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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
31 May 2007 (31.05.2007)

PCT

(10) International Publication Number
WO 2007/061966 A2

(51) International Patent Classification:
C07C 257/14 (2006.01) *C07F 7/18* (2006.01)
A01N 37/52 (2006.01)

(21) International Application Number:
PCT/US2006/044924

(22) International Filing Date:
20 November 2006 (20.11.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/739,627 23 November 2005 (23.11.2005) US

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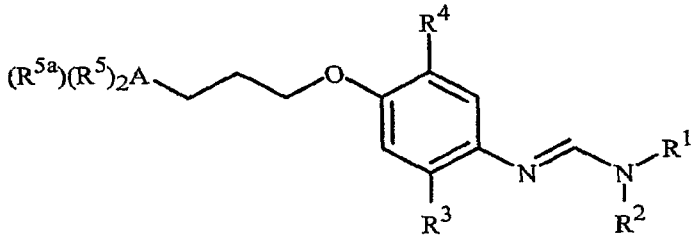
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,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

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) **Title:** AMIDINYLPHENYL COMPOUNDS AND THEIR USE AS FUNGICIDES



(I)

(57) **Abstract:** Compounds of Formula 1, and their *N*-oxides and agriculturally suitable salts, are disclosed as useful as fungicides (Formula 1) here wherein R^1 is C_1-C_4 alkyl or C_3-C_4 cycloalkyl; R^2 is C_1-C_3 alkyl; R^3 is Br, Cl or I; R^4 is Cl; or C_1-C_2 alkyl or C_1-C_2 alkoxy, each optionally substituted with one to three substituents independently selected from halogen; A is C or Si; each R5 is independently C_1-C_2 alkyl optionally substituted with one to three substituents independently selected from halogen; and R^{5a} is H or C_1-C_2 alkyl; provided that when A is Si, then R^{5a} is C_1-C_2 alkyl. Also disclosed are compositions comprising the compounds of Formula 1 and methods for controlling plant diseases caused by fungal plant pathogens which involve applying an effective amount of a compound of Formula 1.

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TITLE

AMIDINYLPHENYL COMPOUNDS AND THEIR USE AS FUNGICIDES

FIELD OF THE INVENTION

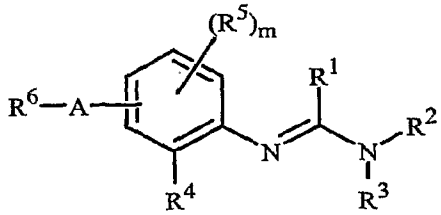
This invention relates to certain amidinylphenyl compounds, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides.

BACKGROUND OF THE INVENTION

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

One area of need is for compounds that are useful for controlling plant disease caused by Ascomycete fungal plant pathogens, such as powdery mildew disease of cereals and/or broadleaf crops. Another area of need is for compounds that are useful for controlling plant disease caused by Basidiomycete fungal plant pathogens, such as rust disease of cereals and/or broadleaf crops.

World Patent Application Publication WO 2003/093224 discloses certain phenylamidines of Formula i as new fungicidal active ingredients.



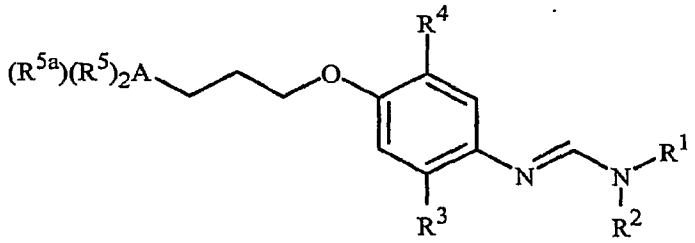
i

R^1, R^2, R^3, R^4, R^5, R^6 and A are broadly defined. m is 0, 1, 2 or 3.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula **1** (including all geometric and stereoisomers), *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides:

2



1

wherein

R^1 is C_1–C_4 alkyl or C_3–C_4 cycloalkyl;

R^2 is C_1–C_3 alkyl;

R^3 is Br, Cl or I;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three substituents independently selected from halogen;

A is C or Si;

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three substituents independently selected from halogen; and

R^{5a} is H or C_1–C_2 alkyl;

provided that when A is Si, then R^{5a} is C_1–C_2 alkyl.

This invention provides a method of controlling plant diseases caused by Ascomycete plant pathogens (e.g., powdery mildew diseases caused by *Erysiphe* spp., *Uncinula necatur*, *Sphaerotheca fuligena and Podosphaera leucotricha*) and diseases caused by *Helminthosporium*, *Pyrenophora teres* and *Rhyncosporium secalis*. This method comprises applying to the plant (e.g., a cereal or broadleaf crop) or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound selected from the compounds of Formula **1**, *N*-oxides, and agriculturally suitable salts thereof.

This invention also provides a method of controlling plant diseases caused by Basidiomycete plant pathogens (e.g., rust diseases caused by *Puccinia* spp., *Hemileia vastatrix*, *Uromyces appendiculatus*, *Phakopsora pachyrhizi* and *Phakopsora meibomiae*). This method comprises applying to the plant (e.g., a cereal or broadleaf crop) or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound selected from the compounds of Formula **1**, *N*-oxides, and agriculturally suitable salts thereof.

This invention also provides a method of controlling plant diseases caused by plant pathogens other than Ascomycete plant pathogens and Basidiomycete plant pathogens (e.g., Deuteromycetes plant pathogens). This method comprises applying to the plant (e.g., a cereal or broadleaf crop) or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound selected from the compounds of Formula **1**, *N*-oxides, and agriculturally suitable salts thereof.

DETAILS OF THE INVENTION

As used herein, the terms "comprises," "comprising," "includes," "including," "has," "having" or any other variation thereof, are intended to cover a non-exclusive inclusion. For example, a composition, process, method, article, or apparatus that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, process, method, article, or apparatus. Further, unless expressly stated to the contrary, "or" refers to an inclusive or and not to an exclusive or. For example, a condition A or B is satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and both A and B are true (or present).

Also, the indefinite articles "a" and "an" preceding an element or component of the invention are intended to be nonrestrictive regarding the number of instances (i.e. occurrences) of the element or component. Therefore "a" or "an" should be read to include one or at least one, and the singular word form of the element or component also includes the plural unless the number is obviously meant to be singular. For example, a composition of the present invention comprises a biologically effective amount of "a" compound of Formula 1 which should be read that the composition includes one or at least one compound of Formula 1.

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

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

In the above recitations, the term "alkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl isomers. "Alkoxy" includes, for example, methoxy and ethoxy. "Cycloalkyl" includes, for example, cyclopropyl and cyclobutyl. The term "halogen" includes fluorine, chlorine, bromine or iodine. The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 4. For example, C_2 alkyl designates CH_3CH_2; C_3 alkyl designates, for example, $CH_3CH_2CH_2$ or $(CH_3)_2CH$.

One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of tertiary amines are very well known by one skilled in the art including the oxidation of tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in

Comprehensive Heterocyclic Chemistry, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

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 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 may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding nonsalt forms, salts share the biological utility of the nonsalt forms. Thus a wide variety of salts of the compounds of Formula **1** are useful for control of fungal plant diseases (i.e. are agriculturally suitable). The salts of the compounds of Formula **1** include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. Accordingly, the present invention comprises compounds selected from Formula **1**, *N*-oxides and agriculturally suitable salts thereof.

Embodiments of the present invention include:

Embodiment A1. A compound of Formula **1** wherein R^1 is C_1–C_3 alkyl or C_3–C_4 cycloalkyl.

Embodiment A2. A compound of Embodiment A1 wherein R^1 is C_1–C_2 alkyl.

Embodiment A3. A compound of Embodiment A2 wherein R^1 is ethyl.

Embodiment A4. A compound of Formula **1** wherein R^2 is C_1–C_2 alkyl.

Embodiment A5. A compound of Embodiment A4 wherein R^2 is methyl.

Embodiment A6. A compound of Formula **1** wherein R^3 is Br or Cl.

Embodiment A7. A compound of Embodiment A6 wherein R^3 is Br.

Embodiment A8. A compound of Formula **1** wherein R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three substituents independently selected from F and Cl.

Embodiment A9. A compound of Embodiment A8 wherein R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three F.

Embodiment A10. A compound of Embodiment A9 wherein R^4 is C_1 alkyl or C_1 alkoxy, each optionally substituted with one to three F.

Embodiment A11. A compound of Formula **1** wherein each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three substituents independently selected from F and Cl.

Embodiment A12. A compound of Embodiment A11 wherein each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three Cl.

Embodiment A13. A compound of Embodiment A12 wherein each R^5 is independently C_1 alkyl optionally substituted with one to three Cl.

Embodiment A14. A compound of Formula **1** wherein A is C and R^{5a} is H.

Embodiment B1. A method of controlling at least one plant fungal disease in cereal or broadleaf crops selected from the group consisting of powdery mildew disease caused by *Erysiphe* spp., *Uncinula necatur*, *Sphaerotheca fuligena* and *Podosphaera leucotricha*, diseases caused by *Helminthosporium* spp., *Pyrenophora teres* and *Rhyncosporium secalis*, and rust disease caused by *Puccinia* spp., *Hemileia vastatrix*, *Uromyces appendiculatus*, *Phakopsora pachyrhizi* and *Phakopsora meibomiae*, comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound selected from the compounds of Formula **1**, *N*-oxides, and agriculturally suitable salts thereof.

Embodiment B2. A method of Embodiment B1 wherein at least one powdery mildew disease is controlled.

Embodiment B3. A method of Embodiment B2 wherein the plant disease is caused by *Erysiphe graminis* f. sp. *tritici*.

Embodiment B4. A method of Embodiment B2 wherein the plant disease is caused by *Erysiphe graminis* f. sp. *hordei*.

Embodiment B5. A method of Embodiment B2 wherein the plant disease is caused by *Uncinula necatur*.

Embodiment B6. A method of Embodiment B2 wherein the plant disease is caused by *Podosphaera leucotricha*..

Embodiment B7. A method of Embodiment B1 wherein at least one rust disease is controlled.

Embodiment B8. A method of Embodiment B7 wherein the plant disease is caused by *Puccinia recondita*.

Embodiment B9. A method of Embodiment B7 wherein the plant disease is caused by *Puccinia striiformis*.

Embodiment B10. A method of Embodiment B7 wherein the plant disease is caused by *Puccinia graminis*.

Embodiment B11. A method of Embodiment B7 wherein the plant disease is caused by *Hemileia vastatrix*.

Embodiment B12. A method of Embodiment B7 wherein the plant disease is caused by *Uromyces appendiculatus*.

Embodiment B13. A method of Embodiment B7 wherein the plant disease is caused by *Phakopsora pachyrhizi*.

Embodiment B14. A method of any one of Embodiments B1 to B13 wherein the plant is a dicot crop.

Embodiment B15. A method of Embodiment B14 wherein the dicot crop is selected from soybean, grape and cucurbit.

Embodiment B16. A method of Embodiment B15 wherein the dicot crop is soybean.

Embodiment B17. A method of Embodiment B15 wherein the dicot crop is grape.

Embodiment B18. A method of Embodiment B15 wherein the dicot crop is cucurbit.

Combinations of Embodiments A1-A14 are illustrated by:

Embodiment C1. A compound of Formula 1 wherein

R^1 is C_1–C_3 alkyl or C_3–C_4 cycloalkyl;

R^2 is C_1–C_2 alkyl;

R^3 is Br or Cl;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three substituents independently selected from F and Cl; and

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three substituents independently selected from F and Cl.

Embodiment C2. A compound of Embodiment C1 wherein

R^1 is C_1–C_2 alkyl;

R^2 is methyl;

R^3 is Br;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three F; and

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three Cl.

Of note are compounds of Formula 1 (e.g., compounds of Embodiment C1 or Embodiment C2) wherein R^4 is Cl.

Embodiment C3. A compound of Embodiment C2 wherein

R^1 is ethyl;

R^2 is methyl;

R^4 is C_1 alkyl or C_1 alkoxy, each optionally substituted with one to three

F; and

each R^5 is independently C_1 alkyl optionally substituted with one to three Cl.

Embodiment C4. A compound of Embodiment C3 selected from the group consisting of:

N'-[2-bromo-4-[(4-methylpentyl)oxy]-5-(trifluoromethyl)phenyl]-*N*-ethyl-*N*-methylmethanimidamide;

N'-[2-bromo-5-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl]-*N*-methylmethanimidamide;

N'-[2-bromo-5-(difluoromethoxy)-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide;

N'-[2-bromo-5-(difluoromethyl)-4-[(4-methylpentyl)oxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide; and

N'-[2-bromo-5-methoxy-4-[(4-methylpentyl)oxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide.

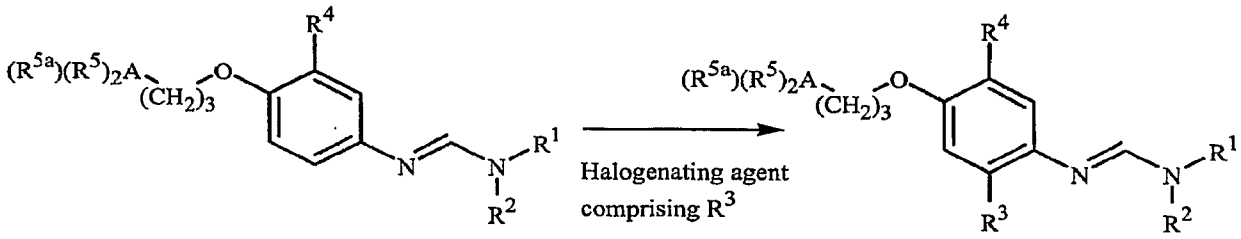
This invention also relates to a fungicidal composition comprising a fungicidally effective amount of a compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. Noteworthy as embodiments of fungicidal compositions of the present invention are those comprising the compounds of the embodiments described above.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed, a fungicidally effective amount of a compound of the invention (e.g., as a composition described herein). Methods of use of note are those involving the compounds of the embodiments described above.

The compounds of Formula **1** can be prepared by one or more of the following methods and variations as described in Schemes 1-5. The definitions of R^1, R^2, R^3, R^4, R^5, R^{5a} and A in the compounds of Formulae **1-8** below are as defined above in the Summary of the Invention.

As illustrated in Scheme 1, a compound of Formula **1** can be prepared by reaction of a compound of Formula **2** with a halogenating agent such as *N*-chlorosuccinimide, *N*-bromosuccinimide, *N*-iodosuccinimide or their equivalent in an inert solvent such as dichloromethane or carbon tetrachloride. The reaction can be carried out at temperatures ranging from 0 to 110 °C for a period of time between 1 and 48 h. The reaction mixture is then allowed to come to room temperature and filtered. The filtrate is washed with dilute aqueous sodium hydroxide solution, dried over a drying agent such as magnesium sulfate and then concentrated to give the compound of Formula **1**. This method is illustrated in Step E of Example 1, Step E of Example 2 and Step G of Example 3.

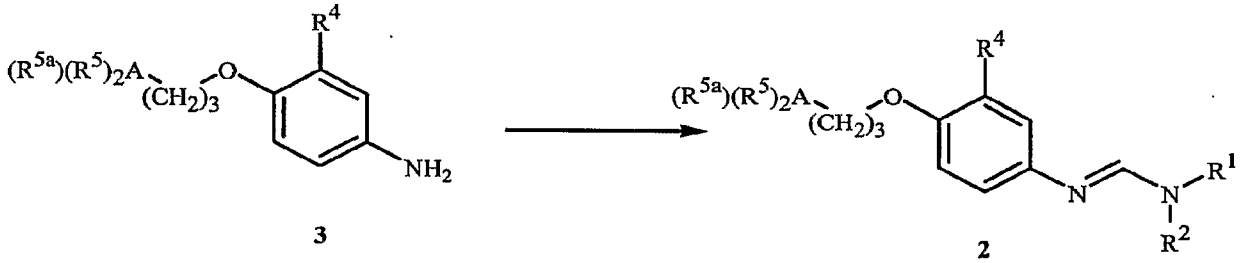
Scheme 1



2 1

Compounds of Formula **2** can be prepared from anilines of Formula **3** as shown in Scheme 2.. A variety of methods can be used for this transformation. The following five methods are especially useful.

Scheme 2



3 2

Method 1: Treatment of an aniline of Formula **3** with an acetal of formula $R^1R^2NCH(OR^6)_2$, wherein R^6 is an alkyl. This type of transformation can be found in Toste et al., *Synth. Commun.*, **1994**, *24(11)*, 1617-1624.

Method 2: Treatment of an aniline of Formula **3** with a formamide of formula $HC(=O)NR^1R^2$ in the presence of a halogenating reagent such as, but not limited to, $POCl_3$ or $SOCl_2$. This type of transformation can be found in Bergman et al., *Tetrahedron*, **1990**, *46(17)*, 6058-6112.

Method 3: Treatment of an aniline of Formula **3** with an orthoester of formula $HC(OR^6)_3$, wherein R^6 is an alkyl, to form a corresponding iminoether, followed by heating the iminoether with an amine of formula HNR^1R^2. This type of transformation can be found in Pissiotas et al., U.S. Patent 4,209,319.

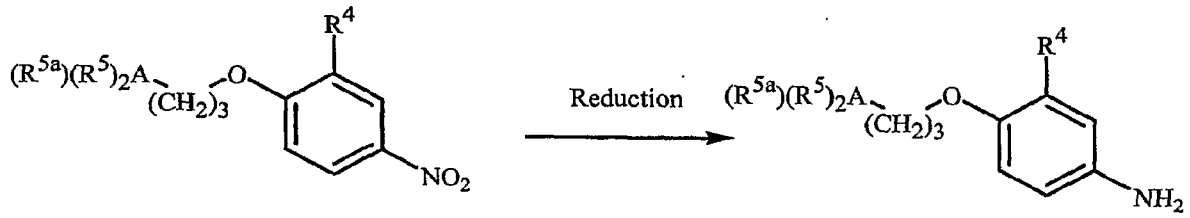
Method 4: Treatment of an aniline of Formula **3** with phosgene to form an isocyanate followed by reaction of the isocyanate with an amide of formula $HC(=O)NR^1R^2$. This type of transformation can be found in Charles et al., World Patent Application Publication WO 2000/46184.

Method 5: Treatment of an aniline of Formula **3** with $C_2H_5OCH=NCN$ to form an *N*-cyanoamidine followed by a reaction of the resulting *N*-cyanoamidine with an amine of formula HNR^1R^2. This type of transformation can be found in Charles et al., World Patent

Application Publication WO 2000/46184. This method is illustrated in Step C and D of Example 1, Step C and D of Example 2 and Step E and F of Example 3.

Compounds of Formula **3** can be prepared by reduction of the nitro group in compounds of Formula **4** as shown in Scheme 3. Many methods can be used for this reduction. Preferred methods include stannous chloride reduction in concentrated hydrochloric acid (see, for example, *J. Med. Chem.*, **1984**, *24(12)*, 1705-1710), iron powder reduction in a solution of acetic acid and water (see, for example, *J. Org. Chem.*, **2001**, *66(13)*, 4563-4575), catalytic hydrogenation using hydrogen and a catalyst such as platinum oxide (see, for example, *Egyptian Journal of Chemistry*, **1991**, *32(3)*, 359-66) or palladium on carbon (see, for example, U.S. Patent 6,150,343). This method is illustrated in Step B of Example 1, Step B of Example 2 and Step D of Example 3.

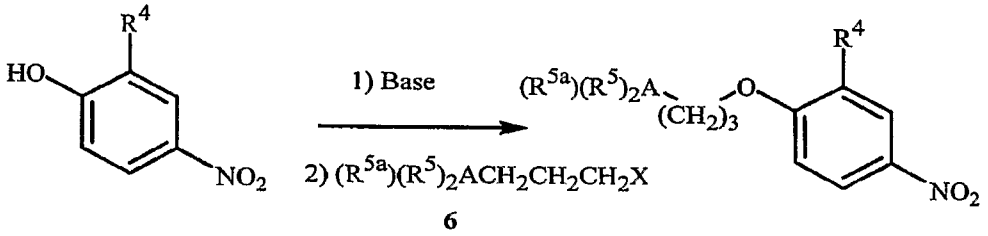
Scheme 3



4 **3**

As illustrated in Scheme 4, compounds of Formula **4** can be prepared by alkylation of a compound of Formula **5** with an alkylating agent of Formula **6** in the presence of a base. In the alkylating agent of Formula **6**, X is a nucleophilic reaction leaving group such as, for example, bromide or iodide. The reaction is conducted in the presence of at least 1 equivalent of a base, preferably from 1 to 2 equivalents. Suitable bases include inorganic bases such as alkali metal hydrides (e.g., lithium hydride, sodium hydride or potassium hydride), alkali metal carbonates or alkali metal hydroxides, and organic bases such as 1,8-diazabicyclo[5.4.0]undec-7-ene. A wide variety of solvents are suitable for the reaction, including, for example, but are not limited to benzene, toluene, tetrahydrofuran, dimethoxyethane, acetonitrile, *N,N*-dimethylformamide as well as mixtures of these solvents. The reaction is generally conducted between about -20 and 150 °C, and preferably between 20 and 140 °C. The reaction time can range from 1 h to 7 days.

Scheme 4

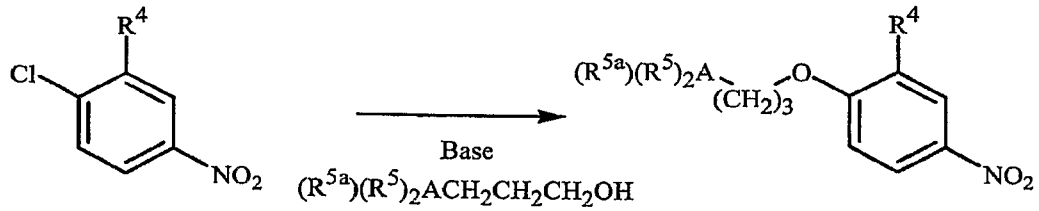


5 4

wherein X is a leaving group.

As shown in Scheme 5, compounds of Formula **4** can also be prepared from compounds of Formula **7** by reacting with an appropriate alcohol of Formula **8** in the presence of a base. The reaction is conducted by first treating 1 to 2 equivalents of the appropriate alcohol of Formula **8**, preferably from 1 to 1.4 equivalents, with at least 1 equivalent of a base, preferably from 1 to 1.2 equivalents, for a period of time ranging from 3 minutes to 5 h followed by the addition of a compound of Formula **7**. Suitable bases include inorganic bases such as alkali metal hydrides (e.g., lithium hydride, sodium hydride or potassium hydride), alkali metal carbonates or alkali metal hydroxides, and organic bases such as 1,8-diazabicyclo[5.4.0]undec-7-ene. A phase transfer reagent such as tetrabutylammonium chloride may be employed as a catalyst for the reaction. A wide variety of solvents are suitable for the reaction, such as for example, benzene, toluene, tetrahydrofuran, dimethoxyethane, acetonitrile, *N*,*N*-dimethylformamide, as well as mixtures of these solvents. The reaction is generally conducted between about -20 and 150 °C, and preferably between 20 and 140 °C. The reaction time can range from 1 h to 7 days. Further experimental details for the method of Scheme 5 are illustrated in Step A of Example 1.

Scheme 5



7 8 4

Alternatively, compounds of Formula **4** can also be prepared from compounds of Formula **5** through a Mitsunobu reaction, which involves reaction of a compound of Formula **5** with an appropriate alcohol of Formula **8**. The Mitsunobu Reaction has been the subject of a number of reviews. For conditions and variations of this reaction, see the following reference and references cited therein: Hughes, *Org. React.*, **1992**, *42*, 335-656.

Further experimental details for the Mitsunobu reaction are illustrated in Step A of Example 2 and Step A of Example 3.

Compounds of Formulae **5**, **6**, **7** and **8** are either commercially available or can be prepared by methods taught in the literature or by slight modification of these literature methods.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula **1** may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical 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 **1**. 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 **1**.

One skilled in the art will also recognize that compounds of Formula **1** and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, 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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^{1}H NMR spectra are reported in ppm downfield from tetramethylsilane; s means singlet, d means doublet, t means triplet, m means multiplet, br means broad singlet.

EXAMPLE 1

Preparation of *N'*-[2-bromo-4-[(4-methylpentyl)oxy]-5-(trifluoromethyl)phenyl]-*N*-ethyl-*N*-methylmethanimidamide (Compound 7)

Step A: Preparation of 1-[(4-methylpentyl)oxy]-4-nitro-2-(trifluoromethyl)benzene

To a solution of 4-methyl-1-pentanol (5.85 g, 57.2 mmol) in 1,2-dimethoxyethane (120 mL) at room temperature under nitrogen was added portionwise sodium hydride (60 % dispersion in mineral oil; 1.96 g, 49 mmol). After the addition, the reaction mixture was

stirred at ambient temperature for about 20 minutes until the evolution of hydrogen stopped. Then 2-chloro-5-nitrobenzotrifluoride (9.93 g, 44 mmol) was added. The reaction mixture was heated to reflux and stirred at reflux overnight. The mixture was then cooled to room temperature, and ethyl acetate (300 mL) was added. The organic layer was washed with brine (3 x 200 mL), dried ($MgSO_4$) and concentrated to give 13.5 g of crude product as an oil. The crude product was purified by silica gel flash column chromatography using a gradient of ethyl acetate/hexanes (1:100 to 1:20) to give 5.67 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 8.5 (d, 1H), 8.4 (dd, 1H), 7.07 (d, 1H), 4.16 (t, 2H), 1.34-1.92 (m, 5H), 0.93 (d, 6H).

Step B: Preparation of 4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)benzenamine

A mixture of 1-[(4-methylpentyl)oxy]-4-nitro-2-(trifluoromethyl)benzene (i.e. the product from Step A) (3.38 g, 11.62 mmol), platinum oxide (0.80 g, 3.54 mmol) and anhydrous tetrahydrofuran (30 mL) was hydrogenated under hydrogen gas (331 kPa) in a Parr apparatus for 6 h. The reaction mixture was then filtered through Celite®, diatomaceous filter aid. The filtrate was then concentrated to give 3 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 6.75-7.92 (m, 3H), 3.93 (t, 2H), 1.22-1.82 (m, 5H), 0.91 (d, 6H).

Step C: Preparation of *N*-cyano-*N'*-[4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)-phenyl]methanimidamide

To a solution of ethyl *N*-cyanomethanimidate (1.3 g, 13.3 mmol) in absolute ethanol (40 mL) at room temperature was added dropwise a solution of 4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)benzenamine (i.e. the product from Step B) (3.03 g, 11.6 mmol) in absolute ethanol (40 mL). After the addition, the reaction mixture was stirred at room temperature overnight and was then concentrated. The residue was triturated with a solution of 20 % ethyl acetate in hexanes (20 mL). The precipitate was collected by filtration, air dried and then dried in oven to give 1.89 g of the title compound as a white solid melting at 138-140 °C. The filtrate was concentrated, and the residue was triturated with a solution of 10 % ethyl acetate in hexanes (20 mL). The precipitate was collected by filtration, air dried and then dried in oven to give an additional 0.47 g of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 6.9-8.5 (m, 5H), 4.01 (m, 2H), 1.82 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 0.92 (d, 6H).

Step D: Preparation of *N*-ethyl-*N*-methyl-*N'*-[4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)phenyl]methanimidamide

To a mixture of *N*-cyano-*N'*-[4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)phenyl]-methanimidamide (i.e. the product from Step C) (2.34 g, 7.48 mmol) in acetonitrile (65 mL) at room temperature was added *N*-ethylmethylamine (3.22 mL, 37.4 mmol) dropwise. After the addition, the reaction mixture was stirred at room temperature overnight. Ethyl acetate

(250 mL) was then added to the reaction mixture. The resulting mixture was washed with water (200 mL) and then brine (200 mL). The organic layer was separated, dried ($MgSO_4$), and concentrated to give 2.25 g of the title compound as a semi-solid.

^1H NMR ($CDCl_3$) δ 6.78-7.58 (m, 4H), 3.98 (m, 2H), 3.2-3.5 (br, 2H), 3.01 (s, 3H), 1.78 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 1.21 (t, 3H), 0.91 (d, 6H).

Step E: Preparation of *N'*-[2-bromo-4-[(4-methylpentyl)oxy]-5-(trifluoromethyl)-phenyl]-*N*-ethyl-*N*-methylmethanimidamide (Compound 7)

To a solution of *N*-ethyl-*N*-methyl-*N'*-[4-[(4-methylpentyl)oxy]-3-(trifluoromethyl)-phenyl]methanimidamide (i.e. the product from Step D) (1.3 g, 3.94 mmol) in carbon tetrachloride (35 mL) at room temperature was added *N*-bromosuccinimide (0.7 g, 3.94 mmol). After the addition, the reaction mixture was heated to reflux and was stirred at reflux for about 4 h. The reaction mixture was then cooled to room temperature and filtered. To the filtrate was added additional carbon tetrachloride until the volume reached about 240 mL. The organic solution was then washed with aqueous 1 N sodium hydroxide solution (2 x 240 mL), dried ($MgSO_4$), and concentrated to give 1.1 g of the title product, a compound of the present invention as a brown oil.

^1H NMR ($CDCl_3$) δ 7-7.5 (m, 3H), 3.96 (t, 2H), 3.2-3.6 (br, 2H), 3.03 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 1.24 (t, 3H), 0.91 (d, 6H).

EXAMPLE 2

Preparation of *N'*-[2-bromo-5-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl]-*N*-methylmethanimidamide (Compound 15)

Step A: Preparation of [3-(2-methoxy-4-nitrophenoxy)propyl]trimethylsilane

Diisopropyl azodicarboxylate (19.6 mL, 99.6 mmol), triphenylphosphine (28.5 g, 109 mmol) and 3-(trimethylsilyl)-1-propanol (14.4 mL, 91 mmol) were added to a solution of 4-nitroguaiacol (15.3 g, 91 mmol) in tetrahydrofuran (400 mL) at about -10 °C. The reaction mixture was then warmed to room temperature and stirred overnight. The excess solvent was removed under reduced pressure, and the residue was purified by silica gel chromatography using 50-100 % of 1-chlorobutane in hexane as eluant to give 22 g of the title product as a yellow solid melting at 40-43 °C.

^1H NMR ($CDCl_3$) δ 7.9 (dd, 1H), 7.75 (d, 1H), 6.89 (d, 1H), 4.06 (t, 2H), 3.96 (s, 3H), 1.89 (m, 2H), 0.6 (m, 2H), 0.04 (s, 9 H).

Step B: Preparation of 3-methoxy-4-[3-(trimethylsilyl)propoxy]benzenamine

A mixture of [3-(2-methoxy-4-nitrophenoxy)propyl]trimethylsilane (i.e. the product from Step A) (10 g, 35.2 mmol) and platinum oxide (1 g, 4.41 mmol) in anhydrous tetrahydrofuran (25 mL) was hydrogenated under hydrogen gas (331 kPa) in a Parr apparatus for 7 h. The reaction mixture was then filtered through Celite®, diatomaceous filter aid. The filtrate was concentrated to give 8.6 g of the title compound as a brown oil.

^{1}H NMR ($CDCl_3$) δ 6.71 (d, 1H), 6.3 (d, 1H), 6.2 (dd, 1H), 3.81-3.9 (m, 5H), 3.42 (br, 2H), 1.8 (m, 2H), 0.58 (m, 2H), 0.01 (s, 9H).

Step C: Preparation of *N*-cyano-*N'*-[3-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-methanimidamide

To a solution of *N*-cyanomethanimidate (9.9 g, 101.8 mmol) in absolute ethanol (50 mL) at room temperature was added dropwise a solution of 3-methoxy-4-[3-(trimethylsilyl)propoxy]benzenamine (i.e. the product from Step B) (8.6 g, 33.7 mmol) in absolute ethanol (50 mL). The reaction mixture was stirred at room temperature overnight and then concentrated. The residue was triturated with a solution of 20 % ethyl acetate in hexanes. The precipitate was collected by filtration, air dried, and then dried in oven to give 10 g of crude product as a purple solid. The crude product was further triturated with a solution of 10 % ethyl acetate in hexanes. The precipitate was collected by filtration, air dried, and then dried in oven to give 7.8 g of the title compound as a solid melting at 142-144 °C.

^{1}H NMR ($CDCl_3$) δ 6.6-9.2 (m, 5H), 3.85-4 (m, 5H), 1.82 (m, 2H), 0.58 (m, 2H), 0.02 (s, 9H).

Step D: Preparation of *N*-ethyl-*N'*-[3-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-methylmethanimidamide

A mixture of *N*-cyano-*N'*-[3-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]methanimidamide (i.e. the product from Step C) (5 g, 16.4 mmol), *N*-ethylmethylamine (7 mL, 81.97 mmol) and acetonitrile (20 mL) in a Fisher-Porter bottle was heated at 130 °C for 4 h. The resulting mixture was cooled to room temperature and then concentrated. The residue was purified by silica gel chromatography using 50-100 % ethyl acetate in hexane as eluant to give 3.1 g of the title product as an oil.

^{1}H NMR ($CDCl_3$) δ 7.54 (s, 1H), 6.77 (d, 1H), 6.58 (d, 1H), 6.42 (dd, 1H), 3.91 (t, 2H), 3.85 (s, 3H), 3.2-3.5 (br, 2H), 2.98 (s, 3H), 1.82 (m, 2H), 1.2 (t, 3H), 0.58 (m, 2H), 0.02 (s, 9H).

Step E: Preparation of *N'*-[2-bromo-5-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl]-*N*-methylmethanimidamide (Compound 15)

To a solution of *N*-ethyl-*N'*-[3-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-methylmethanimidamide (i.e. the product from Step D) (1.5 g, 4.66 mmol) in carbon tetrachloride (30 mL) at room temperature was added *N*-bromosuccinimide (0.91 g, 5.12 mmol). The reaction mixture was stirred at room temperature for about 3.5 h. The reaction mixture was then concentrated, and the residue was purified by silica gel chromatography using 50 % ethyl acetate in hexane as eluant to give 1.42 g of the title product, a compound of the present invention as a brown oil.

^{1}H NMR ($CDCl_3$) δ 7.27-7.56 (br, 1H), 7.03 (s, 1H), 6.46 (s, 1H), 3.9 (t, 2H), 3.84 (s, 3H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.23 (t, 3H), 0.58 (m, 2H), 0.01 (s, 9H).

EXAMPLE 3

Preparation of *N'*-[2-bromo-5-(difluoromethoxy)-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide (Compound 22)

Step A: Preparation of 5-nitro-2-[3-(trimethylsilyl)propoxy]benzaldehyde

To a solution of diisopropyl azodicarboxylate (26 mL, 132 mmol) in anhydrous tetrahydrofuran (500 mL) at -10 °C was added 3-(trimethylsilyl)-1-propanol (19 mL, 119.5 mmol), 2-hydroxy-5-nitrobenzaldehyde (20 g, 119.7 mmol) and triphenylphosphine (37.7 g, 143.3 mmol). The reaction mixture was warmed to room temperature and stirred overnight. The reaction mixture was then concentrated under reduced pressure, and the resulting precipitate was removed by filtration. The filtrate was concentrated, and the residue was purified by silica gel chromatography using 10 to 20 % ethyl acetate in hexane as eluant to give 12.4 g of the title product as an oil.

^{1}H NMR ($CDCl_3$) δ 10.48 (s, 1H), 8.7 (d, 1H), 8.4 (dd, 1H), 7.09 (d, 1H), 4.18 (t, 2H), 1.9 (m, 2H), 0.65 (m, 2H), 0.05 (s, 9H).

Step B: Preparation of 5-nitro-2-[3-(trimethylsilyl)propoxy]phenol

To a solution of 5-nitro-2-[3-(trimethylsilyl)propoxy]benzaldehyde (i.e. the product from Step A) (12.4 g, 44.3 mmol) in chloroform (120 mL) at room temperature was added 3-chloroperbenzoic acid (about 53% pure, 14.1 g, 53.1 mmol). The reaction mixture was stirred at room temperature overnight and then filtered. The filtrate was washed with saturated sodium bisulfite aqueous solution (2 x 200 mL), followed by saturated sodium bicarbonate aqueous solution (3 x 200 mL), dried ($MgSO_4$), and concentrated to give 14 g of an oil. The oil was then added to a solution of concentrated hydrochloric acid (15 drops) in methanol (60 mL) at room temperature, and the reaction mixture was stirred overnight. The reaction mixture was then concentrated, and the residue was purified by silica gel chromatography using 10 to 20 % ethyl acetate in hexane as eluant to give 8.78 g of the title product as an oil.

^{1}H NMR ($CDCl_3$) δ 7.8-7.84 (m, 2H), 6.87 (d, 1H), 5.84 (s, 1H), 4.11 (t, 2H), 1.85 (m, 2H), 0.6 (m, 2H), 0.04 (s, 9 H).

Step C: Preparation of [3-[2-(difluoromethoxy)-4-nitrophenoxy]propyl]trimethylsilane

To a solution of 5-nitro-2-[3-(trimethylsilyl)propoxy]phenol (i.e. the product from Step B) (8.77 g, 32.6 mmol) in *p*-dioxane (140 mL) was added tetrabutylammonium bromide (10.5 g, 32.6 mmol) and aqueous 50 % sodium hydroxide solution (28 mL) while the temperature was maintained between 20 and 30 °C using an acetone bath. Freon® 22, chlorodifluoromethane (43 mL) was then added to the reaction mixture through a dry ice condenser. The reaction mixture was stirred at room temperature for 2 h, and an additional amount of Freon® 22, chlorodifluoromethane (12 mL) was then added through a dry ice condenser. The reaction mixture was stirred at room temperature for another 3 h, and

dichloromethane (500 mL) was then added. The reaction mixture was washed with water (3 x 300 mL), dried ($MgSO_4$), and concentrated. The residue was purified by silica gel chromatography using 5 to 10 % ethyl acetate in hexane as eluant to give 7.26 g of the title product as an oil.

^1H NMR ($CDCl_3$) δ 8.05-8.16 (m, 2H), 7 (d, 1H), 6.6 (t, 1H), 4.08 (t, 2H), 1.85 (m, 2H), 0.6 (m, 2H), 0.04 (s, 9H).

Step D: Preparation of 3-(difluoromethoxy)-4-[3-trimethylsilyl]propoxy]benzamine

A mixture of [3-[2-difluoromethoxy)-4-nitrophenoxy]propyl]trimethylsilane (i.e. the product from Step C) (7.24 g, 22.7 mmol), platinum oxide (3.58 g, 15.76 mmol) and anhydrous tetrahydrofuran (70 mL) was hydrogenated under hydrogen gas (48 psi, 331 kPa) in a Parr apparatus overnight. The reaction mixture was then filtered through Celite®, diatomaceous filter aid. The filtrate was concentrated to give 6.6 g of the title compound as a brown oil.

^1H NMR ($CDCl_3$) δ 6.3-7.81 (m, 4H), 3.87 (t, 2H), 3.45 (br, 2H), 1.77 (m, 2H), 0.58 (m, 2H), 0.01 (s, 9H).

Step E: Preparation of *N*-cyano-*N'*-[3-(difluoromethoxy)-4-[3-(trimethylsilyl)-propoxy]phenyl]methanimidamide

To a solution of *N*-cyanomethanimidate (2.55 g, 26.0 mmol) in absolute ethanol (90 mL) at room temperature was added dropwise a solution of 3-(difluoromethoxy)-4-[3-trimethylsilyl]propoxy]benzamine (i.e. the product from Step D) (6.58 g, 22.8 mmol) in absolute ethanol (90 mL). After the addition, the reaction solution was stirred at room temperature overnight and was then concentrated. The residue was triturated with a solution of 20 % ethyl acetate in hexanes. The precipitate was collected by filtration, air dried and then dried in oven to give 5 g of the title compound as a solid melting at 154-155 °C.

^1H NMR ($CDCl_3$) δ 6.25-8.9 (m, 6H), 3.97 (t, 2H), 1.8 (m, 2H), 0.6 (m, 2H), 0.03 (s, 9H).

Step F: Preparation of *N'*-[3-(difluoromethoxy)-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide

To a suspension of *N*-cyano-*N'*-[3-(difluoromethoxy)-4-[3-(trimethylsilyl)-propoxy]phenyl]methanimidamide (i.e. the product from Step E) (3.38 g, 10 mmol) in acetonitrile (40 mL) at room temperature was added dropwise *N*-ethylmethylamine (4.3 mL, 50 mmol). The resulting mixture was stirred at room temperature overnight, and ethyl acetate (200 mL) was then added. The organic solution was washed with water (2 x 200 mL) followed by brine (200 mL), dried ($MgSO_4$), and concentrated to give 3.65 g of the title compound as an oil.

^1H NMR ($CDCl_3$) δ 7.48 (br, 1H), 6.3-6.9 (m, 4H), 3.9 (t, 2H), 3.2-3.5 (br, 2H), 2.98 (s, 3H), 1.78 (m, 2H), 1.2 (t, 3H), 0.58 (m, 2H), 0.01 (s, 9H).

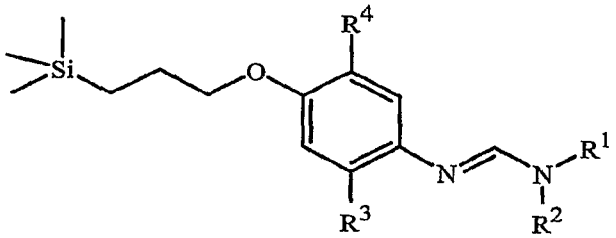
Step G: Preparation of *N'*-[2-bromo-5-(difluoromethoxy)-4-[3-(trimethylsilyl)-propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide (Compound 22)

To a solution of *N'*-[3-(difluoromethoxy)-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide (i.e. the product from Step F) (0.55 g, 1.52 mmol) in carbon tetrachloride (7 mL) at room temperature was added *N*-bromosuccinimide (0.30 g, 1.68 mmol). After the addition, the reaction mixture was stirred at room temperature overnight, and dichloromethane (100 mL) was then added. The organic mixture was washed with aqueous 1 N sodium hydroxide solution (2 x 100 mL), dried ($MgSO_4$), and concentrated. The residue was purified by silica gel chromatography using 20 % ethyl acetate in hexane as eluant to give 0.5 g of the title product, a compound of the present invention as a brown oil.

^{1}H NMR ($CDCl_3$) δ 7.27-7.5 (br, 1H), 7.15 (s, 1H), 6.7 (s, 1H), 6.54 (t, 1H), 3.9 (t, 2H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.78 (m, 2H), 1.23 (t, 3H), 0.6 (m, 2H), 0.02 (s, 9H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 6 can be prepared. The following abbreviations are used in the Tables which follow: *n* means normal, *i* means iso, *c* means cyclo, OMe means methoxy, OEt means ethoxy. The compound numbers of Tables 1 to 4 refer to compounds in Index Table A.

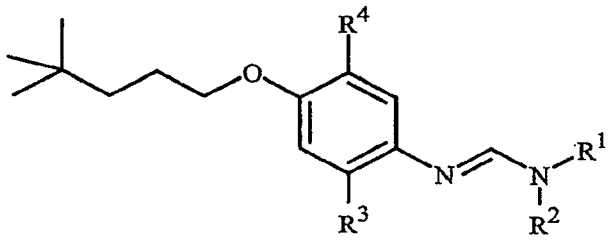
Table 1



Cmpd No.	R^1	R^2	R^3	R^4	Cmpd No.	R^1	R^2	R^3	R^4
	CH_3	CH_3	Br	CH_3		C_2H_5	C_2H_5	Cl	Cl
	C_2H_5	CH_3	Br	CH_3		*i*-C_3H_7	CH_3	Cl	Cl
	C_2H_5	C_2H_5	Br	CH_3		*c*-C_3H_5	CH_3	Cl	Cl
	i-C_3H_7	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CF_3
	c-C_3H_5	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CH_3
	CH_3	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	$OCHF_2$
15	C_2H_5	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	OMe
	C_2H_5	C_2H_5	Br	OMe		*n*-C_3H_7	CH_3	Br	Cl
18	*i*-C_3H_7	CH_3	Br	OMe	10	CH_3	CH_3	Cl	CF_3
	c-C_3H_5	CH_3	Br	OMe	3	C_2H_5	CH_3	Cl	CF_3

Cmpd No.	R^1	R^2	R^3	R^4	Cmpd No.	R^1	R^2	R^3	R^4
	CH_3	CH_3	Br	OEt		CH_3	CH_3	Cl	OEt
42	C_2H_5	CH_3	Br	OEt	43	C_2H_5	CH_3	Cl	OEt
	C_2H_5	C_2H_5	Br	OEt		C_2H_5	C_2H_5	Cl	OEt
	n-C_3H_7	CH_3	Br	OEt	44	*n*-C_3H_7	CH_3	Cl	OEt
	i-C_3H_7	CH_3	Br	OEt		*i*-C_3H_7	CH_3	Cl	OEt
	c-C_3H_5	CH_3	Br	OEt		*c*-C_3H_5	CH_3	Cl	OEt
	CH_3	CH_3	Br	$OCHF_2$		C_2H_5	C_2H_5	Cl	CF_3
22	C_2H_5	CH_3	Br	$OCHF_2$	35	*i*-C_3H_7	CH_3	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*c*-C_3H_5	CH_3	Cl	CF_3
	i-C_3H_7	CH_3	Br	$OCHF_2$		CH_3	CH_3	Cl	CHF_2
	c-C_3H_5	CH_3	Br	$OCHF_2$	6	C_2H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	C_2H_5		C_2H_5	C_2H_5	Cl	CHF_2
	C_2H_5	CH_3	Br	CH_2CF_3		*i*-C_3H_7	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHFCHF_2$		*c*-C_3H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHCl_2$	29	CH_3	CH_3	Br	CF_3
	C_2H_5	CH_3	Br	CCl_3	2	C_2H_5	CH_3	Br	CF_3
	CH_3	CH_3	Br	OCF_3		C_2H_5	C_2H_5	Br	CF_3
	C_2H_5	CH_3	Br	OCF_3	34	*i*-C_3H_7	CH_3	Br	CF_3
	C_2H_5	C_2H_5	Br	OCF_3		*c*-C_3H_5	CH_3	Br	CF_3
	i-C_3H_7	CH_3	Br	OCF_3		CH_3	CH_3	Br	CHF_2
	c-C_3H_5	CH_3	Br	OCF_3	5	C_2H_5	CH_3	Br	CHF_2
	CH_3	CH_3	Cl	OMe		C_2H_5	C_2H_5	Br	CHF_2
16	C_2H_5	CH_3	Cl	OMe		*i*-C_3H_7	CH_3	Br	CHF_2
	C_2H_5	C_2H_5	Cl	OMe		*c*-C_3H_5	CH_3	Br	CHF_2
	n-C_3H_7	CH_3	Cl	OMe		*n*-C_3H_7	CH_3	Br	OMe
17	*i*-C_3H_7	CH_3	Cl	OMe		C_2H_5	CH_3	I	CF_3
	c-C_3H_5	CH_3	Cl	OMe		*n*-C_4H_9	CH_3	Br	CF_3
	C_2H_5	CH_3	I	OMe		C_2H_5	CH_3	I	CHF_2
	C_2H_5	CH_3	I	OCF_3		*n*-C_4H_9	CH_3	Br	CHF_2
	C_2H_5	CH_3	I	CH_3	23	C_2H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	I	$OCHF_2$		*n*-C_4H_9	CH_3	Br	$OCHF_2$
	C_2H_5	CH_3	I	Cl		*i*-C_3H_7	CH_3	Cl	$OCHF_2$
	CH_3	CH_3	Cl	Cl		*c*-C_3H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	Cl	Cl		CH_3	CH_3	Cl	$OCHF_2$

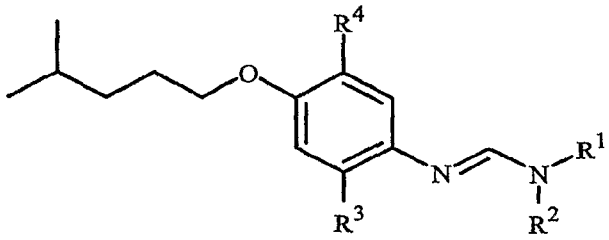
Table 2



Cmpd No.	R^1	R^2	R^3	R^4	Cmpd No.	R^1	R^2	R^3	R^4
	CH_3	CH_3	Br	CH_3		C_2H_5	C_2H_5	Cl	Cl
	C_2H_5	CH_3	Br	CH_3		*i*-C_3H_7	CH_3	Cl	Cl
	C_2H_5	C_2H_5	Br	CH_3		*c*-C_3H_5	CH_3	Cl	Cl
	i-C_3H_7	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CF_3
	c-C_3H_5	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CH_3
	CH_3	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	$OCHF_2$
	C_2H_5	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	OMe
	C_2H_5	C_2H_5	Br	OMe		*n*-C_3H_7	CH_3	Br	Cl
	i-C_3H_7	CH_3	Br	OMe		CH_3	CH_3	Cl	CF_3
	c-C_3H_5	CH_3	Br	OMe	11	C_2H_5	CH_3	Cl	CF_3
	CH_3	CH_3	Br	OEt		CH_3	CH_3	Cl	OEt
	C_2H_5	CH_3	Br	OEt		C_2H_5	CH_3	Cl	OEt
	C_2H_5	C_2H_5	Br	OEt		C_2H_5	C_2H_5	Cl	OEt
	n-C_3H_7	CH_3	Br	OEt		*n*-C_3H_7	CH_3	Cl	OEt
	i-C_3H_7	CH_3	Br	OEt		*i*-C_3H_7	CH_3	Cl	OEt
	c-C_3H_5	CH_3	Br	OEt		*c*-C_3H_5	CH_3	Cl	OEt
	CH_3	CH_3	Br	$OCHF_2$		C_2H_5	C_2H_5	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*i*-C_3H_7	CH_3	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*c*-C_3H_5	CH_3	Cl	CF_3
	i-C_3H_7	CH_3	Br	$OCHF_2$		CH_3	CH_3	Cl	CHF_2
	c-C_3H_5	CH_3	Br	$OCHF_2$		C_2H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	C_2H_5		C_2H_5	C_2H_5	Cl	CHF_2
	C_2H_5	CH_3	Br	CH_2CF_3		*i*-C_3H_7	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHFCHF_2$		*c*-C_3H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHCl_2$		CH_3	CH_3	Br	CF_3
	C_2H_5	CH_3	Br	CCl_3	12	C_2H_5	CH_3	Br	CF_3
	CH_3	CH_3	Br	OCF_3		C_2H_5	C_2H_5	Br	CF_3
	C_2H_5	CH_3	Br	OCF_3		*i*-C_3H_7	CH_3	Br	CF_3

Cmpd No.	R^1	R^2	R^3	R^4	Cmpd No.	R^1	R^2	R^3	R^4
	C_2H_5	C_2H_5	Br	OCF_3		*c*-C_3H_5	CH_3	Br	CF_3
	i-C_3H_7	CH_3	Br	OCF_3		CH_3	CH_3	Br	CHF_2
	c-C_3H_5	CH_3	Br	OCF_3		C_2H_5	CH_3	Br	CHF_2
	CH_3	CH_3	Cl	OMe		C_2H_5	C_2H_5	Br	CHF_2
	C_2H_5	CH_3	Cl	OMe		*i*-C_3H_7	CH_3	Br	CHF_2
	C_2H_5	C_2H_5	Cl	OMe		*c*-C_3H_5	CH_3	Br	CHF_2
	n-C_3H_7	CH_3	Cl	OMe		*n*-C_3H_7	CH_3	Br	OMe
	i-C_3H_7	CH_3	Cl	OMe		C_2H_5	CH_3	I	CF_3
	c-C_3H_5	CH_3	Cl	OMe		*n*-C_4H_9	CH_3	Br	CF_3
	C_2H_5	CH_3	I	OMe		C_2H_5	CH_3	I	CHF_2
	C_2H_5	CH_3	I	OCF_3		*n*-C_4H_9	CH_3	Br	CHF_2
	C_2H_5	CH_3	I	CH_3		C_2H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	I	$OCHF_2$		*n*-C_4H_9	CH_3	Br	$OCHF_2$
	C_2H_5	CH_3	I	Cl		*i*-C_3H_7	CH_3	Cl	$OCHF_2$
	CH_3	CH_3	Cl	Cl		*c*-C_3H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	Cl	Cl		CH_3	CH_3	Cl	$OCHF_2$

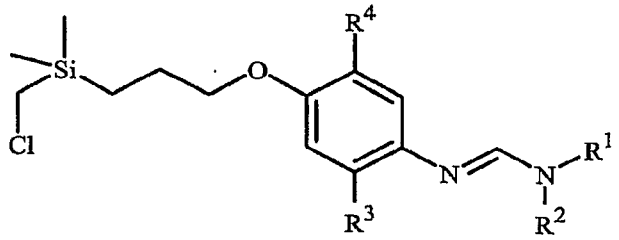
Table 3



Cmpd No.	R^2	R^1	R^3	R^4	Cmpd No.	R^2	R^1	R^3	R^4
	CH_3	CH_3	Br	CH_3		C_2H_5	C_2H_5	Cl	Cl
	C_2H_5	CH_3	Br	CH_3		*i*-C_3H_7	CH_3	Cl	Cl
	C_2H_5	C_2H_5	Br	CH_3		*c*-C_3H_5	CH_3	Cl	Cl
	i-C_3H_7	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CF_3
	c-C_3H_5	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CH_3
	CH_3	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	$OCHF_2$
25	C_2H_5	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	OMe
	C_2H_5	C_2H_5	Br	OMe		*n*-C_3H_7	CH_3	Br	Cl
30	*i*-C_3H_7	CH_3	Br	OMe		CH_3	CH_3	Cl	CF_3
	c-C_3H_5	CH_3	Br	OMe	4	C_2H_5	CH_3	Cl	CF_3
	CH_3	CH_3	Br	OEt		CH_3	CH_3	Cl	OEt

Cmpd No.	R^2	R^1	R^3	R^4	Cmpd No.	R^2	R^1	R^3	R^4
36	C_2H_5	CH_3	Br	OEt	37	C_2H_5	CH_3	Cl	OEt
	C_2H_5	C_2H_5	Br	OEt		C_2H_5	C_2H_5	Cl	OEt
38	*n*-C_3H_7	CH_3	Br	OEt	39	*n*-C_3H_7	CH_3	Cl	OEt
40	*i*-C_3H_7	CH_3	Br	OEt	41	*i*-C_3H_7	CH_3	Cl	OEt
	c-C_3H_5	CH_3	Br	OEt		*c*-C_3H_5	CH_3	Cl	OEt
	CH_3	CH_3	Br	$OCHF_2$		C_2H_5	C_2H_5	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*i*-C_3H_7	CH_3	Cl	CF_3
27	C_2H_5	CH_3	Br	$OCHF_2$		*c*-C_3H_5	CH_3	Cl	CF_3
	i-C_3H_7	CH_3	Br	$OCHF_2$		CH_3	CH_3	Cl	CHF_2
	c-C_3H_5	CH_3	Br	$OCHF_2$	9	C_2H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	C_2H_5		C_2H_5	C_2H_5	Cl	CHF_2
	C_2H_5	CH_3	Br	CH_2CF_3		*i*-C_3H_7	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHFCHF_2$		*c*-C_3H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHCl_2$		CH_3	CH_3	Br	CF_3
	C_2H_5	CH_3	Br	CCl_3	7	C_2H_5	CH_3	Br	CF_3
	CH_3	CH_3	Br	OCF_3		C_2H_5	C_2H_5	Br	CF_3
	C_2H_5	CH_3	Br	OCF_3		*i*-C_3H_7	CH_3	Br	CF_3
	C_2H_5	C_2H_5	Br	OCF_3		*c*-C_3H_5	CH_3	Br	CF_3
	i-C_3H_7	CH_3	Br	OCF_3		CH_3	CH_3	Br	CHF_2
	c-C_3H_5	CH_3	Br	OCF_3	8	C_2H_5	CH_3	Br	CHF_2
	CH_3	CH_3	Cl	OMe		C_2H_5	C_2H_5	Br	CHF_2
26	C_2H_5	CH_3	Cl	OMe		*i*-C_3H_7	CH_3	Br	CHF_2
	C_2H_5	C_2H_5	Cl	OMe		*c*-C_3H_5	CH_3	Br	CHF_2
33	*n*-C_3H_7	CH_3	Cl	OMe	31	*n*-C_3H_7	CH_3	Br	OMe
32	*i*-C_3H_7	CH_3	Cl	OMe		C_2H_5	CH_3	I	CF_3
	c-C_3H_5	CH_3	Cl	OMe		*n*-C_4H_9	CH_3	Br	CF_3
	C_2H_5	CH_3	I	OMe		C_2H_5	CH_3	I	CHF_2
	C_2H_5	CH_3	I	OCF_3		*n*-C_4H_9	CH_3	Br	CHF_2
	C_2H_5	CH_3	I	CH_3	28	C_2H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	I	$OCHF_2$		*n*-C_4H_9	CH_3	Br	$OCHF_2$
	C_2H_5	CH_3	I	Cl		*i*-C_3H_7	CH_3	Cl	$OCHF_2$
1	CH_3	CH_3	Cl	Cl		*c*-C_3H_5	CH_3	Cl	$OCHF_2$
	C_2H_5	CH_3	Cl	Cl		CH_3	CH_3	Cl	$OCHF_2$

Table 4

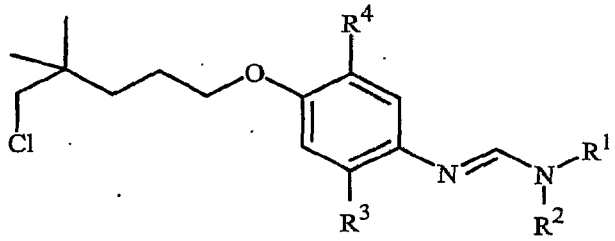


Cmpd No.	R^1	R^2	R^3	R^4	Cmpd No.	R^1	R^2	R^3	R^4
	CH_3	CH_3	Br	CH_3		C_2H_5	C_2H_5	Cl	Cl
	C_2H_5	CH_3	Br	CH_3		*i*-C_3H_7	CH_3	Cl	Cl
	C_2H_5	C_2H_5	Br	CH_3		*c*-C_3H_5	CH_3	Cl	Cl
	i-C_3H_7	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CF_3
	c-C_3H_5	CH_3	Br	CH_3		*n*-C_3H_7	CH_3	Br	CH_3
	CH_3	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	$OCHF_2$
24	C_2H_5	CH_3	Br	OMe		*n*-C_3H_7	CH_3	Br	OMe
	C_2H_5	C_2H_5	Br	OMe		*n*-C_3H_7	CH_3	Br	Cl
	i-C_3H_7	CH_3	Br	OMe		CH_3	CH_3	Cl	CF_3
	c-C_3H_5	CH_3	Br	OMe	13	C_2H_5	CH_3	Cl	CF_3
	CH_3	CH_3	Br	OEt		CH_3	CH_3	Cl	OEt
	C_2H_5	CH_3	Br	OEt		C_2H_5	CH_3	Cl	OEt
	C_2H_5	C_2H_5	Br	OEt		C_2H_5	C_2H_5	Cl	OEt
	n-C_3H_7	CH_3	Br	OEt		*n*-C_3H_7	CH_3	Cl	OEt
	i-C_3H_7	CH_3	Br	OEt		*i*-C_3H_7	CH_3	Cl	OEt
	c-C_3H_5	CH_3	Br	OEt		*c*-C_3H_5	CH_3	Cl	OEt
	CH_3	CH_3	Br	$OCHF_2$		C_2H_5	C_2H_5	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*i*-C_3H_7	CH_3	Cl	CF_3
	C_2H_5	CH_3	Br	$OCHF_2$		*c*-C_3H_5	CH_3	Cl	CF_3
	i-C_3H_7	CH_3	Br	$OCHF_2$		CH_3	CH_3	Cl	CHF_2
	c-C_3H_5	CH_3	Br	$OCHF_2$	19	C_2H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	C_2H_5		C_2H_5	C_2H_5	Cl	CHF_2
	C_2H_5	CH_3	Br	CH_2CF_3		*i*-C_3H_7	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHFCHF_2$		*c*-C_3H_5	CH_3	Cl	CHF_2
	C_2H_5	CH_3	Br	$CHCl_2$		CH_3	CH_3	Br	CF_3
	C_2H_5	CH_3	Br	CCl_3	14	C_2H_5	CH_3	Br	CF_3
	CH_3	CH_3	Br	OCF_3		C_2H_5	C_2H_5	Br	CF_3
	C_2H_5	CH_3	Br	OCF_3		*i*-C_3H_7	CH_3	Br	CF_3
	C_2H_5	C_2H_5	Br	OCF_3		*c*-C_3H_5	CH_3	Br	CF_3

Cmpd No.	R^1	R^2	R^3	R^4
	i-C_3H_7	CH_3	Br	OCF_3
	c-C_3H_5	CH_3	Br	OCF_3
	CH_3	CH_3	Cl	OMe
21	C_2H_5	CH_3	Cl	OMe
	C_2H_5	C_2H_5	Cl	OMe
	n-C_3H_7	CH_3	Cl	OMe
	i-C_3H_7	CH_3	Cl	OMe
	c-C_3H_5	CH_3	Cl	OMe
	C_2H_5	CH_3	I	OMe
	C_2H_5	CH_3	I	OCF_3
	C_2H_5	CH_3	I	CH_3
	C_2H_5	CH_3	I	$OCHF_2$
	C_2H_5	CH_3	I	Cl
	CH_3	CH_3	Cl	Cl
	C_2H_5	CH_3	Cl	Cl

Cmpd No.	R^1	R^2	R^3	R^4
	CH_3	CH_3	Br	CHF_2
20	C_2H_5	CH_3	Br	CHF_2
	C_2H_5	C_2H_5	Br	CHF_2
	i-C_3H_7	CH_3	Br	CHF_2
	c-C_3H_5	CH_3	Br	CHF_2
	n-C_3H_7	CH_3	Br	OMe
	C_2H_5	CH_3	I	CF_3
	n-C_4H_9	CH_3	Br	CF_3
	C_2H_5	CH_3	I	CHF_2
	n-C_4H_9	CH_3	Br	CHF_2
	C_2H_5	CH_3	Cl	$OCHF_2$
	n-C_4H_9	CH_3	Br	$OCHF_2$
	i-C_3H_7	CH_3	Cl	$OCHF_2$
	c-C_3H_5	CH_3	Cl	$OCHF_2$
	CH_3	CH_3	Cl	$OCHF_2$

Table 5

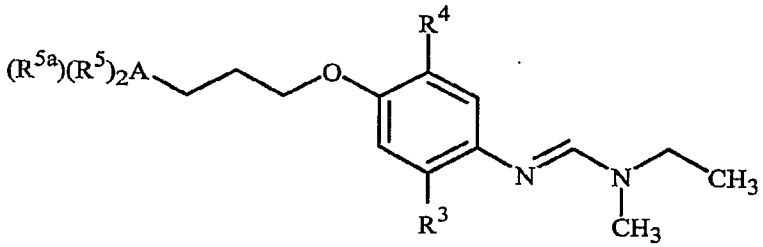


R^1	R^2	R^3	R^4
CH_3	CH_3	Br	CH_3
C_2H_5	CH_3	Br	CH_3
C_2H_5	C_2H_5	Br	CH_3
i-C_3H_7	CH_3	Br	CH_3
c-C_3H_5	CH_3	Br	CH_3
CH_3	CH_3	Br	OMe
C_2H_5	CH_3	Br	OMe
C_2H_5	C_2H_5	Br	OMe
i-C_3H_7	CH_3	Br	OMe
c-C_3H_5	CH_3	Br	OMe
CH_3	CH_3	Br	OEt
C_2H_5	CH_3	Br	OEt

R^1	R^2	R^3	R^4
C_2H_5	C_2H_5	Cl	Cl
i-C_3H_7	CH_3	Cl	Cl
c-C_3H_5	CH_3	Cl	Cl
n-C_3H_7	CH_3	Br	CF_3
n-C_3H_7	CH_3	Br	CH_3
n-C_3H_7	CH_3	Br	$OCHF_2$
n-C_3H_7	CH_3	Br	OMe
n-C_3H_7	CH_3	Br	Cl
CH_3	CH_3	Cl	CF_3
C_2H_5	CH_3	Cl	CF_3
CH_3	CH_3	Cl	OEt
C_2H_5	CH_3	Cl	OEt

R^1	R^2	R^3	R^4	R^1	R^2	R^3	R^4
C_2H_5	C_2H_5	Br	OEt	C_2H_5	C_2H_5	Cl	OEt
n-C_3H_7	CH_3	Br	OEt	*n*-C_3H_7	CH_3	Cl	OEt
i-C_3H_7	CH_3	Br	OEt	*i*-C_3H_7	CH_3	Cl	OEt
c-C_3H_5	CH_3	Br	OEt	*c*-C_3H_5	CH_3	Cl	OEt
CH_3	CH_3	Br	$OCHF_2$	C_2H_5	C_2H_5	Cl	CF_3
C_2H_5	CH_3	Br	$OCHF_2$	*i*-C_3H_7	CH_3	Cl	CF_3
C_2H_5	CH_3	Br	$OCHF_2$	*c*-C_3H_5	CH_3	Cl	CF_3
i-C_3H_7	CH_3	Br	$OCHF_2$	CH_3	CH_3	Cl	CHF_2
c-C_3H_5	CH_3	Br	$OCHF_2$	C_2H_5	CH_3	Cl	CHF_2
C_2H_5	CH_3	Br	C_2H_5	C_2H_5	C_2H_5	Cl	CHF_2
C_2H_5	CH_3	Br	CH_2CF_3	*i*-C_3H_7	CH_3	Cl	CHF_2
C_2H_5	CH_3	Br	$CHFCHF_2$	*c*-C_3H_5	CH_3	Cl	CHF_2
C_2H_5	CH_3	Br	$CHCl_2$	CH_3	CH_3	Br	CF_3
C_2H_5	CH_3	Br	CCl_3	C_2H_5	CH_3	Br	CF_3
CH_3	CH_3	Br	OCF_3	C_2H_5	C_2H_5	Br	CF_3
C_2H_5	CH_3	Br	OCF_3	*i*-C_3H_7	CH_3	Br	CF_3
C_2H_5	C_2H_5	Br	OCF_3	*c*-C_3H_5	CH_3	Br	CF_3
i-C_3H_7	CH_3	Br	OCF_3	CH_3	CH_3	Br	CHF_2
c-C_3H_5	CH_3	Br	OCF_3	C_2H_5	CH_3	Br	CHF_2
CH_3	CH_3	Cl	OMe	C_2H_5	C_2H_5	Br	CHF_2
C_2H_5	CH_3	Cl	OMe	*i*-C_3H_7	CH_3	Br	CHF_2
C_2H_5	C_2H_5	Cl	OMe	*c*-C_3H_5	CH_3	Br	CHF_2
n-C_3H_7	CH_3	Cl	OMe	*n*-C_3H_7	CH_3	Br	OMe
i-C_3H_7	CH_3	Cl	OMe	C_2H_5	CH_3	I	CF_3
c-C_3H_5	CH_3	Cl	OMe	*n*-C_4H_9	CH_3	Br	CF_3
C_2H_5	CH_3	I	OMe	C_2H_5	CH_3	I	CHF_2
C_2H_5	CH_3	I	OCF_3	*n*-C_4H_9	CH_3	Br	CHF_2
C_2H_5	CH_3	I	CH_3	C_2H_5	CH_3	Cl	$OCHF_2$
C_2H_5	CH_3	I	$OCHF_2$	*n*-C_4H_9	CH_3	Br	$OCHF_2$
C_2H_5	CH_3	I	Cl	*i*-C_3H_7	CH_3	Cl	$OCHF_2$
CH_3	CH_3	Cl	Cl	*c*-C_3H_5	CH_3	Cl	$OCHF_2$
C_2H_5	CH_3	Cl	Cl	CH_3	CH_3	Cl	$OCHF_2$

Table 6



$(R^{5a})(R^5)_2A$	R^3	R^4
$BrCH_2(CH_3)_2Si$	Br	CF_3
$FCH_2(CH_3)_2Si$	Br	CF_3
$ICH_2(CH_3)_2Si$	Br	CF_3
$BrCH_2(CH_3)_2Si$	Br	CHF_2
$FCH_2(CH_3)_2Si$	Br	CHF_2
$ICH_2(CH_3)_2Si$	Br	CHF_2
$C_2H_5(CH_3)_2Si$	Br	CF_3
$(ClCH_2)_2(CH_3)Si$	Br	CF_3
$(C_2H_5)_2(CH_3)Si$	Br	CF_3
$BrCH_2(CH_3)_2Si$	Cl	CF_3
$ICH_2(CH_3)_2Si$	Br	Cl
$BrCH_2(CH_3)_2Si$	Br	Cl
$FCH_2(CH_3)_2Si$	Br	Cl
$BrCH_2(CH_3)_2Si$	Br	OCH_3
$BrCH_2(CH_3)_2Si$	Cl	OCH_3
$C_2H_5(CH_3)_2Si$	Br	OCH_3
$(C_2H_5)_2(CH_3)Si$	Br	OCH_3
$C_2H_5(CH_3)_2Si$	Br	$OCHF_2$
$ICH_2(CH_3)_2Si$	Br	$OCHF_2$
$BrCH_2(CH_3)_2Si$	Br	$OCHF_2$
$FCH_2(CH_3)_2Si$	Br	$OCHF_2$
$BrCH_2(CH_3)_2Si$	Cl	$OCHF_2$
$(CH_3)_2CH$	Br	CH_2F
$(CH_3)_3C$	Br	CH_2F
$(CH_3)_3Si$	Br	CH_2F
$(CH_3)_2CH$	Cl	CH_2F
$ClCH_2(CH_3)_2Si$	Br	CH_2F
$(CH_3)_3C$	Cl	CH_2F
$(CH_3)_3Si$	Cl	CH_2F
$(ClCH_2)_2(CH_3)Si$	Cl	CH_2F

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 (including seed coatings), and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. 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.

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

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

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. *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.

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, glycerol esters, polyoxyethylene/polyoxypropylene block copolymers, and alkylpolyglycosides where the number of glucose units, referred to as degree of polymerization (D.P.), can range from 1 to 3 and the alkyl units can range from C_6 to C_{14} (see *Pure and Applied Chemistry 72*, 1255–1264). Solid diluents include, for example, 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, propylene carbonate, dibasic esters, paraffins, alkylbenzenes, alkylnaphthalenes, glycerine, triacetine, 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, acetates such as hexyl acetate, heptyl acetate and octyl acetate, and alcohols such as methanol, cyclohexanol, decanol, benzyl and tetrahydrofurfuryl alcohol.

Useful formulations of this invention may also contain materials well known to those skilled in the art as formulation aids such as antifoams, film formers and dyes. Antifoams can include water dispersible liquids comprising polyorganosiloxanes like Rhodorsil® 416. The film formers can include polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Dyes can include water dispersible liquid colorant compositions like Pro-lzed® Colorant Red. One skilled in the art will appreciate that this is a non-exhaustive list of formulation aids. Suitable examples of formulation aids include those listed herein and those listed in *McCutcheon's 2001, Volume 2: Functional Materials* published by MC Publishing Company and PCT Publication WO 03/024222.

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, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714.

Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

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

Example A

High Strength Concentrate

Compound 7	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%.

Example B

Wettable Powder

Compound 7	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example C

Granule

Compound 7	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%.

Example D

Aqueous Suspension

Compound 7	25.0%
hydrated attapulgite	3.0%
crude calcium ligninsulfonate	10.0%
sodium dihydrogen phosphate	0.5%
water	61.5%.

Example E

Extruded Pellet

Compound 7	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Example F

Microemulsion

Compound 7	1.0%
triacetine	30.0%
C_8–C_{10} alkylpolyglycoside	30.0%
glyceryl monooleate	19.0%
water	20.0%.

The compounds of this invention are useful as plant disease control agents. The present invention therefore further relates to a method for controlling plant diseases caused by plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound.

Because of their relatively low phytotoxicity, the compounds of Formula **1** are considered to be particularly advantageous for use on dicot (i.e., broadleaf) plants. Accordingly, the compounds of Formula **1** can be used to provide effective fungal disease control for dicot plants.

The compounds of Formula **1** and their fungicidal compositions are useful for controlling fungal plant disease, such as powdery mildews and rusts, caused by fungal plant pathogens in the Ascomycete, Basidiomycete and Deuteromycete classes and afflicting a wide range of ornamental, vegetable, field, cereal and fruit crops. These pathogens include: Ascomycetes, including powdery mildew diseases of cereals and broadleaf crops caused by *Erysiphe* spp. (including *Erysiphe graminis* f. sp. *tritici*, *Erysiphe graminis* f. sp. *hordei* and *Erysiphe polygoni*), *Uncinula necatur*, *Sphaerotheca fuligena*, *Podosphaera leucotricha* and

diseases caused by *Helminthosporium* spp. such as *Helminthosporium tritici repentis*, *Pyrenophora teres* and *Rhyncosporium secalis*;
Basidiomycetes, including rust diseases of cereals and broadleaf crops caused by *Puccinia* spp. (such as *Puccinia recondita*, *Puccinia striiformis*, *Puccinia hordei*, *Puccinia graminis* and *Puccinia arachidis*), *Hemileia vastatrix*, *Uromyces appendiculatus*, *Phakopsora pachyrhizi* and *Phakopsora meibomiae*;
and other genera and species related to these pathogens, including those classified in Deuteromycetes.

Of note is the use of compounds of this invention to control powdery mildew disease caused by the *Erysiphaceae* family of fungi (e.g., *Erysiphe* sp.). Also of note is the use of compounds of this invention to control rust disease caused by the *Pucciniaceae* family of fungi (e.g., *Puccinia* sp.).

Compounds of Formula **1** can be used to control fungal diseases of a wide range of economic plants such as alfalfa, barley, cotton, wheat, rape, sugarbeets, corn (maize), sorghum, soybeans, rice, oats, peanuts, vegetables including lettuce, garden beets, peas, beans, carrots, cole crops, curcurbit crops, tomato and pepper, potato, perennial plantation crops including coffee, cocoa, oil palm, rubber, sugarcane, grapes, citrus (e.g., orange, tangerine, lemon, lime, grapefruit), apple, cherry, pear, peach, apricot and other fruit trees, nut trees, banana, plantain, pineapple, hops, tea and forests such as eucalyptus and conifers (e.g., loblolly pine), and turf species (e.g., Kentucky bluegrass, St. Augustine grass, Kentucky fescue and Bermuda grass). Of particular note is the utility of the compounds of Formula **1** for controlling fungal diseases in the following dicot crops: soybean, grape and cucurbit.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide, buprofezin, carbofuran, chlorfenapyr, chlorantraniliprole, chlorpyrifos, chlorpyrifos-methyl, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, indoxacarb, isofenphos, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate,

phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, pyrafluprole, pyridalyl, pyrifluquinazon, pyriprole, rotenone, spirodiclofen, spiromesifen, spirotetramat, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiamethoxam, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, aldimorph, amisulbrom, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, benthiavalicarb-isopropyl, binomial, biphenyl, bitertanol, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), boscalid/nicobifen, bromuconazole, bupirimate, buthiobate, carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolinate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, discostrobin, dithianon, dodemorph, dodine, econazole, etaconazole, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenfuram, fenhexamide, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferfurazoate, ferimzone, fluazinam, fludioxonil, flumetover, fluopicolide, fluoxastrobin, fluquinconazole, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, furalaxyl, furametapyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodicarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, isotianil, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mapanipyrin, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin, mepanipyrim, metiram, metrafenone, miconazole, myclobutanil, neo-asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl, oxolinic acid, oxpoconazole, oxycarboxin, paclobutrazol, penconazole, pencycuron, penthiopyrad, perfurazoate, phosphonic acid, phthalide, picobenzamid, picoxystrobin, polyoxin, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride, propiconazole, propineb, proquinazid, prothioconazole, pyraclostrobin, pryazophos, pyrifenox, pyrimethanil, pyrifenox, pyrolnitrine, pyroquilon, quinconazole, quinoxyfen, quintozene, silthiofam, simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, techrazene, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tridemorph, trimoprhamide tricyclazole, trifloxystrobin, triforine, triticonazole, uniconazole, validamycin, vinclozolin, zineb, ziram, and zoxamide, nematocides such as aldoxycarb and 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*,

Bacillus thuringiensis delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi. The weight ratios of these various mixing partners to compounds of this invention typically are between 100:1 and 1:100, preferably between 30:1 and 1:30, more preferably between 10:1 and 1:10, and most preferably between 4:1 and 1:4.

Of note are combinations of a compound of Formula 1 (e.g., Compound 15) with azoxystrobin, kresoxim-methyl, trifloxystrobin, pyraclostrobin, picoxystrobin, dimoxystrobin, metominostrobin/fenominostrobin, carbendazim, chlorothalonil, quinoxyfen, metrafenone, cyflufenamid, famoxadone, fenpropidin, fenpropimorph, fluoxastrobin, bromuconazole, cyproconazole, difenoconazole, epoxiconazole, fenbuconazole, flusilazole, hexaconazole, ipconazole, metconazole, penconazole, propiconazole, proquinazid, prothioconazole, tebuconazole, triticonazole, prochloraz or boscalid/nicobifen.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of Formula 1 with a fungicide selected from the group: azoxystrobin, fluoxastrobin, kresoxim-methyl, trifloxystrobin, pyraclostrobin, picoxystrobin, dimoxystrobin, metominostrobin/fenominostrobin, quinoxyfen, metrafenone, cyflufenamid, fenpropidin, fenpropimorph, cyproconazole, epoxiconazole, flusilazole, metconazole, propiconazole, famoxadone, proquinazid, prothioconazole, tebuconazole and triticonazole.

Specifically preferred mixtures (compound numbers refer to compounds in Index Table A) are selected from the group: the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with azoxystrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with fluoxastrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with kresoxim-methyl, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with trifloxystrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with pyraclostrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with picoxystrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with dimoxystrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with metominostrobin/fenominostrobin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with quinoxyfen, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with metrafenone, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with cyflufenamid, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with fenpropidin, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with fenpropimorph, the combinations of Compound 7, Compound 8, Compound 15, Compound

22 or Compound 25 with cyproconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with epoxiconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with flusilazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with metconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with propiconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with famoxadone, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with propiconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with proquinazid, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with prothioconazole, the combinations of Compound 7, Compound 8, Compound 15, Compound 22 or Compound 25 with tebuconazole, the combinations of Compound 7, Compound 8, Compounds 15, Compound 22 or Compound 25 with triticonazole.

Of note are methods of controlling fungal plant disease in soybean plants caused by *Phakopsora pachyrhizi* which comprise applying a combination of a compound of Formula 1 (e.g., Compound 7, 8, 15, 22 or 25) with at least one compound selected from triazole fungicides that inhibit demethylase in sterol biosynthesis (e.g., cyproconazole, flusilazole and/or tebuconazole). Also of note are fungicidal compositions comprising a compound of Formula 1 (e.g., Compound 7, 8, 15, 22 or 25) and at least one compound selected from triazole fungicides that inhibit demethylase in sterol biosynthesis (e.g., cyproconazole, flusilazole and/or tebuconazole).

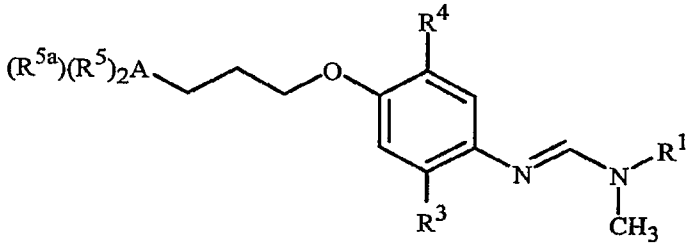
Plant disease control, preventatively and curatively, is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-B for compound descriptions. The following abbreviations are used in the Index Tables which follow: Me means methyl, Et means ethyl, Pr means propyl, *n*-Pr means normal propyl, *i*-Pr means isopropyl,

OMe means methoxy, OEt means ethoxy. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A



Compound	$(R^{5a})(R^5)_2A$	R^1	R^3	R^4	m.p. (°C)
1	$(CH_3)_2CH$	Me	Cl	Cl	*
2	$(CH_3)_3Si$	Et	Br	CF_3	*
3	$(CH_3)_3Si$	Et	Cl	CF_3	*
4	$(CH_3)_2CH$	Et	Cl	CF_3	*
5	$(CH_3)_3Si$	Et	Br	CHF_2	*
6	$(CH_3)_3Si$	Et	Cl	CHF_2	*
7 (Ex. 1)	$(CH_3)_2CH$	Et	Br	CF_3	**
8	$(CH_3)_2CH$	Et	Br	CHF_2	*
9	$(CH_3)_2CH$	Et	Cl	CHF_2	*
10	$(CH_3)_3Si$	Me	Cl	CF_3	*
11	$(CH_3)_3C$	Et	Cl	CF_3	*
12	$(CH_3)_3C$	Et	Br	CF_3	*
13	$ClCH_2(CH_3)_2Si$	Et	Cl	CF_3	*
14	$ClCH_2(CH_3)_2Si$	Et	Br	CF_3	*
15 (Ex. 2)	$(CH_3)_3Si$	Et	Br	OMe	**
16	$(CH_3)_3Si$	Et	Cl	OMe	*
17	$(CH_3)_3Si$	*i*-Pr	Cl	OMe	*
18	$(CH_3)_3Si$	*i*-Pr	Br	OMe	*
19	$ClCH_2(CH_3)_2Si$	Et	Cl	CHF_2	*
20	$ClCH_2(CH_3)_2Si$	Et	Br	CHF_2	*
21	$ClCH_2(CH_3)_2Si$	Et	Cl	OMe	*
22 (Ex. 3)	$(CH_3)_3Si$	Et	Br	$OCHF_2$	**
23	$(CH_3)_3Si$	Et	Cl	$OCHF_2$	*
24	$ClCH_2(CH_3)_2Si$	Et	Br	OMe	*
25	$(CH_3)_2CH$	Et	Br	OMe	*

Compound	$(R^{5a})(R^5)_2A$	R^1	R^3	R^4	m.p. (°C)
26	$(CH_3)_2CH$	Et	Cl	OMe	*
27	$(CH_3)_2CH$	Et	Br	$OCHF_2$	*
28	$(CH_3)_2CH$	Et	Cl	$OCHF_2$	*
29	$(CH_3)_3Si$	Me	Br	CF_3	*
30	$(CH_3)_2CH$	*i*-Pr	Br	OMe	*
31	$(CH_3)_2CH$	*n*-Pr	Br	OMe	*
32	$(CH_3)_2CH$	*i*-Pr	Cl	OMe	*
33	$(CH_3)_2CH$	*n*-Pr	Cl	OMe	*
34	$(CH_3)_3Si$	*i*-Pr	Br	CF_3	*
35	$(CH_3)_3Si$	*i*-Pr	Cl	CF_3	*
36	$(CH_3)_2CH$	Et	Br	OEt	*
37	$(CH_3)_2CH$	Et	Cl	OEt	*
38	$(CH_3)_2CH$	*n*-Pr	Br	OEt	*
39	$(CH_3)_2CH$	*n*-Pr	Cl	OEt	*
40	$(CH_3)_2CH$	*i*-Pr	Br	OEt	*
41	$(CH_3)_2CH$	*i*-Pr	Cl	OEt	*
42	$(CH_3)_3Si$	Et	Br	OEt	*
43	$(CH_3)_3Si$	Et	Cl	OEt	*
44	$(CH_3)_3Si$	*n*-Pr	Cl	OEt	*

* See Index Table B for ^1H NMR data.

** See synthesis example for ^1H NMR data.

INDEX TABLE B

Cmpd No.	^1H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
1	δ 7.4 (s, 1H), 6.9 (s, 1H), 3.9 (t, 2H), 3.0 (s, 6H), 1.8 (m, 2H), 1.6 (m, 1H), 1.3 (t, 2H), 0.95 (d, 6H).
2	δ 7.3-7.5 (br, 1H), 7.18 (s, 1H), 7.03 (s, 1H), 3.94 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.79 (m, 2H), 1.24 (t, 3H), 0.58 (m, 2H), 0.02 (s, 9H).
3	δ 7.3-7.5 (br, 1H), 7.08 (s, 1H), 7 (s, 1H), 3.93 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.79 (m, 2H), 1.23 (t, 3H), 0.58 (m, 2H), 0.02 (s, 9H).
4	δ 7.3-7.5 (br, 1H), 7.06 (s, 1H), 7 (s, 1H), 3.96 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).
5	δ 7.3-7.5 (br, 1H), 7.12 (s, 1H), 7.04 (s, 1H), 6.9 (t, 1H), 3.91 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.79 (m, 2H), 1.23 (t, 3H), 0.58 (m, 2H), 0.02 (s, 9H).

Cmpd No.	^{1}H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
6	δ 7.3-7.6 (br, 1H), 6.7-7.1 (m, 3H), 3.91 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.79 (m, 2H), 1.23 (t, 3H), 0.61 (m, 2H), 0.02 (s, 9H).
8	δ 7.3-7.5 (br, 1H), 7.13 (s, 1H), 6.7-7.07 (m, 2H), 3.94 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.78 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).
9	δ 7.3-7.6 (br, 1H), 6.7-7.08 (m, 3H), 3.94 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.35 (m, 2H), 1.23 (t, 3H), 0.92 (d, 6H).
10	δ 7.44 (s, 1H), 7.07 (s, 1H), 6.99 (s, 1H), 3.93 (t, 2H), 3.05 (s, 6H), 1.79 (m, 2H), 0.59 (m, 2H), 0.02 (s, 9H).
11	δ 7.3-7.5 (br, 1H), 7.08 (s, 1H), 7 (s, 1H), 3.95 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.79 (m, 2H), 1.2-1.4 (m, 5H), 0.91 (s, 9H).
12	δ 7.3-7.5 (br, 1H), 7.18 (s, 1H), 7.04 (s, 1H), 3.95 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 1.78 (m, 2H), 1.33 (m, 2H), 1.24 (t, 3H), 0.91 (s, 9H).
13	δ 7.3-7.5 (br, 1H), 7.08 (s, 1H), 6.99 (s, 1H), 3.95 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 2.81 (s, 2H), 1.82 (m, 2H), 1.23 (t, 3H), 0.78 (m, 2H), 0.14 (s, 6H).
14	δ 7.3-7.5 (br, 1H), 7.18 (s, 1H), 7.04 (s, 1H), 3.95 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 2.81 (s, 2H), 1.82 (m, 2H), 1.24 (t, 3H), 0.78 (m, 2H), 0.14 (s, 6H).
16	δ 7.3-7.7 (br, 1H), 6.87 (s, 1H), 6.46 (s, 1H), 3.9 (t, 2H), 3.84 (s, 3H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.23 (t, 3H), 0.6 (m, 2H), 0.01 (s, 9H).
17	δ 7.3-7.6 (br, 1H), 6.87 (s, 1H), 6.48 (s, 1H), 3.9 (t, 2H), 3.84 (s, 3H), 3.55-3.8 (br, 1H), 2.94 (s, 3H), 1.82 (m, 2H), 1.26 (d, 6H), 0.56 (m, 2H), 0.01 (s, 9H).
18	δ 7.55 (br, 1H), 7.03 (s, 1H), 6.48 (s, 1H), 3.9 (t, 2H), 3.84 (s, 3H), 3.55-3.8 (br, 1H), 2.94 (s, 3H), 1.82 (m, 2H), 1.25 (d, 6H), 0.56 (m, 2H), 0.01 (s, 9H).
19	δ 7.3-7.6 (br, 1H), 6.7-7.1 (m, 3H), 3.93 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 2.81 (s, 2H), 1.82 (m, 2H), 1.23 (t, 3H), 0.78 (m, 2H), 0.15 (s, 6H).
20	δ 7.3-7.6 (br, 1H), 6.7-7.12 (m, 3H), 3.93 (t, 2H), 3.24-3.6 (br, 2H), 3.03 (s, 3H), 2.81 (s, 2H), 1.82 (m, 2H), 1.24 (t, 3H), 0.78 (m, 2H), 0.15 (s, 6H).
21	δ 7.3-7.6 (br, 1H), 6.87 (s, 1H), 6.46 (s, 1H), 3.91 (t, 2H), 3.84 (s, 3H), 3.2-3.6 (br, 2H), 3.03 (s, 3H), 2.81 (s, 2H), 1.87 (m, 2H), 1.23 (t, 3H), 0.78 (m, 2H), 0.15 (s, 6H).
23	δ 7.27-7.5 (br, 1H), 6.97 (s, 1H), 6.72 (s, 1H), 6.54 (t, 1H), 3.91 (t, 2H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.79 (m, 2H), 1.23 (t, 3H), 0.6 (m, 2H), 0.02 (s, 9H).
24	δ 7.3-7.5 (br, 1H), 7.03 (s, 1H), 6.48 (s, 1H), 3.91 (t, 2H), 3.83 (s, 3H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 2.81 (s, 2H), 1.84 (m, 2H), 1.24 (t, 3H), 0.78 (m, 2H), 0.14 (s, 6H).
25	δ 7.3-7.5 (br, 1H), 7.03 (s, 1H), 6.48 (s, 1H), 3.93 (t, 2H), 3.83 (s, 3H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.6 (m, 1H), 1.34 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).

Cmpd No.	^{1}H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
26	δ 7.3-7.56 (br, 1H), 6.87 (s, 1H), 6.46 (s, 1H), 3.93 (t, 2H), 3.83 (s, 3H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.6 (m, 1H), 1.34 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).
27	δ 7.3-7.5 (br, 1H), 7.15 (s, 1H), 6.72 (s, 1H), 6.53 (t, 1H), 3.93 (t, 2H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.34 (m, 2H), 1.23 (t, 3H), 0.92 (d, 6H).
28	δ 7.3-7.56 (br, 1H), 6.25-7 (m, 3H), 3.93 (t, 2H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.62 (m, 1H), 1.35 (m, 2H), 1.22 (t, 3H), 0.92 (d, 6H).
29	δ 7.42 (s, 1H), 7.18 (s, 1H), 7.05 (s, 1H), 3.94 (t, 2H), 3.05 (s, 6H), 1.79 (m, 2H), 0.63 (m, 2H), 0.02 (s, 9H).
30	δ 7.3-7.6 (br, 1H), 7.03 (s, 1H), 6.48 (s, 1H), 3.92 (t, 2H), 3.83 (s, 3H), 3.55-3.8 (br, 1H), 2.94 (s, 3H), 1.82 (m, 2H), 1.6 (m, 1H), 1.34 (m, 2H), 1.26 (d, 6H), 0.91 (d, 6H).
31	δ 7.35-7.5 (br, 1H), 7.03 (s, 1H), 6.46 (s, 1H), 3.92 (t, 2H), 3.83 (s, 3H), 3.1-3.5 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.62 (m, 3H), 1.32 (m, 2H), 0.92 (m, 9H).
32	δ 7.3-7.6 (br, 1H), 6.87 (s, 1H), 6.47 (s, 1H), 3.93 (t, 2H), 3.83 (s, 3H), 3.58-3.78 (br, 1H), 2.94 (s, 3H), 1.82 (m, 2H), 1.6 (m, 1H), 1.34 (m, 2H), 1.25 (d, 6H), 0.91 (d, 6H).
33	δ 7.3-7.5 (br, 1H), 6.87 (s, 1H), 6.46 (s, 1H), 3.93 (t, 2H), 3.83 (s, 3H), 3.1-3.5 (br, 2H), 3.02 (s, 3H), 1.82 (m, 2H), 1.62 (m, 3H), 1.32 (m, 2H), 0.92 (m, 9H).
34	δ 7.3-7.6 (br, 1H), 7.18 (s, 1H), 7.04 (s, 1H), 3.93 (t, 2H), 3.6-3.8 (br, 1H), 2.95 (s, 3H), 1.8 (m, 2H), 1.25 (d, 6H), 0.59 (m, 2H), 0.02 (s, 9H).
35	δ 7.3-7.6 (br, 1H), 7.08 (s, 1H), 6.99 (s, 1H), 3.93 (t, 2H), 3.6-3.8 (br, 1H), 2.95 (s, 3H), 1.8 (m, 2H), 1.25 (d, 6H), 0.6 (m, 2H), 0.02 (s, 9H).
36	δ 7.3-7.5 (br, 1H), 7.04 (s, 1H), 6.5 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.42 (t, 3H), 1.34 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).
37	δ 7.3-7.5 (br, 1H), 6.88 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.24-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.6 (m, 1H), 1.42 (t, 3H), 1.35 (m, 2H), 1.23 (t, 3H), 0.91 (d, 6H).
38	δ 7.3-7.5 (br, 1H), 7.05 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.1-3.5 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.62 (m, 3H), 1.42 (t, 3H), 1.34 (m, 2H), 0.91 (m, 9H).
39	δ 7.35-7.5 (br, 1H), 6.88 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.1-3.5 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.62 (m, 3H), 1.41 (t, 3H), 1.34 (m, 2H), 0.91 (m, 9H).
40	δ 7.3-7.6 (br, 1H), 7.05 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.55-3.7 (br, 1H), 2.94 (s, 3H), 1.8 (m, 2H), 1.62 (m, 1H), 1.42 (t, 3H), 1.34 (m, 2H), 1.25 (d, 6H), 0.91 (d, 6H).
41	δ 7.3-7.6 (br, 1H), 6.88 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.92 (t, 2H), 3.55-3.7 (br, 1H), 2.94 (s, 3H), 1.8 (m, 2H), 1.62 (m, 1H), 1.42 (t, 3H), 1.34 (m, 2H), 1.25 (d, 6H), 0.91 (d, 6H).
42	δ 7.27-7.56 (br, 1H), 7.04 (s, 1H), 6.46 (s, 1H), 4.05 (q, 2H), 3.89 (t, 2H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.42 (t, 3H), 1.23 (t, 3H), 0.58 (m, 2H), 0.02 (s, 9H).

Cmpd No.	^{1}H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
43	δ 7.27-7.56 (br, 1H), 6.88 (s, 1H), 6.48 (s, 1H), 4.05 (q, 2H), 3.9 (t, 2H), 3.2-3.6 (br, 2H), 3.02 (s, 3H), 1.8 (m, 2H), 1.42 (t, 3H), 1.22 (t, 3H), 0.58 (m, 2H), 0.01 (s, 9H).
44	δ 7.3-7.56 (br, 1H), 6.87 (s, 1H), 6.46 (s, 1H), 4.04 (q, 2H), 3.89 (t, 2H), 3.1-3.5 (br, 2H), 3.01 (s, 3H), 1.8 (m, 2H), 1.62 (m, 2H), 1.41 (t, 3H), 0.92 (m, 3H), 0.58 (m, 2H), 0.01 (s, 9H).

[a] ^{1}H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (br)-broad singlet.

BIOLOGICAL EXAMPLES OF THE INVENTION

General protocol for preparing test suspensions: Test compounds were first dissolved in acetone in an amount equal to 3 % of the final volume and then suspended at the desired concentration (in ppm) in acetone and purified water (50/50 mix) containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests.

TEST A

The test suspension was sprayed at 40 ppm (equivalent to a rate of 120 g/ha) to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat brown rust) and incubated in a saturated atmosphere at 20 °C for 24 h, and then moved to a growth chamber at 20 °C for 6 days, after which time disease ratings were made.

TEST B

The test suspension was sprayed at 40 ppm (equivalent to a rate of 120 g/ha) to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20 °C for 7 days, after which time disease ratings were made.

TEST C

The test suspension was sprayed at 20 g/ha (in an application volume of 1000 L/ha) on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Uncinula necatur* (the causal agent of grape powdery mildew) and incubated in a greenhouse at 20 °C for 14 days, after which time disease ratings were made.

TEST D

The test suspension was sprayed at 100 g/ha (in an application volume of 1000 L/ha) on soybean seedlings. The following day the seedlings were incubated in a saturated atmosphere at 20 °C for 48 h and then moved to a growth chamber at 22 °C for 14 days, after which time crop safety ratings were made.

TEST E

The test suspension was sprayed at 20 g/ha (in an application volume of 1000 L/ha) on soybean seedlings. The following day the seedlings were incubated in a saturated atmosphere at 20 °C for 48 h and then moved to a growth chamber at 22 °C for 14 days, after which time crop safety ratings were made.

Results for Tests A-E are given in Table A. For Tests A-C, disease efficacy ratings are based on the percent leaf area with no visible symptoms, expressed as a percent (0 to 100 %) of total leaf area – e.g., a rating of 100 indicates 100 % disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results. For Tests D-E, crop safety ratings are based on the percent leaf area showing injury, expressed as a percent (0 to 100 %) of total leaf area – e.g., a rating of 100 indicates 100 % crop injury and a rating of 0 indicates no crop injury. A letter code following the percent rating indicates the type of symptom observed; a "B" indicates necrosis and an "H" indicates hormonal effects. A dash (-) indicates no test results. Results of Tests A-E show compounds that are effective at controlling rust and powdery mildew diseases of cereal and broadleaf crops, and are advantageous for use on broadleaved crops. The compound numbers of Table A refer to compounds in Index Table A.

Table A

Cmpd No.	Test A	Test B	Test C	Test D	Test E
1	0	21	-	-	-
2	100	100	100	-	-
3	100	100	100	10 H	0
4	100	100	82	0	0
5	100	100	-	-	-
6	100	100	-	-	-
7	100	100	87	0	0
8	100	100	98	0	0
9	100	99	89	12 B	0
10	100	100	67	-	-
11	100	100	-	-	-

Cmpd No.	Test A	Test B	Test C	Test D	Test E
12	100	100	100	-	-
13	100	100	100	-	-
14	100	100	-	-	-
15	99	97	99	3B	0
16	99	97	97	10 B	0
17	100	99	93	10 B	0
18	100	100	100	0	0
19	100	100	99	-	-
20	100	100	-	-	-
21	100	99	100	9 H	0
22	100	100	95	0	0
23	100	100	100	13 B	0
24	100	98	100	7 B	0
25	100	99	97	0	0
26	100	99	100	7B	0
27	99	99	-	-	-
28	100	99	-	-	-
29	99	100	-	-	-
30	99	60	97	0	0
31	91	0	100	0	0
32	99	93	-	-	-
33	91	32	-	-	-
34	99	100	-	-	-
35	-	-	-	-	-
36	100	72	-	-	-
37	100	93	-	-	-
38	55	0	-	-	-
39	0	0	-	-	-
40	99	62	-	-	-
41	99	86	-	-	-
42	100	98	-	-	-
43	100	99	-	-	-
44	0	54	-	-	-

Three compounds were evaluated in a replicated soybean field trial for the control of Asian soybean rust, caused by the pathogen *Phakopsora pachyrhizi*. Each compound was

formulated as an emulsifiable concentrate, dispersed in water, and then applied with a field sprayer at 200 liters/Ha. Each compound was applied at three rates (50, 100, and 200 grams active/Ha) and at two application timings – at the R1 stage (first flower buds visible) and at the R5 stage (end of flowering). Two commercial standards were included for comparison. Treatments were then evaluated for percent disease (percent of leaf area with visible symptoms) in the lower canopy for each of four replicates and expressed as percent control relative to the untreated control. No plant injury was observed with any of the compounds.

Results are given in Table B. Disease efficacy ratings are expressed as a percent (0 to 100 %) of total leaf area – e.g., a rating of 100 indicates 100 % disease control and a rating of 0 indicates no disease control (relative to the controls). "DAT1" refers to the number of days after the first spray application (T1). The compound numbers of Table B refer to compounds in Index Table A.

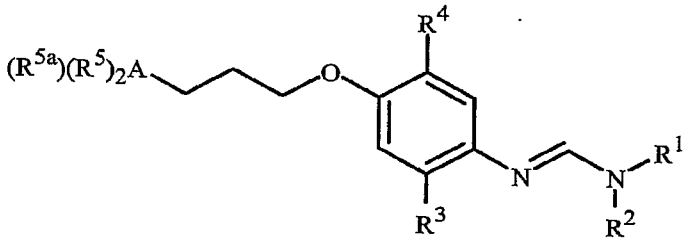
Table B

Cmpd No.	Rate (g ai/Ha)	% control 14 DAT1	% control 21 DAT1	% control 28 DAT1
7	50	36	59	47
7	100	21	55	50
7	200	53	84	61
15	50	34	62	55
15	100	43	51	58
15	200	43	64	64
22	50	1	32	21
22	100	29	46	31
22	200	24	65	51

CLAIMS

What is claimed is:

1. A compound selected from Formula **1**, *N*-oxides and agriculturally suitable salts thereof,



1

wherein

R^1 is C_1–C_4 alkyl or C_3–C_4 cycloalkyl;

R^2 is C_1–C_3 alkyl;

R^3 is Br, Cl or I;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three substituents independently selected from halogen;

A is C or Si;

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three substituents independently selected from halogen; and

R^{5a} is H or C_1–C_2 alkyl;

provided that when A is Si, then R^{5a} is C_1–C_2 alkyl.

2. A compound of Claim 1 wherein

R^1 is C_1–C_3 alkyl or C_3–C_4 cycloalkyl;

R^2 is C_1–C_2 alkyl;

R^3 is Br or Cl;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three substituents independently selected from F and Cl; and

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three substituents independently selected from F and Cl.

3. A compound of Claim 2 wherein

R^1 is C_1–C_2 alkyl;

R^2 is methyl;

R^3 is Br;

R^4 is Cl; or C_1–C_2 alkyl or C_1–C_2 alkoxy, each optionally substituted with one to three F; and

each R^5 is independently C_1–C_2 alkyl optionally substituted with one to three Cl.

4. A compound of Claim 3 wherein

R^1 is ethyl;

R^2 is methyl;

R^4 is C_1 alkyl or C_1 alkoxy, each optionally substituted with one to three F; and

each R^5 is independently C_1 alkyl optionally substituted with one to three Cl.

5. A compound of Claim 1 selected from the group consisting of:

N'-[2-bromo-4-[(4-methylpentyl)oxy]-5-(trifluoromethyl)phenyl]-*N*-ethyl-*N*-methylmethanimidamide,

N'-[2-bromo-5-methoxy-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl]-*N*-methylmethanimidamide,

N'-[2-bromo-5-(difluoromethoxy)-4-[3-(trimethylsilyl)propoxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide,

N'-[2-bromo-5-(difluoromethyl)-4-[(4-methylpentyl)oxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide; and

N'-[2-bromo-5-methoxy-4-[(4-methylpentyl)oxy]phenyl]-*N*-ethyl-*N*-methylmethanimidamide.

6. A fungicidal composition comprising a fungicidally effective amount of a compound of Claim 1 and at least one additional component selected from the group consisting of a surfactants, a solid diluent or a liquid diluent, said composition optionally further comprising an effective amount of at least one additional biologically active compound or agent.

7. A fungicidal composition comprising (a) a compound of Claim 1; and (b) at least one other fungicide.

8. A fungicidal composition of Claim 7 comprising wherein the (b) component includes at least one compound selected from triazole fungicides that inhibit demethylase in sterol biosynthesis.

9. A method of controlling at least one plant fungal disease in cereal or broadleaf crops selected from the group consisting of powdery mildew disease caused by *Erysiphe* spp., *Uncinula necatur*, *Sphaerotheca fuligena* and *Podosphaera leucotricha*, diseases caused by *Helminthosporium* spp., *Pyrenophora teres* and *Rhyncosporium secalis*, and rust disease caused by *Puccinia* spp., *Hemileia vastatrix*, *Uromyces appendiculatus*, *Phakopsora pachyrhizi* and *Phakopsora meibomiae*, comprising:

applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

10. The method of Claim 9 wherein at least one powdery mildew disease is controlled.

11. The method of Claim 10 wherein the fungal disease is caused by *Erysiphe graminis* f. sp. *tritici*.

12. The method of Claim 10 wherein the fungal disease is caused by *Erysiphe graminis* f. sp. *hordei*.

13. The method of Claim 10 wherein the fungal disease is caused by *Uncinula necatur*.

14. The method of Claim 10 wherein the fungal disease is caused by *Podosphaera leucotricha*.

15. The method of Claim 9 wherein at least one rust disease is controlled.

16. The method of Claim 15 wherein the fungal disease is caused by *Puccinia recondita*.

17. The method of Claim 15 wherein the fungal disease is caused by *Puccinia striiformis*.

18. The method of Claim 15 wherein the fungal disease is caused by *Puccinia graminis*.

19. The method of Claim 15 wherein the fungal disease is caused by *Hemileia vastatrix*.

20. The method of Claim 15 wherein the fungal disease is caused by *Uromyces appendiculatus*.

21. The method of Claim 15 wherein the fungal disease is caused by *Phakopsora pachyrhizi*.

22. The method of Claim 21 wherein a fungal plant disease in soybean plants caused by *Phakopsora pachyrhizi* is controlled; and which comprises applying a combination of compounds that includes at least one compound selected from triazole fungicides that inhibit demethylase in sterol biosynthesis.

23. The method of any one of Claims 9 to 21 wherein the plant is a dicot crop.

24. The method of Claim 23 wherein the dicot crop is selected from soybean, grape and cucurbit.

25. The method of Claim 24 wherein the dicot crop is soybean.

26. The method of Claim 24 wherein the dicot crop is grape.

27. The method of Claim 24 wherein the dicot crop is cucurbit.

28. A method of controlling plant diseases caused by Ascomycete plant pathogens, comprising:

applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

29. A method of controlling plant diseases caused by Basidiomycete plant pathogens, comprising:

applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

30. A method of controlling plant diseases caused by plant pathogens other than Ascomycete plant pathogens and Basidiomycete plant pathogens, comprising:

applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

31. The methods of Claim 28, 29 or 30 wherein the plant is a dicot crop.