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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 03-035666-00008-0000

Fecha: 2008-03-25 16:19:18 Dep. 2020 NUECREACION
Tra. 11 PATENTEIYII Eve: 379 FASENACIONALII
Act 444 RESPUESTAREQUE Folios: 10

Señora Jefe de la
DIVISION DE NUEVAS CREACIONES
Superintendencia de Industria y Comercio
E. S. D.

Trámite : 011 Evento : 379 Actuación : 444

Referencia : Expediente No. 03.035.666
Asunto : Solicitud de patente para: "4,5,DIHIDRO-
1,2,4-TRIAZIN-6-ONAS,
SUSTITUIDAS, 1,2,4,TRIAZIN-6-ONAS
SUSTITUIDAS, Y SU USO COMO FUNGICIDAS E
INSECTICIDAS".
Solicitante : DOW AGROSCIENCES LLC
Fecha de
solicitud : 29 de Febrero de 2004

1. Yo, Emilio FERRERO, obrando como apoderado del solicitante en el asunto antes identificado, me refiero al Oficio No. 14711 notificado por fijación en lista del 16 de Noviembre de 2007. Con memorial radicado el 8 de Febrero de 2008 solicité prórroga de término para atender al Oficio No. 14711.

2. Mediante el Oficio No. 14711 se puso en conocimiento del solicitante el informe del examen de fondo que contiene las observaciones hechas por su Despacho sobre la presente solicitud de patente. Atiendo a las observaciones de la manera siguiente:

3. Claridad del capítulo reivindicatorio:

En forma resumida su Despacho enuncia lo siguiente:

"La reivindicación 1 es demasiado amplia, pues no concreta cuales son los radicales específicos que caracterizan la estructura.

Su Despacho enuncia además que hay expresiones poco claras por ejemplo "agronómicamente aceptables", "pueden ser" junto con el término "o".

Cita también su Despacho que se debe explicar el por qué se presentan restricciones, "...a condición de que..." pues se excluyen algunas estructuras específicas y el capítulo descriptivo no muestra ningún sustento para realizar dicha restricción.

La reivindicación 2 presenta los mismos problemas de claridad y amplitud que la reivindicación 1. El término "además" indica la introducción de nueva materia. Lo mismo sucede en las reivindicaciones 3 y 4.

La reivindicación 5 presenta una composición fungicida, sin embargo su caracterización es ambigua y no la define. Así mismo, las reivindicaciones 5 a 11, presentan nuevamente el compuesto activo de forma amplia.

Las reivindicaciones 12 y 13 presentan un método para controlar un hongo o insecto, respectivamente. Sin embargo, "una cantidad efectiva" es una expresión ambigua, y la etapa de aplicar la composición solo indica de forma superficial al método".

4. Unidad de invención:

Su Despacho establece que el capítulo reivindicatorio no cumple con el requisito de unidad de invención ya que los posibles compuestos **son estructuralmente diferentes**, dado que los sustituyentes (que pueden ser sustituidos a su vez) son muy amplios. La estructura propuesta inicialmente pierde importancia, pues los radicales pueden ser mucho más grandes que ella.

5. Modificación a una solicitud en trámite:

Con el objeto de atender las anteriores observaciones y de conformidad con lo establecido en el artículo 34 de la Decisión 486, que permite al solicitante en cualquier momento del trámite efectuar modificaciones, sin ampliar el objeto de la invención inicialmente solicitado, me permito presentar un nuevo capítulo reivindicatorio, que comprende 2 reivindicaciones.

Las modificaciones efectuadas se relacionan de la siguiente manera:

Como se mencionó anteriormente el nuevo capítulo reivindicatorio comprende 2 reivindicaciones que corresponden a las reivindicaciones originales 4 y 8.

Adicionalmente, se redujo significativamente el número de compuestos originalmente reivindicados.

Por otro lado, la reivindicación 8 que ahora corresponde a la reivindicación 2 se limitó a un fungicida.

Con las anteriores modificaciones se subsana las observaciones hechas por su Despacho en cuanto a la falta de claridad y de unidad inventiva de la solicitud.

6. Conclusión:

Teniendo en cuenta los argumentos arriba citados así como el nuevo capítulo reivindicatorio que se presenta con este escrito, se concluye que la presente invención cumple con los requisitos de claridad y de unidad inventiva establecidos en los artículos 30 y 25 de la Decisión 486.

Puesto que se han atendido a cabalidad las observaciones hechas por su Despacho sobre la presente solicitud de patente, respetuosamente solicito se continúe con su tramitación.

7. Anexos:

- Nuevo pliego reivindicatorio que comprende 2 reivindicaciones.
- Formulario para modificaciones.
- Recibo por concepto de modificaciones en solicitud pendiente.

Bogotá,
Señora Jefe,



EMILIO FERRERO

T.P.A. No. 31.929

**Industria y Comercio**

SUPERINTENDENCIA

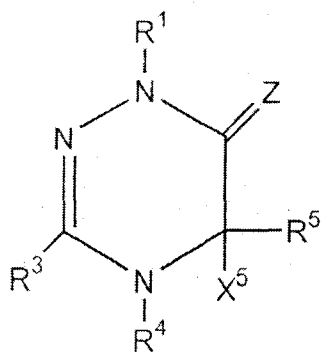
Espacio reservado para el adhesivo de radicación

FORMULARIO UNICO DE CORRECCIONES Y MODIFICACIONES

1	SOLICITUD DE: ☐ Corrección de Error Material ☒ Modificación a una solicitud	
2 SOLICITANTE	Nombre: DOW AGROSCIENCES LLC sociedad constituida y existente bajo las leyes del Estado de Delaware, Estados Unidos de América. Dirección: 9330 Zionsville Road, Indianápolis, Indiana 46268, Estados Unidos de América. Domicilio: Indianápolis, Indiana, Estados Unidos de América. Teléfono: 546 09 70 Fax: 249 40 70 E-mail: clientes@cavelier.com	IDENTIFICACION C.C. ☐ NIT ☐ C.E. ☐ Otro ☐ Número: _____
3 REPRESENTANTE O APODERADO	Nombre: Emilio FERRERO Dirección: Carrera 4ª No. 72-35 Teléfono: 347 36 11 Fax: 217 92 11 E-mail: cavelier@cavelier.com	IDENTIFICACION C.C. ☒ NIT ☐ C.E. ☐ Otro ☐ Número: <u>438.019</u> TP <u>31.929</u>
4	Número de expediente: <u>03.035.666</u>	
5	Descripción de la corrección o modificación solicitada: Presento nuevo capítulo reivindicatorio compuesto por 2 reivindicaciones para subsanar las observaciones del examen de fondo.	
6	Comprobante de pago No. <u>08-23.309</u> Fecha: <u>17 de marzo de 2008</u>	
7	Anexos ☒ Comprobante de pago de la tasa por valor de \$103.000.00 ☐ Poderes, si fuere el caso ☐ Documento que acredita la existencia y representación legal de las partes, cuando sean personas jurídicas.	
8	NOMBRE: EMILIO FERRERO FIRMA: C.C. 438.019 de Usaquén T.P.A. 31.929	

REIVINDICACIONES

1. Un compuesto de la fórmula:



En donde

R¹ es alquilo de 1 a 10 átomos de carbono, haloalquilo de 1 a 10 átomos de carbono, alquenilo de 2 a 8 átomos de carbono, haloalquenilo de 2 a 8 átomos de carbono, alquinilo de 2 a 8 átomos de carbono, cicloalquil de 3 a 6 átomos de carbono-alquilo de 1 a 2 átomos de carbono, trialquilsilil de 1 a 4 átomos de carbono-alquilo de 1 a 2 átomos de carbono, donde los tres grupos alquilo pueden ser iguales o diferentes.,

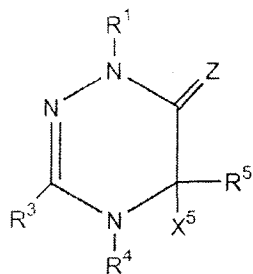
R³ es fenilo disustituido con halógeno, fenilo disustituido con alquilo de 1 a 4 átomos de carbono, fenilo disustituido con haloalquilo de 1 a 2 átomos de carbono, fenilo monosustituido con halomonoalquilo de 1 a 4 átomos de carbono, fenilo monosustituido con monohaloalquilo de 1 a 4 átomos de carbono;

R⁴ y R⁵ son hidrógeno,

Z es un átomo de oxígeno o un átomo de azufre, y

X^5 es un átomo de hidrógeno, o tomado junto con R^4 forma un enlace de nitrógeno a carbono.

2. Una composición fungicida que comprende una cantidad fungicida eficaz de un compuesto de formula:



En donde

R^1 es alquilo de 1 a 10 átomos de carbono, haloalquilo de 1 a 10 átomos de carbono, alquenilo de 2 a 8 átomos de carbono, haloalquenilo de 2 a 8 átomos de carbono, alquinilo de 2 a 8 átomos de carbono, cicloalquil de 3 a 6 átomos de carbono-alquilo de 1 a 2 átomos de carbono, trialquilsilil de 1 a 4 átomos de carbono-alquilo de 1 a 2 átomos de carbono, donde los tres grupos alquilo pueden ser iguales o diferentes.,

R^3 es fenilo disustituido con halógeno, fenilo disustituido con alquilo de 1 a 4 átomos de carbono, fenilo disustituido con haloalquilo de 1 a 2 átomos de carbono, fenilo monosustituido con halomonoalquilo de 1 a 4 átomos de carbono, fenilo monosustituido con monohaloalquilo de 1 a 4 átomos de carbono;

R^4 y R^5 son hidrógeno,

Z es un átomo de oxígeno o un átomo de azufre, y

X^5 es un átomo de hidrógeno, o tomado junto con R^4 forma un enlace de nitrógeno a carbono.

317

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

RECIBO DE PAGAMENTO

RECIBO OFICIAL DE CASH : 10 - 10,100
FECHA : MARZO 27 DE 2008

RECIBO DE PAGAMENTO

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	Nº. CASH	FECHA CASH	A.M. PAGO
VELIER ASSEBROS	RECIBO DE CASH			00001	27/03/2008	10.100,000.00

RECIBO DE PAGAMENTO

CANT. MONEDAS	CONCEPTO	TOTAL MONEDAS
1 500000.00	10 MONEDAS DE 100000.00	100,000.00
	TOTAL :	100,000.00

CON: CUENTA 1000 MIL PESOS

RECONCILIABLE :

RECIBO DE CASH APLICADO AL EXISTENTE N°.

Colo 10975-841-005-3

United States Patent [19]

Miesel

[11] 4,362,550

[45] Dec. 7, 1982

[54] HERBICIDAL TRIAZINONES

[75] Inventor: John L. Miesel, Indianapolis, Ind.

[73] Assignee: Eli Lilly and Company, Indianapolis, Ind.

[21] Appl. No.: 333,134

[22] Filed: Dec. 21, 1981

[51] Int. Cl.³ C07D 253/06; A01N 43/64

[52] U.S. Cl. 71/93; 544/182

[58] Field of Search 544/182; 71/93

[56] References Cited

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Primary Examiner—John M. Ford

Attorney, Agent, or Firm—Kathleen R. S. Page; Arthur R. Whale

[57]

ABSTRACT

1,2,4-Triazin-6(1H)-ones, useful as herbicides.

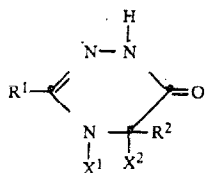
17 Claims, No Drawings

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HERBICIDAL TRIAZINONES

SUMMARY OF THE INVENTION

The present invention relates to a compound of the formula



wherein:

R¹ represents C₁-C₆ alkyl, C₃-C₆ cycloalkyl, phenyl or phenyl monosubstituted with C₁-C₃ alkyl, C₁-C₃ alkoxy, halogen, C₁-C₃ haloalkyl or C₁-C₃ haloalkoxy;

R² represents hydrogen, C₁-C₆ alkyl or C₃-C₆ cycloalkyl; and

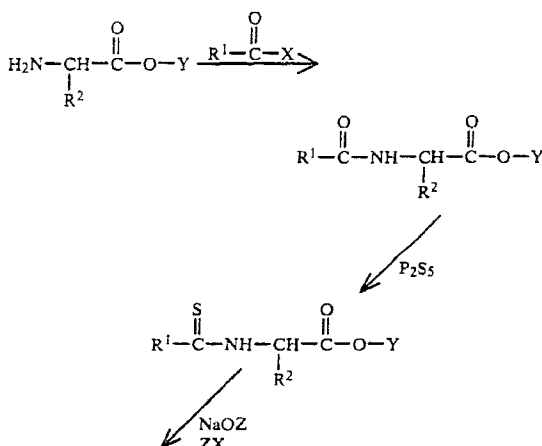
X¹ and X² represent hydrogen atoms or combine to form a carbon-carbon bond;

with the provisos that when R² is methyl, R¹ is other than phenyl; and when X¹ and X² combine to form a carbon-carbon bond and R² is ethyl, R¹ is other than phenyl, 4-chlorophenyl and 4-methoxyphenyl.

The present invention also provides a herbicidal method for the use of the present novel compounds, as well as compositions containing such compounds.

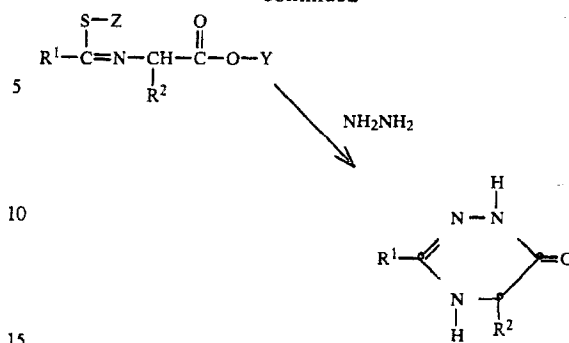
DETAILED DESCRIPTION OF THE INVENTION

The compounds of the present invention are preferably prepared by a process well known to those skilled in the art. This method of preparation involves reacting a glycine alkyl ester derivative with a substituted acid halide derivative to give the corresponding amide ester. The amide ester is reacted with phosphorus pentasulfide to give the substituted thioamide ester analog, which is reacted with an alkyl halide and sodium alkoxide to give the corresponding thioimino ether. This compound is finally reacted with hydrazine to give a 4,5-dihydro-1,2,4-triazin-6-one derivative of the present invention. The scheme for this reaction is as follows:



2

-continued



wherein X represents halogen, Y represents C₁-C₄ alkyl and Z represents C₁-C₄ alkyl.

The first step of this process involves acylating an α -amino acid derivative with an appropriately substituted acylating agent, for example an acid halide or anhydride analog. This procedure is well known to those skilled in the art.

The second step of the above described reaction process involves reacting an amide ester with phosphorous pentasulfide to give the corresponding thioamide ester. This reaction is generally performed in a hydrocarbon solvent such as benzene, toluene, the xylenes, hexane, octane and the like. Benzene, toluene and the xylenes are preferred. The temperature range suitable for addition of the reactants can be from 0° C. to 30° C. with 10° C. to 25° C. being preferred. Usually following addition of the reactants the temperature of the reaction mixture is increased to about 40° C. to 140° C., more preferably to the reflux temperature of the reaction mixture. The reaction is then worked up according to standard procedures. Typically, the solid is collected or the filtrate is concentrated in vacuo. The product then can be further purified by either crystallization or column chromatography.

The third step of the preferred reaction procedure used to prepare compounds of the present invention involves reacting the thioamide ester with sodium alkoxide and an alkyl halide to give the corresponding thioimino ether. Preferred reactants include sodium methoxide and methyl iodide. Suitable solvents for this procedure include the alcohols, for example methanol and ethanol. The reactants are usually added to the mixture at a temperature in the range of from about -25° C. to 10° C., preferably about 0° C. to 5° C. The mixture is then usually stirred from about 1 to about 24 hours, and then allowed to warm to about 25° C. Typically the reaction mixture is worked up by pouring the mixture into an ice water-organic solvent mixture. The organic phase, typically ether, is then collected, dried and concentrated. The product should then be used immediately in the next step of the reaction procedure to prevent its decomposition.

The final step of the reaction process involves reacting the thioimino ether with hydrazine in a suitable solvent such as the alcohols, preferably methanol or ethanol. The temperature range suitable for addition of the hydrazine can be from about -20° C. to about 30° C., preferably at about 0° C. The reaction mixture is typically allowed to stir at this temperature for a time period of from about 1 to about 4 hours. The mixture is then gradually warmed to a temperature of about 20° C. to 150° C., or the reflux temperature of the mixture, as

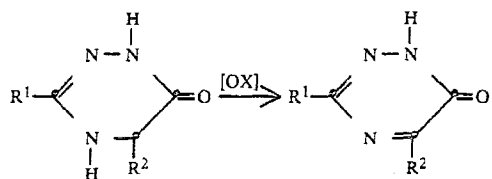
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3

required, until formation of the product has taken place. The reaction is then generally worked up by removing the solvent in vacuo, and purifying by standard procedures if desired.

Certain of the compounds of the present invention may be prepared by reacting a hippuric acid ester derivative with triphenyl phosphine and carbon tetrachloride in a suitable solvent to generate the chloro imino derivative, which is then reacted with hydrazine to give the corresponding 1,2,4-triazin-6-one. Suitable solvents for this reaction include most aprotic solvents with tetrahydrofuran being preferred. The mixture is usually heated to a temperature of about 30° to 100° C., or the reflux temperature of the reaction mixture. Following formation of the chloro intermediate, hydrazine is added. The reaction mixture is then stirred at a temperature in the range of 30° C. to 150° C., more preferably at the reflux temperature of the reaction mixture. The reaction is generally complete after about 1 to 24 hours. The product is then isolated by standard procedures. The mixture may be poured into water and extracted with a typical organic solvent. The product is extracted with acid, and the aqueous phase is neutralized with base. The product is then usually extracted with an organic solvent. The organic phase is then concentrated and the product purified according to well known procedures.

The compounds of the present invention wherein the triazinone ring is unsaturated may be prepared by oxidation procedures well known in the art as follows:



This reaction is preferably performed in a suitable solvent using any one of a broad class of known oxidizing agents, preferably DDQ (2,3-dichloro-5,6-cyano-1,4-benzoquinone). Suitable solvents for this reaction include most aprotic solvents such as ethyl acetate. Typically one equivalent of the oxidizing agent is added to the reaction mixture at a temperature of from about 0° C. to 100° C., more preferably 15° C. to 30° C. The mixture is generally stirred at this temperature until the reaction is complete, or the mixture may be heated to reflux, for example, to aid formation of the product. The reaction is then worked up according to standard procedures. Typically, after cooling the reaction mixture, the solid is collected or the solvent is removed in vacuo. The product may then be further purified by recrystallization or column chromatography.

A typical preparation of the starting materials used to prepare compounds of the present invention by the preferred process is represented by the following.

N-Benzoyl-L-valine, methyl ester

To 4.0 g. L-valine methyl ester hydrochloride dissolved in 25 ml water was added 3.35 g. benzoyl chloride while keeping the pH of the solution at approximately 8-9 with 1 N NaOH. The addition of the reactants the reaction mixture was stirred at about 25° C. for 4 hours and then cooled to about 0° C. for 16 hours. The precipitated solid was collected and the filtrate was extracted twice with ether. The above col-

4

lected solid was dissolved in this ether solution, which was then washed with a saturated sodium bicarbonate solution. The organic phase was dried and concentrated to give a white solid.

N-Thiobenzoyl-L-valine, methyl ester

To 3.0 g. N-benzoyl-L-valine, methyl ester dissolved in 50 ml benzene was added 0.56 g. phosphorus pentasulfide in one portion at 20° C. The mixture was refluxed for about 4 hours, cooled to about 20° C. and filtered. The resulting oil which was collected was washed with methylene chloride and filtered. The combined filtrates were concentrated under vacuum to yield a yellow oil. Column chromatography with silica gel and a hexane-ethyl acetate solvent system gave 1.89 g. (59%) of a yellow oil.

N-[2-(3-methyl)butyric acid methyl ester]-phenyl-(methylthio)imino ether

To 0.41 g. sodium methoxide dissolved in 20 ml methanol was added 1.8 g. N-thiobenzoyl-L-valine, methyl ester in 5 ml MeOH over a 2 minute period at about 0° C. Following addition the reaction mixture was allowed to stir for about four additional minutes, and 3.0 g. methyl iodide was added. The mixture was stirred for 5 hours and then allowed to warm to about 25° C. The mixture was poured into 25 ml of ice water and 75 ml ether. The organic phase was collected, washed with ice water, and dried over anhydrous magnesium sulfate. The solvent was removed in vacuo resulting in 1.72 g. yellow oil. The product was used immediately in the next step.

EXAMPLE 1

3-Phenyl-5-(1-methylethyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one

To 1.72 g N-[2-(3-methyl)butyric acid methyl ester]-phenyl(methylthio)imino ether in 10 ml methanol at 5° C. was added 0.7 g. hydrazine hydrate dissolved in 1 ml methanol dropwise over a 1 minute period. The mixture was allowed to stir at about 5° C. for 2 hours. The ice bath was removed, the reaction mixture was stirred at about 25° C. for 20 hours, and then refluxed for about 2 hours. The volatiles were removed in vacuo. The resulting oil was dissolved in methylene chloride and washed with water. The organic phase was dried over anhydrous sodium sulfate and the solvent was evaporated to afford 0.499 g. of a white solid. Yield 34%. M.P. = 195° C.

Analysis calculated for $C_{12}H_{15}N_3O$

Theory: C, 66.34; H, 6.96; N, 19.34; Found: C, 66.42; H, 5.47; N, 19.36.

EXAMPLE 2

3-Phenyl-4,5-dihydro-1,2,4-triazin-6(1H)-one

To 19.8 g. N-acetic acid-phenyl(methylthio)imino ether dissolved in 200 ml ethanol was added dropwise 9.4 g. hydrazine hydrate dissolved in ethanol. The mixture was allowed to stir at about 25° C. for 2 hours, and then heated in a water bath at 70° C. for four hours and cooled. The precipitated solid was collected by filtration to yield 8.6 g. Yield 55%. M.P. = 212°-217° C.

To 5.8 g. of methyl hippurate dissolved in 35 ml THF was added 3.9 g. of triphenylphosphine and 10.0 g. of carbon tetrachloride in 15 ml THF. The mixture was heated to about 50° C. for 6 hours and 3.0 g. of hydrazine hydrate was added. The mixture was refluxed for

about 18 hours, cooled and poured into water. The solution was extracted with ethyl acetate, and the organic phase was then extracted with 1 N HCl. The acidic extract was neutralized with 6 N sodium hydroxide and the product was extracted with ethyl acetate. The organic phase was dried over anhydrous magnesium sulfate and concentrated under vacuum. The solid was recrystallized from methanol to yield about 0.200 g. of solid. Yield 4%. M.P.=212°-216° C.

Analysis calculated for $C_9H_9N_3O$

Theory: C, 61.70; H, 5.18; N, 23.99; Found: C, 61.54; H, 4.99; N, 23.74.

EXAMPLE 3

3-Phenyl-1,2,4-triazin-6(1H)-one

To 0.60 g. 3-phenyl-4,5-dihydro-1,2,4-triazin-6(1H)-one dissolved in 40 ml ethyl acetate was added 0.80 g. DDQ (2,3-dichloro-5,6-dicyano-1,4-benzoquinone). The solution immediately became green and was stirred at about 25° C. for 16 hours. The reaction mixture was then refluxed for 3 hours and cooled in an ice bath. The solid was collected and washed with three 50 ml portions of hexane. Yield 0.37 g. 64% Yield.

The following examples were prepared by the preferred synthetic route as described above.

EXAMPLE 4

3-(4-Chlorophenyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one

71% Yield. M.P.=250°-260° C. d

Analysis calculated for $C_9H_8ClN_3O$

Theory: C, 51.57; H, 3.85; N, 16.91; Cl, 20.04; Found: C, 51.32; H, 4.03; N, 17.20; Cl, 19.94.

EXAMPLE 5

3-[3-(Trifluoromethyl)phenyl]-4,5-dihydro-1,2,4-triazin-6(1H)-one

55% Yield. M.P.=161°-163° C.

EXAMPLE 6

3-(1,1-Dimethylethyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one

21% Yield. M.P. 227°-231° C.

Analysis calculated for $C_7H_{13}N_3O$

Theory: C, 54.17; H, 8.44; N, 27.08; Found: C, 54.27; H, 8.27; N, 26.93.

The novel compounds of the present invention have been found to display useful pre- and post-emergence herbicidal activity against a variety of weed species. The compounds may be applied directly to the plants when young, but are preferably applied to the soil prior to the emergence of the plant. The compounds may be either incorporated into the soil, by using a conventional disc or harrow prior to planting the seeds of the desired crop species, or by surface applying the compound to the soil before the plant emergence. In this latter procedure the compounds are merely permitted to leach into the soil with the assistance of rainfall, for example. While the compounds of the present invention display activity against a wide variety of weed species, they are most effective against lambsquarter, large crabgrass, pigweed, foxtail and morningglory.

The term "growth inhibiting amount", as defined herein, refers to an amount of a compound of the present invention which either kills or stunts the growth of the weed species for which control is desired. This amount will generally be from about 0.05 to about 15.0 pounds of 1,2,4-triazin-6-one per acre (about 0.056 to

about 16.8 kg./ha.). The compounds are more preferably applied at rates of about 0.50 to about 8.0 pounds per acre (about 0.56 to about 8.96 kg./ha.). The exact concentration of compound required varies with the weed species to be controlled, type of formulation, soil type, climate conditions and the like.

The term "undesired plants", as defined herein, refers to both weeds and weed seeds which are present at the location to be treated with a compound of the present invention. The compounds can be applied to the soil to selectively control undesired plants by soil contact when the weed seeds are germinating and emerging. They can also be used directly to kill emerged weeds by direct contact with the exposed portion of the weed.

The compounds of the present invention may also be formulated with a suitable agriculturally-acceptable carrier. Such compositions will contain from about 0.1 to about 95.0 percent by weight of the active ingredient, depending on the composition desired. Sprayable formulations are preferred, mainly because of the rapidity and economy of application.

Dust compositions containing a compound of the present invention usually contain from about 0.1 to about 5 percent of the compound. Dusts are prepared by intimately mixing and finely grinding the compound with an inert solid such as ground montmorillonite clay, attapulgite clay, talc, ground volcanic rock, kaolin clay, or other inert, relatively dense, inexpensive substances.

The most convenient formulations are in the form of concentrated compositions to be applied by spraying as water dispersions or emulsions containing in the range from about 0.1 percent to about 10 percent of the compounds. Water-dispersible or emulsifiable compositions may be either solids usually known as wettable powders, or liquids usually known as emulsifiable concentrates.

A typical wettable powder comprises an intimate mixture of a compound of the invention, an inert carrier, and surfactants. The concentration of the active compound is usually from about 25 percent to about 90 percent by weight. The inert carrier is usually chosen from among the attapulgite clays, the montmorillonite clays, the diatomaceous earths, or the purified silicates. Effective surfactants, comprising from about 0.5 percent to about 10 percent of the wettable powder, are chosen from among the sulfonated lignins, the condensed naphthalenesulfonates, and the alkyl sulfates.

A typical emulsifiable concentrate comprises from about 0.1 to about 6 pounds of a compound of the invention per gallon of liquid, dissolved in a mixture of organic solvents and emulsifiers. The organic solvent is chosen with regard to its solvency and its cost. Useful solvents include the aromatics, especially the xylenes and the heavy aromatic naphthas. Hydrophilic cosolvents such as cyclohexanone and the glycol ethers such as 2-methoxyethanol may be included. Other organic solvents may also be used, including the terpenic solvents and kerosene. Suitable emulsifiers for emulsifiable concentrates are chosen from the alkylbenzenesulfonates, naphthalenesulfonates, and nonionic surfactants such as alkylphenol adducts of polyoxyethylene, and are used at similar percentages as for wettable powders.

Solid, granular compositions are convenient for the application of compounds of this invention to the soil. Granules comprise a compound of the invention dispersed on a granular inert carrier such as coarsely ground clay of from about 0.1 to about 3 mm. particle size. The compound is most conveniently applied to the

clay by dissolving it in an inexpensive solvent and applying the solution to the sized clay in an appropriate solids mixer.

The formulated compounds are applied to plants in the manners conventional in agricultural chemistry. Sprayable compositions are easily applied by any of the various types of sprayers available to the art. Self-propelled, tractor-mounted, and towed spray devices which apply the water-dispersed formulations through calibrated atomizing nozzles are available and effective. Metering applicators are also available which can apply accurately measured quantities of granular compositions to the soil. The operator of the application equipment need only take care to adjust the equipment to apply an amount of the water-dispersed or granular formulation per acre which supplies the desired application rate of the compound, and to apply the amount uniformly to the plants to be treated.

The herbicidal activity of representative compounds of the present invention is illustrated by the following experiments.

EXPERIMENT I

The compounds provided by this invention display herbicidal activity. The initial herbicide test was run at a test compound concentration of 15 lbs./acre (16.8 kg./ha.). In this test a standard sand:soil mixture (1:1) was sterilized at approximately 245° F. for 24 hours in an autoclave. Following sterilization the standard soil mixture was added to separate containers and tomato, large crabgrass and pigweed seeds were planted by row. Each container was fertilized with a 23-21-17 fertilizer.

The test compounds were formulated for application by dissolving 20 mg. of the compound into 2 ml of solvent. The solvent was prepared by placing 1.174 g of Toximul R and 0.783 g. of Toximul S (proprietary blends of anionic and nonionic surfactants manufactured by Stepan Chemical Company, Northfield, Illinois) into 100 ml of acetone and 100 ml of ethyl alcohol. The solvent/compound solution was diluted to 8 ml with deionized water. The solution was applied post-emergence to some planted containers and pre-emergence to others using a modified deVilbiss atomizer. Postemergence treatment was made 11 to 13 days after planting while pre-emergence treatment was made one day after planting.

Following treatment the containers were moved to the greenhouse and watered as necessary. Observations were made 10 to 13 after treatment using untreated control plants as standards. The degree of herbicidal activity was determined by rating the treated plants on a scale of 1 to 5. On this scale "1" indicates no injury,

"2" is slight injury, "3" is moderate injury, "4" is severe injury and "5" indicates death to the plant or no seedling emergence. Also, the various types of injury of each test species were coded as follows.

A=abscission of leaves

B=burned

C=chlorosis

D=death

E=epinasty

F=formation effects

G=dark green

I=increased plant growth

L=local necrosis

N=no germination

P=purple pigmentation

R=reduced germination

S=stunting

U=unclassified injury

Table I presents the herbicidal activity of typical 1,2,4-triazin-6-ones of the present invention when evaluated in the herbicide test described above.

TABLE I

Example No. of Compound Tested	Herbicide Pretest at 15 lbs./acre (16.8 kg./ha.)					
	Preemergence			Postemergence		
	Tomato	Large Crab- grass	Pig- weed	Tomato	Large Crab- grass	Pig- weed
1	1	1	1	1	1	1
2	3RS	4RS	3RS	1	3BS	3BS
3	1	1	3RS	2S	1	1
4	1	4RS	5N	1	2S	1

EXPERIMENT 2

The herbicidal activity of some of the compounds of the present invention was further evaluated at various application rates in a multiple species greenhouse test. Several additional weed and crop species were utilized to determine the herbicidal activity and selectivity of the test compounds. The compounds were formulated according to the procedure as described above, except that about 4 g./100 ml of the compound was dissolved in the surfactant containing solvent and about one part of the organic solution was diluted with 12 parts of water before application to the seed containers. Table II represents preemergence herbicidal test results administered at 8 lbs./acre (8.96 kg./ha.) or less, while Table III represents postemergence test data administered only at 8 lbs./acre.

TABLE II

Exam- ple No. of Com- pound Tested	Rate of Appln. lbs/acre (kg/ha)	Preemergence								
		Crops								
		Corn	Cotton	Soybean	Wheat	Alfalfa	Sugar Beet	Rice	Cu- cumber	Tomato
1	8.0(8.96)	1								
2	8.0(8.96)	1								
	8.0(8.96)	4								
	4.0(4.48)	1	4	3	1	4	3	4	4	2
	2.0(2.24)	1	1	1	1	1	1	1	1	1
	1.0(1.12)	1	2	1	1	1	1	1	1	1
3	8.0(8.96)	1								
4	8.0(8.96)	2								
5	8.0(8.96)	2								
	4.0(4.48)	3	1	2	1	1	3	3	3	1

TABLE II-continued

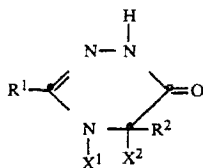
	2.0(2.24)	1	1	1	1	1	1	1	1	1	1	
	1.0(1.12)	1	1	1	1	1	1	1	1	2	1	
6	8.0(8.96)	1										
Example No. of Compound Tested	Rate of Appln. lbs/acre (kg/ha)	Weeds										
		Barn- yard Grass	Lambs- quarter	Large Crab- grass	Mus- tard	Pig- weed	Fox- tail	Wild Oat	Vel- vet- leaf	Jim- son- weed	Morn- ing- glory	Zin- nia
1	8.0(8.96)			2		2	1		1		1	1
2	8.0(8.96)			3		3	4		2		2	2
	8.0(8.96)			5		4	5		4		4	5
	4.0(4.48)	2	3	4	3	2	4	2	2	1	3	4
	2.0(1.24)	1	1	2	2	1	2	2	2	1	2	2
	1.0(1.12)	1	1	1	1	1	1	1	1	1	1	1
3	8.0(8.96)			1		1	1		1		1	1
4	8.0(8.96)			3		3	4		2		1	2
5	8.0(8.96)			4		4	1		3		1	1
	4.0(4.48)	2	5	4	1	3	2	5	3	4	3	2
	2.0(2.24)	1	2	2	1	1	1	1	2	1	1	1
	1.0(1.12)	1	1	1	1	1	1	1	1	1	1	1
6	8.0(8.96)			2		1	1	1	1		1	1

TABLE III

		Postemergence						
Exam- ple No. of Com- pound Tested	Rate of Appln. lbs/ acre (kg/ ha)	Corn	Large Crab- grass	Pig- weed	Fox- tail	Vel- vet- leaf	Morn- ing- glory	Zin- nia
1	8.0 (8.96)	1	1	1	1	1	1	1
2	8.0 (8.96)	1	1	1	1	1	1	1
3	8.0 (8.96)	1	1	2	1	1	2	2
4	8.0 (8.96)	1	1	2	1	2	2	2
5	8.0 (8.96)	1	1	1	1	1	1	1
6	8.0 (8.96)	1	1	2	1	1	2	1

I claim:

1. A compound of the formula



wherein:

R¹ represents C₁-C₆ alkyl, C₃-C₆ cycloalkyl, phenyl or phenyl monosubstituted with C₁-C₃ alkyl, C₁-C₃ alkoxy, halogen, C₁-C₃ haloalkyl or C₁-C₃ haloalkoxy;

R² represents hydrogen, C₁-C₆ alkyl or C₃-C₆ cycloalkyl; and

25 X¹ and X² represent hydrogen atoms or combine to form a carbon-carbon bond;

with the provisos that when R² is methyl, R¹ is other than phenyl; and when X¹ and X² combine to form a carbon-carbon bond and R² is ethyl, R¹ is other than phenyl, 4-chlorophenyl and 4-methoxyphenyl.

30 2. A compound of claim 1 wherein R¹ is phenyl.

3. A compound of claim 2 wherein R² is hydrogen.

4. The compound of claim 3 which is 3-phenyl-4,5-dihydro-1,2,4-triazin-6(1H)-one.

35 5. A compound of claim 3 wherein X¹ and X² combine to form a carbon-carbon bond.

6. The compound of claim 5 which is 3-phenyl-1,2,4-triazin-6(1H)-one.

40 7. A compound of claim 2 wherein R² is C₁-C₆ alkyl.

8. The compound of claim 7 which is 3-phenyl-5-(1-methylethyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one.

9. A compound of claim 1 wherein R¹ is phenyl monosubstituted.

45 10. A compound of claim 9 wherein R² is hydrogen.

11. The compound of claim 10 which is 3-(4-chlorophenyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one.

12. The compound of claim 10 which is 3-[3-(trifluoromethyl)phenyl]-4,5-dihydro-1,2,4-triazin-6(1H)-one.

50 13. A compound of claim 1 wherein R¹ is C₁-C₆ alkyl.

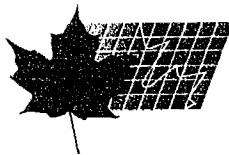
14. A compound of claim 13 wherein R² is hydrogen.

15. The compound of claim 14 which is 3-(1,1-dimethylethyl)-4,5-dihydro-1,2,4-triazin-6(1H)-one.

55 16. A method for controlling undesired plants which comprises applying to the plants a growth inhibiting amount of a compound of claim 1.

17. A herbicidal composition which comprises a growth inhibiting amount of a compound of claim 1 and an agriculturally-acceptable carrier.

* * * * *



Ottawa Hull K1A 0C9

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C07D-253/06; A61K-031/53

(19) (CA) **APPLICATION FOR CANADIAN PATENT** (12)

(54) Heterocyclic Compounds with Antiasthmatic/Anti-Allergic,
Anti-Inflammatory, Positive Inotropic and Blood
Pressure-Reducing Effect

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(30) (DE) P 42 39 540.2 1992/11/25

(57) 11 Claims

5,089,3/48

Notice: This application is as filed and may therefore contain an
incomplete specification.



Industrie Canada Industry Canada

3488

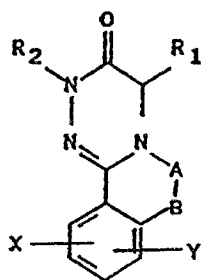
Canada

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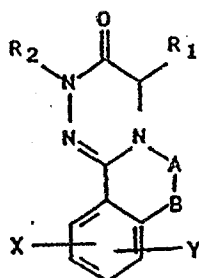
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ABSTRACT OF THE DISCLOSURE

Compounds of general formula I are described that have anti-asthmatic, anti-allergic and circulatory active effects as well as processes for their preparation:



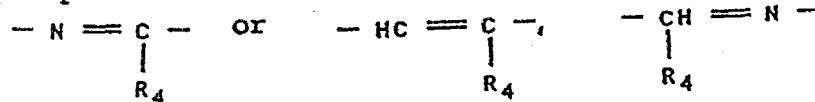
The compounds of the invention may be described by formula I:



where:

R₁ and R₂ may be the same or different and represent hydrogen or alkyl groups with chain lengths from C₁-C₄, which may also be branched, benzyl groups that are substituted by halides, such as for example fluorine, chlorine or bromine, other substituents of the benzyl group may be -CH₃, -NO₂, amino and substituted amino groups as well as -CF₃; if R₂ = benzyl or is substituted benzyl R₂ may also be present in annealed form,

A-B may represent



where:

R₄ represents alkyl, branched alkyl with a chain length of C₁-C₄, phenyl substituted once or several times by halide and/or nitro groups, phenyl substituted by alkyl groups with 3-6 carbon atoms which may be straight-chain or branched, phenyl substituted by alkoxy groups, cyclic alkoxy, thiaalkyl-quinuclidyl, by aryl groups or heteroarylmethoxy groups.

A may represent alkyl straight-chain or branched, with a chain length from 1-6 carbon atoms or benzyl or benzyl substituted by halogen,

5 B may represent halogen if there is no bond between A and B.

X may represent aryl or heteroaryl, aryl or heteroarylmethoxy, heteroarylmethylamino or
10 heteroarylmethylthio

Y may represent halogen, hydroxy, O-alkyl, with a chain length of 1-6 carbon atoms, NO_2 , NR_5R_6 , where R_5 and R_6 may be the same or different and may be hydrogen, alkyl,
15 branched alkyl with 1-6 carbon atoms.

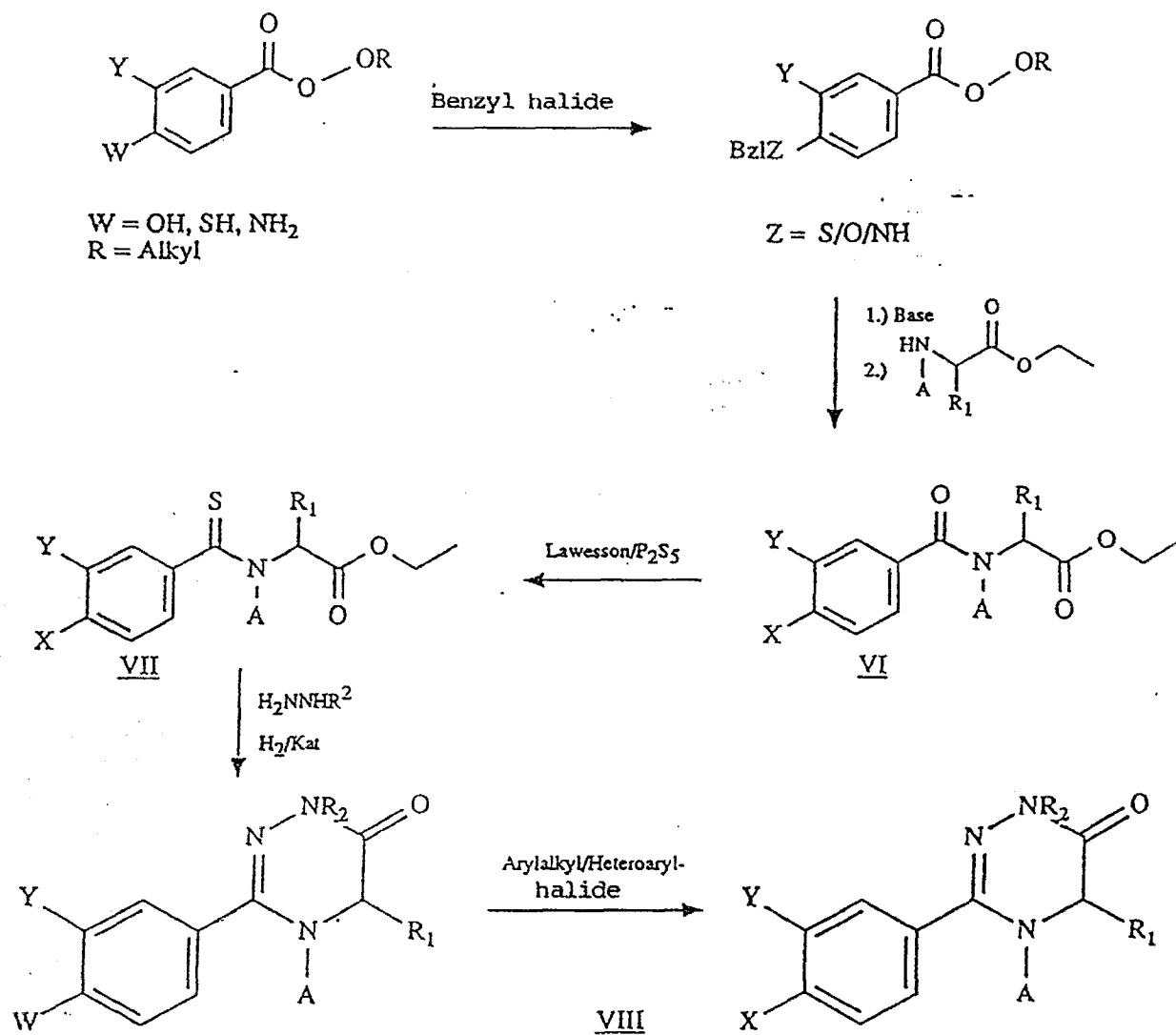
X and Y may also be present several times.

The compounds of the invention may also be present in
20 tautomeric form.

An asymmetric carbon atom in the molecule leads to the occurrence of the compounds of the invention in enantiomorphic form. The compounds of the invention may be
25 enantiomerically pure and present in the (R)- or (S)- form or in the form of the racemic mixture or in mixtures of any composition.

Synthesis of the compounds

Diagram IIc



$\text{A} = \text{Alkyl } \text{C}_1\text{-C}_4, \text{Benzyl}$
 $\text{R}_1 = \text{H}, \text{Alkyl}, \text{Benzyl}$
 $\text{R}_2 = \text{H}, \text{Alkyl}, \text{Benzyl}$

(19)  Canadian
Intellectual Property
Office

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d'Industrie Canada

(11) **CA 2 362 385** (13) **A1**

(40) 17.08.2000

(43) 17.08.2000

(12)

(21) **2 362 385**

(22) **15.02.2000**

(51) Int. Cl. 7: **C07D 253/06, A61P 25/00,
C07D 273/04, C07D 413/04,
C07D 285/18, A61K 31/53,
A61K 31/5395, A61K 31/549**

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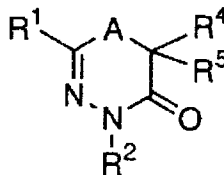
(74) **SMART & BIGGAR**

(54) **DERIVES D'HETERODIAZINONE**

(54) **HETERODIAZINONE DERIVATIVES**

(57)

Heterodiazinone derivatives represented by general formula (1), pharmacologically acceptable salts of the same, or hydrates of both, exhibiting 2-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor antagonism wherein A is O, S or NR³ (wherein R³ is hydrogen or lower alkyl); R¹ and R² are each independently optionally substituted (hetero)aryl or the like; and R⁴ and R⁵ are each independently hydrogen, hydroxyl, halogeno, cyano, nitro, lower alkyl, (hetero)aryl, or the like.

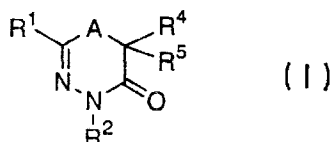


(1)

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Claims

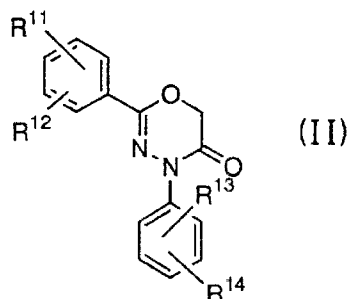
1. A heterodiazinon compound represented by the following formula (I), a pharmacologically acceptable salt thereof or hydrates thereof.



In the formula, A represents oxygen, sulfur or a group represented by the formula $>NR^3$ (wherein R^3 represents hydrogen atom or a lower alkyl group); R^1 and R^2 are the same as or different from each other and each represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted heteroaryl alkyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, an optionally substituted piperidyl group, an optionally substituted piperazinyl group, a morpholinyl group, an optionally substituted lower cycloalkyl group, a tetrahydrofuranyl group, a tetrahydropyranyl group, an adamantyl group, an optionally substituted amino group or an optionally substituted amide group; and R^4 and R^5 are the same as or different from each other and each represents hydrogen atom, hydroxyl group, a halogen atom, nitrile group, nitro group, a lower alkyl group, an aryl group or a heteroaryl group, provided that the compounds represented by the following

831
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formula (II):



(wherein R^{11} and R^{12} are the same as or different from each other and each represents hydrogen atom, fluorine, chlorine, bromine, iodine, a C1-C2 fluoroalkyl group, a C1-C2 chloroalkyl group, a C1-C2 bromoalkyl group, a C1-C6 alkyl group, a C3-C6 cycloalkyl group, a C7-C9 aralkyl group, phenyl group, a C1-C6 alkoxy group, a C1-C6 alkylthio group, a C1-C6 alkylsulfinyl group, a C7-C9 aralkoxy group, phenoxy group, phenylthio group, phenylsulfonyl group, an alkali metal carboxylate C2-C5 alkoxycarbonyl group or a group represented by the formula $-N(R^{15})R^{16}$ (wherein R^{15} and R^{16} are the same as or different from each other and each represents hydrogen atom or a C1-C2 alkyl group); and R^{13} and R^{14} are the same as or different from each other and each represents a C_{1-n} alkylsulfonyl group, nitro group, a group represented by the formula $-OCH_nX_{3-n}$ (wherein X represents fluorine, chlorine, bromine or iodine; and n is an integer of 1 to 3) or the same groups as defined above for R^{11} and R^{12}) are excluded.

2. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^4 and R^5 are the same as or different from each other

and each represents hydrogen atom, hydroxyl group, a C₁₋₆ alkyl group or an aryl group.

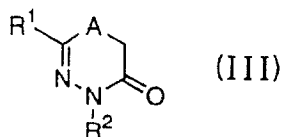
3. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R⁴ is hydrogen atom and R⁵ is hydroxyl group, a C₁₋₆ alkyl group or an aryl group.

4. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R⁴ is hydrogen atom and R⁵ is hydroxyl group, methyl group, ethyl group, n-propyl group, i-propyl group or phenyl group.

5. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R⁴ and R⁵ are the same as or different from each other and each represents methyl group, ethyl group, n-propyl group or i-propyl group.

6. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein A is oxygen.

7. The heterodiazinon compound according to claim 1, wherein R⁴ and R⁵ are hydrogen and which is represented by the following formula (III):



(wherein A, R¹ and R² have the same meanings as defined above),

333
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a pharmacologically acceptable salt thereof or hydrates thereof.

8. The heterodiazinon compound according to claim 7, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^1 is an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted heteroaryl alkyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, a morpholinyl group, a lower cycloalkyl group, an optionally substituted amino group or an optionally substituted amide group; and R^2 is an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted heteroaryl alkyl group, a lower cycloalkyl group, a tetrahydrofuranyl group, a tetrahydropyranyl group, an optionally substituted piperidyl group or an adamantyl group.

9. The heterodiazinon compound according to claim 7 or 8, a pharmacologically acceptable salt thereof or hydrates thereof, wherein the substituent groups on R^1 and R^2 are hydrogen atom, halogen atom, hydroxyl group, lower alkyl group, lower alkenyl group, lower alkynyl group, lower alkoxy group, lower thioalkoxy group, hydroxy lower thioalkoxy group, arylthio group, heteroaryl thio group, heteroaryl(hydroxy)alkyl group, halogenated lower alkyl group, hydroxy lower alkyl group, dihydroxy lower alkyl group, halogenated (hydroxy) lower alkyl

334

group, hydroxyalkenyl group, hydroxyalkynyl group, hydroxy lower cycloalkenyl group, lower alkoxy(hydroxy)alkyl group, lower alkoxy(hydroxy)alkoxy group, lower alkoxy alkyl group, lower alkoxy alkoxy group, lower thioalkoxy alkoxy group, lower alkyl sulfonyl alkoxy group, hydroxy lower alkoxy group, dihydroxy lower alkoxy group, hydroxy lower alkyl alkoxy group, hydroxy imino lower alkyl group, lower cycloalkyl(hydroxy)alkyl group, aralkyl group, hydroxyaralkyl group, cyano group, cyano lower alkyl group, amide group, N-lower alkyl amide group, N-lower cycloalkyl amide group, N,N-di-lower alkyl amide group, N-hydroxy lower alkyl amide group, N-hydroxy lower alkyl-N-lower alkyl amide group, N-aryl amide group, cyclic aminocarbonyl group, carbamoyl group, N-lower alkyl carbamoyl group, N,N-di-lower alkyl carbamoyl group, aminosulfonyl group, cyclic aminosulfonyl group, N-lower alkyl aminosulfonyl group, N-lower cycloalkyl aminosulfonyl group, N,N-di-lower alkyl aminosulfonyl group, N-hydroxy lower alkyl aminosulfonyl group, N-lower alkoxy alkyl aminosulfonyl group, N-halogenated lower alkyl sulfonyl group, pyrrolidinyl sulfonyl group, lower alkyl sulfonyl amino alkyl group, N-lower alkyl aminosulfonyl alkyl group, N,N-di-lower alkyl aminosulfonyl alkyl group, lower acyl group, lower acyl alkyl group, lower cycloalkyl(hydroxy)methyl group, tetrahydropyranyl group, hydroxytetrahydropyranyl group, hydroxy lower alkyl tetrahydropyranyl group, lower acyl amino alkyl group, (thiazole-2-yl)hydroxymethyl group,

**SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISIÓN DE NUEVAS CREACIONES**

202085
Bogotá, D.C.,

Abogado
EMILIO FERRERO

ASUNTO	Radicación	03035666
	Trámite	011
	Evento	379
	Actuación	430
	Oficio	12178
	Folios	22

Patente de Invención ☒ Patente de Modelo de Utilidad ☐

Vía Nacional ☐

Vía PCT (fase nacional) ☒ Cap. I ☐ Cap. II ☒

No. Sol. Internacional: PCT/US01/50363

Fecha de Presentación Internacional: 26 de octubre de 2001

Como resultado del examen de fondo, realizado con fundamento en el artículo 45 de la Decisión 486 de la Comisión de la Comunidad Andina, se le comunica:

1. Documentos estudiados

- 1.1. Capítulo descriptivo: Folios 107 a 227 tal y como fueron presentados.
- 1.2. Capítulo reivindicatorio: Folios 228 a 254 tal y como fueron presentados.
Folios 293 a 299 reivindicaciones modificadas.
- 1.3. Prioridad: folio 1- US 60/243,803- 27 de octubre de 2000.
- 1.4. Respuesta del solicitante: Folios 308 a 312
- 1.5. Nuevo capítulo reivindicatorio: Folios 314 a 316

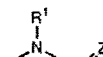
2. Objeto de la invención

Título de la solicitud: 4, 5 dihidro- 1,2,4 triazin-6.onas, 1,2,4-triazin-6-onas y su uso como fungicidas e insecticidas. Folio 1.

Objeto de la invención: El objeto de la presente solicitud de patente de invención se refiere a dihidrotriazinonas, triazinonas y compuestos relacionados. También se relaciona con composiciones que comprenden dichos compuestos y métodos para preparar esos compuestos, así como métodos de uso de los compuestos como fungicidas e insecticidas.

Reivindicación 1

Un compuesto de la fórmula:



Reivindicación 2

Una composición fungicida

El problema técnico planteado en esta solicitud radica en la necesidad de fungicidas e insecticidas mejorados de amplio espectro. Debido a que los blancos pueden volverse resistentes a fungicidas e insecticidas ya existentes. Para solucionar este problema técnico la solicitud plantea dihidrotriazonas, triazonas y composiciones que comprenden dichos compuestos. También enseña métodos para preparar dichos compuestos.

El objeto de la solicitud definido anteriormente se clasificó en la IPC A01N 43/707, C07D 487/04

3. De la solicitud de Patente

3.1. Reivindicaciones (artículo 30 D 486)

La nueva reivindicación 1 indica que los significados del sustituyente Z pueden ser un átomo de oxígeno ó un átomo de azufre. Sin embargo los ejemplos muestran claramente que Z siempre es un átomo de oxígeno. De modo tal que el átomo de azufre es una simple generalización no sustentada en la descripción y por lo tanto la reivindicación 1 no se encuentra enteramente sustentada en la descripción.

De otra parte la reivindicación 2 aunque hace referencia a una composición, solo repite la misma información de la reivindicación 1, no se caracteriza a una composición propiamente dicha y simplemente hace referencia a la aplicación final del compuesto de fórmula (I) en su acción fungicida. Se le recomienda al solicitante que retire esta reivindicación.

En conclusión, estas reivindicaciones no cumplen con el requisito de claridad y sustento en la descripción de acuerdo al art. 30 de la Decisión 486 o art.22 Tratado PCT.

4. Determinación del Estado de la Técnica

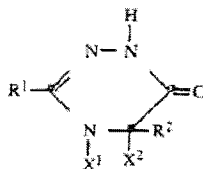
Considerando que la fecha de la prioridad de la solicitud internacional PCT es 27 de Octubre de 2000, se realizó la búsqueda en el estado de la técnica y se encontraron los documentos relacionados a continuación, que pueden afectar la patentabilidad del objeto de la presente solicitud:

Nº	Documento	Título	Fecha de publicación
D1	US4362550	Herbicidal Triazones	1982-12-07
D2	CA2109900	Heterocyclic compounds with antiasthmatic/anti-allergic, anti-inflammatory, positive inotropic and blood pressure-reducing effect	1994-05-26
D3	CA2362385	Heterodiazinon compound	2000-08-17

5. Análisis de patentabilidad (artículo 14 D486)

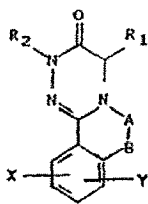
5.1. Nivel inventivo (artículo 18 D486)

El documento D1 divulga un compuesto de fórmula (a) y su aplicación como herbicida en cultivos agrícolas.

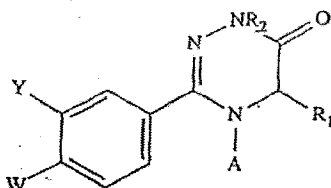


(a)

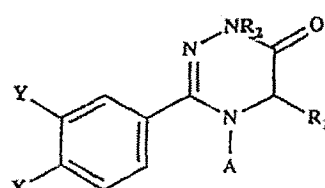
El documento D2 divulga un compuesto de fórmula (b) y procesos para su preparación; donde se incluyen como intermediarios los compuestos de fórmulas (c) y (d):



(b)

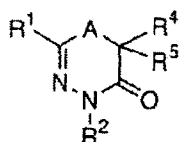


(c)



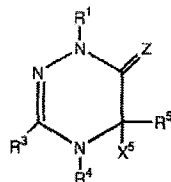
(d)

Por último el documento D3 enseña derivados de heterodiazonas de fórmula (e)



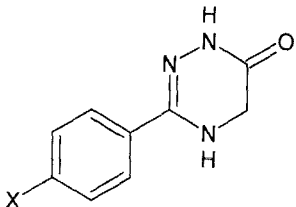
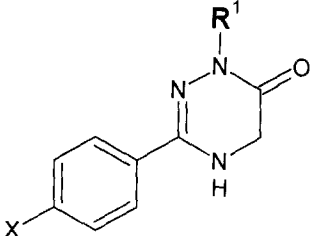
(e)

La solicitud de patente en estudio presenta un compuesto de fórmula (I)



(I)

Cuando se comparan las posibles sustituciones del compuesto de fórmula (I) de la presente solicitud con las posibles sustituciones del compuesto de fórmula (a) de D1 se obtienen estructuras **muy cercanas**. A continuación se presentara un ejemplo con el fin de ilustrar la similitud de las estructuras.

Cuando en la anterioridad D1	Cuando en la solicitud
H	R ¹ es alquilo.... (diferencia)
R ¹ es fenilo mono-sustituido con haloalquilo.	R ³ es fenilo mono-sustituido con haloalquilo
R ² y X ¹ son H	R ⁴ y R ⁵ son H
O	Z es O ó S
X ² es H	X ⁵ es H
ó X ¹ y X ² forman un enlace	ó X ⁵ y R ⁴ es un enlace
Se tendrían las siguientes estructuras	
 X: halógeno	 X: halógeno

Es evidente que el compuesto de la fórmula (I) de la presente solicitud, resulta novedoso respecto a D1 debido al radical R¹. Sin embargo D1 ya había divulgado claramente un núcleo central de triazinona que presenta una actividad biológica tóxica.

De este modo un técnico medio en la materia a partir de las enseñanzas de D1, podría fácilmente modificar la estructura planteada en D1 adicionando algunos sustituyentes comunes en la técnica (para hacerla novedosa) y aún esperar una actividad biológica tóxica como por ejemplo una actividad insecticida que evidentemente se deriva de la actividad biológica tóxica que plantea D1.

Más aún D2 y D3 también habían divulgado estructuras tipo triazinonas en donde el átomo de nitrógeno en la posición 1 estaba sustituido con radicales tipo alquilo ó cicloalquilo. De modo tal que la sustitución en esta posición también resulta evidente.

En consecuencia un técnico medio en la materia no solo a partir de las enseñanzas de D1, sino combinando las enseñanzas de D1 y D2 ó D1 y D3 hubiera podido presentar un compuesto de estructura (I), como el planteado en esta solicitud y esperar una actividad biológica tóxica. Así las cosas, el compuesto y la composición de la presente solicitud no representan un salto cualitativo en la técnica, se derivan de manera obvia del arte previo y carecen de nivel inventivo.

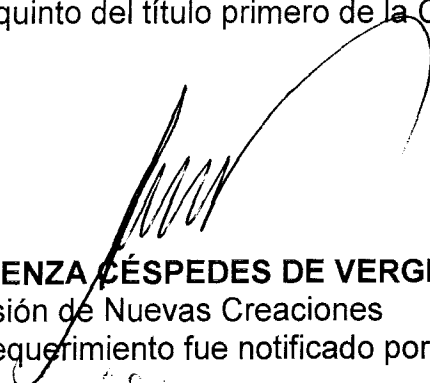
6. Conclusión:

La solicitud presenta problemas de claridad (artículos 28 y 30) y los requisitos de patentabilidad de la presente solicitud se encuentran afectados así:

N°	DOCUMENTO	REIVINDICACIONES AFECTADAS	REQUISITO QUE AFECTA
D1	US4362550	1-2	Nivel Inventivo
D2	CA2109900	1-2	Nivel Inventivo
D3	CA2362385	1-2	Nivel Inventivo

En cumplimiento del artículo 45 de la Decisión 486 de la Comisión de la Comunidad Andina, se le indica que debe dar respuesta dentro del término de sesenta días contados a partir de la fecha de notificación de la presente comunicación. En caso de no dar respuesta al presente requerimiento, o si a pesar de la respuesta subsistieran los impedimentos para la concesión, se denegará la patente.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Única N° 10 de 2001.


ALIX CARMENZA CÉSPEDES DE VERGEL

Jefe de División de Nuevas Creaciones

El anterior requerimiento fue notificado por fijación en lista, de fecha

26/07/2019
CONCEPTO TÉCNICO No. 2590

EXAMINADOR TÉCNICO
Código No.202085