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# (54) Heterocyclic tertiary alcohol derivatives

(57) Heterocyclic tertiary alcohol derivatives useful as fungicides and plant growth regulating agents have the formula (1):

$$\begin{array}{c}
OR^2 \\
\downarrow \\
Y - C - R^1 \\
\downarrow \\
A
\end{array}$$

wherein A is an optionally substituted phenyl or benzyl group; R1 is an optionally substituted alkyl group containing from 2 to 5 carbon atoms, an optionally substituted cycloalkyl, cycloalkenyl, cycloakylalkyl or cycloalkenylalkyl group containing from 3 to 5 carbon atoms; or an optionally substituted alkenyl or alkynyl group containing from 2 to 5 carbon atoms; Y is a pyrimidin-5-yl, pyrazin-2-yl or pyridin-3-yl group; and R2 is hydrogen, an alkyl group containing from 1 to 4 carbon atoms, or an alkenyl or alkynyl group containing from 2 to 4 carbon atoms, or salts or metal complexes thereof, or esters of compounds wherein R2 is hydrogen.

# HETEROCYCLIC TERTIARY ALCOHOL DERIVATIVES

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This invention relates to heterocyclic tertiary alcohol derivatives useful as plant growth regulating agents and fungicides, to processes for preparing them, to compositions containing them and to methods of regulating plant growth and combating fungal diseases in plants using them.

According to the present invention there is provided a heterocyclic tertiary alcohol derivative having the general formula (I):

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$$\begin{array}{c|c}
 & \text{OR}^2 \\
 & \text{I} \\
 & \text{Y} - \text{C} - \text{R}^1 \\
 & \text{I} \\
 & \text{A}
\end{array}$$

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and stereoisomers thereof, wherein A is an optionally substituted phenyl or benzyl group; R<sup>1</sup> is an optionally substituted alkyl group containing from 2 to 5 carbon atoms, an optionally substituted cycloalkyl, cycloalkenyl, cycloalkylalkyl or cycloalkenylalkyl group containing from 3 to 5 carbon atoms, an optionally substituted alkenyl group containing from 2 to 5 carbon atoms or an optionally substituted alkynyl group containing from 2 to 5 carbon atoms; Y is a pyrimidin-5-yl, pyrazin-2-yl or pyridin-3-yl group; and R<sup>2</sup> is hydrogen, an alkyl group containing from 1 to 4 carbon atoms, an alkenyl group containing from 2 to 4 carbon atoms or an alkynyl group containing form 2 to 4 carbon atoms; and agrochemically acceptable salts and

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metal complexes of the compounds of formula (I) and esters (acylates) of compounds of formula (I) wherein  $\mathbb{R}^2$  is hydrogen.

The compounds of the invention contain one or more chiral centres. Such compounds are generally obtained in the form of racemic mixtures. However, these and other mixtures can be separated into the individual isomers by methods known in the art, and this invention embraces such isomers.

When R<sup>1</sup> is an alkenyl group, it may for example be a group of formula (II) below:

$$-x-ch=ch-R^3$$
 (II)

where R<sup>3</sup> is hydrogen or an optionally substituted alkyl group and -X- is a straight or branched chain alkylene group, for example a group -(CH<sub>2</sub>)<sub>m</sub>-, the number of carbon atoms in the group R<sup>3</sup> and the number of carbon atoms in the alkylene group X (or value of the integer m as the case may be) being such that the group R<sup>1</sup> contains from a total of from 2 to 5 carbon atoms. Especially preferred alkenyl groups R<sup>1</sup> have the formula:

$$-CH=CH-R^3$$
 (III)

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wherein  $\mathbb{R}^3$  is hydrogen or an optionally substituted alkyl group containing from 1 to 3 carbon atoms, especially methyl.

when  $\mathbb{R}^1$  is an alkynyl group it may for example be a 30 group:

$$-X-C \equiv C-R^4 \tag{IV}$$

wherein  $R^4$  is hydrogen or an optionally substituted alkyl group and -x- is a straight or branched chain alkylene group, for example a group  $-(CH_2)n$ -, the number of carbon

atoms in the group  $R^4$  and the number of carbon atoms in the alkylene group X (or value of the integer n as the case may be) being such that the group  $R^1$  contains from a total of from 2 to 5 carbon atoms.

Especially preferred alkynyl groups R<sup>1</sup> have the formula:

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$$-C = C - R^4 \tag{V}$$

wherein  $\mathbb{R}^4$  is hydrogen or an optionally substituted alkyl group containing from 1 to 3 carbon atoms, especially methyl.

Optional substituents which may be present in the alkyl groups  ${\mbox{R}}^3$  and  ${\mbox{R}}^4$  include in particular halogen, for example chlorine, bromine and fluorine

When  $R^1$  is an optionally substituted cycloalkylalkyl or cycloalkenylalkyl group (that is a group in which the cycloalkyl or cycloalkenyl moiety is linked to the rest of the molecule through an alkyl chain), the optionally substituted cycloalkyl or cycloalkenyl group preferably contains from 3 to 6, for example from 3 to 5 ring carbon atoms. Optional substituents which may be present in the cycloalkyl or cycloalkenyl ring include halogen and lower alkyl (for example  $C_1$  to  $C_4$  alkyl).

Optional substituents which may be present in the group  $\mathbb{R}^1$  when it is an alkyl group include in particular halogen, for example chlorine, bromine or fluorine. examples of  $\mathbb{R}^1$  when it is alkyl include ethyl, n-propyl and n-butyl.

Preferred groups  $\mathbb{R}^2$  are hydrogen and methyl. Hydrogen is especially preferred.

As examples of optional substituents which may be present in the phenyl or benzyl group, A, there may be mentioned one or more substituents selected from halogen, for example chlorine, bromine or fluorine; alkyl, for example lower alkyl and especially methyl; alkoxy, for

example lower alkoxy and especially methoxy; haloalkyl, for example lower haloalkyl and especially trifluoromethyl; nitro; and cyano. The term "lower" as applied to the above groups indicates that the group contains from 1 to 6, and preferably from 1 to 4 carbon atoms.

A is preferably an optionally substituted phenyl group.

Thus as specific examples of the group A there may be mentioned phenyl, 2-, 3- and 4-chlorophenyl; 2-, 3- and 4-fluorophenyl; dichlorophenyl (for example 2,4-dichlorophenyl); difluorophenyl (for example 2,4-difluorophenyl); 2-, 3- and 4-methylphenyl; 2-, 3- and 4-trifluoromethylphenyl; 2-, 3- and 4-methoxyphenyl; methoxychlorophenyl (for example 2-methoxy-4-chlorophenyl); and 2-, 3- and 4-nitrophenyl.

The present invention includes salts and metal complexes of the compounds of formula (I) and esters (acylates) of compounds of formula (I) wherein R<sup>4</sup> is hydrogen. As examples of esters there may be mentioned for example acetates or benzoates. As examples of salts there may be mentioned for example toluene sulphonate salts, dodecylbenzene sulphonate salts, hydrochloride salts, hydrobromide salts and orthophosphate salts. Without limitation of the generality of the above statement, the present invention also includes any compound which breaks down in agrochemical use to a compound of formula (I).

Examples of the compounds of the invention are presented in Table I in which the values for Y,  $R^1$ , and  $R^2$  and A in the general formula (I) above are as indicated.

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TABLE 1

COMPOUND	¥	A	$^{ m R}^{ m 1}$	$\mathbb{R}^2$	MELTING	COMMENTS
NUMBER					POINT °C	
Н	pyrimidin-5-yl	2,4-dichlorophenyl	-C≡C-CH <sub>3</sub>	<b>#</b>		semi-solid
2	pyrimidin-5-yl	2-methoxy-4-chloro-	-C≡C-CH <sub>3</sub>	Ħ	83-85°C	
		phenyl				
33	pyrimidin-5-yl	2,4-diflorophenyl	-c≡c-cH <sub>3</sub>	Ħ	93-95°C	
4	pyrimidin-5-yl	4-chlorophenyl	-C≡C-CH <sub>3</sub>	н		oil
2	pyridin-3-yl	4-chlorophenyl	-c≡c-cH <sub>3</sub>	H	152-155°C	
9	pyrimidin-5-yl	2-methoxy-4-chloro-	-C3H7	ж		oil
		phenyl				
7	pyrimidin-5-yl	2,4-dichlorophenyl	$-c_2^{H_5}$	H		
80	pyrimidin-5-yl	2,4-dichlorophenyl	-C3H7	ш		
6	pyrimidin-5-yl	2,4-dichlorophenyl	$-c_4^{\mathrm{H}_9}$	ш		

Compounds of general formula (I) above wherein  $\mathbb{R}^2$  is hydrogen and Y, A and  $\mathbb{R}^1$  are as defined may be prepared by reacting a compound of general formula (VI):

$$\begin{array}{cccc}
\mathbf{Y} - \mathbf{C} &= \mathbf{O} & (\mathbf{VI}) \\
& & & \\
\mathbf{A} & & & \\
\end{array}$$

with an organometallic compound which may be represented by the general formula (VII):

# $R^{1}M(VII)$

where M is a suitable metal, for example lithium, magnesium, titanium or zirconium.

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The reaction conveniently takes place in a solvent such as diethylether, tetrahydrofuran or dichloromethane at -80°C to +80°C in an inert atmosphere. The product is obtained by quenching with a proton donor. When M is magnesium, the organometallic compound is more specifically R<sup>1</sup>-Mg-halogen. When M is titanium, the organometallic compound is more specifically R<sup>1</sup>-Ti(Oalkyl)<sub>3</sub>. When M is zirconium, the organometallic compound is more specifically R<sup>1</sup>-Zr(Oalkyl)<sub>3</sub>. The compounds of general formula (I) wherein R<sup>2</sup> is hydrogen may also be prepared by reacting a ketone of general formula (VIII), wherein A and R<sup>1</sup> are as defined with an organometallic compound which may be represented by the general formula (IX) wherein M is a suitable metal, for example lithium and Y is as defined above:

$$R^{1} \qquad M$$

$$| \qquad | \qquad |$$

$$A - C = O \qquad Y$$
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$$(VIII) \qquad (IX)$$

The reaction preferably takes place in a suitable solvent such as diethyl ether or tetrahydrofuran at a temperature of from  $-120\,^{\circ}\text{C}$  to  $+80\,^{\circ}\text{C}$  and in an inert atmosphere. The product is obtained by quenching with a suitable proton donor.

The ketones of general formula (VI) may be prepared using standard methods set out in the literature.

olefinic alcohols wherein R<sup>1</sup> is the group -CH=CH-R<sup>4</sup> wherein R<sup>4</sup> is as defined above may be made by the reduction of the corresponding acetylenic alcohol wherein R<sup>1</sup> is -C=C-R<sup>4</sup>. Suitable reducing agents include hydrogen in the presence of a suitable catalyst such as palladium on a support such as carbon (for example a Lindlar catalyst); or a metal hydride reducing agent such as lithium aluminium hydride, "Red-Al" (sodium bis [2-methoxyethoxy] aluminium hydride) or sodium borohydride/palladium (II) chloride in a suitable solvent such as ether or tetrahydrofuran.

Similarly, compounds of formula (I) wherein  $\mathbb{R}^1$  is a 20 group :

$$-CH_2CH_2-R^5$$

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where  $R^5$  is an optionally substituted alkyl group, may be made by the complete reduction of the corresponding acetylenic alcohol,  $-C \equiv C - R^5$ . Suitable reducing agent as palladium, platinum or rhodium on a support such as carbon and in a suitable solvent such as methanol, ethanol or acetic acid.

The ethers (wherein  $R^2$  is alkyl) and esters (acylates) of the invention may be made from the corresponding hydroxy compound by reaction with the appropriate halide, acid chloride or acid anhydride in the presence of a suitable base.

The plant growth regulating effects of the compounds are manifested as, for example, by a stunting or dwarfing

effect on the vegetative growth of woody and herbaceous mono- and di-cotyledonous plants. Such stunting or dwarfing may be useful, for example, in peanuts, cereals such as wheat and barley, oil seed rape, field beans, sunflowers, potatoes and soya bean where reduction in stem 5 height, with or without further advantageous effects such as stem strengthening, thickening and shortening, internode shortening, increased buttress root formation and more erect stem and leaf orientation, may reduce the 10 risk of lodging and may also permit increased amounts of fertiliser to be applied. The stunting of woody species is useful in controlling the growth of undergrowth under power lines etc. Compounds which induce stunting or dwarfing may also be useful in modifying the stem growth of sugar cane thereby increasing the concentration of 15 sugar in the cane at harvest; in sugar cane, the flowering and ripening may be controllable by applying the compounds. Stunting of peanuts can assist in harvesting. Growth retardation of grasses can help maintenance of 20 grass swards. Examples of suitable grasses are Stenotaphrum secundatum (St. Augustine grass), Cynosurus cristatus, Lolium multiflorum and perenne, Agrostis tenuis, Cynodon dactylon (Bermuda grass), Dactylis glomerata, Festuca spp. (eg, Festuca rubra) and Poa spp. 25 (eq. Poa pratense). The compounds may stunt grasses without significant phytotoxic effects and without deleteriously affecting the appearance (particularly the colour) of the grass; this makes such compounds attractive for use on ornamental lawns and on grass verges. 30 also have an effect on flower head emergence in, for example, grasses. The compounds can also stunt weed species present in the grasses; examples of such weed species are sedges (eg, Cyperus spp.) and dicotyledonous weeds (eg, daisy, plantain, knotweed, speedwell, thistle, docks and ragwort). The growth of non-crop vegetation (eg, 35 weeds or cover vegetation) can be retarded thus assisting

in the maintenance of plantation and field crops. In fruit orchards, particularly orchards subject to soil erosion, the presence of grass cover is important. However excessive grass growth requires substantial maintenance.

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daffodils).

excessive grass growth requires substantial maintenance. The compounds of the invention could be useful in this situation as they could restrict growth without killing the plants which would lead to soil erosion; at the same time the degree of competition for nutrients and water by the grass would be reduced and this could result in an increased yield of fruit. In some cases, one grass species may be stunted more than another grass species; this selectivity could be useful, for example, for improving the quality of a sward by preferential suppression of the growth of undesirable species. The dwarfing may also be useful in miniaturising ornamental, household, garden and nursery plants (eg,

As indicated above, the compounds can also be used to stunt woody species. This property can be used to control hedgerows or to shape or reduce the need for pruning, of fruit trees (eg, apples, pears, cherries, peaches, vines etc).

poinsettias, chrysanthemums, carnations, tulips and

The plant growth regulating effect may (as implied above) manifest itself in an increase in crop yield; or in an ability in orchards and other crops to increase fruit set, pod set and grain set. Some coniferous trees are not significantly stunted by the compounds so the compounds could be useful in controlling undesirable vegetation in conifer nurseries.

In the potato, vine control in the field and inhibition of sprouting in the store may be possible.

In addition the compounds may be useful as absicision agents resulting in thinning of fruit on the tree and an increase in fruit quality.

Other plant growth regulating effects caused by the compounds include alteration of leaf angle and changes in leaf morphology (both of which may permit increased light interception and utilisation) and promotion of tillering in monocotyledonous plants. Improved light interception is of value in all major world crops, eg, wheat, barley, rice, maize, soya, sugarbeet, potatoes, plantation crops and orchard crops. The leaf angle effect may be useful for example in altering the leaf orientation of, for 10 example, potato crops thereby letting more light into the crops and inducing an increase in photosynthesis and tuber weight. By increasing tillering in monocotyledonous crops (eg, rice), the number of flowering shoots per unit area may be increased thereby increasing the overall grain yield of such crops. In addition better control and 15 modification of hierarchical relationships is possible both in vegetative and reproductive stages of monocotyledonous and dicotyledonous plant growth, especially in cereals such as wheat, barley, rice and maize, whereby the number of flowering shoots per unit 20 area may be increased and the size distribution of grains within the ear may be modified in such a way as to increase yield. In the treatment of rice plants, or rice crops the invention compounds can be applied, eg, as granules or a granular formulation, for example as slow 25 release granules, to nursery boxes, paddy water and other like cultivation loci and media. In grass swards, especially amenity grass, an increase in tillering could lead to a denser sward which may result in increased resilience in wear; and to increased yields and better 30 quality of forage grass, eg, improved digestability and palatability.

The treatment of plants with the compounds can lead to the leaves developing a darker green colour. In dicotyledonous plants such as soyabean and cotton, there may be promotion of sideshooting.

The compounds may inhibit, or at least delay, the flowering of sugar beet (and thereby may increase sugar yield) or otherwise modify the flowering patterns in many other crops. They may also reduce the size of sugar beet without reducing significantly the sugar yield thereby enabling an increase in planting density to be made. Similarly in other root crops (eg, turnip, swede, mangold, parsnip, beetroot, yam and cassava) it may be possible to increase the planting density. The compounds could be useful in restricting the vegetative growth of cotton thereby leading to an increase in cotton yield. yields may also be increased by improvement of the harvest index (ie. the harvested yield as a proportion of the total dry matter produced) by altering dry matter partitioning. This applies to all the aforementioned root, pod, cereal, tree, plantation and orchard crops.

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The compounds may be useful in rendering plants resistant to stress since the compounds can delay the emergence of plants grown from seed, shorten stem height and delay flowering; these properties could be useful in preventing frost damage in countries where there is significant snow cover in the winter since then the treated plants would remain below snow cover during the cold weather. Further the compounds may cause drought or cold resistance in certain plants.

When applied as seed treatments at low rates the compounds can have a growth stimulating effect on plants.

It is to be understood that not all the compounds of the present invention will necessarily show all the above mentioned plant growth regulating effects. Thus whilst there may be advantages in compounds which have a broad spectrum of plant growth regulating effects against a wide range of species, compounds having a high specific activity with respect to a particular species and/or plant growth regulating effect may also be of great benefit.

In carrying out the plant growth regulating method of the invention, the amount of compound to be applied to regulate the growth of plants will depend upon a number of factors, for example the particular compound selected for use, and the identity of the plant species whose growth is 5 to be regulated. However, in general an application rate of 0.1 to 15, preferably 0.1 to 5, kg per hectare is used. With the use of biodegradable polymeric slow release granules rates of 1 to 10g per hectare are feasible; whilst electrodynamic spraying techniques may also deploy 10 lower rates of application. However, on certain plants even application rates within these ranges may give undesired phytotoxic effects. Routine tests may be necessary to determine the best rate of application of a specific compound for any specific purpose for which it is 15 suitable.

The compounds may also be active fungicide and may be used to control one or more of the following pathogens:

20 Pyricularia oryzae on rice.

- <u>Puccinia recondita, Puccinia striiformis</u> and other rust on wheat, <u>Puccinia hordei</u>, <u>Puccinia striiformis</u> and other rusts on barley, and rusts on other hosts, e.g. coffee, pears, apples, peanuts, vegetables and ornamental plants.
- 25 Erysiphe graminis (powdery mildew) on barley and wheat and other powdery mildews on various hosts such as

  Sphaerotheca macularis on hops, Sphaerotheca fuliginea on cucurbits (e.g. cucumber), Podosphaera leucotricha on apple and Uncinula necator on vines.
- 30 <u>Helminthosporium spp., Rhynchosporium spp., Septoria spp., Pseudocercosporella herepotrichoides and Gaeumannomyces graminis on cereals.</u>
  - <u>Cercospora arachidicola</u> and <u>Cercosporidium personata</u> on peanuts and other <u>Cercospora</u> species on other hosts, for example, sugar beet, bananas, soya beans and rice.
  - Botrytis cinerea (grey mould) on tomatoes, strawberries,

vegetables, vines and other hosts.

Alternaria species on vegetables (e.g. cucumber), oil seed rape, apples, tomatoes and other hosts.

Venturia inaequalis (scab) on apples.

5 plasmopara viticola on vines.

Other downy mildews such as <u>Bremia lactucae</u> on lettuce, <u>Peronospora</u> spp. on soya beans, tobacco, onions and other hosts and <u>Pseudoperonospora humuli</u> on hops and <u>Pseudoperonospora cubensis</u> on cucurbits <u>Phytophthora</u>

infestans on potatoes and tomatoes and other <a href="https://physical-normalismons.org/">https://physical-normalismons.org/<a href="https://physical-normalismons.org/">https://physical-normalismons.org/<a href="https://physical-normalismons.org/">https://physical-normalismons.org/</a>

Thanaterphorus cucumeris on rice and other Rhizoctonia species on various host such as wheat and barley,

15 vegetables, cotton and turf.

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Some of the compounds show a broad range of activities against fungi in vitro. They may also have activity against various post-harvest diseases on fruit (e.g. Penicillium digitatum and Italicum and Trichoderma viride on oranges, Gloesporium musarum and bananas and Botrytis cinerea on grapes).

Further some of the compounds may be active as seed dressings against <u>Fusarium</u> spp., <u>Septoria</u> spp., <u>Tilletia</u> spp., (bunt, a seed borne disease of wheat), <u>Ustilago</u> spp., <u>Helminthosporium</u> spp. on cereals, <u>Rhizoctonia</u> solani on cotton and <u>Pyricularia</u> oryzae on rice.

The compounds may be used as such for plant growth regulating purposes or as fungicides but are more conveniently formulated into compositions for such usage. The invention thus provides a plant growth regulating or fungicidal composition comprising a compound of general formula (I) as hereinbefore defined, or a salt or metal complex thereof; and, optionally, a carrier or diluent.

The invention also provides a method of regulating plant growth or of fungicidal treatment, which comprises applying to the plant, to seed of a plant or to the locus

of a plant or seed, a compound, or a salt or metal complex thereof, as hereinbefore defined, or a composition combining the same.

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The compounds, salts, metal complexes, ethers and esters can be applied in a number of ways, for example they can be applied, formulated or unformulated, directly to the foliage of a plant, or they can be applied also to bushes and trees, to seeds or to other medium in which plants, bushes or trees are growing or are to be planted, or they can be sprayed on, dusted on or applied as a cream or paste formulation, or they can be applied as a vapour; or as slow release granules. Application can be to any part of the plant, bush or tree, for example to the foliage, stems, branches or roots, or to soil surrounding the roots, or to the seed before it is planted; or to the soil generally, to paddy water or to hydroponic culture systems. The invention compounds may also be injected into plants or trees and they may also be sprayed onto vegetation using electrodynamic spraying techniques.

The term "plant" as used herein includes seedlings, bushes and trees.

The compounds are preferably used for agricultural and horticultural purposes in the form of a composition. The type of composition used in any instance will depend upon the particular purpose envisaged.

The compositions may be in the form of dusting powders or granules comprising the active ingredient and a solid diluent or carrier, for example fillers such as kaolin, bentonite, kieselguhr, dolomite, calcium carbonate, talc, powdered magnesia, Fuller's earth gypsum, Hewitt's earth, diatomaceous earth and China clay. Such granules can be preformed granules suitable for application to the soil without further treatment. These granules can be made either by impregnating pellets of filler with the active ingredient or by pelleting a mixture of the active ingredient and powdered filler.

Compositions for dressing seed, for example, may comprise an agent (for example a mineral oil) for assisting the adhesion of the composition to the seed; alternatively the active ingredient can be formulated for seed dressing purposes using an organic solvent (for example N-methylpyrrolidone or dimethylformamide).

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The compositions may also be in the form of dispersible powders, granules or grains comprising a wetting agent to facilitate the dispersion in liquids of the powder or grains which may contain also fillers and suspending agents.

The aqueous dispersions or emulsions may be prepared by dissolving the active ingredient(s) in an organic solvent optionally containing wetting, dispersing or emulsifying agent(s) and then adding the mixture to water which may also contain wetting, dispersing or emulsifying agent(s). Suitable organic solvents are ethylene dichloride, isopropyl alcohol, propylene glycol, diacetone alcohol, toluene, kerosene, methylnaphthalene, the xylenes, trichloroethylene, furfuryl alcohol, tetrahydrofurfuryl alcohol, and glycol ethers (eg, 2-ethoxyethanol and 2-butoxyethanol).

The compositions to be used as sprays may also be in the form of aerosols wherein the formulation is held in a container under pressure in the presence of a propellant, eq, fluorotrichloromethane or dichlorodifluoromethane.

The compounds can be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating in enclosed spaces a smoke containing the compounds.

Alternatively, the compounds may be used in a microencapsulated form. They may also be formulated in biodegradable polymeric formulations to obtain a slow, controlled release of the active substance.

By including suitable additives, for example additives for improving the distribution, adhesive power

and resistance to rain on treated surfaces, the different compositions can be better adapted for various utilities.

The compounds can be used as mixtures with fertilisers (eg, nitrogen-, potassium- or phosphorus-containing fertilisers). Compositions comprising only granules of fertiliser incorporating, for example coated with, the compound are preferred. Such granules suitably contain up to 25% by weight of the compound. The invention therefore also provides a fertiliser composition comprising the compound of general formula (I) or a salt or metal complex thereof.

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The compositions may also be in the form of liquid preparations for use as dips or sprays which are generally aqueous dispersions or emulsions containing the active ingredient in the presence of one or more surfactants eg, wetting agent(s), dispersing agent(s), emulsifying agent(s) or suspending agent(s); or which are spray formulations of the kind suitable for use in electrodynamic spraying techniques. The foregoing agents can be cationic, anionic or non-ionic agents. Suitable cationic agents are quaternary ammonium compounds, for example cetyltrimethylammonium bromide.

Suitable anionic agents are soaps, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), and salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, sodium, calcium or ammonium lignosulphonate, butylnaphthalene sulphonate, and a mixture of sodium diisopropyl— and triisopropyl—naphthalene sulphonates).

Suitable non-ionic agents are the condensation products of ethylene oxide with fatty alcohols such as oleyl or cetyl alcohol, or with alkyl phenols such as octyl— or nonyl—phenol and octylcresol. Other non-ionic agents are the partial esters derived from long chain fatty acids and hexitol anhydrides, the condensation products of the said partial esters with ethylene oxide,

and the lecithins. Suitable suspending agents are hydrophilic colloids (for example polyvinylpyrrolidone and sodium carboxymethylcellulose), and the vegetable gums (for example gum acacia and gum tragacanth).

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The compositions for use as aqueous dispersions or emulsions are generally supplied in the form of a concentrate containing a high proportion of the active ingredient(s), and the concentrate is to be diluted with water before use. These concentrates often should be able to withstand storage for prolonged periods and after such storage be capable of dilution with water in order to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional and electrodynamic spray equipment. concentrates may conveniently contain up to 95%, suitably 10-85%, for example 25-60%, by weight of the active These concentrates suitably contain ingredient(s). organic acids (eg, alkaryl or aryl sulphonic acids such as xylenesulphonic acid or dodecyl benzenesulphonic acid) since the presence of such acids can increase the solubility of the active ingredient(s) in the polar solvents often used in the concentrates. The concentrates suitably contain also a high proportion of surfactants so that sufficiently stable emulsions in water can be obtained. After dilution to form aqueous preparations, such preparations may contain varying amounts of the active ingredient(s) depending upon the intended purpose, but an aqueous preparation containing 0.0005% to 10%, or 0.01% to 10%, by weight of active ingredient(s) may be 30 used.

The compositions of this invention can comprise also one or more additional compound(s) having biological activity, eg, compounds having similar or complementary fungicidal or plant growth activity or compounds having plant growth regulating, herbicidal or insecticidal activity.

The additional fungicidal compound can be, for example, one which is capable of combating ear diseases of cereals (eg, wheat) such as Septoria, Gibberella and Helminthosporium spp., seed and soil borne diseases and 5 downy and powdery mildews on grapes and powdery mildew and scab on apple etc. Examples of suitable additional fungicidal compound are imazalil, benomyl, carbendazim, thiophanate-methyl, captafol, captan, sulphur, triforine, dodemorph, tridemorph, pyrazophos, furalaxyl, ethirimol, tecnazene, dimethirimol, bupirimate, chlorothalonil, 10 vinclozolin, procymidone, iprodione, metalaxyl, forsetylaluminium, carboxin, oxycarboxin, fenarimol, nuarimol, fenfuram, methfuroxan, nitrotal-isopropyl, triadimefon, thiabendazole, etridiazole, triadimenol, biloxazol, 15 dithianon, binapacryl, quinomethionate, guazatine, dodine fentin acetate, fentin hydroxide, dinocap, folpet, dichlofluanid, ditalimphos, kitazin, cycloheximide, dichlobutrazol, a dithiocarbamate, a copper compound, a mercury compound, 1-(2-cyano-2-methoxyiminoacetyl)-3-ethyl 20 urea, fenaponil, ofurace, propiconazole, etaconazole and fenpropemorph and fenpropidine.

The compounds of general formula (I) can be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Suitable additional insecticides are Pirimor, Croneton, dimeth- oate, Metasystox, pyrethroid insecticides and formothion.

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The other, additional, plant growth regulating compound can be one which controls weeds or seedhead formation, improves the level or longevity of the plant growth regulating activity of the compounds of general formula (I), selectively controls the growth of the less desirable plants (e.g. grasses) or causes the compound of general formula (I) to act faster or slower as a plant growth regulating agent. Some of these other agents will

also be herbicides.

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Examples of suitable plant growth regulating compounds, which can display synergy in admixture, or use, with the invention compounds are the gibberellins (eg,  $GA_3$ ,  $GA_4$  or  $GA_7$ ), the auxins (eg, indoleacetic acid, indolebutyric acid, naphthoxyacetic acid or naphthylacetic acid), the cytokinins (eg, kinetin, diphenylurea, benzimidazole, benzyladenine or benzylaminopurine), phenoxyacetic acids (eg, 2,4-D or MCPA), substituted benzoic acids (eg, triiodobenzoic acid), morphactins (eg, 10 chlorfluorecol), maleic hydrazide, glyphosate, glyphosine, long chain fatty alcohols and acids, dikegulac, fluoridamid, mefluidide, substituted quaternary ammonium and phosphonium compounds (eg, chlormequat\* chlorphonium or mepiquat chloride\*), ethephon, carbetamide, methyl-3,6-15 dichloroanisate, daminozide\*, asulam, abscisic acid, isopyrimol, 1-(4-chlorophenyl)-4,6-dimethyl-2-oxo-1,2dihydropyridine-3-carboxylic acid, hydroxybenzonitriles (eg, bromoxynil), difenzoquat\*, benzoylprop-ethyl 3,6dichloropicolinic acid, fenpentezol, triapenthanol, flur-20 pirimidol, paclobutrazol, tetcyclacis and tecnazene. Synergy will be most likely to occur with those of the foregoing which are quaternary ammonium compounds and with those marked with an asterisk.

For certain applications, for example in the injection of the compounds of the invention into trees or plants, it is desirable that the compounds have a relatively high solubility in water, for example a solubility in excess of 30 parts per million. The compounds may alternatively be injected into the tree in the form of an organic solution, for example a solution in a lower alcohol.

For certain applications it is also desirable that the compound has a low persistency in soil to prevent carry-over to adjacent crops or even crops planted subsequently in the same soil. Preferably the compound for use in such applications has a half life in the soil of less than 20 weeks.

The invention is illustrated by the following Examples in which all parts and percentages are by weight unless otherwise stated.

#### EXAMPLE 1

This Example illustrates the preparation of

1-(2,4-dichlorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol
(Compound No 1 of Table 1).

# Stage 1

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To a solution of n-butyl lithium (94 mls of 1.6M 15 solution; 0.15 moles) in dry tetrahydrofuran (150 mls) at -78°C was added a cold solution of 5-bromopyrimidine (23.85 gms, 0.15 moles) in dry tetrahydrofuran (50 mls) over a period of 20 minutes. 15 Minutes after addition, a cold solution of 2,4-dichlorobenzaldehyde (26.25 gms, 20 0.15 moles) in dry tetrahydrofuran (50 mls) was added dropwise. After addition the mixture was allowed to warm to room temperature and stirred at this temperature for 4 hours. The mixture was then poured into 10% ammonium chloride solution and extracted with ethyl acetate. 25 (2x100 ml). The organic layer was collected, washed with water and dried over magnesium sulphate before removing the solvent. Flash chromatography of 25 gms of crude material using petrol/ether elution gave 8.6 gms of yellow 30 oil.

### Stage 2

Oxalyl chloride (4.6 gms, 0.036 moles) dissolved in dichloromethane (30 mls) was stirred and cooled to -75°C under nitrogen. Dimethyl sulphoxide (5.62 gms, 0.072

moles) in dichloromethane (20 mls) was added dropwise and gas evolution was observed. The mixture was stirred for 5 minutes and then the alcohol from Stage 1 (8.48 gms, 0.033 moles) in dichloromethane (30 mls) was added dropwise at -70°C. The mixture was stirred for 30 minutes, after which triethylamine (22.6 mls, 0.16 moles) was added dropwise. A white precipitate formed and the mixture was allowed to warm to room temperature and left standing overnight. Water was added to the mixture and the solid dissolved. The organic layer was separated off and the aqueous layer extracted with dichloromethane (100 mls). The combined organic layers were washed with water and then dried over magnesium sulphate. Removal of solvent left a yellow solid (7.2 gms), having a melting point of 68°C - 71°C.

# Stage 3

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Propyne was bubbled into dry tetrahydrofuran (70 mls) with stirring at 0°C while ethylmagnesium bromide 20 (18.7 mls of 3 mls 0.056 moles) was added dropwise keeping the temperature below 5°C. After the addition was complete, the propyne was bubbled through for a further 30 minutes. A white suspension then formed. To this was added the ketone from Stage 2 (7.1 gms, 0.028 moles) in 25 dry tetrahydrofuran (50 mls). After the addition, the mixture was stirred at 0°C to 5°C for 2 hours and then allowed to warm up to room temperature. The mixture was poured into 10% ammonium chloride solution and extracted with ethyl acetate (2 x 100 mls). The extracts were 30 combined and washed with water and then dried over magnesium sulphate. Removal of solvent left a frothy semi-solid material. Flash chromatography of this material using ether/hexane as eluent gave 0.95 gms of the desired product as a frothy yellow semi-solid material 35 which was characterised by its NMR spectrum as follows:-

NMR (270 MHz; CDCl<sub>3</sub>)  $\delta_{\rm H}$  2.0 (3H,s); 3.6 (1H,s); 7.4 (2H,m); 8.06 (1H,d); 8.84 (2H,s); 9.16 (1H,s)

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#### EXAMPLE 2

This Example illustrates the preparation of 1-(2-methoxy-4-chlorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol (Compound No 2 of Table 1).

# Stage 1

4-chloro-o-anisic acid (24.6 gms) was dissolved in

methanol (200 mls) and concentrated sulphuric acid (1.5 mls) was added. The mixture was stirred and refluxed for 3 hours. The mixture was then cooled and the solvent removed in vacuo. Water and ether were added to the residue. The ether layer was washed with water (100 mls) and saturated sodium bicarbonate solution (100 mls) and again with water (100 mls) and then dried over magnesium sulphate. The solvent was removed leaving a colourless oil (25.6 gms) which slowly solidified.

# 25 Stage 2

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The ester from Stage 1 (13.0 gms, 0.065 mole) and 5-bromopyrimidine (11.35 gms, 0.071 mole) were dissolved in a mixture of dry ether (128 mls), dry tetrahydrofuran (92 mls) and 40°C - 60°C petrol (92 mls). The mixture was stirred under nitrogen and cooled to -110°C in a toluene/liquid nitrogen bath. n-Butyl lithium (45 mls of 1.5M solution, 0.068 mole) was added over 50 minutes, keeping the temperature between -105°C and -112°C. After addition, the mixture, which had turned yellow, was allowed to warm up to -80°C and kept at this temperature

for 4 hours. The mixture was allowed to warm slowly to room temperature and left standing overnight. The yellow mixture was then poured into 10% ammonium chloride solution and stirred rapidly for 20 minutes. The organic layer was then separated, washed with water (2 x 100 mls) and dried over magnesium sulphate. Removal of solvent left an oil. Flash chromatography using ether/hexane as eluent yielded 2.9 gms of solid (melting point:- 129°C-132°C).

# 10 Stage 3

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Propyne was bubbled into tetrahydrofuran (50 ml) at 0°C with stirring under nitrogen, while n-butyl lithium (14.2 mls of 1.6M solution, 0.022 mole) was added dropwise keeping the temperature between 0°C and 5°C. After the 15 addition was complete, the propyne was bubbled through for a further 30 minutes. A white suspension then formed. this was added the ketone from stage 2 (2.83 gms, 0.011 mole) in tetrahydrofuran (30 mls). The mixture was stirred at 0°C to 5°C for 2 hours and then allowed to warm 20 to room temperature and stirred for a further 2 hours. The mixture was poured into 10% ammonium chloride solution and extracted with ethyl acetate (2  $\times$  50 mls). The organic layer was washed with water and dried over magnesium Removal of the solvent left a brown oil which 25 was further purified by flash chromatography using ether/hexane elution to give an oil. Crystals formed overnight and these were triturated under a 7:3 mixture of hexane and ether yielding the desired product as a white crystalline solid (1.3 gms), having a melting point of 30 83-85°C. The product was further characterised by its NMR spectrum as follows:-1,96 (3H,s); 3.7 (3H,s); 4.5

NMR (270 MH<sub>Z</sub>; CDCl<sub>3</sub>)  $\delta_{\rm H}$  1,96 (3H,s); 3.7 (3H,s); 4.5 (1H,s); 6.9 (1H,s) 7.1 (1H,d); 7.7 (1H,d); 8.8 (2H,s); 9.1 (1H,s)

#### EXAMPLE 3

This Example illustrates the preparation of 1-(2,4-difluorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol (Compound No 3 of Table 1).

The general procedure of Stages 1 and 2 of Example 1 were used to prepare 2,4-difluorophenyl,pyrimidin-5-yl ketone using 2,4-difluorobenzaldehyde as starting material. The ketone was reacted with excess propyne using the general procedure of Stage 3 of Example 2 to give the desired product as yellow solid having a melting point of 93-95°C. The product was further characterised by its NMR spectrum as follows:-

NMR (270 MHz; CDCl<sub>3</sub>)  $\delta_{H}$  1.96 (3H,s); 6.8 (1H,t); 6.9 (1H,t); 7.9 (1H,m); 8.9 (2H,s); 9.08 (1H,s)

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#### EXAMPLE 4

1-(4-chlorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol (Compound No 4 of Table 1) was prepared by the general method of Example 3 using 4-chlorobenzaldehyde as starting material in Stage 1. The product obtained after final solvent removal in Stage 3 was an oil which was further purified by flash chromatography using petrol/ether elution to give an oil, the gas chromatogram of which showed two peaks. Flash chromatography was repeated using a 3:7 mixture of ethyl acetate and hexane as eluent but failed to separate the two components. Gas chromatography/mass spectroscopy identified the two components as:-

- (i) M<sup>+</sup> 258, 1-(4-chlorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol and
- (ii) M<sup>+</sup> 244 1-(4-chlorophenyl)-1-(pyrimidin-5-yl)prop-2-yne-1-ol.

The ratio of the two components ((i): (ii)) was 1:2. It is believed that the formation of component (ii) resulted from contamination of the propyne starting material. Component (ii) would not be expected to be formed when using normal, pure propyne.

The two components were characterised by their NMR spectrum as follows:-

- (i) 1-(4-chlorophenyl)-1-(pyrimidin-5-yl)but-2-yne-1-ol NMR (270 MHz; CDCl<sub>3</sub>)  $\delta_{\rm H}$  1.94 (3H,s); 5.40 (1H,s); 7.28-7.60 (4H, AB System), 8.80 (2H,s); 8.92 (1H,s)
  - (ii) 1-(4-chlorophenyl)-1-(pyrimidin-5-yl)prop-2-yne-1-ol
- 20 NMR (270 MHz; CDCl<sub>3</sub>)  $\delta_{\rm H}$  2.92 (1H,s), 6.15 (1H,s); 7.28-7.60 (4H,AB system); 8.2 (2H,s); 8.98 (1H,s)

#### EXAMPLE 5

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This Example illustrates the preparation of 1-(2-methoxy-4-chlorophenyl)-1-(pyrimidin-5-yl)butan-1-ol (Compound No 6 of Table 1).

Compound No 2 of Example 2 (0.52 gms) was dissolved in ethyl acetate (20 mls) and 5% rhodium/alumina catalyst (0.2 gms) was added. The mixture was hydrogenated in an autoclave for 16 hours at 230 atmosphere pressure and 80°C. The mixture was then filtered and evaporated to dryness leaving the desired product as a light yellow viscous oil (0.38 gms) which was characterised by its NMR spectrum as follows:-

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NMR (90 MH<sub>z</sub>; CDCl<sub>3</sub>)  $\delta_{\rm H}$  0.95 (3H,t); 1.3 (2H,m); 1.9-2.6 (2H,m); 3.6 (3H,s) 5.1 (1H,broad s); 6.8 (1H,d); 7.0 (1H,d); 7.7 (1H,d); 8.7 (2H,s); 9.0 (1H,s)

#### EXAMPLE 6

This Example illustrates the preparation of 1-(4-chlorophenyl)-1-(pyridin-3-yl)but-2-yne-1-ol (Compound No 5 of Table 1).

# Stage 1

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To a solution of n-butyl lithium (32 mls of 2.5M solution; 0.08 moles) in dry ether (50 mls) under nitrogen and at -78°C was added a cold solution of 3-bromopyridine (12.64 gms, 0.08 moles) in dry ether (50 mls) and the mixture was stirred at this temperature for 30 minutes. 4-Chlorobenzaldehyde (11.24 gms, 0.08 moles) in dry tetrahydrofuran (50 mls) was added and the mixture was allowed to warm to room temperature. Water was added and the aqueous phase extracted with ether (x 3). The extracts were combined, washed with water and brine and dried over magnesium sulphate. The solvent was removed in vacuo to give a brown solid which was further purified over silica to give a pale yellow solid.

# 30 Stage 2

Oxalyl chloride (2.88ml, 0.033 moles) dissolved in dichloromethane (30 mls) was stirred and cooled to -75°C under nitrogen. Dimethyl sulphoxide (4.67ml, 0.066 moles) in dichloromethane (20 mls) was added dropwise and gas evolution was noted. The mixture was stirred for 5

minutes and then the alcohol from Stage 1 (6.5 gms, 0.03 moles) in dichloromethane (30 mls) was added dropwise at -70°C. The mixture was then stirred for 30 minutes after which triethylamine (20.2 mls, 0.145 moles) was added dropwise. A white precipitate came out of solution and the mixture was allowed to warm to room temperature. Water was added to the mixture and the solid dissolved. The organic layer was separated off and the aqueous layer extracted with dichloromethane (x 3). The combined organic layers were washed with water and brine and then dried over magnesium sulphate. Removal of solvent left a beige solid (6.38 gms).

# Stage 3

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Propyne was bubbled into dry tetrahydrofuran (30 mls) with stirring at -35°C. n-Butyl lithium (3.64ml of 2.5M solution; 9.10 mmole) was added slowly and the mixture was stirred for 30 minutes whilst propyne continued to be bubbled through. A white precipitate formed which cleared when a solution of the ketone from Stage 2 (1.0 gms, 4.55 mmole) in tetrahydrofuran (20 ml) was added. The mixture was allowed to warm to room temperature and ammonium chloride solution (20%) was added. The mixture was extracted with ether and the combined extracts were washed with water and brine and dried over magnesium sulphate before the solvent was remove in vacuo. A yellow gum was formed which solidified on standing to give a beige solid. This was dissolved in ether and passed through silica in ether to give the desired product as an off-white solid having a melting point of 152-155°C. The product was characterised by its NMR spectrum as follows:-

NMR (400 MHz; CDCl<sub>3</sub>)  $\delta_{\rm H}$  1.95 (3H, s); 6.40 (1H, s); 7.24 (1H, s); 7.25-7.6 (AB quartet); 7.55 (2H, m); 7.90 (1H, m); 8.4(1H, d); 8.80(1H,s)

#### EXAMPLE 7

Compound Nos 1 to 4 and 6 in Table I were tested for plant growth regulator activity against two species for various growth effects relevant to plant growth regulation.

# Methodology

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The plant species used in this screen are presented in Table II with the leaf stage at which they were sprayed. Except where indicated in Table III, each chemical was applied at 4000 ppm (4 kg/ha in a 1000 l/ha field volume) using a tracksprayer and a SS8004E (Teejet) nozzle. After spray the plants were grown in a glasshouse with 25°C day/22°C night temperatures and supplementary lighting was supplied when necessary (from mercury vapour lamps), to provide a 16 hour photoperiod. The exception to this were the temperate cereals, wheat and barley which grown in 16°C day/13°C night temperatures.

After 2-6 weeks in the glasshouse, depending on the time of year, the plants were visually assessed for morphological characteristics. Formulation blanks were used as controls to assess the plants. The results are in Table III.

TABLE II

PLANT MATERIAL USED FOR WHOLE PLANT SCREEN

5	Species	Code	Variety	Growth S	Stage No.	Plants	Compost
				at Treat	tment per	3" Pot	Type
	Barley	BR	Atem	1-1.5 1	eaves	4	JIP*
10	Tomato	TO	Ailsa Craig	2-2.5 16	eaves	1	JIP

JIP\* = John Innes Potting Compost.

15 <u>TABLE III</u>

	COMPOUND NO.			BR						T	0		
20		R	G	A	T	I		R	G	A	T	I	
	1									3			
	2												
	3									1			
	4*							1				1	
25	5	_	_	-	-	_	- (	-	-	-	-	-	
	6	1			2	1		1					

\* Applied at 2000ppm

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Key:

R = Retardation

G = Greening effect

A = Apical damage

T = Tillering or side shooting

I = Interligular or internodal length reduction

All effects are scored visually on a 1-3 basis where

5 1 = 10-30%

2 = 31-60%

3 = 61 - 100%

10 The manner in which the compounds of the present invention may be formulated into compositions suitable for application is shown generally in the following indicative illustrations numbered as Examples 8 to 17.

#### 15 EXAMPLE 8

An emulsifiable concentrate is made up by mixing the following ingredients, and stirring the mixture until all the constituents are dissolved.

20	Compound of Table I	10%
	Calcium dodecylbenzenesulphonate	5%
	"SYNPERONIC" NP13	5%
	"Aromasol" H	80%

# 25 EXAMPLE 9

A composition in the form of grains readily dispersible in a liquid, eg. water, is prepared by grinding together the first three ingredients in the presence of added water and then mixing in the sodium acetate. The resultant mixture is dried and passed through a British Standard mesh sieve, size 44-100, to obtain the desired size of grains.

	Compound of Table I	50%
	"Dispersol" T	25%
	"SYNPERONIC" NP5	1.5%
5	Sodium acetate	23.5%

#### EXAMPLE 10

The following ingredients are ground together to produce a powder formulation readily dispersible in liquids.

	Compound of Table I	45%
	"Dispersol" T	5%
15	"SYNPERONIC" NX	0.5%
	"Cellofas" B600	2%
	China clay GTY powder	47.5%

#### EXAMPLE 11

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The active ingredient is dissolved in acetone and the resultant liquid is sprayed on to the granules of attapulgite clay. The solvent is then allowed to evaporate to produce a granular composition.

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Compound of	Table I	5%
Attapulgite	granules	95%

### EXAMPLE 12

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A composition suitable for use as a seed dressing is prepared by mixing the three ingredients.

	Compound of Table I	50%
35	Mineral oil	2%
	China clav	48%

### EXAMPLE 13

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A dusting powder is prepared by mixing the active ingredient with talc.

Compound	of	Table	I	5%
Talc				95%

# 10 EXAMPLE 14

A flowable formulation is prepared by bead-milling the constituents set out below and then forming an aqueous suspension of the ground mixture with water.

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Compound of Table I	40%
"Dispersol" T	4%
"SYNPERONIC" NP5	1%
Water	55%

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# EXAMPLE 15

A dispersible powder formulation is made by mixing together the ingredients set out below and then grinding the mixture until all are thoroughly mixed.

	Compound of Table I	25%
	"Aerosol" OT/B	2%
	"Dispersol" A.C.	5%
30	China clay	28%
	Silica	40%

### EXAMPLE 16

This Example illustrates the preparation of a dispersible powder formulation. The ingredients are mixed

and the mixture then ground in a comminution mill.

	Compound of Table I	25%
	"PERMINAL" BX	1%
5	"Dispersol" T	5%
	Polyvinylpyrrolidone	10%
	Silica	25%
	China clay	34%

10 EXAMPLE 17

The ingredients set out below are formulated into dispersible powder by mixing then grinding the ingredients.

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Compound of Table I	25%
"Aerosol" OT/B	2%
"Dispersol" A	5%
China clay	68%

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There now follows an explanation of the compositions or substances represented by the various Trade Marks and Trade Names mentioned above.

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"SYNPERONIC" NP13 :	a condensate of nonyl phenol (1
	mole) with ethylene oxide (13
	moles).

30 "AROMASOL" H:

a solvent mixture of alkylbenzenes.

"DISPERSOL" T AND AC :

a mixture of sodium sulphate and a condensate of formaldehyde with sodium naphthalene

with sodium sulphonate.

a condensate of nonyl phenol (1 "SYNPERONIC" NP5 mole) with naphthalene oxide (5.5 moles). 5 a sodium carboxymethyl cellulose CELLOFAS B600 : thickener 10 15 20 25

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#### CLAIMS

5 1. A heterocyclic tertiary alcohol derivative having the general formula (I):

and stereoisomers thereof, wherein A is an optionally substituted phenyl or benzyl group; R<sup>1</sup> is an 15 optionally substituted alkyl group containing from 2 to 5 carbon atoms, an optionally substituted cycloalkyl, cycloalkenyl, cycloalkylalkyl or cycloalkenylalkyl group containing from 3 to 5 carbon atoms, an optionally substituted alkenyl group 20 containing from 2 to 5 carbon atoms or an optionally substituted alkynyl group containing from 2 to 5 carbon atoms; Y is a pyrimidin-5-yl, pyrazin-2-yl or pyridin-3-yl group; and R<sup>2</sup> is hydrogen, an alkyl group containing from 1 to 4 carbon atoms, an alkenyl 25 group containing from 2 to 4 carbon atoms or an alkynyl group containing form 2 to 4 carbon atoms; and agrochemically acceptable salts and metal complexes of the compounds of formula (I) and esters (acylates) of compounds of formula (I) wherein  $R^2$  is 30 hydrogen.

 A heterocyclic tertiary alcohol derivative according to claim 1 wherein R<sup>1</sup> is an alkenyl group of formula:

$$-x-ch=ch-R^3$$

wherein  $R^3$  is hydrogen or an optionally substituted alkyl group and -X- is a straight or branched chain alkylene group, the number of carbon atoms in the group  $R^3$  and the number of carbon atoms in the alkylene group -X- being such that the group  $R^1$  contains from 2 to 5 carbon atoms;

or R<sup>1</sup> is an alkynyl group of formula:

 $-X-C = C-R^4$ 

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wherein R<sup>4</sup> is hydrogen or an optionally substituted alkyl group and -X- is a straight or branched chain alkylene group, the number of carbon atoms in the group R<sup>4</sup> and the number of carbon atoms in the alkylene group -X- being such that the group R<sup>1</sup> contains from 2 to 5 carbon atoms.

3. A heterocyclic tertiary alcohol derivative according to claim 2 wherein R<sup>1</sup> is an alkenyl group of formula:

-CH=CH-R<sup>3</sup>

wherein R<sup>3</sup> is an optionally substituted alkyl group containing from 1 to 3 carbon atoms;

or R<sup>1</sup> is an alkynyl group of formula:

-C≡C-R<sup>4</sup>

30 wherein  $R^4$  is an optionally substituted alkyl group containing from 1 to 3 carbon atoms.

4. A heterocyclic tertiary alcohol derivative according to claim 1 wherein R<sup>1</sup> is ethyl, n-propyl, or n-butyl.

- 5. A heterocyclic tertiary alcohol derivative according to any of the preceding claims wherein  $\mathbb{R}^2$  is hydrogen or methyl.
- 5 6. A heterocyclic tertiary alcohol derivative according to any of the preceding claims wherein A is a phenyl group optionally substituted by one or more of halogen, lower alkyl, lower alkoxy, lower haloalkyl, nitro and cyano.

7. A heterocyclic tertiary alcohol derivative according to claim 6 wherein A is phenyl; 2-, 3- or 4-chlorophenyl; 2-, 3- or 4-fluorophenyl; 2,4-dichlorophenyl); 2,4-difluorophenyl; 2-, 3- or 4-methylphenyl; 2-, 3- or 4-trifluoromethylphenyl; 2-, 3- or 4-methoxyphenyl; 2-methoxy-4-chlorophenyl;

8. A plant growth regulating composition comprising a plant growth regulating amount of a heterocyclic tertiary alcohol derivative according to claim 1 and an agrochemically acceptable carrier or diluent.

or 2-, 3- or 4-nitrophenyl.

- 9. A method of regulating plant growth which comprises
  25 applying to the plant, to the seed of the plant or to
  the locus of the plant or seed, a plant growth
  regulating amount of a heterocyclic tertiary alcohol
  derivative according to claim 1.
- 30 10. A fungicidal composition comprising a fungicidally effective amount of a heterocyclic tertiary alcohol derivative according to claim 1 and an agrochemically acceptable carrier or diluent.

11. A method of combating fungi which comprises applying to the plant, to the seed of the plant or to the locus of the plant or seed, a fungicidally effective amount of a heterocyclic tertiary alcohol derivative according to claim 1.