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(54) Title: FUNGICIDES			
(57) Abstract			
The new compound, dimethyl[3-(propoxycarbonylamino)propyl]ammonium O-ethylphosphonate, has fungicidal activity			

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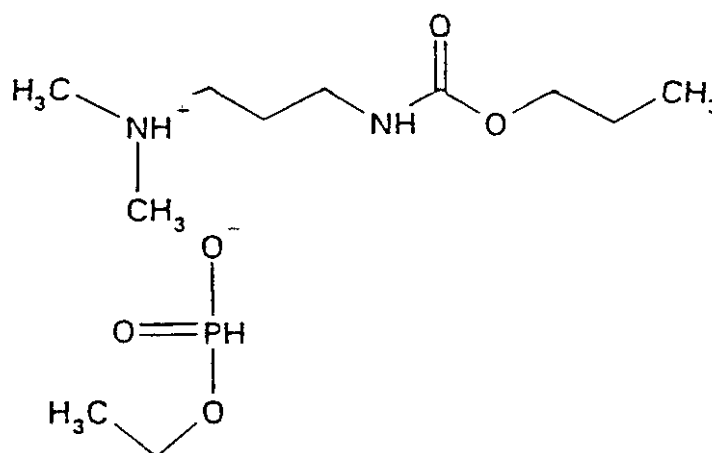
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Title: Fungicides

This invention relates to a new compound having fungicidal activity.

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In one aspect, the invention provides the compound, dimethyl-[3-(propoxycarbonylamino)propyl]ammonium O-ethylphosphonate, having the structure



- 10 The compound of the invention has activity as a fungicide, especially against Phycomycete diseases of plants, e.g. vine downy mildew (*Plasmopara viticola*), various *Phytophthora* blights e.g. late tomato or potato blight (*Phytophthora infestans*), *Pythium* spp., *Aphanomyces* spp., *Bremia* spp., *Perenospora* spp. and *Pseudoperenospora* spp.

15

The invention thus also provides a method of combating fungi at a locus infested or liable to be infested therewith, which comprises applying to the locus the compound of formula I.

- 20 The invention also provides an agricultural composition comprising the compound of formula I in admixture with an agriculturally acceptable diluent or carrier.

The composition can comprise one or more additional active ingredients, for example compounds known to possess plant-growth regulant, herbicidal, fungicidal, insecticidal
25 or acaricidal properties. Alternatively the compound of the invention can be used in sequence with the other active ingredient.

Fungicides with which the compound can be mixed include acylanilines, such as metalaxyl, oxadixyl, ofurace, benalaxyl and furalaxyl; cymoxanil; mancozeb; chlorothalonil; folpet; captan; famoxadone; fenamidone; spiroxamine; fluazinam; dimethomorph; strobilurins, such as kresoxim-methyl, azoxystrobin and trifloxystrobin,
5 pyrimethanil, cyprodinil; mepanipirim; and iprodione.

The names quoted for these compounds are the non-proprietary common names and the chemical structure can be found for example by reference to the "Pesticide Manual", eleventh edition, 1997, published by the British Crop Protection Council. Of
10 the compounds whose common names are not mentioned in the Pesticide Manual the full chemical names are as follows:

trifloxystrobin -	methyl (E,E)-methoxyimino-{2-[1-(3-trifluoromethylphenyl)-ethylideneaminooxymethyl]phenyl}acetate
spiroxamine -	8-tert-butyl-1,4-dioxaspiro[4.5]decan-2-ylmethyl(ethyl)- 15 (propyl)amine
fenamidone -	(S)-1-anilino-4-methyl-2-methylthio-4-phenylimidazolin-5-one

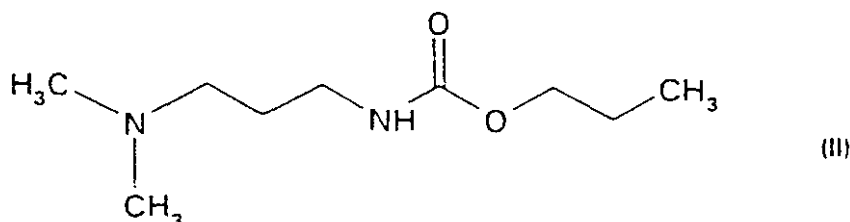
The composition of the invention may include for example a dispersing agent, emulsifying agent or wetting agent. Usually they are in the form of an aqueous
20 concentrate.

The concentration of the active ingredient in the composition of the present invention, as applied to plants is preferably within the range of 0.0001 to 1.0 per cent by weight, especially 0.0001 to 0.01 per cent by weight. In a primary composition, the amount of
25 active ingredient can vary widely and can be, for example, from 5 to 95 per cent by weight of the composition.

In the method of the invention the compound is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil before, at or
30 after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active compound can be applied in any manner which allows it to be intimately mixed with the soil such as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the
35 seeds. A suitable application rate is within the range of from 5 to 1000 g per hectare, more preferably from 10 to 500 g per hectare.

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as a protective measure. In both such cases the preferred mode of application is by foliar spraying. It is generally important to obtain good control of fungi in the early stages of plant growth as this is the time when the plant can be most severely damaged. The spray or dust can conveniently contain a pre- or post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots of a plant before or during planting, for example, by dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a suitable rate of application is from 0.025 to 5 kg per hectare, preferably from 0.05 to 1 kg per hectare.

The compounds of formula I may be obtained by reacting an amine of formula II



with ethyl hydrogen phosphonate.

This reaction can be carried out in aqueous solution

The invention is illustrated in the following Example.

Example 1

A solution of the sodium salt of ethyl hydrogen phosphonate (13.2 g in water (25 ml)) was added to an aqueous solution of propyl 3-(dimethylamino)propylcarbamate hydrochloride (31.0 ml of concentration 722 g/l 0.1 moles). The solution was evaporated to dryness to leave an oil containing sodium chloride as a white solid. The crude product was triturated with dichloromethane (ca. 100 ml) and the insoluble white solid (sodium chloride) filtered off and washed with several portions of dichloromethane. The filtrates were combined and evaporated to leave the dimethyl-[3-(propoxycarbonylamino)propyl]ammonium O-ethylphosphonate, as a viscous colourless oil.

Nmr spectroscopy confirmed that the product was a salt by observation of the chemical shifts relative to propyl 3-(dimethylamino)propylcarbamate.

The starting material was prepared by alkaline hydrolysis of diethyl phosphite by a known procedure. See for example Synthesis 134, 1978.

Example 2

Aqueous solutions of the compound of Example 1 were sprayed at various concentrations onto vines to run off using a hand-sprayer. Plants were then inoculated by hand spraying with a spore suspension of 100,000 spores per ml of *Plasmopara viticola*. For the purposes of comparison the vines were sprayed also with the commercially available propamocarb hydrochloride.

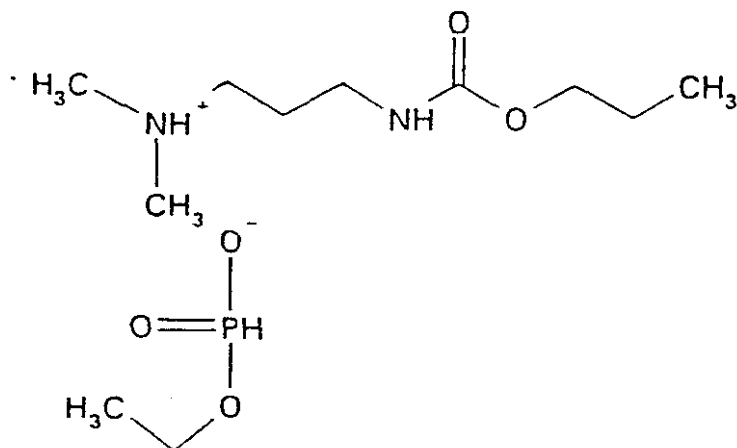
Plants were assessed for degree of disease control compared with untreated plants.

The results are as follows:

Treatment	Rate (ppm)	% Control
Compound of invention	800	81.5
Compound of invention	400	27.6
propamocarb hydrochloride	800	14.6
propamocarb hydrochloride	400	4.2

CLAIMS

1. Dimethyl[3-(propoxycarbonylamino)propyl]ammonium O-ethylphosphonate having the structure



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[54] 发明名称 杀真菌剂

[57] 摘要

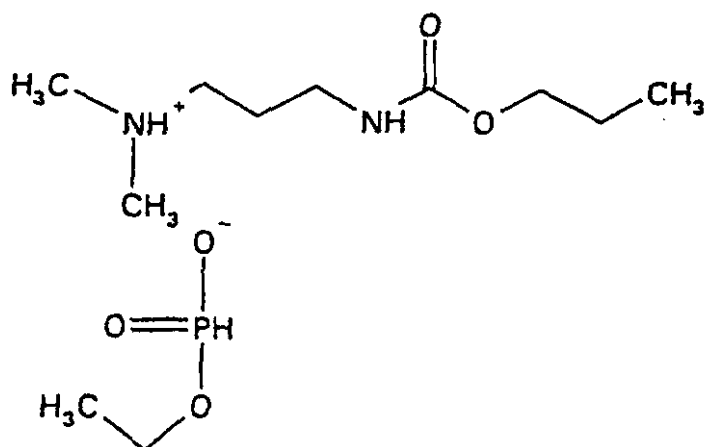
新的化合物 0-乙基膦酸二甲基[3-(丙氧基羰基氨基)丙基]铵具有杀真菌活性。

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权 利 要 求 书

1. 0-乙基磷酸二甲基[3-(丙氧基羰基氨基)丙基]铵，它具有如下结构：

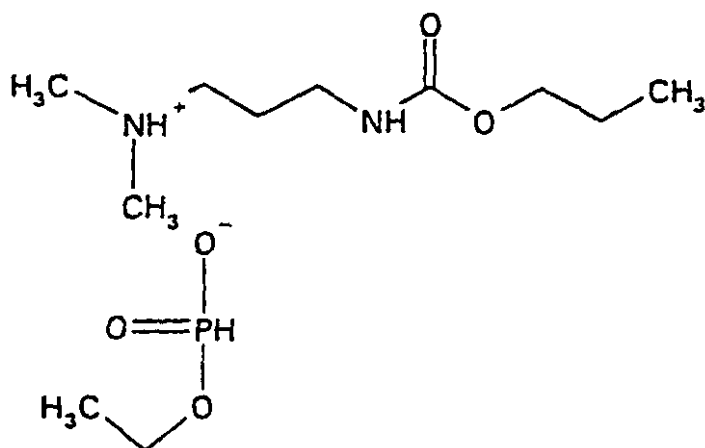


说明书

杀真菌剂

5 本发明涉及具有杀真菌活性的新化合物。

本发明的一个方面提供具有如下结构的化合物，即 0-乙基磷酸二甲基 [3-(丙氧基羰基氨基)丙基]铵



10 本发明的化合物具有杀真菌活性，特别是具有杀植物藻状菌病的活性，如葡萄霜霉病 (*Plasmopara viticola*)、疫霉属疫病 (如西红柿或马铃薯晚疫 (*Phytophthora infestans*))、腐霉属、丝囊霉属 (*Aphanomyces* spp)、盘梗霉属 (*Bremia* spp)、霜霉属 (*Perenospora* spp) 和假霜霉属 (*Pseudoperenospora* spp)。

15 因此，本发明也提供在真菌感染或可能感染的地方防治真菌的方法。该方法包括在所述的地方施加式 I 表示的化合物。

本发明也提供含有式 I 的化合物与农业上可接受的稀释剂或载体混合的农用组合物。

20 本发明的组合物还可含有一种或多种附加的活性成分，如已知具有植物生长调节剂、除草剂、杀真菌剂、杀虫剂或杀螨剂性能的化合物。或者，本发明的化合物可与其它这些活性成分顺序使用。

可与该化合物混合的杀真菌剂包括酰基苯胺类，如甲霜灵、啉霜灵、甲呋酰胺、苯霜灵和呋霜灵；霜脲氰；代森锰锌；百菌清；灭菌丹；克菌丹；famoxadone; fenamidone; spiroxamine; 氟啶胺；烯酰吗啉；strobilurins,

如 kresoxim-methyl、azoxystrobin 和 trifloxystrobin、pyrimethanil、cyprodinil; 嘧菌胺和异菌脲。

5 这些化合物引用的名称是非专利通用名, 其化学结构可参见 1997 年由英国农作物保护协会出版的“杀虫剂手册”(第十一版)。在该杀虫剂手册中没有列出通用名的化合物, 完整的化学名如下:

trifloxystrobin-	(E, E)-甲氧基亚氨基-[2-[1-(3-三氟甲基苯基)-亚乙基氨基氧甲基]苯基]乙酸甲酯
spiroxamine-	8-叔丁基-1, 4-二氧杂螺[4, 5]癸烷-2-基甲基(乙基)(丙基)胺
fenamidone-	(S)-1-苯胺基-4-甲基-2-甲硫基-4-苯基咪唑啉-5-酮

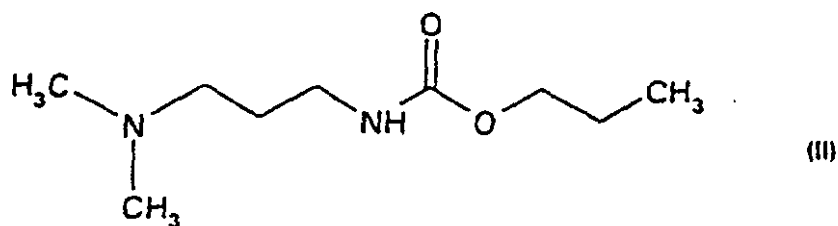
本发明的组合物例如可包含分散剂、乳化剂或湿润剂。它们通常是水浓缩液。

10 施用到植物上时, 本发明组合物中活性成分的浓度较好为 0.0001-1.0% 重量, 更好为 0.0001-0.01% 重量。在初级组合物中, 活性成分的量可以在较宽的范围内变化, 例如占组合物的 5-95% 重量。

在本发明的方法中, 化合物一般施用到种子、植物或它们的生长环境中。因此, 本发明的化合物可以在播种前、播种时或播种后直接施用于土壤, 从而使土壤中存在的活性化合物能控制可能侵害种子的真菌的生长。当直接处理土壤时, 该活性化合物可用任何能让其与土壤充分混合的方法施用, 如喷雾法、播撒固体颗粒、或在播种时同时施用活性成分。合适的施用量为 5-1000 克/公顷, 更好为 10-500 克/公顷。

20 在植物上开始出现真菌时, 或者在植物上出现真菌前作为保护措施, 例如可用喷雾法或撒粉法将活性化合物直接施用在植物上。在这两种情况下, 优选的施用方式是叶面喷雾法。一般来说重要的是在植物生长的早期获得对真菌的良好防治, 因为这一时期植物损害最严重。如有必要, 喷雾剂或撒粉中一般含有萌前或萌后除草剂。有时, 宜在栽种前或栽种时处理植物的根, 例如将根浸在合适的液体或固体组合物中。当活性化合物直接施用于植物上时, 合适的施用量为 0.025-5 千克/公顷, 较好为 0.05-1 千克/公顷。

式 I 的化合物可通过使式 II 的胺



与磷酸氢乙酯反应制得。

反应可以在水溶液中进行。

5 下面参照实施例说明本发明。

实施例 1

将磷酸氢乙酯钠盐的溶液(13.2 克钠盐溶解于 25 毫升的水中)加入 3-(二甲氨基)丙基氨基甲酸丙酯盐酸盐的水溶液中(31.0 毫升, 浓度为 722 克/升,
10 0.1 摩尔)。将该溶液蒸发至干, 留下含白色氯化钠固体的油状物。将该粗产物与二氯甲烷(约 100 毫升)研制, 过滤掉不溶解的白色固体(氯化钠), 用几份二氯甲烷洗涤。合并滤液, 蒸发后留下 0-乙基磷酸二甲基[3-(丙氧基羰基氨基)丙基]铵, 为粘性无色油状物。

15 通过观察相对于 3-(二甲氨基)丙基氨基甲酸丙酯的化学位移, NMR 光谱确认为是盐。

原料通过用已知的方法碱性水解亚磷酸二乙酯制得。例如参见合成 (Synthesis) 134, 1978。

实施例 2

20 用手动喷雾器在试验的葡萄树上喷雾各种浓度的实施例 1 化合物的水溶液。然后用手动喷雾器喷雾每毫升含 100000 个葡萄生单轴霉孢子的孢子悬浮液, 对该植物进行接种。为了进行比较, 也在葡萄树上喷洒市售的丙酰胺 (propamocarb) 盐酸盐。

与未防治的植物相比, 评价病害控制程度。

00-08-21

结果如下：

防治剂	浓度 (ppm)	%控制
本发明的化合物	800	81.5
本发明的化合物	400	27.6
丙酰胺盐酸盐	800	14.6
丙酰胺盐酸盐	400	4.2