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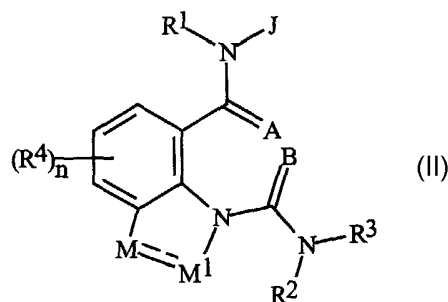
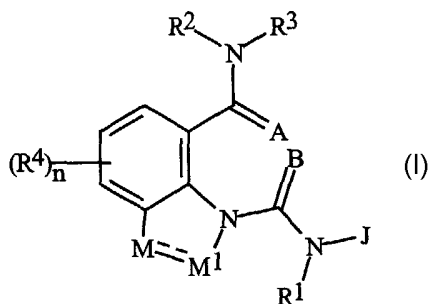
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(54) Title: INSECTICIDAL AMIDES WITH NITROGEN-CONTAINING BENZO-FUSED BICYCLIC RING SYSTEMS



(57) Abstract: Disclosed are compounds of Formula I and compounds of Formula II (including all geometric and stereoisomers), N-oxides thereof and salts thereof, certain compositions comprising the compounds of Formula I and/or the compounds of Formula II and certain uses of those compounds and compositions for controlling invertebrate pests INSERT FORMULA I AND II HERE wherein A, B, J, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, M, M<sub>1</sub> and n are as defined in the disclosure.

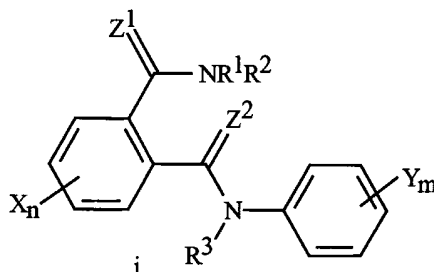
TITLEINSECTICIDAL AMIDES WITH NITROGEN-CONTAINING BENZO-FUSED  
BICYCLIC RING SYSTEMSFIELD OF THE INVENTION

5 This invention relates to certain indoline carboxamides and thiamides, their *N*-oxides, suitable salts and compositions, and a method of their use for controlling invertebrate pests in both agronomic and nonagronomic environments.

BACKGROUND OF THE INVENTION

The control of invertebrate pests is extremely important in achieving high crop  
 10 efficiency. Damage by invertebrate pests to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of invertebrate pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need  
 15 continues for new compounds that are more effective, less costly, less toxic, environmentally safer or have different modes of action.

EP919542 discloses phthalic diamides of Formula i as insecticides

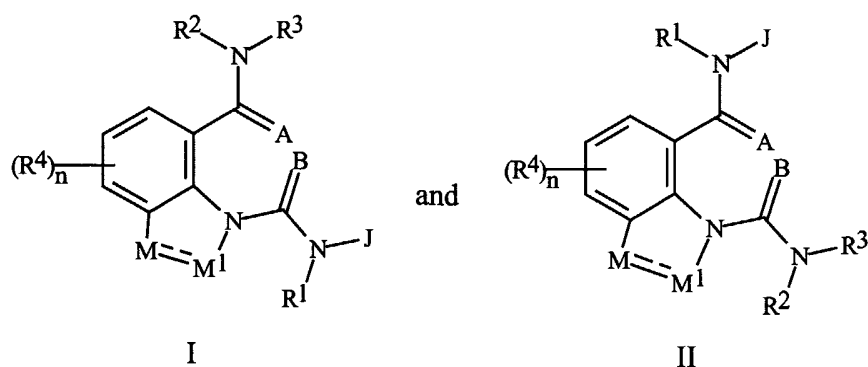


wherein, among others,  $Z^1$  and  $Z^2$  are O or S; and  $R^1$ ,  $R^2$  and  $R^3$  are, among others, H, alkyl  
 20 or substituted alkyl.

WO 96/23783 and US 5514690 disclose indoline carboxamide derivatives as  
 pharmaceutical agents.

SUMMARY OF THE INVENTION

This invention is related to compounds of Formula I and compounds of Formula II  
 25 including all geometric and stereoisomers, *N*-oxides and salts thereof:



wherein

each J is independently a phenyl ring or a 5- or 6-membered heteroaromatic ring, each ring substituted with 1 to 4 R<sup>5</sup>;

5      A and B are independently O or S;

n is 0, 1, 2 or 3:

R<sup>1</sup> is H, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

10 R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino and C<sub>3</sub>-C<sub>6</sub> cycloalkylamino;

15 R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl or C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl;

 $R^3$  is H; or

20 R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl; or

R<sup>2</sup> and R<sup>3</sup> can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C<sub>1</sub>-C<sub>2</sub> alkyl, halogen, CN, NO<sub>2</sub> and C<sub>1</sub>-C<sub>2</sub> alkoxy; and

each R<sup>4</sup> and each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, CO<sub>2</sub>H, CONH<sub>2</sub>, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>

haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl, or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or each R<sup>4</sup> and each R<sup>5</sup> is independently a phenyl, benzyl, phenoxy, or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-;

M and M<sup>1</sup> are each independently CR<sup>6</sup>R<sup>7</sup>, NR<sup>8</sup>, O or S when the bond between M and M<sup>1</sup> is a single bond; and are each independently CR<sup>6</sup> or N when the bond between M and M<sup>1</sup> is an aromatic bond;

each R<sup>6</sup> and each R<sup>7</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, halogen, CN, C<sub>1</sub>-C<sub>4</sub> haloalkyl or C<sub>1</sub>-C<sub>4</sub> alkoxy; and

each R<sup>8</sup> is independently H or C<sub>1</sub>-C<sub>4</sub> alkyl.

This invention provides a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof, and a compound of Formula II, an *N*-oxide or a salt thereof (e.g., as a composition described herein).

Of note is a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula I or a compound of Formula II (e.g., as a composition described herein).

This invention also provides a composition comprising at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof, and a compound of Formula II, an *N*-oxide or a salt thereof; and at least one other biologically active compound or agent; and provides a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of said composition.

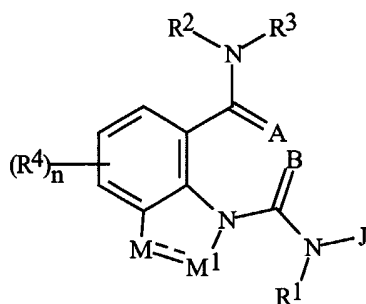
Of note is a composition for controlling an invertebrate pest comprising (1) at least one compound selected from the group consisting of compounds of Formula I and compounds of Formula II, and (2) at least one additional compound or agent for controlling invertebrate

pests; and provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment a biologically effective amount of said composition.

This invention also provides a compound of Formula II, an *N*-oxide or a salt thereof; a composition which comprises at least one compound of Formula II, an *N*-oxide or salt thereof; and at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent; and a method for controlling at least one invertebrate pest which comprises contacting the invertebrate pest or its environment with a biologically effective amount of said compound(s) or with a biologically effective amount of said composition.

Of note are compounds of Formula II: compositions for controlling an invertebrate pest which comprise at least one compound of Formula II and at least one additional component selected from the group consisting of surfactants, solid diluents, liquid diluents and other biologically active compounds and agents; and methods for controlling an invertebrate pest which comprise contacting the invertebrate pest or its environment a biologically effective amount of said compounds or said compositions.

Of note are compounds of Formula Ic



Ic

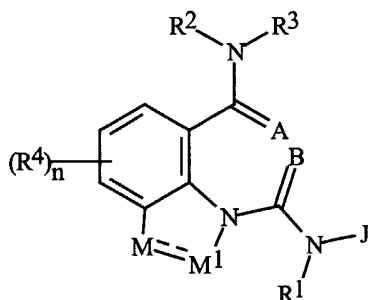
wherein

M and M<sup>1</sup> are each independently CR<sup>6</sup>R<sup>7</sup>, NR<sup>8</sup>, O or S when the bond between M and M<sup>1</sup> is a single bond, provided that M and M<sup>1</sup> are not both CR<sup>6</sup>R<sup>7</sup>; and are each independently CR<sup>6</sup> or N when the bond between M and M<sup>1</sup> is an aromatic bond; and

A, B, J, R<sup>1</sup> through R<sup>4</sup>, R<sup>6</sup> through R<sup>8</sup> and n are defined as above.

5

This invention also provides compounds of Formula Id



Id

wherein each  $R^4$  and each  $R^5$  is independently H,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_1$ - $C_6$  haloalkyl,  $C_2$ - $C_6$  haloalkenyl,  $C_2$ - $C_6$  haloalkynyl,  $C_3$ - $C_6$  halocycloalkyl, halogen, CN,  $CO_2H$ ,  $CONH_2$ ,  $NO_2$ , hydroxy,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  haloalkoxy,  $C_1$ - $C_4$  alkylthio,  $C_1$ - $C_4$  alkylsulfinyl,  $C_1$ - $C_4$  alkylsulfonyl,  $C_1$ - $C_4$  haloalkylthio,  $C_1$ - $C_4$  haloalkylsulfinyl,  $C_1$ - $C_4$  haloalkylsulfonyl,  $C_1$ - $C_4$  alkylamino,  $C_2$ - $C_8$  dialkylamino,  $C_3$ - $C_6$  cycloalkylamino,  $C_2$ - $C_6$  alkylcarbonyl,  $C_2$ - $C_6$  alkoxy carbonyl,  $C_2$ - $C_6$  alkylaminocarbonyl,  $C_3$ - $C_8$  dialkylaminocarbonyl, or  $C_3$ - $C_6$  trialkylsilyl; or each  $R^5$  is independently a phenyl, benzyl, phenoxy, or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_1$ - $C_4$  haloalkyl,  $C_2$ - $C_4$  haloalkenyl,  $C_2$ - $C_4$  haloalkynyl,  $C_3$ - $C_6$  halocycloalkyl, halogen, CN,  $NO_2$ ,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  haloalkoxy,  $C_1$ - $C_4$  alkylthio,  $C_1$ - $C_4$  alkylsulfinyl,  $C_1$ - $C_4$  alkylsulfonyl,  $C_1$ - $C_4$  alkylamino,  $C_2$ - $C_8$  dialkylamino,  $C_3$ - $C_6$  cycloalkylamino,  $C_3$ - $C_6$  (alkyl)cycloalkylamino,  $C_2$ - $C_4$  alkylcarbonyl,  $C_2$ - $C_6$  alkoxy carbonyl,  $C_2$ - $C_6$  alkylaminocarbonyl,  $C_3$ - $C_8$  dialkylaminocarbonyl or  $C_3$ - $C_6$  trialkylsilyl; or

two  $R^5$  groups when attached to adjacent carbon atoms can be taken together as  $-OCF_2O-$ ,  $-CF_2CF_2O-$  or  $-OCF_2CF_2O-$ ; and

A, B, J,  $R^1$  through  $R^3$ ,  $R^6$  through  $R^8$  and n are defined as above.

This invention also provides a composition which comprises at least one compound of Formula Id, an *N*-oxide or a salt thereof; and at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent; and a method for controlling at least one invertebrate pest which comprises contacting the invertebrate pest or its environment with a biologically effective amount of said compound(s) or with a biologically effective amount of said composition.

### DETAILS OF THE INVENTION

In the above recitations, the term “alkyl”, used either alone or in compound words such as “alkylthio” or “haloalkyl” includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. “Alkenyl” includes straight-chain or branched alkenes such as 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. “Alkenyl” also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. “Alkynyl” includes straight-chain or branched alkynes such as 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. “Alkynyl” can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl.

“Alkoxy” includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. “Alkylthio” includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. “Alkylsulfinyl” includes both enantiomers of an alkylsulfinyl group. Examples of “alkylsulfinyl” include  $\text{CH}_3\text{S}(\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{S}(\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$ ,  $(\text{CH}_3)_2\text{CHS}(\text{O})$  and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of “alkylsulfonyl” include  $\text{CH}_3\text{S}(\text{O})_2$ ,  $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$ ,  $(\text{CH}_3)_2\text{CHS}(\text{O})_2$  and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. “Alkylamino” includes branched or straight-chain alkylamino moieties such as methylamino, ethylamino, and the different propylamino, butylamino, pentylamino and hexylamino isomers. Examples of “alkylcarbonyl” include  $\text{C}(\text{O})\text{CH}_3$ ,  $\text{C}(\text{O})\text{CH}_2\text{CH}_2\text{CH}_3$  and  $\text{C}(\text{O})\text{CH}(\text{CH}_3)_2$ . Examples of “alkoxycarbonyl” include  $\text{CH}_3\text{OC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{OC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(=\text{O})$ ,  $(\text{CH}_3)_2\text{CHOC}(=\text{O})$  and the different butoxy- or pentoxy carbonyl isomers. Examples of “alkylaminocarbonyl” include  $\text{CH}_3\text{NHC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{NHC}(=\text{O})$ ,  $\text{CH}_3\text{CH}_2\text{CH}_2\text{NHC}(=\text{O})$ ,  $(\text{CH}_3)_2\text{CHNHC}(=\text{O})$  and the different butylamino- or pentylaminocarbonyl isomers. The term “dialkylamino” includes amino functions substituted with two alkyl groups that may be the same or different. “Dialkylaminocarbonyl” is defined analogously, and examples include  $(\text{CH}_3)_2\text{NC}(=\text{O})$  and  $\text{CH}_3\text{CH}_2\text{NCH}_2\text{C}(=\text{O})$ .

The term “cycloalkyl”, used either alone or in compound words such as “cycloalkylamino” or “halocycloalkyl” includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term “heteroaromatic ring” denotes fully aromatic rings in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs (where aromatic indicates that the Hückel rule is satisfied). The heterocyclic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen.

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

The combination of a broken line and an unbroken line between M and M<sup>1</sup> as shown in Formula I and Formula II designates that the bond between M and M<sup>1</sup> can be either a single bond or an aromatic bond. When the bond between M and M<sup>1</sup> is an aromatic bond then M, M<sup>1</sup> and the bond between them form a portion of an aromatic ring. For example, when the bond between M and M<sup>1</sup> is a single bond and M and M<sup>1</sup> are both CR<sup>6</sup>R<sup>7</sup>, then M and M<sup>1</sup> are both within an indoline ring system; and when the bond between M and M<sup>1</sup> is an aromatic bond and M and M<sup>1</sup> are both CR<sup>6</sup>, then M and M<sup>1</sup> are both within an indole ring system.

The total number of carbon atoms in a substituent group is indicated by the "C<sub>i</sub>-C<sub>j</sub>" prefix where i and j are numbers from 1 to 8. For example, C<sub>1</sub>-C<sub>3</sub> alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C<sub>2</sub> alkoxyalkyl designates CH<sub>3</sub>OCH<sub>2</sub>; C<sub>3</sub> alkoxyalkyl designates, for example, CH<sub>3</sub>CH(OCH<sub>3</sub>), CH<sub>3</sub>OCH<sub>2</sub>CH<sub>2</sub> or CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>; and C<sub>4</sub> alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub> and CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>. In the above recitations, when a compound of Formula 1 contains a heteroaromatic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R<sup>3</sup>, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted. When the number of optional substituents on a group is 0, for example when n is 0, then it is recognized that this is equivalent to said group being unsubstituted. When a bond is depicted as floating, the substituent may be attached to any of the available carbons on the ring by replacement of hydrogen. When R<sup>2</sup> and R<sup>3</sup> are taken together with the nitrogen to which they are attached to form a ring, said ring can be optionally substituted on any available carbon or optionally nitrogen in said ring.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when  
5 separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. The compounds of the invention can be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The present invention involves compounds selected from Formula I or Formula II, *N*-oxides and salts thereof. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of  
15 heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the  
20 literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-19, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 139-151, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds.,  
25 Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The salts of the compounds of the invention include acid-addition salts with inorganic  
30 or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. In the compositions and methods of this invention, the salts of the compounds of the invention are preferably suitable for the agronomic and/or non-agronomic uses described herein.

35 As noted above, this invention includes a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of at least one of a compound selected from the group consisting a compound of Formula I, an *N*-oxide or a salt thereof, and a compound of

Formula II, an *N*-oxide or salt thereof. Preferred methods for reasons including greater control of invertebrate pests and/or ease of compound synthesis are:

Preferred 1. The method comprising applying a biologically effective amount of a compound of Formula I wherein

A and B are both O;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; and

n is 0, 1 or 2.

Preferred 2. The method comprising applying a biologically effective amount of a compound of Formula II wherein

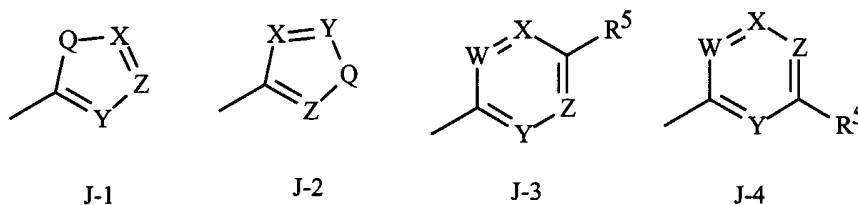
A and B are both O;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; and

n is 0, 1 or 2.

Preferred 3. The method of Preferred 1 or Preferred 2 comprising applying a biologically effective amount of a compound of Formula I or a compound of Formula II wherein

J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4



Q is O, S or NR<sup>5</sup>;

W, X, Y and Z are independently N or CR<sup>5</sup>, provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl;

each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl or C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

each R<sup>5</sup> is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

Preferred 4. The method of Preferred 3 comprising applying a biologically effective amount of a compound of Formula I wherein

R<sup>1</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>2</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with halogen, CN, OCH<sub>3</sub>, or S(O)<sub>p</sub>CH<sub>3</sub>;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or a phenyl, benzyl, or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

provided that at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety; and

p is 0, 1 or 2.

Preferred 5. The method of Preferred 4 comprising applying a biologically effective amount of a compound of Formula I wherein

J is a substituted phenyl, a substituted pyrazole, a substituted pyrrole, a substituted pyridine or a substituted pyrimidine.

Preferred 6. The method of Preferred 5 comprising applying a biologically effective amount of a compound of Formula I wherein

$R^1$  and  $R^2$  are each H.

Preferred 7. The method of Preferred 3 comprising applying a biologically effective amount of a compound of Formula II wherein

$R^2$  is H;

$R^3$  is  $C_1$ - $C_4$  alkyl; and

at least one  $R^5$  is other than H and is attached to J at the position *ortho* to the  $N(R^1)C(=B)$  moiety.

As noted above, this invention also provides compounds of Formula Id. Preferred compounds for ease of synthesis and/or greater control of invertebrate pests are:

Preferred 8. Compounds of Formula Id wherein

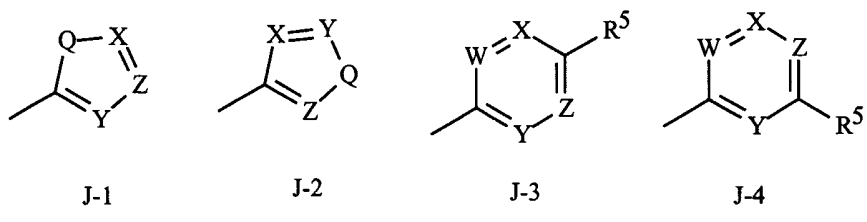
A and B are both O;

$R^1$  is H,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_2$ - $C_6$  alkylcarbonyl or  $C_2$ - $C_6$  alkoxy carbonyl;

n is 0, 1 or 2.

Preferred 9. Compounds of Preferred 8 wherein

J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4



Q is O, S or  $NR^5$ ;

W, X, Y and Z are independently N or  $CR^5$ , provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;

$R^2$  is H,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_2$ - $C_6$  alkylcarbonyl or  $C_2$ - $C_6$  alkoxy carbonyl;

$R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl or  $C_3$ - $C_6$  cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN,  $C_1$ - $C_2$  alkoxy,  $C_1$ - $C_2$  alkylthio,  $C_1$ - $C_2$  alkylsulfinyl and  $C_1$ - $C_2$  alkylsulfonyl;

each  $R^4$  is independently  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl, halogen, CN,  $NO_2$ ,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  haloalkoxy,  $C_1$ - $C_4$  alkylthio,  $C_1$ - $C_4$  alkylsulfinyl,  $C_1$ - $C_4$  alkylsulfonyl,  $C_1$ - $C_4$  haloalkylthio,  $C_1$ - $C_4$  haloalkylsulfinyl or  $C_1$ - $C_4$  haloalkylsulfonyl;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

each R<sup>5</sup> is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

Preferred 10. Compounds of Preferred 9 wherein

R<sup>1</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>2</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with halogen, CN, OCH<sub>3</sub>, or S(O)<sub>p</sub>CH<sub>3</sub>;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or a phenyl, benzyl, or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

provided that at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety; and

p is 0, 1 or 2.

Preferred 11. Compounds of Preferred 10 wherein

J is a substituted phenyl, a substituted pyrazole, a substituted pyrrole, a substituted pyridine or a substituted pyrimidine.

Preferred 12. Compounds of Preferred 11 wherein R<sup>1</sup> and R<sup>2</sup> are each H.

Of note are compounds of Formula Ic. Preferred compounds of Formula Ic for ease of synthesis and/or greater control of invertebrate pests are:

Preferred 8a. Compounds of Formula Ic wherein

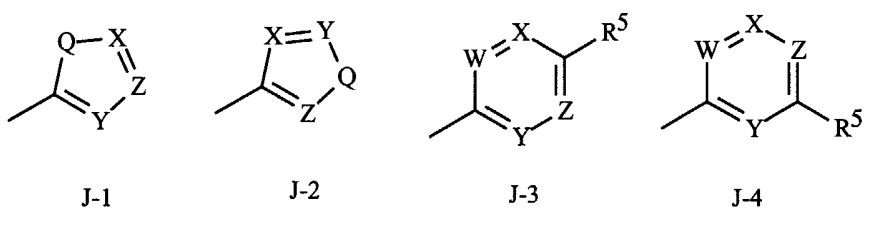
A and B are both O;

5  $R^1$  is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; and

n is 0, 1 or 2.

Preferred 9a. Compounds of Preferred 8a wherein

10 J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4



Q is O, S or NR<sup>5</sup>;

W, X, Y and Z are independently N or CR<sup>5</sup>, provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;

15  $R^2$  is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl;

$R^3$  is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl;

20 each  $R^4$  is independently C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl or C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl;

25 each  $R^5$  is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

30 each  $R^5$  is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen,

5 CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

Preferred 10a. Compounds of Preferred 9a wherein

10 R<sup>1</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;  
 R<sup>2</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;  
 R<sup>3</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with halogen, CN, OCH<sub>3</sub>, or S(O)<sub>p</sub>CH<sub>3</sub>;  
 15 each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or a phenyl, benzyl, or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with halogen, CN,  
 20 NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;  
 provided that at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety; and  
 p is 0, 1 or 2.

25 Preferred 11a. Compounds of Preferred 10a wherein

J is a substituted phenyl, a substituted pyrazole, a substituted pyrrole, a substituted pyridine or a substituted pyrimidine.

Preferred 12a. Compounds of Preferred 11a wherein R<sup>1</sup> and R<sup>2</sup> are each H.

30 As noted above, this invention also provides compounds of Formula II. Preferred compounds for ease of synthesis and/or greater control of invertebrate pests are:

Preferred 13. Compounds of Formula II wherein

A and B are both O;

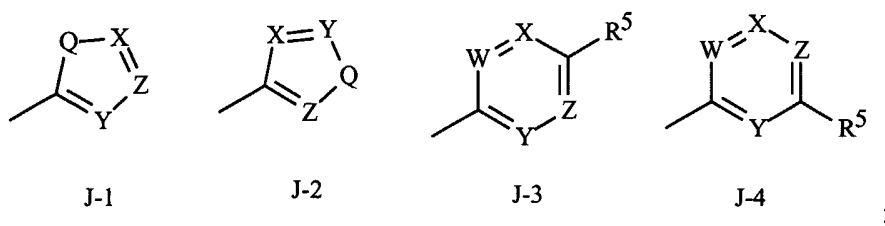
R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; and

35 n is 0, 1 or 2.

Preferred 14. Compounds of Preferred 13 wherein

J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4

15



Q is O, S or NR<sup>5</sup>;

W, X, Y and Z are independently N or CR<sup>5</sup>, provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl;

each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl or C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

each R<sup>5</sup> is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

Preferred 15. Compounds of Formula II of Preferred 14 wherein

R<sup>2</sup> is H;

R<sup>3</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl; and

at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety.

This invention also provides a composition comprising at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof, and a compound of Formula II, an *N*-oxide or a salt thereof; and at least one other biologically active compound or agent; and also provides a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of said composition. Preferred compositions include compositions wherein the at least one compound of Formula I, an *N*-oxide or a salt thereof and/or Formula II, an *N*-oxide or a salt thereof is selected from the compounds in Preferred 1 through 7. Also preferred is a composition further comprising an additional component selected from the group consisting of a surfactant, a solid diluent, and a liquid diluent.

Of note are compositions for controlling an invertebrate pest comprising (1) at least one compound selected from the group consisting of compounds of Formula I and compounds of Formula II, and (2) at least one additional compound or agent for controlling invertebrate pests; and also provides a method for controlling an invertebrate pest comprising the invertebrate pest or its environment a biologically effective amount of said composition. Preferred compositions include compositions wherein component (1) is selected from compounds(s) of Formula I and/or Formula II as preferred for the preferred method in Preferred 1 through 7.

This invention also provides a composition comprising at least one compound of Formula II, an *N*-oxide or a salt thereof; and at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent; and also provides a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of said compound(s) of Formula II, its *N*-oxides and salts thereof or with a biologically effective amount of said composition. Preferred compositions and methods include compositions and methods wherein the at least one compound of Formula II, an *N*-oxides or a salt thereof, is selected from the compounds in Preferred 13 through 15.

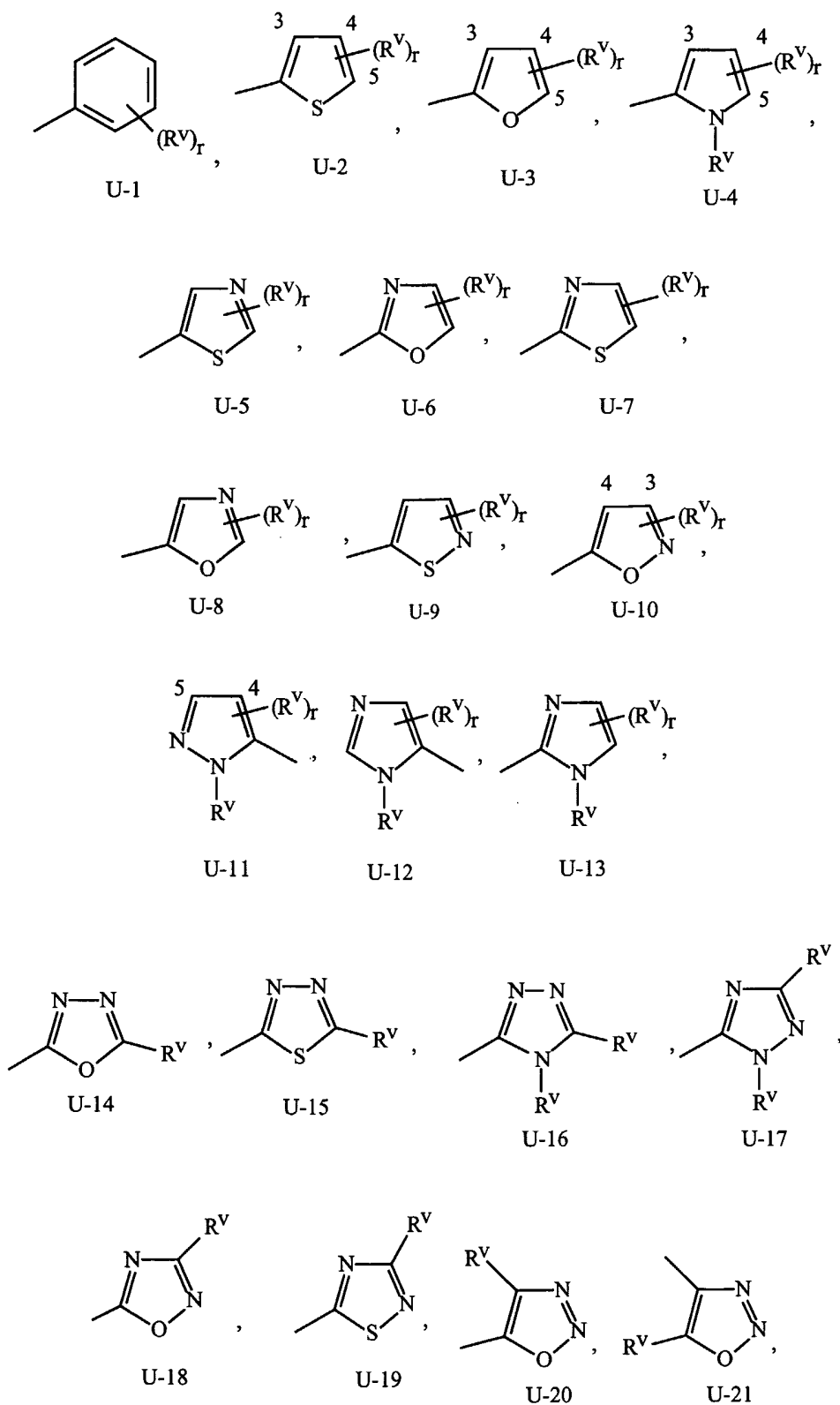
Of note is a composition for controlling an invertebrate pest comprising at least one compound of Formula II and at least one additional component selected from the group consisting of surfactants, solid diluents, liquid diluents and other biologically active compounds and agents; and also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment a biologically effective amount of said composition. Preferred compositions include compositions wherein the compound(s) of Formula II, are selected from the compounds preferred in Preferred 13 through 15.

This invention also provides a composition comprising at least one compound of Formula Id, an *N*-oxide or a salt thereof; and at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent; and also provides a method for controlling at least one invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of said compound(s) or with a biologically effective amount of said composition. Preferred compositions and methods include compositions and methods wherein the at least one compound of Formula Id, a *N*-oxide or a salt thereof, is selected from the compounds in Preferred 8 through 12.

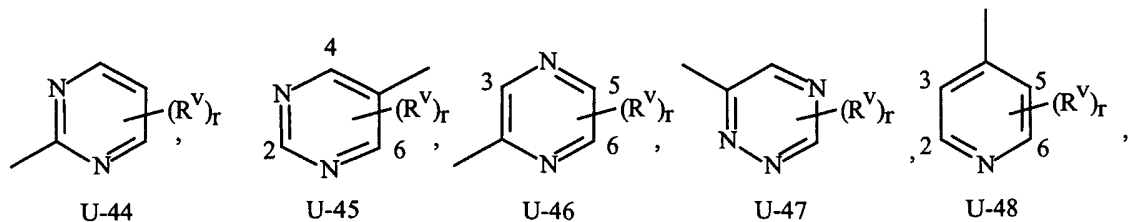
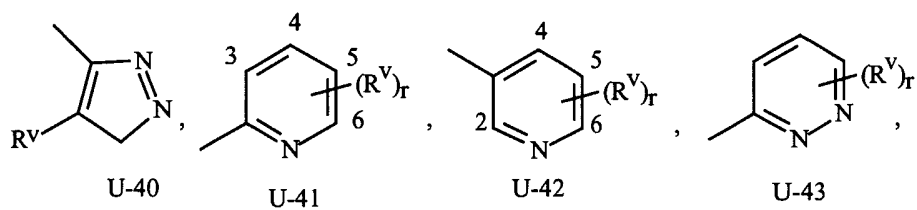
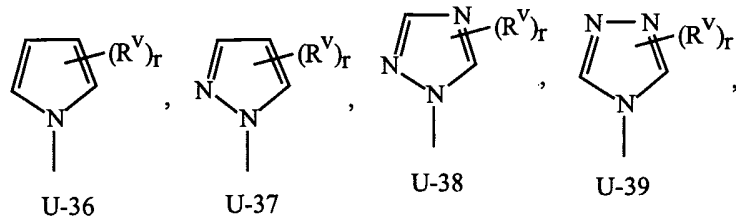
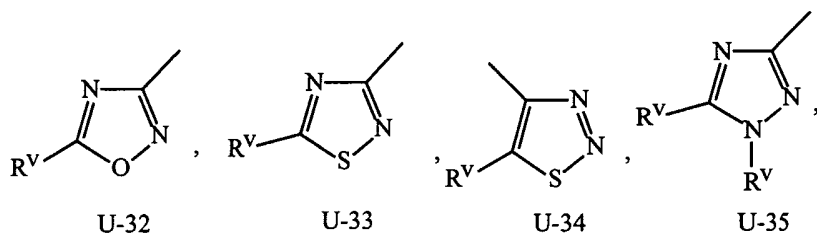
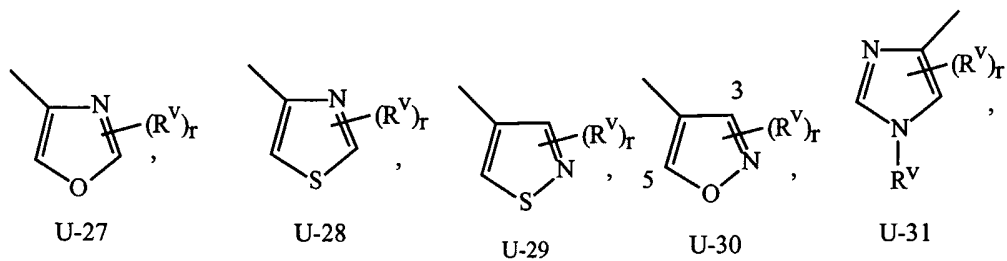
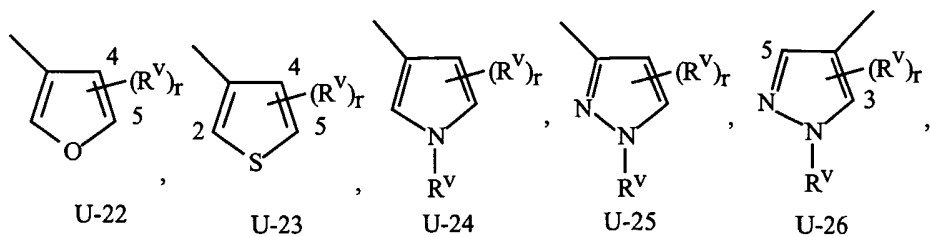
Of note is a composition for controlling an invertebrate pest comprising at least one compound of Formula Ic and at least one additional component selected from the group consisting of surfactants, solid diluents, liquid diluents and other biologically active compounds or agents; and also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment a biologically effective amount of said composition. Preferred compositions include compositions wherein the compound(s) of Formula Ic are selected from the compounds preferred in Preferred 8a through 12a.

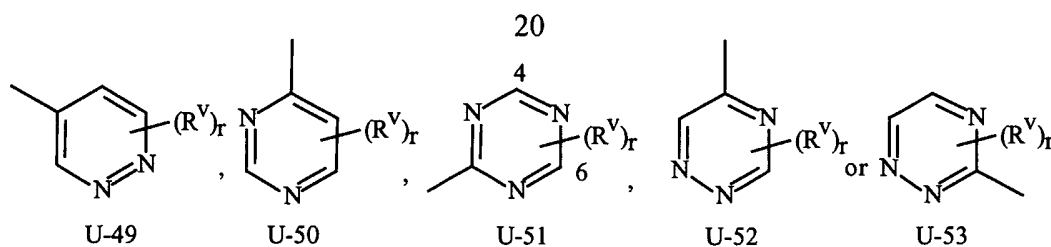
As noted above, each J is independently a phenyl ring or a 5- or 6-membered heteroaromatic ring wherein each ring is substituted with 1 to 4  $R^5$ . An example of phenyl substituted with 1 to 4  $R^5$  is the ring illustrated as U-1 in Exhibit 1, wherein  $R^v$  is  $R^5$  and *r* is an integer from 1 to 4. Examples of 5- or 6-membered heteroaromatic rings optionally substituted with 1 to 4  $R^5$  include the rings U-2 through U-53 illustrated in Exhibit 1 wherein  $R^v$  is  $R^5$  and *r* is an integer from 1 to 4. Note that J-1 through J-4 above also denote 5- or 6-membered heteroaromatic rings. Note that U-2 through U-20 are examples of J-1, U-21 through U-35 and U-40 are examples of J-2, U-41 through U-48 are examples of J-3 and U-49 through U-53 are examples of J-4.

Note that when  $R^v$  is H when attached to an atom, this is the same as if said atom is unsubstituted. The nitrogen atoms that require substitution to fill their valence are substituted with H or  $R^v$ . Note that some U groups can only be substituted with less than 4  $R^v$  groups (e.g. U-14, U-15, U-18 through U-21 and U-32 through U-34 can only be substituted with one  $R^v$ ). Note that when the attachment point between  $(R^v)_r$  and the U group is illustrated as floating,  $(R^v)_r$  can be attached to any available carbon atom of the U group.

Exhibit 1

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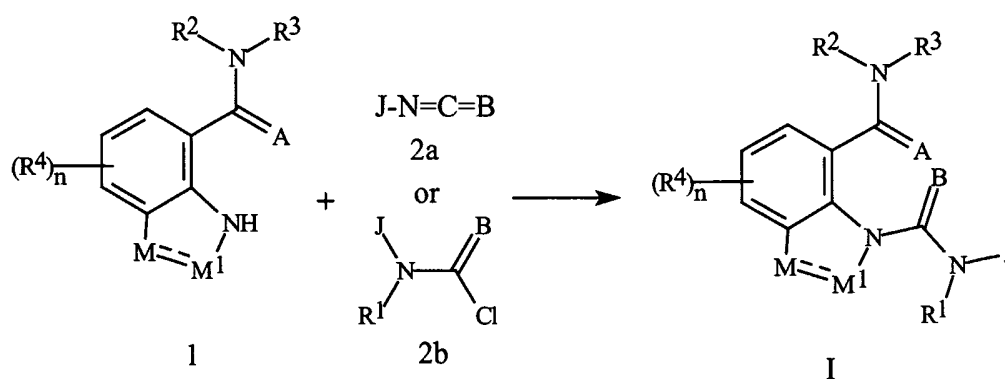


The compounds of Formula I and Formula II can be prepared by one or more of the following methods and variations as described in Schemes 1-11. The definitions of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , A, B, M,  $M^1$  and n in the compounds described in the Schemes below are as defined above in the Summary of the Invention or their subsets unless otherwise indicated.

5 Compounds of Formulae Ia-d are subsets of the compounds of Formula I.

As illustrated in Scheme 1, compounds of Formula I can be prepared by coupling compounds of Formula 1 with either iso(thio)cyanates of Formula 2a or (thio)carbamyl chlorides of Formula 2b. In the case of the (thio)carbamyl chloride reaction the presence of an acid acceptor is advantageous. Tertiary amines and alkali (such as lithium, sodium or potassium) carbonates and acetates are preferred as acid acceptors. Triethylamine is especially preferred. The reaction can be carried out at temperatures in the range of  $-30$  to  $120$  °C. The reaction can be carried out in a variety of aprotic solvents which do not react with iso(thio)cyanates and (thio)carbamyl chlorides. Preferred solvents include, for example but not limited to, dichloromethane, toluene, ether, ethyl acetate and chloroform. General synthetic methods to prepare iso(thio)cyanates and (thio)carbamyl chlorides from their amine precursors can be found in WO 01/56962, EP 1006102 and EP 919542. The said amine precursors can be prepared by methods disclosed in WO 02/32856.

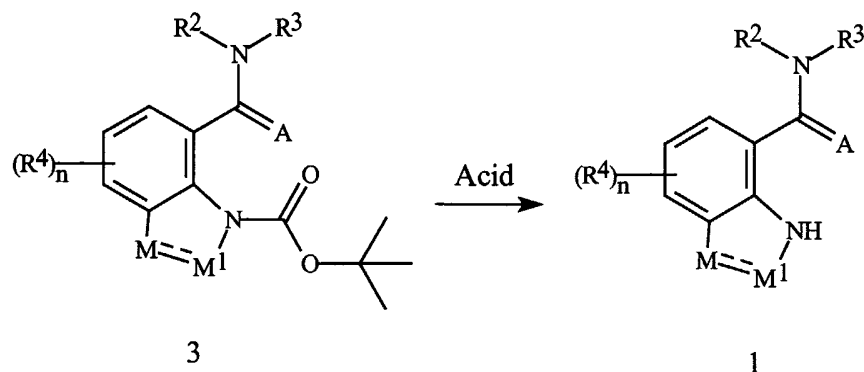
Scheme 1



20 Compounds of Formula 1 can be synthesized by removal of the BOC (i.e. *t*-BuOOC) group found in compounds of Formula 3 as shown in Scheme 2. Reaction of a compound of Formula 3 with an acid in the presence of a suitable solvent produces a compound of Formula 1. A wide variety of acids can be used for the deprotection, including, for example but not limited to, hydrochloric acid, hydrobromic acid, triflic acid, trifluoroacetic acid, acetic acid, and methanesulfonic acid. Suitable solvents include both aqueous and organic

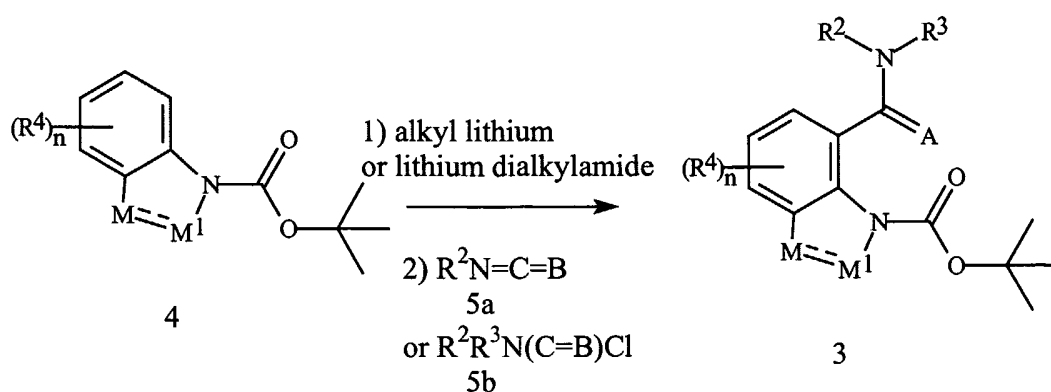
solvents. A preferred combination of acid and solvent is trifluoroacetic acid in dichloromethane.

Scheme 2



- 5 Compounds of Formula 3 can be prepared by lithiation of compounds of Formula 4 followed by quenching with either iso(thio)cyanates of Formula 5a or (thio)carbamyl chlorides of Formula 5b as shown in Scheme 3 (using iso(thio)cyanates of Formula 5a, R<sup>3</sup> in the product of Formula 3 is H). The lithiation can be carried out with an alkyl lithium reagent or a lithium dialkylamide reagent at temperatures in the range of -100 to 0 °C. The preferred conditions involve the use of *t*-butyllithium in ether at -78 °C. After addition of iso(thio)cyanates or (thio)carbamyl chlorides the reaction is allowed to warm to room temperature or is heated to temperatures between 20 and 120 °C. For a leading reference to the lithiation of indolines (M and M<sup>1</sup> are independently CR<sup>6</sup>R<sup>7</sup> and the bond between M and M<sup>1</sup> is a single bond) see, Iwao and Kuraishi, *Heterocycles*, **1992**, 34, 1031-1038.
- 10
- 15 Iso(thio)cyanates of Formula 5a and (thio)carbamyl chlorides of Formula 5b are commercially available, well known in the chemical literature or can be made by general methods known in the chemical literature.

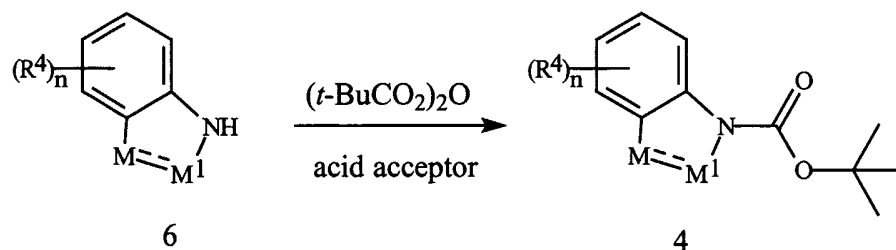
Scheme 3



- 20 As shown in Scheme 4, a compound of Formula 4 can be prepared by reaction of a heterocycle of Formula 6 with di-*tert*-butyl dicarbonate. The reaction can be carried out at temperatures in the range of -70 to 120 °C in the presence of an acid acceptor. Tertiary

amines and alkali (such as lithium, sodium or potassium) carbonates, hydroxides and acetates are preferred as acid acceptors. Triethylamine is especially preferred. The reaction is generally conducted in a solvent, such as dichloromethane, toluene, ether, ethyl acetate and chloroform.

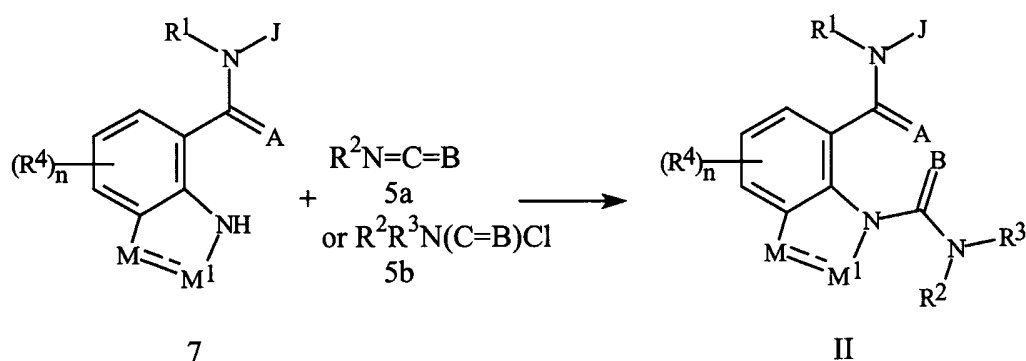
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Scheme 4

Compounds of Formula II can be prepared by reaction of compounds of Formula 7 with either iso(thio)cyanates of Formula 5a or (thio)carbamyl chlorides of Formula 5b as shown in Scheme 5. In the case of the (thio)carbamyl chloride reaction, the presence of an acid acceptor is advantageous. Tertiary amines and alkali (such as lithium, sodium or potassium) carbonates and acetates are preferred as acid acceptors. Triethylamine is especially preferred. The reaction can be carried out at temperatures in the range of  $-30$  to  $120$  °C. The reaction can be carried out in a variety of aprotic solvents which do not react with iso(thio)cyanates and (thio)carbamyl chlorides. Preferred solvents include, for example, dichloromethane, toluene, ether, ethyl acetate and chloroform.

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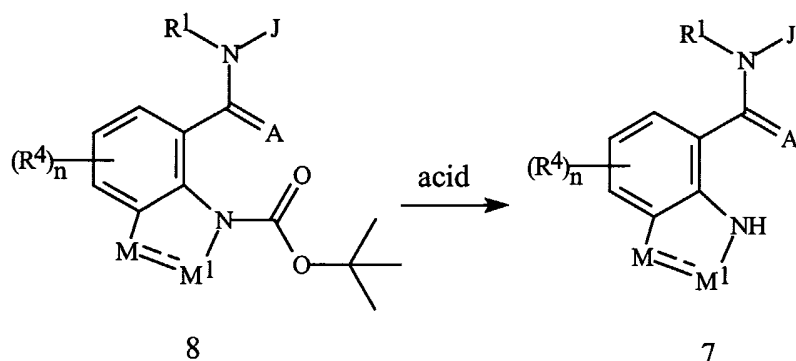
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Scheme 5

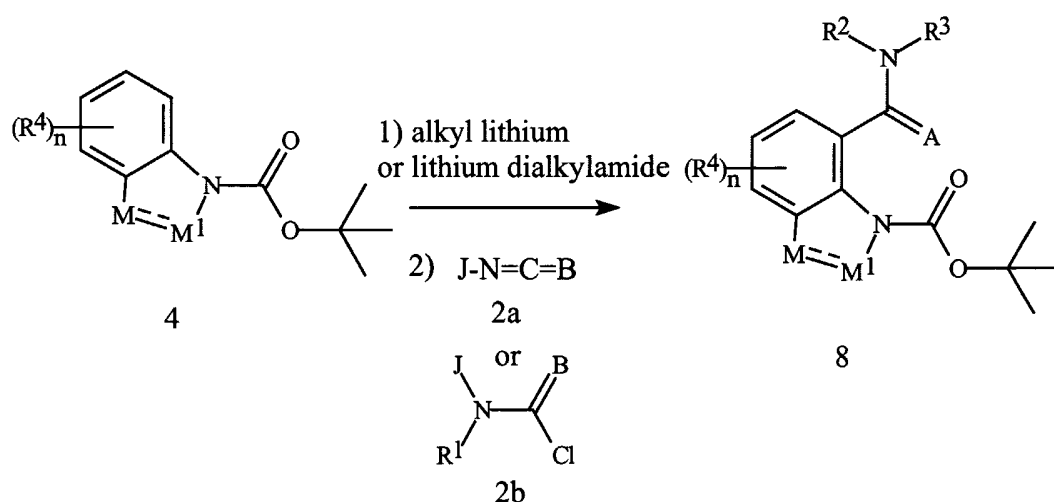
Compounds of Formula 7 can be prepared by removal the BOC (i.e.  $t\text{-BuOOC}$ ) group found in compounds of Formula 8 as shown in Scheme 6. The reaction conditions for this deprotection are already described for the conversion of the compounds of Formula 3 to the compounds of Formula 1 in Scheme 2.

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Scheme 6

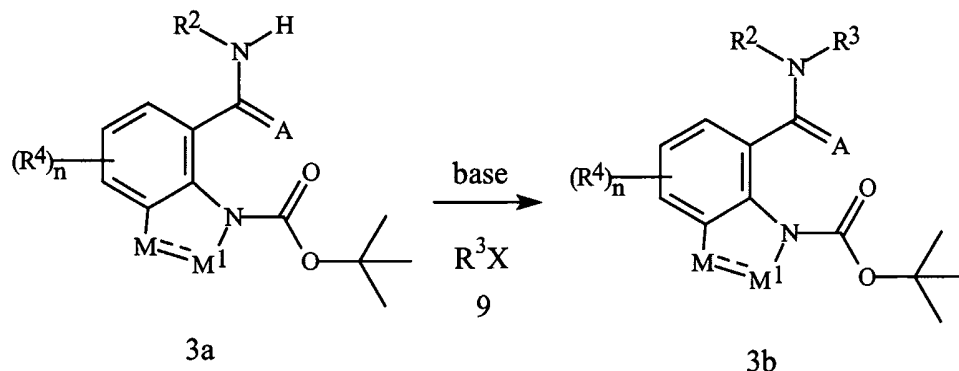
Compounds of Formula 8 can be prepared by lithiation of compounds of Formula 4 followed by quenching with either iso(thio)cyanates of Formula 2a or (thio)carbamyl chlorides of Formula 2b as shown in Scheme 7. The reaction conditions for this conversion are already described for the conversion of the compounds of Formula 4 to the compounds of Formula 3 in Scheme 3.

Scheme 7

As shown in Scheme 8, alkylation of a compound of Formula 3a with an alkylating agent of Formula 9 in the presence of a base will provide a compound of Formula 3b wherein  $R^3$  is other than H. Compounds of Formula 3a are a subset of compounds of Formula 3 wherein  $R^3$  is H. Compounds of Formula 3b are also a subset of compounds of Formula 3 wherein  $R^3$  is other than H. In the alkylating agent of Formula 9, X is a leaving group such as halogen (e.g., Br, I),  $\text{OS(O)}_2\text{CH}_3$  (methanesulfonate),  $\text{OS(O)}_2\text{CF}_3$ ,  $\text{OS(O)}_2\text{Ph}$ , *p*-CH<sub>3</sub> (*p*-toluenesulfonate), and the like. Examples of suitable bases include alkali (such as lithium, sodium or potassium) metal hydroxides, carbonates, hydrides and alkoxides. The reaction is generally conducted in a suitable solvent such as tetrahydrofuran, dioxane, dimethylsulfoxide and *N,N*-dimethylacetamide. Other examples of suitable solvents, particularly useful when alkali alkoxides are used as bases, include alcohols such as

methanol and ethanol. Preferred conditions involve the use of sodium hydride as a base and *N,N*-dimethylformamide as a solvent.

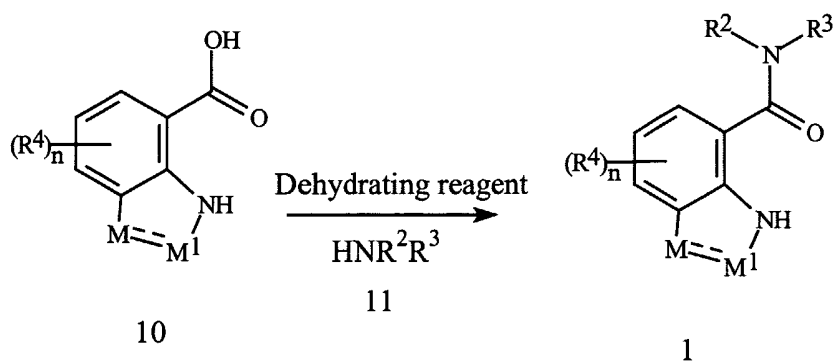
Scheme 8



- 5 As an alternative method to that illustrated in Scheme 2, compounds of Formula 1 can also be prepared by amide formation of compounds of Formula 10 in the presence of an organic dehydrating reagent outlined in Scheme 9. This involves direct dehydrative coupling of a carboxylic acid of Formula 10 with an amine of Formula 11. The dehydrating reagent can be, for example, 1,3-dicyclohexylcarbodiimide (DCC), 1-[3-
- 10 (dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (EDC), carbonyl diimidazole, 2-chloro-N-methylpyridinium iodide (Mukaiyama's reagent), benzotriazol-1-yloxy-tris(dimethylamino)-phosphonium hexafluorophosphate (BOP) and bis(2-oxo-3-oxazolidinyl)phosphinic chloride (BOP chloride). Various polymer-bound versions of these reagents (e.g., polystyrene-supported DCC) can be used. The amide
- 15 formation is generally conducted in the presence of a base such as triethylamine and diisopropylethylamine. The preferred conditions involve the use of BOP chloride as a dehydrating reagent and triethylamine as a base in acetonitrile at temperatures in the range of 0 to 120 °C. This reaction is well known in the art, and a multitude of conditions and methods can be utilized. Benzotriazole carboxylic acids (compounds of Formula 10 wherein
- 20 M and M<sup>1</sup> are both N and the bond between them is an aromatic bond) are known in the art (see Japanese Kokai 11171872; *Can. J. Chem.* **1977**, 55, 1653-1657; and *Arch. Pharm. (Weinheim, Ger.)*, **1989**, 322, 457-459). Benzimidazole carboxylic acids (compounds of Formula 10 wherein M is N and M<sup>1</sup> is CR<sup>6</sup> and the bond between them is an aromatic bond) are also known in the art (see *Can. J. Chem.* **1977**, 55, 1653-1657; *J. Med. Chem.* **1992**, 35,
- 25 4595-601; *Bioorg. Med. Chem. Lett.* **1996**, 6, 1195-1198; and *J. Med. Chem.* **1999**, 42, 5020-5028). Indazole carboxylic acids (compounds of Formula 10 wherein M is CR<sup>6</sup> and M<sup>1</sup> is N and the bond between them is an aromatic bond) are also known in the art (see *J. Org. Chem.* **1980**, 45, 3072-7; and *Heterocycles* **1997**, 45, 1833-1838). Indole carboxylic acids (compounds of Formula 10 wherein M and M<sup>1</sup> are independently CR<sup>6</sup> and the bond

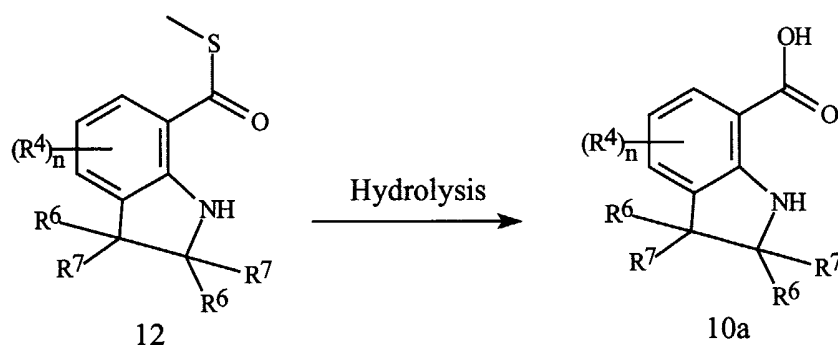
between them is an aromatic bond) are also known in the art (see *J. Med. Chem.* **1996**, *39*, 4692-4703).

### Scheme 9

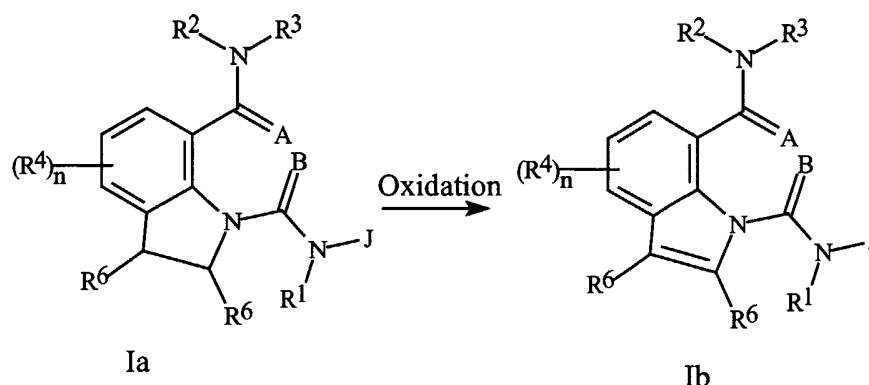


The carboxylic acids of Formula 10a can be prepared by the hydrolysis of thioesters of Formula 12 as shown in Scheme 10. Compounds of Formula 10a is a subset of compounds of Formula 10 where in M and M<sup>1</sup> are independently CR<sup>6</sup>R<sup>7</sup> and the bond between them is a single bond. This hydrolysis can be carried out in C<sub>1</sub>-C<sub>4</sub> alcohols (such as methanol and ethanol) with alkali (such as lithium, sodium and potassium) metal hydroxides. The preferred reaction conditions include the use of potassium or sodium hydroxide as a base and methanol or ethanol as a solvent at temperatures in the range of 20 to 120 °C. Compounds of Formula 12 are known in the art and can be prepared by the Sugawara reaction (Sugawara et. al. *Synthetic Communications*, **1990**, *20*, 71-84).

### Scheme 10



Indolines of Formula Ia can be oxidized to indoles of Formula Ib as depicted in Scheme 11. Oxidation can be accomplished by using reagents such as 2,3-dichloro-5,6-dicyanobenzoquinone (DDQ), chloranil or manganese dioxide. Oxidations of indolines to indoles are generally well known in the art. For leading references see *Tetrahedron Lett.*, **2001**, *42*(41), 7277-7280; and *Tetrahedron Lett.*, **2000**, *41*(35), 6721-6724.

Scheme 11

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I and Formula II may not be compatible with certain functional groups present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I and II. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I and II.

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

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. <sup>1</sup>H NMR spectra are reported in ppm downfield from tetramethylsilane: s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet. m. p. is melting point.

EXAMPLE 1Preparation of 2,3-dihydro-*N*<sup>7</sup>-methyl-*N*<sup>1</sup>-[2-methyl-4-(trifluoromethyl)phenyl]-1*H*-indole-1,7-dicarboxamideStep A: Preparation of 2,3-dihydro-1*H*-indole-7-carboxylic acid

5 To a solution of *S*-methyl 2,3-dihydro-1*H*-indole-7-carbothioate (*Synthetic Communications*, 1990, 20, 71-84, 26.88 g) in ethanol (500 mL) was added sodium hydroxide (50% aqueous solution, 50 mL) and the mixture was heated at reflux for 4 hours. Most of the ethanol was removed under reduced pressure. The residue was partitioned between water (150 mL) and dichloromethane (100 mL). The aqueous layer was acidified to  
10 pH 3 using concentrated hydrochloric acid, whereupon a solid precipitated. The solid was isolated by filtration and allowed to air dry for 2 hours. The dried solid was dissolved in 300 mL of ethyl acetate and dried over magnesium sulfate. The drying agent was removed by filtration and the filtrate was evaporated under reduced pressure to give the title compound (13.7 g) as a solid.

15 <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 3.08 (2H), 3.75 (2H), 6.59 (1H), 7.20 (1H), 7.61 (1H).

Step B: Preparation of 2,3-dihydro-*N*-methyl-1*H*-indole-7-carboxamide

To a solution of 2,3-dihydro-1*H*-indole-7-carboxylic acid (i.e. the product from step A) (1.0 g, 6.1 mmol) in acetonitrile (30 mL) was added sequentially methylamine (Aldrich, 2M in tetrahydrofuran, 6.13 mL), bis(2-oxo-3-oxazolidinyl)phosphinic chloride (i.e. BOP  
20 chloride, Aldrich, 1.56 g, 6.1 mmol), and triethylamine (1.71 mL, 12.3 mmol). The reaction mixture was allowed to stir at 25 °C for 48 hours. The mixture was partitioned between ethyl acetate (100 mL) and water (100 mL). The organic layer was washed with saturated aqueous sodium bicarbonate (50 mL), dried over magnesium sulfate, filtered and concentrated under reduced pressure to give the title compound.

25 <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 2.95 (3H), 3.02 (2H), 3.69 (2H), 6.21 (1H), 6.31 (1H), 6.61 (1H), 7.1 (2H).

Step C: Preparation of 2,3-dihydro-*N*<sup>7</sup>-methyl-*N*<sup>1</sup>-[2-methyl-4-(trifluoromethyl)phenyl]-1*H*-indole-1,7-dicarboxamide

To a solution of 2,3-dihydro-*N*-methyl-1*H*-indole-7-carboxamide (i.e. the product from  
30 Step B) (300 mg) in dichloromethane was added 2-methyl-4-trifluoromethylphenyl isocyanate (0.4 g). After 3 hours the solvent was evaporated under reduced pressure. The residue was triturated with ether, filtered and washed with more ether to provide the title compound (200 mg), a compound of this invention, as a solid melting at 198 - 200 °C.

35 <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 2.31 (3H), 2.6 (3H), 3.11 (2H), 4.12 (2H), 6.97 (1H), 7.55 (2H), 8.55 (1H).

EXAMPLE 2Preparation of 2,3-dihydro-*N*<sup>1</sup>-(1-methylethyl)-*N*<sup>7</sup>-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1*H*-indole-1,7-dicarboxamide

5 Step A: Preparation of 1,1-dimethylethyl 2,3-dihydro-7-[[[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]amino]carbonyl]-1*H*-indole-1-carboxylate

To a stirring solution of 1,1-dimethylethyl 2,3-dihydro-1*H*-indole-1-carboxylate (Aldrich, 1.0 g, 4.5 mmol), *N,N,N'*-tetramethylethylenediamine (0.90 mL, 690 mg, 6.0 mmol), and anhydrous Et<sub>2</sub>O (20 mL) at -78 °C, was added *sec*-butyllithium (4.2 mL, 5.4 mmol, 1.3 M in cyclohexane) dropwise over a 10 min period. The resulting solution was stirred at -78 °C for 1 hour followed by the dropwise addition of 2-methyl-4-heptafluoroisopropylphenyl isocyanate (1.4 g, 4.5 mmol) over a 4 min period. The solution was allowed to warm to room temperature over 1 hour followed by the addition of saturated aqueous NH<sub>4</sub>Cl (4 mL). The mixture was partitioned between Et<sub>2</sub>O and H<sub>2</sub>O. The combined organic extract was dried (MgSO<sub>4</sub>) and concentrated in vacuo. The residue was subjected to chromatography on silica gel with 30% EtOAc/hexanes as eluent to give the title compound of Step A (1.6 g, 3.1 mmol, 69% yield) as a white solid:

<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.29 (s, 9H), 2.32 (s, 3H), 3.12 (t, 2H), 4.18 (t, 2H), 7.16 (t, 1H), 7.31-7.39 (m, 2H), 7.43 (d, 1H), 7.61 (d, 1H), 7.81 (bs, 1H), 8.59 (d, 1H).

20 Step B: Preparation of 2,3-dihydro-*N*-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1*H*-indole-7-carboxamide

A solution of 1,1-dimethylethyl 2,3-dihydro-7-[[[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]amino]carbonyl]-1*H*-indole-1-carboxylate (i.e. the product from Step A) (1.1 g, 2.1 mmol), trifluoroacetic acid (15 mL), and CH<sub>2</sub>Cl<sub>2</sub> (50 mL) was stirred at room temperature for 2 hours. The solution was carefully poured into a saturated aqueous solution of NaHCO<sub>3</sub> (500 mL). The mixture was partitioned between CH<sub>2</sub>Cl<sub>2</sub> and H<sub>2</sub>O. The combined organic extract was dried (MgSO<sub>4</sub>) and concentrated in vacuo. The residue was subjected to chromatography on silica gel with 30% EtOAc/hexanes as eluent to give the title compound (800 mg, 1.9 mmol, 90% yield) as a white solid

30 <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 2.40 (s, 3H), 3.08 (t, 2H), 3.73 (t, 2H), 6.37 (bs, 1H), 6.63 (t, 1H), 7.18-7.28 (m, 3H), 7.47 (d, 1H), 7.80 (s, 1H), 8.22 (d, 1H).

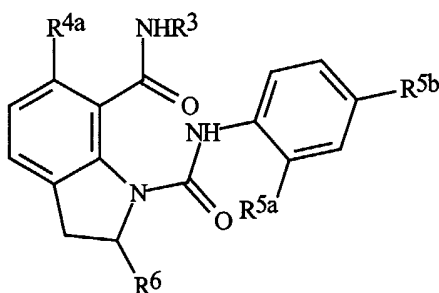
Step C: Preparation of 2,3-dihydro-*N*<sup>1</sup>-(1-methylethyl)-*N*<sup>7</sup>-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-1*H*-indole-1,7-dicarboxamide

35 A solution of the product of Step B (200 mg, 0.48 mmol), isopropyl isocyanate (0.10 mL, 87 mg, 1.00 mmol), and CH<sub>2</sub>Cl<sub>2</sub> (10 mL) was stirred at room temperature for 17 hours. The mixture was filtered and the residue was washed with a 1/1 solution of Et<sub>2</sub>O/CH<sub>2</sub>Cl<sub>2</sub> (3 mL) to give the title compound (1.6 g, 3.1 mmol, 69% yield), a compound of this invention, as a white solid.

$^1\text{H}$  NMR (DMSO- $d_6$ )  $\delta$  0.98 (d, 6H), 2.37 (s, 3H), 3.21 (t, 2H), 3.62-3.71 (m, 1H), 4.01 (t, 1H), 6.73 (d, 1H), 7.00 (t, 1H), 7.32(d, 2H), 7.41-7.45 (m, 2H), 8.14 (d, 1H), 9.24 (s, 1H).

- By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 14 can be prepared. The following abbreviations are used in the Tables: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, *t*-Bu is tert butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO<sub>2</sub> is nitro, TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)<sub>2</sub>Me is methylsulfonyl.

Table 1



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | Me                   | Me                    | Cl                              |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                |
| Me                   | H                     | Me                   | Me                    | I                               |
| Me                   | H                     | Me                   | Me                    | SMe                             |
| Me                   | H                     | Me                   | Me                    | OMe                             |
| Me                   | H                     | Me                   | Me                    | OEt                             |
| Me                   | H                     | Me                   | Me                    | Et                              |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me              |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Et                    | Br                              |
| Me                   | H                     | Me                   | Et                    | Cl                              |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Ph                    | Br                              |
| Me                   | H                     | Me                   | Ph                    | Cl                              |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                              |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                | Br                              |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                              |
| Me                   | H                     | Me                   | F                     | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Cl                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      |
| Me                   | Me                    | H                    | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | Me                    | H                    | Me                    | Br                              |
| Me                   | Me                    | H                    | Me                    | Cl                              |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                |
| Me                   | Me                    | H                    | Me                    | I                               |
| Me                   | Me                    | H                    | Me                    | SMe                             |
| Me                   | Me                    | H                    | Me                    | OMe                             |
| Me                   | Me                    | H                    | Me                    | OEt                             |
| Me                   | Me                    | H                    | Me                    | Et                              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Et                    | Br                              |
| Me                   | Me                    | H                    | Et                    | Cl                              |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Ph                    | Br                              |
| Me                   | Me                    | H                    | Ph                    | Cl                              |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                              |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 2-ClPh                | Br                              |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | Me                    | H                    | F                     | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Cl                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | Me                    | H                    | H                            | CF <sub>3</sub>                         |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | H                            | Br                                      |
| Me                   | Cl                    | H                    | H                            | Cl                                      |
| Me                   | Cl                    | H                    | H                            | SCF <sub>3</sub>                        |
| Me                   | Cl                    | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Cl                    | H                    | Me                           | OCHF <sub>2</sub>                       |
| Me                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                        | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                        | Cl                    | H                    | Me                    | Br                                      |
| Me                        | Cl                    | H                    | Me                    | Cl                                      |
| Me                        | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                        | Cl                    | H                    | Me                    | I                                       |
| Me                        | Cl                    | H                    | Me                    | SMe                                     |
| Me                        | Cl                    | H                    | Me                    | OMe                                     |
| Me                        | Cl                    | H                    | Me                    | OEt                                     |
| Me                        | Cl                    | H                    | Me                    | Et                                      |
| Me                        | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                        | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                        | Cl                    | H                    | Et                    | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | Et                    | Br                                      |
| Me                        | Cl                    | H                    | Et                    | Cl                                      |
| Me                        | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | Ph                    | Br                                      |
| Me                        | Cl                    | H                    | Ph                    | Cl                                      |
| Me                        | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 2-pyridyl             | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | H                     | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | I                                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | SMe                                     |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | OMe                                     |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | OEt                                     |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | Et                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Et                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Et                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Et                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Ph                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Ph                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Ph                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-pyridyl             | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-ClPh                | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-ClPh                | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Cl-2-pyridyl        | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Br-2-pyridyl        | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Br-2-pyridyl        | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | CF <sub>3</sub>       | Me                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | F                     | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | Cl                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | <i>n</i> -Pr          | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | OMe                          | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | H                            | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                           | I                                       |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|---------------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | SMe                             |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | OMe                             |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | OEt                             |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | Et                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | SO <sub>2</sub> Me              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Et                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Et                    | Br                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Et                    | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Ph                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Ph                    | Br                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Ph                    | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-pyridyl             | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-ClPh                | Br                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-ClPh                | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Cl-2-pyridyl        | Br                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Br-2-pyridyl        | Br                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Br-2-pyridyl        | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | CF <sub>3</sub>       | Me                              |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | F                     | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | Cl                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | OMe                   | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-MePh                | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Me                        | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Me                        | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Me                        | H                     | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                        | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                        | H                     | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                        | H                     | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                        | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                        | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                        | H                     | H                    | H                            | Br                                      |
| Me                        | H                     | H                    | H                            | Cl                                      |
| Me                        | H                     | H                    | H                            | SCF <sub>3</sub>                        |
| Me                        | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                        | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                        | H                     | H                    | Me                           | OCHF <sub>2</sub>                       |
| Me                        | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                        | H                     | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                        | H                     | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                        | H                     | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                        | H                     | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                        | H                     | H                    | Me                           | Br                                      |
| Me                        | H                     | H                    | Me                           | Cl                                      |
| Me                        | H                     | H                    | Me                           | SCF <sub>3</sub>                        |
| Me                        | H                     | H                    | Me                           | I                                       |
| Me                        | H                     | H                    | Me                           | SMe                                     |
| Me                        | H                     | H                    | Me                           | OMe                                     |
| Me                        | H                     | H                    | Me                           | OEt                                     |
| Me                        | H                     | H                    | Me                           | Et                                      |
| Me                        | H                     | H                    | Me                           | SO <sub>2</sub> Me                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | Et                    | Br                              |
| Me                   | H                     | H                    | Et                    | Cl                              |
| Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | Ph                    | Br                              |
| Me                   | H                     | H                    | Ph                    | Cl                              |
| Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-pyridyl             | Cl                              |
| Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | H                     | H                    | 2-ClPh                | Br                              |
| Me                   | H                     | H                    | 2-ClPh                | Cl                              |
| Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | H                     | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | H                     | H                    | F                     | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| <i>i</i> -Pr         | H                     | H                    | H                            | CF <sub>3</sub>                         |
| <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| <i>i</i> -Pr         | H                     | H                    | H                            | OCHF <sub>2</sub>                       |
| <i>i</i> -Pr         | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| <i>i</i> -Pr         | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| <i>i</i> -Pr         | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| <i>i</i> -Pr         | H                     | H                    | H                            | Br                                      |
| <i>i</i> -Pr         | H                     | H                    | H                            | Cl                                      |
| <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>3</sub>                        |
| <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| <i>i</i> -Pr         | H                     | H                    | Me                           | OCHF <sub>2</sub>                       |
| <i>i</i> -Pr         | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| <i>i</i> -Pr         | H                     | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| <i>i</i> -Pr         | H                     | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| <i>i</i> -Pr         | H                     | H                    | Me                           | Br                                      |
| <i>i</i> -Pr         | H                     | H                    | Me                           | Cl                                      |
| <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>3</sub>                        |
| <i>i</i> -Pr         | H                     | H                    | Me                           | I                                       |
| <i>i</i> -Pr         | H                     | H                    | Me                           | SMe                                     |
| <i>i</i> -Pr         | H                     | H                    | Me                           | OMe                                     |
| <i>i</i> -Pr         | H                     | H                    | Me                           | OEt                                     |
| <i>i</i> -Pr         | H                     | H                    | Me                           | Et                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me              |
| <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | Et                    | Br                              |
| <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                              |
| <i>i</i> -Pr         | H                     | H                    | Ph                    | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Br                              |
| <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | Me                              |
| <i>i</i> -Pr         | H                     | H                    | F                     | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| <i>i</i> -Pr         | Me                    | H                    | H                            | CF <sub>3</sub>               |
| <i>i</i> -Pr         | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | H                    | H                            | OCF <sub>3</sub>              |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                        | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                        | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                        | Cl                    | H                    | H                            | OCF <sub>3</sub>                        |
| Et                        | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                        | Cl                    | H                    | Me                           | CF <sub>3</sub>                         |
| Et                        | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr              | Cl                    | H                    | Me                           | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | H                            | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | I                                       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | SMe                                     |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | OMe                                     |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                           | OEt                                     |

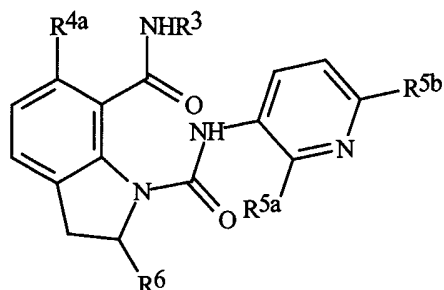
| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|---------------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                    | Et                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                    | SO <sub>2</sub> Me              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Et                    | Br                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Et                    | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Ph                    | Br                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Ph                    | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-pyridyl             | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-ClPh                | Br                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-ClPh                | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | CF <sub>3</sub>       | Me                              |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | F                     | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u> |
|---------------------------|-----------------------|----------------------|------------------------------|-----------------------|
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>     |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-ClPh                       | Br                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-ClPh                       | Cl                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>     |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Br-2-pyridyl               | Br                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | CF <sub>3</sub>              | Me                    |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | F                            | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Cl                           | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | OMe                          | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|---------------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 3-Me-2-pyridyl        | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | H                     | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | I                                       |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | SMe                                     |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | OMe                                     |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | OEt                                     |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | Et                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Et                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Et                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Et                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Ph                    | Br                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | Ph                    | Cl                                      |
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u>      | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> |
|---------------------------|-----------------------|----------------------|-----------------------|-----------------------|
| CH(Me)CH <sub>2</sub> SMe | Cl                    | H                    | 2-pyridyl             | Cl                    |

Table 2



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | Me                   | Me                    | Et                              | Me                   | H                     | H                    | Me                    | Et                              |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me              | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me              |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Et                    | Br                              | Me                   | H                     | H                    | Et                    | Br                              |
| Me                   | H                     | Me                   | Et                    | Cl                              | Me                   | H                     | H                    | Et                    | Cl                              |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Ph                    | Br                              | Me                   | H                     | H                    | Ph                    | Br                              |
| Me                   | H                     | Me                   | Ph                    | Cl                              | Me                   | H                     | H                    | Ph                    | Cl                              |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                              | Me                   | H                     | H                    | 2-pyridyl             | Cl                              |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                | Br                              | Me                   | H                     | H                    | 2-ClPh                | Br                              |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                              | Me                   | H                     | H                    | 2-ClPh                | Cl                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                              | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | H                     | Me                   | F                     | CF <sub>3</sub>                 | Me                   | H                     | H                    | F                     | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Cl                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>3</sub>                 | Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | OMe                   | CF <sub>3</sub>                 | Me                   | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-BrPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-MePh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-CNPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-FPh                 | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

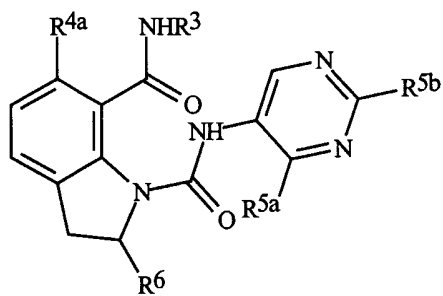
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>                         | Et                   | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>                        | Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      | <i>i</i> -Pr         | H                     | H                    | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      | <i>i</i> -Pr         | H                     | H                    | H                            | Cl                                      |
| Me                   | Me                    | H                    | H                            | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | Me                           | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                           | Br                                      |
| Me                   | Me                    | H                    | Me                           | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                           | Cl                                      |
| Me                   | Me                    | H                    | Me                           | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                           | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                           | I                                       |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | Me                    | H                    | Me                    | SMe                             | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                             |
| Me                   | Me                    | H                    | Me                    | OMe                             | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                             |
| Me                   | Me                    | H                    | Me                    | OEt                             | <i>i</i> -Pr         | H                     | H                    | Me                    | OEt                             |
| Me                   | Me                    | H                    | Me                    | Et                              | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me              | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Et                    | Br                              | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                              |
| Me                   | Me                    | H                    | Et                    | Cl                              | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                              |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Ph                    | Br                              | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                              |
| Me                   | Me                    | H                    | Ph                    | Cl                              | <i>i</i> -Pr         | H                     | H                    | Ph                    | Cl                              |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                              | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | Cl                              |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 2-ClPh                | Br                              | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Br                              |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                              | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Cl                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                              | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                              | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                              | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Cl                              | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | Me                              | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | Me                    | H                    | F                     | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | F                     | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Cl                    | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | OMe                   | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-BrPh                | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-MePh                | CF <sub>3</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | H                            | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                            | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                           | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | Cl                              | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                               | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                             | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                             | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                             | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me              | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                              | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                              | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                              | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                              | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                              | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 3



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 2-ClPh                | Br                                      | Me                   | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                                      | Me                   | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | Me                            | Me                   | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | H                     | Me                   | F                            | CF <sub>3</sub>               | Me                   | H                     | H                    | F                            | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Cl                           | CF <sub>3</sub>               | Me                   | H                     | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>               | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>               | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>               | Et                   | H                     | H                    | H                            | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub> | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>              | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>              |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>               | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub> | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>              | Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | H                            | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>              | <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>             | <i>i</i> -Pr         | H                     | H                    | H                            | OCHF <sub>2</sub>             |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> | <i>i</i> -Pr         | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |

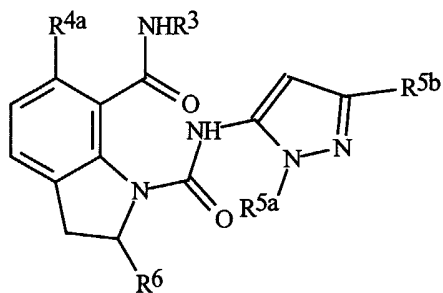
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | Br                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Br                                      |
| Me                   | Me                    | H                    | H                     | Cl                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Cl                                      |
| Me                   | Me                    | H                    | H                     | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OE <sub>t</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                    | OE <sub>t</sub>                         |
| Me                   | Me                    | H                    | Me                    | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                                      |
| Me                   | Me                    | H                    | Ph                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                | Br                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Br                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 2-ClPh                       | Cl                            | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Cl                            |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Br                            | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Cl                            | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Br                            | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                            | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                            | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | CF <sub>3</sub>               | <i>i</i> -Pr         | Me                    | H                    | H                            | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> | <i>i</i> -Pr         | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>              | <i>i</i> -Pr         | Me                    | H                    | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>               | <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> | <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>              | <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | H                     | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                     | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                                     | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                                      | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                                      | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                                      | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                                      | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------|
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                    | <i>i</i> -Pr         | Cl                    | H                    | Me                    | CF <sub>3</sub>       |

Table 4



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |

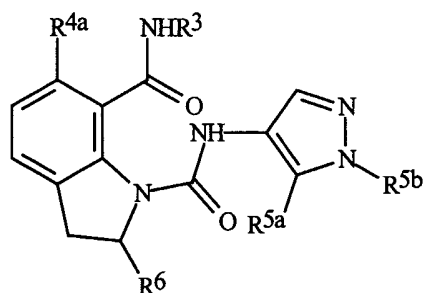
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | H                     | Me                   | 2-pyridyl                    | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-pyridyl                    | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-pyridyl                    | Cl                            | Me                   | H                     | H                    | 2-pyridyl                    | Cl                            |
| Me                   | H                     | Me                   | 2-ClPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                       | OCF <sub>3</sub>              | Me                   | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 2-ClPh                       | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 2-ClPh                       | Br                            | Me                   | H                     | H                    | 2-ClPh                       | Br                            |
| Me                   | H                     | Me                   | 2-ClPh                       | Cl                            | Me                   | H                     | H                    | 2-ClPh                       | Cl                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | Me                            | Me                   | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>               | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>               | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>               | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub> | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>              | Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>              |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OEt                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OEt                                     |
| Me                   | Me                    | H                    | Me                    | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                                      |
| Me                   | Me                    | H                    | Ph                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                | Br                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                                      | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | Me                           | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Br                                      | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | Cl                                      | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                           | I                                       | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                           | SMe                                     | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | OMe                                     | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | OEt                                     | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Et                                      | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                              | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | Br                              | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | Cl                              | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                              | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-ClPh                | OCF <sub>3</sub>                | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 5



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|
| Me                   | H                     | Me                   | H                     | CHF <sub>2</sub>                              | Me                   | H                     | H                    | H                     | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>               | Et                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Et                    | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | Et                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | Et                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Et                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | Me                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Me                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | Me                    | CBrF <sub>2</sub>                             | Me                   | H                     | H                    | Me                    | CBrF <sub>2</sub>                             |
| Me                   | H                     | Me                   | Me                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Me                    | CHF <sub>2</sub>                              |
| Et                   | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | Et                   | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | Et                                            | Me                   | H                     | H                    | Me                    | Et                                            |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -Pr                                  | Me                   | H                     | H                    | Me                    | <i>n</i> -Pr                                  |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| <i>n</i> -Pr         | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub>         | <i>n</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Et                    | CHF <sub>2</sub>                        | Me                   | H                     | H                    | Et                    | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CHF <sub>2</sub>                        | Me                   | H                     | H                    | <i>i</i> -Pr          | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | Cl                    | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Cl                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | F                     | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | F                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> Cl                      | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> Cl                      |
| Me                   | H                     | Me                   | Me                    | CClF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | CClF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl      | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl      |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Allyl                                   | Me                   | H                     | H                    | Me                    | Allyl                                   |
| Me                   | H                     | Me                   | Et                    | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | Et                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                                      | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                                      |
| Me                   | H                     | Me                   | OMe                   | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | OMe                   | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | Ph                    | CHF <sub>2</sub>                        | Me                   | H                     | H                    | H                     | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | 2-pyridyl             | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | 2-ClPh                | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>2</sub> CHF <sub>2</sub>        |

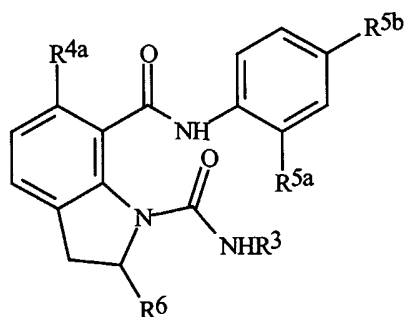
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>            | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                         |
|----------------------|-----------------------|----------------------|------------------------------|----------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------------|
| Me                   | H                     | Me                   | 2-ClPh                       | CHF <sub>2</sub>                 | Me                   | H                     | H                    | 2-pyridyl                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | 2-ClPh                       | Et                               | Me                   | H                     | H                    | 2-ClPh                       | Et                                            |
| Me                   | H                     | Me                   | 2-ClPh                       | CBrF <sub>2</sub>                | Me                   | H                     | H                    | 2-ClPh                       | CBrF <sub>2</sub>                             |
| Me                   | H                     | Me                   | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MeOPh                      | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub> | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>3</sub>                  | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                 | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                             |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>                  | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub> | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CClF <sub>2</sub>                | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                             |
| Me                   | Me                    | H                    | H                            | CHF <sub>2</sub>                 | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               |
| Et                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               |
| Me                   | Me                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | Et                           | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> |
| Me                   | Me                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                           | CF <sub>2</sub> CHF <sub>2</sub> | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                               |
| Me                   | Me                    | H                    | Et                           | CHF <sub>2</sub>                 | <i>i</i> -Pr         | H                     | H                    | Cl                           | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | Me                    | H                    | Me                           | CHF <sub>2</sub>                 | <i>i</i> -Pr         | H                     | H                    | F                            | CHF <sub>2</sub>                              |
| Me                   | Me                    | H                    | Me                           | CBrF <sub>2</sub>                | <i>i</i> -Pr         | H                     | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                           | CHF <sub>2</sub>                 | <i>i</i> -Pr         | H                     | H                    | Me                           | CHF <sub>2</sub>                              |
| Et                   | Me                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                           | Et                               | <i>i</i> -Pr         | H                     | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | Me                    | <i>n</i> -Pr                                  | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> Cl                      |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | CClF <sub>2</sub>                       |
| <i>n</i> -Pr         | Me                    | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl      |
| Me                   | Me                    | H                    | Me                    | CF <sub>3</sub>                               | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Et                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Et                    | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | Me                    | Allyl                                   |
| Me                   | Me                    | H                    | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | <i>i</i> -Pr          | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Cl                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | OMe                   | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | F                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> Cl                            | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | Me                    | CClF <sub>2</sub>                             | <i>i</i> -Pr         | H                     | H                    | H                     | Me                                      |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl            | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | Allyl                                         | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | Ph                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | Me                                            | <i>i</i> -Pr         | H                     | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | OMe                   | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>        |
| Et                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Et                                      |
| Me                   | Me                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                | CBrF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-MePh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | 2-FPh                 | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                     | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>            | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|----------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | Me                    | H                    | Ph                           | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-pyridyl                    | CF <sub>2</sub> CHF <sub>2</sub> | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-pyridyl                    | CHF <sub>2</sub>                 | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-ClPh                       | Et                               | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 2-ClPh                       | CBrF <sub>2</sub>                | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CBrF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>  | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | F                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>2</sub> CHF <sub>2</sub> | Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                  | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                 | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> Cl                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | Me                           | CClF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CH <sub>2</sub> Cl      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                  | Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | Me                           | Allyl                                   |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub> | Me                   | Cl                    | H                    | Me                           | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                | Me                   | Cl                    | H                    | CF <sub>3</sub>              | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                  | Me                   | Cl                    | H                    | OMe                          | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                  | Me                   | Cl                    | H                    | H                            | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                 | Me                   | Cl                    | H                    | H                            | Me                                      |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>  | Et                   | Cl                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>  | Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Cl                    | H                    | Me                           | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Me                           | CBrF <sub>2</sub>                             | Me                   | Cl                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 3-Me-2-pyridyl        | CH <sub>2</sub> CF <sub>3</sub>         |
| <i>n</i> -Pr         | Cl                    | H                    | Me                           | Et                                            | Me                   | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -Pr                                  | Me                   | Cl                    | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Et                   | Cl                    | H                    | Me                           | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | Me                   | Cl                    | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | Ph                    | CHF <sub>2</sub>                        |
| Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2-pyridyl             | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | <i>i</i> -Pr                 | C <sub>2</sub> F <sub>5</sub>                 | Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Cl                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | 2-ClPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | 2-FPh                 | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                             | Me                   | Cl                    | H                    | 2-ClPh                | Et                                      |
| Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-ClPh                | CBrF <sub>2</sub>                       |
| Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-BrPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2-MePh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-CNPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | 2-MeOPh               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                             | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 2-ClPh                       | CHF <sub>2</sub>                              |                      |                       |                      |                       |                                         |

Table 6



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OE <sub>t</sub>                         | Me                   | H                     | H                    | Me                    | OE <sub>t</sub>                         |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | H                     | Me                   | 2-ClPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                       | OCF <sub>3</sub>              | Me                   | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 2-ClPh                       | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 2-ClPh                       | Br                            | Me                   | H                     | H                    | 2-ClPh                       | Br                            |
| Me                   | H                     | Me                   | 2-ClPh                       | Cl                            | Me                   | H                     | H                    | 2-ClPh                       | Cl                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | Me                            | Me                   | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | H                     | Me                   | F                            | CF <sub>3</sub>               | Me                   | H                     | H                    | F                            | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Cl                           | CF <sub>3</sub>               | Me                   | H                     | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>               | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>               | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>               | Et                   | H                     | H                    | H                            | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub> | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>              | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>              |

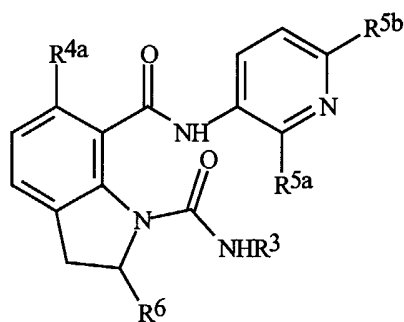
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Et                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Et                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | Br                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Br                                      |
| Me                   | Me                    | H                    | H                     | Cl                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Cl                                      |
| Me                   | Me                    | H                    | H                     | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OEt                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OEt                                     |
| Me                   | Me                    | H                    | Me                    | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u> |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------|
| Me                   | Me                    | H                    | Ph                           | Cl                    | <i>i</i> -Pr         | H                     | H                    | Ph                           | Cl                    |
| Me                   | Me                    | H                    | 2-pyridyl                    | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-pyridyl                    | Cl                    | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | Cl                    |
| Me                   | Me                    | H                    | 2-ClPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-ClPh                       | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>     | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>     |
| Me                   | Me                    | H                    | 2-ClPh                       | Br                    | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Br                    |
| Me                   | Me                    | H                    | 2-ClPh                       | Cl                    | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Cl                    |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>     | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>     |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Br                    | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Br                    |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Cl                    | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Cl                    |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Br                    | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Br                    |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                    | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Cl                    |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                    | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                    |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | F                            | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | Cl                           | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | OMe                          | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>       |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-------------------------------|
| Et                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | H                     | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | H                     | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | Me                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                    | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                    | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                    | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                     | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                | Br                            |
| Me                   | Cl                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | Br                            |
| Me                   | Cl                    | H                    | H                     | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>       | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                     | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                    | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                   | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OE <sub>t</sub>                         | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl         | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Et                    | Br                    | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                    | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>       | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                    | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                    | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>       | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                    | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 7



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 2-ClPh                | Br                                      | Me                   | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                                      | Me                   | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                                      |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                                      | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                                      |
| Me                   | H                     | Me                   | F                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | F                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Cl                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Cl                    | CF <sub>3</sub>                         |

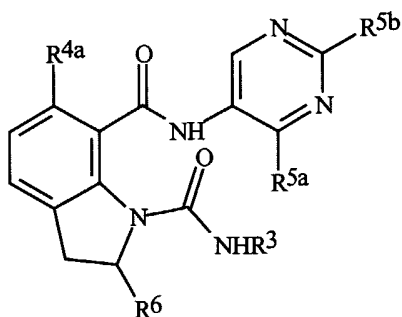
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>                         | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>                         | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>                         | Et                   | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>                        | Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      | <i>i</i> -Pr         | H                     | H                    | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      | <i>i</i> -Pr         | H                     | H                    | H                            | Cl                                      |
| Me                   | Me                    | H                    | H                            | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | Me                           | OCHF <sub>2</sub>                       |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OE <sub>t</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                    | OE <sub>t</sub>                         |
| Me                   | Me                    | H                    | Me                    | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                                      |
| Me                   | Me                    | H                    | Ph                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                | Br                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl        | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                                      | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | H                            | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                            | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OE <sub>t</sub>                         | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                                      | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                                      | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                                      | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                                      | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 8



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OE <sub>t</sub>                         | Me                   | H                     | H                    | Me                    | OE <sub>t</sub>                         |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | H                     | Me                   | 2-ClPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                       | OCF <sub>3</sub>              | Me                   | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 2-ClPh                       | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 2-ClPh                       | Br                            | Me                   | H                     | H                    | 2-ClPh                       | Br                            |
| Me                   | H                     | Me                   | 2-ClPh                       | Cl                            | Me                   | H                     | H                    | 2-ClPh                       | Cl                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | OCF <sub>3</sub>              | Me                   | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Br                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Cl                            | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | Me                            | Me                   | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | H                     | Me                   | F                            | CF <sub>3</sub>               | Me                   | H                     | H                    | F                            | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Cl                           | CF <sub>3</sub>               | Me                   | H                     | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>               | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>               | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>               | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>               | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | CF <sub>3</sub>               | Et                   | H                     | H                    | H                            | CF <sub>3</sub>               |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub> | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>              | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>              |

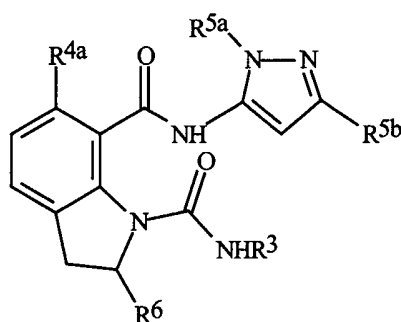
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Et                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Et                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                     | Br                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Br                                      |
| Me                   | Me                    | H                    | H                     | Cl                                      | <i>i</i> -Pr         | H                     | H                    | H                     | Cl                                      |
| Me                   | Me                    | H                    | H                     | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OEt                                     | <i>i</i> -Pr         | H                     | H                    | Me                    | OEt                                     |
| Me                   | Me                    | H                    | Me                    | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                    | Br                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u> |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------|
| Me                   | Me                    | H                    | Ph                           | Cl                    | <i>i</i> -Pr         | H                     | H                    | Ph                           | Cl                    |
| Me                   | Me                    | H                    | 2-pyridyl                    | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-pyridyl                    | Cl                    | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | Cl                    |
| Me                   | Me                    | H                    | 2-ClPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-ClPh                       | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>     | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>     |
| Me                   | Me                    | H                    | 2-ClPh                       | Br                    | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Br                    |
| Me                   | Me                    | H                    | 2-ClPh                       | Cl                    | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Cl                    |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>     | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>     |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Br                    | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Br                    |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Cl                    | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Cl                    |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Br                    | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Br                    |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                    | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Cl                    |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                    | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                    |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | F                            | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | Cl                           | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | OMe                          | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>       |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>       | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>       |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-------------------------------|
| Et                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | H                     | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | H                     | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | Me                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                    | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                    | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                    | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                     | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                | Br                            |
| Me                   | Cl                    | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                     | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | Br                            |
| Me                   | Cl                    | H                    | H                     | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl        | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl        | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>       | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                     | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                    | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                   | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                                     | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl         | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                                      | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2- | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------|----------------------|-----------------------|----------------------|-----------------------|-------------------------------|
| Me                   | Cl                    | H                    | Et                    | Cl                    | Me                   | Cl                    | H                    | pyridyl<br>3-Me-2-    | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>       | Et                   | Cl                    | H                    | H                     | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                    | Et                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                    | Et                   | Cl                    | H                    | Me                    | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>       | Et                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                    | <i>i</i> -Pr         | Cl                    | H                    | Me                    | CF <sub>3</sub>               |

Table 9



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |

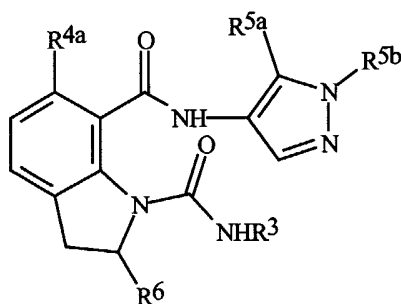
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me              | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me              |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Et                    | Br                              | Me                   | H                     | H                    | Et                    | Br                              |
| Me                   | H                     | Me                   | Et                    | Cl                              | Me                   | H                     | H                    | Et                    | Cl                              |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Ph                    | Br                              | Me                   | H                     | H                    | Ph                    | Br                              |
| Me                   | H                     | Me                   | Ph                    | Cl                              | Me                   | H                     | H                    | Ph                    | Cl                              |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                              | Me                   | H                     | H                    | 2-pyridyl             | Cl                              |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                | Br                              | Me                   | H                     | H                    | 2-ClPh                | Br                              |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                              | Me                   | H                     | H                    | 2-ClPh                | Cl                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                              | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>3</sub>                 | Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | OMe                   | CF <sub>3</sub>                 | Me                   | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-BrPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-MePh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-CNPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-FPh                 | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-MeOPh               | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-MeOPh               | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | OCF <sub>3</sub>                        | Et                   | H                     | H                    | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | Br                                      | <i>i</i> -Pr         | H                     | H                    | Me                           | Br                                      |
| Me                   | Me                    | H                    | Me                           | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Me                           | Cl                                      |
| Me                   | Me                    | H                    | Me                           | SCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | Me                           | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                           | I                                       | <i>i</i> -Pr         | H                     | H                    | Me                           | I                                       |
| Me                   | Me                    | H                    | Me                           | SMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                           | SMe                                     |
| Me                   | Me                    | H                    | Me                           | OMe                                     | <i>i</i> -Pr         | H                     | H                    | Me                           | OMe                                     |
| Me                   | Me                    | H                    | Me                           | OEt                                     | <i>i</i> -Pr         | H                     | H                    | Me                           | OEt                                     |
| Me                   | Me                    | H                    | Me                           | Et                                      | <i>i</i> -Pr         | H                     | H                    | Me                           | Et                                      |
| Me                   | Me                    | H                    | Me                           | SO <sub>2</sub> Me                      | <i>i</i> -Pr         | H                     | H                    | Me                           | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                           | SO <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | Me                           | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Et                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                           | Br                                      | <i>i</i> -Pr         | H                     | H                    | Et                           | Br                                      |
| Me                   | Me                    | H                    | Et                           | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Et                           | Cl                                      |
| Me                   | Me                    | H                    | Ph                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Ph                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                           | Br                                      | <i>i</i> -Pr         | H                     | H                    | Ph                           | Br                                      |
| Me                   | Me                    | H                    | Ph                           | Cl                                      | <i>i</i> -Pr         | H                     | H                    | Ph                           | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl                    | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl                    | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl                    | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                       | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                       | Br                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Br                                      |
| Me                   | Me                    | H                    | 2-ClPh                       | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Cl                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Br                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                                      | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                                      | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | <i>i</i> -Pr         | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | OCF <sub>3</sub>                        | <i>i</i> -Pr         | Me                    | H                    | Me                           | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | Me                           | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Br                                      | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | Cl                              | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                    | I                               | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                    | SMe                             | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                             | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                             | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                              | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me              | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                              | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | Br                              | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | Cl                              | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                              | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-ClPh                | OCF <sub>3</sub>                | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 10



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | Me                   | H                     | CHF <sub>2</sub>                | Me                   | H                     | H                    | H                     | CHF <sub>2</sub>                |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub> |
| Et                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub> | Et                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Et                    | CH <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | Et                    | CH <sub>2</sub> CF <sub>3</sub> |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|
| Me                   | H                     | Me                   | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | Et                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Et                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | Me                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Me                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | Me                    | CBrF <sub>2</sub>                             | Me                   | H                     | H                    | Me                    | CBrF <sub>2</sub>                             |
| Me                   | H                     | Me                   | Me                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Me                    | CHF <sub>2</sub>                              |
| Et                   | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | Et                   | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | Et                                            | Me                   | H                     | H                    | Me                    | Et                                            |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -Pr                                  | Me                   | H                     | H                    | Me                    | <i>n</i> -Pr                                  |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> |
| <i>n</i> -Pr         | H                     | Me                   | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>n</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                               | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                               |
| Et                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>                 | Et                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | H                     | Me                   | Et                    | CHF <sub>2</sub>                              | Me                   | H                     | H                    | Et                    | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CHF <sub>2</sub>                              | Me                   | H                     | H                    | <i>i</i> -Pr          | CHF <sub>2</sub>                              |
| Me                   | H                     | Me                   | Cl                    | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | Cl                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | F                     | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | F                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> Cl                            | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> Cl                            |
| Me                   | H                     | Me                   | Me                    | CClF <sub>2</sub>                             | Me                   | H                     | H                    | Me                    | CClF <sub>2</sub>                             |
| Me                   | H                     | Me                   | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl            | Me                   | H                     | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl            |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | H                     | Me                   | Me                    | Allyl                                         | Me                   | H                     | H                    | Me                    | Allyl                                         |
| Me                   | H                     | Me                   | Et                    | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | Et                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                                            | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                                            |
| Me                   | H                     | Me                   | OMe                   | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | OMe                   | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | H                     | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>                 | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Et                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>                 | Et                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>                 | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | H                     | Me                   | H                     | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |

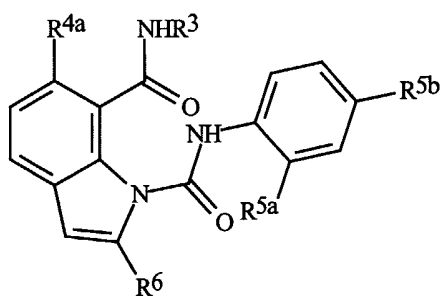
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Ph                           | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Ph                           | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | H                            | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | Ph                           | CHF <sub>2</sub>                        | Me                   | H                     | H                    | H                            | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | 2-pyridyl                    | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Ph                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-pyridyl                    | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | Ph                           | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Ph                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-ClPh                       | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | 2-pyridyl                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | 2-ClPh                       | CHF <sub>2</sub>                        | Me                   | H                     | H                    | 2-pyridyl                    | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | 2-ClPh                       | Et                                      | Me                   | H                     | H                    | 2-ClPh                       | Et                                      |
| Me                   | H                     | Me                   | 2-ClPh                       | CBrF <sub>2</sub>                       | Me                   | H                     | H                    | 2-ClPh                       | CBrF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                        | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                        |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                       | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | CClF <sub>2</sub>                       | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | CHF <sub>2</sub>                        | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------------|
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Et                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> |
| Me                   | Me                    | H                    | Et                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                               |
| Me                   | Me                    | H                    | Et                    | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | Cl                    | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | Me                    | H                    | Me                    | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | F                     | CHF <sub>2</sub>                              |
| Me                   | Me                    | H                    | Me                    | CBrF <sub>2</sub>                             | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | Me                    | CHF <sub>2</sub>                              |
| Et                   | Me                    | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | Et                                            | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -Pr                                  | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> Cl                            |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | <i>i</i> -Pr         | H                     | H                    | Me                    | CClF <sub>2</sub>                             |
| <i>n</i> -Pr         | Me                    | H                    | Me                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl            |
| Me                   | Me                    | H                    | Me                    | CF <sub>3</sub>                               | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       |
| Et                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | Me                    | H                    | Et                    | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | Me                    | Allyl                                         |
| Me                   | Me                    | H                    | <i>n</i> -Pr          | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | <i>i</i> -Pr          | CHF <sub>2</sub>                              | <i>i</i> -Pr         | H                     | H                    | CF <sub>3</sub>       | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | Me                    | H                    | Cl                    | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | OMe                   | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | F                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> Cl                            | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | Me                    | CClF <sub>2</sub>                             | <i>i</i> -Pr         | H                     | H                    | H                     | Me                                            |
| Me                   | Me                    | H                    | Me                    | CH <sub>2</sub> CH <sub>2</sub> Cl            | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | Me                    | H                    | Me                    | Allyl                                         | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       | <i>i</i> -Pr         | H                     | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Me                    | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>              | <i>i</i> -Pr         | H                     | H                    | Ph                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub>       |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | Me                                            | <i>i</i> -Pr         | H                     | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | OMe                   | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>              |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CHF <sub>2</sub>                              |
| Me                   | Me                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>               | <i>i</i> -Pr         | H                     | H                    | 2-pyridyl             | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>              |
| Et                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CH <sub>2</sub> CF <sub>3</sub>               |
| Me                   | Me                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>                 | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>              |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | Me                    | H                    | H                            | CF <sub>2</sub> CHF <sub>2</sub>        | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 2-ClPh                       | Et                                      |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | 2-BrPh                       | CBrF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | <i>i</i> -Pr         | H                     | H                    | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                            | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                            | CF <sub>2</sub> CHF <sub>2</sub>        | <i>i</i> -Pr         | H                     | H                    | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | H                            | CHF <sub>2</sub>                        | <i>i</i> -Pr         | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Ph                           | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Ph                           | CF <sub>2</sub> CHF <sub>2</sub>        | <i>i</i> -Pr         | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Ph                           | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 2-MeOPh                      | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-pyridyl                    | CF <sub>2</sub> CHF <sub>2</sub>        | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-pyridyl                    | CHF <sub>2</sub>                        | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-ClPh                       | Et                                      | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 2-ClPh                       | CBrF <sub>2</sub>                       | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-F-2-pyridyl                | CBrF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-BrPh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-MePh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Me-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2-CNPh                       | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-FPh                        | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         | <i>i</i> -Pr         | H                     | H                    | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | F                            | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                        |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                        | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                       | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> Cl                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | Me                           | CClF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CH <sub>2</sub> Cl      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | Me                           | Allyl                                   |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>        | Me                   | Cl                    | H                    | Me                           | CF <sub>2</sub> CHF <sub>2</sub>        |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                         | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                             | Me                   | Cl                    | H                    | CF <sub>3</sub>       | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | CF <sub>3</sub>       | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | OMe                   | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | Me                                      |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               | Et                   | Cl                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Cl                    | H                    | Me                           | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Me                           | CBrF <sub>2</sub>                             | Me                   | Cl                    | H                    | H                     | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | Me                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | Me                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 3-Me-2-pyridyl        | CH <sub>2</sub> CF <sub>3</sub>         |
| <i>n</i> -Pr         | Cl                    | H                    | Me                           | Et                                            | Me                   | Cl                    | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -Pr                                  | Me                   | Cl                    | H                    | Ph                    | CH <sub>2</sub> CF <sub>3</sub>         |
| Et                   | Cl                    | H                    | Me                           | CH <sub>2</sub> C <sub>2</sub> F <sub>5</sub> | Me                   | Cl                    | H                    | Ph                    | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Et                           | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | Ph                    | CHF <sub>2</sub>                        |
| Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2-pyridyl             | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | <i>i</i> -Pr                 | C <sub>2</sub> F <sub>5</sub>                 | Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | Cl                           | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | 2-ClPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-ClPh                | CF <sub>2</sub> CHF <sub>2</sub>        |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | 2-FPh                 | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CHF <sub>2</sub>                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CBrF <sub>2</sub>                             | Me                   | Cl                    | H                    | 2-ClPh                | Et                                      |
| Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-ClPh                | CBrF <sub>2</sub>                       |
| Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-BrPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                               | Me                   | Cl                    | H                    | 2-MePh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CH <sub>2</sub> CF <sub>3</sub>               | Me                   | Cl                    | H                    | 2-CNPh                | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>2</sub> CHF <sub>2</sub>              | Me                   | Cl                    | H                    | 2-MeOPh               | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CClF <sub>2</sub>                             | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph | CH <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Cl                    | H                    | 2-ClPh                       | CHF <sub>2</sub>                              |                      |                       |                      |                       |                                         |

Table 11



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Et                    | Br                              | Me                   | H                     | H                    | Et                    | Br                              |
| Me                   | H                     | Me                   | Et                    | Cl                              | Me                   | H                     | H                    | Et                    | Cl                              |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Ph                    | Br                              | Me                   | H                     | H                    | Ph                    | Br                              |
| Me                   | H                     | Me                   | Ph                    | Cl                              | Me                   | H                     | H                    | Ph                    | Cl                              |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                              | Me                   | H                     | H                    | 2-pyridyl             | Cl                              |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 2-ClPh                | Br                              | Me                   | H                     | H                    | 2-ClPh                | Br                              |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                              | Me                   | H                     | H                    | 2-ClPh                | Cl                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                              |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                              | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                              |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                              | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                              |
| Me                   | H                     | Me                   | F                     | CF <sub>3</sub>                 | Me                   | H                     | H                    | F                     | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | Cl                    | CF <sub>3</sub>                 | Me                   | H                     | H                    | Cl                    | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>n</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | <i>i</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | CF <sub>3</sub>                 | Me                   | H                     | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | OMe                   | CF <sub>3</sub>                 | Me                   | H                     | H                    | OMe                   | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-BrPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-MePh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-CNPh                | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2-FPh                 | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

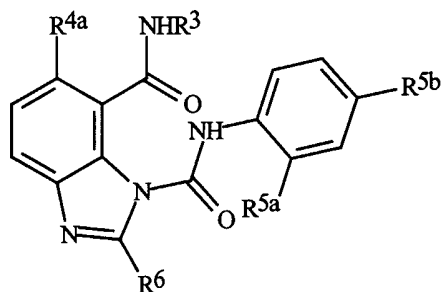
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | Me                   | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      | Me                   | H                     | Cl                   | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      | Me                   | H                     | Cl                   | H                            | Cl                                      |
| Me                   | Me                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | Me                           | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                           | Br                                      | Me                   | H                     | Cl                   | Me                           | Br                                      |
| Me                   | Me                    | H                    | Me                           | Cl                                      | Me                   | H                     | Cl                   | Me                           | Cl                                      |
| Me                   | Me                    | H                    | Me                           | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                           | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                           | I                                       | Me                   | H                     | Cl                   | Me                           | I                                       |
| Me                   | Me                    | H                    | Me                           | SMe                                     | Me                   | H                     | Cl                   | Me                           | SMe                                     |
| Me                   | Me                    | H                    | Me                           | OMe                                     | Me                   | H                     | Cl                   | Me                           | OMe                                     |
| Me                   | Me                    | H                    | Me                           | OEt                                     | Me                   | H                     | Cl                   | Me                           | OEt                                     |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|
| Me                   | Me                    | H                    | Me                    | Et                              | Me                   | H                     | Cl                   | Me                    | Et                              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me              | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> Me              |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | Et                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Et                    | Br                              | Me                   | H                     | Cl                   | Et                    | Br                              |
| Me                   | Me                    | H                    | Et                    | Cl                              | Me                   | H                     | Cl                   | Et                    | Cl                              |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | Ph                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Ph                    | Br                              | Me                   | H                     | Cl                   | Ph                    | Br                              |
| Me                   | Me                    | H                    | Ph                    | Cl                              | Me                   | H                     | Cl                   | Ph                    | Cl                              |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                              | Me                   | H                     | Cl                   | 2-pyridyl             | Cl                              |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                | Me                   | H                     | Cl                   | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>               | Me                   | H                     | Cl                   | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 2-ClPh                | Br                              | Me                   | H                     | Cl                   | 2-ClPh                | Br                              |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                              | Me                   | H                     | Cl                   | 2-ClPh                | Cl                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                              | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                              | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                              | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | Br                              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Cl                              | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | Cl                              |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | Me                              | Me                   | H                     | Cl                   | CF <sub>3</sub>       | Me                              |
| Me                   | Me                    | H                    | F                     | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | F                     | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | Cl                    | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | Cl                    | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>n</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | <i>i</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | CF <sub>3</sub>       | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | OMe                   | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | OMe                   | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-BrPh                | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-MePh                | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-CNPh                | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2-FPh                 | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | Cl                   | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Et                   | H                     | Cl                   | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | Et                   | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | Me                           | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                            | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                           | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | Cl                                      | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | I                                       | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                           | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|---------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | OMe                             | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                             | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                              | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me              | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                 | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                              | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                              | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                              | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                              | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                 | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                              | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 12



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 2-ClPh                | Br                                      | Me                   | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                                      | Me                   | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |

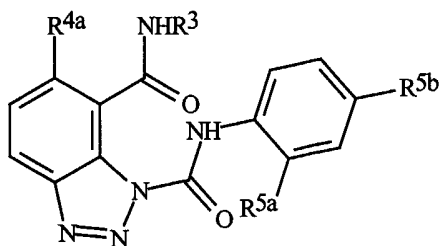
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Br                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Br                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl               | Cl                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl               | Cl                                      |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | Me                                      | Me                   | H                     | H                    | CF <sub>3</sub>              | Me                                      |
| Me                   | H                     | Me                   | F                            | CF <sub>3</sub>                         | Me                   | H                     | H                    | F                            | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Cl                           | CF <sub>3</sub>                         | Me                   | H                     | H                    | Cl                           | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>                         | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>                         | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | Me                   | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      | Me                   | H                     | Cl                   | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      | Me                   | H                     | Cl                   | H                            | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | H                     | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                     | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Me                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                     | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                    | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | Me                    | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | Me                   | H                     | Cl                   | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | Me                   | H                     | Cl                   | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | Me                   | H                     | Cl                   | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | Me                   | H                     | Cl                   | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | Me                   | H                     | Cl                   | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OE <sub>t</sub>                         | Me                   | H                     | Cl                   | Me                    | OE <sub>t</sub>                         |
| Me                   | Me                    | H                    | Me                    | Et                                      | Me                   | H                     | Cl                   | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | Me                   | H                     | Cl                   | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | Me                   | H                     | Cl                   | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | Me                   | H                     | Cl                   | Ph                    | Br                                      |
| Me                   | Me                    | H                    | Ph                    | Cl                                      | Me                   | H                     | Cl                   | Ph                    | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                                      | Me                   | H                     | Cl                   | 2-pyridyl             | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                | Br                                      | Me                   | H                     | Cl                   | 2-ClPh                | Br                                      |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                                      | Me                   | H                     | Cl                   | 2-ClPh                | Cl                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                                      | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                                      | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Cl                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Br                                      | Me                   | H                     | Cl                   | 3-Br-2-pyridyl               | Br                            |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                                      | Me                   | H                     | Cl                   | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                                      | Me                   | H                     | Cl                   | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | F                            | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Cl                           | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | OMe                          | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Et                   | H                     | Cl                   | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | Et                   | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | Me                           | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | H                     | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                     | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                     | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                                     | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                                      | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                                      | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                                      | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                                      | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

Table 13



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | H                     | Br                                      | Me                   | H                     | H                     | Br                                      |
| Me                   | H                     | H                     | Cl                                      | Me                   | H                     | H                     | Cl                                      |
| Me                   | H                     | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                    | CF <sub>3</sub>                         | Me                   | H                     | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                    | Br                                      | Me                   | H                     | Me                    | Br                                      |
| Me                   | H                     | Me                    | Cl                                      | Me                   | H                     | Me                    | Cl                                      |
| Me                   | H                     | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                    | I                                       | Me                   | H                     | Me                    | I                                       |
| Me                   | H                     | Me                    | SMe                                     | Me                   | H                     | Me                    | SMe                                     |
| Me                   | H                     | Me                    | OMe                                     | Me                   | H                     | Me                    | OMe                                     |
| Me                   | H                     | Me                    | OE <sub>t</sub>                         | Me                   | H                     | Me                    | OE <sub>t</sub>                         |
| Me                   | H                     | Me                    | Et                                      | Me                   | H                     | Me                    | Et                                      |
| Me                   | H                     | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Et                    | CF <sub>3</sub>                         | Me                   | H                     | Et                    | CF <sub>3</sub>                         |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u> |
|----------------------|-----------------------|-----------------------|-----------------------|----------------------|-----------------------|-----------------------|-----------------------|
| Me                   | H                     | Et                    | Br                    | Me                   | H                     | Et                    | Br                    |
| Me                   | H                     | Et                    | Cl                    | Me                   | H                     | Et                    | Cl                    |
| Me                   | H                     | Ph                    | CF <sub>3</sub>       | Me                   | H                     | Ph                    | CF <sub>3</sub>       |
| Me                   | H                     | Ph                    | Br                    | Me                   | H                     | Ph                    | Br                    |
| Me                   | H                     | Ph                    | Cl                    | Me                   | H                     | Ph                    | Cl                    |
| Me                   | H                     | 2-pyridyl             | CF <sub>3</sub>       | Me                   | H                     | 2-pyridyl             | CF <sub>3</sub>       |
| Me                   | H                     | 2-pyridyl             | Cl                    | Me                   | H                     | 2-pyridyl             | Cl                    |
| Me                   | H                     | 2-ClPh                | CF <sub>3</sub>       | Me                   | H                     | 2-ClPh                | CF <sub>3</sub>       |
| Me                   | H                     | 2-ClPh                | OCF <sub>3</sub>      | Me                   | H                     | 2-ClPh                | OCF <sub>3</sub>      |
| Me                   | H                     | 2-ClPh                | SCHF <sub>2</sub>     | Me                   | H                     | 2-ClPh                | SCHF <sub>2</sub>     |
| Me                   | H                     | 2-ClPh                | Br                    | Me                   | H                     | 2-ClPh                | Br                    |
| Me                   | H                     | 2-ClPh                | Cl                    | Me                   | H                     | 2-ClPh                | Cl                    |
| Me                   | H                     | 3-Cl-2-pyridyl        | CF <sub>3</sub>       | Me                   | H                     | 3-Cl-2-pyridyl        | CF <sub>3</sub>       |
| Me                   | H                     | 3-Cl-2-pyridyl        | OCF <sub>3</sub>      | Me                   | H                     | 3-Cl-2-pyridyl        | OCF <sub>3</sub>      |
| Me                   | H                     | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>     | Me                   | H                     | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>     |
| Me                   | H                     | 3-Cl-2-pyridyl        | Br                    | Me                   | H                     | 3-Cl-2-pyridyl        | Br                    |
| Me                   | H                     | 3-Cl-2-pyridyl        | Cl                    | Me                   | H                     | 3-Cl-2-pyridyl        | Cl                    |
| Me                   | H                     | 3-Br-2-pyridyl        | CF <sub>3</sub>       | Me                   | H                     | 3-Br-2-pyridyl        | CF <sub>3</sub>       |
| Me                   | H                     | 3-Br-2-pyridyl        | OCF <sub>3</sub>      | Me                   | H                     | 3-Br-2-pyridyl        | OCF <sub>3</sub>      |
| Me                   | H                     | 3-Br-2-pyridyl        | Br                    | Me                   | H                     | 3-Br-2-pyridyl        | Br                    |
| Me                   | H                     | 3-Br-2-pyridyl        | Cl                    | Me                   | H                     | 3-Br-2-pyridyl        | Cl                    |
| Me                   | H                     | CF <sub>3</sub>       | Me                    | Me                   | H                     | CF <sub>3</sub>       | Me                    |
| Me                   | H                     | F                     | CF <sub>3</sub>       | Me                   | H                     | F                     | CF <sub>3</sub>       |
| Me                   | H                     | Cl                    | CF <sub>3</sub>       | Me                   | H                     | Cl                    | CF <sub>3</sub>       |
| Me                   | H                     | <i>n</i> -Pr          | CF <sub>3</sub>       | Me                   | H                     | <i>n</i> -Pr          | CF <sub>3</sub>       |
| Me                   | H                     | <i>i</i> -Pr          | CF <sub>3</sub>       | Me                   | H                     | <i>i</i> -Pr          | CF <sub>3</sub>       |
| Me                   | H                     | CF <sub>3</sub>       | CF <sub>3</sub>       | Me                   | H                     | CF <sub>3</sub>       | CF <sub>3</sub>       |
| Me                   | H                     | OMe                   | CF <sub>3</sub>       | Me                   | H                     | OMe                   | CF <sub>3</sub>       |
| Me                   | H                     | 2-BrPh                | CF <sub>3</sub>       | Me                   | H                     | 2-BrPh                | CF <sub>3</sub>       |
| Me                   | H                     | 2-MePh                | CF <sub>3</sub>       | Me                   | H                     | 2-MePh                | CF <sub>3</sub>       |
| Me                   | H                     | 2-CNPh                | CF <sub>3</sub>       | Me                   | H                     | 2-CNPh                | CF <sub>3</sub>       |
| Me                   | H                     | 2-FPh                 | CF <sub>3</sub>       | Me                   | H                     | 2-FPh                 | CF <sub>3</sub>       |
| Me                   | H                     | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>       | Me                   | H                     | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>       |
| Me                   | H                     | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>       | Me                   | H                     | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>       |
| Me                   | H                     | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>       | Me                   | H                     | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>       |
| Me                   | H                     | 2-MeOPh               | CF <sub>3</sub>       | Me                   | H                     | 2-MeOPh               | CF <sub>3</sub>       |
| Me                   | H                     | 3-F-2-pyridyl         | CF <sub>3</sub>       | Me                   | H                     | 3-F-2-pyridyl         | CF <sub>3</sub>       |

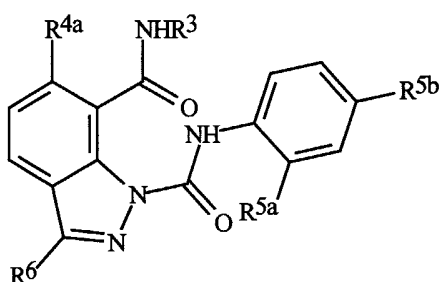
| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                           | CF <sub>3</sub>                         | Et                   | H                     | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                            | Br                                      | Me                   | H                     | H                            | Br                                      |
| Me                   | Me                    | H                            | Cl                                      | Me                   | H                     | H                            | Cl                                      |
| Me                   | Me                    | H                            | SCF <sub>3</sub>                        | Me                   | H                     | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Me                           | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | Me                           | OCHF <sub>2</sub>                       |
| Me                   | Me                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | Me                           | Br                                      | Me                   | H                     | Me                           | Br                                      |
| Me                   | Me                    | Me                           | Cl                                      | Me                   | H                     | Me                           | Cl                                      |
| Me                   | Me                    | Me                           | SCF <sub>3</sub>                        | Me                   | H                     | Me                           | SCF <sub>3</sub>                        |
| Me                   | Me                    | Me                           | I                                       | Me                   | H                     | Me                           | I                                       |
| Me                   | Me                    | Me                           | SMe                                     | Me                   | H                     | Me                           | SMe                                     |
| Me                   | Me                    | Me                           | OMe                                     | Me                   | H                     | Me                           | OMe                                     |
| Me                   | Me                    | Me                           | OEt                                     | Me                   | H                     | Me                           | OEt                                     |
| Me                   | Me                    | Me                           | Et                                      | Me                   | H                     | Me                           | Et                                      |
| Me                   | Me                    | Me                           | SO <sub>2</sub> Me                      | Me                   | H                     | Me                           | SO <sub>2</sub> Me                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           |
|----------------------|-----------------------|-----------------------|---------------------------------|----------------------|-----------------------|-----------------------|---------------------------------|
| Me                   | Me                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | H                     | Me                    | SO <sub>2</sub> CF <sub>3</sub> |
| Me                   | Me                    | Et                    | CF <sub>3</sub>                 | Me                   | H                     | Et                    | CF <sub>3</sub>                 |
| Me                   | Me                    | Et                    | Br                              | Me                   | H                     | Et                    | Br                              |
| Me                   | Me                    | Et                    | Cl                              | Me                   | H                     | Et                    | Cl                              |
| Me                   | Me                    | Ph                    | CF <sub>3</sub>                 | Me                   | H                     | Ph                    | CF <sub>3</sub>                 |
| Me                   | Me                    | Ph                    | Br                              | Me                   | H                     | Ph                    | Br                              |
| Me                   | Me                    | Ph                    | Cl                              | Me                   | H                     | Ph                    | Cl                              |
| Me                   | Me                    | 2-pyridyl             | CF <sub>3</sub>                 | Me                   | H                     | 2-pyridyl             | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-pyridyl             | Cl                              | Me                   | H                     | 2-pyridyl             | Cl                              |
| Me                   | Me                    | 2-ClPh                | CF <sub>3</sub>                 | Me                   | H                     | 2-ClPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-ClPh                | OCF <sub>3</sub>                | Me                   | H                     | 2-ClPh                | OCF <sub>3</sub>                |
| Me                   | Me                    | 2-ClPh                | SCHF <sub>2</sub>               | Me                   | H                     | 2-ClPh                | SCHF <sub>2</sub>               |
| Me                   | Me                    | 2-ClPh                | Br                              | Me                   | H                     | 2-ClPh                | Br                              |
| Me                   | Me                    | 2-ClPh                | Cl                              | Me                   | H                     | 2-ClPh                | Cl                              |
| Me                   | Me                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | 3-Cl-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               | Me                   | H                     | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>               |
| Me                   | Me                    | 3-Cl-2-pyridyl        | Br                              | Me                   | H                     | 3-Cl-2-pyridyl        | Br                              |
| Me                   | Me                    | 3-Cl-2-pyridyl        | Cl                              | Me                   | H                     | 3-Cl-2-pyridyl        | Cl                              |
| Me                   | Me                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                 | Me                   | H                     | 3-Br-2-pyridyl        | CF <sub>3</sub>                 |
| Me                   | Me                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                | Me                   | H                     | 3-Br-2-pyridyl        | OCF <sub>3</sub>                |
| Me                   | Me                    | 3-Br-2-pyridyl        | Br                              | Me                   | H                     | 3-Br-2-pyridyl        | Br                              |
| Me                   | Me                    | 3-Br-2-pyridyl        | Cl                              | Me                   | H                     | 3-Br-2-pyridyl        | Cl                              |
| Me                   | Me                    | CF <sub>3</sub>       | Me                              | Me                   | H                     | CF <sub>3</sub>       | Me                              |
| Me                   | Me                    | F                     | CF <sub>3</sub>                 | Me                   | H                     | F                     | CF <sub>3</sub>                 |
| Me                   | Me                    | Cl                    | CF <sub>3</sub>                 | Me                   | H                     | Cl                    | CF <sub>3</sub>                 |
| Me                   | Me                    | <i>n</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | <i>n</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | <i>i</i> -Pr          | CF <sub>3</sub>                 | Me                   | H                     | <i>i</i> -Pr          | CF <sub>3</sub>                 |
| Me                   | Me                    | CF <sub>3</sub>       | CF <sub>3</sub>                 | Me                   | H                     | CF <sub>3</sub>       | CF <sub>3</sub>                 |
| Me                   | Me                    | OMe                   | CF <sub>3</sub>                 | Me                   | H                     | OMe                   | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-BrPh                | CF <sub>3</sub>                 | Me                   | H                     | 2-BrPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-MePh                | CF <sub>3</sub>                 | Me                   | H                     | 2-MePh                | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-CNPh                | CF <sub>3</sub>                 | Me                   | H                     | 2-CNPh                | CF <sub>3</sub>                 |
| Me                   | Me                    | 2-FPh                 | CF <sub>3</sub>                 | Me                   | H                     | 2-FPh                 | CF <sub>3</sub>                 |
| Me                   | Me                    | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | 2,6-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | Me                    | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | 2,4-F <sub>2</sub> Ph | CF <sub>3</sub>                 |
| Me                   | Me                    | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 | Me                   | H                     | 2,5-F <sub>2</sub> Ph | CF <sub>3</sub>                 |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | Me                           | CF <sub>3</sub>                         | Et                   | H                     | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Me                           | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                            | Br                                      | Me                   | Cl                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                            | Cl                                      | Me                   | Cl                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                            | SCF <sub>3</sub>                        | Me                   | Cl                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | CF <sub>3</sub>                         | Me                   | Cl                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | Me                           | OCF <sub>3</sub>                        | Me                   | Cl                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | Me                           | OCHF <sub>2</sub>                       | Me                   | Cl                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | Me                           | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | Br                                      | Me                   | Cl                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | Cl                                      | Me                   | Cl                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | SCF <sub>3</sub>                        | Me                   | Cl                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | I                                       | Me                   | Cl                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | SMe                                     | Me                   | Cl                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | OMe                                     | Me                   | Cl                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                           | OEt                                     | Me                   | Cl                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>           | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|-----------------------|---------------------------------|----------------------|-----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | Me                    | Et                              | Me                   | Cl                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                    | SO <sub>2</sub> Me              | Me                   | Cl                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | Me                    | SO <sub>2</sub> CF <sub>3</sub> | Me                   | Cl                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | Et                    | CF <sub>3</sub>                 | Me                   | Cl                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | Et                    | Br                              | Me                   | Cl                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | Et                    | Cl                              | Me                   | Cl                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | Ph                    | CF <sub>3</sub>                 | Et                   | Cl                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | Ph                    | Br                              | Et                   | Cl                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | Ph                    | Cl                              | Et                   | Cl                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | 2-pyridyl             | CF <sub>3</sub>                 | Et                   | Cl                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | 2-pyridyl             | Cl                              | <i>i</i> -Pr         | Cl                    | Me                           | CF <sub>3</sub>               |

Table 14



| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | H                     | CF <sub>3</sub>                         | Me                   | H                     | H                    | H                     | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | H                     | OCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | H                     | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | H                     | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | H                     | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | H                     | Me                   | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | H                     | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | H                     | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | H                     | Br                                      | Me                   | H                     | H                    | H                     | Br                                      |
| Me                   | H                     | Me                   | H                     | Cl                                      | Me                   | H                     | H                    | H                     | Cl                                      |
| Me                   | H                     | Me                   | H                     | SCF <sub>3</sub>                        | Me                   | H                     | H                    | H                     | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Me                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Me                    | OCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | OCHF <sub>2</sub>                       | Me                   | H                     | H                    | Me                    | OCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | H                     | Me                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | H                     | Me                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | H                     | Me                   | Me                    | Br                                      | Me                   | H                     | H                    | Me                    | Br                                      |
| Me                   | H                     | Me                   | Me                    | Cl                                      | Me                   | H                     | H                    | Me                    | Cl                                      |
| Me                   | H                     | Me                   | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | H                    | Me                    | SCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | Me                    | I                                       | Me                   | H                     | H                    | Me                    | I                                       |
| Me                   | H                     | Me                   | Me                    | SMe                                     | Me                   | H                     | H                    | Me                    | SMe                                     |
| Me                   | H                     | Me                   | Me                    | OMe                                     | Me                   | H                     | H                    | Me                    | OMe                                     |
| Me                   | H                     | Me                   | Me                    | OEt                                     | Me                   | H                     | H                    | Me                    | OEt                                     |
| Me                   | H                     | Me                   | Me                    | Et                                      | Me                   | H                     | H                    | Me                    | Et                                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> Me                      |
| Me                   | H                     | Me                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | H                     | Me                   | Et                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Et                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Et                    | Br                                      | Me                   | H                     | H                    | Et                    | Br                                      |
| Me                   | H                     | Me                   | Et                    | Cl                                      | Me                   | H                     | H                    | Et                    | Cl                                      |
| Me                   | H                     | Me                   | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | H                    | Ph                    | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Ph                    | Br                                      | Me                   | H                     | H                    | Ph                    | Br                                      |
| Me                   | H                     | Me                   | Ph                    | Cl                                      | Me                   | H                     | H                    | Ph                    | Cl                                      |
| Me                   | H                     | Me                   | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-pyridyl             | Cl                                      | Me                   | H                     | H                    | 2-pyridyl             | Cl                                      |
| Me                   | H                     | Me                   | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 2-ClPh                | Br                                      | Me                   | H                     | H                    | 2-ClPh                | Br                                      |
| Me                   | H                     | Me                   | 2-ClPh                | Cl                                      | Me                   | H                     | H                    | 2-ClPh                | Cl                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Br                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | H                     | Me                   | 3-Cl-2-pyridyl        | Cl                                      | Me                   | H                     | H                    | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Br                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Br                                      |
| Me                   | H                     | Me                   | 3-Br-2-pyridyl        | Cl                                      | Me                   | H                     | H                    | 3-Br-2-pyridyl        | Cl                                      |
| Me                   | H                     | Me                   | CF <sub>3</sub>       | Me                                      | Me                   | H                     | H                    | CF <sub>3</sub>       | Me                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|
| Me                   | H                     | Me                   | F                            | CF <sub>3</sub>                         | Me                   | H                     | H                    | F                            | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | Cl                           | CF <sub>3</sub>                         | Me                   | H                     | H                    | Cl                           | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | <i>n</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | <i>i</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | CF <sub>3</sub>              | CF <sub>3</sub>                         | Me                   | H                     | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | OMe                          | CF <sub>3</sub>                         | Me                   | H                     | H                    | OMe                          | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-BrPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-BrPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MePh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MePh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-CNPh                       | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-CNPh                       | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-FPh                        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-FPh                        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | H                    | 2-MeOPh                      | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         |
| Me                   | H                     | Me                   | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | H                            | OCF <sub>3</sub>                        | Et                   | H                     | H                    | H                            | OCF <sub>3</sub>                        |
| Et                   | H                     | Me                   | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Et                   | H                     | Me                   | Me                           | CF <sub>3</sub>                         | Et                   | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Et                   | H                     | Me                   | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           |
| <i>i</i> -Pr         | H                     | Me                   | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | H                    | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | H                            | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                            | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | H                            | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | H                            | Br                                      | Me                   | H                     | Cl                   | H                            | Br                                      |
| Me                   | Me                    | H                    | H                            | Cl                                      | Me                   | H                     | Cl                   | H                            | Cl                                      |
| Me                   | Me                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | H                            | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Me                           | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                           | OCF <sub>3</sub>                        |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|
| Me                   | Me                    | H                    | H                     | OCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | Me                    | OCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | H                     | Cl                   | Me                    | C <sub>2</sub> F <sub>5</sub>           |
| Me                   | Me                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | H                     | Cl                   | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       |
| Me                   | Me                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | H                     | Cl                   | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> |
| Me                   | Me                    | H                    | Me                    | Br                                      | Me                   | H                     | Cl                   | Me                    | Br                                      |
| Me                   | Me                    | H                    | Me                    | Cl                                      | Me                   | H                     | Cl                   | Me                    | Cl                                      |
| Me                   | Me                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | H                     | Cl                   | Me                    | SCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | Me                    | I                                       | Me                   | H                     | Cl                   | Me                    | I                                       |
| Me                   | Me                    | H                    | Me                    | SMe                                     | Me                   | H                     | Cl                   | Me                    | SMe                                     |
| Me                   | Me                    | H                    | Me                    | OMe                                     | Me                   | H                     | Cl                   | Me                    | OMe                                     |
| Me                   | Me                    | H                    | Me                    | OE <sub>t</sub>                         | Me                   | H                     | Cl                   | Me                    | OE <sub>t</sub>                         |
| Me                   | Me                    | H                    | Me                    | Et                                      | Me                   | H                     | Cl                   | Me                    | Et                                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> Me                      |
| Me                   | Me                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | H                     | Cl                   | Me                    | SO <sub>2</sub> CF <sub>3</sub>         |
| Me                   | Me                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Et                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Et                    | Br                                      | Me                   | H                     | Cl                   | Et                    | Br                                      |
| Me                   | Me                    | H                    | Et                    | Cl                                      | Me                   | H                     | Cl                   | Et                    | Cl                                      |
| Me                   | Me                    | H                    | Ph                    | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Ph                    | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | Ph                    | Br                                      | Me                   | H                     | Cl                   | Ph                    | Br                                      |
| Me                   | Me                    | H                    | Ph                    | Cl                                      | Me                   | H                     | Cl                   | Ph                    | Cl                                      |
| Me                   | Me                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-pyridyl             | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-pyridyl             | Cl                                      | Me                   | H                     | Cl                   | 2-pyridyl             | Cl                                      |
| Me                   | Me                    | H                    | 2-ClPh                | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-ClPh                | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 2-ClPh                | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 2-ClPh                | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 2-ClPh                | SCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | 2-ClPh                | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 2-ClPh                | Br                                      | Me                   | H                     | Cl                   | 2-ClPh                | Br                                      |
| Me                   | Me                    | H                    | 2-ClPh                | Cl                                      | Me                   | H                     | Cl                   | 2-ClPh                | Cl                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | SCHF <sub>2</sub>                       |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Br                                      | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Br                                      |
| Me                   | Me                    | H                    | 3-Cl-2-pyridyl        | Cl                                      | Me                   | H                     | Cl                   | 3-Cl-2-pyridyl        | Cl                                      |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | CF <sub>3</sub>                         |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | OCF <sub>3</sub>                        |
| Me                   | Me                    | H                    | 3-Br-2-pyridyl        | Br                                      | Me                   | H                     | Cl                   | 3-Br-2-pyridyl        | Br                                      |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|------------------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Me                    | H                    | 3-Br-2-pyridyl               | Cl                                      | Me                   | H                     | Cl                   | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | Me                                      | Me                   | H                     | Cl                   | CF <sub>3</sub>              | Me                            |
| Me                   | Me                    | H                    | F                            | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | F                            | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | Cl                           | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | Cl                           | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | OMe                          | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | OMe                          | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-BrPh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MePh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-CNPh                       | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-FPh                        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 2-MeOPh                      | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Me                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>                         | Me                   | H                     | Cl                   | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | H                            | OCF <sub>3</sub>                        | Et                   | H                     | Cl                   | H                            | OCF <sub>3</sub>              |
| Et                   | Me                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | H                            | C <sub>2</sub> F <sub>5</sub> |
| Et                   | Me                    | H                    | Me                           | CF <sub>3</sub>                         | Et                   | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Et                   | Me                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub>           | Et                   | H                     | Cl                   | Me                           | C <sub>2</sub> F <sub>5</sub> |
| <i>i</i> -Pr         | Me                    | H                    | Me                           | CF <sub>3</sub>                         | <i>i</i> -Pr         | H                     | Cl                   | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 2-ClPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-ClPh                       | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 2-ClPh                       | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | 2-ClPh                       | Br                            |
| Me                   | Cl                    | H                    | H                            | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 2-ClPh                       | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | H                            | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | H                            | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | SCHF <sub>2</sub>             |
| Me                   | Cl                    | H                    | H                            | Br                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | H                            | Cl                                      | Me                   | Cl                    | H                    | 3-Cl-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | H                            | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | CF <sub>3</sub>               |

| <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u> | <u>R<sup>5b</sup></u>                   | <u>R<sup>3</sup></u> | <u>R<sup>4a</sup></u> | <u>R<sup>6</sup></u> | <u>R<sup>5a</sup></u>        | <u>R<sup>5b</sup></u>         |
|----------------------|-----------------------|----------------------|-----------------------|-----------------------------------------|----------------------|-----------------------|----------------------|------------------------------|-------------------------------|
| Me                   | Cl                    | H                    | Me                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Br                            |
| Me                   | Cl                    | H                    | Me                    | OCHF <sub>2</sub>                       | Me                   | Cl                    | H                    | 3-Br-2-pyridyl               | Cl                            |
| Me                   | Cl                    | H                    | Me                    | C <sub>2</sub> F <sub>5</sub>           | Me                   | Cl                    | H                    | CF <sub>3</sub>              | Me                            |
| Me                   | Cl                    | H                    | Me                    | OCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | F                            | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>2</sub> CHF <sub>2</sub>       | Me                   | Cl                    | H                    | Cl                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>n</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>n</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | Me                   | Cl                    | H                    | <i>i</i> -Pr                 | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Br                                      | Me                   | Cl                    | H                    | CF <sub>3</sub>              | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Cl                                      | Me                   | Cl                    | H                    | OMe                          | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SCF <sub>3</sub>                        | Me                   | Cl                    | H                    | 2-BrPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | I                                       | Me                   | Cl                    | H                    | 2-MePh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SMe                                     | Me                   | Cl                    | H                    | 2-CNPh                       | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OMe                                     | Me                   | Cl                    | H                    | 2-FPh                        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | OEt                                     | Me                   | Cl                    | H                    | 2,6-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | Et                                      | Me                   | Cl                    | H                    | 2,4-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> Me                      | Me                   | Cl                    | H                    | 2,5-F <sub>2</sub> Ph        | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Me                    | SO <sub>2</sub> CF <sub>3</sub>         | Me                   | Cl                    | H                    | 2-MeOPh                      | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | CF <sub>3</sub>                         | Me                   | Cl                    | H                    | 3-F-2-pyridyl                | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Br                                      | Me                   | Cl                    | H                    | 3-CF <sub>3</sub> -2-pyridyl | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Et                    | Cl                                      | Me                   | Cl                    | H                    | 3-Me-2-pyridyl               | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | Ph                    | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | H                            | OCF <sub>3</sub>              |
| Me                   | Cl                    | H                    | Ph                    | Br                                      | Et                   | Cl                    | H                    | H                            | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | Ph                    | Cl                                      | Et                   | Cl                    | H                    | Me                           | CF <sub>3</sub>               |
| Me                   | Cl                    | H                    | 2-pyridyl             | CF <sub>3</sub>                         | Et                   | Cl                    | H                    | Me                           | C <sub>2</sub> F <sub>5</sub> |
| Me                   | Cl                    | H                    | 2-pyridyl             | Cl                                      | <i>i</i> -Pr         | Cl                    | H                    | Me                           | CF <sub>3</sub>               |

### Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions,

emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wetttable") or water-soluble. Active ingredient can be (micro)encapsulated and further  
 5 formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

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

|                                                                               | Weight Percent               |                |                   |
|-------------------------------------------------------------------------------|------------------------------|----------------|-------------------|
|                                                                               | <u>Active<br/>Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Water-Dispersible and Water-soluble<br>Granules, Tablets and Powders.         | 5-90                         | 0-94           | 1-15              |
| Suspensions, Emulsions, Solutions<br>(including Emulsifiable<br>Concentrates) | 5-50                         | 40-95          | 0-15              |
| Dusts                                                                         | 1-25                         | 70-99          | 0-5               |
| Granules and Pellets                                                          | 0.01-99                      | 5-99.99        | 0-15              |
| High Strength Compositions                                                    | 90-99                        | 0-10           | 0-2               |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950.  
 15 *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

20 Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example,  
 25 clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins,

alkylbenzenes, alkyl-naphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

5 Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning,  
10 "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and PCT Publication WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S.  
15 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. R. Roberts, Eds.,  
20 Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.  
25

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Table A.

#### Example A

##### 30 Wettable Powder

|                                         |       |
|-----------------------------------------|-------|
| Compound 1                              | 65.0% |
| dodecylphenol polyethylene glycol ether | 2.0%  |
| sodium ligninsulfonate                  | 4.0%  |
| sodium silicoaluminate                  | 6.0%  |
| 35 montmorillonite (calcined)           | 23.0% |

Example BGranule

|   |                                                                                       |        |
|---|---------------------------------------------------------------------------------------|--------|
|   | Compound 1                                                                            | 10.0%  |
| 5 | attapulgitic granules (low volatile matter,<br>0.71/0.30 mm; U.S.S. No. 25–50 sieves) | 90.0%. |

Example CExtruded Pellet

|    |                                   |        |
|----|-----------------------------------|--------|
|    | Compound 1                        | 25.0%  |
|    | anhydrous sodium sulfate          | 10.0%  |
| 10 | crude calcium ligninsulfonate     | 5.0%   |
|    | sodium alkyl naphthalenesulfonate | 1.0%   |
|    | calcium/magnesium bentonite       | 59.0%. |

Example DEmulsifiable Concentrate

|    |                                                               |        |
|----|---------------------------------------------------------------|--------|
| 15 | Compound 1                                                    | 20.0%  |
|    | blend of oil soluble sulfonates<br>and polyoxyethylene ethers | 10.0%  |
|    | isophorone                                                    | 70.0%. |

Example E20 Granule

|  |            |        |
|--|------------|--------|
|  | Compound 1 | 0.5%   |
|  | cellulose  | 2.5%   |
|  | lactose    | 4.0%   |
|  | cornmeal   | 93.0%. |

25 Compounds of this invention are characterized by favorable metabolic and/or soil residual patterns and exhibit activity controlling a spectrum of agronomic and non-agronomic invertebrate pests. (In the context of this disclosure "invertebrate pest control" means inhibition of invertebrate pest development (including mortality) that causes significant reduction in feeding or other injury or damage caused by the pest; related

30 expressions are defined analogously.) As referred to in this disclosure, the term "invertebrate pest" includes arthropods, gastropods and nematodes of economic importance as pests. The term "arthropod" includes insects, mites, spiders, scorpions, centipedes, millipedes, pill bugs and symphylans. The term "gastropod" includes snails, slugs and other Stylommatophora. The term "nematode" includes all of the helminths, such as:

35 roundworms, heartworms, and phytophagous nematodes (Nematoda), flukes (Trematoda), Acanthocephala, and tapeworms (Cestoda). Those skilled in the art will recognize that not all compounds are equally effective against all pests. Compounds of this invention display

activity against economically important agronomic, forest, greenhouse, nursery, ornamentals, food and fiber, public and animal health, domestic and commercial structure, household, and stored product pests. These include larvae of the order Lepidoptera, such as armyworms, cutworms, loopers, and heliothines in the family Noctuidae (e.g., fall

5 armyworm (*Spodoptera fugiperda* J. E. Smith), beet armyworm (*Spodoptera exigua* Hübner), black cutworm (*Agrotis ipsilon* Hufnagel), cabbage looper (*Trichoplusia ni* Hübner), tobacco budworm (*Heliothis virescens* Fabricius)); borers, casebearers, webworms, coneworms, cabbageworms and skeletonizers from the family Pyralidae (e.g., European corn borer (*Ostrinia nubilalis* Hübner), navel orangeworm (*Amyelois transitella* Walker), corn

10 root webworm (*Crambus caliginosellus* Clemens), sod webworm (*Herpetogramma licarsisalis* Walker)); leafrollers, budworms, seed worms, and fruit worms in the family Tortricidae (e.g., codling moth (*Cydia pomonella* Linnaeus), grape berry moth (*Endopiza viteana* Clemens), oriental fruit moth (*Grapholita molesta* Busck)); and many other economically important lepidoptera (e.g., diamondback moth (*Plutella xylostella* Linnaeus),

15 pink bollworm (*Pectinophora gossypiella* Saunders), gypsy moth (*Lymantria dispar* Linnaeus)); nymphs and adults of the order Blattodea including cockroaches from the families Blattellidae and Blattidae (e.g., oriental cockroach (*Blatta orientalis* Linnaeus), Asian cockroach (*Blatella asahinai* Mizukubo), German cockroach (*Blattella germanica* Linnaeus), brownbanded cockroach (*Supella longipalpa* Fabricius), American cockroach

20 (*Periplaneta americana* Linnaeus), brown cockroach (*Periplaneta brunnea* Burmeister), Madeira cockroach (*Leucophaea maderae* Fabricius)); foliar feeding larvae and adults of the order Coleoptera including weevils from the families Anthribidae, Bruchidae, and Curculionidae (e.g., boll weevil (*Anthonomus grandis* Boheman), rice water weevil

25 (*Lissorhoptrus oryzophilus* Kuschel), granary weevil (*Sitophilus granarius* Linnaeus), rice weevil (*Sitophilus oryzae* Linnaeus)); flea beetles, cucumber beetles, rootworms, leaf beetles, potato beetles, and leafminers in the family Chrysomelidae (e.g., Colorado potato beetle (*Leptinotarsa decemlineata* Say), western corn rootworm (*Diabrotica virgifera* LeConte)); chafers and other beetles from the family Scarabaeidae (e.g., Japanese beetle (*Popillia japonica* Newman) and European chafer (*Rhizotrogus majalis*

30 Razoumowsky)); carpet beetles from the family Dermestidae; wireworms from the family Elateridae; bark beetles from the family Scolytidae and flour beetles from the family Tenebrionidae. In addition it includes: adults and larvae of the order Dermaptera including earwigs from the family Forficulidae (e.g., European earwig (*Forficula auricularia* Linnaeus), black earwig (*Chelisoches morio* Fabricius)); adults and nymphs of the orders

35 Hemiptera and Homoptera such as, plant bugs from the family Miridae, cicadas from the family Cicadidae, leafhoppers (e.g. *Empoasca* spp.) from the family Cicadellidae, planthoppers from the families Fulgoroidae and Delphacidae, treehoppers from the family Membracidae, psyllids from the family Psyllidae, whiteflies from the family Aleyrodidae,

aphids from the family Aphididae, phylloxera from the family Phylloxeridae, mealybugs from the family Pseudococcidae, scales from the families Coccidae, Diaspididae and Margarodidae, lace bugs from the family Tingidae, stink bugs from the family Pentatomidae, cinch bugs (e.g., *Blissus* spp.) and other seed bugs from the family Lygaeidae, spittlebugs from the family Cercopidae squash bugs from the family Coreidae, and red bugs and cotton stainers from the family Pyrrhocoridae. Also included are adults and larvae of the order Acari (mites) such as spider mites and red mites in the family Tetranychidae (e.g., European red mite (*Panonychus ulmi* Koch), two spotted spider mite (*Tetranychus urticae* Koch), McDaniel mite (*Tetranychus mcdanieli* McGregor)), flat mites in the family Tenuipalpidae (e.g., citrus flat mite (*Brevipalpus lewisi* McGregor)), rust and bud mites in the family Eriophyidae and other foliar feeding mites and mites important in human and animal health, i.e. dust mites in the family Epidermoptidae, follicle mites in the family Demodicidae, grain mites in the family Glycyphagidae, ticks in the order Ixodidae (e.g., deer tick (*Ixodes scapularis* Say), Australian paralysis tick (*Ixodes holocyclus* Neumann), American dog tick (*Dermacentor variabilis* Say), lone star tick (*Amblyomma americanum* Linnaeus) and scab and itch mites in the families Psoroptidae, Pyemotidae, and Sarcoptidae; adults and immatures of the order Orthoptera including grasshoppers, locusts and crickets (e.g., migratory grasshoppers (e.g., *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), American grasshoppers (e.g., *Schistocerca americana* Drury), desert locust (*Schistocerca gregaria* Forskal), migratory locust (*Locusta migratoria* Linnaeus), house cricket (*Acheta domesticus* Linnaeus), mole crickets (*Gryllotalpa* spp.)); adults and immatures of the order Diptera including leafminers, midges, fruit flies (Tephritidae), frit flies (e.g., *Oscinella frit* Linnaeus), soil maggots, house flies (e.g., *Musca domestica* Linnaeus), lesser house flies (e.g., *Fannia canicularis* Linnaeus, *F. femoralis* Stein), stable flies (e.g., *Stomoxys calcitrans* Linnaeus), face flies, horn flies, blow flies (e.g., *Chrysomya* spp., *Phormia* spp.), and other muscoid fly pests, horse flies (e.g., *Tabanus* spp.), bot flies (e.g., *Gastrophilus* spp., *Oestrus* spp.), cattle grubs (e.g., *Hypoderma* spp.), deer flies (e.g., *Chrysops* spp.), keds (e.g., *Melophagus ovinus* Linnaeus) and other Brachycera, mosquitoes (e.g., *Aedes* spp., *Anopheles* spp., *Culex* spp.), black flies (e.g., *Prosimulium* spp., *Simulium* spp.), biting midges, sand flies, sciarids, and other Nematocera; adults and immatures of the order Thysanoptera including onion thrips (*Thrips tabaci* Lindeman) and other foliar feeding thrips; insect pests of the order Hymenoptera including ants (e.g., red carpenter ant (*Camponotus ferrugineus* Fabricius), black carpenter ant (*Camponotus pennsylvanicus* De Geer), Pharaoh ant (*Monomorium pharaonis* Linnaeus), little fire ant (*Wasmannia auropunctata* Roger), fire ant (*Solenopsis geminata* Fabricius), red imported fire ant (*Solenopsis invicta* Buren), Argentine ant (*Iridomyrmex humilis* Mayr), crazy ant (*Paratrechina longicornis* Latreille), pavement ant (*Tetramorium caespitum* Linnaeus), cornfield ant (*Lasius alienus* Förster), odorous house ant (*Tapinoma sessile* Say)), bees

(including carpenter bees), hornets, yellow jackets and wasps; insect pests of the order Isoptera including the eastern subterranean termite (*Reticulitermes flavipes* Kollar), western subterranean termite (*Reticulitermes hesperus* Banks), Formosan subterranean termite (*Coptotermes formosanus* Shiraki), West Indian drywood termite (*Incisitermes immigrans* Snyder) and other termites of economic importance; insect pests of the order Thysanura such as silverfish (*Lepisma saccharina* Linnaeus) and firebrat (*Thermobia domestica* Packard); insect pests of the order Mallophaga and including the head louse (*Pediculus humanus capitis* De Geer), body louse (*Pediculus humanus humanus* Linnaeus), chicken body louse (*Menacanthus stramineus* Nitsch), dog biting louse (*Trichodectes canis* De Geer), fluff louse (*Goniocotes gallinae* De Geer), sheep body louse (*Bovicola ovis* Schrank), short-nosed cattle louse (*Haematopinus eurysternus* Nitzsch), long-nosed cattle louse (*Linognathus vituli* Linnaeus) and other sucking and chewing parasitic lice that attack man and animals; insect pests of the order Siphonoptera including the oriental rat flea (*Xenopsylla cheopis* Rothschild), cat flea (*Ctenocephalides felis* Bouche), dog flea (*Ctenocephalides canis* Curtis), hen flea (*Ceratophyllus gallinae* Schrank), sticktight flea (*Echidnophaga gallinacea* Westwood), human flea (*Pulex irritans* Linnaeus) and other fleas afflicting mammals and birds. Additional arthropod pests covered include: spiders in the order Araneae such as the brown recluse spider (*Loxosceles reclusa* Gertsch & Mulaik) and the black widow spider (*Latrodectus mactans* Fabricius), and centipedes in the order Scutigermorpha such as the house centipede (*Scutigera coleoptrata* Linnaeus). Activity also includes members of the Classes Nematoda, Cestoda, Trematoda, and Acanthocephala including economically important members of the orders Strongylida, Ascaridida, Oxyurida, Rhabditida, Spirurida, and Enoplida such as but not limited to economically important agricultural pests (i.e. root knot nematodes in the genus *Meloidogyne*, lesion nematodes in the genus *Pratylenchus*, stubby root nematodes in the genus *Trichodorus*, etc.) and animal and human health pests (i.e. all economically important flukes, tapeworms, and roundworms, such as *Strongylus vulgaris* in horses, *Toxocara canis* in dogs, *Haemonchus contortus* in sheep, *Dirofilaria immitis* Leidy in dogs, *Anoplocephala perfoliata* in horses, *Fasciola hepatica* Linnaeus in ruminants, etc.).

Compounds of the invention show particularly high activity against pests in the order Lepidoptera (e.g., *Alabama argillacea* Hübner (cotton leaf worm), *Archips argyrospila* Walker (fruit tree leaf roller), *A. rosana* Linnaeus (European leaf roller) and other *Archips* species, *Chilo suppressalis* Walker (rice stem borer), *Cnaphalocrosis medinalis* Guenee (rice leaf roller), *Crambus caliginosellus* Clemens (corn root webworm), *Crambus teterrellus* Zincken (bluegrass webworm), *Cydia pomonella* Linnaeus (codling moth), *Earias insulana* Boisduval (spiny bollworm), *Earias vittella* Fabricius (spotted bollworm), *Helicoverpa armigera* Hübner (American bollworm), *Helicoverpa zea* Boddie (corn earworm), *Heliothis virescens* Fabricius (tobacco budworm), *Herpetogramma licarsisalis* Walker (sod

webworm), *Lobesia botrana* Denis & Schiffermüller (grape berry moth), *Pectinophora gossypiella* Saunders (pink bollworm), *Phyllocnistis citrella* Stainton (citrus leafminer), *Pieris brassicae* Linnaeus (large white butterfly), *Pieris rapae* Linnaeus (small white butterfly), *Plutella xylostella* Linnaeus (diamondback moth), *Spodoptera exigua* Hübner (beet armyworm), *Spodoptera litura* Fabricius (tobacco cutworm, cluster caterpillar), *Spodoptera frugiperda* J. E. Smith (fall armyworm), *Trichoplusia ni* Hübner (cabbage looper) and *Tuta absoluta* Meyrick (tomato leafminer)). Compounds of the invention also have commercially significant activity on members from the order Homoptera including: *Acyrtosiphon pisum* Harris (pea aphid), *Aphis craccivora* Koch (cowpea aphid), *Aphis fabae* Scopoli (black bean aphid), *Aphis gossypii* Glover (cotton aphid, melon aphid), *Aphis pomi* De Geer (apple aphid), *Aphis spiraecola* Patch (spirea aphid), *Aulacorthum solani* Kaltenbach (foxglove aphid), *Chaetosiphon fragaefolii* Cockerell (strawberry aphid), *Diuraphis noxia* Kurdjumov/Mordvilko (Russian wheat aphid), *Dysaphis plantaginea* Paaserini (rosy apple aphid), *Eriosoma lanigerum* Hausmann (woolly apple aphid), *Hyalopterus pruni* Geoffroy (mealy plum aphid), *Lipaphis erysimi* Kaltenbach (turnip aphid), *Metopolophium dirrhodum* Walker (cereal aphid), *Macrosiphum euphorbiae* Thomas (potato aphid), *Myzus persicae* Sulzer (peach-potato aphid, green peach aphid), *Nasonovia ribisnigri* Mosley (lettuce aphid), *Pemphigus* spp. (root aphids and gall aphids), *Rhopalosiphum maidis* Fitch (corn leaf aphid), *Rhopalosiphum padi* Linnaeus (bird cherry-oat aphid), *Schizaphis graminum* Rondani (greenbug), *Sitobion avenae* Fabricius (English grain aphid), *Therioaphis maculata* Buckton (spotted alfalfa aphid), *Toxoptera aurantii* Boyer de Fonscolombe (black citrus aphid), and *Toxoptera citricida* Kirkaldy (brown citrus aphid); *Adelges* spp. (adelgids); *Phylloxera devastatrix* Pergande (pecan phylloxera); *Bemisia tabaci* Gennadius (tobacco whitefly, sweetpotato whitefly), *Bemisia argentifolii* Bellows & Perring (silverleaf whitefly), *Dialeurodes citri* Ashmead (citrus whitefly) and *Trialeurodes vaporariorum* Westwood (greenhouse whitefly); *Empoasca fabae* Harris (potato leafhopper), *Laodelphax striatellus* Fallen (smaller brown planthopper), *Macrolestes quadrilineatus* Forbes (aster leafhopper), *Nephotettix cincticeps* Uhler (green leafhopper), *Nephotettix nigropictus* Stål (rice leafhopper), *Nilaparvata lugens* Stål (brown planthopper), *Peregrinus maidis* Ashmead (corn planthopper), *Sogatella furcifera* Horvath (white-backed planthopper), *Sogatodes orizicola* Muir (rice delphacid), *Typhlocyba pomaria* McAtee white apple leafhopper, *Erythroneoura* spp. (grape leafhoppers); *Magicidada septendecim* Linnaeus (periodical cicada); *Icerya purchasi* Maskell (cottony cushion scale), *Quadrapsidiotus perniciosus* Comstock (San Jose scale); *Planococcus citri* Risso (citrus mealybug); *Pseudococcus* spp. (other mealybug complex); *Cacopsylla pyricola* Foerster (pear psylla), *Trioza diospyri* Ashmead (persimmon psylla). These compounds also have activity on members from the order Hemiptera including: *Acrosternum hilare* Say (green stink bug), *Anasa tristis* De Geer (squash bug), *Blissus leucopterus leucopterus* Say (chinch

bug), *Corythuca gossypii* Fabricius (cotton lace bug), *Cyrtopeltis modesta* Distant (tomato bug), *Dysdercus suturellus* Herrich-Schäffer (cotton stainer), *Euchistus servus* Say (brown stink bug), *Euchistus variolarius* Palisot de Beauvois (one-spotted stink bug), *Graptostethus* spp. (complex of seed bugs), *Leptoglossus corculus* Say (leaf-footed pine seed bug), *Lygus*  
 5 *lineolaris* Palisot de Beauvois (tarnished plant bug), *Nezara viridula* Linnaeus (southern green stink bug), *Oebalus pugnax* Fabricius (rice stink bug), *Oncopeltus fasciatus* Dallas (large milkweed bug), *Pseudatomoscelis seriatus* Reuter (cotton fleahopper). Other insect orders controlled by compounds of the invention include Thysanoptera (e.g., *Frankliniella occidentalis* Pergande (western flower thrip), *Scirtothrips citri* Moulton (citrus thrip),  
 10 *Sericothrips variabilis* Beach (soybean thrip), and *Thrips tabaci* Lindeman (onion thrip); and the order Coleoptera (e.g., *Leptinotarsa decemlineata* Say (Colorado potato beetle), *Epilachna varivestis* Mulsant (Mexican bean beetle) and wireworms of the genera *Agriotes*, *Athous* or *Limonius*).

In accordance with this invention, compounds of Formula I, their *N*-oxides or salts  
 15 thereof, and/or compounds of Formula II, their *N*-oxides or salts thereof, can be mixed with one or more other biologically active compounds or agents, e.g. a compound different from a compound of Formula I and/or Formula II and their *N*-oxides and salts, as the case may be, including insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators  
 20 such as rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agricultural utility. Thus, compositions of the present invention comprising at least one  
 Formula I compound and/or Formula II compound can also comprise at least one other  
 25 biologically active compound or agent selected from the group consisting of an other insecticide, a fungicide, a nematocide, a bactericide, an acaricide, a growth regulator, a rooting stimulant, a chemosteilant, a semiochemical, a repellent, an attractant, a pheromone, a feeding stimulant, and an entomopathogenic bacterium, virus or fungus. Such  
 compositions can further comprise at least one additional component selected from the group consisting of a surfactant, a solid diluent, and a liquid diluent.

30 Examples of other biologically active compounds or agents useful in this invention include: insecticides such as abamectin, acephate, acetamiprid, avermectin, azadirachtin, azinphos-methyl, bifenthrin, binfenazate, buprofezin, carbofuran, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin,  
 35 diafenthiuron, diazinon, diflubenzuron, dimethoate, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothicarb, fenoxycarb, fenpropathrin, fenproximate, fenvalerate, fipronil, flonicamid, flucythrinate, tau-fluvalinate, flufenoxuron, fonophos, halofenozide, hexaflumuron, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaldehyde,

methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, methoxyfenozide, nithiazin, novaluron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, pymetrozine, pyridalyl, pyriproxyfen, rotenone, spinosad, sulprofos, tebufenozide, teflubenzuron, tefluthrin, 5 terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, carpropamid, captan, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, (*S*)-3,5-dichloro-*N*-(3- 10 chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (*S*)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4*H*-imidazol-4-one (RP 407213), dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, 15 fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric 20 methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenox, pyraclostrobin, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, tiadinil, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin and vinclozolin; nematocides such as aldicarb, oxamyl and 25 fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis* including ssp. *aizawai* and *kurstaki*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

30 A general reference for these agricultural protectants is *The Pesticide Manual, 12th Edition*, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2000.

Of note are compositions which comprise at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof, and a compound 35 of Formula II, an *N*-oxide or a salt thereof; and at least one other biologically active compound or agent selected from the group consisting of abamectin, acephate, acetamiprid, avermectin, azadirachtin, azinphos-methyl, bifenthrin, binfenazate, buprofezin, carbofuran, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide,

clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothicarb, fenoxycarb, fenpropathrin, fenproximate, fenvalerate, fipronil, flonicamid, flucythrinate, tau-fluvalinate, flufenoxuron, 5 fonophos, halofenozide, hexaflumuron, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, methoxyfenozide, nithiazin, novaluron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, pymetrozine, pyridalyl, pyriproxyfen, rotenone, spinosad, sulprofos, 10 tebufenozide, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, trichlorfon and triflumuron, aldicarb, oxamyl, fenamiphos, amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben, tebufenpyrad, *Bacillus thuringiensis*, *Bacillus* 15 *thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

Preferred compositions include compositions which comprise at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof and a compound of Formula II, an *N*-oxide or a salt thereof; and at least one other biologically active compound or agent selected from the group consisting of a pyrethroid 20 (e.g. cypermethrin, cyhalothrin, cyfluthrin, beta-cyfluthrin, esfenvalerate, fenvalerate and tralomethrin); a carbamate (e.g. fenothicarb, methomyl, oxamyl and thiodicarb); a neonicotinoid (e.g. clothianidin, imidacloprid and thiacloprid); a neuronal sodium channel blocker (e.g. indoxacarb); an insecticidal macrocyclic lactone (e.g. spinosad, abamectin, avermectin and emamectin); a  $\gamma$ -aminobutyric acid (GABA) antagonist (e.g. endosulfan, 25 ethiprole and fipronil); an insecticidal urea (e.g. flufenoxuron and triflumuron); a juvenile hormone mimic (e.g. diofenolan and pyriproxyfen); pymetrozine; and amitraz. Preferred other biologically active agents useful in compositions of this invention include *Bacillus thuringiensis* and *Bacillus thuringiensis* delta endotoxin as well as naturally occurring and genetically modified viral insecticides including members of the family Baculoviridae as 30 well as entomophagous fungi.

Of note are preferred compositions which comprise at least one compound selected from the group consisting of a compound of Formula I, an *N*-oxide or a salt thereof, and a compound of Formula II, an *N*-oxide or a salt thereof; and at least one biologically active compound or agent selected from the group consisting of cypermethrin, cyhalothrin, 35 cyfluthrin, beta-cyfluthrin, esfenvalerate, fenvalerate, tralomethrin, fenothicarb, methomyl, oxamyl, thiodicarb, clothianidin, imidacloprid, thiacloprid, indoxacarb, spinosad, abamectin, avermectin, emamectin, endosulfan, ethiprole, fipronil, flufenoxuron, triflumuron,

diofenolan, pyriproxyfen, pymetrozine, amitraz, *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin and entomophagous fungi.

Most preferred mixtures useful in the compositions and methods of this invention include a mixture of a compound of this invention with cyhalothrin; a mixture of a  
5 compound of this invention with cyfluthrin; a mixture of a compound of this invention with beta-cyfluthrin; a mixture of a compound of this invention with esfenvalerate; a mixture of a compound of this invention with methomyl; a mixture of a compound of this invention with imidacloprid; a mixture of a compound of this invention with thiacloprid; a mixture of a  
10 compound of this invention with indoxacarb; a mixture of a compound of this invention with abamectin; a mixture of a compound of this invention with endosulfan; a mixture of a compound of this invention with ethiprole; a mixture of a compound of this invention with fipronil; a mixture of a compound of this invention with flufenoxuron; a mixture of a compound of this invention with pyriproxyfen; a mixture of a compound of this invention with pymetrozine; a mixture of a compound of this invention with amitraz; a mixture of a  
15 compound of this invention with *Bacillus thuringiensis* and a mixture of a compound of this invention with *Bacillus thuringiensis* delta endotoxin.

In certain instances, combinations with other invertebrate pest control compounds or agents having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management. Thus, compositions and methods of  
20 the present invention can further comprise or utilize a biologically effective amount of at least one other invertebrate pest control compound or agent having a similar spectrum of control but a different mode of action from the compound of the invention. Contacting a plant genetically modified to express a plant protection compound (e.g., protein) or the locus of the plant with a biologically effective amount of a compound of this invention can also  
25 provide a broader spectrum of plant protection and be advantageous for resistance management.

At least one invertebrate pest is controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying, one or more of the compounds or compositions of this invention, in a biologically effective amount, to the  
30 environment of the pest including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pest to be controlled. Thus, the present invention further comprises a method for the control of at least one foliar- or soil-inhabiting invertebrate and/or protection of agronomic and/or nonagronomic environments, comprising contacting the invertebrate pest or its environment with a biologically effective amount of  
35 one or more of the compounds of the invention, or with a biologically effective amount of a composition comprising at least one such compound, or with a composition comprising at least one such compound and a biologically effective amount of at least biologically effective amount of a one other biologically active compound or agent. Examples of suitable

compositions comprising at least one compound of the invention and at least one other biologically active compound or agent include granular compositions wherein the other biologically active compound is present on the same granule as the compound of the invention or on a granule that is separate from the granule where the compound of this invention is present.

A preferred method of contact is by spraying. Alternatively, a granular composition comprising a compound of the invention can be applied to the plant foliage or the soil. Compounds of this invention are effective in delivery through plant uptake by contacting the plant with a composition comprising a compound of this invention applied as a soil drench of a liquid formulation, a granular formulation to the soil, a nursery box treatment or a dip of transplants. Other methods of contact include application of a compound or a composition of the invention by direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others.

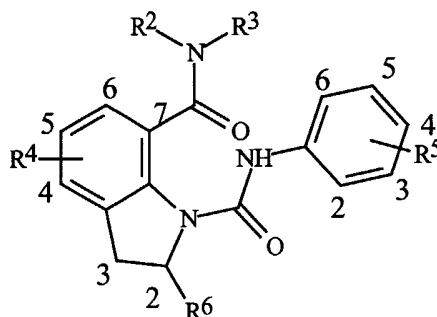
The compounds of this invention can be incorporated into baits that are consumed by the invertebrates or within devices such as traps and the like. Granules or baits comprising between 0.01–5% active ingredient, 0.05–10% moisture retaining agent(s) and 40–99% vegetable flour are effective in controlling soil insects at very low application rates, particularly at doses of active ingredient that are lethal by ingestion rather than by direct contact.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control (i.e. “biologically effective amount”) will depend on such factors as the species of invertebrate to be controlled, the pest’s life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.0001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required. One skilled in the art can easily determine the biologically effective amount necessary for the desired level of invertebrate pest control.

The following Tests in the Biological Examples of the Invention demonstrate the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-E for compound descriptions. The following abbreviations are used in the Index Tables that follow: *t* is tertiary, *n* is normal, *i* is iso, *s* is secondary, Me is methyl, Et is ethyl, Pr is propyl and Bu is butyl; accordingly *i*-Pr is isopropyl, *s*-Bu is secondary butyl, etc. Ac is COCH<sub>3</sub>. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A

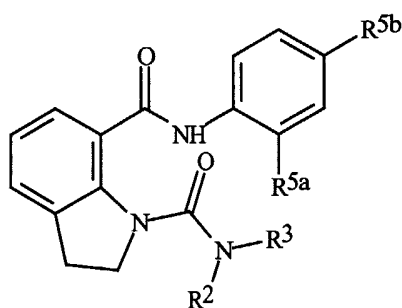


| Compound  | R <sup>2</sup> | R <sup>3</sup> | R <sup>4</sup> | R <sup>5</sup>                             | R <sup>6</sup> | m.p. °C |
|-----------|----------------|----------------|----------------|--------------------------------------------|----------------|---------|
| 1         | <i>i</i> -Pr   | H              | H              | 4-CF <sub>3</sub>                          | H              | 200-202 |
| 2 (Ex. 1) | Me             | H              | H              | 4-CF <sub>3</sub>                          | H              | 198-200 |
| 3         | <i>i</i> -Pr   | H              | 5-Br           | 4-CF <sub>3</sub>                          | H              | *       |
| 4         | <i>i</i> -Pr   | H              | H              | 4- <i>i</i> -C <sub>3</sub> F <sub>7</sub> | H              | *       |
| 5         | Me             | H              | H              | 4- <i>i</i> -C <sub>3</sub> F <sub>7</sub> | H              | 202-204 |
| 12        | Et             | H              | 5-Cl           | 2-Me-4-CF <sub>3</sub>                     | H              | 239-240 |
| 13        | <i>i</i> -Pr   | H              | 5-Cl           | 2-Me-4-CF <sub>3</sub>                     | H              | 238-239 |
| 14        | Et             | H              | 6-Cl           | 2-Me-4-CF <sub>3</sub>                     | H              | 228-230 |
| 15        | <i>i</i> -Pr   | H              | H              | 2-Me-4-CF <sub>3</sub>                     | Me             | 179-180 |
| 16        | <i>i</i> -Pr   | H              | H              | 2-Me-4-OMe                                 | H              | 214-215 |
| 17        | <i>i</i> -Pr   | H              | H              | 3-CF <sub>3</sub> -5-CF <sub>3</sub>       | H              | 235-137 |
| 18        | <i>i</i> -Pr   | H              | H              | 2,3-di-F                                   | H              | 237-238 |
| 19        | <i>i</i> -Pr   | H              | H              | 2-Me-4-Cl                                  | H              | 216-217 |
| 20        | Me             | H              | H              | 2-Me-4-CF <sub>3</sub>                     | Me             | 218-219 |
| 21        | Me             | H              | 6-Cl           | 2-Me-4-CF <sub>3</sub>                     | H              | 225-226 |
| 22        | <i>i</i> -Pr   | H              | H              | 2,3-di-Cl                                  | H              | 239-240 |
| 23        | <i>i</i> -Pr   | H              | H              | 2,4-di-Cl                                  | H              | 209-210 |
| 24        | <i>i</i> -Pr   | H              | H              | 2-Cl-4-CF <sub>3</sub>                     | H              | 196-197 |
| 25        | <i>i</i> -Pr   | H              | H              | 4-OCF <sub>3</sub>                         | H              | 223-224 |

|    |              |   |      |                                                 |    |         |
|----|--------------|---|------|-------------------------------------------------|----|---------|
| 26 | <i>i</i> -Pr | H | H    | 2-CF <sub>3</sub>                               | H  | 241-242 |
| 27 | <i>i</i> -Pr | H | H    | 2-Cl-4-CF <sub>3</sub>                          | Me | 189-190 |
| 28 | Et           | H | 5-Cl | 2-Cl-4-CF <sub>3</sub>                          | H  | 225-227 |
| 29 | <i>i</i> -Pr | H | 5-Cl | 2-Cl-4-CF <sub>3</sub>                          | H  | 224-226 |
| 30 | Me           | H | 5-Cl | 2-Cl-4-CF <sub>3</sub>                          | H  | 245-247 |
| 31 | <i>i</i> -Pr | H | 6-Cl | 2-Me-4-CF <sub>3</sub>                          | H  | 215-217 |
| 32 | <i>i</i> -Pr | H | H    | 2-Me-4-Br                                       | H  | 212-213 |
| 33 | Me           | H | 6-Cl | 2-Me-4- <i>i</i> -C <sub>3</sub> F <sub>7</sub> | H  | 213-214 |

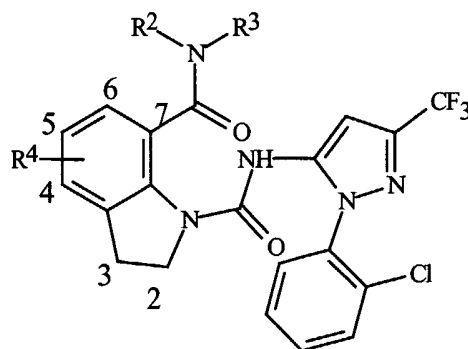
\*See Index Table D for NMR data.

INDEX TABLE B



| Compound   | R <sup>2</sup> | R <sup>3</sup> | R <sup>5a</sup> | R <sup>5b</sup>                         | m.p. °C |
|------------|----------------|----------------|-----------------|-----------------------------------------|---------|
| 6          | <i>i</i> -Pr   | H              | Me              | CF <sub>3</sub>                         | 231-233 |
| 7          | Me             | H              | Me              | CF <sub>3</sub>                         | 261-263 |
| 8          | Et             | H              | Me              | CF <sub>3</sub>                         | 259-260 |
| 9          | Me             | H              | Me              | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | *       |
| 10         | Et             | H              | Me              | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | *       |
| 11 (Ex. 2) | <i>i</i> -Pr   | H              | Me              | <i>i</i> -C <sub>3</sub> F <sub>7</sub> | *       |

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INDEX TABLE C

| Compoun | R <sup>2</sup> | R <sup>3</sup> | R <sup>4</sup> | m.p. °C |
|---------|----------------|----------------|----------------|---------|
| d       |                |                |                |         |
| 34      | <i>i</i> -Pr   | H              | H              | 74-75   |

INDEX TABLE D

| Cmpd No. | <sup>1</sup> H NMR Data (CDCl <sub>3</sub> solution unless indicated otherwise) <sup>a</sup>                                                                                                  |
|----------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 3        | δ 1.1 (6H), 2.3 (3H), 3.1 (2H), 3.9 (1H), 4.1 (2H), 7.3 (1H), 7.5 (3H), 7.7 (1H), 7.9 (1H), 8.7 (1H).                                                                                         |
| 4        | δ 1.14 (d, 6H), 2.20 (s, 3H), 3.13 (t, 2H), 4.03-4.18 (m, 1H), 4.25 (t, 2H), 6.00 (d, 1H), 6.95 (s, 1H), 7.14 (t, 1H), 7.30-7.37 (m, 2H), 7.40 (d, 1H), 7.49 (d, 1H), 8.20 (d, 1H).           |
| 9        | (DMSO-d <sub>6</sub> ) δ: 2.36 (s, 3H), 2.59 (d, 3H), 3.11 (t, 2H), 4.00 (t, 2H), 6.84 (d, 1H), 6.99 (t, 1H), 7.31 (d, 1H), 7.42-7.48 (m, 2H), 7.97 (d, 1H), 9.39 (s, 1H).                    |
| 10       | (DMSO-d <sub>6</sub> ) δ: 0.93 (t, 3H), 2.37 (s, 3H), 3.03 (q, 2H), 3.13 (t, 2H), 4.00 (t, 2H), 6.98 (t, 1H), 7.14 (d, 1H), 7.33 (d, 1H), 7.42-7.46 (m, 2H), 8.04 (d, 1H), 9.29 (s, 1H).      |
| 11       | (DMSO-d <sub>6</sub> ) δ: 0.98 (d, 6H), 2.37 (s, 3H), 3.21 (t, 2H), 3.62-3.71 (m, 1H), 4.01 (t, 1H), 6.73 (d, 1H), 7.00 (t, 1H), 7.32 (d, 2H), 7.41-7.45 (m, 2H), 8.14 (d, 1H), 9.24 (s, 1H). |

<sup>a</sup> <sup>1</sup>H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet, (bs q)-broad quartet.

BIOLOGICAL EXAMPLES OF THE INVENTIONTEST A

- 5 For evaluating control of fall armyworm (*Spodoptera frugiperda*) the test unit consisted of a small open container with a 4–5-day-old corn (maize) plant inside. This was pre-infested with 10–15 1-day-old larvae on a piece of insect diet by use of a core sampler to remove a plug from a sheet of hardened insect diet having many larvae growing on it and transfer the plug containing larvae and diet to the test unit. The larvae moved onto the test
- 10 plant as the diet plug dried out.

- Test compounds were formulated using a solution containing 10% acetone, 90% water and 300 ppm X-77® Spreader Lo-Foam Formula non-ionic surfactant containing alkylarylpoloxyethylene, free fatty acids, glycols and isopropanol (Loveland Industries, Inc. Greeley, Colorado, USA), unless otherwise indicated. The formulated compounds were
- 15 applied in 1 mL of liquid through a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying

Systems Co. Wheaton, Illinois, USA) positioned 1.27 cm (0.5 inches) above the top of each test unit. All experimental compounds in this screen were sprayed at 50 ppm and replicated three times. After spraying of the formulated test compound, each test unit was allowed to dry for 1 hour and then a black, screened cap was placed on top. The test units were held for  
5 6 days in a growth chamber at 25 °C and 70% relative humidity. Plant feeding damage was then visually assessed.

Of the compounds tested, the following provided excellent levels of plant protection (10% or less feeding damage): 1, 2, 4, 5, 21 and 33.

#### TEST B

10 For evaluating control of tobacco budworm (*Heliothis virescens*) the test unit consisted of a small open container with a 6–7 day old cotton plant inside. This was pre-infested with 8 2-day-old larvae on a piece of insect diet by use of a core sampler as described for Test A.

Test compounds were formulated and sprayed at 50 ppm as described for Test A.  
15 The applications were replicated three times. After spraying, the test units were maintained in a growth chamber and then visually rated as described for Test A.

Of the compounds tested, the following provided excellent levels of plant protection (10% or less feeding damage): 1 and 2.

#### TEST C

20 For evaluating control of diamondback moth (*Plutella xylostella*) the test unit consisted of a small open container with a 12–14-day-old radish plant inside. This was pre-infested with 10–15 neonate larvae on a piece of insect diet by use of a core sampler as described for Test A.

Test compounds were formulated and sprayed at 50 ppm as described for Test A.  
25 The applications were replicated three times. After spraying, the test units were maintained in a growth chamber and then visually rated as described for Test A.

Of the compounds tested, the following provided excellent levels of plant protection (10% or less feeding damage): 1, 2, 4, 5, 21, 25 and 33.

#### TEST D

30 For evaluating control of beet armyworm (*Spodoptera exigua*) the test unit consisted of a small open container with a 4–5-day-old corn plant inside. This was pre-infested with 10–15 1-day-old larvae on a piece of insect diet by use of a core sampler as described for Test A.

Test compounds were formulated and sprayed at 50 ppm as described for Test A.  
35 The applications were replicated three times. After spraying, the test units were maintained in a growth chamber and then visually rated as described for Test A.

Of the compounds tested, the following provided excellent levels of plant protection (10% or less feeding damage): 4.



substituents selected from the group consisting of C<sub>1</sub>-C<sub>2</sub> alkyl, halogen, CN, NO<sub>2</sub> and C<sub>1</sub>-C<sub>2</sub> alkoxy; and

each R<sup>4</sup> and each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, CO<sub>2</sub>H, CONH<sub>2</sub>, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl, or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

each R<sup>4</sup> and each R<sup>5</sup> is independently a phenyl, benzyl, phenoxy, or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as -OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-;

M and M<sup>1</sup> are each independently CR<sup>6</sup>R<sup>7</sup>, NR<sup>8</sup>, O or S when the bond between M and M<sup>1</sup> is a single bond; and are each independently CR<sup>6</sup> or N when the bond between M and M<sup>1</sup> is an aromatic bond;

each R<sup>6</sup> and each R<sup>7</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, halogen, CN, C<sub>1</sub>-C<sub>4</sub> haloalkyl or C<sub>1</sub>-C<sub>4</sub> alkoxy; and

each R<sup>8</sup> is independently H or C<sub>1</sub>-C<sub>4</sub> alkyl.

2. The method of Claim 1 comprising applying a biologically effective amount of a compound of Formula I wherein

A and B are both O;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; and

n is 0, 1 or 2.

3. The method of Claim 1 comprising applying a biologically effective amount of a compound of Formula II wherein

A and B are both O;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; and

n is 0, 1 or 2.

4. A composition comprising: at least one compound selected from the group consisting of a compound of Formula I as defined in Claim 1, an N-oxide or a salt thereof, and a compound of Formula II as defined in Claim 1, an N-oxide or a salt thereof; and at least one other biologically active compound or agent.

5. The composition of Claim 4 further comprising an additional component selected from the group consisting of a surfactant, a solid diluent, and a liquid diluent.

6. The composition of Claim 4 wherein the other biologically active compound or agent is selected from the group consisting of an other insecticide, a fungicide, a nematocide, a bactericide, an acaricide, a growth regulator, a rooting stimulant, a chemosterilant, a semiochemical, a repellent, an attractant, a pheromone, a feeding stimulant, and an entomopathogenic bacterium, virus or fungus.

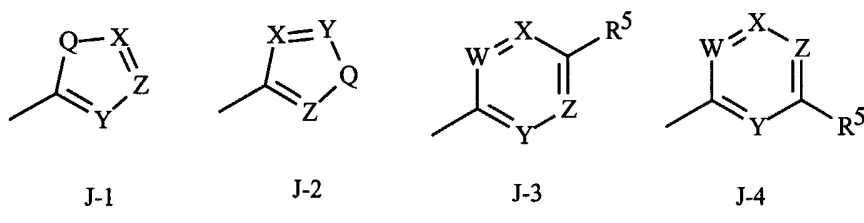
7. The composition of Claim 6 wherein the other insecticide is selected from the group consisting of a pyrethroid, a carbamate, a neonicotinoid, a neuronal sodium channel blocker, an insecticidal macrocyclic lactone, a  $\gamma$ -aminobutyric acid (GABA) antagonist, an insecticidal urea, a juvenile hormone mimic, pymetrozine, and amitraz.

8. The composition of Claim 6 wherein the other insecticide is selected from the group consisting of abamectin, acephate, acetamiprid, avermectin, azadirachtin, azinphos-methyl, bifenthrin, binfenazate, buprofezin, carbofuran, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothicarb, fenoxycarb, fenpropathrin, fenproximate, fenvalerate, fipronil, flonicamid, flucythrinate, tau-fluvalinate, flufenoxuron, fonophos, halofenozide, hexaflumuron, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, methoxyfenozide, nithiazin, novaluron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, pymetrozine, pyridalyl, pyriproxyfen, rotenone, spinosad, sulprofos, tebufenozide, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, trichlorfon and triflumuron, aldicarb, oxamyl, fenamiphos, amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben, tebufenpyrad, *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

9. The composition of Claim 6 wherein the other insecticide is selected from the group consisting of cypermethrin, cyhalothrin, cyfluthrin, beta-cyfluthrin, esfenvalerate, fenvalerate, tralomethrin, fenothicarb, methomyl, oxamyl, thiodicarb, clothianidin,

imidacloprid, thiacloprid, indoxacarb, spinosad, abamectin, avermectin, emamectin, endosulfan, ethiprole, fipronil, flufenoxuron, triflumuron, diofenolan, pyriproxyfen, pymetrozine, amitraz, *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin and entomophagous fungi.

- 5 10. A method for controlling at least one invertebrate pest, comprising:  
contacting the invertebrate pest or its environment with a biologically effective amount of a composition of Claim 4 or Claim 5.
11. A compound of Formula II as defined in Claim 1, an *N*-oxide thereof or a salt thereof.
- 10 12. The compound of Claim 11 wherein  
A and B are both O;  
 $R^1$  is H,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_2$ - $C_6$  alkylcarbonyl or  $C_2$ - $C_6$  alkoxy carbonyl; and  
 $n$  is 0, 1 or 2.
- 15 13. The compound of Claim 12 wherein  
J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4, each J ring optionally substituted with 1 to 3  $R^5$



- 20 Q is O, S or  $NR^5$ ;  
W, X, Y and Z are independently N or  $CR^5$ , provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;  
 $R^2$  is H,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_4$  alkenyl,  $C_2$ - $C_4$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $C_2$ - $C_6$  alkylcarbonyl or  $C_2$ - $C_6$  alkoxy carbonyl;
- 25  $R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl or  $C_3$ - $C_6$  cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN,  $C_1$ - $C_2$  alkoxy,  $C_1$ - $C_2$  alkylthio,  $C_1$ - $C_2$  alkylsulfinyl and  $C_1$ - $C_2$  alkylsulfonyl;
- each  $R^4$  is independently  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  haloalkyl, halogen, CN,  $NO_2$ ,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  haloalkoxy,  $C_1$ - $C_4$  alkylthio,  $C_1$ - $C_4$  alkylsulfinyl,  $C_1$ - $C_4$  alkylsulfonyl,  $C_1$ - $C_4$  haloalkylthio,  $C_1$ - $C_4$  haloalkylsulfinyl or  $C_1$ - $C_4$  haloalkylsulfonyl;
- 30

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

each R<sup>5</sup> is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as

-OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

14. The compound of Claim 13 wherein

R<sup>2</sup> is H;

R<sup>3</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl; and

at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety.

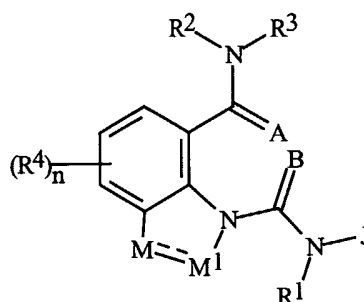
15. A composition comprising:

at least one compound of Claim 11; and

at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent.

16. A method for controlling at least one invertebrate pest, comprising: contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Claim 11 or with a biologically effective amount of a composition of Claim 15.

17. A compound of Formula Id, an *N*-oxide or a salt thereof,



Id

wherein

each J is independently a phenyl ring or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with 1 to 4 R<sup>5</sup>;

A and B are independently O or S;

n is 0, 1, 2 or 3;

5 R<sup>1</sup> is H, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

10 R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino and C<sub>3</sub>-C<sub>6</sub> cycloalkylamino;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl or C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl;

15 R<sup>3</sup> is H; or

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl; or

20 R<sup>2</sup> and R<sup>3</sup> can be taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C<sub>1</sub>-C<sub>2</sub> alkyl, halogen, CN, NO<sub>2</sub> and C<sub>1</sub>-C<sub>2</sub> alkoxy; and

25 each R<sup>4</sup> and each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> haloalkenyl, C<sub>2</sub>-C<sub>6</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, CO<sub>2</sub>H, CONH<sub>2</sub>, NO<sub>2</sub>, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl, or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

30 each R<sup>5</sup> is independently a phenyl, benzyl, phenoxy, or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>

alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as

-OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-;

M and M<sup>1</sup> are each independently CR<sup>6</sup>R<sup>7</sup>, NR<sup>8</sup>, O or S when the bond between M and M<sup>1</sup> is a single bond; and are each independently CR<sup>6</sup> or N when the bond between M and M<sup>1</sup> is an aromatic bond;

each R<sup>6</sup> and each R<sup>7</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, halogen, CN, C<sub>1</sub>-C<sub>4</sub> haloalkyl or C<sub>1</sub>-C<sub>4</sub> alkoxy; and

each R<sup>8</sup> is independently H or C<sub>1</sub>-C<sub>4</sub> alkyl.

18. The compound of Claim 17 wherein

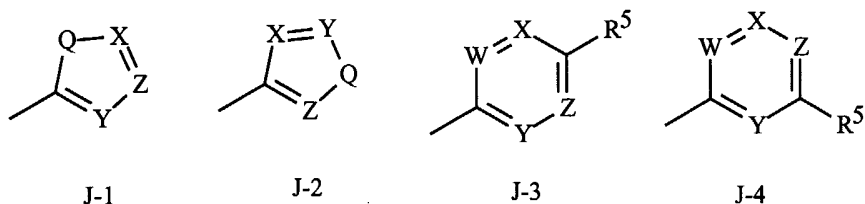
A and B are both O;

R<sup>1</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; and

n is 0, 1 or 2.

19. The compound of Claim 18 wherein

J is a phenyl ring or a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3 and J-4



Q is O, S or NR<sup>5</sup>;

W, X, Y and Z are independently N or CR<sup>5</sup>, provided that in J-3 and J-4 at least one of the group consisting of W, X, Y and Z is N;

R<sup>2</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl or C<sub>3</sub>-C<sub>6</sub> cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, C<sub>1</sub>-C<sub>2</sub> alkylsulfinyl and C<sub>1</sub>-C<sub>2</sub> alkylsulfonyl;

each R<sup>4</sup> is independently C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl or C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl or C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or

each R<sup>5</sup> is independently a phenyl, benzyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>2</sub>-C<sub>4</sub> haloalkenyl, C<sub>2</sub>-C<sub>4</sub> haloalkynyl, C<sub>3</sub>-C<sub>6</sub> halocycloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> alkylamino, C<sub>2</sub>-C<sub>8</sub> dialkylamino, C<sub>3</sub>-C<sub>6</sub> cycloalkylamino, C<sub>3</sub>-C<sub>6</sub> (alkyl)cycloalkylamino, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, C<sub>2</sub>-C<sub>6</sub> alkylaminocarbonyl, C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl or C<sub>3</sub>-C<sub>6</sub> trialkylsilyl; or

two R<sup>5</sup> groups when attached to adjacent carbon atoms can be taken together as

-OCF<sub>2</sub>O-, -CF<sub>2</sub>CF<sub>2</sub>O- or -OCF<sub>2</sub>CF<sub>2</sub>O-.

20. The compound of Claim 19 wherein

R<sup>1</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>2</sup> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>3</sup> is H, C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with halogen, CN, OCH<sub>3</sub>, or S(O)<sub>p</sub>CH<sub>3</sub>;

each R<sup>5</sup> is independently H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylthio, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or C<sub>3</sub>-C<sub>8</sub> dialkylaminocarbonyl; or a phenyl, benzyl, or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with halogen, CN, NO<sub>2</sub>, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>4</sub> alkenyl, C<sub>2</sub>-C<sub>4</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> haloalkoxy;

provided that at least one R<sup>5</sup> is other than H and is attached to J at the position *ortho* to the N(R<sup>1</sup>)C(=B) moiety; and

p is 0, 1 or 2.

21. The compound of Claim 20 wherein

J is a substituted phenyl, a substituted pyrazole, a substituted pyrrole, a substituted pyridine or a substituted pyrimidine.

22. The compound of Claim 21 wherein R<sup>1</sup> and R<sup>2</sup> are each H.

23. A composition comprising: at least one compound of Claim 17; and at least one additional component selected from the group consisting of a surfactant, a solid diluent, a liquid diluent and an other biologically active compound or agent.

24. A method for controlling at least one invertebrate pest, comprising: contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Claim 17 or with a biologically effective amount of a composition of Claim 23.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/18610

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A01N47/38

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 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages                                        | Relevant to claim No. |
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Further documents are listed in the continuation of box C.

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Patent family members are listed in annex.

## \* Special categories of cited documents :

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Date of the actual completion of the international search

10 September 2003

Date of mailing of the international search report

18/09/2003

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## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/18610

| Patent document<br>cited in search report |   | Publication<br>date | Patent family<br>member(s) | Publication<br>date |
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