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Heterocyclic substituted isoxazolidines and their use as fungicides

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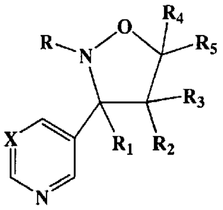
ABSTRACT OF THE INVENTION

Compounds with fungicidal properties having formula



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X is CH or nitrogen; R is (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_2-C_8)alkynyl, (C_1-C_{12})alkoxy(C_1-C_{12})alkyl, (C_3-C_7)cycloalkyl, halo(C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aralkyl, aryloxy(C_1-C_4)alkyl or heterocyclic; R_1 is aryl, heterocyclic or C($R_6R_7R_8$). R_2 and R_3 are each selected from hydrogen, (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_1-C_{12})alkoxy, halo(C_1-C_{12})alkoxy, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aralkyl, heterocyclic; cyano, and (C_1-C_4)alkoxycarbonyl; R_4 and R_5 are each selected from hydrogen, (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_2-C_8)alkynyl, (C_3-C_7)cycloalkyl, halo(C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aryloxy(C_1-C_4)alkyl, aralkyl, heterocyclic, cyano, and (C_1-C_4)alkoxycarbonyl such that R_4 and R_5 are not both hydrogen; R_6, R_7, and R_8 are each selected from hydrogen, (C_1-C_{12})alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, (C_1-C_{12})alkoxy(C_1-C_{12})alkyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aralkyl, and heterocyclic(C_1-C_4)alkyl.

AUSTRALIA
PATENTS ACT 1990
COMPLETE SPECIFICATION

NAME OF APPLICANT(S):

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INVENTION TITLE:

Heterocyclic substituted isoxazolidines and their use as fungicides

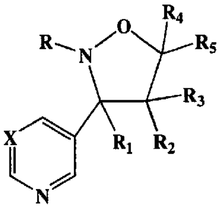
The following statement is a full description of this invention, including the best method of performing it known to me/us:-

The present invention relates to heterocyclic substituted isoxazolidines compounds, compositions containing these compounds and methods for controlling fungi by the use of a fungitoxic amount of these compounds.

It is known that certain. 2-aryl-3-(3'-pyridyl)isoxazolidines, US Patent No. 4,066,770, are useful as fungicides.

We have discovered novel isoxazolidine derivatives which possess 3,3'-disubstitution, one of which is a 3-pyridyl or 5-pyrimidinyl, and the other of which is a non-hydrogen bearing substituent and additionally at C-5 at least one carbon bearing substituent.

The novel heterocyclic substituted isoxazolidine compounds of the present invention have the Formula (I)



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X is CH or nitrogen;

R is selected from the group consisting of (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_2-C_8)alkynyl, (C_1-C_{12})alkoxy(C_1-C_{12})alkyl, (C_3-C_7)cycloalkyl, halo(C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aralkyl, aryloxy(C_1-C_4)alkyl and heterocyclic

R_1 is selected from the group consisting of aryl, heterocyclic and $C(R_6R_7R_8)$.

R_2 and R_3 are each independently selected from the group consisting of (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_1-C_{12})alkoxy, halo(C_1-C_{12})alkoxy, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aralkyl, heterocyclic, cyano, and (C_1-C_4)alkoxycarbonyl;

R_4 and R_5 are each selected from the group consisting of hydrogen, (C_1-C_{12})alkyl, halo(C_1-C_{12})alkyl, (C_2-C_8)alkenyl, halo(C_2-C_8)alkenyl, (C_2-C_8)alkynyl, halo(C_2-C_8)alkynyl, (C_3-C_7)cycloalkyl, halo(C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aryloxy(C_1-C_4)alkyl, aralkyl, heterocyclic, cyano, and (C_1-C_4)alkoxycarbonyl such that R_4 and R_5 are not both hydrogen;

R_6, R_7, and R_8 are each independently selected from the group consisting of hydrogen, (C_1-C_{12})alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl, (C_1-C_{12})alkoxy(C_1-C_{12})alkyl, (C_3-C_7)cycloalkyl, (C_3-C_7)cycloalkyl(C_1-C_4)alkyl, aryl, aralkyl, and heterocyclic(C_1-C_4)alkyl;

The aforementioned (C_1-C_{12})alkyl, (C_2-C_8)alkenyl, (C_2-C_8)alkynyl and (C_3-C_7)cycloalkyl groups may be optionally substituted with up to three substituents selected from the group consisting of nitro, trihalomethyl and cyano.

The term alkyl includes both branched and straight chained alkyl groups from 1 to 12 carbon atoms. Typical alkyl groups are methyl, ethyl, n-propyl, iso-propyl, n-butyl, sec-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, n-hexyl, n-heptyl, isooctyl, nonyl, decyl, undecyl, dodecyl and the like. The term haloalkyl refers to an alkyl group substituted with 1 to 3 halogens.

The term alkenyl refers to an ethylenically unsaturated hydrocarbon group, straight or branched, having a chain length of 2 to 12 carbon atoms and 1 or 2 ethylenic bonds. The term haloalkenyl refers to an alkenyl group substituted with 1 to 3 halogen atoms. The term alkynyl refers to an unsaturated hydrocarbon group, straight or branched, having a chain length of 2 to 12 carbon atoms and 1 or 2 acetylenic bonds.

The term cycloalkyl refers to a saturated ring system having 3 to 7 carbon atoms. The term aryl is understood to be phenyl or napthyl, which maybe further substituted with up to three substituents selected from the group consisting of halogen, cyano, nitro, trihalomethyl, phenyl, phenoxy, (C_1-C_4)alkyl, (C_1-C_4)alkylthio, (C_1-C_4)alkylsulfoxide (C_1-C_6)alkoxy and halo(C_1-C_4)alkyl.

Typical aryl substituents include but are not limited to 4-chlorophenyl, 4-fluoro-phenyl, 4-bromophenyl, 2-methoxyphenyl, 2-methylphenyl, 3-methyphenyl, 4-methyl-phenyl, 2,4-dibromophenyl, 3,5-difluorophenyl, 2,4,6-trichlorophenyl, 4-methoxy-phenyl, 2-chloronapthyl, 2,4-dimethoxyphenyl, 4-(trifluoromethyl)phenyl and 2-iodo-4-methylphenyl.

The term heterocyclic refers to a substituted or unsubstituted 5 or 6 membered unsaturated ring containing one, two or three heteroatoms, preferably one or two heteroatoms selected from oxygen, nitrogen and sulfur; or is a bicyclic unsaturated ring system containing up to 10 atoms including one heteratom selected from oxygen, nitrogen and sulfur. Examples of heterocycles include, but are not limited to, 2-, 3- or 4-pyridinyl, pyrazinyl, 2-, 4-, or 5-pyrimidinyl, pyridazinyl, triazolyl, imidazolyl, 2 - or 3-thienyl, 2- or 3-furyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, quinolyl and isoquinolyl. The heterocyclic ring may be optionally substituted with up to two substituents independently selected from $(C_1$-$C_4)$alkyl, halogen, cyano, nitro and trihalomethyl.

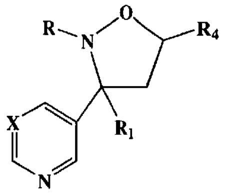
The term aralkyl is used to describe a group wherein the alkyl chain is from 1 to 10 carbon atoms and can be branched or straight chain, preferably a straight chain, with the aryl portion, as defined above, forming a terminal portion of the aralkyl moiety. Typical aralkyl moieties are optionally substituted benzyl, phenethyl, phenpropyl and phenbutyl moieties. Typical benzyl moieties are 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2-fluorobenzyl, 3-fluorobenzyl, 4-fluorobenzyl, 4-trifluoromethylbenzyl, 2,4-dichlorobenzyl, 2,4-dibromobenzyl, 2-methylbenzyl, 3-methylbenzyl, and 4-methylbenzyl. Typical phenethyl moieties are 2-(2-chloro-phenyl)ethyl, 2-(3-chlorophenyl)ethyl, 2-(4-chlorophenyl)ethyl, 2-(2-fluorophenyl)-ethyl, 2-(3-fluorophenyl)ethyl, 2-(4-fluorophenyl)ethyl, 2-(2-methylphenyl)ethyl, 2-(3-methylphenyl)ethyl, 2-(4-methylphenyl)ethyl, 2-(4-trifluoromethylphenyl)ethyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl, 2-(2,4-dichlorophenyl)ethyl, 2-(3,5-dimethoxyphenyl)ethyl. Typical phenpropyl moieties are 3-phenylpropyl, 3-(2-chlorophenyl)propyl, 3-(3-chlorophenyl)propyl, 3-(4-chlorophenyl)propyl, 3-(2,4-dichlorophenyl)propyl, 3-(2-fluorophenyl)propyl, 3-(3-fluorophenyl)propyl, 3-(4-fluorophenyl)propyl, 3-(2-methylphenyl)propyl, 3-(3-methylphenyl)propyl, 3-(4-methylphenyl)ethyl, 3-(2-methoxyphenyl)propyl, 3-(3-methoxyphenyl)propyl, 3-(4-methoxyphenyl)propyl, 3-(4-trifluoromethyl-phenyl)propyl, 3-(2,4-dichlorophenyl)propyl and 3-(3,5-dimethoxyphenyl)propyl.

Typical phenbutyl moieties include are 4-phenylbutyl, 4-(2-chlorophenyl)butyl, 4-(3-chlorophenyl)butyl, 4-(4-chlorophenyl)butyl, 4-(2-fluorophenyl)butyl, 4-(3-fluoro-phenyl)butyl, 4-(4-fluorophenyl)butyl, 4-(2-methylphenyl)butyl, 4-(3-methylphenyl)-butyl, 4-(4-methylphenyl)butyl, 4-(2,4-dichlorophenyl)butyl, 4-(2-methoxphenyl)butyl, 4-(3-methoxyphenyl)butyl and 4-(4-methoxyphenyl)butyl.

Halogen or halo is defined as iodo, fluoro, bromo and chloro moieties.

Those skilled in the art will recognize the groups R_2, R_3 and R_4, R_5 can exist as cis or trans isomers relative to the heterocyclic ring and R_1 at C-3. These isomers can be separated into individual components by conventional means. Both the individual isomeric compounds and mixtures thereof form subjects of the invention and have fungicidal properties.

A preferred embodiment of this invention are the compounds, enantiomorphs, salts and complexes of Formula (I') wherein R_2, R_3, R_5 are hydrogen, R_1 is aryl or $C(R_6R_7R_8)$, R is $(C_1\text{-}C_{12})$alkyl and R_4 is independently aryl, aryloxy$(C_1\text{-}C_4)$alkyl, aralkyl, heterocyclic cyano, or $(C_1\text{-}C_4)$alkoxycarbonyl.



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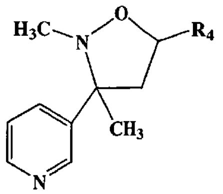
A more preferred embodiment of this invention are the compounds, enantiomorphs, salts and complexes of Formula (I") wherein X is CH, R is methyl and R_1 is $C(R_6R_7R_8)$ in which R_6, R_7, and R_8 are hydrogen.



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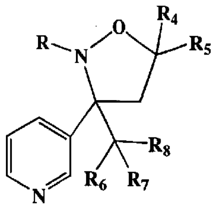
Typical compounds encompassed by the present invention of Formula I include those compounds presented in Table 1 of Formula II wherein X is CH, R_2 and R_3 are hydrogen, R_1 is $C(R_6R_7R_8)$ wherein R_4, R_5, R_6, R_7, and R_8 are defined in Table 1.



(II)

Table I

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.1	CH_3	Ph	H	H	H	H	oil
1.2	CH_3	2-Cl(Ph)	H	H	H	H	oil
1.3	CH_3	3-Cl(Ph)	H	H	H	H	oil
1.4	CH_3	4-Cl(Ph)	H	H	H	H	oil
1.4A	CH_3	4-Cl(Ph)	H	H	H	H	oil, isomer A
1.4B	CH_3	4-Cl(Ph)	H	H	H	H	75-80°C
1.5	CH_3	2-F(Ph)	H	H	H	H	oil
1.6	CH_3	3-F(Ph)	H	H	H	H	oil
1.7	CH_3	4-F(Ph)	H	H	H	H	oil
1.8	CH_3	2-Br(Ph)	H	H	H	H	
1.9	CH_3	3-Br(Ph)	H	H	H	H	oil
1.10	CH_3	4-Br(Ph)	H	H	H	H	oil
1.11	CH_3	2-CF_3(Ph)	H	H	H	H	
1.12	CH_3	3-CF_3(Ph)	H	H	H	H	
1.13	CH_3	4-CF_3(Ph)	H	H	H	H	oil
1.14	CH_3	2-CH_3(Ph)	H	H	H	H	
1.15	CH_3	3-CH_3(Ph)	H	H	H	H	oil
1.16	CH_3	4-CH_3(Ph)	H	H	H	H	
1.17	CH_3	2-OCH_3(Ph)	H	H	H	H	
1.18	CH_3	3-OCH_3(Ph)	H	H	H	H	
1.19	CH_3	4-OCH_3(Ph)	H	H	H	H	
1.20	CH_3	1-Naphthyl	H	H	H	H	
1.21	CH_3	2,4-Cl(Ph)	H	H	H	H	
1.22	CH_3	3,4-Cl(Ph)	H	H	H	H	
1.23	CH_3	2,4-CH_3(Ph)	H	H	H	H	oil
1.23A	CH_3	2,4-CH_3(Ph)	H	H	H	H	oil
1.24	CH_3	2-Pyridyl	H	H	H	H	
1.25	CH_3	3-Pyridyl	H	H	H	H	

Table I (Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.26	CH_3	4-Pyridyl	H	H	H	H	
1.27	CH_3	CH_2-O(Ph)	H	H	H	H	
1.28	CH_3	CH_2-O(2-Cl(Ph))	H	H	H	H	
1.29	CH_3	CH_2-O(3-Cl(Ph))	H	H	H	H	
1.30	CH_3	CH_2-O(4-Cl(Ph))	H	H	H	H	
1.31	CH_3	CH_2-O(2-F(Ph))	H	H	H	H	
1.32	CH_3	CH_2-O(3-F(Ph))	H	H	H	H	
1.33	CH_3	CH_2-O(4-F(Ph))	H	H	H	H	
1.34	CH_3	CH_2-O(3-CF_3(Ph))	H	H	H	H	
1.35	CH_3	CH_2-O(4-CF_3(Ph))	H	H	H	H	
1.36	C_2H_5	Ph	H	H	H	H	
1.37	C_2H_5	2Cl(Ph)	H	H	H	H	
1.38	C_2H_5	3Cl(Ph)	H	H	H	H	
1.39	C_2H_5	4Cl(Ph)	H	H	H	H	oil
1.40	C_2H_5	2,4-Cl(Ph)	H	H	H	H	
1.41	C_2H_5	3,4-Cl(Ph)	H	H	H	H	
1.42	C_2H_5	2-Pyridyl	H	H	H	H	
1.43	C_2H_5	3-Pyridyl	H	H	H	H	
1.44	C_2H_5	4-Pyridyl	H	H	H	H	
1.45	C_2H_5	-CH_2-OPh	H	H	H	H	
1.46	C_2H_5	CH_2-O-(4-Cl(Ph))	H	H	H	H	
1.47	C_2H_5	CH_2-O(4-CF_3(Ph))	H	H	H	H	
1.48	iso-C_3H_7	Ph	H	H	H	H	
1.49	iso-C_3H_7	2-Cl(Ph)	H	H	H	H	
1.50	iso-C_3H_7	3-Cl(Ph)	H	H	H	H	
1.51	iso-C_3H_7	4-Cl(Ph)	H	H	H	H	oil
1.52	iso-C_3H_7	2,4-Cl(Ph)	H	H	H	H	
1.53	iso-C_3H_7	3,4-Cl(Ph)	H	H	H	H	
1.54	iso-C_3H_7	2-Pyridyl	H	H	H	H	
1.55	iso-C_3H_7	3-Pyridyl	H	H	H	H	
1.56	iso-C_3H_7	4-Pyridyl	H	H	H	H	
1.57	iso-C_3H_7	CH_2-OPh	H	H	H	H	
1.58	iso-C_3H_7	CH_2-O-(4-Cl(Ph))	H	H	H	H	
1.59	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	H	H	H	
1.60	c-C_6H_{11}	Ph	H	H	H	H	
1.61	c-C_6H_{11}	2-Cl(Ph)	H	H	H	H	
1.62	c-C_6H_{11}	3-Cl(Ph)	H	H	H	H	
1.63	c-C_6H_{11}	4-Cl(Ph)	H	H	H	H	oil
1.65	c-C_6H_{11}	2,4-Cl(Ph)	H	H	H	H	
1.64	c-C_6H_{11}	3,4-Cl(Ph)	H	H	H	H	
1.66	c-C_6H_{11}	2-Pyridyl	H	H	H	H	
1.67	c-C_6H_{11}	3-Pyridyl	H	H	H	H	
1.68	c-C_6H_{11}	4-Pyridyl	H	H	H	H	

Table I (Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.69	c-C_6H_{11}	CH_2-OPh	H	H	H	H	
1.70	c-C_6H_{11}	CH_2-O-(4-Cl(Ph))	H	H	H	H	
1.71	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	H	H	H	
1.72	CH_3	Ph	H	CH_3	H	H	
1.73	CH_3	2-Cl(Ph)	H	CH_3	H	H	
1.74	CH_3	3-Cl(Ph)	H	CH_3	H	H	
1.75	CH_3	4-Cl(Ph)	H	CH_3	H	H	
1.76	CH_3	2,4-Cl(Ph)	H	CH_3	H	H	
1.77	CH_3	3,4-Cl(Ph)	H	CH_3	H	H	
1.78	CH_3	2-Pyridyl	H	CH_3	H	H	
1.79	CH_3	3-Pyridyl	H	CH_3	H	H	
1.80	CH_3	4-Pyridyl	H	CH_3	H	H	
1.81	CH_3	CH_2-OPh	H	CH_3	H	H	
1.82	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	H	H	
1.83	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	H	H	
1.84	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	H	H	
1.85	CH_3	CH_2-O(2-F(Ph))	H	CH_3	H	H	
1.86	CH_3	CH_2-O(3-F(Ph))	H	CH_3	H	H	
1.87	CH_3	CH_2-O(4-F(Ph))	H	CH_3	H	H	
1.88	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	H	H	
1.89	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	H	H	
1.90	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
1.91	C_2H_5	Ph	H	CH_3	H	H	
1.89	C_2H_5	2-Cl(Ph)	H	CH_3	H	H	
1.90	C_2H_5	3-Cl(Ph)	H	CH_3	H	H	
1.91	C_2H_5	4-Cl(Ph)	H	CH_3	H	H	
1.92	C_2H_5	2,4-Cl(Ph)	H	CH_3	H	H	
1.93	C_2H_5	3,4-Cl(Ph)	H	CH_3	H	H	
1.94	C_2H_5	2-Pyridyl	H	CH_3	H	H	
1.95	C_2H_5	3-Pyridyl	H	CH_3	H	H	
1.96	C_2H_5	4-Pyridyl	H	CH_3	H	H	
1.97	C_2H_5	CH_2-OPh	H	CH_3	H	H	
1.98	C_2H_5	CH_2-O-(4-Cl(Ph))	H	CH_3	H	H	
1.99	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
1.100	iso-C_3H_7	Ph	H	CH_3	H	H	
1.100	iso-C_3H_7	2-Cl(Ph)	H	CH_3	H	H	
1.101	iso-C_3H_7	3-Cl(Ph)	H	CH_3	H	H	
1.102	iso-C_3H_7	4-Cl(Ph)	H	CH_3	H	H	
1.103	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	H	H	
1.104	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	H	H	
1.105	iso-C_3H_7	2-Pyridyl	H	CH_3	H	H	
1.106	iso-C_3H_7	3-Pyridyl	H	CH_3	H	H	
1.107	iso-C_3H_7	4-Pyridyl	H	CH_3	H	H	

Table I (Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.108	iso-C_3H_7	CH_2-OPh	H	CH_3	H	H	
1.109	iso-C_3H_7	CH_2-O-(4-Cl(Ph))	H	CH_3	H	H	
1.110	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
1.111	c-C_6H_{11}	Ph	H	CH_3	H	H	
1.112	c-C_6H_{11}	2-Cl(Ph)	H	CH_3	H	H	
1.113	c-C_6H_{11}	3-Cl(Ph)	H	CH_3	H	H	
1.114	c-C_6H_{11}	4-Cl(Ph)	H	CH_3	H	H	
1.115	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	H	H	
1.116	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	H	H	
1.117	c-C_6H_{11}	2-Pyridyl	H	CH_3	H	H	
1.118	c-C_6H_{11}	3-Pyridyl	H	CH_3	H	H	
1.119	c-C_6H_{11}	4-Pyridyl	H	CH_3	H	H	
1.120	c-C_6H_{11}	CH_2-OPh	H	CH_3	H	H	
1.121	c-C_6H_{11}	CH_2-O-(4-Cl(Ph))	H	CH_3	H	H	
1.122	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
1.123	CH_3	Ph	H	CH_3	CH_3	H	
1.124	CH_3	2Cl(Ph)	H	CH_3	CH_3	H	
1.125	CH_3	3Cl(Ph)	H	CH_3	CH_3	H	
1.126	CH_3	4Cl(Ph)	H	CH_3	CH_3	H	
1.127	CH_3	2,4-Cl(Ph)	H	CH_3	CH_3	H	
1.128	CH_3	3,4-Cl(Ph)	H	CH_3	CH_3	H	
1.129	CH_3	2-Pyridyl	H	CH_3	CH_3	H	
1.130	CH_3	3-Pyridyl	H	CH_3	CH_3	H	
1.131	CH_3	4-Pyridyl	H	CH_3	CH_3	H	
1.132	CH_3	CH_2-OPh	H	CH_3	CH_3	H	
1.133	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	CH_3	H	
1.134	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	CH_3	H	
1.135	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	H	
1.136	CH_3	CH_2-O(2-F(Ph))	H	CH_3	CH_3	H	
1.137	CH_3	CH_2-O(3-F(Ph))	H	CH_3	CH_3	H	
1.138	CH_3	CH_2-O(4-F(Ph))	H	CH_3	CH_3	H	
1.139	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	CH_3	H	
1.140	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	CH_3	H	
1.141	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
1.142	C_2H_5	Ph	H	CH_3	CH_3	H	
1.143	C_2H_5	2-Cl(Ph)	H	CH_3	CH_3	H	
1.144	C_2H_5	3-Cl(Ph)	H	CH_3	CH_3	H	
1.145	C_2H_5	4-Cl(Ph)	H	CH_3	CH_3	H	
1.146	C_2H_5	2,4-Cl(Ph)	H	CH_3	CH_3	H	
1.147	C_2H_5	3,4-Cl(Ph)	H	CH_3	CH_3	H	
1.148	C_2H_5	2-Pyridyl	H	CH_3	CH_3	H	
1.149	C_2H_5	3-Pyridyl	H	CH_3	CH_3	H	
1.150	C_2H_5	4-Pyridyl	H	CH_3	CH_3	H	

Table I (Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.151	C_2H_5	CH_2-OPh	H	CH_3	CH_3	H	
1.152	C_2H_5	CH_2-O-(4-Cl(Ph))	H	CH_3	CH_3	H	
1.153	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
1.154	iso-C_3H_7	Ph	H	CH_3	CH_3	H	
1.155	iso-C_3H_7	2-Cl(Ph)	H	CH_3	CH_3	H	
1.156	iso-C_3H_7	3-Cl(Ph)	H	CH_3	CH_3	H	
1.157	iso-C_3H_7	4-Cl(Ph)	H	CH_3	CH_3	H	
1.158	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	CH_3	H	
1.159	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	CH_3	H	
1.160	iso-C_3H_7	CH_2-OPh	H	CH_3	CH_3	H	
1.161	iso-C_3H_7	2-Pyridyl	H	CH_3	CH_3	H	
1.162	iso-C_3H_7	3-Pyridyl	H	CH_3	CH_3	H	
1.163	iso-C_3H_7	4-Pyridyl	H	CH_3	CH_3	H	
1.164	iso-C_3H_7	CH_2-O-(4-Cl(Ph))	H	CH_3	CH_3	H	
1.165	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
1.166	c-C_6H_{11}	Ph	H	CH_3	CH_3	H	
1.167	c-C_6H_{11}	2Cl(Ph)	H	CH_3	CH_3	H	
1.168	c-C_6H_{11}	3Cl(Ph)	H	CH_3	CH_3	H	
1.169	c-C_6H_{11}	4Cl(Ph)	H	CH_3	CH_3	H	
1.170	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	CH_3	H	
1.171	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	CH_3	H	
1.172	c-C_6H_{11}	CH_2-OPh	H	CH_3	CH_3	H	
1.173	c-C_6H_{11}	2-Pyridyl	H	CH_3	CH_3	H	
1.174	c-C_6H_{11}	3-Pyridyl	H	CH_3	CH_3	H	
1.175	c-C_6H_{11}	4-Pyridyl	H	CH_3	CH_3	H	
1.176	c-C_6H_{11}	CH_2-O-(4-Cl(Ph))	H	CH_3	CH_3	H	
1.177	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
1.178	CH_3	Ph	H	CH_3	CH_3	CH_3	
1.179	CH_3	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.180	CH_3	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.181	CH_3	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.182	CH_3	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.183	CH_3	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.184	CH_3	2-Pyridyl	H	CH_3	CH_3	CH_3	
1.185	CH_3	3-Pyridyl	H	CH_3	CH_3	CH_3	
1.186	CH_3	4-Pyridyl	H	CH_3	CH_3	CH_3	
1.187	CH_3	CH_2-OPh	H	CH_3	CH_3	CH_3	
1.188	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.189	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.190	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.191	CH_3	CH_2-O(2-F(Ph))	H	CH_3	CH_3	CH_3	
1.192	CH_3	CH_2-O(3-F(Ph))	H	CH_3	CH_3	CH_3	
1.193	CH_3	CH_2-O(4-F(Ph))	H	CH_3	CH_3	CH_3	

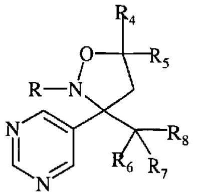
Table I·(Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.194	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.195	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.196	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.197	C_2H_5	Ph	H	CH_3	CH_3	CH_3	
1.198	C_2H_5	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.199	C_2H_5	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.200	C_2H_5	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.201	C_2H_5	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.202	C_2H_5	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.203	C_2H_5	2-Pyridyl	H	CH_3	CH_3	CH_3	
1.204	C_2H_5	3-Pyridyl	H	CH_3	CH_3	CH_3	
1.205	C_2H_5	4-Pyridyl	H	CH_3	CH_3	CH_3	
1.206	C_2H_5	CH_2-OPh	H	CH_3	CH_3	CH_3	
1.207	C_2H_5	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.208	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.209	iso-C_3H_7	Ph	H	CH_3	CH_3	CH_3	
1.210	iso-C_3H_7	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.211	iso-C_3H_7	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.212	iso-C_3H_7	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.213	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.214	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.215	iso-C_3H_7	CH_2-OPh	H	CH_3	CH_3	CH_3	
1.216	iso-C_3H_7	2-Pyridyl	H	CH_3	CH_3	CH_3	
1.217	iso-C_3H_7	3-Pyridyl	H	CH_3	CH_3	CH_3	
1.218	iso-C_3H_7	4-Pyridyl	H	CH_3	CH_3	CH_3	
1.219	iso-C_3H_7	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.220	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.221	c-C_6H_{11}	Ph	H	CH_3	CH_3	CH_3	
1.222	c-C_6H_{11}	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.223	c-C_6H_{11}	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.224	c-C_6H_{11}	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.225	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.226	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
1.227	c-C_6H_{11}	CH_2-OPh	H	CH_3	CH_3	CH_3	
1.228	c-C_6H_{11}	2-Pyridyl	H	CH_3	CH_3	CH_3	
1.229	c-C_6H_{11}	3-Pyridyl	H	CH_3	CH_3	CH_3	
1.230	c-C_6H_{11}	4-Pyridyl	H	CH_3	CH_3	CH_3	
1.231	c-C_6H_{11}	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
1.232	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
1.233	CH_3	CN	H	H	H	H	
1.234	CH_3	CO_2CH_3	H	H	H	H	
1.235	CH_3	CN	H	CH_3	H	H	
1.236	CH_3	CO_2CH_3	H	CH_3	H	H	

Table I (Con't)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
1.237	CH_3	CN	H	CH_3	CH_3	H	
1.238	CH_3	CO_2CH_3	H	CH_3	CH_3	H	
1.239	C_2H_5	CN	H	H	H	H	
1.240	C_2H_5	CO_2CH_3	H	H	H	H	
1.241	C_2H_5	CN	H	CH_3	H	H	
1.242	C_2H_5	CO_2CH_3	H	CH_3	H	H	
1.243	C_2H_5	CN	H	CH_3	CH_3	H	
1.244	C_2H_5	CO_2CH_3	H	CH_3	CH_3	H	
1.245	iso-C_3H_7	CN	H	H	H	H	
1.246	iso-C_3H_7	CO_2CH_3	H	H	H	H	
1.247	iso-C_3H_7	CN	H	CH_3	H	H	
1.248	iso-C_3H_7	CO_2CH_3	H	CH_3	H	H	
1.249	iso-C_3H_7	CN	H	CH_3	CH_3	H	
1.250	iso-C_3H_7	CO_2CH_3	H	CH_3	CH_3	H	
1.251	c-C_6H_{11}	CN	H	CH_3	CH_3	H	
1.252	c-C_6H_{11}	CO_2CH_3	H	CH_3	CH_3	H	
1.253	CH_3	CN	H	CH_3	CH_3	CH_3	
1.254	CH_3	CO_2CH_3	H	CH_3	CH_3	CH_3	
1.255	C_2H_5	CN	H	CH_3	CH_3	CH_3	
1.256	C_2H_5	CO_2CH_3	H	CH_3	CH_3	CH_3	
1.257	iso-C_3H_7	CN	H	CH_3	CH_3	CH_3	
1.258	iso-C_3H_7	CO_2CH_3	H	CH_3	CH_3	CH_3	
1.259	c-C_6H_{11}	CN	H	CH_3	CH_3	CH_3	
1.260	c-C_6H_{11}	CO_2CH_3	H	CH_3	CH_3	CH_3	

Typical compounds encompassed by the present invention of Formula I include those compounds presented in Table 2 of Formula III wherein X is nitrogen, R_2 and R_3 are hydrogen, R_1 is $C(R_6R_7R_8)$ wherein R_6,R_7, and R_8 are defined in Table 2.



(III)

Table 2

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.1	CH_3	Ph	H	H	H	H	
2.2	CH_3	2-Cl(Ph)	H	H	H	H	
2.3	CH_3	3-Cl(Ph)	H	H	H	H	
2.4	CH_3	4-Cl(Ph)	H	H	H	H	
2.5	CH_3	2-F(Ph)	H	H	H	H	
2.6	CH_3	3-F(Ph)	H	H	H	H	
2.7	CH_3	4-F(Ph)	H	H	H	H	
2.8	CH_3	2-Br(Ph)	H	H	H	H	
2.9	CH_3	3-Br(Ph)	H	H	H	H	
2.10	CH_3	4-Br(Ph)	H	H	H	H	
2.11	CH_3	2-CF_3(Ph)	H	H	H	H	
2.12	CH_3	3-CF_3(Ph)	H	H	H	H	
2.13	CH_3	4-CF_3(Ph)	H	H	H	H	
2.14	CH_3	2-CH_3(Ph)	H	H	H	H	
2.15	CH_3	3-CH_3(Ph)	H	H	H	H	
2.16	CH_3	4-CH_3(Ph)	H	H	H	H	
2.17	CH_3	2-OCH_3(Ph)	H	H	H	H	
2.18	CH_3	3-OCH_3(Ph)	H	H	H	H	
2.19	CH_3	4-OCH_3(Ph)	H	H	H	H	
2.20	CH_3	1-Naphthyl	H	H	H	H	
2.21	CH_3	2-Naphthyl	H	H	H	H	
2.22	CH_3	2,4-Cl(Ph)	H	H	H	H	
2.23	CH_3	3,4-Cl(Ph)	H	H	H	H	
2.24	CH_3	3,5-Cl(Ph)	H	H	H	H	
2.25	CH_3	2-Pyridyl	H	H	H	H	
2.26	CH_3	3-Pyridyl	H	H	H	H	
2.27	CH_3	4-Pyridyl	H	H	H	H	
2.28	CH_3	CH_2-O(Ph)	H	H	H	H	
2.29	CH_3	CH_2-O(2-Cl(Ph))	H	H	H	H	
2.30	CH_3	CH_2-O(3-Cl(Ph))	H	H	H	H	
2.31	CH_3	CH_2-O(4-Cl(Ph))	H	H	H	H	
2.32	CH_3	CH_2-O(2-F(Ph))	H	H	H	H	
2.33	CH_3	CH_2-O(3-F(Ph))	H	H	H	H	
2.34	CH_3	CH_2-O(4-F(Ph))	H	H	H	H	
2.35	CH_3	CH_2-O(3-CF_3(Ph))	H	H	H	H	
2.36	CH_3	CH_2-O(4-CF_3(Ph))	H	H	H	H	
2.37	C_2H_5	Ph	H	H	H	H	
2.38	C_2H_5	2-Cl(Ph)	H	H	H	H	
2.39	C_2H_5	3-Cl(Ph)	H	H	H	H	
2.40	C_2H_5	4-Cl(Ph)	H	H	H	H	
2.41	C_2H_5	2,4-Cl(Ph)	H	H	H	H	
2.42	C_2H_5	3,4-Cl(Ph)	H	H	H	H	

Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.43	C_2H_5	2-Pyridyl	H	H	H	H	
2.44	C_2H_5	3-Pyridyl	H	H	H	H	
2.45	C_2H_5	4-Pyridyl	H	H	H	H	
2.46	C_2H_5	CH_2-OPh	H	H	H	H	
2.47	C_2H_5	CH_2-O(4-Cl(Ph))	H	H	H	H	
2.48	C_2H_5	CH_2-O(4-CF_3(Ph))	H	H	H	H	
2.49	iso-C_3H_7	Ph	H	H	H	H	
2.5	iso-C_3H_7	2-Cl(Ph)	H	H	H	H	
2.51	iso-C_3H_7	3-Cl(Ph)	H	H	H	H	
2.52	iso-C_3H_7	4-Cl(Ph)	H	H	H	H	
2.53	iso-C_3H_7	2,4-Cl(Ph)	H	H	H	H	
2.54	iso-C_3H_7	3,4-Cl(Ph)	H	H	H	H	
2.55	iso-C_3H_7	2-Pyridyl	H	H	H	H	
2.56	iso-C_3H_7	3-Pyridyl	H	H	H	H	
2.57	iso-C_3H_7	4-Pyridyl	H	H	H	H	
2.58	iso-C_3H_7	CH_2-OPh	H	H	H	H	
2.59	iso-C_3H_7	CH_2-O(4-Cl(Ph))	H	H	H	H	
2.60	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	H	H	H	
2.61	c-C_6H_{11}	Ph	H	H	H	H	
2.62	c-C_6H_{11}	2Cl(Ph)	H	H	H	H	
2.63	c-C_6H_{11}	3Cl(Ph)	H	H	H	H	
2.64	c-C_6H_{11}	4Cl(Ph)	H	H	H	H	
2.65	c-C_6H_{11}	2,4-Cl(Ph)	H	H	H	H	
2.66	c-C_6H_{11}	3,4-Cl(Ph)	H	H	H	H	
2.67	c-C_6H_{11}	2-Pyridyl	H	H	H	H	
2.68	c-C_6H_{11}	3-Pyridyl	H	H	H	H	
2.69	c-C_6H_{11}	4-Pyridyl	H	H	H	H	
2.70	c-C_6H_{11}	CH_2-OPh	H	H	H	H	
2.71	c-C_6H_{11}	CH_2-O(4-Cl(Ph))	H	H	H	H	
2.72	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	H	H	H	
2.73	CH_3	Ph	H	CH_3	H	H	
2.74	CH_3	2-Cl(Ph)	H	CH_3	H	H	
2.75	CH_3	3-Cl(Ph)	H	CH_3	H	H	
2.76	CH_3	4-Cl(Ph)	H	CH_3	H	H	
2.77	CH_3	2,4-Cl(Ph)	H	CH_3	H	H	
2.78	CH_3	3,4-Cl(Ph)	H	CH_3	H	H	
2.79	CH_3	2-Pyridyl	H	CH_3	H	H	
2.80	CH_3	3-Pyridyl	H	CH_3	H	H	
2.81	CH_3	4-Pyridyl	H	CH_3	H	H	
2.82	CH_3	CH_2-OPh	H	CH_3	H	H	
2.83	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	H	H	
2.84	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	H	H	
2.85	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	H	H	

Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.86	CH_3	CH_2-O(2-F(Ph))	H	CH_3	H	H	
2.87	CH_3	CH_2-O(3-F(Ph))	H	CH_3	H	H	
2.88	CH_3	CH_2-O(4-F(Ph))	H	CH_3	H	H	
2.89	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	H	H	
2.90	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	H	H	
2.91	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
2.92	C_2H_5	Ph	H	CH_3	H	H	
2.93	C_2H_5	2-Cl(Ph)	H	CH_3	H	H	
2.94	C_2H_5	3-Cl(Ph)	H	CH_3	H	H	
2.95	C_2H_5	4-Cl(Ph)	H	CH_3	H	H	
2.96	C_2H_5	2,4-Cl(Ph)	H	CH_3	H	H	
2.97	C_2H_5	3,4-Cl(Ph)	H	CH_3	H	H	
2.98	C_2H_5	2-Pyridyl	H	CH_3	H	H	
2.99	C_2H_5	3-Pyridyl	H	CH_3	H	H	
2.100	C_2H_5	4-Pyridyl	H	CH_3	H	H	
2.101	C_2H_5	CH_2-OPh	H	CH_3	H	H	
2.102	C_2H_5	CH_2-O(4-Cl(Ph))	H	CH_3	H	H	
2.103	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
2.104	iso-C_3H_7	Ph	H	CH_3	H	H	
2.105	iso-C_3H_7	2-Cl(Ph)	H	CH_3	H	H	
2.106	iso-C_3H_7	3-Cl(Ph)	H	CH_3	H	H	
2.107	iso-C_3H_7	4-Cl(Ph)	H	CH_3	H	H	
2.108	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	H	H	
2.109	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	H	H	
2.110	iso-C_3H_7	2-Pyridyl	H	CH_3	H	H	
2.111	iso-C_3H_7	3-Pyridyl	H	CH_3	H	H	
2.112	iso-C_3H_7	4-Pyridyl	H	CH_3	H	H	
2.113	iso-C_3H_7	CH_2-OPh	H	CH_3	H	H	
2.114	iso-C_3H_7	CH_2-O(4-Cl(Ph))	H	CH_3	H	H	
2.115	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
2.116	c-C_6H_{11}	Ph	H	CH_3	H	H	
2.117	c-C_6H_{11}	2-Cl(Ph)	H	CH_3	H	H	
2.118	c-C_6H_{11}	3-Cl(Ph)	H	CH_3	H	H	
2.119	c-C_6H_{11}	4-Cl(Ph)	H	CH_3	H	H	
2.120	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	H	H	
2.121	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	H	H	
2.122	c-C_6H_{11}	CH_2-O-Ph	H	CH_3	H	H	
2.123	c-C_6H_{11}	2-Pyridyl	H	CH_3	H	H	
2.124	c-C_6H_{11}	3-Pyridyl	H	CH_3	H	H	
2.125	c-C_6H_{11}	4-Pyridyl	H	CH_3	H	H	
2.126	c-C_6H_{11}	CH_2-O(4-Cl(Ph))	H	CH_3	H	H	
2.127	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	H	H	
2.128	CH_3	Ph	H	CH_3	CH_3	H	

Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.129	CH_3	2-Cl(Ph)	H	CH_3	CH_3	H	
2.130	CH_3	3-Cl(Ph)	H	CH_3	CH_3	H	
2.131	CH_3	4-Cl(Ph)	H	CH_3	CH_3	H	
2.132	CH_3	2,4-Cl(Ph)	H	CH_3	CH_3	H	
2.133	CH_3	3,4-Cl(Ph)	H	CH_3	CH_3	H	
2.134	CH_3	2-Pyridyl	H	CH_3	CH_3	H	
2.135	CH_3	3-Pyridyl	H	CH_3	CH_3	H	
2.136	CH_3	4-Pyridyl	H	CH_3	CH_3	H	
2.137	CH_3	CH_2-OPh	H	CH_3	CH_3	H	
2.138	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	CH_3	H	
2.139	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	CH_3	H	
2.140	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	H	
2.141	CH_3	CH_2-O(2-F(Ph))	H	CH_3	CH_3	H	
2.142	CH_3	CH_2-O(3-F(Ph))	H	CH_3	CH_3	H	
2.143	CH_3	CH_2-O(4-F(Ph))	H	CH_3	CH_3	H	
2.144	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	CH_3	H	
2.145	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	CH_3	H	
2.146	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
2.147	C_2H_5	Ph	H	CH_3	CH_3	H	
2.148	C_2H_5	2-Cl(Ph)	H	CH_3	CH_3	H	
2.149	C_2H_5	3-Cl(Ph)	H	CH_3	CH_3	H	
2.150	C_2H_5	4-Cl(Ph)	H	CH_3	CH_3	H	
2.151	C_2H_5	2,4-Cl(Ph)	H	CH_3	CH_3	H	
2.152	C_2H_5	3,4-Cl(Ph)	H	CH_3	CH_3	H	
2.153	C_2H_5	2-Pyridyl	H	CH_3	CH_3	H	
2.154	C_2H_5	3-Pyridyl	H	CH_3	CH_3	H	
2.155	C_2H_5	4-Pyridyl	H	CH_3	CH_3	H	
2.156	C_2H_5	CH_2-OPh	H	CH_3	CH_3	H	
2.157	C_2H_5	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	H	
2.158	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
2.159	iso-C_3H_7	Ph	H	CH_3	CH_3	H	
2.160	iso-C_3H_7	2-Cl(Ph)	H	CH_3	CH_3	H	
2.161	iso-C_3H_7	3-Cl(Ph)	H	CH_3	CH_3	H	
2.162	iso-C_3H_7	4-Cl(Ph)	H	CH_3	CH_3	H	
2.163	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	CH_3	H	
2.164	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	CH_3	H	
2.165	iso-C_3H_7	2-Pyridyl	H	CH_3	CH_3	H	
2.166	iso-C_3H_7	3-Pyridyl	H	CH_3	CH_3	H	
2.167	iso-C_3H_7	4-Pyridyl	H	CH_3	CH_3	H	
2.168	iso-C_3H_7	CH_2-OPh	H	CH_3	CH_3	H	
2.169	iso-C_3H_7	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	H	
2.170	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
2.171	c-C_6H_{11}	Ph	H	CH_3	CH_3	H	

Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.172	c-C_6H_{11}	2-Cl(Ph)	H	CH_3	CH_3	H	
2.173	c-C_6H_{11}	3-Cl(Ph)	H	CH_3	CH_3	H	
2.174	c-C_6H_{11}	4-Cl(Ph)	H	CH_3	CH_3	H	
2.175	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	CH_3	H	
2.176	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	CH_3	H	
2.177	c-C_6H_{11}	2-Pyridyl	H	CH_3	CH_3	H	
2.178	c-C_6H_{11}	3-Pyridyl	H	CH_3	CH_3	H	
2.179	c-C_6H_{11}	4-Pyridyl	H	CH_3	CH_3	H	
2.180	c-C_6H_{11}	CH_2-OPh	H	CH_3	CH_3	H	
2.181	c-C_6H_{11}	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	H	
2.182	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	H	
2.183	CH_3	Ph	H	CH_3	CH_3	CH_3	
2.184	CH_3	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.185	CH_3	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.186	CH_3	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.187	CH_3	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.188	CH_3	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.189	CH_3	2-Pyridyl	H	CH_3	CH_3	CH_3	
2.190	CH_3	3-Pyridyl	H	CH_3	CH_3	CH_3	
2.191	CH_3	4-Pyridyl	H	CH_3	CH_3	CH_3	
2.192	CH_3	CH_2-OPh	H	CH_3	CH_3	CH_3	
2.193	CH_3	CH_2-O(2-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.194	CH_3	CH_2-O(3-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.195	CH_3	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.196	CH_3	CH_2-O(2-F(Ph))	H	CH_3	CH_3	CH_3	
2.197	CH_3	CH_2-O(3-F(Ph))	H	CH_3	CH_3	CH_3	
2.198	CH_3	CH_2-O(4-F(Ph))	H	CH_3	CH_3	CH_3	
2.199	CH_3	CH_2-O(2-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.200	CH_3	CH_2-O(3-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.201	CH_3	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.202	C_2H_5	Ph	H	CH_3	CH_3	CH_3	
2.203	C_2H_5	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.204	C_2H_5	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.205	C_2H_5	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.206	C_2H_5	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.207	C_2H_5	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.208	C_2H_5	2-Pyridyl	H	CH_3	CH_3	CH_3	
2.209	C_2H_5	3-Pyridyl	H	CH_3	CH_3	CH_3	
2.210	C_2H_5	4-Pyridyl	H	CH_3	CH_3	CH_3	
2.211	C_2H_5	CH_2-OPh	H	CH_3	CH_3	CH_3	
2.212	C_2H_5	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.213	C_2H_5	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.214	iso-C_3H_7	Ph	H	CH_3	CH_3	CH_3	

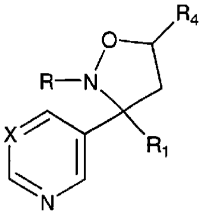
Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.215	iso-C_3H_7	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.216	iso-C_3H_7	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.217	iso-C_3H_7	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.218	iso-C_3H_7	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.219	iso-C_3H_7	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.220	iso-C_3H_7	2-Pyridyl	H	CH_3	CH_3	CH_3	
2.221	iso-C_3H_7	3-Pyridyl	H	CH_3	CH_3	CH_3	
2.222	iso-C_3H_7	4-Pyridyl	H	CH_3	CH_3	CH_3	
2.223	iso-C_3H_7	CH_2-OPh	H	CH_3	CH_3	CH_3	
2.224	iso-C_3H_7	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.225	iso-C_3H_7	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.226	c-C_6H_{11}	Ph	H	CH_3	CH_3	CH_3	
2.227	c-C_6H_{11}	2-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.228	c-C_6H_{11}	3-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.229	c-C_6H_{11}	4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.230	c-C_6H_{11}	2,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.231	c-C_6H_{11}	3,4-Cl(Ph)	H	CH_3	CH_3	CH_3	
2.232	c-C_6H_{11}	2-Pyridyl	H	CH_3	CH_3	CH_3	
2.233	c-C_6H_{11}	3-Pyridyl	H	CH_3	CH_3	CH_3	
2.234	c-C_6H_{11}	4-Pyridyl	H	CH_3	CH_3	CH_3	
2.235	c-C_6H_{11}	CH_2-OPh	H	CH_3	CH_3	CH_3	
2.236	c-C_6H_{11}	CH_2-O(4-Cl(Ph))	H	CH_3	CH_3	CH_3	
2.237	c-C_6H_{11}	CH_2-O(4-CF_3(Ph))	H	CH_3	CH_3	CH_3	
2.238	CH_3	CN	H	H	H	H	
2.239	CH_3	CO_2CH_3	H	H	H	H	
2.240	CH_3	CN	H	CH_3	H	H	
2.241	CH_3	CO_2CH_3	H	CH_3	H	H	
2.242	CH_3	CN	H	CH_3	CH_3	H	
2.243	CH_3	CO_2CH_3	H	CH_3	CH_3	H	
2.244	C_2H_5	CN	H	H	H	H	
2.245	C_2H_5	CO_2CH_3	H	H	H	H	
2.246	C_2H_5	CN	H	CH_3	H	H	
2.247	C_2H_5	CO_2CH_3	H	CH_3	H	H	
2.248	C_2H_5	CN	H	CH_3	CH_3	H	
2.249	C_2H_5	CO_2CH_3	H	CH_3	CH_3	H	
2.250	iso-C_3H_7	CN	H	H	H	H	
2.251	iso-C_3H_7	CO_2CH_3	H	H	H	H	
2.252	iso-C_3H_7	CN	H	CH_3	H	H	
2.253	iso-C_3H_7	CO_2CH_3	H	CH_3	H	H	
2.254	iso-C_3H_7	CN	H	CH_3	CH_3	H	
2.255	iso-C_3H_7	CO_2CH_3	H	CH_3	CH_3	H	
2.256	c-C_6H_{11}	CN	H	CH_3	CH_3	H	
2.257	c-C_6H_{11}	CO_2CH_3	H	CH_3	CH_3	H	
2.258	CH3	CN	H	CH_3	CH_3	CH_3	
2.259	CH3	CO_2CH_3	H	CH_3	CH_3	CH_3	

Table 2 (cont'd)

Cmpd #	R	R_4	R_5	R_6	R_7	R_8	Physical Property
2.260	C_2H_5	CN	H	CH_3	CH_3	CH_3	
2.261	C_2H_5	CO_2CH_3	H	CH_3	CH_3	CH_3	
2.262	iso-C_3H_7	CN	H	CH_3	CH_3	CH_3	
2.263	iso-C_3H_7	CO_2CH_3	H	CH_3	CH_3	CH_3	

Typical compounds encompassed by the present invention of Formula I include those compounds presented in Table 3 of Formula IV, R_2, R_3. and R_5 are hydrogen, and R_1, R_4, and X are defined in Table 3.



(IV)

Table 3

Cmpd #	R	X	R_1	R_4	Physical Property
3.1	CH_3	CH	Ph	Ph	
3.2	CH_3	CH	Ph	2-Cl(Ph)	
3.3	CH_3	CH	Ph	3-Cl(Ph)	
3.4	CH_3	CH	Ph	4-Cl(Ph)	
3.5	CH_3	CH	Ph	2-F(Ph)	
3.6	CH_3	CH	Ph	3-F(Ph)	
3.7	CH_3	CH	Ph	4-F(Ph)	
3.8	CH_3	CH	Ph	2-Br(Ph)	
3.9	CH_3	CH	Ph	3-Br(Ph)	
3.10	CH_3	CH	Ph	4-Br(Ph)	
3.11	CH_3	CH	Ph	2-CF_3(Ph)	
3.12	CH_3	CH	Ph	3-CF_3(Ph)	
3.13	CH_3	CH	Ph	4-CF_3(Ph)	
3.14	CH_3	CH	Ph	4-CH_3(Ph)	
3.15	CH_3	CH	Ph	1-Naphthyl	
3.16	CH_3	CH	Ph	2,4-Cl(Ph)	
3.17	CH_3	CH	Ph	3,4-Cl(Ph)	
3.18	CH_3	CH	Ph	3,5-Cl(Ph)	
3.19	CH_3	CH	Ph	2-Pyridyl	
3.20	CH_3	CH	Ph	3-Pyridyl	
3.21	CH_3	CH	Ph	4-Pyridyl	
3.22A	CH_3	CH	Ph	CH_2-O(Ph)	141-147, isomer A
3.22B	CH_3	CH	Ph	CH_2-O(Ph)	oil, isomer B

Table 3 (Con't)

Cmpd #	R	X	R_1	R_4	Physical Property
3.23	CH_3	CH	Ph	CH_2-O(4-Cl(Ph))	
3.24	CH_3	CH	4-Cl(Ph)	Ph	
3.25	CH_3	CH	4-Cl(Ph)	2-Cl(Ph)	
3.26	CH_3	CH	4-Cl(Ph)	3-Cl(Ph)	
3.27	CH_3	CH	4-Cl(Ph)	4-Cl(Ph)	
3.28	CH_3	CH	4-Cl(Ph)	2-F(Ph)	
3.29	CH_3	CH	4-Cl(Ph)	3-F(Ph)	
3.30	CH_3	CH	4-Cl(Ph)	4-F(Ph)	
3.31	CH_3	CH	4-Cl(Ph)	2-Br(Ph)	
3.32	CH_3	CH	4-Cl(Ph)	3-Br(Ph)	
3.33	CH_3	CH	4-Cl(Ph)	4-Br(Ph)	
3.34	CH_3	CH	4-Cl(Ph)	2-CF_3(Ph)	
3.35	CH_3	CH	4-Cl(Ph)	3-CF_3(Ph)	
3.36	CH_3	CH	4-Cl(Ph)	4-CF_3(Ph)	
3.37	CH_3	CH	4-Cl(Ph)	4-CH_3(Ph)	
3.38	CH_3	CH	4-Cl(Ph)	1-Naphthyl	
3.39	CH_3	CH	4-Cl(Ph)	2,4-Cl(Ph)	
3.40	CH_3	CH	4-Cl(Ph)	3,4-Cl(Ph)	
3.41	CH_3	CH	4-Cl(Ph)	3,5-Cl(Ph)	
3.42	CH_3	CH	4-Cl(Ph)	2-Pyridyl	
3.43	CH_3	CH	4-Cl(Ph)	3-Pyridyl	
3.44	CH_3	CH	4-Cl(Ph)	4-Pyridyl	
3.45	CH_3	CH	4-Cl(Ph)	CH_2-OPh	
3.46	CH_3	CH	4-Cl(Ph)	CH_2-O(4-Cl(Ph))	
3.47	CH_3	CH	4-CF_3(Ph)	Ph	
3.48	CH_3	CH	4-CF_3(Ph)	2-Cl(Ph)	
3.49	CH_3	CH	4-CF_3(Ph)	3-Cl(Ph)	
3.50	CH_3	CH	4-CF_3(Ph)	4-Cl(Ph)	
3.51	CH_3	CH	4-CF_3(Ph)	2-F(Ph)	
3.52	CH_3	CH	4-CF_3(Ph)	3-F(Ph)	
3.53	CH_3	CH	4-CF_3(Ph)	4-F(Ph)	
3.54	CH_3	CH	4-CF_3(Ph)	2-Br(Ph)	
3.55	CH_3	CH	4-CF_3(Ph)	3-Br(Ph)	
3.56	CH_3	CH	4-CF_3(Ph)	4-Br(Ph)	
3.57	CH_3	CH	4-CF_3(Ph)	2-CF_3(Ph)	
3.58	CH_3	CH	4-CF_3(Ph)	3-CF_3(Ph)	
3.59	CH_3	CH	4-CF_3(Ph)	4-CF_3(Ph)	
3.60	CH_3	CH	4-CF_3(Ph)	4-CH_3(Ph)	
3.61	CH_3	CH	4-CF_3(Ph)	1-Naphthyl	
3.62	CH_3	CH	4-CF_3(Ph)	2,4-Cl(Ph)	
3.63	CH_3	CH	4-CF_3(Ph)	3,4-Cl(Ph)	
3.64	CH_3	CH	4-CF_3(Ph)	3,5-Cl(Ph)	
3.65	C_2H_5	CH	Ph	Ph	

Table 3 (Con't)

Cmpd #	R	X	R_1	R_4	Physical Property
3.66	C_2H_5	CH	Ph	2-Cl(Ph)	
3.67	C_2H_5	CH	Ph	3-Cl(Ph)	
3.68	C_2H_5	CH	Ph	4-Cl(Ph)	
3.69	C_2H_5	CH	Ph	2-F(Ph)	
3.70	C_2H_5	CH	Ph	3-F(Ph)	
3.71	C_2H_5	CH	Ph	4-F(Ph)	
3.72	C_2H_5	CH	4-Cl(Ph)	Ph	
3.73	C_2H_5	CH	4-Cl(Ph)	2-CF_3(Ph)	
3.74	C_2H_5	CH	4-Cl(Ph)	3-CF_3(Ph)	
3.75	C_2H_5	CH	4-Cl(Ph)	4-CF_3(Ph)	
3.76	C_2H_5	CH	4-Cl(Ph)	4-CH_3(Ph)	
3.77	C_2H_5	CH	4-Cl(Ph)	1-Naphthyl	
3.78	C_2H_5	CH	4-Cl(Ph)	2,4-Cl(Ph)	
3.79	C_2H_5	CH	4-Cl(Ph)	3,4-Cl(Ph)	
3.80	C_2H_5	CH	4-Cl(Ph)	3,5-Cl(Ph)	
3.81	C_2H_5	CH	4-Cl(Ph)	2-Pyridyl	
3.82	C_2H_5	CH	4-Cl(Ph)	3-Pyridyl	
3.83	C_2H_5	CH	4-Cl(Ph)	4-Pyridyl	
3.84	C_2H_5	CH	4-Cl(Ph)	CH_2-OPh	
3.85	C_2H_5	CH	4-Cl(Ph)	CH_2-O(4-Cl(Ph))	
3.86	CH_3	CH	2-Pyridyl	Ph	
3.87	CH_3	CH	3-Pyridyl	Ph	
3.88	CH_3	CH	4-Pyridyl	Ph	
3.89	CH_3	CH	2-Pyridyl	2-Cl(Ph)	
3.90	CH_3	CH	3-Pyridyl	3-Cl(Ph)	
3.91	CH_3	CH	4-Pyridyl	4-Cl(Ph)	
3.92	C_2H_5	CH	3-Pyridyl	CH_2-OPh	
3.93	C_2H_5	CH	2-Pyridyl	CH_2-O(4-Cl(Ph))	
3.94	CH_3	CH	Ph	CN	
3.95	CH_3	CH	Ph	CO_2CH_3	
3.96	C_2H_5	CH	Ph	CN	
3.97	C_2H_5	CH	Ph	CO_2CH_3	
3.98	CH_3	CH	4-Cl(Ph)	CN	
3.99	CH_3	CH	4-Cl(Ph)	CO_2CH_3	
3.100	C_2H_5	CH	4-Cl(Ph)	CN	
3.101	C_2H_5	CH	4-Cl(Ph)	CO_2CH_3	
3.102	CH_3	N	Ph	Ph	
3.103	CH_3	N	Ph	2-Cl(Ph)	
3.104	CH_3	N	Ph	3-Cl(Ph)	
3.105	CH_3	N	Ph	4-Cl(Ph)	
3.106	CH_3	N	Ph	2-F(Ph)	
3.107	CH_3	N	Ph	3-F(Ph)	

Table 3 (Con't)

Cmpd #	R	X	R_1	R_4	Physical Property
3.108	CH_3	N	Ph	4-F(Ph)	
3.109	CH_3	N	Ph	2-Br(Ph)	
3.110	CH_3	N	Ph	3-Br(Ph)	
3.111	CH_3	N	Ph	4-Br(Ph)	
3.112	CH_3	N	Ph	2-CF_3(Ph)	
3.113	CH_3	N	Ph	3-CF_3(Ph)	
3.114	CH_3	N	Ph	4-CF_3(Ph)	
3.115	CH_3	N	Ph	4-CH_3(Ph)	
3.116	CH_3	N	Ph	1-Naphthyl	
3.117	CH_3	N	Ph	2,4-Cl(Ph)	
3.118	CH_3	N	Ph	3,4-Cl(Ph)	
3.119	CH_3	N	Ph	3,5-Cl(Ph)	
3.120	CH_3	N	Ph	2-Pyridyl	
3.121	CH_3	N	Ph	3-Pyridyl	
3.122	CH_3	N	Ph	4-Pyridyl	
3.123	CH_3	N	Ph	CH_2-OPh	
3.124	CH_3	N	Ph	CH_2-O(4-Cl(Ph))	
3.125	CH_3	N	4-Cl(Ph)	Ph	
3.126	CH_3	N	4-Cl(Ph)	2-Cl(Ph)	
3.127	CH_3	N	4-Cl(Ph)	3-Cl(Ph)	
3.128	CH_3	N	4-Cl(Ph)	4-Cl(Ph)	
3.129	CH_3	N	4-Cl(Ph)	2-F(Ph)	
3.130	CH_3	N	4-Cl(Ph)	3-F(Ph)	
3.131	CH_3	N	4-Cl(Ph)	4-F(Ph)	
3.132	CH_3	N	4-Cl(Ph)	2-Br(Ph)	
3.133	CH_3	N	4-Cl(Ph)	3-Br(Ph)	
3.134	CH_3	N	4-Cl(Ph)	4-Br(Ph)	
3.135	CH_3	N	4-Cl(Ph)	2-CF_3(Ph)	
3.136	CH_3	N	4-Cl(Ph)	3-CF_3(Ph)	
3.137	CH_3	N	4-Cl(Ph)	4-CF_3(Ph)	
3.138	CH_3	N	4-Cl(Ph)	4-CH_3(Ph)	
3.139	CH_3	N	4-Cl(Ph)	1-Naphthyl	
3.140	CH_3	N	4-Cl(Ph)	2,4-Cl(Ph)	
3.141	CH_3	N	4-Cl(Ph)	3,4-Cl(Ph)	
3.142	CH_3	N	4-Cl(Ph)	3,5-Cl(Ph)	
3.143	CH_3	N	4-Cl(Ph)	2-Pyridyl	
3.144	CH_3	N	4-Cl(Ph)	3-Pyridyl	
3.145	CH_3	N	4-Cl(Ph)	4-Pyridyl	
3.146	CH_3	N	4-Cl(Ph)	CH_2-OPh	
3.147	CH_3	N	4-Cl(Ph)	CH_2-O(4-Cl(Ph))	
3.148	CH_3	N	4-CF_3(Ph)	Ph	
3.149	CH_3	N	4-CF_3(Ph)	2-Cl(Ph)	

Table 3 (Con't)

Cmpd #	R	X	R_1	R_4	Physical Property
3.150	CH_3	N	4-CF_3(Ph)	3-Cl(Ph)	
3.151	CH_3	N	4-CF_3(Ph)	4-Cl(Ph)	
3.152	CH_3	N	4-CF_3(Ph)	2-F(Ph)	
3.153	CH_3	N	4-CF_3(Ph)	3-F(Ph)	
3.154	CH_3	N	4-CF_3(Ph)	4-F(Ph)	
3.155	CH_3	N	4-CF_3(Ph)	2-Br(Ph)	
3.156	CH_3	N	4-CF_3(Ph)	3-Br(Ph)	
3.157	CH_3	N	4-CF_3(Ph)	4-Br(Ph)	
3.158	CH_3	N	4-CF_3(Ph)	2-CF_3(Ph)	
3.159	CH_3	N	4-CF_3(Ph)	3-CF_3(Ph)	
3.160	CH_3	N	4-CF_3(Ph)	4-CF_3(Ph)	
3.161	CH_3	N	4-CF_3(Ph)	4-CH_3(Ph)	
3.162	CH_3	N	4-CF_3(Ph)	1-Naphthyl	
3.163	CH_3	N	4-CF_3(Ph)	2,4-Cl(Ph)	
3.164	CH_3	N	4-CF_3(Ph)	3,4-Cl(Ph)	
3.165	CH_3	N	4-CF_3(Ph)	3,5-Cl(Ph)	
3.166	C_2H_5	N	Ph	Ph	
3.167	C_2H_5	N	Ph	2-Cl(Ph)	
3.168	C_2H_5	N	Ph	3-Cl(Ph)	
3.169	C_2H_5	N	Ph	4-Cl(Ph)	
3.170	C_2H_5	N	Ph	2-F(Ph)	
3.171	C_2H_5	N	Ph	3-F(Ph)	
3.172	C_2H_5	N	Ph	4-F(Ph)	
3.173	C_2H_5	N	4-Cl(Ph)	Ph	
3.174	C_2H_5	N	4-Cl(Ph)	2-CF_3(Ph)	
3.175	C_2H_5	N	4-Cl(Ph)	3-CF_3(Ph)	
3.176	C_2H_5	N	4-Cl(Ph)	4-CF_3(Ph)	
3.177	C_2H_5	N	4-Cl(Ph)	4-CH_3(Ph)	
3.178	C_2H_5	N	4-Cl(Ph)	1-Naphthyl	
3.179	C_2H_5	N	4-Cl(Ph)	2,4-Cl(Ph)	
3.180	C_2H_5	N	4-Cl(Ph)	3,4-Cl(Ph)	
3.181	C_2H_5	N	4-Cl(Ph)	3,5-Cl(Ph)	
3.182	C_2H_5	N	4-Cl(Ph)	2-Pyridyl	
3.183	C_2H_5	N	4-Cl(Ph)	3-Pyridyl	
3.184	C_2H_5	N	4-Cl(Ph)	4-Pyridyl	
3.185	C_2H_5	N	4-Cl(Ph)	CH_2-OPh	
3.186	C_2H_5	N	4-Cl(Ph)	CH_2-O(4-Cl(Ph))	
3.187	CH_3	N	2-Pyridyl	Ph	
3.188	CH_3	N	3-Pyridyl	Ph	
3.189	CH_3	N	4-Pyridyl	Ph	
3.190	CH_3	N	2-Pyridyl	2Cl-Ph	
3.191	CH_3	N	3-Pyridyl	3Cl-Ph	
3.192	CH_3	N	4-Pyridyl	4Cl-Ph	

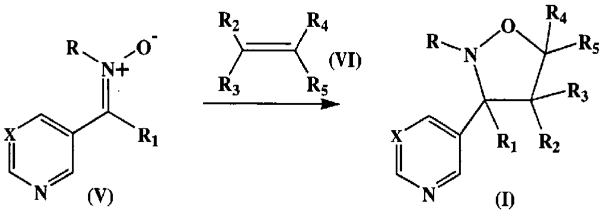
Table 3 (Con't)

Cmpd #	R	X	R_1	R_4	Physical Property
3.193	C_2H_5	N	3-Pyridyl	CH_2-OPh	
3.194	C_2H_5	N	4-Pyridyl	CH_2-O(4-Cl(Ph))	
3.195	CH_3	N	Ph	CN	
3.196	CH_3	N	Ph	CO_2CH_3	
3.197	C_2H_5	N	Ph	CN	
3.198	C_2H_5	N	Ph	CO_2CH_3	
3.199	CH_3	N	4-Cl(Ph)	CN	
3.200	CH_3	N	4-Cl(Ph)	CO_2CH_3	
3.201	C_2H_5	N	4-Cl(Ph)	CN	
3.202	C_2H_5	N	4-Cl(Ph)	CO_2CH_3	

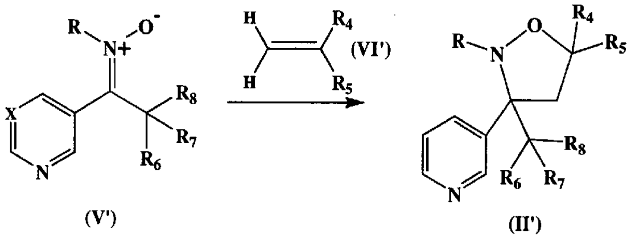
As used in Tables 1 to 3 Ph is understood to be phenyl.

Scheme A describes the general procedure for the preparation of compounds of the Formula (I). These 3-heterocyclic-3,4,5-substituted isoxazolidines are prepared by the reaction of nitrones (V) with substituted alkenes (VI). The 1,3-dipolar cycloaddition of nitrones to alkenes is described in Advances in Heterocyclic Chemistry, Vol 21., pp.207-251, 1977; Angewandte Chem. Int. Ed. Vol. 2, 565-598, 1963; Synthesis, 205-221, 1975 and in J.Org. Chemistry, Vol. 49, 276-1281, 1984 and references cited therein. The cycloaddition can proceed to provide two regioisomers one of which is shown in Scheme A of formula I where R_4 and R_5 are bonded to the carbon adjacent to the oxygen of the isoxazolidine. The other regioisomer, where R_2 and R_3 are bonded to the carbon adjacent to the oxygen of the isoxazolidine are also the subject of this invention. The regioisomers, when formed can be separated by conventional techniques such as thin layer or column chromatography. The reaction of N-substituted-3,3-disubstituted nitrones of formula V or V' are conducted in solvents such as benzene, toluene and chlorobenzene at temperatures of 50°C to the solvent boiling point and preferably at reflux in toluene. The olefins utilized can be tetrasubstituted olefins (VI), as shown in scheme A but are most often α,α-disubstituted olefins (VI where R_2, R_3 =H) and monosubstituted olefins (VI' where R_4=H) such as substituted styrenes, allylethers and acrylates. The isoxazolidines of the present invention can exist in diastereomeric forms. For example when monosubstituted olefins are employed the substituents H and R_5 can be cis or trans to the C-3 heterocycle. The cis and trans isomers can be separated by standard chromatographic techniques. When separated the isomers are designated isomer A or isomer B with isomer A possessing the higher Rf on thin layer chromatography.

Scheme A

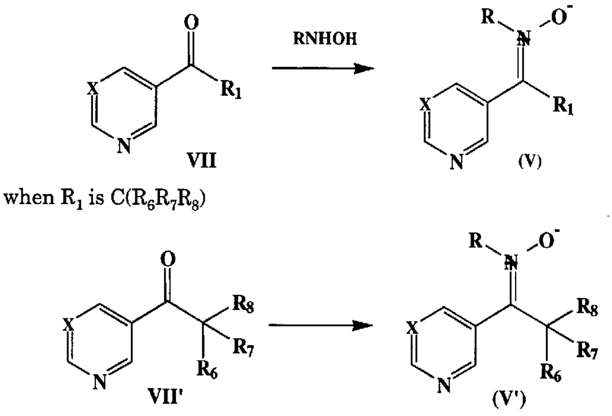


when R_1 is $C(R_6R_7R_8)$ and $R_2 = R_3 = H$



Scheme B describes the general procedure for the preparation of nitrones V and V'. The nitrones of the present invention are N-substituted-α,α-disubstituted such that the alpha substituents must be non-hydrogen substituents. The chemistry of nitrones, both preparations and reactions, are described in <u>Chem Reviews</u>, Vol. 64, 473-495, 1964. The nitrones of the present invention are derived from ketones which bear one heterocycle in the form of 3-pyridyl or 5-pyrimidinyl rings and the other substituent as R_1 defined previously. The nitrones are prepared from the corresponding ketone in a hydroxylic solvent such as methanol or ethanol, preferably ethanol, at room temperature to reflux, preferably at room temperature, by the reaction with an R-NHOH for example N-methylhydroxylamine in the form of the hydrochloride salt in the presence of sodium acetate. The nitrone is isolated by removing the solvent followed by trituration of the resulting wet solid with methylene chloride with isolation of the nitrone from the filtrate. The nitrones are used directly in the cycloaddition reactions described in scheme A.

Scheme B



when R_1 is $C(R_6R_7R_8)$

The ketones of formula VII and VII' bearing a 3-pyridyl or 5-pyrimidinyl substituents can be prepared by standard synthesis techniques or in the case of 3-acetylpyridine is commercially available. For example March, Advanced Organic Chemistry, 4th Ed, pp. 963-965, and references cited therein, describe the preparation of ketones from nitriles by addition of a Grignard reagent, RMgBr, or an organolithium such as RLi.

Pyridyl ketones can be prepared by Friedel Crafts acylation as described in Organic Synthesis, Vol. 37, 1957, by magnesium catalyzed acylation to form acetylpyridines as described in Tetrahedron Vol. 48, pp.9233-9236, 1992, and from pyridyl esters by classical Claisen condensation as described in JACS, Vol. 69, 119, 1947 and Vol. 76, 5452, 1954. When the reaction of the nitrones, V and V', with olefins (VI and VI') provides incomplete conversion to the isoxazolidines (I), mixtures of (I) and (VII) are isolated. To remove the unwanted ketones VII and VII' the mixture is exposed to an alkylhydroxylamine in an alcoholic solvent in the presence of sodium acetate and is stirred at room temperature. The solvent is removed and the residue is dissolved in an organic solvent which is washed thoroughly with water. The water soluble nitrone is removed in the aqueous phase and the resulting organic phase contains the pure desired product.

The compounds of this invention can be made according to the following procedures:

Example 1

5-(4-chlorophenyl)-2,3-dimethyl-3-(3-pyridyl)isoxazolidine (Compounds 1.4, 1.4A and 1.4B of Table I)

In a 500ml 3-necked RB flask was added 35g (0.233mol) of 3-pyridyl-N-methyl ethylimine N-oxide and 32.3g of *p*-chlorostyrene (0.233mol, 1eq.) in 200mL toluene and was heated to reflux (110°C) for 5 hours. The reaction is monitored by Thin Layer Chromatography (TLC) (1:1 Hex/EtOAc). Upon consumption of the p-chlorostyrene the reaction was cooled to room temperature. The toluene was extracted with 300mL of 10% HCl, then 100mL of 10% HCl. The acid layer was then washed once with 100mL toluene. The toluene portion was discarded and the acid portion was taken to pH 6 with 10% NaOH. This aqueous portion was extracted with several 200ml portions of ethyl acetate. Washed the EtOAc with water and brine, dried over anhydrous sodium sulfate, filtered and stripped to yield an 32 g of an orange oil which was a mixture of the desired product and 3-acetylpyridine.

The crude reaction mixture was purified by the following process. 32g of the crude mixture as an orange oil was treated with 10g of *N*-methylhydroxylamine hydrochloride, and 20g of sodium acetate in a 500mL RB flask with 100mL of absolute ethanol. The reaction mixture was stirred overnight at room temperature. The solvent was removed and the residue was dissolved in 150mL of ethyl acetate which was wased with 2 x 100mL water and 1 x 100mL brine. The solvent was dried over anhydrous sodium sulfate, filtered and stripped to yield 15.6g of an orange oil whose 300MHz ^{1}H NMR was consistent with 5-(4-chlorophenyl)-2,3-dimethyl-3-(3-pyridyl)isoxazolidine as a 2:1 mixture of isomer A (higher Rf on TLC): isomer B (lower Rf on TLC).

NMR (^{1}H, 200MHz) of Compound 1.04: 1.6-1.7(two singlets, 3H), 2.6(two singlets, 3H), 2.3-2.9(m, 2H), 4.9-5.4(two dd, 1H), 7.0-7.4(m 5H), 7.8-8.0(two dd,1H), 8.5-8.6(two dd, 1H) and 8.75 and 8.85 (two dd,1H).

8g of the crude mixture was purified by silica gel column chromatography with 1:1 hexane:EtOAc and gave 1.2g of 9:1 A:B isomers as a clear oil , 0.4g of 4:1 A:B isomers as a clear oil, 0.2g of 1:6 A:B isomer as a white solid, mp. 75°C-80°C and 2.0g of 4:5 mixture of A:B isomers as a mixture od solid and oil (52.5% yield based on 8g purified).

NMR (^{1}H, 200MHz) 9:1 isomers A:B, major isomer A, Compound 1.04A : 1.6(s, 3H), 2.6(s, 3H), 2.5-2.9(m, 2H), 5.2-5.4(dd, 1H), 7.0-7.4(m 5H), 7.8-7.9(d,1H), 8.5(d, 1H) and 8.75(d,1H).

NMR (^{1}H, 200MHz) 1:5 isomers A:B , major isomer B, Compound 1.04B: 1.55(s, 3H), 2.6(s, 3H), 2.3-2.9(m, 2H), 4.85-5.0(t, 1H), 7.0-7.4(m 5H), 7.9-8.0(d,1H), 8.6(d, 1H) and 8.85(d,1H).

Synthesis of Nitrone, 3-pyridyl-N-methyl ethylimine N-oxide

3-Acetylpyridine (80g, 0.661mol), *N*-methylhydroxylamine hydrochloride (84g, 1.018mol, 1.5eq) and sodium acetate (164.8g, 2.01mol, 3eq) were combined in a 1L rbf with 450mL of absolute ethanol. Let stir overnight. Reaction monitored by TLC (1:1 EtAc/Hexanes). Watch for the disappearance of the 3-Acetylpyridene spot and the formation of a spot on the baseline (presumably the nitrone). Stripped off the ethanol. Took up the residue in 400mL of methylene chloride. Filtered off remaining residue. Dried over anhydrous sodium sulfate, filtered and stripped to yield a light yellow oil. Yield for this run was 94g (95%).

Example 2.

5-phenoxymethyl-3-phenyl-3-(3-pyridyl)-2-methyl isoxazolidine Compounds 3.22A. 3.22B of Table 3.

Into a 300ml 3-neck RB flask under nitrogen was added 2.1g (1.0 eq., 10 mmole) 3-pyridyl-N-methyl benzylimine N-oxide in 25ml toluene and 4.0g (3.0eq., 30 mmole) of allyl phenyl ether in 25ml toluene and heated at reflux (110°C). After one day, the following additional starting reagents were added to the flask: 1.05g (1.0 eq., 5 mmole) of the N-oxide and 2.0g (3.0 eq., 15 mmole) of the ether. Heated at reflux for 6 days and worked up. In the work up the reaction solution was concentrated on the rotovap at 50°C to give 6.6g wet solid containing a mixture of stereoisomers. Triturated the wet solid with ethyl acetate / hexane and vacuum filtered to give 1.8g isomer A (upper spot, Rf =0.59 ethyl acetate / hexane 1:1) product as a solid, mp = 145°C-147°C.

NMR (^{1}H, 200MHz) of Compound 3.22A: 2.5(s, 3H); 3.0(s, 1H); 3.3(s, 1H); 3.7-4.1(m, 2H); 4.65 (s, 1H); 6.8-7.4(m 11H); 7.85(d,1H), 8.5(dd, 1H); 8.65(d,1H).

Concentrated the filtrate to give 4.5g yellow oil and chromatographed (ethyl acetate / hexane 1:1) to give 0.7g isomer B (Rf=0.47 ethyl acetate / hexane 1:1) product as a yellow oil.

NMR (^{1}H, 200MHz) of Compound 3.22B: 2.5(s, 3H); 3.1(s, 2H); 4.1(s, 2H); 4.4(s,1H); 6.85-7.4(m,11H); 7.9(s, 1H); 8.5(dd, 1H); 8.65(d,1H).

Preparation of 3-pyridyl-N-methyl benzylimine N-oxide

Into a 300ml 3-neck RB flask under N_2 was added 9.15g (1.0 eq., 0.050moles) 3-benzoylpyridine in 50ml absolute ethanol and dissolved. Added neat 5.0g (1.2eq., 0.060 moles) N-methyl hydroxylamine hydrochloride followed by 9.84g (2.4eq., 0.12moles) acetic acid, sodium salt. The reaction was stirred 88h at ambient and refluxed (78°C) for 20h followed by workup. The reaction solution was made basic to pH 8 with potassium carbonate, filtered off solid, and concentrated the filtrate to give an orange wet solid. Triturated the wet solid with methylene chloride, filtered, dried filtrate over magnesium sulfate, anhyd., and concentrated to give 11.3g orange solid, 60% product and 40% starting ketone by GC. Triturated the crude solid with ethyl acetate and filtered to give 2.4g product as a white solid, mp = 143°C-146°C. A second crop of 1.5g of slightly less purity was isolated from the filtrate after concentrating and trituration. Total product was 3.9g (36.8% yield).

NMR(^{1}H, 200MHz): 3.8(s,3H); 7.2-7.6(m,6H); 8.6(d,1H); 8.8(dd, 1H); 8.9 (d,1H).

Example 3

Proton NMR data (200MHz) are provided in Table IV for typical representative compounds of Tables I and II.

Table IV.

Compd #	Proton NMR δ(chemical shifts rel. to TMS)
1.4A	1.6(s, 3H), 2.6(s, 3H), 2.5-2.9(m, 2H), 5.2-5.4(dd, 1H), 7.0-7.4(m, 5H), 7.8-7.9(d,1H), 8.5(d, 1H) and 8.75(d,1H).
1.4	1.6-1.7(two singlets, 3H), 2.6(two singlets, 3H), 2.3-2.9(m, 2H), 4.9-5.4 (two dd, 1H), 7.0-7.4(m 5H), 7.8-8.0(two dd,1H), 8.5-8.6 (two dd, 1H) and 8.75 and 8.85 (two dd,1H).
1.4B	1.55(s, 3H), 2.6(s, 3H), 2.3-2.9(m, 2H), 4.85-5.0(t, 1H), 7.0-7.4(m, 5H), 7.9-8.0(d,1H), 8.6(d, 1H) and 8.85(d,1H).

Table IV (Con't)

Compd #	Proton NMR δ(chemical shifts rel. to TMS)
3.22A	2.5(s, 3H); 3.0(s, 1H); 3.3(s, 1H); 3.7-4.1(m, 2H); 4.65 (s, 1H); 6.8-7.4 (m 11H); 7.85(d,1H), 8.5(dd, 1H); 8.65(d,1H).
3.22B	2.5(s, 3H); 3.1(s, 2H); 4.1(s, 2H); 4.4(s,1H); 6.85-7.4(m,11H); 7.9(s, 1H); 8.5(dd, 1H); 8.65(d,1H).
1.6	1.6(s, 3H), 2.6(d, 3H), 2.6-2.9(m, 2H), 5.2-5.3(dd, 1H), 6.9-7.4(m 5H), 7.9 (dd,1H), 8.5(d, 1H) and 8.8(d,1H).
1.23A	1.6(s, 3H), 2.2(d, 6H), 2.6(d, 3H), 2.3-2.9(m, 2H), 5.5(dd, 1H), 6.9-7.5 (m 4H), 7.8 (dd,1H), 8.5(d, 1H) and 8.85(s,1H).
1.23	1.6(two singlets,3H), 2.2(d,6H), 2.6(d,3H), 2.3-2.9(m,2H), 5.2-5.4(two multiplets, 1H), 6.9-7.5(m, 4H), 7.8-7.9 (two multiplets, 1H), 8.4-8.5(two doublets, 1H) and 8.7-8.9(two singlets ,1H).
1.2	1.5-1.6(two singlets, 3H), 2.6(br s,3H), 2.3-2.9(m, 2H), 5.25-5.3 and 5.4-5.5(br m and dd, 1H), 7.0-7.4(m ,4H), 7.7-7.8 (two d,1H), 8.4-8.5(two doublets, 1H) and 8.7-8.9(two singlets ,1H).
1.3	1.5-1.6(two singlets, 3H), 2.6(br s,3H), 2.3-2.9(m, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.0-7.4(m ,5H), 7.8-7.9 (two d,1H), 8.4-8.5 (two doublets, 1H) and 8.7-8.85(two singlets, 1H).
1.7	1.59-1.60(two singlets, 3H), 2.63(br s,3H), 2.3-2.9(m, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 6.9-7.4(m ,5H), 7.8-8.0 (two d,1H), 8.5-8.6(two doublets, 1H) and 8.74-8.85(two singlets, 1H).
1.1	1.60-1.62(two singlets, 3H), 2.62,2.65(two singlets,3H), 2.3-2.9(m, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.1-7.4(m ,6H), 7.85-8.0 (two d,1H), 8.5-8.6(two doublets, 1H) and 8.74-8.85(two singlets, 1H).
1.5	1.56-1.62(two singlets, 3H), 2.62,2.65(two singlets,3H), 2.3-2.9 (two dd, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 6.9-7.65(m ,5H), 7.85-7.95 (two d,1H), 8.45-8.55(two doublets, 1H) and 8.7-8.85(two singlets, 1H)..
1.15	1.60-1.62(two singlets, 3H), 2.09,2.17(two singlets,3H), 2.64-2.67(br d ,3H), 2.3-2.9(two dd, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 6.9-7.35(m ,5H), 7.85-7.95 (two d,1H), 8.5-8.55(two doublets, 1H) and 8.7-8.85(two singlets, 1H)..
1.10	1.57-1.60(two singlets, 3H), 2.61-2.63(two singlets,3H), 2.3-2.9(two dd, 2H), 4.9-5.1 and 5.2-5.3(br m and dd, 1H), 7.1-7.65(m ,5H), 7.85-7.95 (two d,1H), 8.5-8.55(two doublets, 1H) and 8.72-8.8(two singlets, 1H)..
1.13	1.57-1.62(two singlets, 3H), 2.61-2.63(two singlets,3H), 2.3-2.9(two dd, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.3-7.65(m ,5H), 7.85-7.95 (two d,1H), 8.5-8.55(two doublets, 1H) and 8.7-8.8(two singlets, 1H)..
1.9	1.58-1.62(two singlets, 3H), 2.6-2.63(two singlets,3H), 2.3-2.9(two dd, 2H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.1-7.5(m ,5H), 7.85-7.95 (two d,1H), 8.5-8.55(two doublets, 1H) and 8.7-8.8(two singlets, 1H)..

Table IV (Con't)

Compd #	Proton NMR δ(chemical shifts rel. to TMS)
1.39	1.23-1.30(m, 6H, $NCH_2\underline{CH_3}$, C-3 $\underline{CH_3}$), 2.2-2.6(m, 2H), 2.7-3.0 (m,2H, $N\underline{CH_2}CH_3$), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.1-7.5 (m ,5H), 7.85-7.95 (two d,1H), 8.5-8.6(two doublets, 1H) and 8.7-8.8(two singlets, 1H)..
1.51	1.23-1.30(m, 9H), 2.2-2.6(m, 2H), 2.9-3.0(m,1H), 5.0-5.1 and 5.2-5.3(br m and dd, 1H), 7.1-7.5(m ,4H), 7.8-8.0 (four d,1H), 8.5-8.6(two doublets, 1H) and 8.7-8.8(two singlets, 1H)..
1.63	1.1-1.9(m, 13H), 2.1-2.6(two dd, 2H), 2.7-2.9(m,1H), 4.9-5.0 and 5.1-5.2(br m and m, 1H), 7.2-7.5(m ,5H), 7.85-7.95 (two d,1H), 8.6(d, 1H) and 8.9 (s, 1H).

Note: ^{1}HNMR spectrum was recorded, using $CDCl_3$. Following codes were used s= singlet, d = doublets, t = triplets, m = multiplets, br = broad peak

Example 4

Numerous compounds of this invention were tested for fungicidal activity in vivo against the diseases described below. The compounds were dissolved in a 1:1 mixture of acetone and methanol or N,N-dimethylformamide and diluted with a 2:1:1 mixture of water, acetone and methanol (by volume) to achieve the appropriate concentration. The solution was sprayed onto plants and allowed to dry for two hours. Then the plants were inoculated with fungal spores. Each test utilized control plants which were sprayed with the appropriate solvent and inoculated. For these protective tests, the plants were inoculated one day after treating the plants with the compounds of this invention. The remainder of the technique of each of the tests is given below along with the results for various compounds described herein by the Compound # against the various fungi at a dose of 100 or 150 grams per hectare. The results are percent disease control as compared to the untreated check wherein one hundred was rated as complete disease control and zero as no disease control. The percent disease control is reported in activity groups wherein A is 90 - 100% disease control, B is 70-89% control , C is 50-69% control and D is less than 50% disease control The application of the test fungal spores to the test plants was as follows:

Wheat Leaf Blotch (SNW)

Cultures of *Septoria nodorum* were maintained on Czapek-Dox V-8 juice agar plates in an incubator at 20°C with alternating periods of 12 hours of light and 12 hours of darkness for 2 weeks. A water suspension of the spores was obtained by shaking the portion of the plate with fungal material in deionized water and filtering through cheesecloth. The spore-containing water suspension was diluted to a spore concentration of 3.0 x 10^6 spores per ml. The inoculum was dispersed by a DeVilbiss atomizer over one-week old Fielder wheat plants which had been previously sprayed with the fungicide compound. The inoculated plants were placed in a humidity cabinet at 20°C with alternating 12 hours of light and 12 hours of darkness for 7 days. The inoculated seedlings were then moved to a controlled environment room at 20°C for 2 days of incubation. Disease control values were recorded as percent control.

Wheat Powdery Mildew (WPM)

Erysiphe graminis (f. sp. *tritici*) was cultured on wheat seedlings, cultivar Fielder, in a controlled temperature room at 18°C. Mildew spores were shaken from the culture plants onto 7-day old wheat seedlings which had been previously sprayed with the fungicide compound. The inoculated seedlings were kept in a controlled temperature room at 18°C and subirrigated. The percent disease control was rated 7 days after the inoculation.

Cucumber Powdery Mildew (CPM)

Sphaerotheca fulginea was maintained on cucumber plants, cultivar Bush Champion, in the greenhouse. Inoculum was prepared by placing five to ten heavily mildewed leaves in a glass jar with 500ml of water containing 1 drop of Tween 80 per 100 ml. After shaking the liquid and leaves, the inoculum was filtered through cheese cloth and misted onto the plants with a squirt bottle mister. The spore count was 100,000 spores/ml. The plants were then placed in the greenhouse for infection and incubation. The plants were scored seven days after inoculation. Disease control values were recorded as percent control.

Rice Blast (RB)

Cultures of *Pyricularia oyrzae* were maintained on potato dextrose agar for two to three weeks. The spores were washed from the agar with water containing 1 drop of Tween 80 per 100 ml. After filtering the spore suspension through two layers of cheese cloth, the spore count was adjusted to 5 x 10^5 spores/ml. The spore suspension was sprayed onto 12-day old rice plants, cultivar M-1, using a DeVilbiss atomizer. The inoculated plants were placed in a humidity at chamber 20°C for 36 hours to allow for infection. After the infection period the plants were placed in the greenhouse. After 6 days, the plants were scored for disease control.

Botrytis on Cucumber (BOT)

Cucumber plants were maintained in the greenhouse. Large, fully expanded leaves were collected from the plates. The stems were wrapped with cotton, the leaves were placed in a large petri plate (15-cm. diameter) and the leaves were supported by glass rods. The upper cover of the plate was removed and the upper surface of the detached cucumber leaf was sprayed with the compounds of the present invention. The leaf was allowed to dry in the air for approximately 2 hours. The cultures of *Botrytis cinerea* were maintained on potato dextrose agar for two to three weeks. Agar plugs, 6-mm. in diameter, were cut with a cork borer from the periphery of the fungal colony margin. These agar plugs were placed with the fungal surface in contact with the treated upper surface of the cucumber leaf. Each leaf received two mycelial plugs. After placing the petri plate cover over the leaves, the plates were returned to a controlled environmental chamber at 20°C and 90% humidity for three to four days.

After this time, the diameter of the lesions produced by the mycelial plug was measured. The average lesion size was compared to the lesion size produced on the control leaves. Data were expressed as percent control.

Cucumber Downy Mildew (CDM)

Cucumber plants were maintained in the greenhouse. Large, fully expanded leaves were collected from the plates. The stems were wrapped with cotton, the leaves were placed in a large petri plate (15-cm. diameter) and the leaves were supported by glass rods. The upper cover of the plate was removed and the upper surface of the detached cucumber leaf was sprayed with the compounds of the present invention. The leaf was allowed to dry in the air for approximately 2 hours. The cultures of *Pseudoperonospora cubensis* were maintained on cucumber plants. After extracting the spores by shaking the leaves in water, the lower surface of the treated cucumber leaves were sprayed with a spore concentration of 100,000 spores per ml. The plates were returned to a controlled environmental chamber at 20°C and 90% humidity for five days. After this time, leaves were examined for disease development. Data was expressed as percent control.

When tested against **wheat leaf blotch** at 300 grams per hectare compound 1.7 exhibited control in the B rating group

When tested against **wheat powdery mildew** at 300 grams per hectare compounds 1.04A, 1.10, and 1.51 exhibited control in the A rating group and compounds 1.7, 1.13 and 1.63 exhibited control in the B rating group.

When tested against **cucumber powdery mildew** at a dose of 300 grams per hectare, 1.04 exhibited control in the A rating group and 1.23 in the B rating group.

When tested against **rice blast** at 300 grams per hectare compounds 1.04, 1.10, 1.39 and 1.51 exhibited control in the B rating group.

When tested against **cucumber gray mold** at 300 grams per hectare compounds 1.04A, 1.9 and 1.10 exhibited control in the A rating group and 1.13, 1.39 and 1.51 exhibited control in the B rating group.

When tested against **cucumber downy mildew** at 300 grams per hectare compounds 1.04A, 1.15 and 1.51 exhibited control in the A rating group.

The compounds of this invention are useful as agricultural fungicides and, as such, can be applied to various loci such as the seed, the soil or the foliage of plants to be protected.

The compounds of this invention can be applied as fungicidal sprays by methods commonly employed, such as conventional high-volume hydraulic sprays, low-volume sprays, air-blast spray, aerial sprays and dusts. The dilution and rate of application will depend upon the type of equipment employed, the method of application, plants to be treated and diseases to be controlled. Generally, the compounds of this invention will be applied in amount of from about 0.005 kilogram to about 50 kilograms per hectare and preferably from about 0.025 to about 25 kilograms per hectare of the active ingredient.

As a seed protectant, the amount of toxicant coated on the seed is usually at a dosage rate of from about 0.05 to about 20, preferably from about 0.05 to about 4, and more preferably from about 0.1 to about 1 grams per hundred kilograms of seed. As a soil fungicide the chemical can be incorporated in the soil or applied to the surface usually at a rate of from about 0.02 to about 20, preferably from about 0.05 to about 10, and more preferably from about 0.1 to about 5 kilograms per hectare. As a foliar fungicide, the toxicant is usually applied to growing plants at a rate of from about 0.01 to about 10, preferably from about 0.02 to 5, and more preferably from about 0.25 to about 1 kilograms per hectare.

Inasmuch as the compounds of this invention display fungicidal activity, these compounds can be combined with other known fungicides to provide broad spectrum activity. Suitable fungicides include, but are not limited to, those compounds listed in U.S. Patent Number 5,252,594 (see in particular columns 14 and 15). Other known fungicides which an be combined with the compounds of this invention are dimethomorph, cymoxanil, thifluzamide, furalaxyl, ofurace, benalaxyl, oxadixyl, propamocarb, cyprofuram, fenpiclonil, fludioxonil, pyrimethanil, cyprodinil, triticonazole, fluquinconazole, metconazole, spiroxamine, carpropamid, azoxystrobin, kresoxim-methyl, metominostrobin and trifloxystrobin.

The compounds of this invention can be advantageously employed in various ways. Since these compounds possess broad spectrum fungicidal activity, they can be employed in the storage of cereal grain. These compounds can also be employed as fungicides in cereals including wheat, barley and rye, in rice, peanuts, beans and grapes, on turf, in fruit, nut and vegetable orchards, and for golf course applications.

Examples of diseases against which the compounds of the invention are useful include helminthosporium of corn and barley, wheat and barley powdery mildew, wheat leaf and stem rusts, barley stripe and leaf rust, tomato early blight, tomato late blight, peanut early leaf spot, grape powdery mildew, grape black rot, apple scab, apple powdery mildew, cucumber powdery mildew, brown rot of fruits, botrytis, bean powdery mildew, cucumber anthracnose, wheat septoria nodorum, rice sheath blight and rice blast

The compounds of the present invention can be used in the form of compositions or formulations. Examples of the preparation of compositions and formulations can be found in the American Chemical

Society publication "Pesticidal Formulation Research," (1969), Advances in Chemistry Series No. 86, written by Wade Van Valkenburg; and the Marcel Dekker, Inc. publication "Pesticide Formulations", (1973) edited by Wade Van Valkenburg. In these compositions and formulations, the active substance is mixed with conventional inert agronomically acceptable (i.e., plant compatible and/or pesticidally inert) pesticide diluents or extenders such as solid carrier material or liquid carrier material, of the type usable in conventional pesticide compositions or formulations. By "agronomically acceptable carrier" is meant any substance which can be used to dissolve, disperse or diffuse the active ingredient in the composition without impairing the active ingredient's effectiveness and which by itself has no significant detrimental effect on the soil, equipment, desirable plants, or agronomic enviornment. If desired, adjuvants such as surfactants, stabilizers, antifoam agents and anti-drift agents may also be combined.

Examples of compositions and formulations according to the invention are aqueous solutions and dispersions, oily solutions and oil dispersions, pastes, dusting powders, wettable powders, emulsifiable concentrates, flowables, granules, baits, invert emulsions, aerosol compositions and fumigating candles. Wettable powders, pastes, flowables and emulsifiable concentrates are concentrated preparations which are diluted with water before or during use. In such formulations, the compounds are extended with a liquid or solid carrier and, when desired, suitable surfactants are incorporated. Baits are preparations generally comprising a food or other substance attractive to insects, that includes at least one compound of the instant invention.

It is usually desirable, particularly in the case of foliar spray formulations, to include adjuvants, such as wetting agents, spreading agents, dispersing agents, stickers, adhesive and the like in accordance with agricultural practices. A listing of such adjuvants commonly used in the art, and a discussion of adjuvants, can be found in many references, such as in the John W. McCutcheon, Inc. publication "Detergents and Emulsifiers, Annual."

The active compounds of the present invention may be employed alone or in the form of mixtures with one another and/or with such solid and/or liquid dispersible carrier vehicles and/or with other known compatible active agents, especially plant protection agents, such as other insecticides, arthropodicides, nematicides, fungicides, bactericides, rodenticides, herbicides, fertilizers, growth-regulating agents, synergists.

In the compositions of the invention, the active compound is present in an amount substantially between about 0.0001 (1:999,999) -99 (99:1) % by weight. For compositions suitable for storage or transportation, the amount of active ingredient is preferably between about 0.5 (1:199) -90 (9:1) % by weight, and more preferably between about 1 (1:99) -75 (3:1) % by weight of the mixture. Compositions suitable for direct application or field application generally contain the active compound in an amount substantially between about 0.0001 (1:999,999) -95 (19:1) %, preferably between about 0.0005 (1:199,999) -90 (9:1) % by weight, and more preferably between about 0.001 (1:99,999) -75 (3:1) % by weight of the mixture. The composition can also be stated as a ratio of the compound to the carrier. In the present invention the weight ratio of these materials (active compound/carrier) can vary from 99:1 (99%) to 1:4 (20%) and more preferably from 10:1 (91%) to 1:3 (25%).

In general, the compounds of this invention can be dissolved in certain solvents such as acetone, methanol, ethanol, dimethylformamide, pyridine or dimethyl sulfoxide and such solutions can be diluted with water. The concentrations of the solution can vary from about 1% to about 90% with a preferred range being from about 5% to about 50%.

For the preparation of emulsifiable concentrates, the compound can be dissolved in suitable organic solvents, or a mixture of solvents, together with an emulsifying agent to enhance dispersion of the compound in water. The concentration of the active ingredient in emulsifiable concentrates is usually from about 10% to about 90%, and in flowable emulsion concentrates, can be as high as about 75%.

Wettable powders suitable for spraying, can be prepared by admixing the compound with a finely divided solid, such as clay, inorganic silicate and carbonate, and silica and incorporating wetting agents, sticking agents, and/or dispersing agents in such mixtures. The concentration of active ingredients in such formulations is usually in the range of from about 20% to about 99%, preferably from about 40% to about 75%. A typical wettable powder is made by blending 50 parts of a compound of Formula I, 45 parts of a synthetic precipitated hydrated silicon dioxide, such as that sold under the trademark Hi-SilR, available from PPG Industries, Pittsburgh, PA, and 5 parts of sodium lignosulfonate. In another preparation a kaolin type (Barden) clay is used in place of the Hi-Sil in the above wettable powder, and in another such preparation 25% of the Hi-Sil is replaced with a synthetic sodium silicoaluminate sold under the trademark Zeolex®3.

Dusts are prepared by mixing compounds of Formula I, or the enantiomorphs, salts and complexes thereof with finely divided inert solids which can be organic or inorganic in nature. Materials useful for this purpose include botanical flours, silicas, silicates, carbonates and clays. One convenient method of preparing a dust is to dilute a wettable powder with a finely divided carrier. Dust concentrates containing from about 20% to about 80% of the active ingredient are commonly made and are subsequently diluted to from about 1% to about 10% use concentration.

The active compounds can be applied as insecticide sprays by methods commonly employed, such as conventional high-gallonage hydraulic sprays, low gallonage sprays, ultra-low-volume sprays, airblast spray, aerial sprays, and dusts.

The present invention also contemplates methods of killing, combatting or controlling pests which comprises contacting pests with a combative or toxic amount (i.e. a pesticidally effective amount) of at least one active compound of the invention alone or together with a carrier vehicle (composition or formulation) as noted above. The term "contacting" as employed in the specification and claims means applying to at least one of (a) such pests and (b) the corresponding habit at thereof (i.e., the locus to be protected, for example, to a growing crop or to an area where a crop is to be grown) the active compound of this invention alone or as a constituent of a composition or formulation.

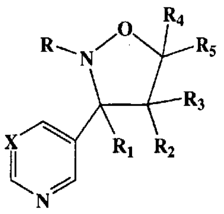
In addition to the aforementioned ingredients the preparations according to the invention may also contain other substances commonly used in preparations of this kind. For example, a lubricant, such as calcium stearate or magnesium stearate, may be added to a wettable powder or to a mixture to be granulated. Furthermore there may, for example, be added "adhesives" such as polyvinylalcohol-cellulose derivatives or other colloidal materials, such as casein, to improve the adherence of the pesticide to the surface to be protected

Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", and variations such as "comprises" and "comprising", will be understood to imply the inclusion of a stated integer or step or group of integers or steps but not the exclusion of any other integer or step or group of integers or steps.

The reference to any prior art in this specification is not, and should not be taken as, an acknowledgment or any form of suggestion that that prior art forms part of the common general knowledge in Australia.

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound of the formula:



I

X is CH or nitrogen;

R is selected from the group consisting of $(C_1\text{-}C_{12})$alkyl, halo$(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_8)$alkenyl, halo$(C_2\text{-}C_8)$alkenyl, $(C_2\text{-}C_8)$alkynyl, halo$(C_2\text{-}C_8)$alkynyl, $(C_1\text{-}C_{12})$alkoxy$(C_1\text{-}C_{12})$alkyl, $(C_3\text{-}C_7)$cycloalkyl, halo$(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkyl$(C_1\text{-}C_4)$alkyl, aralkyl, aryloxy$(C_1\text{-}C_4)$alkyl and heterocyclic;

R_1 is selected from the group consisting of aryl, heterocyclic, and $C(R_6R_7R_8)$.

R_2 and R_3 are each independently selected from the group consisting of hydrogen, $(C_1\text{-}C_{12})$alkyl, halo$(C_1\text{-}C_{12})$alkyl, $(C_1\text{-}C_{12})$alkoxy, halo$(C_1\text{-}C_{12})$alkoxy, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkyl$(C_1\text{-}C_4)$alkyl, aryl, aralkyl, heterocyclic; cyano, and $(C_1\text{-}C_4)$alkoxycarbonyl;

R_4 and R_5 are selected from the group consisting of hydrogen, $(C_1\text{-}C_{12})$alkyl, halo$(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_8)$alkenyl, halo$(C_2\text{-}C_8)$alkenyl, $(C_2\text{-}C_8)$alkynyl, halo$(C_2\text{-}C_8)$alkynyl, $(C_3\text{-}C_7)$cycloalkyl, halo$(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkyl$(C_1\text{-}C_4)$alkyl, aryl, aryloxy$(C_1\text{-}C_4)$alkyl, aralkyl, heterocyclic, cyano, and $(C_1\text{-}C_4)$alkoxycarbonyl such that R_4 and R_5 are not both hydrogen;

R_6, R_7, and R_8 are each independently selected from the group consisting of hydrogen, $(C_1\text{-}C_{12})$alkyl, $(C_2\text{-}C_8)$alkenyl, $(C_2\text{-}C_8)$alkynyl, $(C_1\text{-}C_{12})$alkoxy$(C_1\text{-}C_{12})$alkyl, $(C_3\text{-}C_7)$cycloalkyl, $(C_3\text{-}C_7)$cycloalkyl$(C_1\text{-}C_4)$alkyl, aryl, aralkyl, and heterocyclic$(C_1\text{-}C_4)$alkyl;

and enantiomers, stereoisomers, and agronomically acceptable salts thereof.

2. The compound of claim 1 wherein R is selected from the group consisting of $(C_1\text{-}C_{12})$alkyl and halo$(C_1\text{-}C_{12})$alkyl, R_1 is selected from the group consisting of aryl and $C(R_6R_7R_8)$, and R_4 is selected from the group consisting of trihalomethylsubstitutedphenyl and halo-substituted phenyl.

3. The compound of claim 2 wherein R is $(C_1\text{-}C_4)$alkyl, R_1 is selected from the group consisting of phenyl, halo-substituted phenyl, and $C(R_6, R_7, R_8)$, and R_4 is selected from the group consisting of 2-chlorophenyl, 2-fluorophenyl, 2-trifluoromethylphenyl, 3-chlorophenyl, 3-fluorophenyl, 3-trifluoromethylphenyl, 4-chlorophenyl, 4-fluorophenyl, 4-trifluoromethylphenyl and 2,4-dichlorophenyl.

4. The compound of claim 2 wherein R is $(C_1\text{-}C_4)$alkyl, R_4 is selected from the group consisting of 2-chlorophenyl, 2-fluorophenyl, 2-trifluoromethylphenyl, 3-chlorophenyl, 3-fluorophenyl, 3-trifluoromethylphenyl, 4-chlorophenyl, 4-fluorophenyl, 4-trifluoromethylphenyl and 2,4-dichlorophenyl, and R_6, R_7 and R_8 are each independently selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$alkyl.

5. The compound of claim 3 wherein R is methyl, R_1 is selected from the group consisting of phenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, and R_4 is selected from the group consisting of 4-chlorophenyl, 4-fluorophenyl, 4-trifluoromethylphenyl and 2,4-dichlorophenyl.

6. The compound of claim 4 wherein R is methyl , R_4 is selected from the group consisting of 4-chlorophenyl, 4-fluorophenyl, 4-trifluoromethylphenyl and 2,4-dichlorophenyl, and R_6, R_7, and R_8 are hydrogen.

7. The compound of claim 5 wherein R_1 is selected from the group consisting of phenyl and 4-chlorophenyl, R_4 is selected from the group consisting of 4-chlorophenyl and 4-fluorophenyl.

8. The compound 5-(4-chlorophenyl)-2,3-dimethyl-3-(3-pyridyl)isoxazolidine.

9. A fungicidal composition for controlling phytopathogenic fungi which comprises an agronomically acceptable carrier and the compound of claim 1 wherein the ratio of the carrier to the compound is between 99:1 and 1:4.

10. A method for controlling phytopathogenic fungi which comprises applying the compound of claim 1 to the locus where control is desired, at a rate of from 0.005 to 50 kilograms per hectare.

11. A compound, fungicidal composition and/or method for controlling fungi substantially as hereinbefore described with reference to the Examples and Tables.

DATED this 11th day of December, 2003

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