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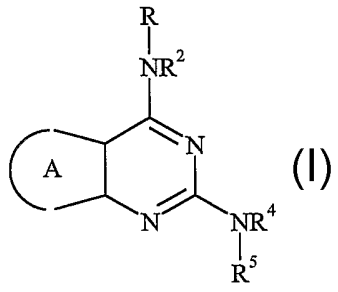
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(54) Title: INSECTICIDAL 2,4-DIAMINOQUINAZOLINES AND RELATED DERIVATIVES



(I)

(57) **Abstract:** Certain novel 2,4-diaminoquinazolines, related derivatives and agriculturally acceptable salts thereof have provided unexpected pesticidal activity. These compounds are represented by formula (I): wherein R, R^2, R^4, R^5 and A are fully described herein. In addition, compositions comprising an insecticidally effective amount of at least one compound of formula (I), and optionally, an effective amount of at least one of a second compound are also disclosed; along with methods of controlling insects comprising applying said compositions to a locus where insects are present or are expected to be present.

WO 2006/105056 A2

INSECTICIDAL 2,4-DIAMINOQUINAZOLINES AND RELATED DERIVATIVES

This application claims the benefit of U.S. Provisional Application No. 60/665,701, filed March 28, 2005.

FIELD OF THE INVENTION

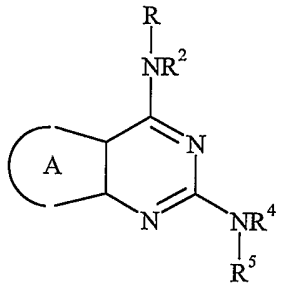
The present invention generally relates to pesticidal compounds and their use in controlling insects and acarids. In particular, it pertains to compositions of insecticidal 2,4-diaminoquinazolines, related derivatives and agriculturally acceptable salts thereof, and methods for their use in controlling insects and acarids.

BACKGROUND OF THE INVENTION

It is well known that insects in general can cause significant damage, not only to crops grown in agriculture, but also, for example, to structures and turf where the damage is caused by soil-borne insects, such as termites and white grubs. Such damage may result in the loss of millions of dollars of value associated with a given crop, turf or structures. Thus, there is a continuing demand for new insecticides, and for new acaricides that are safer, more effective, and less costly. Insecticides and acaricides are useful for controlling insects and acarids which may otherwise cause significant damage to crops such as wheat, corn, soybeans, potatoes, and cotton to name a few. For crop protection, insecticides and acaricides are desired which can control the insects and acarids without damaging the crops, and which have no deleterious effects to mammals and other living organisms.

SUMMARY OF THE INVENTION

In accordance with the present invention, it has now been found that certain novel 2,4-diaminoquinazolines and related derivatives of formula I are surprisingly active in the control of insects and acarids when used in the insecticidal and acaricidal compositions and methods of this invention. The compounds of formula I are represented by the following general formula:



I

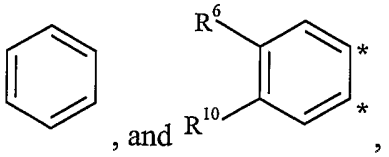
wherein:

R is selected from the group consisting of hydrogen, haloalkyl, alkoxyalkyl, alkphenylalkyl, alkoxycarbonylalkyl, alkthioalkyl, alkoxycarbonylaminoalkyl, phenoxycarbonylaminoalkyl, phenylcarbonylalkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl and optionally substituted cycloalkalkyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, aryl, alkamino, dialkylamino, alkenylalkyl, alkynylalkyl, carbonylaryl, phenylalkyl, alkoxycarbonyl, haloalkcarbonyl, alkoxyalkyl, 3-pyridylcarbonyl, heterocyclyl, phenoxythionyl, phenylaminocarbonyl, phenylalkcarbonyl, phenylaminothioalkyl, phenylalkcarbonyl, halophenylalkcarbonyl, phenylalkoxycarbonyl, cycloalkcarbonyl, alkaminocarbonyl, cycloalkcarbonyl, phenylaminocarbonyl, phenylalkcarbonyl, optionally substituted aryl, optionally substituted phenylcarbonyl, optionally substituted phenylalkoxycarbonyl and optionally substituted phenylaminocarbonyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, alkoxy, alkaminothionyl, haloalkyl, haloalkoxy and SF_5;

A is selected from the group consisting of optionally substituted cycloalkyl, optionally substituted thiopheneyl,

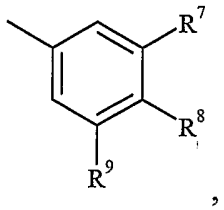


wherein the optional substitutents, R^6 and R^{10} are independently selected from the group consisting of halogen, alkyl, alkoxy and cyano, and

wherein * denotes a point of attachment;

R^2 and R^4 are independently selected from hydrogen or alkylcarbonyl;

R^5 is selected from the group consisting of optionally substituted aryloxy, optionally substituted cycloalkyl and



wherein the optional substituents are independently selected from the group consisting of halogen, alkyl, alkoxy, haloalkyl, haloalkoxy and SF_5, and

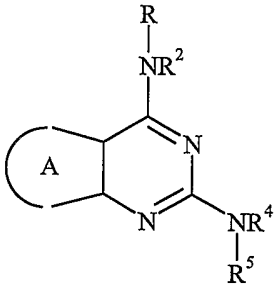
wherein R^7, R^8 and R^9 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl, haloalkoxy, SF_5 and either of R^7 and R^8, or R^8 and R^9 taken together with $-OCH_2O-$, $-OC(CH_3)_2CH_2-$ or $-OC(CH_3)_2O-$, forming a benzo-fused ring;

and the corresponding agriculturally acceptably salts thereof.

The present invention is also directed to methods of controlling insects, where control is desired, which comprise applying an insecticidally effective amount of the above composition to the locus of crops, or other areas where insects are present or are expected to be present.

DETAILED DESCRIPTION OF THE INVENTION

One aspect of the present invention relates to certain new and useful compounds, namely novel 2,4-diaminoquinazolines and related derivatives (hereinafter termed "compounds of formula **I**") as depicted in formula I:



I

wherein:

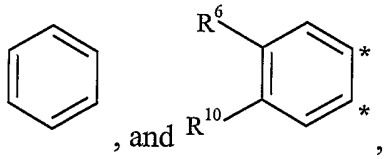
R is selected from the group consisting of hydrogen, haloalkyl, alkoxyalkyl, alkphenylalkyl, alkoxycarbonylalkyl, alkthioalkyl, alkoxycarbonylaminoalkyl, phenoxycarbonylaminoalkyl, phenylcarbonylalkyl, optionally substituted cycloalkyl,

optionally substituted heterocyclyl, optionally substituted aryl and optionally substituted cycloalkalkyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, aryl, alkamino, dialkylamino, alkenylalkyl, alkynylalkyl, carbonylaryl, phenylalkyl, alkoxycarbonyl, haloalkcarbonyl, alkoxyalkyl, 3-pyridylcarbonyl, heterocyclyl, phenoxythionyl, phenylaminocarbonyl, phenylalkcarbonyl, phenylaminothioalkyl, phenylalkcarbonyl, halophenylalkcarbonyl, phenylalkoxycarbonyl, cycloalkcarbonyl, alkaminocarbonyl, cycloalkcarbonyl, phenylaminocarbonyl, phenylalkcarbonyl, optionally substituted aryl, optionally substituted phenylcarbonyl, optionally substituted phenylalkoxycarbonyl and optionally substituted phenylaminocarbonyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, alkoxy, alkaminothionyl, haloalkyl, haloalkoxy and SF_5;

A is selected from the group consisting of optionally substituted cycloalkyl, optionally substituted thiopheneyl,



, and

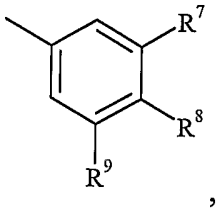
,

wherein the optional substitutents, R^6 and R^{10} are independently selected from the group consisting of halogen, alkyl, alkoxy and cyano, and

wherein * denotes a point of attachment;

R^2 and R^4 are independently selected from hydrogen or alkylcarbonyl;

R^5 is selected from the group consisting of optionally substituted aryloxy, optionally substituted cycloalkyl and



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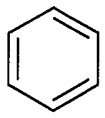
wherein the optional substituents are independently selected from the group consisting of halogen, alkyl, alkoxy, haloalkyl, haloalkoxy and SF_5, and

wherein R^7, R^8 and R^9 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl, haloalkoxy, SF_5 and either of R^7 and

R^8, or R^8 and R^9 taken together with $-OCH_2O-$, $-OC(CH_3)_2CH_2-$ or $-OC(CH_3)_2O-$, forming a benzo-fused ring;

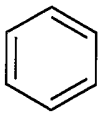
and the corresponding agriculturally acceptably salts thereof.

Preferred species of the present invention are those compounds of formula I where R^2 is hydrogen and A is



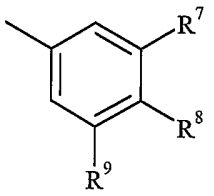
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More preferred species of the present invention are those compounds of formula I where R^2 is hydrogen, A is



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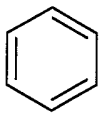
R^4 is hydrogen and R^5 is



,

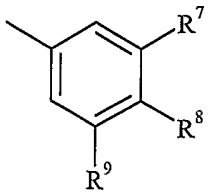
wherein R^7, R^8 and R^9 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl, haloalkoxy, SF_5 and either of R^7 and R^8, or R^8 and R^9 taken together with $-OCH_2O-$, $-OC(CH_3)_2CH_2-$ or $-OC(CH_3)_2O-$, forming a benzo-fused ring.

Even more preferred species of the present invention are those compounds of formula I where R^2 is hydrogen, A is



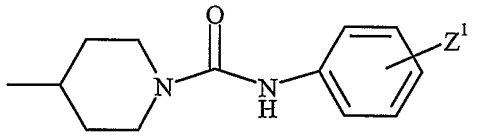
,

R^4 is hydrogen and R^5 is



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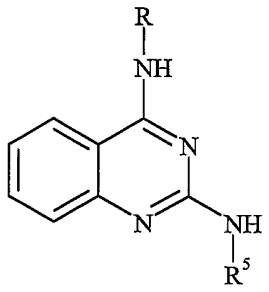
wherein R^8 is hydrogen, R^7 and R^9 are independently selected from the group consisting of halogen, haloalkyl and haloalkoxy, and R is



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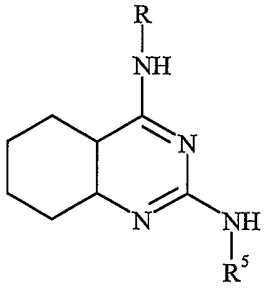
wherein Z^1 is selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl and haloalkoxy; more particularly wherein R^7, R^9 and Z^1 are halogen.

Other preferred compounds of the present invention include compounds of formula I-A:



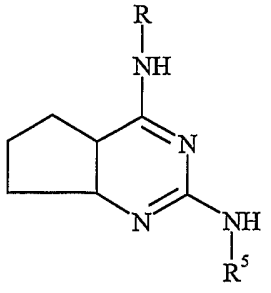
I – A,

formula I-B:



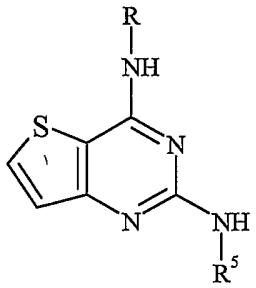
I-B,

formula I-C:



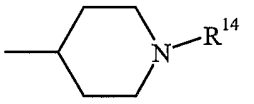
I-C,

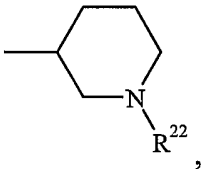
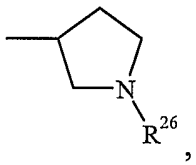
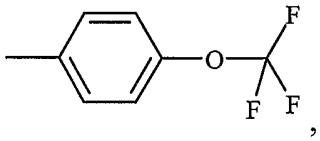
and formula I-D:

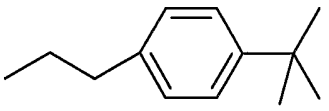
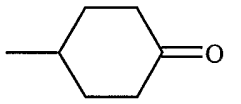


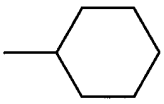
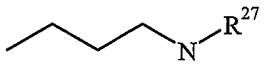
I-D,

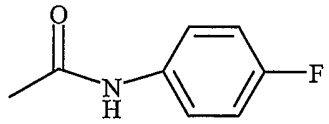
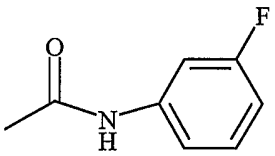
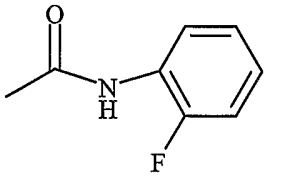
wherein

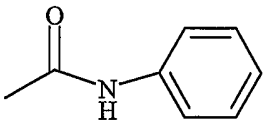
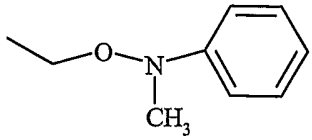
R is selected from the group consisting of ,

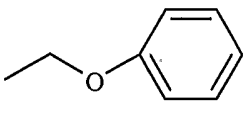
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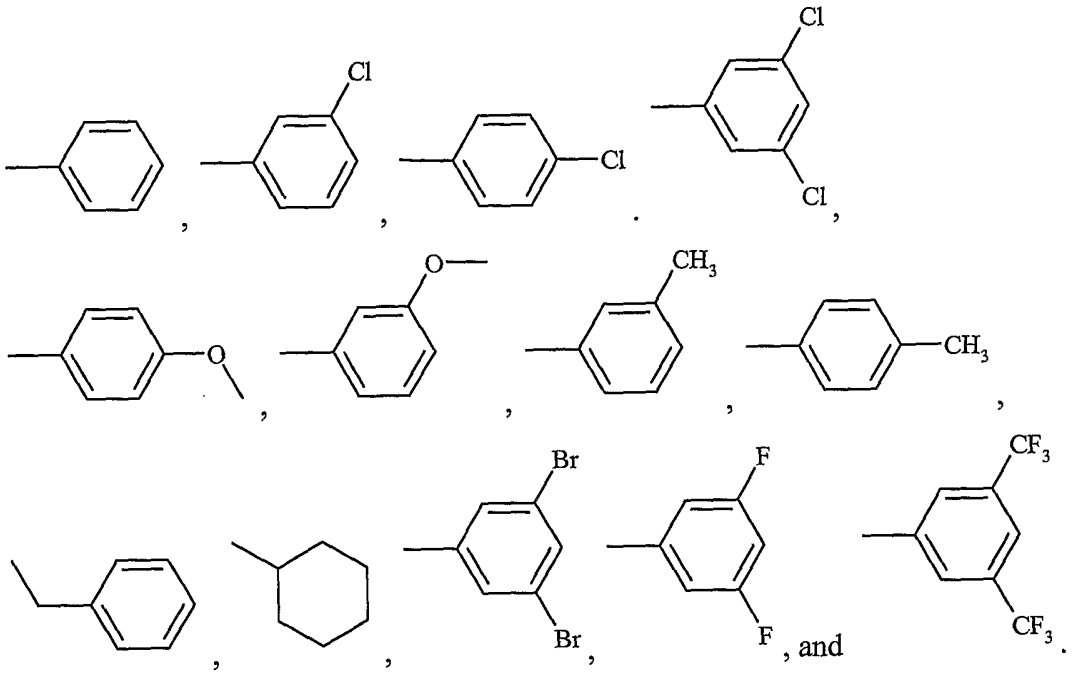
, SO_2CF_3, and ;

wherein R^{14}, R^{22}, R^{26} and R^{27} are independently selected from the group consisting of , , ,

, ,

, and $COCH_2CH_2CF_3$;

and R^5 is selected from the group consisting of



In addition, in certain cases the compounds of the present invention may possess asymmetric centers, which can give rise to optical enantiomorphs and diastereomers. The compounds may exist in two or more forms, i.e., polymorphs, which are significantly different in physical and chemical properties. The compounds of the present invention may also exist as tautomers, in which migration of a hydrogen atom within the molecule results in two or more structures, which are in equilibrium. The compounds of the present invention may also possess acidic or basic moieties, which may allow for the formation of agriculturally acceptable salts or agriculturally acceptable metal complexes.

This invention includes the use of such enantiomorphs, polymorphs, tautomers, salts and metal complexes. Agriculturally acceptable salts and metal complexes include, without limitation, for example, ammonium salts, the salts of organic and inorganic acids, such as hydrochloric acid, sulfonic acid, ethanesulfonic acid, trifluoroacetic acid, methylbenzenesulfonic acid, phosphoric acid, gluconic acid, pamoic acid, and other acid salts, and the alkali metal and alkaline earth metal complexes with, for example, sodium, potassium, lithium, magnesium, calcium, and other metals.

Another aspect of the present invention relates to compositions containing an insecticidally effective amount of at least one compound of formula **I** with an insecticidally compatible carrier therefor.

Another aspect of the present invention relates to compositions containing an insecticidally effective amount of at least one compound of formula **I**, and an effective amount of at least one second compound, with an insecticidally compatible carrier therefor.

Another aspect of the present invention relates to methods of controlling insects by applying an insecticidally effective amount of a composition set forth above to a locus of crops such as, without limitation, cereals, cotton, vegetables, and fruits, or other areas where insects are present or are expected to be present.

The present invention also includes the use of the compounds and compositions set forth herein for control of non-agricultural insect species, for example, dry wood termites and subterranean termites; as well as for use as pharmaceutical agents and compositions thereof.

One skilled in the art will, of course, recognize that the formulation and mode of application of a toxicant may affect the activity of the material in a given application. Thus, for agricultural use the present insecticidal compounds may be formulated as a granular of relatively large particle size (for example, 8/16 or 4/8 US Mesh), as water-soluble or water-dispersible granules, as powdery dusts, as wettable powders, as emulsifiable concentrates, as aqueous emulsions, as solutions, or as any of other known types of agriculturally-useful formulations, depending on the desired mode of application. It is to be understood that the amounts specified in this specification are intended to be approximate only, as if the word "about" were placed in front of the amounts specified.

These insecticidal compositions may be applied either as water-diluted sprays, or dusts, or granules to the areas in which suppression of insects is desired. These formulations may contain as little as 0.1%, 0.2% or 0.5% to as much as 95% or more by weight of active ingredient.

Dusts are free flowing admixtures of the active ingredient with finely divided solids such as talc, natural clays, kieselguhr, flours such as walnut shell and cottonseed flours, and other organic and inorganic solids which act as dispersants and carriers for the toxicant; these finely divided solids have an average particle size of less than about 50 microns. A typical dust formulation useful herein is one containing 1.0 part or less of the insecticidal compound and 99.0 parts of talc.

Wettable powders, also useful formulations for insecticides, are in the form of finely divided particles that disperse readily in water or other dispersant. The wettable powder is ultimately applied to the locus where insect control is needed either as a dry dust or as an emulsion in water or other liquid. Typical carriers for wettable powders include Fuller's earth, kaolin clays, silicas, and other highly absorbent, readily wet inorganic diluents. Wettable powders normally are prepared to contain about 5-80% of active ingredient, depending on the absorbency of the carrier, and usually also contain a small amount of a wetting, dispersing or emulsifying agent to facilitate dispersion. For example, a useful wettable powder formulation contains 80.0 parts of the insecticidal compound, 17.9 parts of Palmetto clay, and 1.0 part of sodium lignosulfonate and 0.3 part of sulfonated aliphatic polyester as wetting agents. Additional wetting agent and/or oil will frequently be added to a tank mix for to facilitate dispersion on the foliage of the plant.

Other useful formulations for insecticidal applications are emulsifiable concentrates (ECs) which are homogeneous liquid compositions dispersible in water or other dispersant, and may consist entirely of the insecticidal compound and a liquid or solid emulsifying agent, or may also contain a liquid carrier, such as xylene, heavy aromatic naphthas, isophorone, or other non-volatile organic solvents. For insecticidal application these concentrates are dispersed in water or other liquid carrier and normally applied as a spray to the area to be treated. The percentage by weight of the essential active ingredient may vary according to the manner in which the composition is to be applied, but in general comprises 0.5 to 95% of active ingredient by weight of the insecticidal composition.

Flowable formulations are similar to ECs, except that the active ingredient is suspended in a liquid carrier, generally water. Flowables, like ECs, may include a small amount of a surfactant, and will typically contain active ingredients in the range of 0.5 to 95%, frequently from 10 to 50%, by weight of the composition. For application, flowables may be diluted in water or other liquid vehicle, and are normally applied as a spray to the area to be treated.

Typical wetting, dispersing or emulsifying agents used in agricultural formulations include, but are not limited to, the alkyl and alkylaryl sulfonates and sulfates and their sodium salts; alkylaryl polyether alcohols; sulfated higher alcohols; polyethylene oxides; sulfonated animal and vegetable oils; sulfonated

petroleum oils; fatty acid esters of polyhydric alcohols and the ethylene oxide addition products of such esters; and the addition product of long-chain mercaptans and ethylene oxide. Many other types of useful surface-active agents are available in commerce. Surface-active agents, when used, normally comprise 1 to 15% by weight of the composition.

Other useful formulations include suspensions of the active ingredient in a relatively non-volatile solvent such as water, corn oil, kerosene, propylene glycol, or other suitable solvents.

Still other useful formulations for insecticidal applications include simple solutions of the active ingredient in a solvent in which it is completely soluble at the desired concentration, such as acetone, alkylated naphthalenes, xylene, or other organic solvents. Granular formulations, wherein the toxicant is carried on relative coarse particles, are of particular utility for aerial distribution or for penetration of cover crop canopy. Pressurized sprays, typically aerosols wherein the active ingredient is dispersed in finely divided form as a result of vaporization of a low-boiling dispersant solvent carrier may also be used. Water-soluble or water-dispersible granules are free flowing, non-dusty, and readily water-soluble or water-miscible. In use by the farmer on the field, the granular formulations, emulsifiable concentrates, flowable concentrates, aqueous emulsions, solutions, etc., may be diluted with water to give a concentration of active ingredient in the range of say 0.1% or 0.2% to 1.5% or 2%.

The active insecticidal compounds of this invention may be formulated and/or applied with one or more second compounds. Such combinations may provide certain advantages, such as, without limitation, exhibiting synergistic effects for greater control of insect pests, reducing rates of application of insecticide thereby minimizing any impact to the environment and to worker safety, controlling a broader spectrum of insect pests, safening of crop plants to phytotoxicity, and improving tolerance by non-pest species, such as mammals and fish.

Second compounds include, without limitation, other pesticides, plant growth regulators, fertilizers, soil conditioners, or other agricultural chemicals. In applying an active compound of this invention, whether formulated alone or with other agricultural chemicals, an effective amount and concentration of the active compound is of course employed; the amount may vary in the range of, e.g. about

0.001 to about 3 kg/ha, preferably about 0.03 to about 1 kg/ha. For field use, where there are losses of insecticide, higher application rates (e.g., four times the rates mentioned above) may be employed.

When the active insecticidal compounds of the present invention are used in combination with at least one additional compound, e.g., with other pesticides such as herbicides, the herbicides include, without limitation, for example: N-(phosphonomethyl)glycines such as glyphosate; aryloxyalkanoic acids such as 2,4-D, MCPA, and MCPP; ureas such as isoproturon; imidazolinones such as imazapyr, imazamethabenz, imazethapyr, and imazaquin; diphenyl ethers such as acifluorfen, bifenox, and fomasafen; hydroxybenzonitriles such as ioxynil and bromoxynil; sulfonylureas such as chlorimuron, achlorsulfuron, bensulfuron, pyrazosulfuron, thifensulfuron, and triasulfuron; 2-(4-aryloxyphenoxy)alkanoic acids such as fenoxaprop, fluazifop, quizalofop, and diclofop; benzothiadiazinones such as bentazone; 2-chloroacetanilides such as butachlor, metolachlor, acetochlor, and dimethenamide; arenecarboxylic acids such as dicamba; pyridyloxyacetic acids such as fluroxypyr, aryl triazolinones such as sulfentrazone and carfentrazone-ethyl; isoxazolidinones such as clomazone; and other herbicides.

When the active insecticidal compounds of the present invention are used in combination with at least one additional compound, e.g., with other pesticides such as other insecticides, the other insecticides include, for example: organophosphate insecticides, such as chlorpyrifos, diazinon, dimethoate, malathion, parathion-methyl, and terbufos; pyrethroid insecticides, such as fenvalerate, deltamethrin, fenpropathrin, cyfluthrin, flucythrinate, permethrin, *alpha*-cypermethrin, *beta*-cypermethrin, *zeta*-cypermethrin, bifenthrin, cypermethrin, resolved cyhalothrin, etofenprox, esfenvalerate, tralomethrin, tefluthrin, cycloprothrin, betacyfluthrin, and acrinathrin; carbamate insecticides, such as aldecarb, carbaryl, carbofuran, and methomyl; organochlorine insecticides, such as endosulfan, endrin, heptachlor, and lindane; benzoylurea insecticides, such as diflubenuron, triflumuron, teflubenzuron, chlorfluazuron, flucycloxuron, hexaflumuron, flufenoxuron, and lufenuron; and other insecticides, such as amitraz, clofentezine, fenpyroximate, hexythiazox, spinosad, and imidacloprid.

When the active insecticidal compounds of the present invention are used in combination with one or more of second compounds, e.g., with other pesticides such

as fungicides, the fungicides include, for example: benzimidazole fungicides, such as benomyl, carbendazim, thiabendazole, and thiophanate-methyl; 1,2,4-triazole fungicides, such as epoxyconazole, cyproconazole, flusilazole, flutriafol, propiconazole, tebuconazole, triadimefon, and triadimenol; substituted anilide fungicides, such as metalaxyl, oxadixyl, procymidone, and vinclozolin; organophosphorus fungicides, such as fosetyl, iprobenfos, pyrazophos, edifenphos, and tolclofos-methyl; morpholine fungicides, such as fenpropimorph, tridemorph, and dodemorph; other systemic fungicides, such as fenarimol, imazalil, prochloraz, tricyclazole, and triforine; dithiocarbamate fungicides, such as mancozeb, maneb, propineb, zineb, and ziram; non-systemic fungicides, such as chlorothalonil, dichlofluanid, dithianon, and iprodione, captan, dinocap, dodine, fluazinam, gluazatine, PCNB, pencycuron, quintozene, tricylamide, and validamycin; inorganic fungicides, such as copper and sulphur products, and other fungicides.

When the active insecticidal compounds of the present invention are used in combination with one or more of second compounds, e.g., with other pesticides such as nematicides, the nematicides include, for example: carbofuran, carbosulfan, turbufos, aldecarb, ethoprop, fenamphos, oxamyl, isazofos, cadusafos, and other nematicides.

When the active insecticidal compounds of the present invention are used in combination with one or more of second compounds, e.g., with other materials such as plant growth regulators, the plant growth regulators include, for example: maleic hydrazide, chlormequat, ethephon, gibberellin, mepiquat, thidiazon, inabenfide, triaphenthenol, paclobutrazol, unaconazol, DCPA, prohexadione, trinexapac-ethyl, and other plant growth regulators.

Soil conditioners are materials which, when added to the soil, promote a variety of benefits for the efficacious growth of plants. Soil conditioners are used to reduce soil compaction, promote and increase effectiveness of drainage, improve soil permeability, promote optimum plant nutrient content in the soil, and promote better pesticide and fertilizer incorporation. When the active insecticidal compounds of the present invention are used in combination with one or more of second compounds, e.g., with other materials such as soil conditioners, the soil conditioners include organic matter, such as humus, which promotes retention of cation plant nutrients in the soil; mixtures of cation nutrients, such as calcium, magnesium,

potash, sodium, and hydrogen complexes; or microorganism compositions which promote conditions in the soil favorable to plant growth. Such microorganism compositions include, for example, *bacillus, pseudomonas, azotobacter, azospirillum, rhizobium*, and soil-borne *cyanobacteria.*

Fertilizers are plant food supplements, which commonly contain nitrogen, phosphorus, and potassium. When the active insecticidal compounds of the present invention are used in combination with one or more of second compounds, e.g., with other materials such as fertilizers, the fertilizers include nitrogen fertilizers, such as ammonium sulfate, ammonium nitrate, and bone meal; phosphate fertilizers, such as superphosphate, triple superphosphate, ammonium sulfate, and diammonium sulfate; and potassium fertilizers, such as muriate of potash, potassium sulfate, and potassium nitrate, and other fertilizers.

As used in this specification and unless otherwise indicated the substituent terms "alkyl" and "alkoxy", used alone or as part of a larger moiety, includes straight or branched chains of at least one or two carbon atoms, as appropriate to the substituent, and preferably up to 12 carbon atoms, more preferably up to ten carbon atoms, most preferably up to seven carbon atoms. The terms "haloalkyl" and "haloalkoxy", alone or as part of a larger moiety, include straight or branched chain alkyls of 1 to 14 carbon atoms, preferably lower straight or branched chain alkyls of 1 to 6 carbon atoms, wherein one or more hydrogen atoms have been replaced with halogen atoms, as, for example, trifluoromethyl or 2,2,2-trifluoroethoxy, respectively. The term "alkenyl" and "alkynyl" used alone or as part of a larger moiety, includes straight or branched chains of at least two carbon atoms containing at least one carbon-carbon double bond or triple bond, and preferably up to 12 carbon atoms, more preferably up to ten carbon atoms, most preferably up to seven carbon atoms. The term "heterocyclic" refers to a non-aromatic ring structure of four to eight atoms consisting of carbon and nitrogen, and may include oxygen or sulfur. Five member rings include, without limitation, for example, pyrrolidine. Six member rings include, without limitation, for example, piperazine, piperidine, morpholine and thiomorpholine. The term "aryl" refers to an aromatic ring structure, including fused rings, having four to ten carbon atoms, for example, phenyl or naphthyl. The terms "heteroaryl" and "heterocyclyl" refer to an aromatic ring structure, including fused rings, in which at least one of the atoms is other than

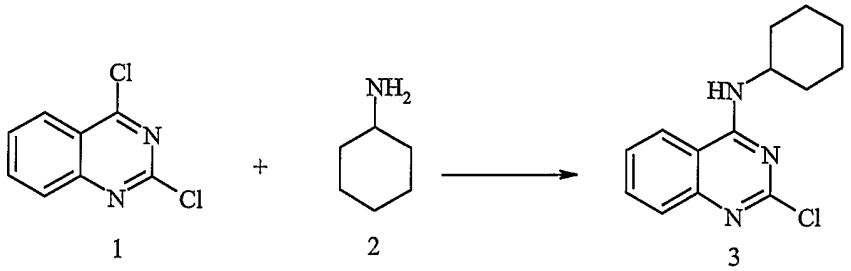
carbon, for example, without limitation, sulfur, oxygen, or nitrogen. The term "polycyclyl" refers to a non-aromatic fused ring structure. "Amino" refers to compounds of nitrogen that may be considered derived from ammonia and includes primary, secondary and tertiary amines wherein one or more of the hydrogen atoms is replaced with alkyl groups. The term "GC analysis" refers to gas chromatographic analysis of, for example, a chemical reaction mixture. The term "DMF" refers to N,N-dimethylformamide. The term "THF" refers to tetrahydrofuran. The term "TEA" refers to triethylamine. The term "halogen" or "halo" refers to fluorine, bromine, iodine, or chlorine. The term "ambient temperature" or "room temperature" often abbreviated as "RT", for example, in reference to a chemical reaction mixture temperature, refers to a temperature in the range of 20 °C to 30 °C. The term "insecticidal" or "acaricidal", "insecticide" or "acaricide" refers to a compound of the present invention, either alone or in admixture with at least one of a second compound, or with at least one compatible carrier, which causes the destruction or the inhibition of action of insects or acarids.

The following examples further illustrate the present invention, but, of course, should not be construed as in any way limiting its scope. The examples are organized to present protocols for the synthesis of the compounds of formula **I** of the present invention, set forth a list of such synthesized species, and set forth certain biological data indicating the efficacy of such compounds.

The 2,4-diaminoquinazolines and related derivatives of formula **I** can be synthesized by methods that are individually known to one skilled in the art from intermediate compounds readily available in commerce, for example, as set forth in Example 1 and Example 2 below.

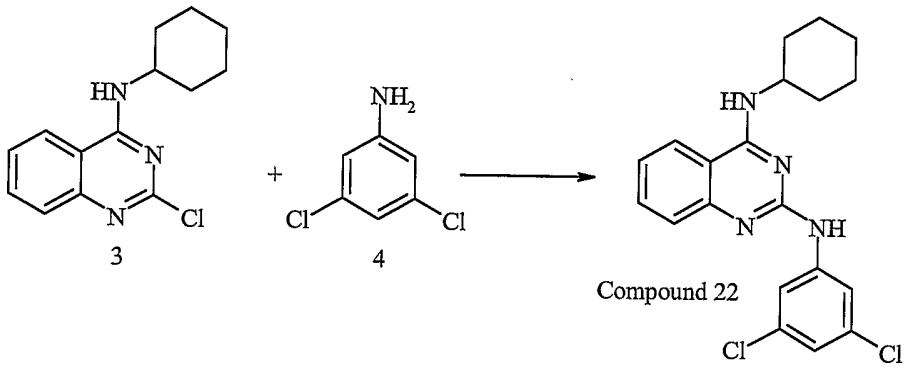
Example 1

Synthesis of (3,5-dichlorophenyl)[4-(cyclohexylamino)quinazolin-2-yl]amine (Compound 22)



1 2 3

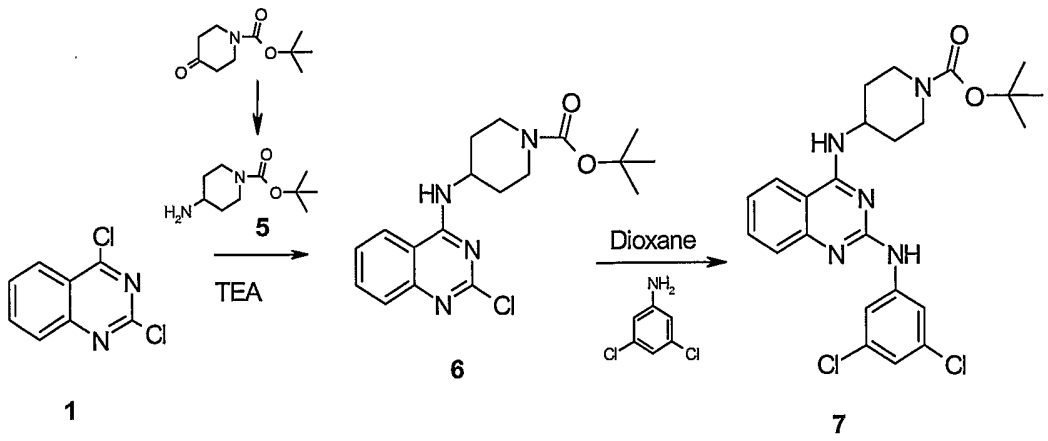
Cyclohexylamine **2** (5.7 mL, 50 mmol) was added to dichloroquinazoline **1** (5.0 g, 25 mmol) dissolved in 100 mL of tetrahydrofuran at 0 °C. The mixture was stirred at ambient temperature under a nitrogen atmosphere for about 18 hours. The resulting solids were filtered off and washed with ethyl ether. The filtrate was evaporated to give 7.5 g of quinazoline **3** in tetrahydrofuran. This intermediate **3** was used in the next reaction without further purification.



Intermediate **3** and dichloroaniline **4** (12.2 g, 75.3 mmol) were mixed without additional solvent, and heated at 100 °C for 3 hours. The resulting black solid was cooled and then taken up in 150 mL dichloromethane. The solids were filtered off and washed with more dichloromethane. The solids were then dissolved in ethyl acetate and washed with aqueous sodium bicarbonate and brine. The organic layer was dried with magnesium sulfate and concentrated under reduced pressure to give **Compound 22** and an excess of aniline **4**. **Compound 22** was purified by column chromatography on silica gel. Elution was accomplished with 1 L of dichloromethane followed by 2 L of 10% ethyl acetate in dichloromethane. The appropriate fractions were combined and concentrated under reduced pressure to give 10.0 g of residue, which was **Compound 22** and about 20 percent by weight of ethyl acetate. The ethyl acetate was removed by drying in a vacuum oven. The NMR spectrum was consistent with the proposed structure.

Example 2

Synthesis of Compound 191

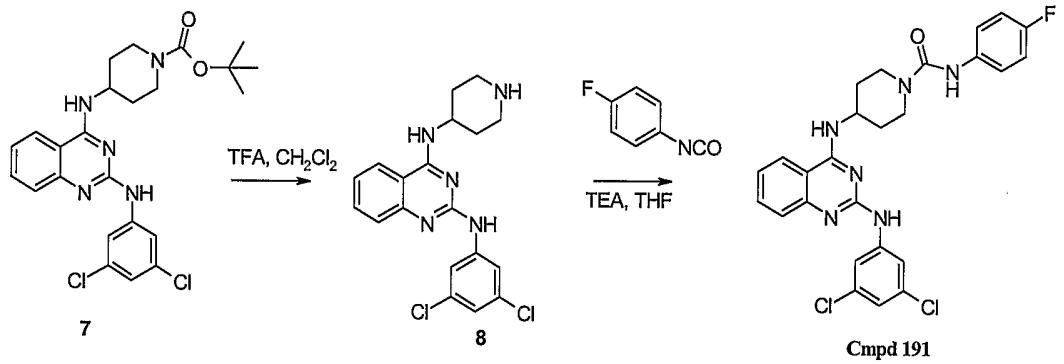


A solution of 5.8 g (0.31 mol) of N-t-Boc-piperidone in 80 ml of ethanol was cooled to 0°C on an ice bath. To this was added 5.2 ml (0.04 mol) of TEA then 2.6 g (0.37 mol) of solid hydroxylamine HCl. The mixture was warmed to room temperature and then heated to reflux temperature for 6 h. The solvent was removed and the solid was partitioned between saturated aqueous ammonium chloride and ethyl acetate (100 ml each). The aqueous layer was extracted with a second portion of ethyl acetate (100 ml) and the combined extract was dried over sodium sulfate. The solvent was removed at reduced pressure to afford 6.0 g of a white solid. The solid was dissolved in 60 ml of methanol and then hydrogenated over 5% Rhodium on Alumina (0.6 g) at 50°C. After the uptake of hydrogen ceased, the catalyst was filtered and the solvent removed to afford 5.5 g (98%) of reactant **5**. The NMR spectrum was consistent with the proposed structure.

A solution of 5.9 g (0.0296 mol) of dichloroquinazoline **1** in 150 ml of THF was stirred at 0-5°C (ice bath) and then 6.5 g (0.032 mol) of reactant **5** was added followed by 8.2 ml (0.592 mol) of TEA and 5 mg of DMAP (catalyst). The mixture was warmed to room temperature and stirred for 20h. The mixture was poured onto a column of 200 ml silica gel and the product eluted with ethyl acetate (500 ml). The residue obtained after evaporation of the solvent was triturated in 25 ml dichloromethane/50 ml hexane while cooling on an ice bath. The solid was filtered and dried to afford 7.9 g (74%) of compound **6.** The NMR spectrum was consistent with the proposed structure.

A mixture of 7.9 g (0.022 mol) of intermediate **6** and 8.9 g (0.055 mol) of 3,5-dichloroaniline in 20 ml of 1,4-dioxane was heated to a pot temperature of 120°C for 10 h and then cooled to room temperature. The solid was stirred

vigorously with 200 ml of diethyl ether for 2 h and then filtered. The solid was washed with an additional 200 ml of diethyl ether. The solid was added to 500 ml of 5% aqueous potassium carbonate with stirring and then extracted with 400 ml of ethyl acetate. The organic layer was dried over sodium sulfate, filtered, and then passed through a small bed of silica gel eluding with ethyl acetate to remove base line material. The solvent was concentrated at reduced pressure to afford 10.4 g (97%) of intermediate **7**. The NMR spectrum was consistent with the proposed structure.



7 8 Cmpd 191

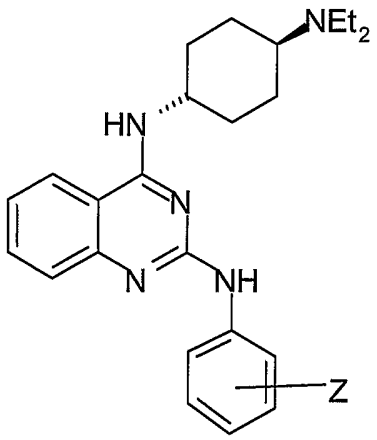
A mixture of 9.0 g (0.018 mol) of intermediate **7** and 50 ml of dichloromethane was stirred while cooling in an ice bath. The slurry was treated dropwise with 9 ml of trifluoroacetic acid and then allowed to warm to room temperature. After stirring for 20 h, the solvents were removed and the residue was dissolved in a minimum of dichloromethane. The dichloromethane solution was added dropwise to 500 ml of cold 5% potassium carbonate solution with stirring. The resulting solid was filtered and dried to afford 6.4 g (92%) of intermediate **8**. The NMR spectrum was consistent with the proposed structure.

A solution of 0.35 g (0.0009 mol) of intermediate **8** in 20 ml of THF was treated with 0.5 ml (excess) TEA. The mixture was cooled briefly on a dry ice-acetone bath while 0.1 ml (0.0009 mol) of 4-fluorophenylisocyanate was added. The mixture was allowed to warm to room temperature and then stirred for 1 h. The reaction mixture was poured onto a column of 50 ml of silica gel and eluted with 150 ml of ethyl acetate. The solvent was removed and the residue was triturated with 20 mls of 20% dichloromethane in hexane. The solid was filtered and dried to afford 0.47 g (100%) of **Compound 191**. The NMR spectrum was consistent with the proposed structure.

It is well known to one of ordinary skill in the art that compounds like the compounds of formula I of the present invention can contain optically active and racemic forms. It is also well known in the art that compounds like the compounds of formula I may contain stereoisomeric forms, tautomeric forms and/or exhibit polymorphism. It is to be understood that the present invention encompasses any racemic, optically active, polymorphic, tautomeric, or stereoisomeric form, or mixtures thereof. It should be noted that it is well known in the art how to prepare optically active forms, for example by resolution of a racemic mixture, or by synthesis from optically active intermediates.

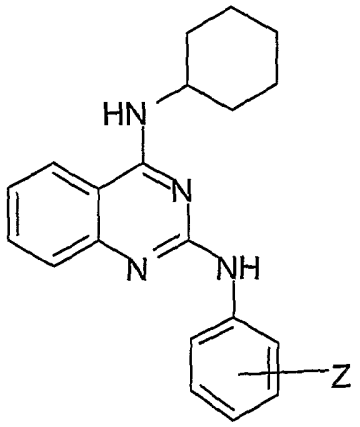
The following table sets forth some additional examples of compounds of formula I useful in the present invention:

Table 1



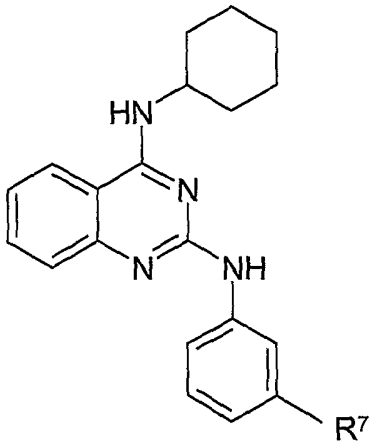
I-E

Compound Number	Z
1	H
2	2-Cl
3	3-Cl
4	4-Cl
5	3,5-Cl_2
6	2-Me
7	3-Me
8	4-Me
10	3-OMe
11	4-OMe



I-F

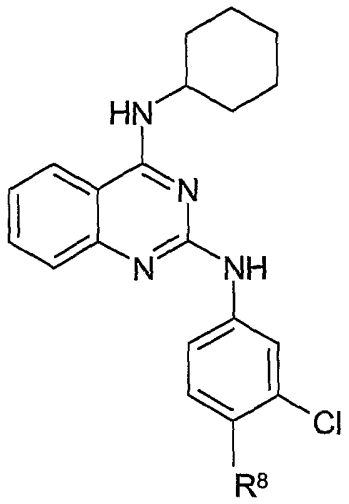
Compound Number	Z	Compound Number	Z
12	H	23	3,5-F_2
14	3-Cl	24	3,4-F_2
15	4-Cl	25	3,5-Me_2
16	2,3-Cl_2	26	3,4-Me_2
19	3,4-Cl_2	27	3,5-OMe_2
20	2,6-Cl_2	28	3,4-OMe_2
21	2,4,6-Cl_3	29	4-F
22	3,5-Cl_2	30	3-F
		31	2,3,4,5,6-F_5
		32	3,4,5-Cl_3
		33	3-Cl, 4-F



I-G

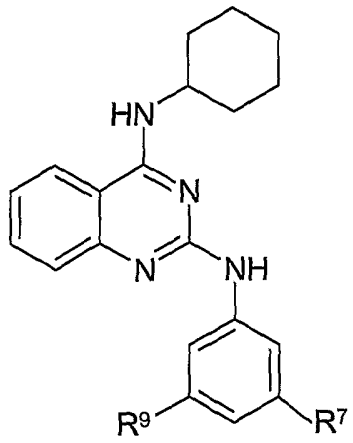
Compound Number	R^7	Compound Number	R^7
34	t-Bu	43	NHCONHEt
35	Me	44	OEt
36	CO_2 t-Bu	45	OCF_3
38	H	46	OSO_2CH_3
39	CF_3	47	Cl
40	NH_2	48	Br

Compound Number	R^7	Compound Number	R^7
42	NO_2	49	i-Pr
		50	CO_2Me



I-H

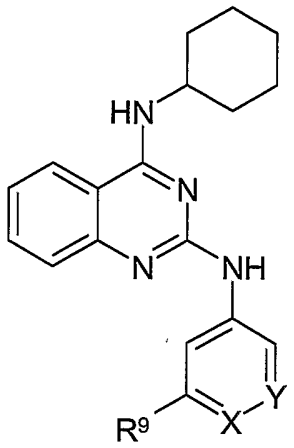
Compound Number	R^8	Compound Number	R^8
51	H	56	Me
52	Cl	57	Br
53	F	58	I
54	MeO	59	SCF_3
55	CN		



I-J

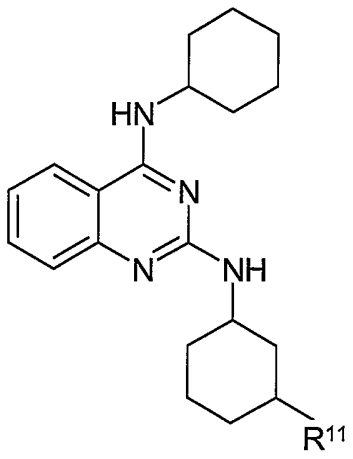
Compound Number	R^9	R^7

61	F	I
62	F	CF3
63	CF3	Br
64	Cl	F
65	Cl	Cl



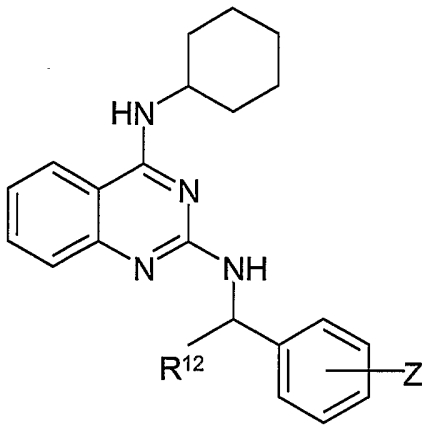
I-K

Compound No.	X	Y	R^9
66	C-H	N	H
67	C-H	N	Cl
68	N	C-H	H
69	N	C-Cl	Cl
70	C-Cl	N	H



I-L

Compound No.	R^{11}
71	H
72	Me



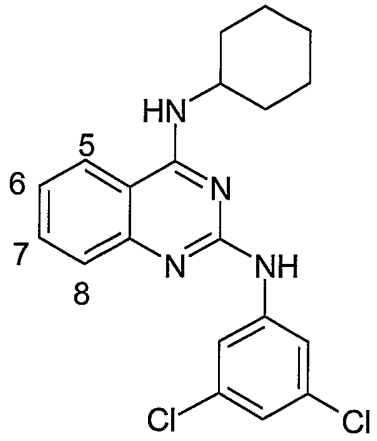
I-M

Compounds 73-94 are HCl salts

Compound No.	Z	R^{12}	Compound No.	Z	R^{12}
73	H	H	84	4-Cl	H
74	2-F	H	92	2-CF3	H
79	2,4-F_2	H	93	3-CF3	H
80	3,4-F_2	H			
82	H	Me			
83	2-Cl	H			

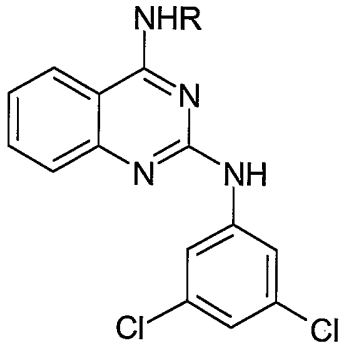
Compounds 95-118 are Free Bases

Compound No.	Z	R^{12}	Compound No.	Z	R^{12}
95	H	H	107	4-Cl	H
96	2-F	H	108	3,4-Cl_2	H
99	2,5-F_2	H	110	2-Me	H
100	2,6-F_2	H	111	3-Me	H
101	2,4-F_2	H	115	2-CF_3	H
102	3,4-F_2	H	116	3-CF_3	H
104	H	Me	117	4-CF_3	H
105	2-Cl	H	118	4-F	Me
106	3-Cl	H			



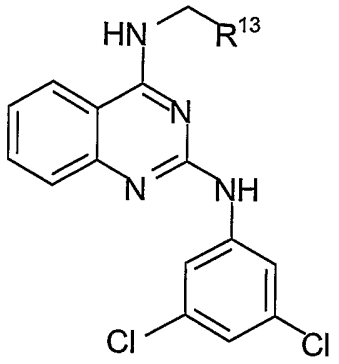
I-N

Compound No.	Position of Chlorine or Other Moiety
120	6
121	7
123	6,7-OMe



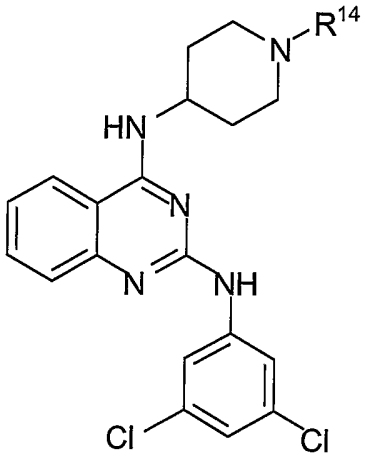
I-O

Compound No.	R
124	cyclohexyl
125	$CH(CH_3)CH_2CH_2CH_3$
126	$CH(C_2H_5)_2$
127	cyclopentyl
128	α-methylbenzyl
129	t-butyl
130	H
131	cycloheptyl
134	cyclohexanone ethylene ketal



I-P

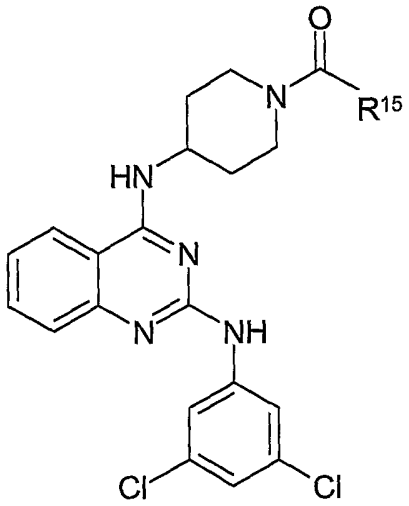
Compound No.	R^{13}
136	$C{=}O(C_6H_5)$
137	CF_2CF_3
138	$CH_2C_6H_5$
139	$CH_2CH(CH_3)_2$
140	$CH_2CH_2CO_2Et$
141	$CH_2SC_2H_5$
142	CH_2SCH_3
145	t-Bu
146	C_4H_9
147	Cyclohexyl
148	CH_2-cyclohexyl
149	CH_2OCH_3
151	CH_2NHCO_2-t-Bu
152	CH_2NHCO_2Ph



I-Q

Compound No.	R^{14}
153	C_6H_5
154	COC_6H_5

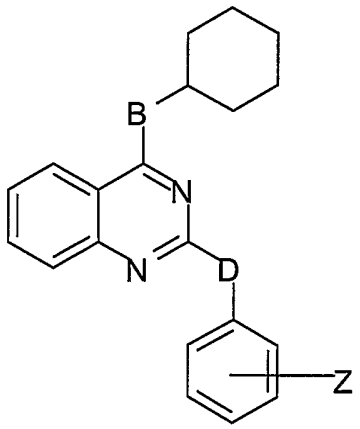
Compound No.	R^{14}
155	$CH_2C_6H_5$
156	CO_2-t-Bu
157	$CH_2CH_2OCH_3$
158	CH_3
159	$CO_2C_6H_5$
160	CO_2CH_3
161	$SO_2C_6H_5$
162	CO_2Et
163	H
164	CONHPh
165	$COCH_2Ph$
166	CO_2CH_2Ph
167	$COCH_2C_6H_4$-4-F
168	CSNHPh



I-R

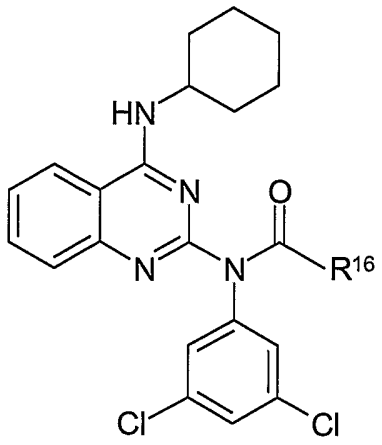
Compound No.	R^{15}
169	C_6H_4-p-SO_2NPr_2
170	C_6H_5
171	Cyclopropyl
172	NHC_4H_9
173	OC_6H_{13}
174	OC_6H_3-2-OMe-4-Cl
175	OC_6H_4-4-F
176	$OCH_2C_6H_5$
177	octyl
178	3-pyridyl
179	2,3-Cl_2-phenyl
180	C_2F_5
181	O-t-Bu
182	OPh
183	OMe
184	OEt
185	NHPh
186	CH_2Ph
187	CH_2-4F-Ph

Compound No.	R^{15}
188	OC_6H_4-4-Cl
189	OC_6H_4-4-OMe
190	OC_6H_4-4-Br
191	NHC_6H_4-4F
192	NHC_6H_4-4-OCF3
193	NHC_6H_4-4-Cl
194	NHC_6H_4-2-F
195	NHC_6H_4-3-F
196	NMe(Ph)



I-S

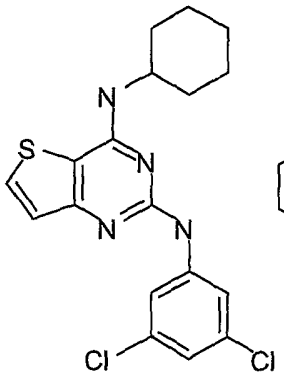
Compound No.	B	D	Z
198	NH	NMe	H
199	O	NH	3,5 Cl
200	S	NH	3,5 Cl
201	NMe	NH	3,5 Cl
202	NAc	NAc	3,5 Cl
203	NH	NAc	3,5 Cl



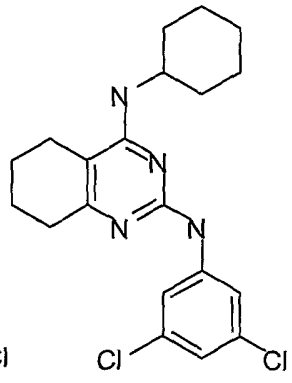
I-T

Compound No.	R^{16}
204	Et
205	Bu
208	CF_3
209	$EtO_2C(CH_2)_2$
210	$(CH_3)_2C=CH$
211	$CH_2=CH$
212	cycohexyl
213	Me
214	MeO
216	MeNH
217	PhNH
218	4-F-PhNH

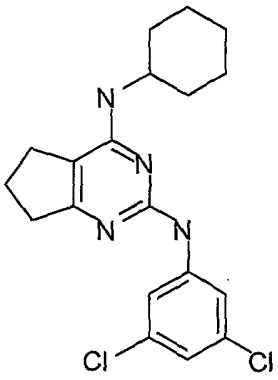
Quinazoline Replacements **I**; Cmpds 219-226 below:



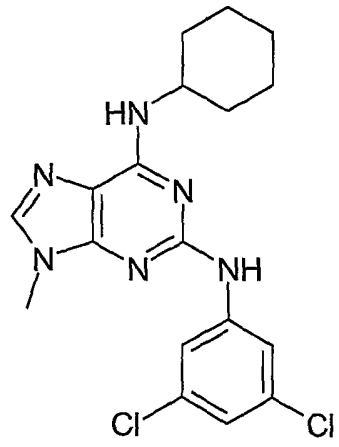
Cmpd 219



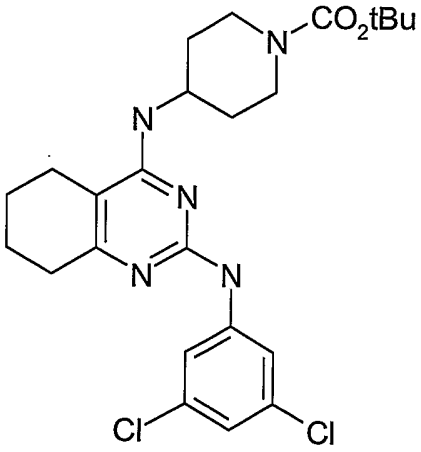
Cmpd 220



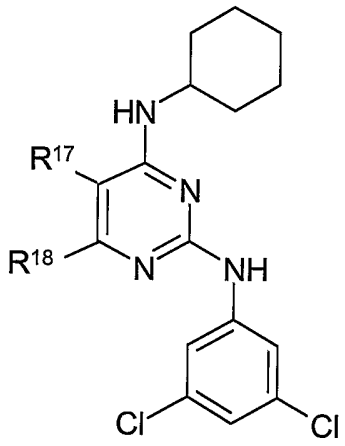
Cmpd 221



Cmpd 222

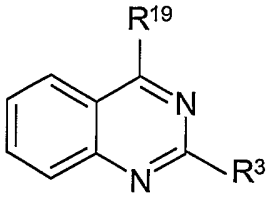


Cmpd 225

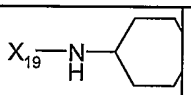
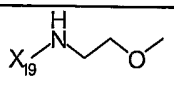
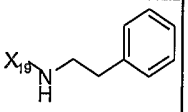
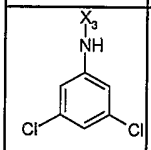
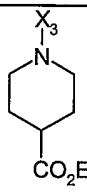


I-U

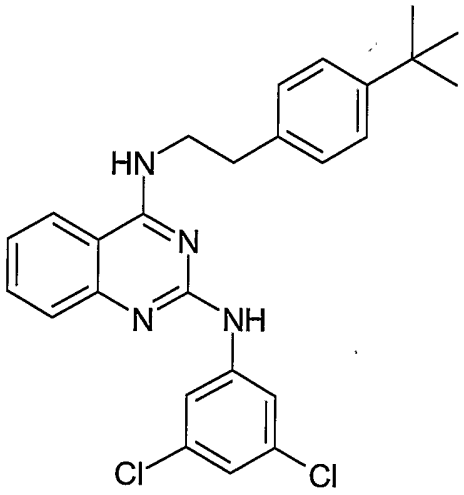
Compound No.	R^{17}	R^{18}
228	Me	H
229	H	Me
230	Me	Me
231	Cl	H
232	H	Cl
233	Cl	Cl
234	Me	Cl



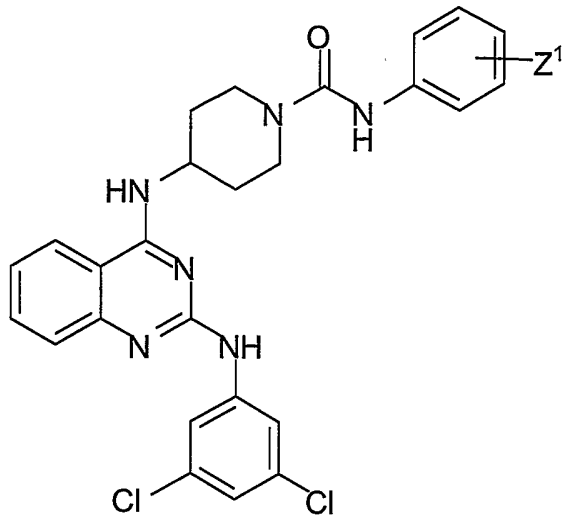
I-V

R19 R3			
	Cmpd 235	Cmpd 238	Cmpd 239
			Cmpd 244

Fenazaquin Hybrids **I**; (Compound 256 below)



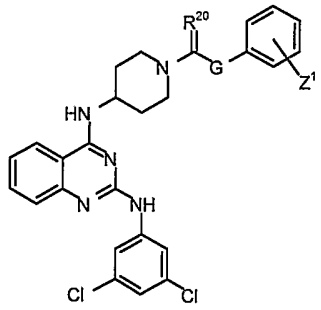
Cmpd No. 256



I-W

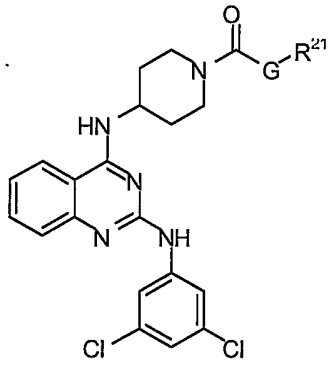
Compound No.	Z^1
257	H
258	2-F
259	3-F
260	4-F
261	2,4-F_2
262	2,5- F_2
263	2,6- F_2
264	2-Cl
265	3-Cl
266	4-Cl
267	2,3-Cl_2
268	2,4-Cl_2
269	2,5-Cl_2
270	2,6-Cl_2
271	3,4-Cl_2
272	3,5-Cl_2
273	2-Me
274	3-Me
275	4-Me
276	2-OMe
277	3-OMe
278	4-OMe
279	4-CF_3
280	3-Cl,4-F
281	4-C_3F_7
282	2-Cl, 4-F, 5-Me

5



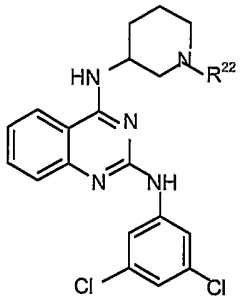
I-X

Compound No.	R^{20}	G	Z^1
283	O	NH	H
284	O	NCH_3	H
285	S	NH	H



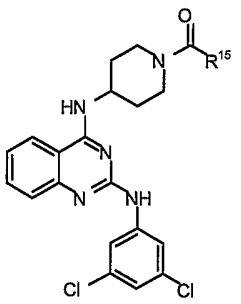
I-Y

Compound No.	G	R^{21}
286	O	$CH_2CH_2CH=CH_2$
287	O	cyclohexyl
288	O	$CH_2CH=CH_2$
289	O	$CH_2C\equiv CH$
290	NH	cyclohexyl
291	NH	i-Pr

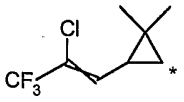


I-Z

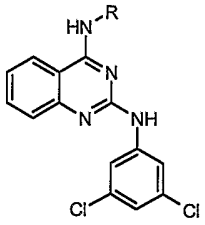
Compound No.	R^{22}
292	BOC
293	H
294	CONH-4-FPh
293	CONH-2-FPh
296	CONH-3-FPh
297	CONH-2,4-F_2Ph
298	CONH-2,5F_2Ph
299	CONH-2,6F_2Ph
300	CO_2Ph
301	CO_2-4-FPh
302	CO_2-4-ClPh
303	CO_2-4-MePh
304	CO_2-4-MeOPh



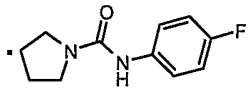
I-AA

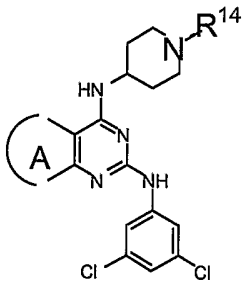
Compound No.	R^{15}
305	3-pyridyl
306	2,3-Cl_2-Ph
307	C_2F_5
308	$CH_2CH_2CF_3$
309	

Compound No.	R^{15}
310	CH_2SO_2Ph
311	CF_3
312	4-CF_3O-Ph
313	4-CF_3PhCH_2
314	3-CF_3Ph
315	4-OH-Ph
316	trans-CH=CHPh-4-Cl
317	4-pyridyl
318	3-pyridyl-N-oxide



I-BB

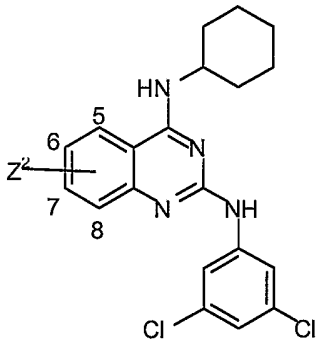
Compound No.	R
319	4-OCF_3-Ph
320	4-t-Bu-Ph-$(CH_2)_2$
321	4-cyclohexanone, ethylene ketal
322	4-cyclohexanone
324	PhNHCO
326	N-phenylpiperidinyl
327	4-CF_3O-phenylsulfonylpiperidinyl
328	CF_3SO_2-piperidinyl
329	$(CH_2)_3NHBOC$
330	
331	$(CH_2)_3NH_2$-TFA
332	$(CH_2)_3NHCONHPh$-4-F



I-CC

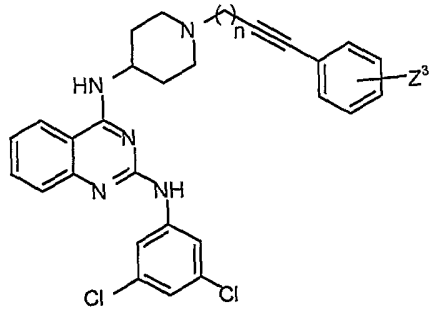
Compound No.	A	R^{14}

Compound No.	A	R^{14}
334	cyclohexane	H
335		CH_2Ph
337	cyclohexane	CO_2Ph
338	cyclohexane	CONHPh-4-F
339	cyclohexane	CONHPh-3-F
340	cyclohexane	CONHPh-4-CF3
342	cyclohexane	CONHPh-4-OCF_3



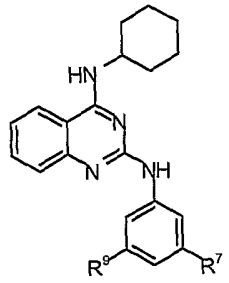
I-DD

Compound No.	Z^2
343	5-Me
344	6-Me
345	7-Me
347	5-F
348	6-F
349	7-F
350	8-F
351	perfluoro
352	H
354	6-Cl
355	7-Cl
357	6,7-MeO



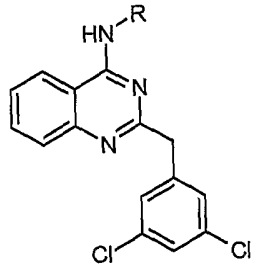
I-EE

Compound No.	n	Z^3
358	1	H
359	2	H



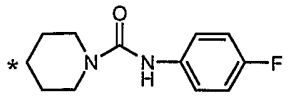
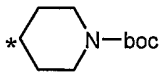
I-FF

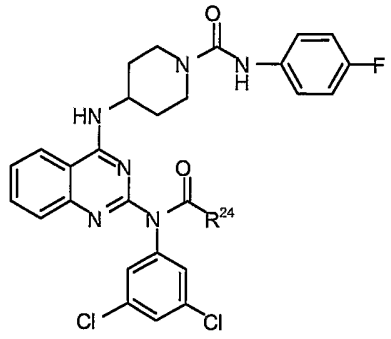
Compound No.	R^9	R^7
360	Cl	Cl
361	I	Br
362	Br	Br



I-HH

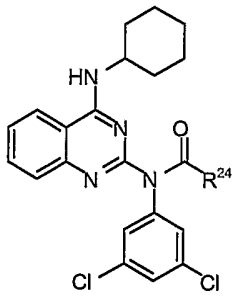
Compound No.	R

364	cyclohexyl
365	
366	



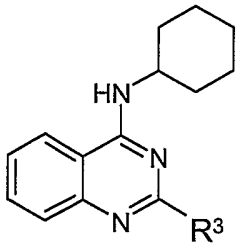
I-JJ

Compound No.	R^{24}
368	CF_3
369	CH_3
370	NHPh

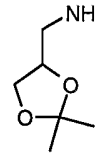
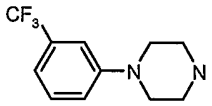


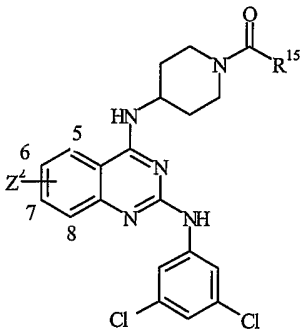
I-KK

Compound No.	R^{24}
371	CF_3
372	cyclohexyl
373	CH_3



I-MM

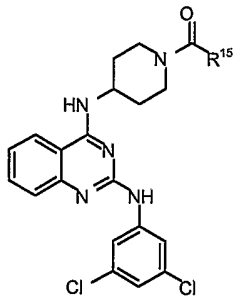
Compound No.	R^3
378	cyclohexyl-NH
379	3-Me-cyclohexyl-NH
382	ethoxypropylamino
385	1-naphthyl-$NHCH_2CH_2NH$
387	
388	



I-NN

Compound No.	Z^2	R^{15}
389	7-Me	NHPh-4-F
390	7-Me	OPh-4-F
391	6-Me	NHPh
392	7-Me	NHPh-4-CF3
393	6-Me	NHPh-4-F
394	6-Me	NHPh-4-Cl
395	7-Me	NHPh-4-Cl
396	6-Me	NHPh
397	6-F	O-t-Bu
398	6-F	NHPh-4-F
399	7-Me	O-t-Bu
400	6-Me	O-t-Bu

Compound No.	Z^2	R^{15}
401	7-F	O-t-Bu



I-OO

Compound No.	R^{15}
402	2-thienyl
403	4-Et-Ph
404	2-CH_2-thienyl
405	4-MeO-Ph
406	3-MeO-Ph
407	4-Ph-Ph
408	2-furanyl
409	4-Me-Ph
410	2,3-Cl_2-5-piperidinyl
411	2-Cl-3-piperidinyl
412	3-furanyl
413	1-imidazolyl
414	9-xanthenyl
415	9-fluorene
416	2-naphthalenyl
417	5-Br-2-furanyl
418	3-methyl-2-thienyl
419	5-methyl-2-thienyl
420	5-Br-2-thienyl
422	1-naphthalenyl
423	4-i-Pr-Phenyl
424	4-EtO-phenyl
425	3-Bz-phenyl
426	CH=CH-2,4-Cl_2-phenyl

The following table sets forth physical characterizing data for certain compounds of formula I of the present invention:

Table 2
2,4-Diaminoquinazolines

Compound Characterization

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
1	$C_{24}H_{31}N_5$	Thick Oil
2	$C_{24}H_{30}ClN_5$	Glass
3	$C_{24}H_{30}ClN_5$	Glass
4	$C_{24}H_{30}ClN_5$	Glass
5	$C_{24}H_{29}Cl_2N_5$	Glass
6	$C_{25}H_{33}N_5$	Solid
7	$C_{25}H_{33}N_5$	Solid
8	$C_{25}H_{33}N_5$	Solid
10	$C_{25}H_{33}N_5O$	Glassy Solid
11	$C_{25}H_{33}N_5O$	Glassy Solid
12	$C_{20}H_{22}N_4$	Foam
14	$C_{20}H_{21}ClN_4$	75-79
15	$C_{20}H_{21}ClN_4$	158-160
16	$C_{20}H_{20}Cl_2N_4$	192-194
19	$C_{20}H_{20}Cl_2N_4$	108-110
22	$C_{20}H_{20}Cl_2N_4$	165-166
23	$C_{20}H_{20}F_2N_4$	164-167
24	$C_{20}H_{20}F_2N_4$	148-151
25	$C_{22}H_{26}N_4$	82-85
26	$C_{22}H_{26}N_4$	146-148
27	$C_{22}H_{26}N_4O_2$	81-85
28	$C_{22}H_{26}N_4O_2$	93-96
29	$C_{20}H_{21}FN_4$	130-135
30	$C_{20}H_{21}FN_4$	150-152
31	$C_{20}H_{17}F_5N_4$	205-210
32	$C_{20}H_{19}Cl_3N_4$	143-146
33	$C_{20}H_{20}ClFN_4$	Solid
34	$C_{24}H_{30}N_4$	66-72
38	$C_{24}H_{27}Cl_2N_5O_2$	183-185
39	$C_{21}H_{21}F_3N_4$	118-119
40	$C_{20}H_{23}N_5$	Oil
42	$C_{20}H_{21}N_5O_2$	78-81
44	$C_{22}H_{25}N_4O$	69-71
45	$C_{21}H_{21}F_3N_4O$	104-106
48	$C_{20}H_{21}BrN_4$	128.5-130
49	$C_{23}H_{28}N_4$	60-65
50	$C_{22}H_{24}N_4O_2$	75-80
54	$C_{21}H_{23}ClN_4O$	76-80
55	$C_{21}H_{20}ClN_5$	199-201
57	$C_{20}H_{20}BrClN_4$	141-143.5
59	$C_{21}H_{20}ClF_3N_4S$	93-96
60	$C_{21}H_{20}F_2N_4O_2$	180-182
61	$C_{20}H_{20}FIN_4$	80-83
62	$C_{21}H_{20}F_4N_4$	132-134.5

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
63	$C_{21}H_{20}BrF_3N_4$	68-73
68	$C_{19}H_{22}N_5Cl$	232-234
70	$C_{19}H_{20}ClN_5$	Solid
71	$C_{20}H_{28}N_4$	155-158
72	$C_{21}H_{30}N_4$	66-70
73	$C_{21}H_{24}N_4ClH$	Solid
74	$C_{21}H_{23}FN_4ClH$	Solid
79	$C_{21}H_{22}F_2N_4ClH$	Solid
80	$C_{21}H_{22}F_2N_4ClH$	Solid
82	$C_{22}H_{26}N_4ClH$	Solid
83	$C_{21}H_{23}ClN_{34}ClH$	Solid
92	$C_{22}H_{23}F_3N_4ClH$	Solid
93	$C_{22}H_{23}F_3N_4ClH$	Solid
95	$C_{21}H_{24}N_4$	Solid
96	$C_{21}H_{23}FN_4$	Solid
99	$C_{21}H_{22}F_2N_4$	Solid
100	$C_{21}H_{22}F_2N_4$	Solid
101	$C_{21}H_{22}F_2N_4$	Solid
102	$C_{21}H_{22}F_2N_4$	Solid
104	$C_{22}H_{26}N_4$	Solid
105	$C_{21}H_{23}ClN_4$	Solid
106	$C_{21}H_{23}ClN_4$	Solid
108	$C_{21}H_{22}Cl_2N_4$	Solid
111	$C_{22}H_{26}N_4$	Solid
115	$C_{22}H_{23}F_3N_4$	Solid
116	$C_{22}H_{23}F_3N_4$	Solid
117	$C_{22}H_{23}F_3N_4$	Solid
118	$C_{22}H_{25}FN_4$	Solid
120	$C_{20}H_{19}Cl_3N_4$	185-186
121	$C_{20}H_{19}Cl_3N_4$	165-167
123	$C_{24}H_{30}N_4O_2$	Solid
124	$C_{20}H_{20}Cl_2N_4$	165-166
125	$C_{19}H_{20}Cl_2N_4$	Thick Oil
126	$C_{19}H_{20}Cl_2N_4$	118-120
127	$C_{19}H_{18}Cl_2N_4$	115-117
128	$C_{22}H_{18}Cl_2N_4$	53-56
129	$C_{18}H_{18}Cl_2N_4$	58-60
130	$C_{14}H_{10}Cl_2N_4$	199-201
131	$C_{21}H_{22}Cl_2N_4$	155-157
134	$C_{22}H_{22}Cl_2N_4O_2$	Foam
137	$C_{25}H_{23}Cl_2N_5O_2S$	250
138	$C_{22}H_{18}Cl_2N_4$	48-50
139	$C_{19}H_{20}Cl_2N_4$	173-175
140	$C_{20}H_{20}Cl_2N_4O_2$	174-176
141	$C_{18}H_{18}Cl_2N_4S$	125-127
142	$C_{17}H_{16}Cl_2N_4S$	122-124

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
145	$C_{19}H_{20}Cl_2N_4$	47-50
146	$C_{19}H_{20}Cl_2N_4$	110-113
147	$C_{21}H_{22}Cl_2N_4$	59-62
148	$C_{22}H_{24}Cl_2N_4$	144-146
149	$C_{17}H_{16}Cl_2N_4O$	147-149
151	$C_{21}H_{23}Cl_2N_5O_2$	152-155
152	$C_{23}H_{19}Cl_2N_5O_2$	250
154	$C_{26}H_{23}Cl_2N_5O$	190-192
155	$C_{26}H_{25}Cl_2N_5$	65-69
156	$C_{24}H_{27}Cl_2N_5O_2$	183-185
157	$C_{22}H_{25}Cl_2N_5O$	Glassy Oil
158	$C_{20}H_{21}Cl_2N_5$	98-101
159	$C_{26}H_{23}Cl_2N_5O_2$	200-201
160	$C_{21}H_{21}Cl_2N_5O_2$	210-212
161	$C_{18}H_{20}N_2O_3$	Oil
162	$C_{22}H_{23}Cl_2N_5O_2$	Solid
163	$C_{19}H_{19}Cl_2N_5$	Solid
164	$C_{26}H_{24}Cl_2N_6O$	208-211
165	$C_{27}H_{25}Cl_2N_5O$	240-242
166	$C_{27}H_{25}Cl_2N_5O_2$	215-217
167	$C_{27}H_{24}Cl_2FN_5O$	246-248
168	$C_{26}H_{24}Cl_2N_6S$	237-239
169	$C_{32}H_{36}Cl_2N_6O_3S$	95
171	$C_{23}H_{23}Cl_2N_5O$	233-235
172	$C_{24}H_{28}Cl_2N_6O$	224-225
173	$C_{26}H_{31}Cl_2N_5O_2$	167-169
174	$C_{27}H_{24}Cl_3N_5O_3$	125
175	$C_{26}H_{22}Cl_2FN_5O_2$	194-196
177	$C_{28}H_{35}Cl_2N_5O$	168-170
178	$C_{25}H_{22}Cl_2N_6O$	135
179	$C_{26}H_{21}Cl_4N_5O$	160
180	$C_{22}H_{18}Cl_2F_5N_5O$	202-205
188	$C_{26}H_{22}Cl_3N_5O_2$	137-141
189	$C_{27}H_{25}Cl_2N_5O_3$	189-191
190	$C_{26}H_{22}BrCl_2N_5O_2$	160
191	$C_{26}H_{23}Cl_2FN_6O$	231-233
192	$C_{27}H_{23}Cl_2F_3N_6O_2$	130-132
193	$C_{27}H_{26}Cl_2N_6O$	129-132
194	$C_{26}H_{23}Cl_2FN_6O$	250
195	$C_{26}H_{23}Cl_2FN_6O$	201-203
196	$C_{27}H_{26}Cl_2N_6O$	250
198	$C_{21}H_{24}N_4$	150-152
201	$C_{21}H_{22}Cl_2N_4$	82-84
203	$C_{22}H_{22}Cl_2N_4O$	210-215
204	$C_{23}H_{24}Cl_2N_4O$	187-189
205	$C_{25}H_{28}Cl_2N_4O$	190-192

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
208	$C_{22}H_{19}Cl_2F_3N_4O$	237-239
209	$C_{26}H_{28}Cl_2N_4O_3$	164-165
210	$2C_{25}H_{26}Cl_2N_4O$	Solid
211	$C_{23}H_{22}Cl_2N_4O$	145-150
212	$C_{27}H_{30}Cl_2N_4O$	188-190
216	$C_{22}H_{23}Cl_2N_5O$	180-185
217	$C_{27}H_{25}Cl_2N_5O$	170-173
218	$C_{22}H_{22}Cl_2N_4O_2$	Foam
219	$C_{18}H_{18}Cl_2N_4S$	99-102
220	$C_{20}H_{24}Cl_2N_4$	140.5-141.5
221	$C_{19}H_{22}Cl_2N_4$	182-183
222	$C_{18}H_{20}Cl_2N_6$	190.5-192.5
225	$C_{24}H_{31}Cl_2N_5O_2$	195-196
228	$C_{17}H_{20}Cl_2N_4$	212-215
229	$C_{17}H_{20}Cl_2N_4$	45-48
230	$C_{18}H_{22}Cl_2N_4$	145-148
235	$C_{20}H_{20}Cl_2N_4$	165-166
238	$C_{17}H_{16}Cl_2N_4O$	147-149
239	$C_{22}H_{18}Cl_2N_4$	48-50
244	$C_{24}H_{28}N_4O_2$	Oil
256	$C_{26}H_{26}Cl_2N_4$	Foam
257	$C_{26}H_{24}Cl_2N_6O$	208-211
258	$C_{26}H_{23}Cl_2FN_6O$	250
259	$C_{26}H_{23}Cl_2N_6O$	201-203
260	$C_{26}H_{23}Cl_2FN_6O$	231-233
261	$C_{26}H_{22}Cl_2F_2N_6O$	250
262	$C_{26}H_{22}Cl_2F_2N_6O$	Solid
263	$C_{26}H_{22}Cl_2F_2N_6O$	Solid
264	$C_{26}H_{23}Cl_3N_6O$	Solid
265	$C_{26}H_{23}Cl_3N_6O$	Solid
266	$C_{26}H_{23}Cl_3N_6O$	Solid
267	$C_{26}H_{22}Cl_4N_6O$	Solid
268	$C_{26}H_{22}Cl_4N_6O$	Solid
269	$C_{26}H_{22}Cl_4N_6O$	Solid
270	$C_{26}H_{22}Cl_4N_6O$	Solid
271	$C_{26}H_{22}Cl_4N_6O$	Solid
272	$C_{26}H_{22}Cl_4N_6O$	Solid
273	$C_{27}H_{26}Cl_2N_6O$	141-142
274	$C_{27}H_{26}Cl_2N_6O$	138-139
275	$C_{27}H_{26}Cl_2N_6O$	129-132
276	$C_{27}H_{26}Cl_2N_6O_2$	189-190
277	$C_{27}H_{26}Cl_2N_6O_2$	220-223
278	$C_{27}H_{26}Cl_2N_6O_2$	128-130
279	$C_{27}H_{23}Cl_2F_3N_6O$	156-159
280	$C_{26}H_{22}Cl_3FN_6O$	Solid
281	$C_{29}H_{23}Cl_2F_7N_6O$	Solid

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
282	$C_{27}H_{24}Cl_3FN_6O$	Solid
283	$C_{26}H_{24}Cl_2N_6O$	208-211
284	$C_{27}H_{26}Cl_2N_6O$	250
285	$C_{26}H_{24}Cl_2N_6S$	237-239
286	$C_{24}H_{25}Cl_2N_5O_2$	189-192
287	$C_{26}H_{29}Cl_2N_5O_2$	234-236
288	$C_{23}H_{23}Cl_2N_5O_2$	182-184
289	$C_{23}H_{21}Cl_2N_5O_2$	219-221
290	$C_{26}H_{30}Cl_2N_6O$	250
291	$C_{23}H_{26}Cl_2N_6O$	218-220
292	$C_{24}H_{27}Cl_2N_5O_2$	166-168
294	$C_{26}H_{23}Cl_2FN_6O$	115-120
295	$C_{26}H_{23}Cl_2FN_6O$	120-125
296	$C_{26}H_{23}Cl_2FN_6O$	125-129
297	$C_{26}H_{22}Cl_2F_2N_6O$	Solid
298	$C_{26}H_{22}Cl_2F_2N_6O$	Solid
299	$C_{26}H_{22}Cl_2F_2N_6O$	Solid
300	$C_{26}H_{23}Cl_2N_5O_2$	100-117
301	$C_{26}H_{22}Cl_2FN_5O_2$	Solid
302	$C_{26}H_{22}Cl_3N_5O_2$	Solid
303	$C_{27}H_{25}Cl_2N_5O_2$	150-222
304	$C_{27}H_{25}Cl_2N_5O_3$	165-175
305	$C_{25}H_{22}Cl_2N_6O$	135
306	$C_{26}H_{21}Cl_4N_5O$	160
307	$C_{22}H_{18}Cl_2F_5N_5O$	202-205
308	$C_{23}H_{22}Cl_2F_5N_5O$	105
309	$C_{28}H_{27}Cl_3F_3N_5O$	120-130
310	$C_{27}H_{25}Cl_2N_5O_3S$	220-224
311	$C_{21}H_{18}Cl_2F_3N_5O$	193-195
312	$C_{27}H_{22}Cl_2F_3N_5O_2$	173.5-175.5
313	$C_{28}H_{24}Cl_2F_3N_5O$	227-230
314	$C_{27}H_{22}Cl_2F_3N_5O$	150-153
315	$C_{26}H_{23}Cl_2N_5O_2$	141-143
316	$C_{28}H_{24}Cl_3N_5O$	145-147
317	$C_{25}H_{22}Cl_2N_6O$	143-146
318	$C_{25}H_{22}Cl_2N_6O_2$	142-144
319	$C_{21}H_{13}Cl_2F_3N_4O$	189-190.5
320	$C_{26}H_{26}Cl_2N_4$	Foam
321	$C_{22}H_{22}Cl_2N_4O_2$	Foam
322	$C_{20}H_{18}Cl_2N_4O$	Oil
324	$C_{21}H_{15}Cl_2N_5O$	203-206
326	$C_{25}H_{23}Cl_2N_5$	125-130
327	$C_{26}H_{22}Cl_2F_3N_5O_3S$	Solid
328	$C_{20}H_{18}Cl_2F_3N_5O_2S$	225-227
329	$C_{22}H_{25}Cl_2N_5O_2$	65-70
330	$C_{25}H_{21}Cl_2FN_6O$	200

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
331	$C_{17}H_{19}Cl_2N_5$-2 $C_2F_3O_2$	250
332	$C_{24}H_{21}Cl_2FN_6O$	180-186
335	$C_{25}H_{27}Cl_2N_5S$	75-82
337	$C_{26}H_{27}Cl_2N_5O_2$	218-223
338	$C_{26}H_{27}Cl_2FN_6O$	240-245
339	$C_{26}H_{27}Cl_2FN_6O$	225-230
340	$C_{27}H_{27}Cl_2F_3N_6O$	200-201
342	$C_{27}H_{27}Cl_2F_3N_6O_2$	177-180
344	$C_{21}H_{22}Cl_2N_4$	165-166.5
345	$C_{21}H_{22}Cl_2N_4$	77-82
347	$C_{20}H_{19}Cl_2FN_4$	130-132
348	$C_{20}H_{19}Cl_2FN_4$	193-195
349	$C_{20}H_{19}Cl_2FN_4$	159-160.5
352	$C_{20}H_{20}Cl_2N_4$	165-166
354	$C_{20}H_{19}Cl_3N_4$	185-186
355	$C_{20}H_{19}Cl_3N_4$	165-167
357	$C_{24}H_{30}N_4O_2$	Solid
360	$C_{20}H_{20}Cl_2N_4$	165-166
361	$C_{20}H_{20}BrIN_4$	Oil
362	$C_{20}H_{20}Br_2N_4$	Oil
364	$C_{21}H_{21}Cl_2N_3$	172-174
365	$C_{27}H_{24}Cl_2FN_5O$	179-185
366	$C_{25}H_{28}Cl_2N_4O_2$	168-170
368	$C_{28}H_{22}Cl_2F_4N_6O_2$	244-246
369	$C_{28}H_{25}Cl_2FN_6O_2$	169-172
370	$C_{33}H_{28}Cl_2FN_7O_2$	214-215
371	$C_{22}H_{19}Cl_2F_3N_4O$	237-239
372	$C_{27}H_{30}Cl_2N_4O$	188-190
373	$C_{22}H_{22}Cl_2N_4O$	210-215
378	$C_{20}H_{28}N_4$	155-158
379	$C_{21}H_{30}N_4$	66-70
382	$C_{19}H_{28}N_4O$	Oil
385	$C_{26}H_{29}N_5$	Oil
387	$C_{20}H_{28}N_4O_2$	Oil
388	$C_{25}H_{28}F_3N_5$	Oil
389	$C_{27}H_{25}Cl_2FN_6O$	124-126
390	$C_{27}H_{24}Cl_2FN_5O_2$	114-115.5
391	$C_{27}H_{26}Cl_2N_6O$	120-126
392	$C_{28}H_{25}Cl_2F_3N_6O$	142-145
393	$C_{27}H_{25}Cl_2FN_6O$	221-223
394	$C_{27}H_{25}Cl_3N_6O$	234-236
395	$C_{27}H_{25}Cl_3N_6O$	220-222
396	$C_{24}H_{26}Cl_2FN_5O_2$	206-210
397	$C_{24}H_{26}Cl_2FN_5O_2$	206-210
398	$C_{26}H_{22}Cl_2F_2N_6O$	230-235
399	$C_{25}H_{29}Cl_2N_5O_2$	143-145

Cmpd.	Molecular Formula	Melting Point (°C) of Solids or Physical State
400	$C_{25}H_{29}Cl_2N_5O_2$	160-165
401	$C_{24}H_{26}Cl_2FN_5O_2$	210-215
402	$C_{24}H_{21}Cl_2N_5OS$	Solid
403	$C_{28}H_{27}Cl_2N_5O$	Solid
404	$C_{25}H_{23}Cl_2N_5OS$	Solid
405	$C_{27}H_{25}Cl_2N_5O_2$	Solid
406	$C_{27}H_{25}Cl_2N_5O_2$	Solid
407	$C_{32}H_{27}Cl_2N_5O$	Solid
408	$C_{24}H_{21}Cl_2N_5O_2$	Solid
409	$C_{27}H_{25}Cl_2N_5O$	Solid
410	$C_{25}H_{20}Cl_4N_6O$	215-220
411	$C_{25}H_{21}Cl_3N_6O$	200-205
412	$C_{24}H_{21}Cl_2N_5O_2$	203-205
413	$C_{23}H_{21}Cl_2N_7O$	235-237
414	$C_{33}H_{27}Cl_2N_5O_2$	181-183
415	$C_{33}H_{27}Cl_2N_5O$	181-183
416	$C_{30}H_{25}Cl_2N_5O$	145-149
417	$C_{24}H_{20}BrCl_2N_5O_2$	225-228
418	$C_{25}H_{23}Cl_2N_5OS$	201-204
419	$C_{25}H_{23}Cl_2N_5OS$	180-185
420	$C_{24}H_{20}BrCl_2N_5OS$	138-141
422	$C_{30}H_{25}Cl_2N_5O$	168-170
423	$C_{29}H_{29}Cl_2N_5O$	168-170
424	$C_{28}H_{27}Cl_2N_5O_2$	210-218
425	$C_{33}H_{27}Cl_2N_5O_2$	203-210
426	$C_{28}H_{23}Cl_4N_5O$	205-208

Compounds were evaluated for activity against the tobacco budworm (Heliothis virescens [Fabricius]) in a surface-treated diet test.

In this test one mL of molten (65-70°C) wheat germ-based artificial diet was pipetted into each well of a four by six (24 well) multi-well plate (ID# 430345-15.5 mm dia. x 17.6 mm deep; Corning Costar Corp., One Alewife Center, Cambridge, MA 02140). The diet was allowed to cool to ambient temperature before treatment with candidate insecticide.

For a determination of insecticidal activity, solutions of the compounds were prepared for testing using a Packard 204DT Multiprobe® Robotic System (Packard Instrument Company, 800 Research Parkway, Meriden, CT 06450), in which the robot first diluted a standard 50 millimolar DMSO solution of compound with a 1:1

water/acetone solution (V/V) in a ratio of 1:7 stock solution to water/acetone. The robot subsequently pipetted 40 microliters of the so-prepared solution onto the surface of the diet in each of three wells in the 24 multi-well plate. The process was repeated with solutions of seven other compounds. Once treated, the contents of the multi-well plate were allowed to dry, leaving 0.25 millimoles of compound on the surface of the diet, or a concentration of 0.25 millimolar. Appropriate untreated controls containing only DMSO on the diet surface were also included in this test.

For evaluations of the insecticidal activity of a compound at varying rates of application, the test was established as described above using sub-multiples of the standard 50 millimolar DMSO solution of candidate insecticide. For example, the standard 50 millimolar solution was diluted by the robot with DMSO to give 5, 0.5, 0.05, 0.005, 0.0005 millimolar, or more dilute solutions of the candidate insecticide. In these evaluations there were six replicates of each rate of application placed on the surface of the diet in the 24 multi-well plate, for a total of four rates of application of compound in each plate.

In each well of the test plate was placed one second instar tobacco budworm larvea, each weighing approximately five milligrams. After the larvae were placed in each well, the plate was sealed with clear polyfilm adhesive tape. The tape over each well was perforated to ensure an adequate air supply. The plates were then held in a growth chamber at 25 °C and 60% relative humidity for five days (light 14 hours/day).

After the five-day exposure period insecticidal activity for each rate of application of compound was assessed as percent inhibition of insect weight relative to the weight of insects from untreated controls, and percent mortality when compared to the total number of insects infested.

Insecticidal activity data at selected rates of application from this test are provided in Table 3. Compounds of formula I are identified by numbers that correspond to those in Table 1.

Table 3

Insecticidal Activity of 2,4-Diaminoquinazolines When Applied to the Surface of the Diet of Tobacco Budworm (*Heliothis virescens* [Fabricius])

Cmpd No.	Percent Mortality	Percent Growth Inhibition
1	0	92
2	0	79
3	0	76
4	50	100
5	33	98
6	0	80
7	0	97
8	0	98
10	0	93
11	33	100
12	0	83
14	33	100
15	17	95
19	33	100
22	100	100
23	33	100
24	50	100
25	0	93
26	0	95
28	0	91
29	33	99
30	0	83
31	0	70
32	100	100
33	83	100
34	0	94
38	83	100
39	67	100
40	0	52
42	100	100
45	50	100
48	6	100
49	0	94
50	0	96
55	50	100
57	67	10
59	67	100
60	33	98
61	100	100
62	67	100
63	83	100
65	100	100
70	17	95
71	0	90
72	33	81
73	0	81
74	0	86
79	0	93
80	0	76
83	0	94
93	0	83
95	0	83
100	33	97
101	17	86
104	0	92
106	0	89
108	0	91
111	0	69
115	17	100
116	17	95
117	0	73
120	33	98
121	33	99
123	33	98
124	100	100
125	50	98
126	17	93
127	67	98

Cmpd No.	Percent Mortality	Percent Growth Inhibition
130	0	93
131	83	100
134	100	100
137	50	99
138	83	100
139	50	100
140	17	79
141	17	94
145	67	100
146	83	100
147	67	100
148	100	100
151	67	100
152	67	100
154	83	100
155	50	100
156	83	100
157	33	100
158	0	85
159	100	100
160	83	100
162	83	100
163	17	100
164	100	100
165	67	100
166	50	100
167	100	100
168	100	100
169	83	99
170	83	100
171	100	100
172	100	100
173	33	100
174	50	100
175	100	100
176	50	100
177	67	99
178	83	100
179	50	100
180	83	100
181	83	100
182	100	100
184	83	100
185	100	100
186	67	100
187	100	100
188	67	100
189	67	100
190	100	99
191	100	100
192	67	100
193	100	100
194	67	100
195	100	100
196	50	99
201	17	74
203	67	100
205	17	92
208	100	100
209	100	100
210	50	100
211	67	100
212	100	100
213	67	100
216	100	100
217	100	100
218	100	100
219	33	99

Cmpd No.	Percent Mortality	Percent Growth Inhibition
220	67	98
221	0	88
222	0	34
225	83	100
228	17	74
229	0	84
230	17	98
235	100	100
239	83	100
256	83	100
257	100	100
258	67	100
259	100	100
260	100	100
261	83	100
262	100	100
263	17	97
264	100	100
265	83	100
266	100	100
267	67	100
268	100	100
269	50	99
270	83	100
271	67	99
272	83	99
273	100	100
274	100	100
275	100	100
276	100	100
277	100	100
278	100	100
279	100	100
280	17	66
281	33	96
282	50	100
283	100	100
284	50	99
285	100	100
286	83	100
287	50	100
288	100	100
289	100	100
290	0	92
291	100	100
292	67	100
294	67	99
295	0	94
296	33	100
297	50	100
298	0	99
299	33	100
300	50	100
301	50	100
302	50	100
303	33	100
304	17	100
305	83	100
306	50	100
307	83	100
308	100	100
309	100	100
310	0	100
311	100	100
312	83	100
313	100	100
314	100	100
315	100	100

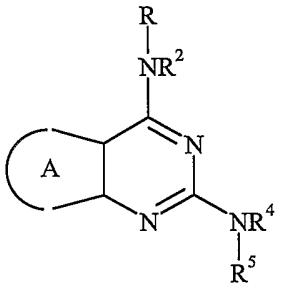
Cmpd No.	Percent Mortality	Percent Growth Inhibition
316	100	100
317	100	100
318	100	100
319	100	100
320	83	100
321	100	100
322	33	100
324	0	66
326	50	100
327	100	96
328	100	100
329	100	100
330	83	100
331	100	100
332	100	100
335	33	70
337	83	100
338	100	100
339	17	100
340	33	100
342	100	100
344	83	100
345	100	99
348	67	100
349	83	100
352	100	100
354	33	98
355	33	99
357	33	98
360	100	100
361	67	100
362	67	100
364	33	50
365	0	97
368	100	100
369	100	100
370	100	100
371	100	100
372	100	100
373	67	100
378	0	90
379	33	81
387	0	80
388	0	75
389	100	100
390	100	100
391	100	100
392	67	98
393	50	100
394	100	100
395	83	100
396	100	100
397	67	100
398	100	100
399	33	99
400	67	98
401	83	100
402	100	100
403	100	100
404	67	100
405	100	100
406	33	100
407	50	82
408	100	100
409	100	100
410	100	100
411	100	100
412	100	100

Cmpd No.	Percent Mortality	Percent Growth Inhibition
413	100	100
414	50	99
415	83	100
416	100	100
417	50	100
418	100	100
419	100	100
420	83	100
422	83	100
423	50	100
424	100	100
425	50	100
426	50	100

While this invention has been described with an emphasis upon preferred embodiments, it will be understood by those of ordinary skill in the art that variations of the preferred embodiments may be used and that it is intended that the invention may be practiced otherwise than as specifically described herein.

WHAT IS CLAIMED IS:

1. A compound of formula I:



I

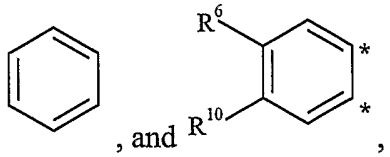
wherein:

R is selected from the group consisting of hydrogen, haloalkyl, alkoxyalkyl, alkphenylalkyl, alkoxycarbonylalkyl, alkthioalkyl, alkoxycarbonylaminoalkyl, phenoxycarbonylaminoalkyl, phenylcarbonylalkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl and optionally substituted cycloalkalkyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, aryl, alkamino, dialkylamino, alkenylalkyl, alkynylalkyl, carbonylaryl, phenylalkyl, alkoxycarbonyl, haloalkcarbonyl, alkoxyalkyl, 3-pyridylcarbonyl, heterocyclyl, phenoxythionyl, phenylaminocarbonyl, phenylalkcarbonyl, phenylaminothioalkyl, phenylalkcarbonyl, halophenylalkcarbonyl, phenylalkoxycarbonyl, cycloalkcarbonyl, alkaminocarbonyl, cycloalkcarbonyl, phenylaminocarbonyl, phenylalkcarbonyl, optionally substituted aryl, optionally substituted phenylcarbonyl, optionally substituted phenylalkoxycarbonyl and optionally substituted phenylaminocarbonyl,

wherein the optional substituents are selected from the group consisting of halogen, alkyl, alkoxy, alkaminothionyl, haloalkyl, haloalkoxy and SF_5;

A is selected from the group consisting of optionally substituted cycloalkyl, optionally substituted thiopheneyl,



, and

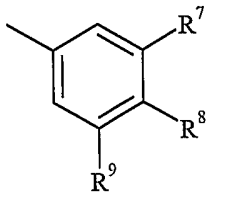
,

wherein the optional substitutents, R^6 and R^{10} are independently selected from the group consisting of halogen, alkyl, alkoxy and cyano, and

wherein * denotes a point of attachment;

R^2 and R^4 are independently selected from hydrogen or alkylcarbonyl;

R^5 is selected from the group consisting of optionally substituted aryloxy, optionally substituted cycloalkyl and



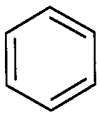
,

wherein the optional substituents are independently selected from the group consisting of halogen, alkyl, alkoxy, haloalkyl, haloalkoxy and SF_5, and

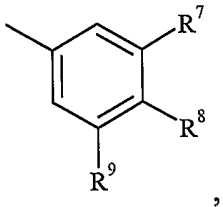
wherein R^7, R^8 and R^9 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl, haloalkoxy, SF_5 and either of R^7 and R^8, or R^8 and R^9 taken together with $-OCH_2O-$, $-OC(CH_3)_2CH_2-$ or $-OC(CH_3)_2O-$, forming a benzo-fused ring;

and the corresponding agriculturally acceptably salts thereof.

2. The compound of claim 1, wherein R^2 is hydrogen and A is



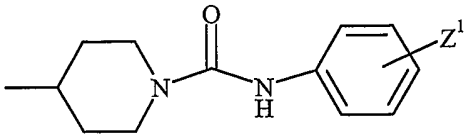
3. The compound of claim 2, wherein R^4 is hydrogen and R^5 is



,

wherein R^7, R^8 and R^9 are independently selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl, haloalkoxy, SF_5 and either of R^7 and R^8, or R^8 and R^9 taken together with $-OCH_2O-$, $-OC(CH_3)_2CH_2-$ or $-OC(CH_3)_2O-$, forming a benzo-fused ring.

4. The compound of claim 3, wherein R^8 is hydrogen, R^7 and R^9 are independently selected from the group consisting of halogen, haloalkyl and haloalkoxy, and R is



,

wherein Z^1 is selected from the group consisting of hydrogen, halogen, alkyl, alkoxy, haloalkyl and haloalkoxy.

5. The compound of claim 4, wherein R^7, R^9 and Z^1 are halogen.

6. A composition comprising an insecticidally effective amount of a compound of claim 1 and an agriculturally acceptable extender or adjuvant.

7. The insecticidal composition of claim 6, further comprising one or more second compounds selected from the group consisting of pesticides, plant growth regulators, fertilizers and soil conditioners.

8. A method of controlling insects, comprising applying an insecticidally effective amount of a composition of claim 6 to a locus where insects are present or are expected to be present.

9. A method of controlling insects, comprising applying an insecticidally effective amount of a composition of claim 7 to a locus where insects are present or are expected to be present.