 2.30 (s, 3H), 2.18 (m, 2H)	1748, 1112, 801
AC9		494.02 ([M-H]$^-$)	7.45 (t, *J* = 7.6 Hz, 1H), 7.36 (m, 2H), 7.21 (m, 3H), 7.15 (m, 4H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.4 Hz, 1H), 6.08 (br s, 1H), 4.68 (d, *J* = 5.6 Hz, 2H), 4.11 (m, 1H), 2.44 (s, 3H)	3276, 1645, 1112, 801

A10	140–143	458.00 ([M-H]$^-$)	7.38 (t, *J* = 1.6 Hz, 1H), 7.34 (d, *J* = 7.6 Hz, 1H), 7.27 (m, 2H), 7.24 (m, 2H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.16 (m 1H), 5.44 (m, 1H), 4.12 (m, 1H), 3.51 (m, 2H), 3.40 (m, 2H), 2.44 (s, 3H)	
AC11		476.17 ([M-H]$^-$)	7.39-7.29 (m, 9H), 7.24 (m, 2H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.99 (br s, 1H), 4.63 (d, *J* = 6.0 Hz, 1H), 4.11 (m, 1H), 2.47 (s, 3H)	3287, 1644, 1112, 801
AC12		479.30 ([M+H]$^+$)	8.63 (d, *J* = 4.4 Hz, 1H), 7.71 (m, 1H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.37 (m, 2H), 7.32 (m, 2H), 7.23 (m, 2H), 7.13 (m, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.75 (d, *J* = 4.8 Hz, 2H), 4.12 (m, 1H), 2.49 (s, 3H)	3293, 1653, 1112, 800
AC13	75–78	490.04 ([M-H]$^-$)	7.38 (m, 2H), 7.27 (m, 3H), 7.23 (br s, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.45 (m 1H), 6.42 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.91 (m 1H), 4.64 (m, 2H), 4.14 (m, 1H), 4.04 (m, 2H), 2.46 (s, 3H)	
AC14		480.99 ([M+2H]$^+$)	8.63 (s, 2H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.36 (m, 3H), 7.22 (m, 1H), 7.13 (m, 2H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.66 (d, *J* = 5.6 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3293, 1645, 1113, 800

AC15	59–61	516.86 ([M-H]$^-$)	7.45 (s, 1H), 7.37 (m, 1H), 7.34 (m, 1H), 7.26 (m, 3H), 7.22 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.18 (m, 1H), 4.71 (d, *J* = 6.4 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3246, 1635, 1112, 801
AC16		506.93 ([M+H]$^+$)	8.47 (m, 1H), 8.19 (s, 1H), 7.76 (m, 1H), 7.47 (m, 2H), 7.37 (m, 1H), 7.28 (m, 2H), 7.24 (m, 1H), 7.21 (m, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.12 (m, 1H), 2.48 (s, 3H), 1.88 (s, 6H)	1657, 1113, 801
AC17	70–73	494.98 ([M-H]$^-$)	7.49 (m, 2H), 7.38 (m, 1H), 7.29 (m, 4H), 7.08 (m, 3H), 6.91 (m, 1H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.48 (m, 1H), 6.43 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.13 (m, 1H), 2.49 (s, 3H)	
AC18	155–158	480.44 ([M+H]$^+$)	8.73 (d, *J* = 4.8 Hz, 2H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.37 (m, 1H), 7.27 (m, 4H), 7.23 (m, 1H), 7.11 (m, 1H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.90 (d, *J* = 4.8 Hz, 2H), 4.13 (m, 1H), 2.52 (s, 3H)	

AC19	55–57	471.66 ([M+H]$^+$)	7.37 (m, 1H), 7.33 (d, *J* = 7.6 Hz, 1H), 7.27 (m, 2H), 7.22 (m, 2H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.10 (brs, 1H), 4.13 (m, 2H), 3.94 (m, 1H), 3.79 (m, 2H), 3.35 (m, 1H), 2.45 (s, 3H), 2.14 (m, 1H), 1.71 (m, 2H), 1.65 (m, 1H).	
AC20		467.68 ([M+H]$^+$)	7.37 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.38 (m, 3H), 6.01 (m, 1H), 4.63 (d, *J* = 5.6 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3437, 1664, 1265, 1114, 746
AC21	61–64	528.78 ([M+H]$^+$)	8.44 (s, 1H), 8.18 (s, 1H), 7.83 (br s, 1H), 7.38 (m, 2H), 7.27 (m, 2H), 7.25 (m, 2H), 7.21 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.01 (s, 2H), 4.11 (m, 1H), 2.43 (s, 3H)	
AC22		545.08 ([M-H]$^-$)	8.39 (s, 1H), 7.73 (m, 1H), 7.40 (s, 1H), 7.35 (m, 2H), 7.22 (m, 3H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 7.6 Hz, 1H), 6.14 (br s, 1H), 4.62 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3270, 1642, 1111, 809
AC23		492.35 ([M-H]$^-$)	7.42 (s, 2H), 7.36 (m, 1H), 7.24 (m, 2H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.20 (br s, 1H), 5.46 (m, 1H), 4.15 (m, 1H), 3.52 (m, 2H), 3.41 (m, 2H), 2.45 (s, 3H)	3273, 1641, 1250, 1113, 807

AC24	129–132	526.98 ([M+H]+)	7.40 (m, 2H), 7.27 (m, 2H), 7.25 (m, 2H), 6.92 (br s, 2H), 6.60 (m, 1H), 6.48(dd, *J* = 16.0, 8.0 Hz, 1H), 4.19 (d, *J* = 5.2, 2H), 4.08 (m, 1H), 3.99 (m, 2H), 2.46 (s, 3H)	3298, 1664, 1113, 803
AC25		542.24 ([M-H]-)	7.41 (m, 3H), 7.27 (m, 2H), 6.58 (d, *J* = 15.6 Hz, 1H), 6.42 (m, 2H), 4.92 (m, 1H), 4.65 (m, 2H), 4.14 (m, 1H), 4.09 (m, 2H), 2.46 (s, 3H)	3257, 1652, 1316, 1109, 807
AC26		550.69 ([M-H]-)	7.45 (s, 1H), 7.40 (s, 2H), 7.34 (d, *J* = 8.0 Hz, 1H), 7.22 (m, 2H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.71 (d, *J* = 6.0 Hz, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	3255, 1638, 1113, 809
AC27		541.00 ([M-H]-)	8.46 (d, *J* = 4.0 Hz, 1H), 8.20 (s, 1H), 7.76 (m, 1H), 7.47 (m, 2H), 7.41 (s, 2H), 7.23 (m, 2H), 7.21 (m, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.37 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.11 (m, 1H), 2.48 (s, 3H), 1.88 (s, 6H)	1653, 1113, 809
AC28	65–67	564.84 ([M-H]-)	8.40 (s, 1H), 7.74 (m, 2H), 7.42 (m, 3H), 7.36 (m, 2H), 6.72 (br s, 1H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.66 (d, *J* = 6.4 Hz, 2H), 4.12 (m, 1H)	3267,1650, 1112, 809

AC29	75–78	511.78 ([M-H]$^-$)	7.71 (d, *J* = 8.4 Hz, 1H), 7.42 (m, 3H), 7.35 (m, 1H), 6.75 (br s, 1H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.49 (m, 1H), 4.14 (m, 1H), 3.50 (m, 4H)	
AC30	110–113	543.72 ([M-H]$^-$)	7.42 (d, *J* = 8.4 Hz, 1H), 7.44 (s, 1H), 7.40 (s, 1H), 7.38 (m, 1H), 7.06 (br s, 1H), 6.58 (d, *J* = 15.6 Hz, 1H), 6.45 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.93 (m, 1H), 4.65 (m, 2H), 4.13 (m, 3H)	
AC31	68–70	610.73 ([M+H]$^+$)	8.42 (s, 1H), 7.76 (m, 1H), 7.61 (m, 2H), 7.39 (m, 4H), 6.54 -6.39 (m, 3H), 4.66 (d, *J* = 6.0 Hz, 2H), 4.12 (m, 1H)	
AC32	78–80	555.89 ([M-H]$^-$)	7.61 (m, 2H), 7.40 (m, 3H), 6.54 (m, 2H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.46 (m, 1H), 4.14 (m, 1H), 3.50 (m, 4H)	
AC33	182–184	587.68 ([M-H]$^-$)	7.62 (s, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.40 (m, 3H), 6.84 (br s, 1H), 6.55 (d, *J* = 15.6 Hz, 1H), 6.45 (dd, *J* = 15.6, 7.6 Hz, 1H), 4.93 (m 1H), 4.65 (m, 2H), 4.13 (m, 4H)	
AC34	151–153	545.83 ([M-H]$^-$)	7.67 (s, 1H), 7.61 (d, *J* = 6.0 Hz, 1H), 7.53 (m, 1H), 7.41 (s, 2H), 6.64 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.18 (br s, 1H), 5.44 (m, 1H), 4.14 (m, 1H), 3.50 (m, 2H), 3.40 (m, 2H)	

AC35	100–102	577.71 ([M-H]$^-$)	7.70 (s, 1H), 7.63 (m, 1H), 7.53 (d, *J* = 7.6 Hz, 1H), 7.41 (s, 2H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.49 (m, 2H), 4.93 (m, 1H), 4.64 (m, 2H), 4.13 (m, 1H), 4.03 (m, 2H)	3257, 1655, 1113, 808
AC36	81–83	600.83 ([M+H]$^+$)	8.40 (s, 1H), 7.73 (m, 2H), 7.61 (d, *J* = 8.4 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 2H), 7.35 (d, *J* = 8.0 Hz, 1H), 6.63 (d, *J* = 16.0 Hz, 1H), 6.46 (dd, *J* = 16.0, 7.6 Hz, 1H), 6.14 (m, 1H), 4.63 (d, *J* = 6.0 Hz, 2H), 4.14 (m, 1H)	
AC37		512.68 ([M+H]$^+$)	8.39 (s, 1H), 7.73 (m, 1H), 7.48 (m, 2H), 7.34 (d, *J* = 7.6 Hz, 1H), 7.24 (m, 3H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 7.6 Hz, 1H), 6.12 (m, 1H), 4.62 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 2.45 (s, 3H)	3268, 1644, 1109, 820
AC38	79–80	528.85 ([M-H]$^-$)	8.46 (m, 1H), 7.73 (m, 1H), 7.35 (m, 4H), 7.22 (m, 2H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.62 (d, *J* = 6.0 Hz, 2H), 4.10 (m, 1H), 2.45 (s, 3H)	
AC39	141–144	477.83 ([M-H]$^-$)	9.19 (s, 1H), 8.79 (s, 2H), 7.37 (m, 2H), 7.23 (m, 2H), 7.21 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 7.6 Hz 1H), 6.21 (m, 1H), 4.65 (s, 2H), 4.11 (m, 1H), 2.46 (s, 3H)	

AC40	69-72	484.67 ([M+H]$^+$)	8.33 (t, *J* = 5.6 Hz, 1H), 8.61 (m, 1H), 7.68 (m, 3H), 7.48 (m, 2H), 6.86 (dd, *J* = 15.6, 8.2 Hz 1H), 6.74 (d, *J* = 15.6 Hz, 1H), 4.44 (m, 1H), 3.76 (d, *J* = 6.0 Hz, 2H), 2.54 (m, 1H), 2.67 (s, 3H), 0.59 (m, 2H), 0.54 (m, 2H)	
AC41	196-199	515.00 ([M-H]$^-$)	8.66 (d, *J* = 7.6 Hz, 1H), 8.39 (t, *J* = 5.6 Hz, 1H), 7.65 (s, 3H), 7.45 (m, 3H), 6.86 (dd, *J* = 15.6, 8.8 Hz, 1H), 6.74 (d, *J* = 15.6 Hz, 1H), 5.01 (m, 1H), 4.99 (m, 1H), 3.78 (d, *J* = 6.0 Hz, 2H), 3.40 (m, 2H), 3.22 (m, 2H), 2.37 (m, 3H)	
AC42	79-82	534.72 ([M+H]$^+$)	7.99 (d, *J* = 8.0 Hz, 1H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.51 (m, 2H), 7.44 (m, 2H), 7.27 (m, 4H), 6.71 (t, *J* = 5.2 Hz, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.05 (d, *J* = 1.6 Hz, 2H), 4.12 (m, 1H), 2.52 (m, 3H)	
AC43		481.75 ([M+H]$^+$)	8.69 (s, 1H), 8.52 (s, 2H), 7.45 (d, *J* = 7.6 Hz, 1H), 7.37 (d, *J* = 2.0 Hz, 1H), 7.26 (m, 2H), 7.21 (m, 1H), 6.83 (s, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.81 (d, *J* = 5.6 Hz, 2H), 4.12 (t, *J* = 8.4 Hz 1H), 2.45 (s, 3H)	1663, 1608,1168, 1114, 801

AC44		528.01 ([M+H]$^+$)	8.44 (d, *J* = 2.4 Hz, 1H), 7.69 (d, *J* = 2.4 Hz, 1H), 7.37 (m, 1H), 7.33 (s, 1H), 7.31 (s, 1H), 7.26 (m, 1H), 7.24 (m, 3H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.96 (d, *J* = 7.2 Hz, 1H), 5.32 (t, *J* = 7.2 Hz, 1H), 4.11 (t, *J* = 8.4 Hz, 1H), 2.41 (s, 3H), 1.61 (d, *J* = 7.2 Hz, 3H)	1640, 1166, 1112, 800
AC45		512.88 ([M+H]$^+$)	7.66 (s, 1H), 7.37 (d, *J* = 6.8 Hz, 2H), 7.26 (m, 3H), 7.18 (m, 1H), 7.11 (m, 2H), 6.99 (m, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.39 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.11 (t, *J* = 8.4 Hz, 1H), 3.36 (s, 3H), 2.43 (s, 3H)	1657, 1167, 1106, 800
AC46	61-64	575.93 ([M+H]$^+$)	8.42 (d, *J* = 2.0 Hz, 1H), 7.76 (d, *J* = 2.4 Hz, 1H), 7.61 (m, 2H), 7.39 (m, 3H), 7.26 (s, 2H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.65 (d, *J* = 6.0 Hz, 2H), 4.14 (m, 1H)	
AC47		525.89 ([M-H]$^-$)	10.02 (s, 1H), 9.87 (s, 1H), 8.47 (t, *J* = 6.0 Hz, 1H), 7.66 (s, 3H), 7.44 (s, 1H), 7.40 (d, *J* = 3.6 Hz, 2H), 6.86 (dd, *J* = 15.6, 9.2 Hz, 1H), 6.74 (d, *J* = 15.6 Hz, 1H), 4.82 (t, *J* = 9.6 Hz, 2H), 3.88 (d, *J* = 6.0 Hz, 2H), 2.36 (s, 3H), 1.63 (m, 1H), 0.76 (m, 4H)	3280, 1640

AC48		509.96 ([M-H]$^-$)	7.37 (m, 7H), 7.34 (m, 3H), , 6.57 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.01 (m, 1H), 4.60 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 2.46 (s, 3H)	3275, 1642
AC49		518.85 ([M+H]$^+$)	8.39 (d, *J* = 2.0 Hz, 1H), 8.11 (m, 1H), 7.71 (d, *J* = 2.4 Hz, 1H), 7.41 (m, 3H), 7.17 (m, 3H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.47 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.66 (d, *J* = 5.6 Hz, 2H), 4.14 (m, 1H)	1658, 1112, 1025, 2219
AC50		481.88 ([M+H]$^+$)	8.72 (m, 1H), 7.67 (s, 3H), 7.46 (s, 1H), 7.40 (m, 2H), 7.08 (s, 1H), 6.82 (m, 2H), 6.55 (d, *J* = 7.6 Hz, 1H), 4.82 (m, 1H), 4.48 (s, 2H), 3.65 (s, 3H), 2.38 (s, 3H)	1654, 1112, 800, 3069
AC51		540.83 ([M+H]$^+$)	7.45 (d, *J* = 7.6 Hz, 1H), 7.38 (m, 1H), 7.27 (m, 2H), 7.22 (m, 2H), 6.85 (m, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.33 (m, 2H), 4.14 (m, 3H), 3.18 (s, 3H), 2.48 (s, 3H)	1652, 1571, 802, 1114, 2926
AC52		488.29 ([M-H]$^-$)	7.33 (m, 2H), 7.25 (m, 3H), 6.56 (d, *J* = 15.6 Hz, 1H), 6.37 (dd, *J* = 15.6, 8.0 Hz, 1H), 5.61 (d, *J* = 8.0 Hz, 1H), 4.21 (m, 1H), 4.01 (m, 1H), 4.08 (m, 2H), 3.56 (t, *J* = 10.0 Hz, 2H), 2.48 (m, 2H), 2.08 (m, 2H), 1.5 (m, 3H)	1635, 11134, 813, 2927

AC53		532.92 ([M+H]$^{+}$)	8.49 (d, *J* = 2.0 Hz, 1H), 7.69 (d, *J* = 2.4 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.34 (m, 3H), 7.26 (m, 2H), 6.95 (m, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.72 (d, *J* = 5.2 Hz, 2H), 4.09 (m, 1H), 2.47 (s, 3H)	1651, 3027, 815, 1113
AC54		529.06 ([M-H]$^{-}$)	8.37 (d, *J* = 5.2 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.36 (m, 3H), 7.31 (m, 1H), 7.26 (m, 2H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 7.6 Hz, 1H), 5.20 (t, *J* = 5.6 Hz, 1H), 4.63 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 2.18 (s, 3H)	1654, 3434, 814, 1112
AC57		464.96 ([M+H]$^{+}$)	8.69 (t, *J* = 6.0 Hz, 1H), 8.58 (t, *J* = 6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, *J* = 6.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, *J* = 15.6 Hz, 1H), 6.76 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.01 (m, *J* = 8.0 Hz, 1H), 3.71 (m, 2H), 3.49 (m, 2H)	3417, 1658, 1165, 817
AC58	124.4-126.9	599.76 ([M+H]$^{+}$)	7.62 (m, 2H), 7.40 (s, 2H), 7.37 (d, *J* = 1.6 Hz, 1H), 6.61 (t, *J* = 4.8 Hz, 1H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.16 (d, *J* = 6.0 Hz, 2H), 4.01 (m, 1H), 1.56 (s, 9H)	

AC59	80-83	497.40 ([M-H]$^-$)	8.42 (d, *J* = 2.1 Hz, 1H), 8.29 (d, *J* = 7.5 Hz, 1H), 7.51 (m, 2H), 7.39 (m, 1H), 7.36 (m, 4H), 7.28 (m, 1H), 6.61 (d, *J* = 15.9 Hz, 1H), 6.45 (dd, *J* = 15.9, 7.8 Hz 1H), 4.14 (t, *J* = 8.4 Hz, 1H), 2.51 (s, 3H)	
AC60		515.09 ([M+H]$^-$)	8.52 (s, 1H), 8.39 (d, *J* = 1.8 Hz, 2H), 7.70 (d, *J* = 2.1 Hz, 1H), 7.62 (s, 1H), 7.43 (s, 1H), 7.35 (m, 3H), 6.62 (d, *J* = 16.2 Hz, 1H), 6.52 (dd, *J* = 16.2, 7.5 Hz, 1H), 4.62 (d, *J* = 6.3 Hz, 2H), 4.19 (m, 1H), 2.76 (s, 3H)	1668, 1589, 1167, 1113, 802
AC61		461.90 ([M-H]$^-$)	8.07 (t, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 2.0 Hz, 1H), 7.28 (d, *J* = 1.2 Hz, 3H), 7.17 (d, *J* = 1.6 Hz, 1H), 7.11 (m, 1H), 6.59 (d, *J* = 15.6 Hz, 1H), 6.47 (dd, *J* = 15.6, 7.6 Hz, 1H), 5.49 (m, 1H), 4.14 (t, *J* = 8.4 Hz, 1H), 3.48 (m, 4H)	1658, 1114, 801
AC62	105-108	528.88 ([M-H]$^-$)	8.62 (t, *J* = 6.4 Hz, 1H), 8.46 (m, 1H), 7.73 (m, 5H), 7.48 (d, *J* = 7.6 Hz, 1H), 7.03 (dd, *J* = 15.6, 9.2 Hz, 1H), 6.81 (d, J = 15.6 Hz, 1H), 4.86 (m, 1H), 3.97 (m, 4H)	
AC63	77-80	594.67 ([M+H]$^+$)	8.43 (s, 1H), 7.76 (d, *J* = 2.4 Hz, 1H), 7.60 (m, 2H), 7.38 (d, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 6.4 Hz, 3H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.46 (m, 1H), 6.41 (dd, *J* = 16.0 8.0 Hz, 1H), 4.65 (d, *J* = 6.0 Hz, 2H), 4.15 (m, 1H)	3257, 1653

AC64	83-85	580.72 ([M-H]$^-$)	7.72 (d, *J* = 8.0 Hz, 1H), 7.44 (s, 1H), 7.40 (s, 2H), 7.36 (d, *J* = 6.8 Hz, 1H), 7.05 (t, *J* = 5.2 Hz, 1H), 6.70 (t, *J* = 5.2 Hz, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.44 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.23 (d, *J* = 5.6 Hz, 2H), 4.15 (m, 1H), 4.01 (m, 2H)	
AC65		534.72 ([M-H]$^-$)	8.39 (d, *J* = 2.0 Hz, 1H), 8.12 (t, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 2.4 Hz, 1H), 7.34 (m, 3H), 7.26 (m, 1H), 7.11 (m, 2H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.46 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.66 (d, *J* = 5.2 Hz, 2H), 4.13 (m, 1H)	1658, 1113, 817, 2925
AC66	73-75	624.61 ([M-H]$^-$)	7.88 (s, 1H), 7.63 (d, *J* = 1.6 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.40 (m, 2H), 6.80 (t, *J* = 5.6 Hz, 1H), 6.70 (t, *J* = 5.6 Hz, 1H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.44 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.22 (m, 2H), 4.12 (m, 1H), 4.01 (m, 2H)	
AC67		479.82 ([M-H]$^-$)	8.07 (t, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 6.0 Hz, 2H), 7.28 (s, 1H), 7.17(s, 2H), 6.59 (d, *J* = 15.6 Hz, 1H), 6.46 (dd, *J* = 15.6, 8.0 Hz, 1H), 5.49 (m, 1H), , 4.12 (m, 1H), 3.49 (m, 4H).	3272, 1644

AC68	90-93	546.80 ([M-H]$^{-}$)	8.6 (t, *J* = 6.4 Hz, 1H), 8.45 (m, 1H), 7.86 (d, *J* = 6.4 Hz, 2H), 7.75 (t, *J* = 8.0 Hz, 1H), 7.63 (d, *J* = 12.0 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.03 (dd, *J* = 15.6, 9.6 Hz, 1H), 6.80 (d, *J* = 15.6 Hz, 1H), 4.88 (m, 1H), 3.96 (m, 4H)	3315, 1684
AC69		542.82 ([M-H]$^{-}$)	7.41 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 5.6 Hz, 2H), 7.26 (m, 1H), 7.23 (m, 1H), 6.81 (s, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.55 (s, 1H), 6.39 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.18 (m, 2H), 4.13 (m, 1H), 3.97 (m, 2H), 2.46 (s, 3H)	3294, 1685
AC70	176-178	545.23 ([M-H]$^{-}$)	8.38 (d, *J* = 2.4 Hz, 1H), 8.22 (d, *J* = 6.8 Hz, 2H), 7.71 (d, *J* = 2.4 Hz, 1H), 7.35 (d, *J* = 6.0 Hz, 2H), 7.30 (d, *J* = 7.6 Hz, 1H), 7.15 (d, *J* = 1.6 Hz, 1H), 6.93 (d, *J* = 1.2 Hz, 1H), 6.60 (d, *J* = 15.6 Hz, 1H), 6.43 (dd, *J* = 15.6, 7.6 Hz, 1H), 4.66 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 3.98 (s, 3H)	
AC71		492.20 ([M-H]$^{-}$)	8.24 (d, *J* = 7.6 Hz, 1H), 8.15 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 6.0 Hz, 2H), 7.13 (d, *J* = 1.2 Hz, 1H), 6.92 (s, 1H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 7.6 Hz, 1H), 5.48 (m, 1H), 4.13 (m, 1H), 4.03 (s, 3H), 3.48 (m, 4H)	1639, 3079, 858

AC72		543.05 ([M-H]$^-$)	8.42 (d, *J* = 2.4 Hz, 1H), 7.75 (d, *J* = 2.4 Hz, 1H), 7.34 (m, 4H), 7.20 (m, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.12 (t, *J* = 5.6 Hz, 1H), 4.62 (d, *J* = 6.0 Hz, 2H), 4.20 (m, 1H), 2.82 (m, 2H), 1.45 (t, *J* = 5.6 Hz, 3H)	1642, 3246, 814, 1113
AC75		644.78 ([M+H]$^+$)	8.72 (s, 1H), 7.97 (d, *J* = 7.2 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.61 (m, 2H), 7.40 (m, 2H), 6.55 (m, 2H), 6.42 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.76 (d, *J* = 6.0 Hz, 2H), 4.12 (m, 1H)	3431, 1652, 1171, 809
AC76		531.34 ([M+H]$^+$)	8.87 (t, *J* = 6.0 Hz, 1H), 8.34 (d, *J* = 2.1 Hz, 1H), 7.85 (d, *J* = 6.3 Hz, 3H), 7.48 (m, 4H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.45 (dd, *J* = 15.6, 9.0 Hz, 1H), 4.84 (m, 1H), 4.49 (d, *J* = 5.7 Hz, 2H), 2.82 (m, 2H), 2.36 (t, *J* = 5.6 Hz , 3H)	3120, 1708, 1171
AC77		531.1 ([M+H]$^+$)	8.87 (t, *J* = 6.0 Hz, 1H), 8.34 (d, *J* = 2.1 Hz, 1H), 7.85 (d, *J* = 6.3 Hz, 3H), 7.48 (m, 4H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.45 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.84 (m, 1H), 4.49 (d, *J* = 5.7 Hz, 2H), 2.36 (s, 3H)	3444, 1648, 1114, 814
AC78		561.06 ([M+H]$^+$)	8.59 (t, *J* = 6.4 Hz, 1H), 8.47 (t, *J* = 5.6 Hz, 1H), 7.89 (s, 2H), 7.45 (m, 3H), 6.87 (m, 1H), 6.75 (d, *J* = 15.6 Hz, 1H), 4.85 (t, *J* = 8.0 Hz 1H), 3.98 (m, 4H), 2.58 (s, 3H)	3432, 1631, 1161, 840

AC79		610.97 ([M+H]+)	8.69 (t, *J* = 6.0 Hz, 1H), 8.58 (t, *J* = 6.0 Hz, 1H), 7.92 (s, 1H), 7.87 (d, *J* = 6.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 8.4 Hz, 1H), 7.0 (m, 1H), 6.76 (d, *J* = 15.6 Hz, 1H) 4.83 (t, *J* = 8.0 Hz, 1H), 3.98 (m, 4H)	3303, 1658, 1166, 817
AC80		561.06 ([M+H]+)	7.37 (m, 3H), 7.26 (m, 1H), 7.24 (m, 1H), 6.59 (d, *J* = 15.6 Hz, 1H), 6.39 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.24 (m, 4H), 3.90 (m, 1H), 2.83 (m, 2H), 1.26 (m, 3H)	3412, 1624, 1157, 825
AC81	9-92	546.93 ([M-H]-)	8.73 (d, *J* = 5.6 Hz, 1H), 8.45 (t, *J* = 6.0 Hz, 1H), 7.76 (s, 3H), 7.45 (m, 3H), 6.86 (dd, *J* = 16.0, 9.2 Hz, 1H), 4.83 (m, 1H), 4.56 (m, 2H), 4.51 (m, 1H), 4.10 (m, 2H), 3.85 (d, *J* = 6.0 Hz, 2H), 2.50 (m, 3H)	
AC82		477.69 ([M+H]+)	7.38 (d, *J* = 1.8 Hz, 2H), 7.33 (s, 1H), 7.27 (s, 3H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.42 (d, *J* = 8.1 Hz, 1H), 6.36 (dd, *J* = 16.0, 7.8 Hz, 1H), 4.71 (m, 1H), 4.23 (m, 3H), 3.26 (m, 2H), 2.45 (s, 3H)	1646, 1353, 1196, 1112, 800
AC83		493.83 ([M-H]-)	8.07 (t, *J* = 8.4 Hz, 1H), 7.39 (t, *J* = 1.6 Hz, 1H), 7.31 (d, *J* = 1.2 Hz, 1H), 7.26 (m, 2H), 7.23 (m, 1H), 7.19 (d, *J* = 1.6 Hz, 1H), 6.60 (d, *J* = 16.8 Hz, 1H), 6.49 (dd, *J* = 16.8, 7.6 Hz, 1H), 4.90 (m, 1H), 4.64 (m, 2H), 4.14 (m, 2H), 4.10 (m, 1H)	1527, 1113, 801, 1167, 1321

AC84		511.75 ([M-H]$^-$)	8.07 (t, *J* = 8.0 Hz, 1H), 7.34 (m, 3H), 7.19 (d, *J* = 13.2 Hz, 1H), 6.60 (d, *J* = 16.4 Hz, 1H), 6.48 (dd, *J* = 16.4, 8.0 Hz, 1H), 4.88 (m, 1H), 4.62 (m, 2H), 4.12 (m, 3H)	1645, 1113, 804, 3030, 1245
AC85		523.83 ([M-H]$^-$)	8.60 (d, *J* = 6.8 Hz, 1H), 8.15 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 6.0 Hz, 1H), 7.15 (d, *J* = 7.2 Hz, 1H), 6.94 (s, 1H), 6.60 (d, *J* = 15.6 Hz, 1H), 6.44 (dd, *J* = 7.6, 7.6 Hz, 1H), 4.93 (m, 1H), 4.62 (m, 2H), 4.13 (m, 6H)	1652, 3039, 802, 1114
AC86		524.36 ([M+H]$^+$)	7.35 (d, *J* = 6.3 Hz, 3H), 7.26 (m, 2H), 7.20 (m, 1H), 6.60 (d, *J* = 15.9 Hz, 1H), 6.47 (dd, *J* = 15.9, 6.6 Hz, 1H), 4.86 (m, 1H), 4.65 (m, 2H), 4.13 (m, 3H), 2.84 (q, 2.8 Hz, 2H), 1.26 (m, 3H)	3333, 1651, 815
AC87		495.82 ([M-H]$^-$)	8.07 (t, *J* = 8.0 Hz, 1H), 7.52 (m, 3H), 7.19 (d, *J* = 13.2 Hz, 1H), 6.59 (d, *J* = 16.4 Hz, 1H), 6.47 (dd, *J* = 16.4, 8.0 Hz, 1H), 4.69 (m, 1H), 4.23 (m, 3H), 3.29 (m, 2H)	1623, 1114, 816
AC89		509.89 ([M+H]$^+$)	7.43 (m, 2H), 7.27 (m, 2H), 7.23 (m, 2H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.79 (d, *J* = 5.6 Hz, 2H), 4.14 (m, 1H), 2.48 (s, 3H), 2.18 (m, 1H), 1.16 (m, 4H)	1666, 1166, 1112, 800

AC90		656.9 ([M-H]$^-$)	8.34 (m, 1H), 8.27 (m, 1H), 7.60 (d, *J* = 1.6 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 2H), 7.40 (s, 2H), 7.36 (dd, *J* = 8.2, 1.7 Hz, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.89 (d, *J* = 8.4 Hz, 2H), 4.48 (d, *J* = 9.0 Hz, 2H), 4.11 (m, 1H)	
AC91		640.9 ([M-H]$^-$)	8.18 (t, *J* = 5.0 Hz, 1H), 7.58 (d, *J* = 1.6 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 2H), 7.34 (dd, *J* = 8.1, 1.6 Hz, 1H), 6.52 (m, 2H), 6.37 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.54 (d, *J* = 4.9 Hz, 2H), 4.12 (m, 1H), 3.99 (qd, *J* = 8.9, 6.5 Hz, 2H)	
AC92		640.9 ([M-H]$^-$)	9.16 (d, *J* = 6.1 Hz, 1H), 7.65 (d, *J* = 1.6 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.41 (m, 3H), 7.21 (t, *J* = 5.6 Hz, 1H), 6.55 (d, *J* = 15.9 Hz, 1H), 6.41 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.59 (d, *J* = 5.6 Hz, 2H), 4.45 (qd, *J* = 9.0, 6.0 Hz, 2H), 4.12 (q, *J* = 7.2 Hz, 1H)	

AC93		485.5 ([M+H]$^+$)	7.52-7.41 (d, *J* = 8.2 Hz, 1H), 7.39-7.34 (m, 1H), 7.24-7.17 (d, *J* = 1.8 Hz, 2H), 7.02-6.92 (m, 2H), 6.90-6.83 (d, *J* = 11.4 Hz, 1H), 6.71 (br s, 1H), 6.17 (br s, 1H), 6.12-6.01 (dd, *J* = 11.4, 10.3 Hz, 1H), 4.44-4.38 (d, *J* = 4.2 Hz, 1H), 4.35-4.27 (m, 1H), 4.10-3.99 (d, *J* = 5.1 Hz, 2H), 2.78-2.67 (m, 1H), 2.44 (s, 3H), 0.88-0.78 (m, 2H), 0.60-0.45 (m, 2H)	^{13}C NMR (δ)[3] 169.91, 169.84, 138.23, 137.41, 136.84, 134.79, 134.69, 131.07, 128.69, 127.49, 127.43, 126.72, 126.61 (q, *J* = 212.10 Hz), 125.61, 123.76, 47.89 (q, *J* = 28.28 Hz), 43.46, 22.65, 19.97, 8.21
AC94		511.6 ([M]$^-$)	8.36 - 8.24 (d, *J* = 2.4 Hz, 1H), 7.75 - 7.64 (m, 1H), 7.38 - 7.24 (m, 3H), 7.24 - 7.09 (d, *J* = 1.8 Hz, 2H), 6.99 - 6.90 (m, 2H), 6.89 - 6.74 (d, *J* = 11.4 Hz, 1H), 6.63 - 6.43 (m, 1H), 6.14 - 5.98 (m, 1H), 4.69 - 4.51 (d, *J* = 6.1 Hz, 2H), 4.37 - 4.20 (m, 1H), 2.46 - 2.31 (s, 3H)	3262, 1607, 1247, 1164, 1111
AC95	48-61	626.9 ([M+H]$^+$)	7.58 (d, *J* = 7.9 Hz, 1H), 7.44 - 7.29 (m, 3H), 7.14 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.86 (d, *J* = 11.4 Hz, 1H), 6.76 (t, *J* = 5.9 Hz, 1H), 6.59 (br s, 1H), 6.21 - 6.04 (m, 1H), 4.23 (d, *J* = 5.5 Hz, 1H), 3.98 (qd, *J* = 9.0, 6.5 Hz, 2H)	

AC96		619.6 ([M+H]$^+$)	8.83 (s, 1H), 8.06 (br, 1H), 7.90 (s, 2H), 7.63 (d, J = 8.1 Hz, 2H), 7.53 (m, 1H), 6.94 (m, 1H), 6.77 (d, *J* = 15.3 Hz, 1H), 6.63 (d, *J* = 9.3 Hz, 1H), 4.84 (m, 1H), 4.30 (d, *J* = 5.6 Hz, 2H), 2.99 (s, 6H)	1616, 1114
AC97		606.6 ([M+H]$^+$)	8.20 (d, *J* = 2.1 Hz, 1H), 7.73 (d, *J* = 2.7 Hz, 1H), 7.60 (m, 2H), 7.39 (s, 2H), 7.29 (m, 1H), 6.79 (d, *J* = 8.4 Hz, 1H), 6.55 (d, *J* = 15.9 Hz, 1H), 6.40 (m, 2H), 4.60 (d, *J* = 2.7 Hz, 2H), 4.13 (m, 1H), 3.95 (s, 3H)	1644, 1113
AC98		577.87 ([M+H]$^+$)	9.04 (t, *J* = 6.0 Hz, 1H), 8.60 (t, *J* = 6.6 Hz, 1H), 8.25 (s, 1H), 7.97 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 6.3 Hz, 2H), 7.69 (d, *J* = 7.5 Hz, 1H), 7.15 (dd, *J* = 15.9, 9.3 Hz, 1H), 6.89 (d, *J* = 15.9 Hz, 1H), 4.86 (m, 1H), 3.98 (m, 4H).	1663, 1168
AC99		574.81 ([M+H]$^+$)	8.69 (t, *J* = 6.0 Hz, 1H), 8.58 (t, *J* = 6.6 Hz, 1H), 7.91 (s, 1H), 7.85 (m, 1H), 7.61 (m, 2H), 7.52 (m, 2H), 6.98 (dd, *J* = 15.3, 9.0 Hz, 1H), 6.76 (d, *J* = 15.3 Hz, 1H), 4.81 (m, 1H), 4.01 (m, 4H)	1650, 1164

AC100		673.80 ([M+H]$^+$)	8.29 (s, 1H), 8.22 (d, *J* = 8.1 Hz, 1H), 7.93 (d, J = 7.8 Hz, 1H), 7.72 (m, 1H), 7.65 (m, 2H), 7.40 (s, 2H), 7.18 (br, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 7.6 Hz, 1H), 5.02 (d, *J* = 1.2 Hz, 2H), 4.12 (m, 1H)	3403, 1659
AC101		636.83 ([M+H]$^+$)	7.56 (d, *J* = 9.0 Hz, 1H), 7.39 (d, *J* = 6.0 Hz, 2H), 7.26 (m, 2H), 6.54 (d, *J* = 15.9 Hz, 1H), 6.37 (dd, *J* = 8.0, 15.9 Hz, 1H), 4.01 (m, 1H), 3.84 (m, 2H), 3.33 (m, 2H), 3.04 (m, 2H), 2.84 (m, 3H), 2.62 (m, 1H)	1637, 1113
AC102		592.84 ([M+H]$^+$)	7.60 (m, 2H), 7.32 (m, 1H), 7.03 (d, *J* = 7.2 Hz, 2H), 6.74 (br, 1H), 6.62 (br, 1H), 6.56 (d, *J* = 16.2 Hz, 1H), 6.41 (dd, *J* = 16.2, 7.8 Hz, 1H), 4.22 (d, *J* = 5.4 Hz, 2H), 4.14 (m, 1H), 4.01 (m, 2H)	1668, 1167
AC103	99.2-105.0	612.7 ([M+H]$^+$)	8.40 (d, *J* = 8.0 Hz, 1H), 7.92 (d, *J* = 5.2 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 6.99 (dd, *J* = 16.0, 7.6 Hz, 1H), 6.76 (d, *J* = 16.0 Hz, 1H), 4.84 (m, 1H), 4.23 (d, *J* = 13.2 Hz, 1H), 3.97 (m, 1H), 3.79 (d, *J* = 13.6 Hz, 1H), 3.16 (t, *J* = 11.2 Hz, 1H), 2.77 (t, *J* = 11.2 Hz, 1H), 1.99 (s, 3H), 1.88 (m, 2H), 1.45 (m, 2H)	1634, 1113, 809

AC104		680.97 ([M+H]$^+$)	7.60 (m, 2H), 7.40 (m 3H), 6.55 (d, *J* = 15.6 Hz, 1H), 6.41 (dd, *J* = 15.6, 7.8 Hz, 1H), 4.24 (m, 1H), 3.34 (m, 2H), 2.90 (m, 1H), 2.24 (m, 2H), 1.52(m, 2H), 1.34 (m, 4H)	3437, 1644, 1113, 807, 511
AC105		609.9 ([M+H]$^+$)	7.59 (s, 1H), 7.55 (m, 1H), 7.50 (m, 1H),7.40 (m, 2H), 6.54(d, *J* = 16.0 Hz, 1H), 6.50 (*J* = 16.0, 8.0 Hz, 1H), 4.14 (m, 2H), 3.08 (m, 4H), 2.67 (m, 2H), 2.12 (m, 2H), 1.70 (m, 2H).	3303, 1649, 1115, 2242, 809, 506
AC106		584.95 ([M+H]$^+$)	7.59 (s, 1H), 7.51 (d, *J* = 8.4 Hz, 1H), 7.40 (s, 2H), 7.36 (d, *J* = 6.8 Hz, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6 .40 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.03 (d, *J* = 8.0 Hz, 1H), 4.11 (m, 2H), 3.10 (m, 2H), 2.50 (m, 2H), 2.50 (s, 3H) (m, 2H), 1.94 (m, 2H)	3417, 1648, 1112, 805, 555
AC107		609.9 ([M+H]$^+$)	8.41 (d, *J* = 7.8 Hz, 1H), 7.90 (s, 2H), 7.62 (m, 2H), 7.51(m, 1H), 6.92 (dd, *J* = 15.9, 9.0 Hz, 1H), 6.77 (d, *J* = 15.9 Hz, 1H), 4.81 (m, 1H), 3.73 (s, 2H), 3.31 (m, 1H), 3.28 (m, 1H), 2.82 (t, *J* = 11.4 Hz, 2H), 2.82 (m, 2H), 2.30 (m, 2H), 1.88 (m, 2H), 1.57 (m, 2H)	3303, 1645, 1115, 2243, 810, 507

AC108		626.9 ([M+H]$^+$)	7.60 (m, 2H) 7.39 (s, 2H), 7.28 (m, 1H), 6.56 (d, *J* = 15.6 Hz, 1H), 6.40 (dd, *J* = 15.6, 7.8 Hz, 1H), 5.91 (m, 1H), 4.65 (m, 2H), 4.10 (m, 1H), 4.07 (m, 2H), 3.59 (m, 1H), 2.74 (m, 2H), 2.13 (m, 4H), 2.07 (m, 1H)	3420, 1649, 1113, 809, 554
AC109		614.6 ([M+H]$^+$)	7.56 (m, 2H), 7.39 (s, 2H), 7.29 (s, 1H), 6.50 (d, *J* = 15.9 Hz, 1H), 6.41 (dd, *J* = 15.9, 8.0 Hz 1H), 4.09 (m, 1H), 3.88 (m, 2H), 3.49 (m, 2H), 2.92 (m, 2H), 2.81 (m, 1H), 2.74 (m, 2H), 2.25 (m, 4H)	1647, 1113
AC110		572.6 ([M+H]$^+$)	11.20 (s, 1H), 8.66 (br, 1H), 7.92 (m, 3H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 6.77 (dd, *J* = 15.6, 9.2 Hz, 1H), 6.77 (d, *J* = 15.6 Hz, 1H), 4.85 (m, 1H), 3.74 (d, *J* = 5.2 Hz, 2H), 3.61 (s, 3H)	3412, 1690, 1114, 846, 559
AC111		582.79 ([M+H]$^+$)	8.63 (t, *J* = 6.0 Hz, 1H), 8.04 (t, *J* = 6.0 Hz, 1H), 7.92 (m, 3H), 7.62 (d, *J* = 1.2 Hz, 1H), 7.47 (d, *J* = 7.6 Hz, 1H), 7.00 (dd, *J* = 15.6, 8.8 Hz, 1H), 6.77 (d, *J* = 15.6 Hz, 1H), 5.19 (d, *J* = 1.6 Hz, 1H), 5.01 (d, *J* = 1.2 Hz, 1H), 4.85 (m, 1H), 3.86 (d, *J* = 5.6 Hz, 2H), 3.75 (t, *J* = 5.6 Hz, 2H)	3419, 1659, 843, 557

AC112		582.79 ([M+H]$^+$)	8.84 (br, 1H), 8.58 (m, 1H), 8.30 (m, 1H), 7.91 (s, 2H), 7.61 (d, *J* = 8.1 Hz, 1H), 7.42 (d, *J* = 7.8 Hz, 1H), 7.00 (dd, *J* = 15.6, 9.3 Hz, 1H), 6.77 (d, *J* = 15.6 Hz, 1H), 4.85 (m, 1H), 4.11 (d, *J* = 5.6 Hz, 1H), 3.73 (d, *J* = 5.6 Hz, 1H), 3.04 (s, 6H)	3399, 1662, 1114, 807, 582
AC113		626.88 ([M+H]$^+$)	8.48 (t, *J* = 5.2 Hz, 1H), 8.3 (s, 1H), 7.90 (s, 2H), 7.79 (dd, *J* = 2.0, 2.0 Hz 2H), 7.58 (d, *J* = 8.4 Hz, 1H) 7.46 (d, *J* = 7.6 Hz, 1H) 7.26 (d, *J* = 7.6 Hz, 1H), 6.98 (m, 1H), 6.75 (d, *J* = 15.6 Hz, 1H), 4.85 (m, 1H), 3.49 (d, *J* = 6.4 Hz, 2H) 2.87 (t, *J* = 6.4 Hz, 2H)	3431, 1651, 1113, 808, 554
AC114	113.7-117.5	570.7 ([M+H]$^+$)	8.77 (s, 1H), 8.58 (d, *J* = 7.2 Hz, 2H), 7.93 (d, *J* = 7.2 Hz, 2H), 7.60 (dd, *J* = 1.2, 0.8 Hz, 1H), 7.37 (d, *J* = 7.6 Hz, 1H), 6.99 (m, 1H), 6.77 (d, *J* = 16 Hz, 1H), 4.85 (m, 1H), 4.10 (m, 1H) 3.29 (m, 2H), 3.05 (m, 2H), 2.0 (m, 2H), 1.76 (m, 2H)	
AC115		529.00 ([M+H]$^+$)	8.43 (s, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.51 (m, 1H), 7.36 (d, *J* = 8.4 Hz, 3H), 7.21 (m, 3H), 6.55 (d, *J* = 15.6 Hz, 1H), 6.36 (dd, *J* = 15.6, 8.0 Hz, 1H), 5.04 (d, *J* = 5.6 Hz, 2H), 4.10 (m, 1H), 2.35 (s, 3H)	1589, 3459, 801, 1110

AC116		614.87 ([M+H]$^+$)	7.99 (d, *J* = 8.4 Hz, 1H), 7.46 (d, *J* = 1.6 Hz, 1H), 7.34 (d, *J* = 6.4 Hz, 2H), 7.28 (m, 2H), 6.62 (m, 2H), 6.47 (dd, *J* = 16.0, 7.2 Hz, 1H), 4.23 (m, 2H), 4.12 (m, 1H), 4.00 (m, 2H)	3424, 1657, 1165
AC117		525.42 ([M-H]$^-$)	8.39 (br, 1H), 7.85 (br, 1H), 7.62 (m, 3H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.46 (s, 1H), 7.40 (d, *J* = 8.0 Hz, 1H), 7.17 (m, 1H), 6.78 (dd, *J* = 16.0, 8.8 Hz, 1H), 6.70 (m, 1H), 4.77 (m, 1H), 4.66 (s, 1H), 4.32 (s, 1H), 2.97 (s, 3H), 2.16 (s, 3H)	3401, 1636, 1113, 750
AC118		471.79 ([M+H]$^+$)	7.36 (d, *J* = 8.0 Hz, 2H), 7.27 (m, 2H), 7.22 (m, 2H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.10 (br, 1H), 4.15 (m, 2H), 3.89 (m, 1H), 3.80 (m, 2H), 3.35 (m, 1H), 2.46 (s, 3H), 2.06 (s,1H), 1.96 (m, 2H), 1.65 (m, 1H)	3437, 1655, 1262, 1105, 802
BC1		492.17 ([M+H]$^+$)	7.39 (s, 2H), 7.25 – 7.18 (m, 3H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.30 (dd, *J* = 16.0, 8.4 Hz, 1H), 5.91 – 5.70 (br, 2H), 4.05 (m, 1H), 3.05 – 2.80 (m, 6H), 2.70 (m, 1H), 1.81 (m, 1H)	3211, 1569, 1113, 806

BC2		506.4 ([M+H]$^+$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.4 (s, 2H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.52 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.18(m, 1H), 3.38 (m, 2H), 2.98 (m, 2H), 2.71 (m, 1H), 2.04 (m, 2H), 1.54 (s, 3H).	2923, 1542, 1033, 805
BC3		518.04 ([M-H]$^-$)	7.40 (s, 2H), 7.33 – 7.22 (m, 3H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.34 – 6.28 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.96 – 5.80 (m, 3H), 5.22 (m, 4H), 4.01 (m, 2H), 2.84 – 2.99 (m, 2H), 2.71 (m, 1H), 1.86 (m, 1H)	3120, 1592, 1146, 895
BC4		529.02 ([M+H]$^+$)	7.39 (s, 2H), 7.25-7.20 (m, 3H), 6.34 (d, *J* = 16.0 Hz, 1H), 6.30 (dd, J = 16.0, 8.0 Hz, 1H), 5.81 (br, 1H), 5.48 (m, 1H), 4.10 (m, 1H), 3.10 (m, 2H), 2.86-3.07 (m, 2H), 2.86 (m, 1H), 1.81 (m, 1H);	3283, 1652, 1241, 811
BC5		544.25 ([M-H]$^-$)	7.40 (s, 2H), 7.21 (s, 1H), 7.12 (m, 1H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.4 Hz, 1H), 5.85 (br s, 1H), 5.23 (br s, 1H), 4.12 (m, 1H), 3.18 (m, 3H), 2.80 (m, 3H), 2.08 (m, 2H), 1.83 (m, 5H), 1.25 (m, 2H), 1.01 (m, 3H), 0.78 (m, 2H)	3489, 3291, 1655, 1112, 808

BC6		485.96 ([M-H]$^-$)	7.40 (s, 2H), 7.31 – 7.18 (m, 3H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.24 – 6.28 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.40 (br, 1H), 4.01 (m, 2H), 2.78 – 3.01 (m, 2H), 2.51 (s, 1H), 1.86 (m, 1H), 1.20 (m, 2H), 1.01 (m, 2H), 0.78 (m, 2H)	3429, 1114, 804
BC7		500.01 ([M-H]$^-$)	7.40 (s, 2H), 7.31 (s, 1H), 7.18 (m, 1H), 7.18 (s, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.32 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.78 (br s, 1H), 5.21 (br s, 1H), 4.01 (m, 1H), 2.78 (m, 2H), 2.01 (m, 1H), 1.86 (m, 4H), 1.25 (m, 2H), 1.01 (m, 3H), 0.78 (m, 2H)	3296, 1115, 806
BC8		511.88 ([M-H]$^-$)	7.38-7.20 (m, 5H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.12 (m, 2H), 3.06-2.82 (m, 2H), 2.75 (m, 1H), 1.85 (m, 1H)	1657, 1113, 855
BC9	179-181	556.83 ([M-H]$^-$)	8.30 (s, 1H), 7.68 (d, *J* = 6.4 Hz, 1H), 7.38-7.20 (m, 5H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.63 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.56 (s, 2H), 3.06-2.82 (m, 2H), 2.70 (m, 1H), 1.82 (m, 1H)	

BC10		497.98 ([M-H]$^-$)	7.38-7.20 (m, 5H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.83 (br, 1H), 5.52 (m, 1H), 4.12 (m, 1H), 3.02 (m, 3H), 2.82 (m, 1H), 2.50 (m, 3H), 1.82 (m, 1H), 1.42 (m, 1H)	3027, 1654, 815
BC11		530.09 ([M-H]$^-$)	7.80 (m, 1H), 7.48 (m, 2H), 7.32 6.65 (d, *J* = 16.0 Hz, 1H), 6.54 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.38 (m, 1H), 4.18 (m, 1H), 3.62 (m, 1H), 3.32 (m, 1H), 2.86 (m, 1H), 1.81 (m, 1H)	1715, 1113, 816
BC12		514.86 ([M+H]$^+$)	7.32, (d, *J* = 6.0 Hz, 2H) 7.28 (m, 1H), 7.20 (d, *J* = 8.0, 1H), 7.14 (d, *J* = 8.8, 1H), 6.70 (d, *J* = 8.0 Hz, 1H), 6.60 (m, 2H), 4.15 (m, 1H), 3.85 (m, 1H), 3.65 (m, 1H), 3.46 (m, 2H), 3.19 (m, 2H);	3428, 1112, 857
BC13	121-126	553.06 ([M-H]$^-$)	8.33 (br, 1H), 7.59 (s, 1H), 7.45 (m, 3H), 6.72 (d, *J* = 3.6, 1H) , 6.39 (m, 1H), 4.71 (t, *J* = 7.2 Hz, 2H), 4.15 (m, 2H)	
BC14	172-175	554.0 ([M-H]$^-$)	8.83 (t, *J* = 6.6 Hz, 1H), 8.42 (t, *J* = 14.7 Hz, 1H), 8.22 (d, *J* = 8.1 Hz, 1H), 8.13 (t, *J* = 6.3 Hz, 1H), 7.98-7.86 (m, 2H), 7.16 - 7.07 (m, 1H), 7.01 - 6.93 (m, 1H), 4.96 - 4.81 (m, 3H), 4.00 - 3.88 (m, 2H)	

CC1	107–109	402.00 ([M+H]$^+$)	7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.75 (br s, 1H), 4.46 (d, *J* = 6 Hz, 2H), 4.01 (m, 1H), 2.11 (s, 3H)	
CC2	118–120	428.11 ([M+H]$^+$)	7.37 (m, 3H), 7.28 (m, 4H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.83 (br s, 1H), 4.46 (d, *J* = 6.0 Hz, 2H), 4.11 (m, 1H), 1.40 (m, 1H), 1.02 (m, 2H), 0.77 (m, 2H)	
CC3	119–122	468.20 ([M-H]$^-$)	7.38 (m, 3H), 7.27 (m, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.4 Hz, 1H), 5.00 (br s, 1H), 4.48 (d, *J* = 5.6 Hz, 2H), 4.11 (m, 1H), 3.15 (q, *J* = 10.4 Hz, 2H)	
CC4		414.16 ([M-H]$^-$)	7.37 (m, 3H), 7.28 (m, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.69 (br s, 1H), 4.46 (d, *J* = 6.0 Hz, 2H), 4.21 (m, 1H), 2.29 (q, *J* = 5.8 Hz, 2H), 1.30 (t, *J* = 7.2 Hz, 3H)	
CC5		460.28 ([M-H]$^-$)	7.40 (m, 3H), 7.28 (m, 2H), 6.60 (d, *J* = 15.6 Hz, 1H), 6.33 (dd, *J* = 15.6, 8.0 Hz, 1H), 5.84 (br s, 1H), 4.46 (d, *J* = 5.6 Hz, 2H), 4.10 (m, 1H), 1.36 (m, 1H), 1.02 (m, 2H), 0.77 (m, 2H)	

CC6	106–108	504.08 ([M-H]$^-$)	7.40 (m, 3H), 7.26 (m, 1H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.96 (br s, 1H), 4.49 (d, *J* = 5.6 Hz, 2H), 4.10 (m, 1H), 3.15 (q, *J* = 10.8 Hz, 2H)	
CC7	127–128	436.03 ([M+H]$^+$)	7.42 (m, 4H), 7.24 (m, 2H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H) , 5.86 (br s, 1H), 4.51 (d, *J* = 6.0 Hz, 2H), 4.05 (m, 1H), 2.02 (s, 3H)	
CC8	129–131	462.15 ([M+H]$^+$)	8.58 (t, *J* = 5.6 Hz, 1H), 7.72 (m, 1H), 7.66 (m, 3H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.30 (d, *J* = 8.0 Hz, 1H), 6.90 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.73 (d, *J* = 16 Hz, 1H), 4.81 (m, 1H), 4.33 (d, *J* = 6.0 Hz, 1H), 1.64 (m, 1H), 0.68 (m, 4H)	
CC9	132–134	504.25 ([M+H]$^+$)	7.41 (m, 3H), 7.26 (m, 3H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.37 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.56 (d, *J* = 6.0 Hz, 2H), 4.11 (m, 1H), 3.13 (m, 2H)	
CC10		538.03 ([M+2H]$^+$)	7.38 (m, 4H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.18 (m, 1H), 4.58 (m, 2H), 4.08 (m, 1H), 3.08 (m, 2H)	1651, 1112, 807

CC11	111–112	494.12 ([M-H]$^-$)	7.42 (m, 3H), 7.24 (m, 1H), 6.54 (d, *J* = 15.6 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.03 (m, 1H), 4.53 (d, *J* = 6.0 Hz, 1H), 4.10 (m, 1H), 1.39 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	
CC12	76–78	510.07 ([M-H]$^-$)	7.39 (s, 4H), 7.34 (d, *J* = 8.0 Hz, 1H), 7.26 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.10 (br s, 1H), 4.49 (d, *J* = 6.0 Hz, 2H), 4.10 (m, 1H), 1.20 (s, 9H)	
CC13	73–76	563.37 ([M-H]$^-$)	8.51 (d, *J* = 5.2 Hz, 1H), 7.63 (s, 1H), 7.51 (m, 1H), 7.45 (m, 2H), 7.39 (s, 2H), 7.28 (m, 1H), 6.58 (m, 2H), 6.37 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.71 (d, *J* = 6.0 Hz, 1H), 4.11 (m, 1H)	
CC14		581.45 ([M+1H]$^+$)	8.51 (m, 1H), 8.30 (d, *J* = 2.4 Hz, 1H), 7.73 (m, 1H), 7.61 (s, 2H), 7.51 (s, 1H), 7.32 (m, 3H), 6.66 (d, *J* = 16.0 Hz, 1H), 6.56 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.50 (m, 1H), 4.45 (d, *J* = 5.6 Hz, 1H), 3.56 (s, 2H)	3430, 1656, 1109, 806
CC15		480.24 ([M+H]$^+$)	7.40 (m, 3H), 7.33 (m, 1H), 7.22 (m, 2H), 6.54 (d, *J* = 15.6 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.03 (br s, 1H), 4.53 (d, *J* = 6.0 Hz, 2H), 4.13 (m, 1H), 1.41 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1651, 1543, 1114, 812

CC16		520.33 ([M-H]$^-$)	7.42 (s, 1H), 7.37 (m, 3H), 7.22 (m, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.19 (br s, 1H), 4.51 (d, *J* = 6.0 Hz, 2H), 4.21 (m, 1H), 3.33 (m, 2H)	3307, 1665, 1114, 813
CC17	117–119	459.83 ([M-H]$^-$)	7.51 (m, 2H), 7.39 (m, 2H), 7.24 (m, 2H), 6.52 (d, *J* = 15.6 Hz, 1H), 6.38 (dd, *J* = 15.6, 7.6 Hz, 1H), 6.02 (br s, 1H), 4.53 (d, *J* = 6.0 Hz, 2H), 4.14 (m, 1H), 1.38 (m, 1H)), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1633, 1110, 820
CC18	119–123	501.88 ([M-H]$^-$)	7.48 (m, 2H), 7.41 (s, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.23 (m, 2H), 6.52 (d, *J* = 16.0 Hz, 1H), 6.39 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.13 (br s, 1H), 4.56 (d, *J* = 6.0 Hz, 2H), 4.15 (m, 1H), 3.13 (m, 2H)	3435, 1644, 1111, 817
CC19		530 ([M+H]$^+$)	7.41 (m, 2H), 7.24 (m, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.97 (s, 3H), 2.78 (m, 2H)	3435, 1644, 1111, 817
CC20		512 ([M+H]$^+$)	7.42 (m, 3H), 7.24 (m, 1H), 6.54 (d, *J* = 15.6 Hz, 1H), 6.34 (dd, *J* = 15.6, 8.0 Hz, 1H), 6.03 (m 1H), 4.53 (d, *J* = 6.0 Hz, 1H), 4.10 (m, 1H), 1.19 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3293, 1633, 1110, 820

CC21	55–58	493.99 ([M-H]$^-$)	(DMSO-d_6) 8.62 (m, 1H), 7.95 (s, 1H), 7.85 (m, 1H), 7.66 (m, 3H), 7.47 (d, J = 8.0 Hz, 1H), 6.98 (dd, J =16.0, 8.0 Hz, 1H), 6.84 (d, J = 16.0 Hz, 1H), 4.83 (m, 1H), 4.44 (s, 2H), 1.68 (m, 1H), 0.71 (m, 4H)	
CC22	67–69	530.01 ([M+H]$^+$)	8.62 (m, 1H), 7.90 (s, 3H), 7.82 (m, 1H), 7.45 (m, 1H), 6.98 (m, 1H), 6.84 (d, J = 16.0 Hz, 1H), 4.82 (m, 1H), 4.4 (s, 2H), 1.66 (m, 1H), 0.72 (m, 4H)	
CC23	69–71	564.99 ([M-H]$^-$)	9.02 (br s, 1H), 8.54 (br s, 1H), 8.26 (br s, 1H), 7.48 – 7.54 (m, 3H), 7.22 – 7.42 (m, 3H), 6.59 – 6.62 (m, 2H), 6.38 – 6.42 (m, 1H), 4.82 (m, 2H), 4.19 (s, 1H)	
CC24	125–127	570.26 ([M-H]$^-$)	7.64 (s, 1H), 7.54 (s, 2H), 7.46 (s, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.41 (dd, J = 16.0, 8.4 Hz, 1H), 6.03 (m, 1H), 4.65 (d, J = 6.4 Hz, 2H), 4.14 (m, 1H,), 3.13 (q, J = 10.6 Hz, 2H)	
CC25		579.86 ([M-H]$^-$)	7.60 (s, 1H), 7.40 (s, 2H), 7.37 (d, J = 8.0 Hz, 1H), 7.31 (d, J = 8.0 Hz, 1H), 6.53 (d, 1H, J = 16.0 Hz), 6.35 (dd, J = 16.0, 8.0 Hz, 1H), 6.17 (br s, 1H), 4.56 (d, J = 6.4 Hz, 2H), 4.12 (m, 1H), 3.15 (q, J = 10.6 Hz, 2H)	3297, 1663, 1114, 809

CC26	129–131	539.89 ([M+H]$^+$)	7.59 (s, 1H), 7.39 (m, 2H), 7.30 (s, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.06 (br s, 1H), 4.42 (d, *J* = 4.4 Hz, 2H), 4.12 (m, 1H), 1.35 (br s, 1H), 0.95 (br s, 2H), 0.75 (m, 2H)	
CC27		519.95 ([M-H]$^-$)	7.39 (s, 2H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.14 (m, 2H), 6.56 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 7.6 Hz, 1H), 6.06 (br s, 1H), 4.52 (d, *J* = 16.0 Hz, 2H), 4.08 (m, 1H), 3.90 (s, 2H), 3.13 (m, 2H)	3306, 1786
CC28		477.93 ([M-H]$^-$)	7.39 (s, 2H), 7.35 (m, 1H), 7.14 (m, 2H), 6.55 (d, *J* = 15.6 Hz, 1H), 6.33 (dd, *J* = 15.6, 8.0 Hz, 1H), 5.93 (br s, 1H), 4.49 (d, *J* = 16.0 Hz, 2H), 4.10 (m, 1H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3625, 1747
CC29		620.86 ([M-H]$^-$)	8.58 (d, *J* = 4.6 Hz, 1H), 7.74 (m, 1H), 7.62 (m, 2H), 7.52 (m, 1H), 7.4 (s, 2H), 7.3 (m, 1H), 7.2 (m, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.38 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.02 (s, 1H), 4.8 (s, 1H), 4.8 (d, *J* = 10 Hz, 2H), 4.10 (m, 1H), 1.8 (m, 1H), 1.2 (m, 2H), 0.6 (m, 2H)	1645, 1115, 808

CC30	101–104	559.75 ([M-H]$^-$)	7.41 (m, 4H), 7.24 (m, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.12 (br s, 1H), 4.53 (m, 2H), 4.10 (m, 1H), 3.42 (m, 2H), 2.91 (s, 3H), 2.78 (m, 2H)	
CC31	177–178	463 ([M-H]$^-$)	7.58 (m, 2H), 7.41 (m, 3H), 7.24 (m, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.70 (br s, 1H), 4.43 (s, 2H), 4.08 (m, 1H), 3.21 (m, 2H), 1.25 (m, 3H);	
CC32	141–142	532.99 ([M+H]$^+$)	7.66 (m, 2H), 7.54 (m, 1H), 7.41 (s, 2H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.59 (s, 3H), 4.19 (m, 1H), 3.25 (m, 2H), 1.15 (m, 2H)	
CC33		540.88 ([M-H]$^-$)	7.57 (s, 1H), 7.40 (m, 2H), 7.30 (s, 1H), 7.20 (br s, 1H), 6.53 (d, *J* = 16.0 Hz, 1H), 6.33 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.06 (br s, 1H), 4.75 (br s, 1H), 4.42 (s, 2H), 4.20 (br s, 1H), 4.15 (m, 2H), 3.20 (m, 2H), 1.15 (m, 3H)	3338, 1631, 1578, 1114, 809
CC34	118–120	541.40 ([M+H]$^+$)	7.42 (m, 3H), 7.28 (m, 2H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.36 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.96 (m, 1H), 4.51 (d, *J* = 5.6 Hz, 2H), 4.12 (m, 1H), 3.69 (t, *J* = 4.8 Hz, 4H), 3.35 (t, *J* = 4.8 Hz, 1H)	

CC35	78–79	547.82 ([M+H]$^+$)	9.95 (br s, 1H), 8.17 (d, *J* = 4.8 Hz, 1H), 7.61 (d, *J* = 6.4 Hz), 7.43 (m, 3H), 7.24 (m, 2H), 6.90 (t, *J* = 5.6 Hz, 1H), 6.66 (d, *J* = 8.4 Hz, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.33 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.65 (d, *J* = 6.0 Hz, 1H), 4.09 (m, 1H)	
CC36		497 ([M-H]$^-$)	7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, *J* = 6.0 Hz, 2H), 4.10 (m, 1H), 2.9 (s, 3H), 2.7 (s, 3H)	3350, 1705, 1114, 808
CC37	88–91	515.01 ([M+H]$^+$)	7.49 (d, *J* = 8 Hz, 1H), 7.41 (d, *J* = 7.2 Hz, 2H), 7.26 (m, 2H), 6.50 (d, *J* = 16 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0 Hz, 1H), 6.0 (brs, 1H), 5.73 (br s, 1H), 4.80 (br s, 2H), 4.09 (m, 1H), 1.23 (m, 3H)	
CC38	63–66	526.97 ([M+H]$^+$)	7.48 (d, *J* = 8 Hz, 1H), 7.39 (m, 3H), 7.27 (m, 1H), 6.54 (d, *J* = 16 Hz, 1H), 6.33 (dd, *J* = 6.0, 8.0 Hz, 1H), 6.17 (br s, 1H), 5.92 (br s, 1H), 5.83 (m, 2H), 5.29 (t, *J* = 15.4 Hz, 2H), 4.80 (br s, 2H), 4.12 (m, 1H), 4.02 (br s, 2H)	
CC39		526.09 ([M-H]$^-$)	7.39 (m, 4H), 7.28 (m, 1H), 6.54 (d, *J* = 16.0 Hz, 1H), 6.34 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.97 (br s, 1H), 4.38 (d, *J* = 6.0 Hz, 2H), 4.10 (m, 1H), 1.53 (s, 9H)	3350, 1705, 1114, 808

CC40	159–160	580.25 ([M-H]$^{-}$)	7.46 (m, 5H), 7.29 (m, 1H), 7.20 (m, 3H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.37 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.62 (br s, 1H), 4.55 (d, *J* = 6.4 Hz, 2H), 4.11 (m, 1H)	
CC41		512.22 ([M-H]$^{-}$)	7.48 (m, 1H), 7.43 (m, 3H), 7.38 (m, 1H), 7.23 (s, 1H), 6.55 (d, *J* = 16.0 Hz, 1H), 6.36 (d, *J* = 16.0 Hz, 1H), 4.60 (d, 2H), 4.18 (m, 1H), 3.85 (s, 3H)	1740, 1701, 1114, 808
CC42	161–163	578.96 ([M-H]$^{-}$)	(DMSO-d_6) 9.45 (br s, 2H), 7.90 (s, 2H), 7.75 (s, 1H), 7.46 (br s, 1H), 7.28 (br s, 1H), 6.93 (m, 1H), 6.75 (br s, 1H), 4.80 (m, 1H), 4.40 (br s, 2H), 3.90 (br s, 2H)	
CC43	140–142	505.39 ([M+H]$^{+}$)	8.11 (d, *J* = 4.0 Hz, 1H), 7.40 (m, 5H), 7.22 (m, 1H), 6.61 (m, 2H), 6.35 (m, 2H), 4.94 (br s, 1H) 4.61 (d, *J* = 6.4 Hz, 2H), 4.11 (m, 1H)	
CC44		536.88 ([M-H]$^{-}$)	8.41 (s, 1H), 7.77 (s, 1H), 7.47 (br s, 1H), 7.40 (s, 2H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.68 (d, *J* = 4.0 Hz, 2H), 4.14 (m, 1H), 3.24 (q, *J* = 10.8 Hz, 2H)	3320, 1674, 1114, 808
CC45		494.88 ([M-H]$^{-}$)	8.41 (s, 1H), 7.76 (s, 1H), 7.40 (s, 2H), 7.15 (br s, 1H), 6.58 (d, *J* = 16.0 Hz, 1H), 6.44 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.67 (d, *J* = 4.4 Hz, 2H), 4.16 (m, 1H), 1.57 (m, 1H), 1.04 (m, 2H), 0.87 (m, 2H)	3309, 1659, 1115, 808

CC46	151–153	554.04 ([M-H]$^-$)	8.06 (m, 1H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.38 (m, 1H), 6.42 (m, 1H), 5.92 (br s, 1H), 4.92 (m, 2H), 4.24 (m, 1H), 3.12 (m, 2H)	
CC47		478.09 ([M+H]$^+$)	8.06 (m, 2H), 7.61 (m, 4H), 7.48 (s, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3309, 1659, 1115, 808
CC48		511.05 ([M+H]$^+$)	8.06 (m, 2H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 1.36 (m, 1H), 1.00 (m, 2H), 0.77 (m, 2H)	3309, 1659, 1115, 808
CC49	84–87	515.33 ([M+H]$^+$).	8.06 (m, 1H), 7.98 (m, 1H), 7.61 (m, 3H), 7.48 (s, 2H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.38 (m, 2H), 6.42 (m, 1H), 4.92 (s, 2H), 4.6 (br s, 1H), 4.24 (m, 1H), 3.21 (m, 2H), 1.2 (t, *J* = 4.6 Hz, 3H)	
CC50	138–140	461.32 ([M-1H]$^-$)	9.81 (s, 1H), 7.90 (s, 1H), 7.84 (s, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 6.65 (d, *J* = 15.6 Hz, 1H), 6.61 (m, 1H), 6.57 (s, 1H), 6.48 (dd, *J* = 15.6, 8.8 Hz, 1H), 4.74 (m, 1H), 1.64 (m, 1H), 0.75 (m, 4H);	

CC51	149–150	505.31 ([M-H]$^-$)	7.56 (br s, 1H), 7.4 (s, 3H), 7.3 (m, 3H), 7.05 (br s, 1H), 6.8 (d, *J* = 6 Hz, 2H), 6.57 (m, 2H), 6.20 (m, 2H), 4.05 (m, 1H), 3.2 (q, *J* = 10.4 Hz, 2H)	
CC52		464.87 ([M-H]$^-$)	7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.20 (m, 1H), 5.55 (s,1H), 4.08 (m, 1H), 1.30 – 1.10 (m, 4H), 1.90 (m,1H)	3309, 1659, 1115, 808
CC53		506 ([M+H]$^+$)	7.40 (s, 2H), 7.18 (s, 1H), 7.08 (s, 1H), 6.85 (m, 1H), 6.45 (m, 1H), 6.20 (m, 1H), 5.55 (s,1H), 4.08 (m, 1H), 3.21 (m, 2H)	3309, 1659, 1115, 808
CC54		504 ([M+H]$^+$)	7.28 (s, 2H), 7.25 (m, 2H), 7.10 (d, *J* = 8.0 Hz, 2H), 6.89 (d, *J* = 11.4 Hz, 1H), 6.07 (br s, 1H), 6.01 (m, 1H), 4.51 (d, *J* = 5.8 Hz, 2H), 4.34 (m, 1H), 3.12 (q, *J* = 7.5 Hz, 2H)	
DC1	93–97	398.05 ([M+H]$^+$)	8.56 (s, 1H), 8.11 (s, 1H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.38 (t, *J* = 1.8 Hz, 1H), 7.29 (s, 2H), 6.62 (d, *J* = 15.6 Hz, 1H), 6.42 (dd, *J* = 15.6, 8.2 Hz, 1H), 4.15 (m, 1H)	
DC2		363.0746 (363.075)	8.59 (s, 1H), 8.13 (s, 1H), 7.69 (d, *J* = 8.5 Hz, 2H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.41 – 7.29 (m, 4H), 6.64 (d, *J* = 15.7 Hz, 1H), 6.47 (dd, *J* = 15.9, 8.0 Hz, 1H), 4.17 (m, 1H)	3121, 1524, 1251, 1165, 1119

DC3		329.1144 (329.114)	8.56 (s, 1H), 8.11 (s, 1H), 7.65 (d, J = 8.4 Hz, 2H), 7.52 (d, J = 8.3 Hz, 2H), 7.40 (m, 5H), 6.61 (d, J = 15.8 Hz, 1H), 6.51 (dd, J = 15.9, 7.7 Hz, 1H), 4.18 (m, 1H)	1521, 1246, 1219, 1162, 1152, 1107
DC4		364.11 ($[M+H]^+$)	8.56 (s, 1H), 8.10 (s, 1H), 7.66 (d, J = 2.0 Hz, 2H), 7.52 (d, J = 8.8 Hz, 2H), 7.38 (d, J = 2.4 Hz, 2H), 7.34 (d, J = 8.4 Hz, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz, 1H), 4.15 (m, 1H)	3147, 1528, 1494, 1246, 1165, 1108
DC5		344.25 ($[M+H]^+$)	8.54 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.3 Hz, 2H), 7.50 (d, J = 8.4 Hz, 2H), 7.25 (d, J = 8.3 Hz, 2H), 7.20 (d, J = 8.0 Hz, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.37 (s, 3H)	3122, 3047, 1523, 1252, 1160, 1107
DC6		360.28 ($[M+H]^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.65 (d, J = 8.8 Hz, 2H), 7.52 (d, J = 8.8 Hz, 2H), 7.32 (d, J = 8.8 Hz, 2H), 6.95 (d, J = 8.8 Hz, 2H), 6.60 (d, J = 16.0 Hz, 1H), 6.56 (dd, J = 16.0, 7.4 Hz, 1H), 4.15 (m, 1H), 3.82 (s, 3H)	3124, 2936, 1522, 1249, 1160
DC7		348 ($[M+H]^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.62 (d, J = 8.8 Hz, 2H), 7.5 (d, J = 8.4 Hz, 2H), 7.38 (m, 2H), 7.12 (m, 2H), 6.61 (d, J = 16.0 Hz, 1H), 6.40 (dd, J = 16.0, 7.6 Hz, 1H), 4.15 (m, 1H)	3141, 1512, 1246, 1118

DC8		366.13 ([M+H]$^+$)	8.57 (s, 1H), 8.11 (s, 1H), 7.65 (d, *J* = 7.2 Hz, 2H), 7.52 (d, *J* = 8.0 Hz, 2H), 6.95 (m, 2H), 6.82 (m, 1H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.50 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	3116, 1628, 1524, 1252, 1168, 1118
DC9		348.11 ([M+H]$^+$)	8.71 (s, 1H), 8.20 (s, 1H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.40 (m, 1H), 7.19 (m, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.4 Hz, 1H), 4.15 (m, 1H)	3115, 1525, 1248, 1174
DC10		348.11 ([M+H]$^+$)	8.75 (s, 1H), 8.20 (s, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.6 (d, *J* = 8.4 Hz, 2H), 7.20 – 7.40 (m, 4H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H,), 4.60 (m, 1H)	3114, 1526, 1259, 1238, 1193, 1114
DC11	75.5–78.5	358.14 ([M+H]$^+$)	8.55 (s, 1H), 8.10 (s, 1H), 7.65 (d, *J* = 8.8 Hz, 2H), 7.52 (d, *J* = 8.4 Hz, 2H), 7.01 (s, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.51 (dd, *J* = 16.0, 7.8 Hz, 1H), 4.15 (m, 1H), 2.34 (s, 6H)	
DC12		398.05 ([M+H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.53 (m, 4H), 7.2 (s, 1H) 6.62 (d, *J* = 15.6 Hz, 1H), 6.44 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.15 (m, 1H)	3055, 2930, 1523, 1250, 1165

DC13		396.16 ([M+H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.55 (m, 4H), 7.25 (m, 1H), 6.64 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.90 (m, 1H)	3108, 1523, 1249, 1166, 1127
DC14		398.05 ([M+H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.55 (m, 4H), 7.25 (m, 1H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 5.00 (m, 1H)	3117, 2925, 1526, 1246, 1172, 1117
DC15		397.95 ([M+H]$^+$)	8.58 (s, 1H), 8.10 (s, 1H), 7.66 (d, *J* = 8.0 Hz, 2H), 7.52 (m, 3H), 7.40 (d, *J* = 8.0 Hz, 1H), 7.30 (dd, *J* = 8.4, 2.9 Hz, 1H), 6.64 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.90 (m, 1H)	3120, 1524, 1267, 1176, 1112
DC16		466 ([M+H]$^+$)	8.61 (s, 1H), 8.13 (s, 1H), 7.92 (s, 1H), 7.86 (s, 2H), 7.70 (d, *J* = 7.0 Hz, 2H), 7.54 (d, *J* = 7.0 Hz, 2H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.46 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.35 (m, 1H)	
DC17		430.06 ([M+H]$^+$)	8.58 (s, 1H), 8.1 (s, 1H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.51 (s, 1H), 7.42 (s, 1H), 6.68 (d, *J* = 16.0 Hz, 1H), 6.35 (dd, *J* = 16.0, 8.0, Hz, 1H), 4.98 (m, 1H)	3122, 3076, 2929, 1523, 1250, 1168, 1114

DC18	92–95	429.91 ([M+H]$^+$)	8.57 (s, 1H), 8.11 (s, 1H), 7.69 (d, *J* = 8.8 Hz, 2H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.42 (s, 2H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.10 (m, 1H)	
DC19	97–99	430.321 ([M+H]$^+$)	8.58 (s, 1H), 8.12 (s, 1H), 7.68 (d, *J* = 8.0 Hz, 2H), 7.64 (s, 1H), 7.59 (s, 1H), 7.55 (m, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.22 (m, 1H)	
DC20		427.0463 (427.0466)	8.58 (s, 1H), 8.15 (s, 1H), 7.70 (d, *J* = 8.4 Hz, 2H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.36 (s, 2H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.12 (m, 1H), 3.88 (s, 3H)	2937, 1524, 1482, 1278, 1249, 1166, 1112
DC21		412.04 ([M+H]$^+$)	8.42 (s, 1H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.50 (d, *J* = 8.0 Hz, 2H), 7.40 (s, 1H), 7.22 (s, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.5 (s, 3H)	3108, 1572, 1531, 1242, 1172, 1104
DC22	147–149	441.01 ([M-H]$^-$)	8.62 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.40 (s, 1H), 7.30 (s, 2H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	

DC23		412.05 ([M+H]$^+$)	7.95 (s, 1H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.39 (s, 1H), 7.29 (s, 2H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.12 (m, 1H), 2.51 (s, 3H)	1112, 799
DC24	133–134	440.03 ([M+H]$^+$)	8.10 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.42-7.38 (m, 3H), 7.28 (s, 2H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.16 (m, 1H), 2.79 (s, 3H)	
DC25		442.02 ([M-H]$^-$)	7.97 (s, 1H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.53 (d, *J* = 8.0 Hz, 2H), 7.38 (m, 1H), 7.29 (s, 2H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.17 (m, 1H), 2.74 (s, 3H)	1167, 1114, 800
DC26		464.03 ([M-H]$^-$)	8.12 (s, 1H), 7.49 (d, *J* = 8.0 Hz, 2H), 7.40-7.37 (m 3H), 7.28 (s, 2H), 6.66 (d, *J* = 16.0 Hz, 1H), 6.44 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.14 (m, 1H), 3.22 (m, 1H), 1.09 – 1.16 (m, 4H)	1689, 1253, 1166, 1114, 979, 964
DC27		473.94 ([M-H]$^-$)	8.19 (s, 1H), 7.64 (d, *J* = 7.2 Hz, 2H), 7.55 (d, 7.2 Hz, 2H), 7.39 (s, 1H), 7.30 (s, 2H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 8.0, 16.0 Hz, 1H), 4.18 (m, 1H), 3.58 (s, 3H)	1571, 1331, 1170, 1113, 764

DC28		421.22 ($[M+H]^+$)	8.79 (s, 1H), 8.18 (s, 1H), 7.80 (m, 3H), 7.52 (m, 2H), 7.24 (m, 1H), 6.63 (d, *J* = 16.0 Hz, 1H), 6.54 (d, *J* = 16.0, 7.6 Hz, 1H), 4.19 (m, 1H)	3126, 2233, 1516, 1250, 1165, 1109
DC29		421.22 ($[M+H]^+$)	8.80 (s, 1H), 8.2 (s, 1H), 7.75 – 7.82 (m, 3H), 7.41 (t, *J* = 2 Hz, 1H), 7.26 (m, 2H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.52 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.16 (m, 1H)	3005, 1716, 1363, 1223
DC30		489.17 ($[M+H]^+$)	8.81 (s, 1H), 8.20 (s, 1H), 7.94 (s, 1H), 7.85 (m, 3H), 7.79 (m, 2H), 6.70 (d, *J* = 16.0 Hz, 1H), 6.58 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.35 (m, 1H)	2964, 2234, 1289, 1166, 1136
DC31	117–118	455.27 ($[M+H]^+$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.4 (s, 2H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.52 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H)	
DC32		388.0705 (388.0703)	8.82 (s, 1H), 8.22 (s, 1H), 7.82-7.78 (m, 3H), 7.38-7.30 (m, 3H), 6.62 (d, *J* = 16.1 Hz, 1H), 6.56 (dd, *J* = 16.1, 6.8 Hz, 1H), 4.18 (m, 1H)	3126, 2234, 1520, 1280, 1164, 1112
DC33		455.22 ($[M-H]^-$)	8.80 (s, 1H), 8.20 (s, 1H), 7.82-7.80 (m, 3H), 7.70-7.50 (m, 3H), 6.65 (d, *J* = 16.9 Hz, 1H), 6.54 (dd, *J* = 16.9, 6.8 Hz, 1H), 4.25 (m, 1H)	3122, 3086, 2234, 1517, 1327, 1168, 1113

DC34		452.0412 (452.0419)	8.85 (s, 1H), 8.23 (br s, 1H), 7.83-7.78 (m, 3H), 7.33 (s, 2H), 6.69 (d, *J* = 14.9 Hz, 1H), 6.50 (dd, *J* = 14.9, 7.2 Hz, 1H), 4.15 (m, 1H), 3.90 (s, 3H)	3122, 2934, 2231, 1516, 1480, 1248, 1211, 1165, 1111
DC35		439.01 ([M-H]$^-$)	8.60 (s, 1H), 8.20 (s, 1H), 7.82 (m, 3H), 7.28 (m, 2H), 6.65 (d, *J* = 16.0 Hz, 1H), 6.48 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.20 (m, 1H)	2233, 1518, 1250, 1169, 1035, 817
DC36		437.25 ([M+H]$^+$)	8.70 (s, 1H), 7.80 (m, 3H), 7.40 (s, 1H), 7.28 (s, 2H), 6.63 (d, *J* = 16.0 Hz, 1H), 6.50 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H), 2.50 (s, 1H)	2927, 2233, 1572, 1531, 1248, 1166, 1112
DC37	109–111	466.10 ([M-H]$^-$)	8.86 (s, 1H), 7.89 (m, 3H), 7.40 (s, 1H), 7.30 (s, 2H), 6.68 (d, *J* = 16.0 Hz, 1H), 6.57 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.18 (m, 1H)	
DC38	96–98	436.11 ([M-H]$^-$)	8.58 (s, 1H), 7.75 (m, 3H), 7.40 (s, 1H), 7.28 (s, 2H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.42 (dd, *J* = 16.0, 8.2 Hz, 1H), 4.40 (br s, 2H), 4.15 (m, 1H)	
DC39	224–226	480.30 ([M+H]$^+$)	8.65 (s, 1H), 8.18 (br s, 1H), 7.80-7.70 (m, 3H), 7.40 (s, 1H), 7.27 (s, 2H), 7.36 (m, 1H), 7.28 (m, 2H), 6.60 (d, *J* = 16.8 Hz, 1H), 6.47 (m, 1H), 4.16 (m, 1H), 2.40 (br s, 3H)	3352, 2237, 1707, 1163, 841
DC40	70–73	436.11 ([M-2H]$^-$)	8.86 (s, 1H), 7.88 (m, 3H), 7.44 (s, 2H), 6.67 (d, *J* = 16.0 Hz, 1H), 6.56 (dd, *J* = 16.0 7.6 Hz, 1H), 4.19 (m, 1H)	

DC41	72–75	469.95 ([M-H]$^-$)	(DMSO-d_6) 8.72 (s, 1H), 8.26 (s, 1H), 8.01 (d, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.77 (d, J = 8.4 Hz, 1H), 6.42 (dd, J = 15.6, 9.2 Hz, 1H), 6.83 (d, J = 15.6 Hz, 1H), 5.87 (s, 2H), 4.89 (m, 1H)	
DC42	104–107	609.98 ([M+H]$^+$)	8.78 (s, 2H), 7.83 (s, 1H), 7.80 (m, 2H), 7.42 (s, 2H), 6.65 (d, J = 16.4 Hz, 1H), 6.51 (dd, J = 16.4, 7.8 Hz, 1H), 4.17 (m, 1H), 4 2.16 (m, 2H), 1.25 (m, 4H), 1.00 (m, 4H),	2234, 1714, 1114, 807
DC43	109–112	540.04 ([M+H]$^+$)	(DMSO-d_6) 10.94 (br s, 1H), 8.36 (s, 1H), 8.08 (m, J = 8.4 Hz, 1H), 7.91 (s, 2H), 7.84 (d, J = 8.4 Hz, 1H), 7.13 (dd, J = 15.6, 9.2 Hz, 1H), 6.87 (d, J = 15.6 Hz, 1H), 4.92 (m, 1H), 1.99 (br s, 1H), 0.82 (s, 4H)	3233, 2233, 1699, 1114, 807
DC44		435.26 [M-H]$^-$	8.33 (s, 1H), 8.23 (s, 1H), 7.66 (s, 1H), 7.60 (s, 1H), 7.41 (m, 1H), 7.28 (m, 2H), 6.62 (d, J = 16.0 Hz, 1H), 6.51 (dd, J = 16.0, 7.8 Hz, 1H), 4.16 (m, 1H), 2.20 (s, 3H)	2236,1510, 1114, 801
DC45	75-78	468.87 [M-H]$^-$	8.36 (s, 1H), 8.23 (s, 1H), 7.66 (s, 1H), 7.60 (s, 1H), 7.41 (s, 2H), 6.62 (d, J = 16.4 Hz, 1H), 6.51 (dd, J = 16.4, 7.6 Hz, 1H), 4.16 (m, 1H), 2.20 (s, 3H)	

DC46		411.4 ($[M]^+$)	8.83 (s, 1H), 8.21 (s, 1H), 7.83 (d, *J* = 8.5 Hz, 1H), 7.61 (d, *J* = 1.9 Hz, 1H), 7.52 (dd, J = 8.4, 1.9 Hz, 1H), 7.28 (d, *J* = 3.8 Hz, 2H), 6.93 (d, *J* = 11.5 Hz, 1H), 6.26 - 6.20 (m, 1H), 4.22 (m, 1H)	^{13}C NMR (δ)[3] 155.63, 153.27, 153.12, 143.01, 137.89, 136.25, 134.03, 133.88, 132.23, 131.23, 131.18, 129.20, 126.17, 125.04, 124.99
DC47	139–141	474.16 ($[M-H]^-$)	8.51 (s, 1H), 8.14 (s, 1H), 7.75 (s, 1H), 7.5 (m, 2H), 7.4 (s, 1H), 7.30 (m, 2H), 6.60 (d, *J* = 16.0 Hz, 1H), 6.50 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	
DC48	124-126	414.05 $[M-H]^-$	8.69 (s, 1H), 8.14 (s, 1H), 7.96 (d, *J* = 4.8 Hz, 1H), 7.39-7.27 (m, 5H), 6.95 (d, *J* = 16.0 Hz, 1H), 6.51 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.13 (m, 1H)	
DC49	81-83	463.96 $[M-H]^-$	8.57 (s, 1H), 8.14 (s, 1H), 7.60 (m, 2H), 7.44 (m, 3H), 6.95 (d, *J* = 16.0 Hz, 1H), 6.51 (dd, *J* = 16.0, 7.6 Hz, 1H), 4.13 (m, 1H)	
DC50	140-143	430.07 $[M-H]^-$)	8.56 (s, 1H), 8.13 (s, 1H), 7.59 (d, *J* = 1.2 Hz, 2H), 7.44 (m, 2H), 7.28 (m, 2H), 6.61 (d, *J* = 16.0 Hz, 1H), 6.47 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H)	1110, 803

DC51	118–121	464.22 ([M-H]$^-$)	8.32 (s, 1H), 8.15 (s, 1H), 7.82 (s, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 1H), 7.41 (s, 1H), 7.29 (s, 2H), 6.70 (d, *J* = 15.6 Hz, 1H), 6.50 (dd, *J* = 15.6, 8.0 Hz, 1H), 4.20 (m, 1H)	
DC52			9.99 (s, 1H), 8.42 (s, 1H), 8.12 (s, 1H), 8.01 (s, 1H), 7.68 (m, 1H), 7.44 (m, 1H), 7.33 (m, 1H), 7.22 (s, 2H), 6.62 (d, *J* = 16.7 Hz, 1H), 6.45 (dd, *J* = 16.7, 9.3 Hz, 1H), 4.10 (m, 1H)	3123, 3079, 2925, 1692, 1571, 1512, 1253, 1164, 1111
DC53			8.30 (m, 1H), 8.00 (br s, 1H), 7.75 (m, 1H),7.68 (m, 1H), 7.55 (m, 1H), 7.36 (m, 1H), 7.28 (m, 2H), 6.70 (m, 1H), 6.58 (br s, 1H), 6.33 (m, 1H), 5.88 (m, 2H), 4.10 (m, 1H)	3250, 3043, 1683, 1116
DC54	56–58	441.07 ([M-H]$^-$)	8.40 (s, 1H), 8.13 (s, 1H), 8.02 (s, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.4 (s, 1H), 7.29 (m, 2H), 6.69 (d, *J* = 15.6 Hz, 1H), 6.57 (dd, *J* = 15.6, 7.8 Hz, 1H), 4.15 (m, 1H)	
DC55		412.97 ([M+H]$^+$)	8.37 (s, 1H), 8.18 (s, 1H), 7.39 (s, 1H), 7.30 (m, 2H), 7.19 (d, *J* = 8.0 Hz, 1H), 6.90 (m, 2H), 6.55 (d, *J* = 15.6 Hz, 1H), 6.38 (dd, *J* = 15.6, 8.2 Hz, 1H), 4.20 (m, 1H), 2.50 (br s, 2H)	

DC56	175–177	453 ([M-H]$^-$)	9.59 (br s, 1H), 8.55 (s, 1H), 8.47 (s, 2H), 8.23 (s, 1H), 7.30 (m, 4H), 6.62 (d, *J* = 16.0 Hz, 1H), 6.40 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.15 (m, 1H), 2.20 (s, 3H)	
DC57		426.0627 (426.0626)	8.33 (s, 1H), 8.16 (s, 1H), 7.38 (s, 1H), 7.29 (s, 2H), 7.15 (d, *J* = 7.6 Hz, 1H), 6.80 (d, *J* = 7.6 Hz, 1H), 6.74 (m, 1H), 6.60 (d, *J* = 15.6 Hz, 1H), 6.35 (dd, *J* = 15.6, 8.4 Hz, 1H), 5.40 (br s, 1H), 4.15 (m, 1H), 2.90 (s, 3H)	3342, 3112, 2931, 1606, 1583, 1574, 1528, 1153
DC58	94–97	440.0424 (440.0419)	(DMSO-d_6) 8.76 (s, 1H), 8.16 (s, 1H), 7.90 (br s, 1H), 7.83 (s, 1H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.71-7.67 (m, 3H), 7.58 (d, *J* = 7.9 Hz, 1H), 7.52 (br s, 1H), 7.00 (dd, *J* = 15.8, 8.7 Hz, 1H), 6.85 (d, *J* = 15.8 Hz, 1H), 4.85 (m, 1H)	3403, 3304, 3178, 1674, 1571, 1169, 1108
DC59	87–90		(DMSO-d_6) 9.00 (s, 1H), 8.63 (s, 1H), 8.17 (s, 1H), 7.70-7.59 (m, 5H), 7.00 (dd, *J* = 16.2, 9.7 Hz, 1H), 6.85 (d, *J* = 16.2 Hz, 1H), 5.90 (br s 2H), 4.83 (m, 1H)	
DC60		469.0577 (469.0572)	8.32 (s, 1H), 8.10 (s, 1H), 7.97 (s, 1H), 7.65 (d, *J* = 8.1 Hz, 1H), 7.47 (d, *J* = 8.1 Hz, 1H), 7.40 (m, 1H), 7.28 (s, 2H), 6.62 (d, *J* = 16.5 Hz, 1H), 6.49 (dd, *J* = 16.5, 7.7 Hz, 1H), 4.23-4.04 (m, 3H), 1.15 (t, *J* = 8.0 Hz, 3H)	2987, 1725, 1518, 1275, 1166, 1113

DC61	130–132	442.15 ([M+H]$^+$)	(DMSO-d_6) 9.90 (s, 1H), 8.17 (s, 1H), 8.15 (m, 1H), 7.90 (m, 1H), 7.71 (m, 2H), 7.67 (m, 1H), 7.62 (d, *J* = 7.3 Hz, 1H), 7.03 (dd, *J* = 16.5, 8.3 Hz, 1H), 6.62 (d, *J* = 16.5 Hz, 1H), 4.87 (m, 1H)	
DC62		412.10 ([M+H]$^+$)	8.27 (s, 1H), 8.23 (s, 1H), 7.40 (m, 3H), 7.30 (m, 3H), 6.64 (d, *J* = 16.0 Hz, 1H), 6.45 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.19 (m, 1H), 2.21 (s, 3H)	1513, 1252, 1166, 1112, 801
DC63		446.01 ([M+H]$^+$)	8.26 (s, 1H), 8.12 (s, 1H), 7.42 (s, 2H), 7.18-7.28 (m, 3H), 6.62 (d, *J* = 15.6 Hz, 1H), 6.39 (dd, *J* = 15.6, 9.4 Hz, 1H), 4.10 (m, 1H), 2.25 (s, 3H)	2928, 2525,1249, 1169, 1114, 809
DC64		475.03 ([M+H]$^+$)	8.84 (d, *J* = 5.8 Hz, 2H), 8.33 (s, 1H), 8.20 (s, 1H), 7.75 (m, 1H), 7.60 (d, *J* = 28.6 Hz, 1H), 7.58-7.48 (m, 3H), 7.42 (m, 1H), 7.28 (s, 2H), 6.71 (d, *J* = 16.9 Hz, 1H), 6.39 (dd, *J* = 16.9, 8.2 Hz, 1H), 4.15 (m, 1H)	1683, 1167, 650, 479
DC65		412.05 ([M+H]$^+$)	8.55 (s, 1H), 8.12 (s, 1H), 7.55 (m, 3H), 7.39 (m, 1H), 7.30 (d, *J* = 1.6 Hz, 1H), 6.85 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.17 (m, 1H), 2.40 (s, 3H)	722, 111

DC66	60–61	468.26 ([M+H]$^+$)	8.59 (s, 1H), 8.14 (s, 1H), 7.94 (s, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.43 (s, 2H), 7.23 (d, *J* = 16.0 Hz, 1H), 6.41 (dd, *J* = 16.0, 8.0 Hz, 1H), 4.20 (m, 1H)	
DC67	133–134	432.30 ([M+H]$^+$)	8.59 (s, 1H), 8.12 (s, 1H), 7.78 (br s, 1H), 7.71 (m, 1H), 7.62 (m, 1H), 7.39 (s, 1H), 7.32 (s, 2H), 7.03 (d, *J* = 16.0 Hz, 1H), 6.43 (dd, *J* = 16.0, 8.0 Hz, 1H), 0.21 (m, 1H)	800, 114
DC68		412.03 ([M+H]$^+$)	8.71 (s, 1H), 8.18 (s, 1H), 7.71 (d, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.37 (s, 1H), 7.28 (m, 2H), 6.08 (d, *J* = 16.0 Hz, 1H), 4.26 (m, 1H), 2.05 (s, 3H)	
DC69	162–168	414.03 ([M+H]$^+$)	8.56 (s, 1H), 8.11 (s, 1H), 7.70 (d, *J* = 8.5 Hz, 2H), 7.56 (d, *J* = 8.5 Hz, 2H), 7.54 (m, 2H), 7.40 (m, 1H), 6.91 (d, *J* = 16.5 Hz, 1H), 6.66 (d, *J* = 16.5 Hz, 1H)	
DC70	99–103	428.05 ([M+H]$^+$)	8.58 (s, 1H), 8.13 (s, 1H), 7.73 (d, *J* = 8.7 Hz, 2H), 7.60 (d, *J* = 8.7 Hz, 2H), 7.46 (m, 2H), 7.42 (m, 1H), 6.85 (d, *J* = 16.2 Hz, 1H), 6.40 (d, *J* = 16.2 Hz, 1H), 3.42 (s, 3H)	

[a] ^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted. HRMS data are noted observed value (theoretical value).

Table 2A: Analytical Data for Compounds in Table 1A.

Compound Number	mp (°C)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR
F1	132-133	612.9 ([M+H]$^{+}$)	10.25 (s, 1H), 9.59 (s, 1H), 7.60 (d, *J* = 1.6 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 2H), 7.34 (dd, *J* = 8.1, 1.7 Hz, 1H), 6.51 (d, *J* = 15.9 Hz, 1H), 6.40 (dd, *J* = 15.9, 7.7 Hz, 1H), 4.10 (p, *J* = 8.5 Hz, 1H), 3.32 (q, *J* = 10.1 Hz, 2H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ −62.96, −68.57
F8	166-167	558.9 ([M+H]$^{+}$)	8.85 (d, *J* = 5.5 Hz, 1H), 8.37 (d, *J* = 5.2 Hz, 1H), 7.65 (m, 2H), 7.41 (s, 3H), 6.54 (d, *J* = 15.9 Hz, 1H), 6.41 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.11 (p, *J* = 8.5 Hz, 1H), 2.38 (q, *J* = 7.5 Hz, 2H), 1.25 (t, *J* = 7.6 Hz, 3H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ −68.57
F11		569.0 ([M-H]$^{-}$)	(400 MHz, DMSO-d_6) δ 10.90 (s, 1H), 8.01 (s, 1H), 7.91 (s, 2H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.51 (d, 7.6 Hz, 1H), 7.04 (dd, *J* = 15.6, 9.2 Hz, 1H), 6.79 (d, *J* = 15.6 Hz, 1H), 4.87 - 4.82 (m, 1H), 3.09 (s, 3H), 1.26 - 1.21 (m, 2H), 1.22 - 1.19 (m, 3H)	3431, 1645, 1113, 746, 559
F33		624.82 ([M+H]$^{+}$)	(400 MHz, DMSO-d_6) δ 9.44 (bs, 1H), 8.52 (bs, 1H), 7.98 - 7.90 (m, 3H), 7.64 -7.59 (m, 1H), 7.38 (d, *J* = 8.0 Hz, 1H), 6.99 (dd, *J* = 15.6, 9.2 Hz, 1H), 6.76 (d, *J* = 15.6 Hz, 1H), 4.85 - 4.81 (m, 1H), 3.37- 3.29 (m, 4H)	3306,1 717, 1164, 723, 554

[a] ^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted. HRMS data are noted observed value (theoretical value).

Table 2B: Analytical Data for FA Compounds in Table 1B.

Compound Number	mp (°C)	ESIMS	^{1}H NMR (δ)[a]	IR (cm^{-1}); ^{19}F NMR
FA1		636.9 ([M-H]$^{-}$)	(400 MHz, DMSO-d_6) δ 11.00 (s, 1H), 8.02 (s, 1H), 7.91 (s, 2H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.51(d , *J* = 7.6 Hz, 1H), 7.41 (dd, *J* = 16.0, 9.2 Hz, 1H), 6.80 (d, *J* = 15.6 Hz, 1H), 4.88 - 4.72 (m, 1H), 3.17 (s, 3H), 2.51 - 2.49 (m, 2H), 1.29 - 1.23 (m, 2H)	3430, 1652, 1114, 746, 604
FA2		622.9 ([M-H]$^{-}$)	(400 MHz, DMSO-d_6) δ 11.00 (s, 1H), 8.03 (s, 1H), 7.92 (s, 2H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.06 (dd, *J* = 16.0, 9.2 Hz, 1H), 6.81 (d, *J* = 15.6 Hz, 1H), 4.88 - 4.83 (m, 1H), 3.58 - 3.48 (m, 2H), 3.30 (s, 3H)	3419, 1680, 1114, 748
FA3		573.19 ([M+H]$^{+}$)	(400 MHz, DMSO-d_6) δ 11.07 (s, 1H), 8.09 (s, 1H), 7.92 (s, 3H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.02 (dd, *J* = 16.0, 9.2 Hz, 1H), 6.91 (d, *J* = 15.6 Hz, 1H), 4.89 - 4.84 (m, 1H), 3.30 (s, 3H), 1.41 - 1.39 (m, 1H), 1.26 - 1.21(m, 2H), 0.85 -0.81 (m, 2H)	3458, 1668, 1116, 808

FA4		505.0 ([M-H]$^-$)	(300 MHz, DMSO-d_6) δ 10.70 (s, 1H), 7.89 (s, 2H), 7.54 (s, 1H), 7.48 - 7.40 (m, 2H), 6.93 (dd, *J* = 15.6, 9.0 Hz, 1H), 6.77 (d, *J* = 15.6 Hz, 1H), 4.87 - 4.81 (m, 1H), 3.07 (s, 3H), 2.39 (s, 3H), 1.29 - 1.26 (m, 2H), 1.01 (t, *J* = 7.2 Hz, 3H)	3438, 1671, 1110, 807
FA5		516.8 ([M-H]$^-$)	(300 MHz, DMSO-d_6) δ 10.70 (s, 1H), 7.89 (s, 2H), 7.54 (s, 1H), 7.50 (s, 2H), 6.93 (dd, *J* = 15.6, 9.0 Hz, 1H), 6.77 (d, *J* = 15.6 Hz, 1H), 4.87 - 4.81 (m, 1H), 3.09 (s, 3H), 2.39 (s, 3H), 2.02 - 1.98 (m, 1H), 1.33 - 1.23 (m, 2H), 0.75 - 0.73 (m, 2H)	3451, 1653, 1114, 808
FA6		573.0 ([M-H]$^-$)	(300 MHz, DMSO-d_6) δ 10.70 (s, 1H), 7.89 (s, 2H), 7.55 - 7.44 (m, 3H), 6.94 (dd, *J* = 15.9, 9.0 Hz, 1H), 6.78 (d, *J* = 15.9 Hz, 1H), 4.84 - 4.82 (m, 1H), 3.11 (s, 3H), 2.51 - 2.49 (m, 2H), 2.41 (s, 3H), 1.29 - 1.23 (m, 2H)	3436, 1685, 1114, 750
FA7	52-65	631 ([M+H]$^+$)	7.68 – 7.67 (m, 1H), 7.60 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.41 (s, 3H), 6.68 (s, 1H), 6.66 – 6.58 (m, 1H), 6.44 (dd, *J* = 15.9, 7.8 Hz, 1H), 6.38 (t, *J* = 5.8 Hz, 1H), 4.12 (q, *J* = 7.2 Hz, 1H), 3.66 – 3.52 (m, 4H), 3.07 (q, *J* = 10.5 Hz, 2H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ −59.04, −63.11, −68.58

FA8	145-153	659 ([M+H]$^+$)	7.72 - 7.67 (m, 1H), 7.61 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.42 (s, 2H), 6.70 - 6.57 (m, 3H), 6.44 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.13 (p, *J* = 8.5 Hz, 1H), 3.58 (d, *J* = 6.4 Hz, 2H), 2.97 (q, *J* = 10.5 Hz, 2H), 1.43 (s, 6H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ −58.98, −63.28, −68.58
FA9	55-76	658 ([M+H]$^+$)	7.65 (t, *J* = 9.3 Hz, 2H), 7.42 (s, 3H), 6.61 (d, *J* = 16.3 Hz, 1H), 6.46 (dd, *J* = 15.8, 7.8 Hz, 1H), 4.12 (q, *J* = 7.1 Hz, 1H), 2.05 (s, 2H), rotomers 1.37 (s, 6H, major) & 1.25 (s, 6H, minor).	**^{19}F NMR** (376 MHz, $CDCl_3$) δ -58.88, rotomers -68.44 & -68.52, -70.34
FA10		615 ([M+H]$^+$)	7.69 (s, 1H), 7.62 (d, *J* = 8.3 Hz, 1H), 7.51 (d, *J* = 7.9 Hz, 1H), 7.41 (s, 2H), 6.63 (d, *J* = 15.9 Hz, 1H), 6.45 (dd, *J* = 15.9, 7.8 Hz, 1H), 6.20 (m, 2H), 4.14 (p, *J* = 7.8, 6.9 Hz, 1H), 3.73 – 3.67 (m, 2H), 3.62 (q, *J* = 5.4, 4.9 Hz, 2H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ rotomers -58.97 & -59.00, -68.56, -68.59, -76.11
FA11		701 ([M-H]$^-$)	major rotomer 7.65 (s, 1H), 7.56 (d, *J* = 8.1 Hz, 1H), 7.41 (m, 3H), 6.61 (d, *J* = 16.2 Hz, 1H), 6.41 (dd, *J* = 16.0, 7.9 Hz, 1H), 4.12 (p, *J* = 8.9 Hz, 2H), 3.37 (s, 3H)	**^{19}F NMR** (376 MHz, $CDCl_3$) major rotomerδ -60.40 , -68.68 , -80.51 (t, *J* = 8.9 Hz), -121.05 (t, *J* = 6.8 Hz), -127.37 (d, *J* = 30.6 Hz)

FA12		575 ([M-H]⁻)	major rotomer 8.75 (s, 1H), 7.71 (d, *J* = 1.7 Hz, 1H), 7.67 - 7.61 (m, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.42 (s, 2H), 6.65 (d, *J* = 15.9 Hz, 1H), 6.49 (dd, *J* = 15.9, 7.9 Hz, 1H), 4.16 (p, *J* = 8.7 Hz, 1H), 3.11 (s, 3H), 2.29 (t, *J* = 7.5 Hz, 2H), 1.52 (h, *J* = 7.4 Hz, 2H), 0.87 (t, *J* = 7.4 Hz, 3H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ -58.94, -68.56
FA13		629 ([M-H]⁻)	major rotomer 8.17 (s, 1H), 7.77 - 7.71 (m, 1H), 7.66 (dd, J = 8.0, 1.6 Hz, 1H), 7.50 (d, J = 8.0 Hz, 1H), 7.42 (s, 2H), 6.65 (d, J = 15.9 Hz, 1H), 6.50 (dd, J = 16.0, 7.8 Hz, 1H), 4.15 (p, J = 8.6 Hz, 1H), 3.20 (s, 3H), 2.66 - 2.57 (m, 2H), 2.49 - 2.31 (m, 2H)	**^{19}F NMR** (376 MHz, $CDCl_3$) major rotomer δ -58.85, -66.83, -68.55
FA14		615 ([M-H]⁻)	10.23 (s, 1H), 9.85 (s, 1H), 7.70 - 7.62 (m, 1H), 7.55 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.41 (s, 2H), 6.59 (d, *J* = 15.9 Hz, 1H), 6.44 (dd, *J* = 15.9, 7.8 Hz, 1H), 4.19 - 4.05 (m, 1H), 2.51 (dd, *J* = 9.0, 6.6 Hz, 2H), 2.37 - 2.18 (m, 2H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ -59.46, -67.07, -68.59
FA15		645 ([M+H]⁺)	8.28 (s, 1H), 7.71 – 7.65 (m, 1H), 7.62 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.41 (s, 2H), 6.63 (d, *J* = 15.9 Hz, 1H), 6.45 (dd, *J* = 15.9, 7.8 Hz, 1H), 5.85 (s, 1H), 4.13 (p, *J* = 8.0 Hz, 1H), 3.69 (d, J = 5.9 Hz, 2H), 1.44 (s, 6H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ -58.64, -68.54, -76.05

FA16		659 ([M+H]+)	7.67 (d, *J* = 1.6 Hz, 1H), 7.60 (d, *J* = 8.1 Hz, 1H), 7.51 – 7.42 (m, 1H), 7.41 (s, 2H), 7.19 (s, 1H), 6.62 (d, *J* = 15.9 Hz, 1H), 6.43 (dd, *J* = 15.9, 7.8 Hz, 1H), 5.96 (s, 1H), 4.13 (p, J = 8.5 Hz, 1H), 3.61 (d, J = 6.0 Hz, 2H), 3.10 (q, J = 10.6 Hz, 2H), 1.43 (s, 6H)	**^{19}F NMR** (376 MHz, $CDCl_3$) δ -58.77, -63.07, -68.59

[a] ^{1}H NMR spectral data were acquired using a 400 MHz instrument in $CDCl_3$ except where noted. HRMS data are noted observed value (theoretical value).

Table 3: Assays Results

Compound Number	BAW Rating	CEW Rating	GPA Rating
AC1	D	D	B
AC2	C	C	C
AC3	D	D	B
AC4	D	A	B
AC5	D	D	B
AC6	D	A	B
AC7	A	A	B
AC8	D	B	B
AC9	A	A	B
AC10	A	A	B
AC11	A	A	D
AC12	A	A	D
AC13	A	A	B
AC14	A	B	D

AC15	A	A	B
AC16	A	A	C
AC17	A	A	B
AC18	A	A	B
AC19	D	D	B
AC20	A	A	C
AC21	D	D	C
AC22	A	A	D
AC23	A	A	B
AC24	A	A	D
AC25	A	A	D
AC26	A	A	B
AC27	A	A	B
AC28	A	A	B
AC29	A	A	B
AC30	A	A	B
AC31	A	A	B
AC32	A	A	B
AC33	A	A	B
AC34	A	A	B
AC35	A	A	C
AC36	A	A	B
AC37	A	A	B
AC38	A	A	C
AC39	A	A	C
AC40	A	A	D

AC41	A	D	D
AC42	A	D	D
AC43	A	A	B
AC44	A	A	B
AC45	A	A	D
AC46	A	A	D
AC47	D	D	B
AC48	A	A	B
AC49	A	A	B
AC50	A	D	B
AC51	A	A	B
AC52	A	A	B
AC53	A	A	B
AC54	A	A	B
AC57	A	A	B
AC58	A	A	B
AC59	A	A	B
AC60	A	A	B
AC61	A	A	B
AC62	A	A	D
AC63	A	A	B
AC64	A	A	B
AC65	A	A	B
AC66	A	A	B
AC67	A	A	B
AC68	A	A	D

AC69	A	A	A
AC70	D	D	B
AC71	A	A	B
AC72	A	A	B
AC75	A	A	B
AC76	A	A	D
AC77	A	A	B
AC78	A	A	A
AC79	A	A	A
AC80	A	A	B
AC81	A	D	D
AC82	A	A	B
AC83	A	A	B
AC84	A	A	D
AC85	A	A	B
AC86	A	A	D
AC87	A	A	B
AC89	A	A	B
AC90	A	A	C
AC91	A	A	C
AC92	A	A	C
AC93	A	D	C
AC94	D	B	B
AC95	A	A	C
AC96	D	D	C
AC97	D	D	C

AC98	A	A	C
AC99	A	A	C
AC100	C	C	C
AC101	D	D	C
AC102	D	A	C
AC103	A	A	D
AC104	A	A	B
AC105	A	A	D
AC106	A	A	B
AC107	B	A	D
AC108	B	D	D
AC109	D	D	C
AC110	A	A	C
AC111	A	A	C
AC112	A	A	C
AC113	B	A	D
AC114	A	B	D
AC115	A	A	D
AC116	C	C	C
AC117	A	D	B
AC118	A	D	D
BC1	A	A	D
BC2	A	A	D
BC3	A	A	D
BC4	A	A	B
BC5	A	A	B

BC6	A	A	D
BC7	A	A	D
BC8	A	A	B
BC9	A	A	D
BC10	A	A	B
BC11	C	C	C
BC12	C	C	C
BC13	A	A	D
BC14	A	D	D
CC1	D	D	D
CC2	A	A	B
CC3	A	A	D
CC4	A	B	B
CC5	A	A	B
CC6	A	A	B
CC7	A	A	B
CC8	A	A	D
CC9	A	A	B
CC10	A	A	B
CC11	A	A	B
CC12	D	D	B
CC13	A	A	B
CC14	A	D	D
CC15	A	A	B
CC16	A	A	B
CC17	A	A	B

CC18	A	A	B
CC19	A	A	B
CC20	A	A	D
CC21	A	A	D
CC22	A	A	B
CC23	A	A	B
CC24	A	A	D
CC25	A	A	B
CC26	A	D	B
CC27	A	A	D
CC28	A	A	D
CC29	A	A	B
CC30	A	A	D
CC31	B	D	C
CC32	A	A	B
CC33	A	A	B
CC34	A	A	B
CC35	D	D	D
CC36	A	A	D
CC37	A	A	D
CC38	A	A	D
CC39	D	D	B
CC40	D	A	D
CC41	D	D	B
CC42	D	D	D
CC43	A	B	B

CC44	A	A	B
CC45	A	A	D
CC46	D	A	C
CC47	D	D	C
CC48	D	D	C
CC49	D	D	D
CC50	A	A	D
CC51	A	A	D
CC52	A	D	D
CC53	D	D	B
CC54	A	A	C
DC1	A	A	D
DC2	D	D	C
DC3	B	D	C
DC4	A	D	C
DC5	D	D	C
DC6	D	D	C
DC7	A	D	C
DC8	A	D	C
DC9	D	D	C
DC10	D	D	C
DC11	A	D	C
DC12	A	A	B
DC13	A	A	C
DC14	D	D	C
DC15	D	D	C

DC16	A	A	C
DC17	A	A	C
DC18	A	A	C
DC19	A	A	C
DC20	A	D	C
DC21	D	D	C
DC22	D	D	C
DC23	D	A	C
DC24	D	D	C
DC25	D	D	C
DC26	D	D	C
DC27	D	D	C
DC28	A	A	B
DC29	A	A	C
DC30	A	A	C
DC31	A	A	B
DC32	D	D	C
DC33	A	A	C
DC34	A	A	B
DC35	A	A	B
DC36	D	D	C
DC37	A	A	C
DC38	A	A	C
DC39	A	A	C
DC40	A	A	C
DC41	A	A	C

DC42	A	A	C
DC43	A	A	C
DC44	A	A	C
DC45	A	A	C
DC46	A	A	C
DC47	A	A	C
DC48	A	A	C
DC49	A	A	C
DC50	A	A	C
DC51	A	A	C
DC52	D	D	C
DC53	D	A	C
DC54	D	D	C
DC55	D	D	C
DC56	D	D	C
DC57	A	A	C
DC58	D	D	C
DC59	D	D	C
DC60	A	A	C
DC61	D	D	C
DC62	A	A	C
DC63	A	A	C
DC64	D	D	C
DC65	D	A	C
DC66	A	A	C
DC67	A	A	C

DC68	A	A	C
DC69	D	D	C
DC70	A	A	C

Table 3A: Assays Results

Compound Number	BAW Rating	CL Rating	GPA Rating
F1	A	A	C
F8	A	A	C
F11	A	A	C
F33	A	A	B

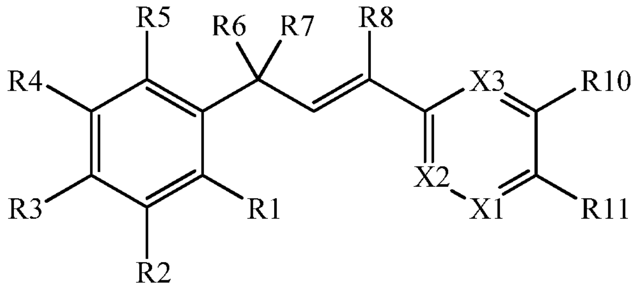
Table 3B: Assays Results

Compound Number	BAW Rating	CL Rating	GPA Rating
FA1	A	A	C
FA2	A	A	C
FA3	A	A	C
FA4	A	A	C
FA5	A	A	C
FA6	A	A	C
FA7	A	A	C
FA8	A	A	C
FA9	D	B	C
FA10	A	A	C
FA11	A	A	C
FA12	A	A	C

FA13	A	A	C
FA14	A	A	C
FA15	A	A	C
FA16	A	A	C

WE CLAIM

1. A composition comprising a molecule according to Formula One:



Formula One

wherein:

(a) **R1** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $S(O)(C_1-C_8)$alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2(C_1-C_8)$alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted (C_1-C_8)alkoxy**, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo(C_1-C_8)alkoxy**, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(b) **R2** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, $S(C_1-C_8)$alkyl, S(halo(C_1-C_8)alkyl), $S(O)(C_1-C_8)$alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2(C_1-C_8)$alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted (C_1-C_8)alkoxy**, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo(C_1-C_8)alkoxy**, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(c) **R3** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted (C_1-C_8)alkoxy**, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo(C_1-C_8)alkoxy**, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(d) **R4** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted (C_1-C_8)alkoxy**, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo(C_1-C_8)alkoxy**, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(e) **R5** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2$(C_1-C_8)alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), N(R14)(R15),

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from CN and NO_2,

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

(4) **substituted (C_1-C_8)alkoxy**, wherein said substituted (C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2, and

(5) **substituted halo(C_1-C_8)alkoxy**, wherein said substituted halo(C_1-C_8)alkoxy has one or more substituents selected from CN and NO_2;

(f) **R6** is a (C_1-C_8)haloalkyl;

(g) **R7** is selected from H, F, Cl, Br, I, OH, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(h) **R8** is selected from H, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, OR14, and N(R14)(R15);

(i) **R9** is selected from H, F, Cl, Br, I, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, OR14, and N(R14)(R15);

(j) **R10** is selected from

(1) H, F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), S(O)(C_1-C_8)alkyl, S(O)(halo(C_1-C_8)alkyl), $S(O)_2(C_1-C_8)$alkyl, $S(O)_2$(halo(C_1-C_8)alkyl), NR14R15, C(=O)H, C(=O)N(R14)(R15), CN(R14)(R15)(=NOH), (C=O)O(C_1-C_8)alkyl, (C=O)OH, heterocyclyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl,

(2) **substituted (C_1-C_8)alkyl**, wherein said substituted (C_1-C_8)alkyl has one or more substituents selected from OH, (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, $S(O)_2(C_1-C_8)$alkyl, NR14R15, and

(3) **substituted halo(C_1-C_8)alkyl**, wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from (C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, $S(O)_2(C_1-C_8)$alkyl, and N(R14)(R15);

(k) **R11** is C(=X5)N(H)((C_0-C_8)alkyl)N(R11a)(C(=X5)(R11b))

wherein each X5 is independently selected from O or S, and

wherein each R11a is independently selected from H, (C_1-C_8)alkyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl, cyclo(C_3-C_8)alkyl, and substituted cyclo(C_3-C_8)alkyl,

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, OC(=O)H, OH, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, $S(O)_2(C_1-C_8)$alkyl, $OS(O)_2$aryl, N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, wherein each said substituted aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo$(C_1-$

C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, wherein each said substituted heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), C(=O)(C_1-C_8)alkyl, C(=O)(C_3-C_6)cycloalkyl, S(=O)$_2$(C_1-C_8)alkyl, NR14R15, and oxo, wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo,

wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2,

wherein said substituted cyclo(C_3-C_8)alkyl, has one or more substituents selected from CN and NO_2

wherein each R11b is independently selected from (C_1-C_8)alkyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl, cyclo(C_3-C_8)alkyl, substituted cyclo(C_3-C_8)alkyl, (C_2-C_8)alkenyl, and (C_2-C_8)alkynyl,

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, OC(=O)H, OH, S(C_1-C_8)alkyl, S(O)(C_1-C_8)alkyl, S(O)$_2$(C_1-C_8)alkyl, OS(O)$_2$aryl, N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, wherein each said substituted aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, wherein each said substituted heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), C(=O)(C_1-C_8)alkyl, C(=O)(C_3-C_6)cycloalkyl, S(=O)$_2$(C_1-C_8)alkyl, NR14R15, and oxo, wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo,

wherein said substituted halo(C_1-C_8)alkyl, has one or more substituents selected from CN and NO_2, wherein said substituted cyclo(C_3-C_8)alkyl, has one or more substituents selected from CN and NO_2;

(l) **R12** is selected from **(v)**, H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, and cyclo(C_3-C_6)alkyl;

(m) **R13** is selected from **(v)**, H, F, Cl, Br, I, CN, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, and halo(C_1-C_8)alkoxy;

(n) **each R14** is independently selected from H, (C_1-C_8)alkyl, (C2-C8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-C(=O)N(R16)(R17), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl),C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, C(=O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), heterocyclyl, C(=O)(C_1-C_8)alkyl, C(=O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(o) **each R15** is independently selected from H, (C_1-C_8)alkyl, (C2-C8)alkenyl, substituted (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted halo(C_1-C_8)alkyl), (C_1-C_8)alkoxy, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), N(R16)(R17), (C_1-C_8)alkyl-

C(=O)N(R16)(R17), C(=O)(C_1-C_8)alkyl, C(=O)(halo(C_1-C_8)alkyl), C(=O)(C_3-C_6)cycloalkyl, (C_1-C_8)alkyl-C(=O)O(C_1-C_8)alkyl, C(=O)H

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, (C_3-C_6)cycloalkyl S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), heterocyclyl, C(=O)(C_1-C_8)alkyl, C(=O)O(C_1-C_8)alkyl, and oxo, (wherein said alkyl, alkoxy, and heterocyclyl, may be further substituted with one or more of F, Cl, Br, I, CN, and NO_2);

(p) **each R16** is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl)$_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(q) **each R17** is independently selected from H, (C_1-C_8)alkyl, substituted-(C_1-C_8)alkyl, halo(C_1-C_8)alkyl, substituted-halo(C_1-C_8)alkyl, cyclo(C_3-C_6)alkyl, aryl, substituted-aryl, (C_1-C_8)alkyl-aryl, (C_1-C_8)alkyl-(substituted-aryl), O-(C_1-C_8)alkyl-aryl, O-(C_1-C_8)alkyl-(substituted-aryl), heterocyclyl, substituted-heterocyclyl, (C_1-C_8)alkyl-heterocyclyl, (C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl-heterocyclyl, O-(C_1-C_8)alkyl-(substituted-heterocyclyl), O-(C_1-C_8)alkyl

wherein each said substituted (C_1-C_8)alkyl has one or more substituents selected from CN, and NO_2,

wherein each said substituted halo(C_1-C_8)alkyl), has one or more substituents selected from CN, and NO_2,

wherein each said substituted-aryl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo, and

wherein each said substituted-heterocyclyl has one or more substituents selected from F, Cl, Br, I, CN, NO_2, (C_1-C_8)alkyl, halo(C_1-C_8)alkyl, (C_1-C_8)alkoxy, halo(C_1-C_8)alkoxy, S(C_1-C_8)alkyl, S(halo(C_1-C_8)alkyl), N((C_1-C_8)alkyl$)_2$ (wherein each (C_1-C_8)alkyl is independently selected), and oxo;

(r) **X1** is selected from N and CR12;

(s) **X2** is selected from N, CR9, and CR13;

(t) **X3** is selected from N and CR9; and

(v) R12 and R13 together form a linkage containing 3 to 4 atoms selected from C, N, O, and S, wherein said linkage connects back to the ring to form a 5 to 6 member saturated or unsaturated cyclic ring, wherein said linkage has at least one substituent X4 wherein X4 is selected from R14, N(R14)(R15), N(R14)(C(=O)R14), N(R14)(C(=S)R14), N(R14)(C(=O)N(R14)(R14)), N(R14)(C(=S)N(R14)(R14)), N(R14)(C(=O)N(R14)((C2-C8)alkenyl)), N(R14)(C(=S)N(R14)((C2-C8)alkenyl)), wherein each R14 is independently selected.

2. A molecule according to claim 1 wherein R1 is selected from H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

3. A molecule according to claim 1 wherein R2 is selected from H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

4. A molecule according to claim 1 wherein R3 is selected from H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

5. A molecule according to claim 1 wherein R4 is selected from H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

6. A molecule according to claim 1 wherein R5 is selected from H, F, Cl, Br, I, CN, NO_2, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

7. A molecule according to claim 1 wherein R2 and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, R3, and R5 are H.

8. A molecule according to claim 1 wherein R2, R3, and R4 are selected from F, Cl, Br, I, CN, and NO_2 and R1, and R5 are H.

9. A molecule according to claim 1 wherein R2, R3, and R4 are independently selected from F and Cl and R1and R5 are H.

10. A molecule according to claim 1 wherein R1 is selected from Cl and H.

11. A molecule according to claim 1 wherein R2 is selected from CF_3, CH_3, Cl, F, and H.

12. A molecule according to claim 1 wherein R3 is selected from OCH_3, CH_3, F, Cl, or H.

13. A molecule according to claim 1 wherein R4 is selected from CF_3, CH_3, Cl, F, and H.

14. A molecule according to claim 1 wherein R5 is selected from F, Cl, and H.

15. A molecule according to claim 1 wherein R6 is selected from halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

16. A molecule according to claim 1 wherein R6 is trifluoromethyl.

17. A molecule according to claim 1 wherein R7 is selected from H, F, Cl, Br, and I.

18. A molecule according to claim 1 wherein R7 is selected from H, OCH_3, and OH.

19. A molecule according to claim 1 wherein R8 is selected from H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, and halo(C_8)alkyl.

20. A molecule according to claim 1 wherein R8 is selected from CH_3 and H.

21. A molecule according to claim 1 wherein R9 is selected from H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

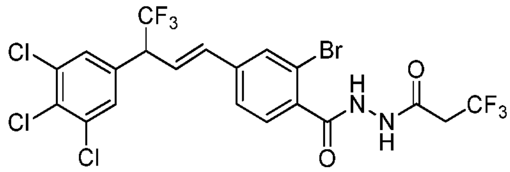
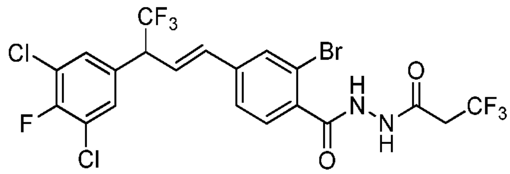
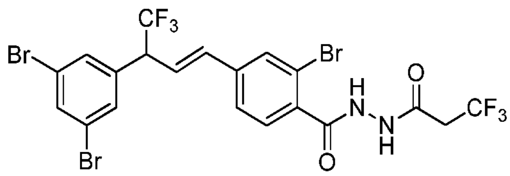
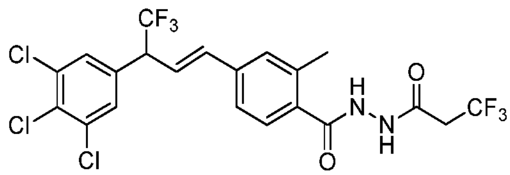
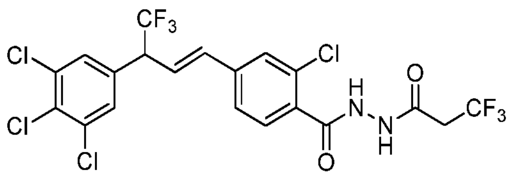
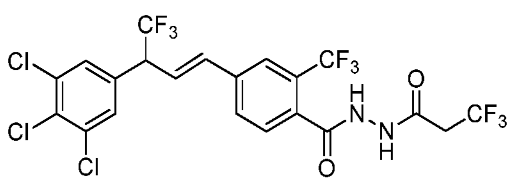
22. A molecule according to claim 1 wherein R10 is selected from H, F, Cl, Br, I, CN, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methoxy, ethoxy, (C_3)alkoxy, (C_4)alkoxy, (C_5)alkoxy, (C_6)alkoxy, (C_7)alkoxy, (C_8)alkoxy, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, halo(C_8)alkoxy, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

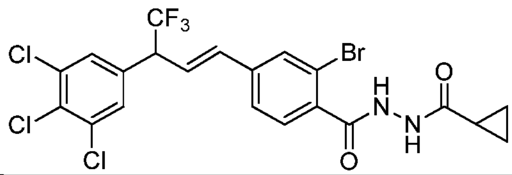
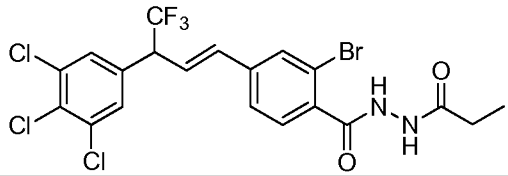
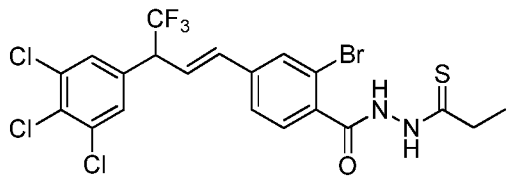
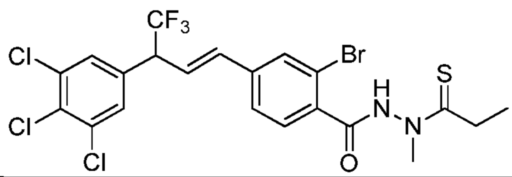
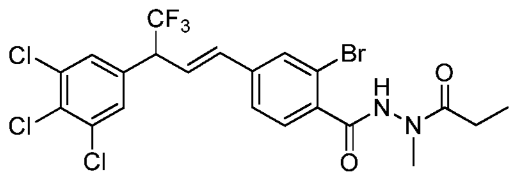
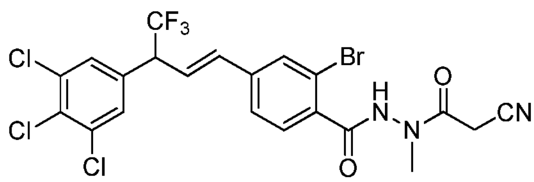
23. A molecule according to claim 1 wherein R10 is selected from H, Cl, Br, CH_3, and CF_3.

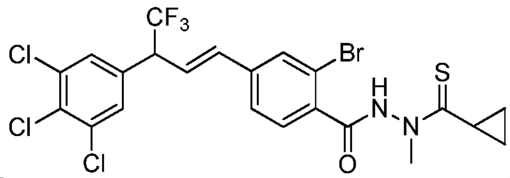
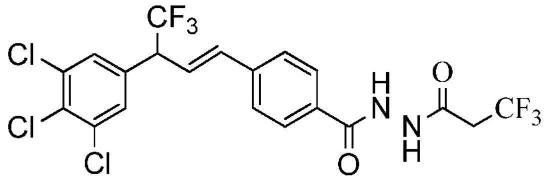
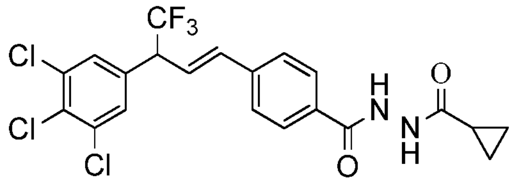
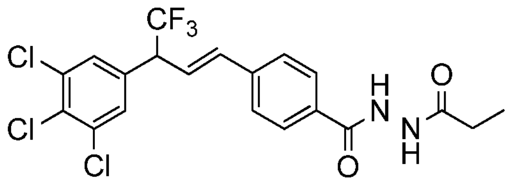
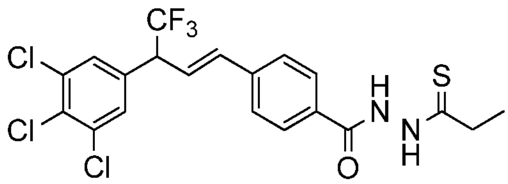
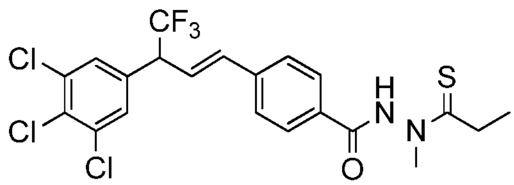
24. A molecule according to claim 1 wherein R10 is selected from Br, $C(=NOH)NH_2$, C(=O)H, $C(=O)NH_2$, $C(=O)OCH_2CH_3$, C(=O)OH, CF_3, CH_2CH_3, CH_2OH, CH3, Cl, CN, F, H, NH_2, NHC(=O)H, $NHCH_3$, NO_2, OCH_3, $OCHF_2$, and pyridyl.

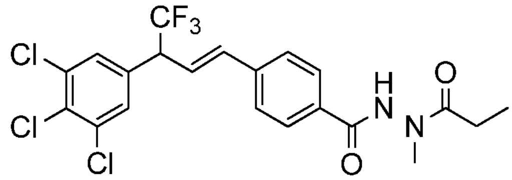
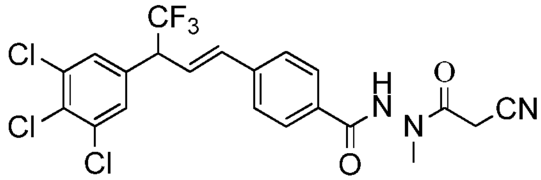
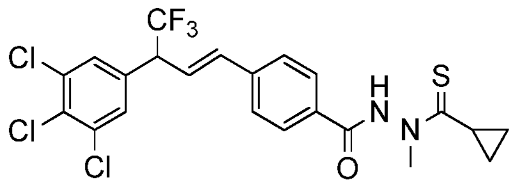
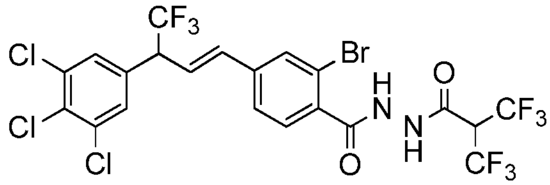
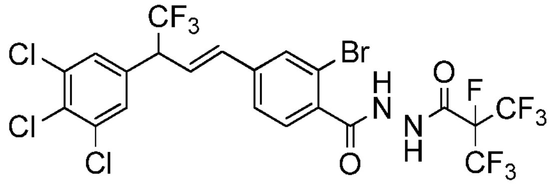
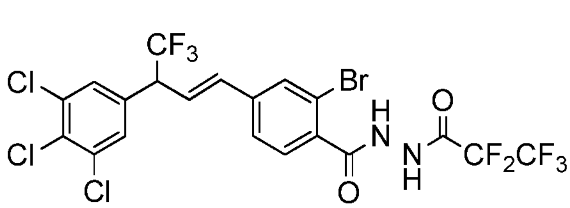
25. A molecule according to claim 1 wherein R11 is selected from $C(=O)N(H)N(CH_3)(C(=O)CH_2CH_3)$, $C(=O)N(H)N(CH_3)(C(=O)CH_2CF_3)$, $C(=O)N(H)N(CH_3)$(C(=O)cyclopropyl), $C(=O)N(H)N(CH_3)(C(=S)CH_2CH_3)$, $C(=O)N(H)N(CH_3)(C(=O)CH_2CN)$, $C(=O)N(H)N(CH_3)$(C(=S)cyclopropyl), $C(=O)N(H)N(CH_3)(C(=O)CH(CF_3)_2)$, $C(=O)N(H)N(CH_3)(C(=O)CF(CF_3)_2)$, $C(=O)N(H)N(CH_3)(C(=O)CF_2CF_3)$, and $C(=O)N(H)N(CH_3)(C(=O)C{\equiv}CCH_3)$.

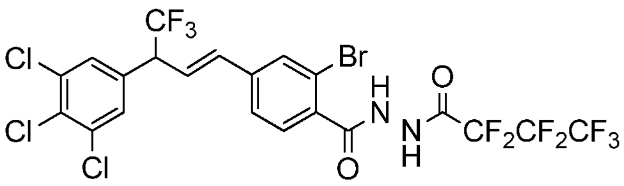
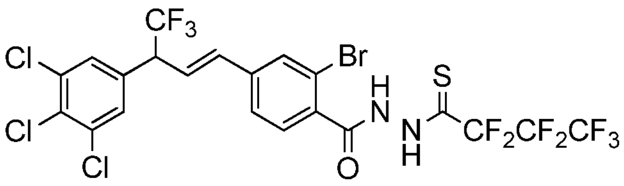
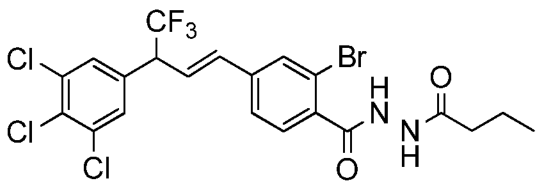
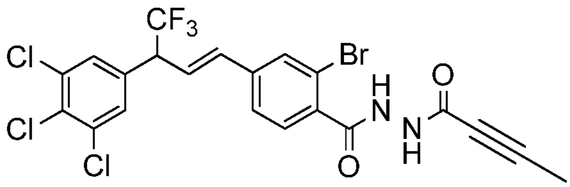
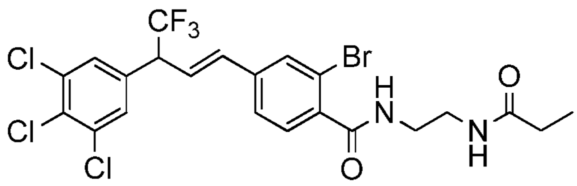
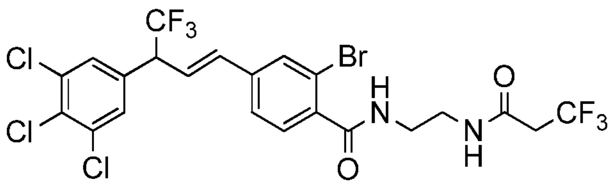
26. A molecule according to claim 1 wherein said molecule is selected from

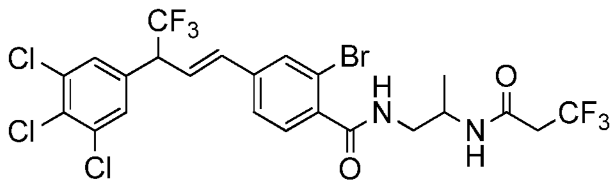
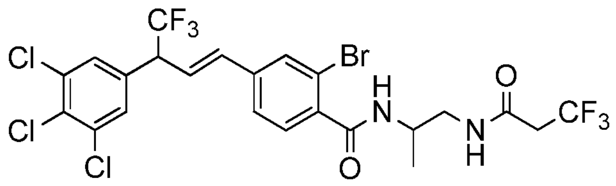
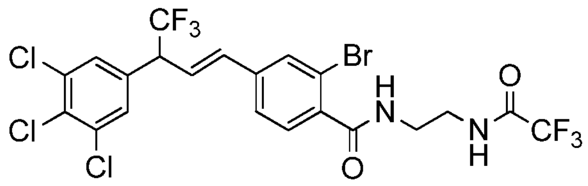
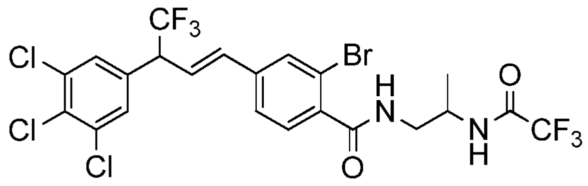
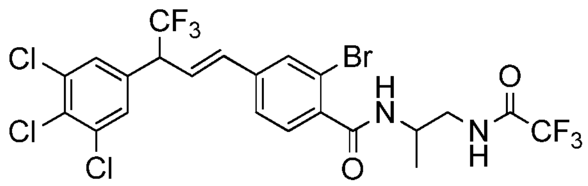
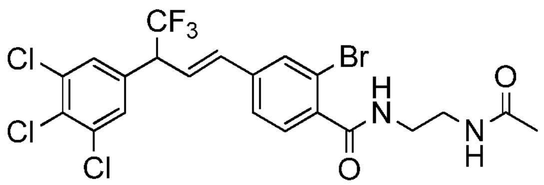
F1	
F2	
F3	
F4	
F5	
F6	

F7	
F8	
F9	
F10	
F11	
F12	

F13	
F14	
F15	
F16	
F17	
F18	

F19	
F20	
F21	
F22	
F23	
F24	

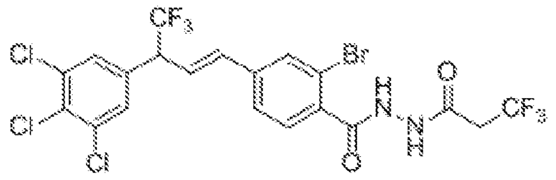
F25	
F26	
F27	
F28	
F29	
F30	

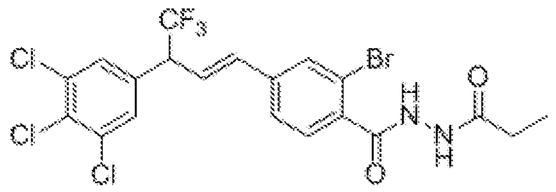
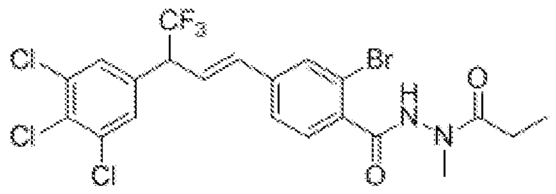
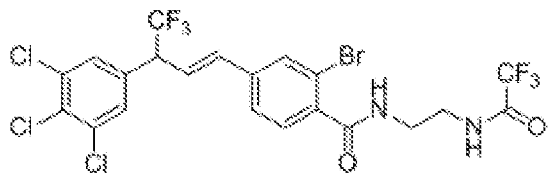
F31	
F32	
F33	
F34	
F35	
F36	

F37	
F38	
F39	
F40	
F41	

.

27. A molecule according to claim 1 wherein said molecule is

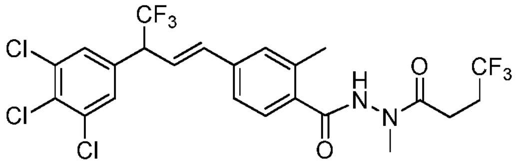
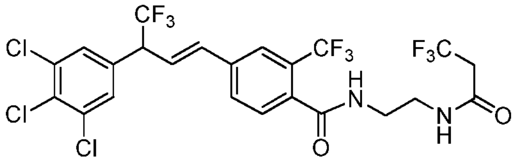
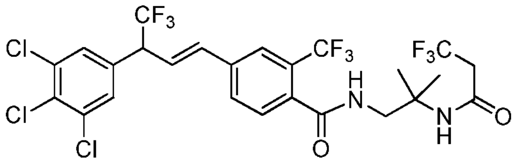
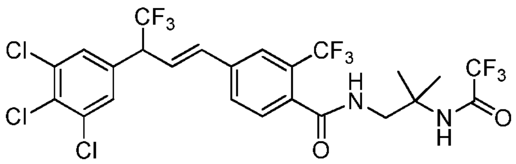
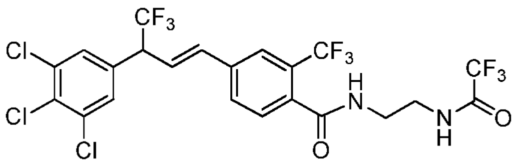
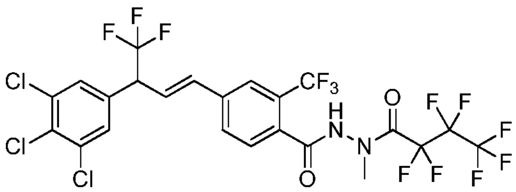
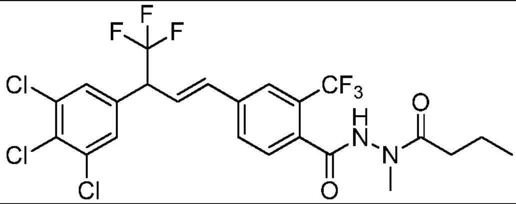
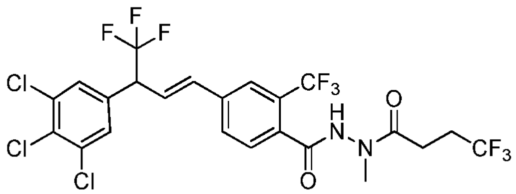
F1	

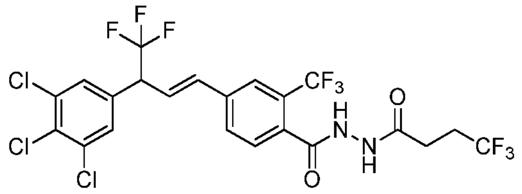
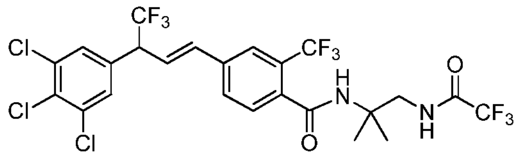
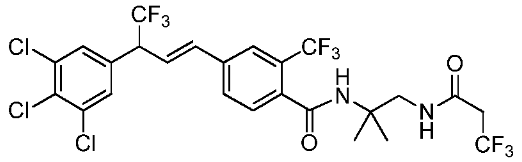
F8	
F11	
F33	

.

28. A molecule according to claim 1 wherein said molecule is

FA1	
FA2	
FA3	
FA4	
FA5	

FA6	
FA7	
FA8	
FA9	
FA10	
FA11	
FA12	
FA13	

FA14	
FA15	
FA16	

29. A molecule according to claim 1 wherein R12 is selected from H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

30. A molecule according to claim 1 wherein R12 is selected from CH_3 and H.

31. A molecule according to claim 1 wherein R13 is selected from H, F, Cl, Br, I, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, halomethoxy, haloethoxy, halo(C_3)alkoxy, halo(C_4)alkoxy, halo(C_5)alkoxy, halo(C_6)alkoxy, halo(C_7)alkoxy, and halo(C_8)alkoxy.

32. A molecule according to claim 1 wherein R13 is selected from CH_3, Cl, and H.

33. A molecule according to claim 1 wherein R12-R13 is the hydrocarbyl linkage CH=CHCH=CH.

34. A molecule according to claim 1 wherein R14 and R15 are independently selected from H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-

(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), O-(C_8)alkyl-(substituted-heterocyclyl), methyl-C(=O)N(R16)(R17), ethyl-C(=O)N(R16)(R17), (C_3)alkyl-C(=O)N(R16)(R17), (C_4)alkyl-C(=O)N(R16)(R17), (C_5)alkyl-C(=O)N(R16)(R17), (C_6)alkyl-C(=O)N(R16)(R17), (C_7)alkyl-C(=O)N(R16)(R17), and (C_8)alkyl-C(=O)N(R16)(R17).

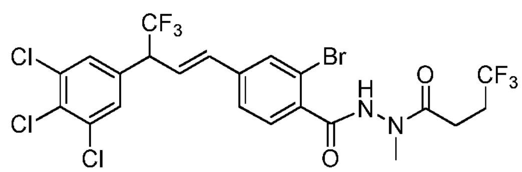
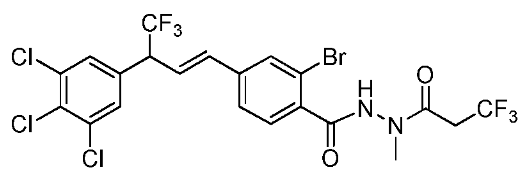
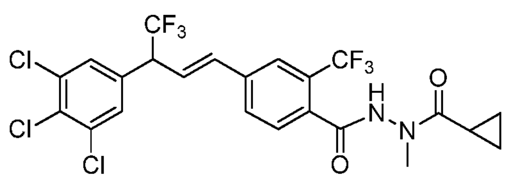
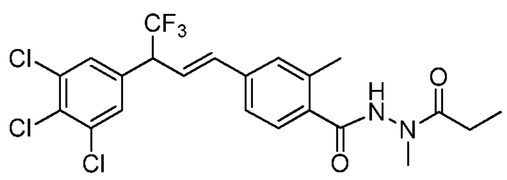
35. A molecule according to claim 1 wherein R14 and R15 are independently selected from H, CH_3, CH_2CF_3, CH_2-halopyridyl, oxo-pyrrolidinyl, halophenyl, thietanyl, CH_2-phenyl, CH_2-pyridyl, thietanyl-dioxide, CH_2-halothiazolyl, $C((CH_3)_2)$-pyridyl, N(H)(halophenyl), CH_2-pyrimidinyl, CH_2-tetrahydrofuranyl, CH_2-furanyl, O-CH_2-halopyridyl, and $CH_2C(=O)N(H)(CH_2CF_3)$.

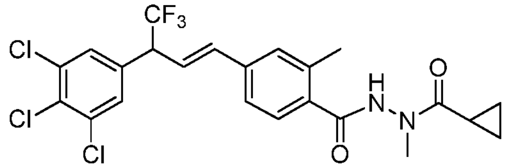
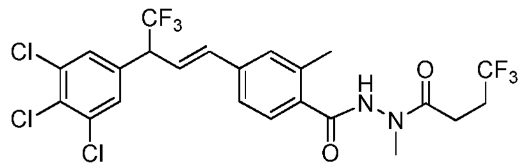
36. A molecule according to claim 1 wherein R16 and R17 are independently selected from H, methyl, ethyl, (C_3)alkyl, (C_4)alkyl, (C_5)alkyl, (C_6)alkyl, (C_7)alkyl, (C_8)alkyl, halomethyl, haloethyl, halo(C_3)alkyl, halo(C_4)alkyl, halo(C_5)alkyl, halo(C_6)alkyl, halo(C_7)alkyl, halo(C_8)alkyl, methyl-aryl, ethyl-aryl, (C_3)alkyl-aryl, (C_4)alkyl-aryl, (C_5)alkyl-aryl, (C_6)alkyl-aryl, (C_7)alkyl-aryl, (C_8)alkyl-aryl, methyl-(substituted-aryl), ethyl-(substituted-aryl), (C_3)alkyl-(substituted-aryl), (C_4)alkyl-(substituted-aryl), (C_5)alkyl-(substituted-aryl), (C_6)alkyl-(substituted-aryl), (C_7)alkyl-(substituted-aryl), (C_8)alkyl-(substituted-aryl), O-methyl-aryl, O-ethyl-aryl, O-(C_3)alkyl-aryl, O-(C_4)alkyl-aryl, O-(C_5)alkyl-aryl, O-(C_6)alkyl-aryl, O-(C_7)alkyl-aryl, O-(C_8)alkyl-aryl, O-methyl-(substituted-aryl), O-ethyl-(substituted-aryl), O-(C_3)alkyl-(substituted-aryl), O-(C_4)alkyl-(substituted-aryl), O-(C_5)alkyl-(substituted-aryl), O-(C_6)alkyl-(substituted-aryl), O-(C_7)alkyl-(substituted-aryl), O-(C_8)alkyl-(substituted-aryl), methyl-heterocyclyl, ethyl-heterocyclyl, (C_3)alkyl-heterocyclyl, (C_4)alkyl-heterocyclyl, (C_5)alkyl-heterocyclyl, (C_6)alkyl-heterocyclyl, (C_7)alkyl-heterocyclyl, (C_8)alkyl-heterocyclyl, methyl-(substituted-heterocyclyl), ethyl-(substituted-heterocyclyl), (C_3)alkyl-(substituted-heterocyclyl), (C_4)alkyl-(substituted-heterocyclyl), (C_5)alkyl-(substituted-heterocyclyl), (C_6)alkyl-(substituted-heterocyclyl), (C_7)alkyl-(substituted-heterocyclyl), (C_8)alkyl-(substituted-heterocyclyl), O-methyl-heterocyclyl, O-ethyl-heterocyclyl, O-(C_3)alkyl-heterocyclyl, O-(C_4)alkyl-heterocyclyl, O-(C_5)alkyl-heterocyclyl, O-(C_6)alkyl-heterocyclyl, O-(C_7)alkyl-heterocyclyl, O-(C_8)alkyl-heterocyclyl, O-methyl-(substituted-heterocyclyl), O-ethyl-(substituted-heterocyclyl), O-(C_3)alkyl-(substituted-heterocyclyl), O-(C_4)alkyl-(substituted-heterocyclyl), O-(C_5)alkyl-(substituted-heterocyclyl), O-(C_6)alkyl-(substituted-heterocyclyl), O-(C_7)alkyl-(substituted-heterocyclyl), and O-(C_8)alkyl-(substituted-heterocyclyl).

37. A molecule according to claim 1 wherein R16 and R17 are independently selected from H, CH_2CF_3, cyclopropyl, thietanyl, thietanyl dioxide, and halophenyl.

38. A molecule according to claim 1 wherein X1 is CR12, X2 is CR13, and X3 is CR9.

39. A molecule according to claim 1 wherein said molecule is

FA1	
FA2	
FA3	
FA4	

FA5	
FA6	

40. A molecule according to claim 1 wherein

R2, R3, and R4 are independently selected from F and Cl;

R1, R5, R7, and R8 are H; and

R6 is trifluoromethyl.

41. A composition according to claim 1 further comprising:

(a) one or more compounds having acaricidal, algicidal, avicidal, bactericidal, fungicidal, herbicidal, insecticidal, molluscicidal, nematicidal, rodenticidal, or virucidal properties; or

(b) one or more compounds that are antifeedants, bird repellents, chemosterilants, herbicide safeners, insect attractants, insect repellents, mammal repellents, mating disrupters, plant activators, plant growth regulators, or synergists; or

(c) both (a) and (b).

42. A composition according to claim 1 wherein further comprising one or more compounds selected from: (3-ethoxypropyl)mercury bromide, 1,2-dichloropropane, 1,3-dichloropropene, 1-methylcyclopropene, 1-naphthol, 2-(octylthio)ethanol, 2,3,5-tri-iodobenzoic acid, 2,3,6-TBA, 2,3,6-TBA-dimethylammonium, 2,3,6-TBA-lithium, 2,3,6-TBA-potassium, 2,3,6-TBA-sodium, 2,4,5-T, 2,4,5-T-2-butoxypropyl, 2,4,5-T-2-ethylhexyl, 2,4,5-T-3-butoxypropyl, 2,4,5-TB, 2,4,5-T-butometyl, 2,4,5-T-butotyl, 2,4,5-T-butyl, 2,4,5-T-isobutyl, 2,4,5-T-isoctyl, 2,4,5-T-isopropyl, 2,4,5-T-methyl, 2,4,5-T-pentyl, 2,4,5-T-sodium, 2,4,5-T-triethylammonium, 2,4,5-T-trolamine, 2,4-D, 2,4-D-2-butoxypropyl, 2,4-D-2-ethylhexyl, 2,4-D-3-butoxypropyl, 2,4-D-ammonium, 2,4-DB, 2,4-DB-butyl, 2,4-DB-dimethylammonium, 2,4-DB-isoctyl, 2,4-DB-potassium, 2,4-DB-sodium, 2,4-D-butotyl, 2,4-D-butyl, 2,4-D-diethylammonium, 2,4-D-dimethylammonium, 2,4-D-diolamine, 2,4-D-dodecylammonium, 2,4-DEB, 2,4-DEP, 2,4-D-ethyl, 2,4-D-heptylammonium, 2,4-D-isobutyl, 2,4-D-isoctyl, 2,4-D-isopropyl, 2,4-D-isopropylammonium, 2,4-D-lithium, 2,4-D-meptyl, 2,4-D-methyl, 2,4-D-octyl, 2,4-D-pentyl, 2,4-D-potassium, 2,4-D-propyl, 2,4-D-sodium, 2,4-D-tefuryl, 2,4-D-tetradecylammonium, 2,4-D-triethylammonium, 2,4-D-tris(2-hydroxypropyl)ammonium, 2,4-D-trolamine, 2iP, 2-methoxyethylmercury chloride, 2-phenylphenol, 3,4-DA, 3,4-DB, 3,4-DP, 4-aminopyridine, 4-CPA, 4-CPA-potassium, 4-CPA-sodium, 4-CPB, 4-CPP, 4-hydroxyphenethyl alcohol, 8-hydroxyquinoline sulfate, 8-phenylmercurioxyquinoline, abamectin, abscisic acid, ACC, acephate, acequinocyl, acetamiprid, acethion, acetochlor, acetophos, acetoprole, acibenzolar, acibenzolar-S-methyl, acifluorfen, acifluorfen-methyl, acifluorfen-sodium, aclonifen, acrep, acrinathrin, acrolein, acrylonitrile, acypetacs, acypetacs-copper, acypetacs-zinc, alachlor, alanycarb, albendazole, aldicarb, aldimorph, aldoxycarb, aldrin, allethrin, allicin, allidochlor, allosamidin, alloxydim, alloxydim-sodium, allyl alcohol, allyxycarb, alorac, *alpha*-cypermethrin, *alpha*-endosulfan, ametoctradin, ametridione, ametryn, amibuzin, amicarbazone, amicarthiazol, amidithion, amidoflumet, amidosulfuron, aminocarb, aminocyclopyrachlor, aminocyclopyrachlor-methyl, aminocyclopyrachlor-potassium, aminopyralid, aminopyralid-potassium, aminopyralid-tris(2-hydroxypropyl)ammonium, amiprofos-methyl, amiprophos, amisulbrom, amiton, amiton oxalate, amitraz, amitrole, ammonium sulfamate, ammonium α-naphthaleneacetate, amobam, ampropylfos, anabasine, ancymidol, anilazine, anilofos, anisuron, anthraquinone, antu, apholate, aramite, arsenous oxide, asomate, aspirin, asulam, asulam-potassium, asulam-sodium, athidathion, atraton, atrazine, aureofungin, aviglycine, aviglycine hydrochloride, azaconazole, azadirachtin, azafenidin, azamethiphos, azimsulfuron, azinphos-ethyl, azinphos-methyl, aziprotryne, azithiram, azobenzene, azocyclotin, azothoate, azoxystrobin, bachmedesh, barban, barium hexafluorosilicate, barium polysulfide, barthrin, BCPC, beflubutamid, benalaxyl, benalaxyl-M, benazolin, benazolin-dimethylammonium, benazolin-ethyl, benazolin-potassium, bencarbazone, benclothiaz, bendiocarb, benfluralin, benfuracarb, benfuresate, benodanil, benomyl, benoxacor, benoxafos, benquinox, bensulfuron, bensulfuron-methyl, bensulide, bensultap, bentaluron, bentazone, bentazone-sodium, benthiavalicarb, benthiavalicarb-isopropyl, benthiazole, bentranil, benzadox, benzadox-ammonium, benzalkonium chloride, benzamacril, benzamacril-isobutyl, benzamorf, benzfendizone, benzipram, benzobicyclon, benzofenap, benzofluor, benzohydroxamic acid, benzoximate, benzoylprop, benzoylprop-ethyl, benzthiazuron, benzyl benzoate, benzyladenine, berberine, berberine chloride, *beta*-cyfluthrin, *beta*-cypermethrin, bethoxazin, bicyclopyrone, bifenazate, bifenox, bifenthrin, bifujunzhi, bilanafos, bilanafos-sodium, binapacryl, bingqingxiao, bioallethrin, bioethanomethrin, biopermethrin, bioresmethrin, biphenyl, bisazir, bismerthiazol, bispyribac, bispyribac-sodium, bistrifluron, bitertanol, bithionol, bixafen, blasticidin-S, borax, Bordeaux mixture, boric acid, boscalid, brassinolide, brassinolide-ethyl, brevicomin, brodifacoum, brofenvalerate, brofluthrinate, bromacil, bromacil-lithium, bromacil-sodium, bromadiolone, bromethalin, bromethrin, bromfenvinfos, bromoacetamide, bromobonil, bromobutide, bromocyclen, bromo-DDT, bromofenoxim, bromophos, bromophos-ethyl, bromopropylate, bromothalonil, bromoxynil, bromoxynil butyrate, bromoxynil heptanoate, bromoxynil octanoate, bromoxynil-potassium, brompyrazon, bromuconazole, bronopol, bucarpolate, bufencarb, buminafos, bupirimate, buprofezin, Burgundy mixture, busulfan, butacarb, butachlor, butafenacil, butamifos, butathiofos, butenachlor, butethrin, buthidazole, buthiobate, buthiuron, butocarboxim, butonate, butopyronoxyl, butoxycarboxim, butralin, butroxydim, buturon, butylamine, butylate, cacodylic acid, cadusafos, cafenstrole, calcium arsenate, calcium chlorate, calcium cyanamide, calcium polysulfide, calvinphos, cambendichlor, camphechlor, camphor, captafol, captan, carbamorph, carbanolate, carbaryl, carbasulam, carbendazim, carbendazim benzenesulfonate, carbendazim sulfite, carbetamide, carbofuran, carbon disulfide, carbon tetrachloride, carbophenothion, carbosulfan, carboxazole, carboxide, carboxin, carfentrazone, carfentrazone-ethyl, carpropamid, cartap, cartap hydrochloride, carvacrol, carvone, CDEA, cellocidin, CEPC, ceralure, Cheshunt mixture, chinomethionat, chitosan, chlobenthiazone, chlomethoxyfen, chloralose, chloramben, chloramben-ammonium, chloramben-diolamine, chloramben-methyl, chloramben-methylammonium, chloramben-sodium, chloramine phosphorus, chloramphenicol, chloraniformethan, chloranil, chloranocryl, chlorantraniliprole, chlorazifop, chlorazifop-propargyl, chlorazine, chlorbenside, chlorbenzuron, chlorbicyclen, chlorbromuron, chlorbufam, chlordane, chlordecone, chlordimeform, chlordimeform hydrochloride, chlorempenthrin, chlorethoxyfos, chloreturon, chlorfenac, chlorfenac-ammonium, chlorfenac-sodium, chlorfenapyr, chlorfenazole, chlorfenethol, chlorfenprop, chlorfenson, chlorfensulphide, chlorfenvinphos, chlorfluazuron, chlorflurazole, chlorfluren, chlorfluren-methyl, chlorflurenol, chlorflurenol-methyl, chloridazon, chlorimuron, chlorimuron-ethyl, chlormephos, chlormequat, chlormequat chloride, chlornidine, chlornitrofen, chlorobenzilate, chlorodinitronaphthalenes, chloroform, chloromebuform, chloromethiuron, chloroneb, chlorophacinone, chlorophacinone-sodium, chloropicrin, chloropon, chloropropylate, chlorothalonil, chlorotoluron, chloroxuron, chloroxynil, chlorphonium, chlorphonium chloride, chlorphoxim, chlorprazophos, chlorprocarb, chlorpropham, chlorpyrifos, chlorpyrifos-methyl, chlorquinox, chlorsulfuron, chlorthal, chlorthal-dimethyl, chlorthal-monomethyl, chlorthiamid, chlorthiophos, chlozolinate, choline chloride, chromafenozide, cinerin I, cinerin II, cinerins, cinidon-ethyl, cinmethylin, cinosulfuron, ciobutide, cisanilide, cismethrin, clethodim, climbazole, cliodinate, clodinafop, clodinafop-propargyl, cloethocarb, clofencet, clofencet-potassium, clofentezine, clofibric acid, clofop, clofop-isobutyl, clomazone, clomeprop, cloprop, cloproxydim, clopyralid, clopyralid-methyl, clopyralid-olamine, clopyralid-potassium, clopyralid-tris(2-hydroxypropyl)ammonium, cloquintocet, cloquintocet-mexyl, cloransulam, cloransulam-methyl, closantel, clothianidin, clotrimazole, cloxyfonac, cloxyfonac-sodium, CMA, codlelure, colophonate, copper acetate, copper acetoarsenite, copper arsenate, copper carbonate, basic, copper hydroxide, copper naphthenate, copper oleate, copper oxychloride, copper silicate, copper sulfate, copper zinc chromate, coumachlor, coumafuryl, coumaphos, coumatetralyl, coumithoate, coumoxystrobin, CPMC, CPMF, CPPC, credazine, cresol, crimidine, crotamiton, crotoxyphos, crufomate, cryolite, cue-lure, cufraneb, cumyluron, cuprobam, cuprous oxide, curcumenol, cyanamide, cyanatryn, cyanazine, cyanofenphos, cyanophos, cyanthoate, cyantraniliprole, cyazofamid, cybutryne, cyclafuramid, cyclanilide, cyclethrin, cycloate, cycloheximide, cycloprate, cycloprothrin, cyclosulfamuron, cycloxaprid, cycloxydim, cycluron, cyenopyrafen, cyflufenamid, cyflumetofen, cyfluthrin, cyhalofop, cyhalofop-butyl, cyhalothrin, cyhexatin, cymiazole, cymiazole hydrochloride, cymoxanil, cyometrinil, cypendazole, cypermethrin, cyperquat, cyperquat chloride, cyphenothrin, cyprazine, cyprazole, cyproconazole, cyprodinil, cyprofuram, cypromid, cyprosulfamide, cyromazine, cythioate, daimuron, dalapon, dalapon-calcium, dalapon-magnesium, dalapon-sodium, daminozide, dayoutong, dazomet, dazomet-sodium, DBCP, *d*-camphor, DCIP, DCPTA, DDT, debacarb, decafentin, decarbofuran, dehydroacetic acid, delachlor, deltamethrin, demephion, demephion-O, demephion-S, demeton, demeton-methyl, demeton-O, demeton-O-methyl, demeton-S, demeton-S-methyl, demeton-S-methylsulphon, desmedipham, desmetryn, *d*-fanshiluquebingjuzhi, diafenthiuron, dialifos, di-allate, diamidafos, diatomaceous earth, diazinon, dibutyl phthalate, dibutyl succinate, dicamba, dicamba-diglycolamine, dicamba-dimethylammonium, dicamba-diolamine, dicamba-isopropylammonium, dicamba-methyl, dicamba-olamine, dicamba-potassium, dicamba-sodium, dicamba-trolamine, dicapthon, dichlobenil, dichlofenthion, dichlofluanid, dichlone, dichloralurea, dichlorbenzuron, dichlorflurenol, dichlorflurenol-methyl, dichlormate, dichlormid, dichlorophen, dichlorprop, dichlorprop-2-ethylhexyl, dichlorprop-butotyl, dichlorprop-dimethylammonium, dichlorprop-ethylammonium, dichlorprop-isoctyl, dichlorprop-methyl, dichlorprop-P, dichlorprop-P-2-ethylhexyl, dichlorprop-P-dimethylammonium, dichlorprop-potassium, dichlorprop-sodium, dichlorvos, dichlozoline, diclobutrazol, diclocymet, diclofop, diclofop-methyl, diclomezine, diclomezine-sodium, dicloran, diclosulam, dicofol, dicoumarol, dicresyl, dicrotophos, dicyclanil, dicyclonon, dieldrin, dienochlor, diethamquat, diethamquat dichloride, diethatyl, diethatyl-ethyl, diethofencarb, dietholate, diethyl pyrocarbonate, diethyltoluamide, difenacoum, difenoconazole, difenopenten, difenopenten-ethyl, difenoxuron, difenzoquat, difenzoquat metilsulfate, difethialone, diflovidazin, diflubenzuron, diflufenican, diflufenzopyr, diflufenzopyr-sodium, diflumetorim, dikegulac, dikegulac-sodium, dilor, dimatif, dimefluthrin, dimefox, dimefuron, dimepiperate, dimetachlone, dimetan, dimethacarb, dimethachlor, dimethametryn, dimethenamid, dimethenamid-P, dimethipin, dimethirimol, dimethoate, dimethomorph, dimethrin, dimethyl carbate, dimethyl phthalate, dimethylvinphos, dimetilan, dimexano, dimidazon, dimoxystrobin, dinex, dinex-diclexine, dingjunezuo, diniconazole, diniconazole-M, dinitramine, dinobuton, dinocap, dinocap-4, dinocap-6, dinocton, dinofenate, dinopenton, dinoprop, dinosam, dinoseb, dinoseb acetate, dinoseb-ammonium, dinoseb-diolamine, dinoseb-sodium, dinoseb-trolamine, dinosulfon, dinotefuran, dinoterb, dinoterb acetate, dinoterbon, diofenolan, dioxabenzofos, dioxacarb, dioxathion, diphacinone, diphacinone-sodium, diphenamid, diphenyl sulfone, diphenylamine, dipropalin, dipropetryn, dipyrithione, diquat, diquat dibromide, disparlure, disul, disulfiram, disulfoton, disul-sodium, ditalimfos, dithianon, dithicrofos, dithioether, dithiopyr, diuron, d-limonene, DMPA, DNOC, DNOC-ammonium, DNOC-potassium, DNOC-sodium, dodemorph, dodemorph acetate, dodemorph benzoate, dodicin, dodicin hydrochloride, dodicin-sodium, dodine, dofenapyn, dominicalure, doramectin, drazoxolon, DSMA, dufulin, EBEP, EBP, ecdysterone, edifenphos, eglinazine, eglinazine-ethyl, emamectin, emamectin benzoate, EMPC, empenthrin, endosulfan, endothal, endothal-diammonium, endothal-dipotassium, endothal-disodium, endothion, endrin, enestroburin, EPN, epocholeone, epofenonane, epoxiconazole, eprinomectin, epronaz, EPTC, erbon, ergocalciferol, erlujixiancaoan, esdépalléthrine, esfenvalerate, esprocarb, etacelasil, etaconazole, etaphos, etem, ethaboxam, ethachlor, ethalfluralin, ethametsulfuron, ethametsulfuron-methyl, ethaprochlor, ethephon, ethidimuron, ethiofencarb, ethiolate, ethion, ethiozin, ethiprole, ethirimol, ethoate-methyl, ethofumesate, ethohexadiol, ethoprophos, ethoxyfen, ethoxyfen-ethyl, ethoxyquin, ethoxysulfuron, ethychlozate, ethyl formate, ethyl α-naphthaleneacetate, ethyl-DDD, ethylene, ethylene dibromide, ethylene dichloride, ethylene oxide, ethylicin, ethylmercury 2,3-dihydroxypropyl mercaptide, ethylmercury acetate, ethylmercury bromide, ethylmercury chloride, ethylmercury phosphate, etinofen, etnipromid, etobenzanid, etofenprox, etoxazole, etridiazole, etrimfos, eugenol, EXD, famoxadone, famphur, fenamidone, fenaminosulf, fenamiphos, fenapanil, fenarimol, fenasulam, fenazaflor, fenazaquin, fenbuconazole, fenbutatin oxide, fenchlorazole, fenchlorazole-ethyl, fenchlorphos, fenclorim, fenethacarb, fenfluthrin, fenfuram, fenhexamid, fenitropan, fenitrothion, fenjuntong, fenobucarb, fenoprop, fenoprop-3-butoxypropyl, fenoprop-butometyl, fenoprop-butotyl, fenoprop-butyl, fenoprop-isoctyl, fenoprop-methyl, fenoprop-potassium, fenothiocarb, fenoxacrim, fenoxanil, fenoxaprop, fenoxaprop-ethyl, fenoxaprop-P, fenoxaprop-P-ethyl, fenoxasulfone, fenoxycarb, fenpiclonil, fenpirithrin, fenpropathrin, fenpropidin, fenpropimorph, fenpyrazamine, fenpyroximate, fenridazon, fenridazon-potassium, fenridazon-propyl, fenson, fensulfothion, fenteracol, fenthiaprop, fenthiaprop-ethyl, fenthion, fenthion-ethyl, fentin, fentin acetate, fentin chloride, fentin hydroxide, fentrazamide, fentrifanil, fenuron, fenuron TCA, fenvalerate, ferbam, ferimzone, ferrous sulfate, fipronil, flamprop, flamprop-isopropyl, flamprop-M, flamprop-methyl, flamprop-M-isopropyl, flamprop-M-methyl, flazasulfuron, flocoumafen, flometoquin, flonicamid, florasulam, fluacrypyrim, fluazifop, fluazifop-butyl, fluazifop-methyl, fluazifop-P, fluazifop-P-butyl, fluazinam, fluazolate, fluazuron, flubendiamide, flubenzimine, flucarbazone, flucarbazone-sodium, flucetosulfuron, fluchloralin, flucofuron, flucycloxuron, flucythrinate, fludioxonil, fluenetil, fluensulfone, flufenacet, flufenerim, flufenican, flufenoxuron, flufenprox, flufenpyr, flufenpyr-ethyl, flufiprole, flumethrin, flumetover, flumetralin, flumetsulam, flumezin, flumiclorac, flumiclorac-pentyl, flumioxazin, flumipropyn, flumorph, fluometuron, fluopicolide, fluopyram, fluorbenside, fluoridamid, fluoroacetamide, fluorodifen, fluoroglycofen, fluoroglycofen-ethyl, fluoroimide, fluoromidine, fluoronitrofen, fluothiuron, fluotrimazole, fluoxastrobin, flupoxam, flupropacil, flupropadine, flupropanate, flupropanate-sodium, flupyradifurone, flupyrsulfuron, flupyrsulfuron-methyl, flupyrsulfuron-methyl-sodium, fluquinconazole, flurazole, flurenol, flurenol-butyl, flurenol-methyl, fluridone, flurochloridone, fluroxypyr, fluroxypyr-butometyl, fluroxypyr-meptyl, flurprimidol, flursulamid, flurtamone, flusilazole, flusulfamide, fluthiacet, fluthiacet-methyl, flutianil, flutolanil, flutriafol, fluvalinate, fluxapyroxad, fluxofenim, folpet, fomesafen, fomesafen-sodium, fonofos, foramsulfuron, forchlorfenuron, formaldehyde, formetanate, formetanate hydrochloride, formothion, formparanate, formparanate hydrochloride, fosamine, fosamine-ammonium, fosetyl, fosetyl-aluminium, fosmethilan, fospirate, fosthiazate, fosthietan, frontalin, fuberidazole, fucaojing, fucaomi, funaihecaoling, fuphenthiourea, furalane, furalaxyl, furamethrin, furametpyr, furathiocarb, furcarbanil, furconazole, furconazole-cis, furethrin, furfural, furilazole, furmecyclox, furophanate, furyloxyfen, *gamma*-cyhalothrin, *gamma*-HCH, genit, gibberellic acid, gibberellins, gliftor, glufosinate, glufosinate-ammonium, glufosinate-P, glufosinate-P-ammonium, glufosinate-P-sodium, glyodin, glyoxime, glyphosate, glyphosate-diammonium, glyphosate-dimethylammonium, glyphosate-isopropylammonium, glyphosate-monoammonium, glyphosate-potassium, glyphosate-sesquisodium, glyphosate-trimesium, glyphosine, gossyplure, grandlure, griseofulvin, guazatine, guazatine acetates, halacrinate, halfenprox, halofenozide, halosafen, halosulfuron, halosulfuron-methyl, haloxydine, haloxyfop, haloxyfop-etotyl, haloxyfop-methyl, haloxyfop-P, haloxyfop-P-etotyl, haloxyfop-P-methyl, haloxyfop-sodium, HCH, hemel, hempa, HEOD, heptachlor, heptenophos, heptopargil, heterophos, hexachloroacetone, hexachlorobenzene, hexachlorobutadiene, hexachlorophene, hexaconazole, hexaflumuron, hexaflurate, hexalure, hexamide, hexazinone, hexylthiofos, hexythiazox, HHDN, holosulf, huancaiwo, huangcaoling, huanjunzuo, hydramethylnon, hydrargaphen, hydrated lime, hydrogen cyanide, hydroprene, hymexazol, hyquincarb, IAA, IBA, icaridin, imazalil, imazalil nitrate, imazalil sulfate, imazamethabenz, imazamethabenz-methyl, imazamox, imazamox-ammonium, imazapic, imazapic-ammonium, imazapyr, imazapyr-isopropylammonium, imazaquin, imazaquin-ammonium, imazaquin-methyl, imazaquin-sodium, imazethapyr, imazethapyr-ammonium, imazosulfuron, imibenconazole, imicyafos, imidacloprid, imidaclothiz, iminoctadine, iminoctadine triacetate, iminoctadine trialbesilate, imiprothrin, inabenfide, indanofan, indaziflam, indoxacarb, inezin, iodobonil, iodocarb, iodomethane, iodosulfuron, iodosulfuron-methyl, iodosulfuron-methyl-sodium, iofensulfuron, iofensulfuron-sodium, ioxynil, ioxynil octanoate, ioxynil-lithium, ioxynil-sodium, ipazine, ipconazole, ipfencarbazone, iprobenfos, iprodione, iprovalicarb, iprymidam, ipsdienol, ipsenol, IPSP, isamidofos, isazofos, isobenzan, isocarbamid, isocarbophos, isocil, isodrin, isofenphos, isofenphos-methyl, isolan, isomethiozin, isonoruron, isopolinate, isoprocarb, isopropalin, isoprothiolane, isoproturon, isopyrazam, isopyrimol, isothioate, isotianil, isouron, isovaledione, isoxaben, isoxachlortole, isoxadifen, isoxadifen-ethyl, isoxaflutole, isoxapyrifop, isoxathion, ivermectin, izopamfos, japonilure, japothrins, jasmolin I, jasmolin II, jasmonic acid, jiahuangchongzong, jiajizengxiaolin, jiaxiangjunzhi, jiecaowan, jiecaoxi, jodfenphos, juvenile hormone I, juvenile hormone II, juvenile hormone III, kadethrin, karbutilate, karetazan, karetazan-potassium, kasugamycin, kasugamycin hydrochloride, kejunlin, kelevan, ketospiradox, ketospiradox-potassium, kinetin, kinoprene, kresoxim-methyl, kuicaoxi, lactofen, lambda-cyhalothrin, latilure, lead arsenate, lenacil, lepimectin, leptophos, lindane, lineatin, linuron, lirimfos, litlure, looplure, lufenuron, lvdingjunzhi, lvxiancaolin, lythidathion, MAA, malathion, maleic hydrazide, malonoben, maltodextrin, MAMA, mancopper, mancozeb, mandipropamid, maneb, matrine, mazidox, MCPA, MCPA-2-ethylhexyl, MCPA-butotyl, MCPA-butyl, MCPA-dimethylammonium, MCPA-diolamine, MCPA-ethyl, MCPA-isobutyl, MCPA-isoctyl, MCPA-isopropyl, MCPA-methyl, MCPA-olamine, MCPA-potassium, MCPA-sodium, MCPA-thioethyl, MCPA-trolamine, MCPB, MCPB-ethyl, MCPB-methyl, MCPB-sodium, mebenil, mecarbam, mecarbinzid, mecarphon, mecoprop, mecoprop-2-ethylhexyl, mecoprop-dimethylammonium, mecoprop-diolamine, mecoprop-ethadyl, mecoprop-isoctyl, mecoprop-methyl, mecoprop-P, mecoprop-P-2-ethylhexyl, mecoprop-P-dimethylammonium, mecoprop-P-isobutyl, mecoprop-potassium, mecoprop-P-potassium, mecoprop-sodium, mecoprop-trolamine, medimeform, medinoterb, medinoterb acetate, medlure, mefenacet, mefenpyr, mefenpyr-diethyl, mefluidide, mefluidide-diolamine, mefluidide-potassium, megatomoic acid, menazon, mepanipyrim, meperfluthrin, mephenate, mephosfolan, mepiquat, mepiquat chloride, mepiquat pentaborate, mepronil, meptyldinocap, mercuric chloride, mercuric oxide, mercurous chloride, merphos, mesoprazine, mesosulfuron, mesosulfuron-methyl, mesotrione, mesulfen, mesulfenfos, metaflumizone, metalaxyl, metalaxyl-M, metaldehyde, metam, metam-ammonium, metamifop, metamitron, metam-potassium, metam-sodium, metazachlor, metazosulfuron, metazoxolon, metconazole, metepa, metflurazon, methabenzthiazuron, methacrifos, methalpropalin, methamidophos, methasulfocarb, methazole, methfuroxam, methidathion, methiobencarb, methiocarb, methiopyrisulfuron, methiotepa, methiozolin, methiuron, methocrotophos, methometon, methomyl, methoprene, methoprotryne, methoquin-butyl, methothrin, methoxychlor, methoxyfenozide, methoxyphenone, methyl apholate, methyl bromide, methyl eugenol, methyl iodide, methyl isothiocyanate, methylacetophos, methylchloroform, methyldymron, methylene chloride, methylmercury benzoate, methylmercury dicyandiamide, methylmercury pentachlorophenoxide, methylneodecanamide, metiram, metobenzuron, metobromuron, metofluthrin, metolachlor, metolcarb, metominostrobin, metosulam, metoxadiazone, metoxuron, metrafenone, metribuzin, metsulfovax, metsulfuron, metsulfuron-methyl, mevinphos, mexacarbate, mieshuan, milbemectin, milbemycin oxime, milneb, mipafox, mirex, MNAF, moguchun, molinate, molosultap, monalide, monisouron, monochloroacetic acid, monocrotophos, monolinuron, monosulfuron, monosulfuron-ester, monuron, monuron TCA, morfamquat, morfamquat dichloride, moroxydine, moroxydine hydrochloride, morphothion, morzid, moxidectin, MSMA, muscalure, myclobutanil, myclozolin, N-(ethylmercury)-p-toluenesulphonanilide, nabam, naftalofos, naled, naphthalene, naphthaleneacetamide, naphthalic anhydride, naphthoxyacetic acids, naproanilide, napropamide, naptalam, naptalam-sodium, natamycin, neburon, niclosamide, niclosamide-olamine, nicosulfuron, nicotine, nifluridide, nipyraclofen, nitenpyram, nithiazine, nitralin, nitrapyrin, nitrilacarb, nitrofen, nitrofluorfen, nitrostyrene, nitrothal-isopropyl, norbormide, norflurazon, nornicotine, noruron, novaluron, noviflumuron, nuarimol, OCH, octachlorodipropyl ether, octhilinone, ofurace, omethoate, orbencarb, orfralure, ortho-dichlorobenzene, orthosulfamuron, oryctalure, orysastrobin, oryzalin, osthol, ostramone, oxabetrinil, oxadiargyl, oxadiazon, oxadixyl, oxamate, oxamyl, oxapyrazon, oxapyrazon-dimolamine, oxapyrazon-sodium, oxasulfuron, oxaziclomefone, oxine-copper, oxolinic acid, oxpoconazole, oxpoconazole fumarate, oxycarboxin, oxydemeton-methyl, oxydeprofos, oxydisulfoton, oxyfluorfen, oxymatrine, oxytetracycline, oxytetracycline hydrochloride, paclobutrazol, paichongding, para-dichlorobenzene, parafluron, paraquat, paraquat dichloride, paraquat dimetilsulfate, parathion, parathion-methyl, parinol, pebulate, pefurazoate, pelargonic acid, penconazole, pencycuron, pendimethalin, penflufen, penfluron, penoxsulam, pentachlorophenol, pentanochlor, penthiopyrad, pentmethrin, pentoxazone, perfluidone, permethrin, pethoxamid, phenamacril, phenazine oxide, phenisopham, phenkapton, phenmedipham, phenmedipham-ethyl, phenobenzuron, phenothrin, phenproxide, phenthoate, phenylmercuriurea, phenylmercury acetate, phenylmercury chloride, phenylmercury derivative of pyrocatechol, phenylmercury nitrate, phenylmercury salicylate, phorate, phosacetim, phosalone, phosdiphen, phosfolan, phosfolan-methyl, phosglycin, phosmet, phosnichlor, phosphamidon, phosphine, phosphocarb, phosphorus, phostin, phoxim, phoxim-methyl, phthalide, picloram, picloram-2-ethylhexyl, picloram-isoctyl, picloram-methyl, picloram-olamine, picloram-potassium, picloram-triethylammonium, picloram-tris(2-hydroxypropyl)ammonium, picolinafen, picoxystrobin, pindone, pindone-sodium, pinoxaden, piperalin, piperonyl butoxide, piperonyl cyclonene, piperophos, piproctanyl, piproctanyl bromide, piprotal, pirimetaphos, pirimicarb, pirimioxyphos, pirimiphos-ethyl, pirimiphos-methyl, plifenate, polycarbamate, polyoxins, polyoxorim, polyoxorim-zinc, polythialan, potassium arsenite, potassium azide, potassium cyanate, potassium gibberellate, potassium naphthenate, potassium polysulfide, potassium thiocyanate, potassium α-naphthaleneacetate, *pp'*-DDT, prallethrin, precocene I, precocene II, precocene III, pretilachlor, primidophos, primisulfuron, primisulfuron-methyl, probenazole, prochloraz, prochloraz-manganese, proclonol, procyazine, procymidone, prodiamine, profenofos, profluazol, profluralin, profluthrin, profoxydim, proglinazine, proglinazine-ethyl, prohexadione, prohexadione-calcium, prohydrojasmon, promacyl, promecarb, prometon, prometryn, promurit, propachlor, propamidine, propamidine dihydrochloride, propamocarb, propamocarb hydrochloride, propanil, propaphos, propaquizafop, propargite, proparthrin, propazine, propetamphos, propham, propiconazole, propineb, propisochlor, propoxur, propoxycarbazone,

propoxycarbazone-sodium, propyl isome, propyrisulfuron, propyzamide, proquinazid, prosuler, prosulfalin, prosulfocarb, prosulfuron, prothidathion, prothiocarb, prothiocarb hydrochloride, prothioconazole, prothiofos, prothoate, protrifenbute, proxan, proxan-sodium, prynachlor, pydanon, pymetrozine, pyracarbolid, pyraclofos, pyraclonil, pyraclostrobin, pyraflufen, pyraflufen-ethyl, pyrafluprole, pyramat, pyrametostrobin, pyraoxystrobin, pyrasulfotole, pyrazolynate, pyrazophos, pyrazosulfuron, pyrazosulfuron-ethyl, pyrazothion, pyrazoxyfen, pyresmethrin, pyrethrin I, pyrethrin II, pyrethrins, pyribambenz-isopropyl, pyribambenz-propyl, pyribencarb, pyribenzoxim, pyributicarb, pyriclor, pyridaben, pyridafol, pyridalyl, pyridaphenthion, pyridate, pyridinitril, pyrifenox, pyrifluquinazon, pyriftalid, pyrimethanil, pyrimidifen, pyriminobac, pyriminobac-methyl, pyrimisulfan, pyrimitate, pyrinuron, pyriofenone, pyriprole, pyripropanol, pyriproxyfen, pyrithiobac, pyrithiobac-sodium, pyrolan, pyroquilon, pyroxasulfone, pyroxsulam, pyroxychlor, pyroxyfur, quassia, quinacetol, quinacetol sulfate, quinalphos, quinalphos-methyl, quinazamid, quinclorac, quinconazole, quinmerac, quinoclamine, quinonamid, quinothion, quinoxyfen, quintiofos, quintozene, quizalofop, quizalofop-ethyl, quizalofop-P, quizalofop-P-ethyl, quizalofop-P-tefuryl, quwenzhi, quyingding, rabenzazole, rafoxanide, rebemide, resmethrin, rhodethanil, rhodojaponin-III, ribavirin, rimsulfuron, rotenone, ryania, saflufenacil, saijunmao, saisentong, salicylanilide, sanguinarine, santonin, schradan, scilliroside, sebuthylazine, secbumeton, sedaxane, selamectin, semiamitraz, semiamitraz chloride, sesamex, sesamolin, sethoxydim, shuangjiaancaolin, siduron, siglure, silafluofen, silatrane, silica gel, silthiofam, simazine, simeconazole, simeton, simetryn, sintofen, SMA, S-metolachlor, sodium arsenite, sodium azide, sodium chlorate, sodium fluoride, sodium fluoroacetate, sodium hexafluorosilicate, sodium naphthenate, sodium orthophenylphenoxide, sodium pentachlorophenoxide, sodium polysulfide, sodium thiocyanate, sodium α-naphthaleneacetate, sophamide, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, spiroxamine, streptomycin, streptomycin sesquisulfate, strychnine, sulcatol, sulcofuron, sulcofuron-sodium, sulcotrione, sulfallate, sulfentrazone, sulfiram, sulfluramid, sulfometuron, sulfometuron-methyl, sulfosulfuron, sulfotep, sulfoxaflor, sulfoxide, sulfoxime, sulfur, sulfuric acid, sulfuryl fluoride, sulglycapin, sulprofos, sultropen, swep, *tau*-fluvalinate, tavron, tazimcarb, TCA, TCA-ammonium, TCA-calcium, TCA-ethadyl, TCA-magnesium, TCA-sodium, TDE, tebuconazole, tebufenozide, tebufenpyrad, tebufloquin, tebupirimfos, tebutam, tebuthiuron, tecloftalam, tecnazene, tecoram, teflubenzuron, tefluthrin, tefuryltrione, tembotrione, temephos, tepa, TEPP, tepraloxydim, terallethrin, terbacil, terbucarb, terbuchlor, terbufos, terbumeton, terbuthylazine, terbutryn, tetcyclacis, tetrachloroethane, tetrachlorvinphos, tetraconazole, tetradifon, tetrafluron, tetramethrin, tetramethylfluthrin, tetramine, tetranactin, tetrasul, thallium sulfate, thenylchlor, theta-cypermethrin, thiabendazole, thiacloprid, thiadifluor, thiamethoxam, thiapronil, thiazafluron, thiazopyr, thicrofos, thicyofen, thidiazimin, thidiazuron, thiencarbazone, thiencarbazone-methyl, thifensulfuron, thifensulfuron-methyl, thifluzamide, thiobencarb, thiocarboxime, thiochlorfenphim, thiocyclam, thiocyclam hydrochloride, thiocyclam oxalate, thiodiazole-copper, thiodicarb, thiofanox, thiofluoximate, thiohempa, thiomersal, thiometon, thionazin, thiophanate, thiophanate-methyl, thioquinox, thiosemicarbazide, thiosultap, thiosultap-diammonium, thiosultap-disodium, thiosultap-monosodium, thiotepa, thiram, thuringiensin, tiadinil, tiaojiean, tiocarbazil, tioclorim, tioxymid, tirpate, tolclofos-methyl, tolfenpyrad, tolylfluanid, tolylmercury acetate, topramezone, tralkoxydim, tralocythrin, tralomethrin, tralopyril, transfluthrin, transpermethrin, tretamine, triacontanol, triadimefon, triadimenol, triafamone, tri-allate, triamiphos, triapenthenol, triarathene, triarimol, triasulfuron, triazamate, triazbutil, triaziflam, triazophos, triazoxide, tribenuron, tribenuron-methyl, tribufos, tributyltin oxide, tricamba, trichlamide, trichlorfon, trichlormetaphos-3, trichloronat, triclopyr, triclopyr-butotyl, triclopyr-ethyl, triclopyr-triethylammonium, tricyclazole, tridemorph, tridiphane, trietazine, trifenmorph, trifenofos, trifloxystrobin, trifloxysulfuron, trifloxysulfuron-sodium, triflumizole, triflumuron, trifluralin, triflusulfuron, triflusulfuron-methyl, trifop, trifop-methyl, trifopsime, triforine, trihydroxytriazine, trimedlure, trimethacarb, trimeturon, trinexapac, trinexapac-ethyl, triprene, tripropindan, triptolide, tritac, triticonazole, tritosulfuron, trunc-call, uniconazole, uniconazole-P, urbacide, uredepa, valerate, validamycin, valifenalate, valone, vamidothion, vangard, vaniliprole, vernolate, vinclozolin, warfarin, warfarin-potassium, warfarin-sodium, xiaochongliulin, xinjunan, xiwojunan, XMC, xylachlor, xylenols, xylylcarb, yishijing, zarilamid, zeatin, zengxiaoan, zeta-cypermethrin, zinc naphthenate, zinc phosphide, zinc thiazole, zineb, ziram, zolaprofos, zoxamide, zuomihuanglong, α-chlorohydrin, α-ecdysone, α-multistriatin, and α-naphthaleneacetic acid.

43. A composition according to claim 1 further comprising an agriculturally acceptable carrier.

44. A composition according to claim 1 wherein said molecule is in the form of a pesticidally acceptable acid addition salt.

45. A composition according to claim 1 wherein said molecule is in the form of a salt derivative.

46. A composition according to claim 1 wherein said molecule is in the form a hydrate.

47. A composition according to claim 1 wherein said molecule is in the form an ester derivative.

48. A composition according to claim 1 wherein said molecule is in the form a crystal polymorph.

49. A composition according to claim 1 wherein said molecule has a ^{2}H in place of ^{1}H.

50. A composition according to claim 1 wherein said molecule has a ^{14}C in place of a ^{12}C.

51. A composition according to claim 1 further comprising a biopesticide.

52. A composition according to claim 1 further comprising one or more of the following compounds:

(a) 3-(4-chloro-2,6-dimethylphenyl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;

(b) 3-(4'-chloro-2,4-dimethyl[1,1'-biphenyl]-3-yl)-4-hydroxy-8-oxa-1-azaspiro[4,5]dec-3-en-2-one;

(c) 4-[[(6-chloro-3-pyridinyl)methyl]methylamino]-2(5*H*)-furanone;

(d) 4-[[(6-chloro-3-pyridinyl)methyl]cyclopropylamino]-2(5*H*)-furanone;

(e) 3-chloro-*N*2-[(1*S*)-1-methyl-2-(methylsulfonyl)ethyl]-*N*1-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1,2-benzenedicarboxamide;

(f) 2-cyano-*N*-ethyl-4-fluoro-3-methoxy-benenesulfonamide;

(g) 2-cyano-*N*-ethyl-3-methoxy-benzenesulfonamide;

(h) 2-cyano-3-difluoromethoxy-*N*-ethyl-4-fluoro-benzenesulfonamide;

(i) 2-cyano-3-fluoromethoxy-*N*-ethyl-benzenesulfonamide;

(j) 2-cyano-6-fluoro-3-methoxy-*N,N*-dimethyl-benzenesulfonamide;

(k) 2-cyano-*N*-ethyl-6-fluoro-3-methoxy-*N*-methyl-benzenesulfonamide;

(l) 2-cyano-3-difluoromethoxy-*N,N*-dimethylbenzenesulfon-amide;

(m) 3-(difluoromethyl)-*N*-[2-(3,3-dimethylbutyl)phenyl]-1-methyl-1*H*-pyrazole-4-carboxamide;

(n) *N*-ethyl-2,2-dimethylpropionamide-2-(2,6-dichloro-α,α,α-trifluoro-*p*-tolyl) hydrazone;

(o) *N*-ethyl-2,2-dichloro-1-methylcyclopropane-carboxamide-2-(2,6-dichloro-α,α,α-trifluoro-*p*-tolyl) hydrazone nicotine;

(p) O-{(E-)-[2-(4-chloro-phenyl)-2-cyano-1-(2-trifluoromethylphenyl)-vinyl]} S-methyl thiocarbonate;

(q) (E)-N1-[(2-chloro-1,3-thiazol-5-ylmethyl)]-N2-cyano-N1-methylacetamidine;

(r) 1-(6-chloropyridin-3-ylmethyl)-7-methyl-8-nitro-1,2,3,5,6,7-hexahydro-imidazo[1,2-a]pyridin-5-ol;

(s) 4-[4-chlorophenyl-(2-butylidine-hydrazono)methyl)]phenyl mesylate; and

(t) N-Ethyl-2,2-dichloro-1-methylcyclopropanecarboxamide-2-(2,6-dichloro-*alpha,alpha,alpha*-trifluoro-p-tolyl)hydrazone.

53. A composition according to claim 1 further comprising a compound having one or more of the following modes of action: acetylcholinesterase inhibitor; sodium channel modulator; chitin biosynthesis inhibitor; GABA and glutamate-gated chloride channel antagonist; GABA and glutamate-gated chloride channel agonist; acetylcholine receptor agonist; acetylcholine receptor antagonist; MET I inhibitor; Mg-stimulated ATPase inhibitor; nicotinic acetylcholine receptor; Midgut membrane disrupter; oxidative phosphorylation disrupter, and ryanodine receptor (RyRs).

54. A composition according to claim 1 further comprising a seed.

55. A composition according to claim 1 further comprising a seed that has been genetically modified to express one or more specialized traits.

56. A composition according to claim 1 wherein said composition is encapsulated inside, or placed on the surface of, a capsule.

57. A composition according to claim 1 wherein said composition is encapsulated inside, or placed on the surface of, a capsule, wherein said capsule has a diameter of about 100-900 nanometers or about 10-900 microns.

58. A process comprising applying a composition according to claim 1, to an area to control a pest, in an amount sufficient to control such pest.

59. A process according to claim 58 wherein said pest is selected from beetles, earwigs, cockroaches, flies, aphids, scales, whiteflies, leafhoppers, ants, wasps, termites, moths, butterflies, lice, grasshoppers, locusts, crickets, fleas, thrips, bristletails, mites, ticks, nematodes, and symphylans.

60. A process according to claim 58 wherein said pest is from the Phyla Nematoda or Arthropoda.

61. A process according to claim 58 wherein said pest is from the Subphyla Chelicerata, Myriapoda, or Hexapoda.

62. A process according to claim 58 wherein said pest is from the Class of Arachnida, Symphyla, or Insecta.

63. A process according to claim 58 wherein said pest is from the Order Anoplura, Order Coleoptera, Order Dermaptera, Order Blattaria, Order Diptera, Order Hemiptera, Order

Hymenoptera, Order Isoptera, Order Lepidoptera, Order Mallophaga, Order Orthoptera, Order Siphonaptera, Order Thysanoptera, Order Thysanura, Order Acarina, or Order Symphyla.

64. A process according to claim 58 wherein said pest is BAW, CEW, or GPA.

65. A process according to claim 58 wherein said amount is from about 0.01 grams per hectare to about 5000 grams per hectare.

66. A process according to claim 58 wherein said amount is from about 0.1 grams per hectare to about 500 grams per hectare.

67. A process according to claim 58 wherein said amount is from about 1 gram per hectare to about 50 grams per hectare.

68. A process according to claim 58 wherein said area is an area where apples, corn, cotton, soybeans, canola, wheat, rice, sorghum, barley, oats, potatoes, oranges, alfalfa, lettuce, strawberries, tomatoes, peppers, crucifers, pears, tobacco, almonds, sugar beets, or beans, are growing, or the seeds thereof are going to be planted.

69. A process according to claim 58 further comprising applying said composition to a genetically modified plant that has been genetically modified to express one or more specialized traits.

70. A process according to claim 1 where said composition further comprise ammonium sulfate.

71. A process comprising: orally administering; or topically applying; a composition according to claim 1, to a non-human animal, to control endoparasites, ectoparasites, or both.

72. A process comprising applying a composition according to claim 1 to a plant to enhance the plant's health, yield, vigor, quality, or tolerance, at a time when pest activity is low.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 13/76101

A. CLASSIFICATION OF SUBJECT MATTER
IPC(8) - A01N 37/46 (2014.01)
USPC - 504/346; 504/100; 504/326; 504/356; 504/358
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC(8): A01N 37/46 (2014.01)
USPC: 504/346

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
USPC: 504/100; 504/326; 504/356; 504/358

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
PatBase, Google Scholar, PubWEST, SureChem
pesticide, insecticide, agrochemical, but-1-enyl, 3,4,5-trichlorophenyl, 3,5-dichlorophenyl, N-acylbenzohydrazide, N-acyl-N'-alkylcarbonyl hydrazine

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 2002/0068838 A1 (DAMASSEY et al.) 06 June 2002 (06.06.2002) para [0001]-[0004],[0051],[0060],[0075],[0076],[0081]-[0091],[0142];pg 12	1-72
Y	WO 2012/004326 A1 (MURATA et al.) 12 January 2012 (12.01.2012) pg 1, ln 1; pg 94, Table 4; pg 100, Table 7 pg 102, ln 9 - pg 105, ln 19	1-72
Y	US 6,013,836 A (HSU et al.) 11 January 2000 (11.01.2000) col 5, ln 39-42; col 45-58, Table; col 139-143, Table XX	1-72
Y	US 2010/0292253 A1 (TRULLINGER et al.) 18 November 2010 (18.11.2010) para [0127], [0417]-[0422], [0506], [0507], [0512]; Scheme XVII; pg 58, Table 1	46-50
Y	US 2011/0160054 A1 (BREUNINGGER et al.) 30 June 2011 (30.06.2011) para [0051], [0052], [0063], [0084], [0104], [0123], [0360], [0362]-[0364]	52

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

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

Date of the actual completion of the international search	Date of mailing of the international search report
22 March 2014 (22.03.2014)	28 APR 2014

Name and mailing address of the ISA/US	Authorized officer:
Mail Stop PCT, Attn: ISA/US, Commissioner for Patents P.O. Box 1450, Alexandria, Virginia 22313-1450 Facsimile No. 571-273-3201	Lee W. Young Helpdesk: 571-272-4300 PCT OSP: 571-272-7774