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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 06-101311- -00003-0000

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Act. 538 PETICION Folios: 2

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

Trámite : 011 Evento : 379 Actuación : 538

Referencia : Expediente No. 06101311
Asunto : Solicitud de patente para SULFOXIMINAS N-
SUSTITUIDAS INSECTICIDAS
Solicitante : DOW AGROSCIENCES LLC
Publicada en : La Gaceta No. 583 del 18 de diciembre de 2007

SOLICITUD EXAMEN DE PATENTABILIDAD

1. Yo, Emilio FERRERO, identificado como aparece al pie de mi firma, actuando como apoderado de la sociedad DOW AGROSCIENCES LLC, atentamente solicito se efectúe el examen de patentabilidad de la solicitud en referencia presentada de acuerdo con las reglas del Capítulo II del PCT y según lo establecido en el artículo 44 de la Decisión 486 de la Comisión de la Comunidad Andina.

Para tal efecto presento junto con este escrito el recibo por valor de la tasa legal fijada para el efecto según lo dispuesto en la Resolución No. 44372 del 26 de diciembre de 2007.

2. Por lo anterior, comedidamente solicito se prosiga con el trámite de este asunto.

Señora Jefe,


EMILIO FERRERO
T.P.A. No. 31.929

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



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***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	Nº. PAGO	FECHA PAGO	Vr. PAGO
CAVELIER ABORADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	766113	27/05/2008	47,547,000.00

***** CONCEPTO *****

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		114 PTC CAP-II EXAMEN DE PATENTIBILIDAD	210,000.00
		TOTAL :	\$ 210,000.00

SDN: DOSCIENTOS DIEZ MIL PESOS

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DIVISIÓN DE NUEVAS CREACIONES

RADICACIÓN EXPEDIENTE No. 06-101311

EL EXTRACTO DE LA PRESENTE SOLICITUD DE **PATENTE DE INVENCION**

FUE PUBLICADO EN LA GACETA DE PROPIEDAD INDUSTRIAL No **583** DE

FECHA **18 DE DICIEMBRE DE 2007** EL TÉRMINO HÁBIL SEÑALADO POR LA

LEY EXPIRA EL **14 DE MARZO DE 2008**

NOTA: Dentro del plazo de los seis (6) meses siguientes a partir de la fecha de publicación en la gaceta de Propiedad Industrial, deberá solicitar y cancelar el examen de patentabilidad so pena de que la solicitud caiga en abandono, de acuerdo con lo establecido en el Artículo 44 de la Decisión 486/2000.

SE PRESENTARON OPOSICIONES POR PARTE DE TERCEROS.

SI _____ NO X



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(21) International Application Number: PCT/EP96/02363 (22) International Filing Date: 31 May 1996 (31.05.96) (30) Priority Data: 08/464,372 5 June 1995 (05.06.95) US (71) Applicant (for all designated States except US): RHONE-POULENC AGROCHIMIE [FR/FR]; DPI, 14/20, rue Pierre-Baizet, F-69009 Lyon (FR). (72) Inventors; and (75) Inventors/Applicants (for US only): LOWDER, Patrick, Doyle [US/US]; 3532 Peach Haven Court, Raleigh, NC 27607 (US). MANNING, David, Treadway [US/US]; 102 Summerwinds Drive, Cary, NC 27511 (US). PHILLIPS, Jennifer, Lantz [US/US]; 4977 N.C. Highway 751, Apex, NC 27502 (US). PILATO, Michael, Thomas [US/US]; 206 Livingstone Drive, Cary, NC 27513 (US). WU, Tai-Teh [US/US]; 200 Collinson Drive, Chapel Hill, NC 27514 (US). (74) Agent: BRACHOTTE, Charles; Rhône-Poulenc Agrochimie, DPI, 14/20, rue Pierre-Baizet, F-69009 Lyon (FR).		(81) Designated States: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>
(54) Title: PESTICIDAL SULFUR COMPOUNDS (57) Abstract Pyrazole, pyrrole and imidazole derivatives having formula (I) or (II) wherein =X is =NR^3, =O or an electron pair and Q comprises certain pyrazole, pyrrole and imidazole structures which, together with the remaining substituents, are defined in the description, are useful for controlling arthropod, nematodes, helminth or protozoan pests. $\begin{array}{c} \text{X} \quad \text{NR}^2 \\ \diagdown \quad \diagup \\ \text{S} \\ \diagup \quad \diagdown \\ \text{R}^1 \quad \text{Q} \end{array} \quad (I)$ $\left[\begin{array}{c} \text{NH}_2 \\ \\ \text{S} \\ / \quad \backslash \\ \text{R}^1 \quad \text{Q} \end{array} \right]^{\oplus} \cdot \frac{1}{m} z m^{\ominus} \quad (II)$		

NO DRAWINGS

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(72) Inventors PETER KIRBY and KENNETH EDWARD
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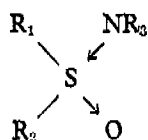


(54) SULPHOXIMINE DERIVATIVES AND THEIR USE IN
 HERBICIDAL COMPOSITIONS

(71) We, SHELL INTERNATIONAL-
 ALE RESEARCH MAATSCHAPPIJ N.V.,
 a company organised under the laws of The
 Netherlands, of 30 Carel van Bylandtlaan,
 The Hague, The Netherlands, do hereby de-
 clare the invention, for which we pray that a
 patent may be granted to us, and the method
 by which it is to be performed, to be particu-
 larly described in and by the following state-
 ment:—

This invention relates to sulphoximine deri-
 vatives which exhibit herbicidal and pesticidal
 properties.

Accordingly the present invention provides
 biologically active compositions comprising a
 carrier or a surface-active agent, or both a
 carrier and a surface-active agent, together
 with, as active ingredient, at least one sul-
 phoximine derivative of formula:—



I

wherein R_1 represents an optionally sub-
 stituted aryl group; R_2 represents an alkyl or
 aryl group or R_1 and R_2 together represent a
 polymethylene group; and R_3 represents a hy-
 drogen or halogen atom or a nitro or acyl-
 group together with the salts of such deriva-
 tives. Examples of suitable substituents on the
 aryl group are halogen atoms and hydroxy,
 nitro, alkyl, alkyl- and dialkylamino, and di-
 alkylphosphorothionate groups.

The term "acyl" is used herein in its broad-
 est sense to denote a group obtained by the
 removal of a hydroxy group from an organic
 acid and includes not only groups derived from

carboxylic acids and their thio analogues, for
 example alkanoyl and substituted carbamoyl
 groups, but also groups derived from sub-
 stituted carbonic and thiocarbonic acids, for
 example alkoxycarbonyl and (alkylthio) car-
 bonyl groups, or from organo sulphur acids, for
 example an alkyl- or aryl-sulphonyl group.

Preferred compositions are those in which
 the active ingredient is a sulphoximine deriva-
 tive of formula I wherein R_1 represents a
 phenyl group optionally substituted by one or
 more halogen atoms, for example chlorine,
 bromine or iodine atoms, by one or more nitro
 groups, or by a hydroxy, alkyl, for example
 methyl, alkylamino, for example propylamino,
 dialkylamino, for example dipropylamino, or
 dialkyl phosphorothionate, for example di-
 ethylphosphorothionate, group; R_2 represents
 an alkyl group of 1—6 carbon atoms, for ex-
 ample a methyl or a phenyl group, or R_1 and
 R_2 together represent a polymethylene group
 of up to 5 carbon atoms, for example a tetra-
 methylene group; and R_3 represents a hydro-
 gen or chlorine atom, a nitro group, an option-
 ally chlorinated alkanoyl group of 1—6 car-
 bon atoms, particularly a formyl, acetyl,
 chloroacetyl, dichloroacetyl, trichloroacetyl,
 propionyl, dichloropropionyl, butyryl or iso-
 butyryl group, a cycloalkylcarbonyl group of
 up to 6 carbon atoms, particularly a cyclo-
 propylcarbonyl group, an aroyl group, particu-
 larly a benzoyl group, and N - substituted or
 N,N - disubstituted carbamoyl group, particu-
 larly a methylcarbamoyl, ethylcarbamoyl,
 phenylcarbamoyl, chlorophenylcarbamoyl, di-
 chlorophenylcarbamoyl, tolylcarbamoyl or di-
 methylcarbamoyl group, an alkoxycarbonyl
 group, particularly an ethoxycarbonyl group,
 an aralkoxycarbonyl group, particularly a
 benzyloxycarbonyl group, an (alkylthio) car-

5 bonyl group, particularly an (ethylthio)-carbonyl group, an alkyl-aryl- or alkarylsulphonyl group, for example a methylsulphonyl, phenylsulphonyl or tosyl group, or a sulphate or alkaryl sulphonate, for example toluene sulphonate, of such a derivative.

Particularly preferred compositions are those containing, as active ingredient, the compound

10 S - (3,5 - dinitro - 4 - N',N' - dipropylaminophenyl) - S - methylsulphoximine.

The majority of the compounds for use in the compositions of the invention are novel and the invention therefore includes, as novel compounds, sulphoximine derivatives of formula I wherein R₁, R₂ and R₃ are as defined above in relation to formula I, with the proviso that when R₁ and R₂ each represents a phenyl group or together represent a tetramethylene group, or R₁ represents a phenyl group substituted in the 4-position by chloro, nitro or methyl and R₂ represents a methyl group, then R₃ is other than a hydrogen atom.

25 Subject to this proviso preferred and particularly preferred sulphoximine derivatives are those defined above as active ingredients of the preferred and particularly preferred compositions of the invention.

30 The invention includes also processes for preparing the novel sulphoximine derivatives. Those compounds of Formula I wherein R₃ represents a hydrogen atom are obtained by reacting a sulphoxide of formula:—



35 with hydrazoic acid which may suitably be generated *in situ* by the action of an acid, for example, sulphuric acid, on an alkali metal azide, for example sodium azide. The reaction may be carried out in an organic solvent, for example chloroform.

40 Those compounds wherein R₃ represents an acyl group may in general be obtained by reacting a compound of Formula I wherein R₂ represents a hydrogen atom with an acyl halide, suitably an acyl chloride, preferably in the presence of a hydrogen halide acceptor, for example a tertiary amine, for example triethylamine or pyridine. The reaction may optionally be carried out in an inert organic solvent, for example ether.

50 Alternative processes may be adopted in certain cases and these are sometimes to be preferred as being more convenient. Those compounds wherein R₃ represents an alkanoyl group may be obtained by reacting the appropriate sulphoximine with an acid anhydride, suitably in the presence of the corresponding acid. Those compounds wherein R₃ represents a mono - N - substituted carbamoyl group may be prepared by reacting the sulphoximine with an organic isocyanate.

Those compounds wherein R₃ represents a halogen atom are obtained by the reaction of the sulphoximine with a halogenating agent which may, for example, be the elemental halogen or an alkali metal hypohalite, for example, sodium hypochlorite in acid solution preferably aqueous acetic acid solution. Those compounds wherein R₃ represents a nitro group may be prepared by nitration of the sulphoximine using, for example, a mixture of nitric acid and sulphuric acid.

The term 'carrier' as used herein means a material, which may be inorganic or organic and of synthetic or natural origin, with which the active compound is mixed or formulated to facilitate its application to the plant, seed, soil or other object to be treated, or its storage, transport or handling. The carrier may be a solid or a liquid. Any of the materials usually applied in formulating herbicides and pesticides may be used as carrier.

Suitable solid carriers are natural and synthetic clays and silicates, for example, natural silicas, for example diatomaceous earths; magnesium silicates, for example, talcs; magnesium aluminium silicates, for example, attapulgites and vermiculites; aluminium silicates for example kaolinites, montmorillonites and micas; calcium carbonates; calcium sulphate; synthetic hydrated silicon oxides and synthetic calcium or aluminium silicates; elements, for example, carbon and sulphur; natural and synthetic resins, for example, coumarone resins, polyvinyl chloride and styrene polymers and copolymers; solid polychlorophenols; bitumen; waxes, for example, beeswax, paraffin wax, and chlorinated mineral waxes; and solid fertilisers, for example superphosphates.

Suitable liquid carriers are water, alcohols, for example, isopropanol and glycols; ketones, for example, acetone, methyl ethyl ketone, methyl isobutyl ketone and cyclohexanone; ethers; aromatic hydrocarbons, for example, benzene, toluene and xylene; petroleum fractions, for example, kerosene, light mineral oils; chlorinated hydrocarbons, for example, carbon tetrachloride, perchloroethylene and trichloroethane; and liquefied, normally vaporous, gaseous compounds. Mixtures of different liquids are often suitable.

The surface-active agent may be an emulsifying agent or a dispersing agent or a wetting agent; it may be nonionic or ionic. Any of the surface-active agents usually applied in formulating herbicides or pesticides may be used. Examples of suitable surface-active agents are the sodium or calcium salts of polyacrylic acids and lignin sulphonic acids; the condensation products of fatty acids or aliphatic amines or amides containing at least 12 carbon atoms in the molecule with ethylene oxide and/or propylene oxide; fatty acid esters of glycerol, sorbitan, sucrose or pentaerythritol; condensates of these with ethylene oxide and/or propylene oxide; condensation products of fatty

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alcohols or alkyl phenols for example *p*-octylphenol or *p*-octylcresol, with ethylene oxide and/or propylene oxide; sulphates or sulphonates of these condensation products; 5 alkali or alkaline earth metal salts, preferably sodium salts, of sulphuric or sulphonic acid esters containing at least 10 carbon atoms in the molecule, for example, sodium lauryl sulphate, sodium secondary alkyl sulphates, 10 sodium salts of sulphonated castor oil, and sodium alkylaryl sulphonates such as sodium dodecylbenzene sulphonate; and polymers of ethylene oxide and copolymers of ethylene oxide and propylene oxide.

15 The compositions of the invention may be formulated as wettable powders, dusts, granules, solutions, emulsifiable concentrates, emulsions, suspension concentrates and aerosols. Wettable powders are usually compounded to 20 contain 25, 50 or 75% w of toxicant and usually contain, in addition to solid carrier, 3—10% w of a dispersing agent and, where necessary, 0—10% w of stabiliser(s) and/or 25 other additives, for example penetrants or stickers. Dusts are usually formulated as a dust concentrate having a similar composition to that of a wettable powder but without a dispersant, and are diluted in the field with further solid carrier to give a composition usually 30 containing $\frac{1}{2}$ —10% w of toxicant. Granules are usually prepared to have a size between 10 and 100 BS mesh; (1.676—0.152mm) and may be manufactured by agglomeration or impregnation techniques.

35 Generally, granules will contain $\frac{1}{2}$ —25% w toxicant and 0—10% w of additives, for example stabilisers, slow release modifiers and binding agents. Emulsifiable concentrates 40 usually contain, in addition to the solvent and, when necessary, co-solvent, 10—50% w/v toxicant, 2—20% w/v emulsifiers and 0—20% w/v of appropriate additives, for example stabilisers, penetrants and corrosion inhibitors. Suspension concentrates are compounded so as 45 to obtain a stable, non-sedimenting, flowable product and usually contain 10—75% w toxicant, 0.5—15% w of dispersing agents, 0.1—10% w of suspending agents, for example protective colloids and thixotropic agents, 50 0—10% w of appropriate additives, for example defoamers, corrosion inhibitors, stabilisers, penetrants and stickers, and as carrier, water or an organic liquid in which the toxicant is substantially insoluble; certain 55 organic solids or inorganic salts may be dissolved in the carrier to assist in preventing sedimentation or as antifreeze agents for water.

60 The compositions of the invention may contain other ingredients, for example, other compounds possessing herbicidal, pesticidal or fungicidal properties.

65 Aqueous dispersion and emulsions, for example, compositions obtained by diluting a wettable powder or an emulsifiable concentrate

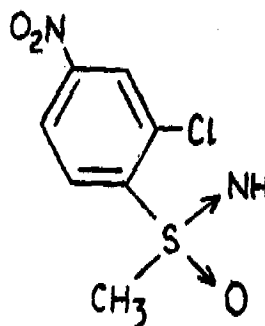
according to the invention with water, also lie within the scope of the present invention. The said emulsions may be of the water-in-oil or of the oil-in-water type, and may have a thick 'mayonnaise'-like consistency.

70 The invention includes also within its scope a method of combating weeds and/or insects and/or acarids at a locus which comprises applying to the locus a biocidally effective amount of a composition or sulfoximine derivative of the invention. 75

The invention is illustrated further in the following Examples.

Example 1.

S - (2 - chloro - 4 - nitrophenyl) - S - methylsulphoximine 80



2 - Chloro - 4 - nitrophenyl - methylsulphoxide (11 g) was dissolved in a mixture of dry chloroform (200 ml) and concentrated sulphuric acid (20 ml). Dry sodium azide (6.5 g) 85 was added to the mixture maintained at 48—53° C. over a period of three hours. The mixture was stirred vigorously for a further 24 hours at this temperature, and then poured into ice-water. The chloroform layer was separated 90 and the aqueous layer neutralised with aqueous sodium carbonate solution. The neutralised solution was extracted with chloroform and the combined chloroform solutions were dried over 95 anhydrous sodium sulphate and evaporated to dryness under reduced pressure to yield the desired product having a m.p. 85—88° C.

Analysis

Calculated for $C_7H_7N_2SO_3Cl$:

C 35.9; H 3.0; N 11.9%

Found:

C 36.2; H 2.9; N 11.9%

Example 2

S - (2 - chloro - 4 - nitrophenyl) - S - methyl-N - acetylsulphoximine 105

S - (2 - chloro - 4 - nitrophenyl) - S-methylsulphoximine (1.5 g, prepared as in Example 1) and acetic anhydride (1 ml) in glacial acetic acid (4 ml) were heated together at 50° C. for 1 hour. Water was then added to the mixture and the resulting solid was filtered off, 110 dried and recrystallised from a mixture of

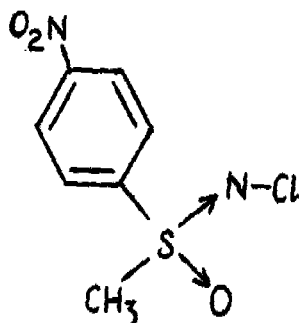
acetone and petroleum ether (b.p. 40—60° C.) to give the desired product having a m.p. 161—163° C.

Analysis

- 5 Calculated for $C_7H_7N_2SO_3Cl$:
C 39.2; H 3.3; N 10.1; S 11.6%
Found: C 39.7; H 3.3; N 10.3; S 11.6%

Example 3

- 10 S - methyl - S - (4 - nitrophenyl) - N - chlorosulphoximine



- 25 S - methyl - S - (4 - nitrophenyl) sulphoximine (1.0 g) in dry chloroform (50 ml) was treated with gaseous chlorine under irradiation by a heat lamp for 2 hours. The mixture was then filtered and resulting solid was recrystallised from a mixture of acetone and petroleum ether (b.p. 40—60° C.) to yield the desired product having a m.p. 158—160° C.

Analysis

- Calculated for $C_7H_7N_2SO_3Cl$:
C 35.9; H 3.0; N 12.0; S 13.7%
Found: C 35.7; H 3.7; N 11.7; S 13.4%

Example 4

- 25 S - methyl - S - (4 - nitrophenyl) - N - (N',N' - dimethylcarbamoyl) sulphoximine
S - methyl - S - (4 - nitrophenyl) sulphoximine (0.75 g) and dimethylcarbamoyl chloride (0.4 g) in dry pyridine (40 ml) were heated together under reflux for 6 hours. The solution was then evaporated to dryness under reduced pressure. The residue was treated with dilute hydrochloric acid and extracted with benzene. The benzene extracts were dried and evaporated to dryness under reduced pressure to yield the desired product having a m.p. 135—137° C.

Analysis

- 40 Calculated for $C_{10}H_{13}N_3SO_3$:
C 44.4; H 4.8; S 11.8%
Found: C 44.3; H 4.7; S 12.4%

Example 5

- S - methyl - S - (4 - nitrophenyl) - N - (N' - phenylcarbamoyl) sulphoximine
45 S - methyl - S - (4 - nitrophenyl) sul-

phoximine (1.0 g) and phenyl isocyanate (0.6 g) in a 2:1 mixture of toluene and petroleum ether (b.p. 60—80° C.) were heated together under reflux for 4½ hours. The solution was filtered and evaporated to dryness under reduced pressure. The residue was triturated with a hot mixture of acetone and petroleum ether (b.p. 60—80° C.) to give the desired product as a crystalline solid having a m.p. 148—150° C.

Analysis

- Calculated for $C_{11}H_{13}N_3SO_3$:
C 52.7; H 4.1; N 13.3%
Found: C 53.0; H 4.2; N 13.0%

Example 6

- S - (4 - chlorophenyl) - S - methyl - N - ethoxycarbonylsulphoximine
S - (4 - chlorophenyl) - S - methylsulphoximine (0.76 g), ethyl chloroformate (0.43 g) and triethylamine (0.4 g) in ether (40 ml) were heated together under reflux for 6½ hours. The mixture was then filtered and evaporated to dryness under reduced pressure to give the desired product having a m.p. 70—73° C.

Analysis

- Calculated for $C_{10}H_{13}NSO_3Cl$:
C 45.9; H 4.6; N 5.4%
Found: C 45.9; H 4.8; N 5.2%

Example 7

- Nitration of S - (4 - chlorophenyl) - S - methylsulphoximine
S - (4 - chlorophenyl) - S - methylsulphoximine (1.0 g) was treated dropwise with fuming sulphuric acid (3 ml) followed by fuming nitric acid (1 ml) at 5—15° C. The mixture was stirred at 30—35° C. for 6 hours and then poured into ice-sodium hydroxide solution. After vigorous stirring a white precipitate was obtained, which was filtered off, dried and recrystallised from a mixture of acetone and petroleum ether (b.p. 40—60° C.) to yield S - (4 - chloro - 3 - nitrophenyl) - S - methyl - N - nitrosulphoximine as a colourless crystalline solid having a m.p. 164—168° C.

Analysis

- Calculated for $C_7H_7N_3SO_3Cl$:
C 30.1; H 2.2; N 15.0; S 11.5%
Found: C 30.4; H 2.0; N 14.8; S 11.6%

The aqueous alkaline solution was acidified and extracted with chloroform. The extracts were dried and evaporated to dryness under reduced pressure to yield a crude material which after recrystallisation from benzene yielded S - (4 - chloro - 3 - nitrophenyl) - S - methylsulphoximine having a m.p. 118—120° C.

Analysis

- Calculated for $C_7H_7N_2SO_3Cl$:
C 35.8; H 3.0; N 11.9%
Found: C 36.1; H 3.0; N 11.3%

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156

- Example 8
- S - (4 - chlorophenyl) - S - methyl - N-tosylsulphoximine
- 5 S - (4 - chlorophenyl) - S - methylsulphoximine (1.89 g) and *p* - toluenesulphonyl chloride (1.90 g) in pyridine (10 ml) were heated together at 100° C. for 3 hours. Water
- 10 a crude product which on recrystallisation from ethanol gave the desired product having a m.p. 125—127° C.

Analysis
 Calculated for $C_{14}H_{14}NS_2O_3Cl$:
 C 48.9; H 4.1; N 4.1%
 Found: C 48.9; H 4.0; N 3.7% 15

Example 9

Following procedures similar to those given in the preceding Examples further compounds were prepared, whose physical characteristics and analysis are set out in Table 1. 20

TABLE 1

Compound	Melting Point °C.	Analysis
S-(4-chlorophenyl)-S-methyl-N-acetyl-sulphoximine	75—80	Calculated for $C_9H_{10}NSO_2Cl$: C 46.7; H 4.4; N 6.0% Found : C 46.6; H 4.4; N 5.8%
S-methyl-S-(4-nitrophenyl)-N-acetyl-sulphoximine	119—121	Calculated for $C_9H_{10}N_3SO_4$: C 45.7; H 4.1; N 11.6; S 13.2% Found : C 45.3; H 4.3; N 11.5; S 13.1%
S-(4-chloro-2-nitrophenyl)-S-methyl-sulphoximine	114—116	Calculated for $C_7H_7N_2SO_3Cl$: C 35.9; H 3.0; N 11.9% Found : C 36.1; H 2.9; N 10.5%
S-(3,5-dinitro-4-N',N'-dipropylaminophenyl)-S-methylsulphoximine	85—88	Calculated for $C_{13}H_{20}N_4SO_5$: C 45.4; H 5.9; N 16.3% Found : C 45.1; H 5.9; N 16.3%
S-methyl-S-(2-nitrophenyl)sulphoximine	94—96	Calculated for $C_7H_8N_2SO_3$: C 42.1; H 4.0; N 14.0% Found : C 42.4; H 4.3; N 13.6%
S-methyl-S-(4-nitrophenyl)-N-(N'-methyl carbamoyl)sulphoximine	131—133	Calculated for $C_9H_{11}N_3SO_4$: C 42.0; H 4.3% Found : C 41.7; H 4.3%
S-methyl-S-(4-nitrophenyl)-N-(N'-(3-chlorophenyl)carbamoyl)sulphoximine	154—156	Calculated for $C_{14}H_{12}N_3SO_4Cl$: C 47.5; H 3.4; N 11.9; S 9.0% Found : C 47.4; H 3.4; N 11.6; S 9.2%
S-(2-chloro-4-nitrophenyl)-S-methyl-N-(N'phenylcarbamoyl)sulphoximine	219—222	Calculated for $C_{14}H_{12}N_3SO_4Cl$: C 47.5; H 3.4; N 11.9; S 9.0% Found : C 49.4; H 3.8; N 11.4; S 9.3%

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

202085
Bogotá, D.C.,

Abogado
EMILIO FERRERO

ASUNTO	Radicación	06101311
	Trámite	011
	Evento	379
	Actuación	519
	Oficio	
	Folios	11 14134

Patente de Invención	☒	Patente de Modelo de Utilidad	☐
Vía Nacional	☐		
Vía PCT (fase nacional)	☒	Cap. I	☐ Cap. II ☒

No. Sol. Internacional: PCT/US2005/011852
Fecha de Presentación Internacional: 2005-04-08

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:

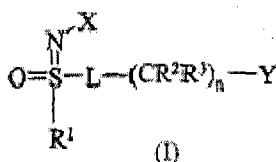
1. Documentos estudiados

Capítulo descriptivo: Folios 53 a 131 y 136 tal y como fueron presentados.
Capítulo reivindicatorio: Folios 132 a 135 tal y como fueron presentados.
Prioridad: Folio 1 US 60/560628 2004-04-08.

2. Objeto de la invención

Título de la solicitud: Sulfoximinas N sustituidas insecticidas. Folio 1.

Objeto de la invención: El objeto de la presente solicitud de patente de invención se refiere a sulfoximinas N sustituidas de fórmula (I).



El problema técnico planteado en la presente solicitud indica que debido al desarrollo de resistencias no solo a insecticidas antiguos, sino también a insecticidas piretroides más nuevos. Existe la necesidad de nuevos insecticidas que tengan nuevos modos de acción. Para solucionar este problema técnico la solicitud plantea compuestos de fórmula (I) con buena actividad insecticida.

El objeto de la solicitud definido anteriormente se clasificó en la A01N 47/24; 47/40.

3. De la solicitud de Patente

El solicitante debe modificar el título de la invención de tal forma que indique específicamente la materia objeto de invención.

3.1. Descripción (artículo 28 D 486)

La descripción de la presente invención no hizo referencia a la tecnología anterior conocida (referencias ó publicaciones anteriores) tal como lo pide el numeral b) del artículo 28.

Ahora bien los ejemplos presentados en el capítulo descriptivo evidencian la actividad insecticida de ciertas estructuras en particular. No obstante no se presenta ninguna evidencia de que los compuestos de esta solicitud presenten una mejor actividad que los compuestos conocidos en el estado de la técnica. En otras palabras la descripción no presento datos comparativos donde se muestre una eventual mejora la cual pudiera ser un indicativo de altura inventiva.

En consecuencia **la descripción no cumplió** con el requisito de claridad y/o divulgación completa lo que haría difícil su comprensión y ejecución de acuerdo al art. 28 de la Decisión 486.

3.2. Reivindicaciones (artículo 30 D 486)

En general el capítulo reivindicatorio es presentado en un lenguaje amplio y no define el objeto de la invención de forma específica. De igual forma el capítulo reivindicatorio presenta una generalización sin sustento en la descripción, al incluir como posible significado del radical Y la estructura "3-clorisoaxazol-5-ilo." Nótese que ninguno de los compuestos ensayados (cuadro 1) presenta dicho sustituyente. El solicitante debe limitar el alcance de su invención y definir específicamente la estructura de interés, la cual a su vez debe estar enteramente sustentada en la descripción.

Asimismo la composición de la reivindicación 7 es una generalización sin sustento en la descripción. La descripción no presento evidencia de actividad para una composición formulada con otros ingredientes activos.

En conclusión, el capítulo reivindicatorio no cumple con el requisito de concisión y sustento en la descripción de acuerdo al art. 30 de la Decisión 486 o art.22 Tratado PCT.

4. **Reivindicaciones relativas a un uso o a un segundo uso** (artículos 14 y 21 D 486)

El método de la reivindicación 8 hace referencia simplemente al uso de los compuestos de interés para controlar insectos. Es evidente que la llamada etapa de "aplicar" corresponde a la forma de usar los compuestos. Por lo tanto el procedimiento de la reivindicación 8 no es patentable a partir del artículo 14 de la Decisión 486.

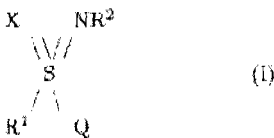
Se le aclara al solicitante que un procedimiento cuya única etapa es una aplicación corresponde tan solo a una aplicación, y una aplicación es un uso.

5. Determinación del Estado de la Técnica

Considerando que la fecha de la prioridad de la solicitud internacional PCT es 2004-04-08, 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:

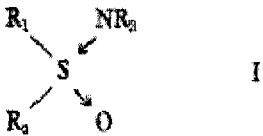
Nº	Documento	Título	Fecha de publicación
D1	WO96/39389	Pesticidal sulfur compounds	1996-12-12
D2	GB1307271	Sulphoximine derivates and their use in herbicidal compositions	1973-02-14

El documento D1 hace referencia a compuestos de azufre plaguicidas de formula (I) entre los cuales se incluyen sulfiliminas y sulfoximinas intermediarias.



En donde Q significa un anillo heterociclo

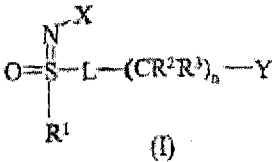
El documento D2 hace referencia a sulfoximinas de fórmula (I) que presentan actividad herbicida y pesticida.



6. Análisis de patentabilidad (artículo 14 D486)

6.1. Novedad (artículo 16 D486)

La solicitud de patente en estudio presenta en su reivindicación 1 un compuesto de fórmula (I)



En donde:

X representa NO₂, CN o COOR⁴:

L representa un enlace individual o R¹, S y L en conjunto representan un anillo de 5 ó 6 miembros;

R¹ representa metilo o etilo;

R² y R³ independientemente representan hidrógeno, metilo, etilo, fluor, cloro o bromo;

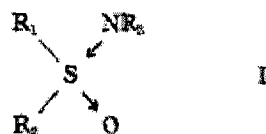
n es un entero de 0-3;

Y representa 6-halopiridin-3-ilo, 6-alkilpiridin-3-ilo de 1 a 4 átomos de carbono, 6-alcopiridin-3-ilo de 1 a 4 átomos de carbono, 2-clorotiazol-4-ilo o 3-cloroisoxazol-5-ilo, cuando n=0-3 y L representa un enlace individual,

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o **Y** representa hidrógeno, alquilo de 1 a 4 átomos de carbono, fenilo, 6-halopiridin-3-ilo, 6-alquilpiridin-3-ilo de 1 a 4 átomos de carbono, 6-alcoxipiridin-3-ilo de 1 a 4 átomos de carbono, 2-clorotiazol-4-ilo o 3-cloroisoxazol-5-ilo cuando $n=0-1$ y R^1 , S y L en conjunto representan un anillo de 5 ò 6 miembros; y R^4 representa alquilo de 1 a 3 átomos de carbono.

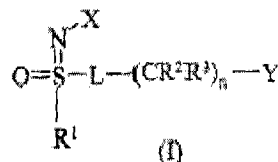
El documento D2 divulga sulfoximinas de fórmula (I) e indica que R_1 y R_2 pueden juntos representar un grupo polimetileno. Y que R_3 puede ser un grupo nitro.



De modo tal que al comparar las estructuras acá reclamadas cuando Y significa hidrógeno y X significa NO_2 ó $COOR^4$, con las estructuras divulgadas en D1 cuando R_1 y R_2 juntos representan un grupo polimetileno y R_3 es un grupo nitro, se tiene el mismo patrón de sustitución y se concluye que la estructura reclamada no es nueva.

6.2. Nivel Inventivo (artículo 18 D486)

Ahora bien el resto de los significados del radical Y (la mayoría) resultan novedosos respecto a D2. Nuevamente se tiene que, la solicitud de patente en estudio presenta en su reivindicación 1 un compuesto de fórmula (I)



En donde:

X representa NO_2 , CN o $COOR^4$;

L representa un enlace individual o R^1 , S y L en conjunto representan un anillo de 5 ó 6 miembros;

R^1 representa metilo o etilo;

R^2 y R^3 independientemente representan hidrógeno, metilo, etilo, fluor, cloro o bromo;

n es un entero de 0-3;

Y representa 6-halopiridin-3-ilo, 6-alquilpiridin-3-ilo de 1 a 4 átomos de carbono, 6-alcoxipiridin-3-ilo de 1 a 4 átomos de carbono, 2-clorotiazol-4-ilo o 3-cloroisoxazol-5-ilo, cuando $n=0-3$ y L representa un enlace individual,

o Y representa hidrógeno, alquilo de 1 a 4 átomos de carbono, fenilo, 6-halopiridin-3-ilo, 6-alquilpiridin-3-ilo de 1 a 4 átomos de carbono, 6-alcoxipiridin-3-ilo de 1 a 4 átomos de carbono, 2-clorotiazol-4-ilo o 3-cloroisoxazol-5-ilo cuando $n=0-1$ y R^1 , S y L en conjunto representan un anillo de 5 ò 6 miembros; y R^4 representa alquilo de 1 a 3 átomos de carbono.

Tanto la anterioridad D1 como la anterioridad D2 divulgan **sulfoximinas** con un núcleo principal equivalente a los compuestos de esta solicitud. No obstante al comparar las estructuras se observa que la mayoría de los significados del **radical Y** de esta solicitud, no se encuentran divulgados en el arte previo citado. Sin embargo a los compuestos activos del arte previo ya se les había atribuido

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una actividad agroquímica tóxica (actividad plaguicida ó pesticida). Más aun el documentó D1 divulga claramente sustituyentes heterocíclicos en la posición Y de esta solicitud. Y en vista de que la presente solicitud no presento ninguna evidencia de la superioridad de los compuestos acá reclamados en comparación con los compuestos conocidos en el arte previo, se concluye que el objeto de la presente invención es una alternativa evidente para un técnico en la materia y no tiene nivel inventivo.

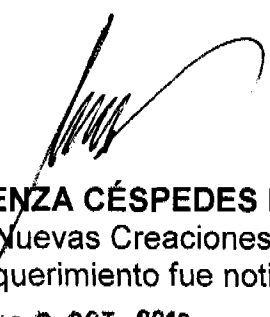
6. Conclusión

Los requisitos de patentabilidad de la presente solicitud se encuentran afectados así:

N°	Documento N°	Reivindicaciones afectadas	Requisito que afecta
D1	WO96/39389	1-7	Nivel Inventivo
D2	GB1307271	1 y 5 1-7	Novedad 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

Directora de Nuevas Creaciones (C)

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

29 OCT. 2010

CONCEPTO TÉCNICO No. 3785	EXAMINADOR TÉCNICO Código No.202085
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