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PATENT SPECIFICATION

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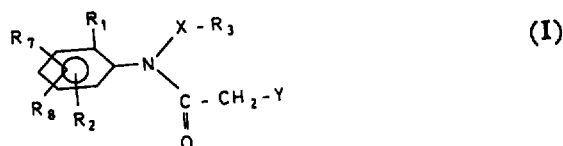
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(54) SUBSTITUTED AMIDES AND THEIR USE AS FUNGICIDES

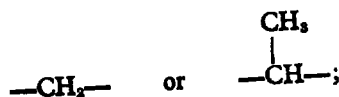
(71) We, CIBA-GEIGY AG, a Swiss body corporate of Basle, Switzerland, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to substituted amides and their use as fungicides.
The present invention provides compounds of the formula I

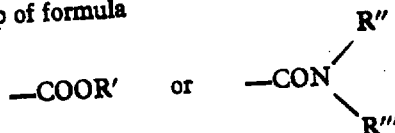


wherein

- R_1 represents a halogen atom or an alkyl or alkoxy group of 1 to 4 carbon atoms,
 R_2 represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms
or an alkoxy group of 1 to 4 carbon atoms,
 R_7 represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms
or an alkoxy group of 1 to 4 carbon atoms, and
 R_8 represents a hydrogen atom or a methyl group, the total number of carbon atoms
in the substituents R_1 , R_2 , R_7 and R_8 not exceeding 8;
 X represents the group

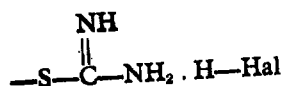


R_3 represents a group of formula



(wherein each of R' , R'' and R''' independently represents a hydrogen atom or a methyl or ethyl group); and
 Y represents a group of formula:

a)



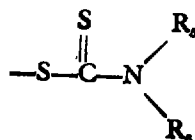
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(wherein Hal represents a halogen anion), or

b) $-\text{OR}_4$, or

c) $-\text{SR}_4$ (wherein R_4 represents an alkyl group of 1 to 6 carbon atoms, an alkenyl group of 3 to 6 carbon atoms, or an alkynyl group of 3 to 6 carbon atoms, each of which is unsubstituted or substituted by a halogen, or R_4 represents a benzyl group which is unsubstituted or substituted by halogen or alkyl of 1 to 4 carbon atoms), or

d)



(wherein each of R_5 and R_6 , which may be the same or different, represents an alkyl group of 1 to 4 carbon atoms).

Depending on the number of the indicated carbon atoms the following groups are to be understood as the alkyl groups and alkyl moieties of alkoxy groups: methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec. butyl, tert. butyl as well as pentyl and hexyl and the isomers thereof. Alkenyl of 3 to 6 carbon atoms denotes above all allyl, methallyl, butenyl and pentenyl; and alkynyl of 3 to 6 carbon atoms is above all prop-2-ynyl propargyl) and but-2-ynyl.

By halogens, which also appear as substituents in R_4 , are meant fluorine, chlorine, bromine or iodine.

The invention provides a method of combating fungi, particularly phytopathogenic fungi, which comprises applying thereto or to the locus thereof a compound of the formula I.

The compounds of the formula I have for practical purposes a very favourable fungicidal spectrum for protecting cultivated plants. Examples of cultivated plants, which may be so protected, are: cereals, maize, rice, vegetables, sugar-beet, soya, ground nuts, fruit trees, ornamental plants, but principally vines, hops, cucumber plants (cucumbers, marrows, melons), *Solanaceae*, such as potatoes, tobacco and tomatoes, as well as banana, cocoa and rubber plants. The compounds of the formula I are applied to combat phytopathogenic fungi on plants without substantially adversely affecting the plants.

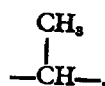
Employing the active substances of the formula I it is possible to destroy fungi which occur in plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of these and also related cultivations of useful plants and also to protect the parts of plants which grow later from attack by such fungi. The active substances act against the phytopathogenic fungi which belong to the following classes: *Ascomycetes* (*Erysiphaceae*); *Basidiomycetes*, above all rust fungi, *Fungi imperfecti* (*Moniliales*); but especially against the *Oomycetes* belonging to the class of the *Phycomycetes*, e.g. *Phytophthora*, *Peronospora*, *Pseudoperonospora*, *Pythium* or *Plasmopara*. In addition, the compounds of the formula I have a systemic action. They can also be used as seed dressing agents for protecting seeds, fruit, tubers, grains and plant cuttings from fungal infections as well as from phytopathogenic fungi which occur in the soil.

An important group of fungicides comprise compounds of the formula wherein

R_1 represents a methyl group,

R_2 is in ortho-position with respect to the amino group and represents chlorine atom, or a methyl or ethyl group, each of R_7 and R_8 , which may be the same or different, represents a hydrogen atom or a methyl group,

X represents the group



R' represents a methyl group,

R'' represents a hydrogen atom, and

R''' represents a methyl or ethyl group, and

Y represents a group of formula $-\text{OR}_4$ or $-\text{SR}_4$ (wherein R_4 represents a methyl, ethyl, propyl, isopropyl, butyl, sec.-butyl or tert. butyl group).

Compounds to be singled out for special mention on account of their action are those wherein in formula I the total number of carbon atoms in the substituents R_1 , R_2 , R_7 and R_8 does not exceed 5, and

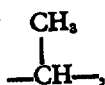
X represents the group

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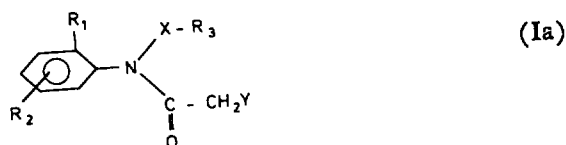
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R_3 represents a group of formula $-\text{COOR}'$, and
Y represents a group of formula OR_4 or SR_4 .

Particularly interesting compounds of the formula I are those wherein R_4 represents an alkyl group of 1 to 4 carbon atoms, or an allyl, chlorallyl or propargyl group.

An important subgroup of fungicidal compounds within the scope of the formula I comprises those of the formula Ia

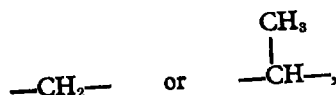


wherein

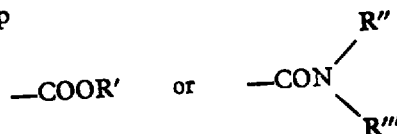
R_1 represents a halogen atom or an alkyl or alkoxy group of 1 to 4 carbon atoms,
 R_2 represents a hydrogen or halogen atom or an alkyl group of 1 to 3 carbon

atoms,

X represents the group

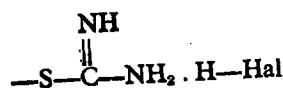


R_3 represents a group



(wherein each of R' , R'' and R''' independently represents a hydrogen atom or a methyl or ethyl group), and

Y represents a group of formula:
a'')

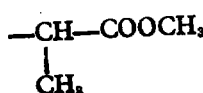


(wherein Hal represents a halogen anion),
b'') $-\text{S}-\text{R}_4$ (wherein R_4 represents an alkyl group of 1 to 6 carbon atoms); or
c'')



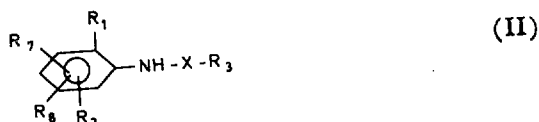
(wherein each of R_5 and R_6 , which may be the same or different, represents an alkyl group of 1 to 4 carbon atoms).

Preferably in the above compounds the symbol $-\text{X}-\text{R}_3$ represents a group of formula



The compounds of the formula I may be prepared according to the invention optionally

A) for compounds of formula I when Y represents a group of formula b) or c) by acylation of a compound of the formula II



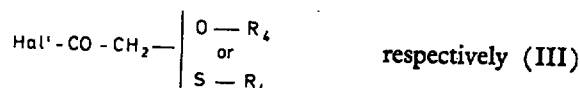
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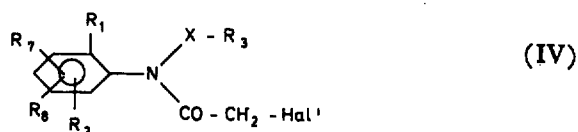
with a compound of the formula III



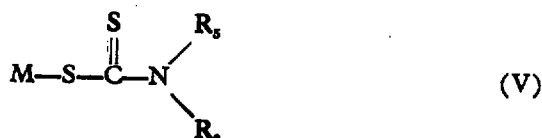
or

5 B) for compounds of formula I when Y represents a group of formula d), c) or a) by initial monohaloacetylation of a compound of the formula II to give a compound of the formula IV

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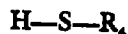


and by further reaction with a compound of the formula V



10 or with a mercaptan (or the alkali or alkaline earth metal salt thereof) of the formula

10



or with thiourea, respectively.

15 In the formulae II, III, IV and V, the symbols R_1 to R_6 and X are as defined under formula I, and Hal' represents halogen, preferably chlorine or bromine, and M represents a metal cation, preferably an alkali metal or alkaline earth metal cation.

15

The reactions can be carried out in the presence or absence of solvents or diluents which are inert to the reactants. Examples of suitable solvents or diluents are: aliphatic or aromatic hydrocarbons, e.g. benzene, toluene, xylene, petroleum ether; halogenated hydrocarbons, e.g. chlorobenzene, methylene chloride, ethylene chloride, chloroform; ethers and ethereal compounds, e.g. dialkyl ethers, dioxan, tetrahydrofuran; nitriles, e.g. acetonitrile; N,N-dialkylated amides, e.g. dimethyl formamide; dimethyl sulphoxide, ketones, e.g. methyl ethyl ketone, and mixtures of such solvents.

20

25 The reaction temperatures are usually between 0° and 180°C , preferably between 20°C and 120°C . It is often advantageous to use acid acceptors or condensation agents. Suitable examples are: tertiary amines, e.g. trialkylamines (e.g. triethylamine), pyridine and pyridine bases, or inorganic bases, e.g. the oxides and hydroxides, hydrogen carbonates and carbonates of alkali metals and alkaline earth metals, as well as sodium acetate. Moreover, in the first preparative method, it is also possible to use a surplus of the respective aniline derivative of the formula II as acid acceptor.

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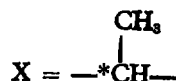
30 The process of preparation A which proceeds from compounds of the formula II can also be carried out without acid acceptors; in some instances it is expedient to pass through nitrogen in order to expel the hydrogen halide that has formed. In other instances it is very advantageous to use dimethyl formamide as reaction catalyst.

30

35 Particulars concerning the preparation of the intermediates of the formula II can be learnt from the general methods for the preparation of anilinealkane acid esters as described in the following publications:

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J. Org. Chem. 30, 4101 (1965); Tetrahedron 1967, 487; Tetrahedron 1967, 493. The compounds of the formula I in which

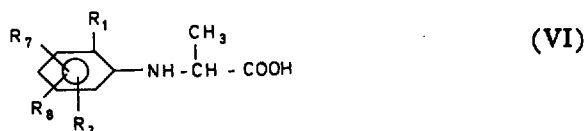


40 contain an asymmetrical carbon atom (*) and can be resolved into the optical antipodes in the customary manner. In this connection, the enantiomeric D-form has the more pronounced fungicidal action.

40

Within the scope of the invention, the use of such compounds of the formula I in their D-configuration is accordingly preferred. These D-forms usually have a negative angle of rotation in ethanol or acetone.

The pure optical D-antipodes are obtained by preparing for example the racemic compound of the formula VI



wherein R_1 , R_2 , R_7 and R_8 have the meanings given under formula I, and then reacting the compound of the formula VI in known manner with a nitrogen-containing, optically active base to give the corresponding salt. The pure D-form is obtained stepwise by fractional crystallisation of the salt and subsequent liberation of the acid of the formula VI which is enriched with the optical D-antipode and, if appropriate, repeating (if necessary several times) the salt formation, crystallisation and liberation of the α -anilino-propionic acid of the formula VI. From this pure D-form it is then possible, if desired, to prepare in known manner, for example in the presence of HCl or H_2SO_4 , with methanol or ethanol, the optical D-configuration of the ester falling under the formula II, or with the corresponding amine of formula $HN(R'')(R''')$, the amide falling under the formula II, preferably via the acid halide. A suitable optically active organic base is, for example, α -phenethylamine.

Instead of the fractional crystallisation, it is also possible to prepare the enantiomeric D-form of the formula VII



by diazotising the amino group in the naturally occurring L-alanine in the presence of e.g. HCl or HBr and thereby replacing it by halogen accompanied by the splitting off of N_2 and retention of the L-configuration, then, if appropriate, effecting esterification with methanol or ethanol and subsequently reacting the ester with the aniline of the formula VIII



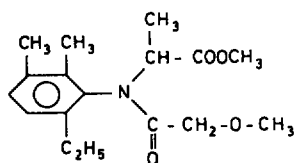
whereby almost total inversion to the D-configurations of the formula VII occurs (J. Am. Chem. Soc. 76, 6065). In the analogous manner it is also possible to prepare in this manner the amides in which $R_3 = CON(R'')(R''')$. Irrespective of the cited optical isomerism, an atropisomerism is observed about the phenyl-N< axis in those instances in which the phenyl ring is substituted at least in the 2,6-positions and at the same time unsymmetrically to this axis (i.e. also on account of the presence of additional substituents as the case may be). This phenomenon is occasioned by the steric hindrance of the radicals $-X-R_3$ and $-CO-R_4$.

Also irrespective of the optical isomerism, where R_4 is alkenyl a cis/trans-isomerism can occur in the double bond.

Provided no synthesis with the object of isolating pure isomers is carried out, a product will normally occur as a mixture of two optical isomers, two atropisomers, two cis/trans-isomers or as a mixture of these possible isomers. However, the basically better fungicidal action of the enantiomeric D-form (in comparison with the D,L-form or L-form) is retained and is not noticeably affected by the atropisomerism or the cis/trans-isomerism.

The following Examples serve to illustrate the invention in more detail. Unless stated to the contrary, an active substance of the formula I, which can occur in optionally active form, is always to be understood as meaning the racemic mixture. All temperatures are given in degrees Centigrade.

Example 1.
Preparation of



(compound 65)

N-(1'-methoxycarbonyl-ethyl)-N-methoxyacetyl-2,3-dimethyl-6-ethylaniline.

5 a) A mixture of 100 g of 2,3-dimethyl-6-ethylaniline, 223 g of 2-bromopropionic acid methyl ester and 84 g of NaHCO_3 was stirred for 17 hours at 140°C , then cooled, diluted with 300 ml of water and extracted with diethyl ether. The extract was washed with a small amount of water, dried over sodium sulphate, filtered and the ether evaporated. After the excess 2-bromopropionic acid methyl ester had been distilled off, the
10 crude product was distilled in a high vacuum; b.p. $88^\circ\text{--}90^\circ\text{C}/0.04$ Torr.

b) A mixture of 11 g of the ester obtained according to a), 6.5 g of methoxyacetyl chloride, 2 ml of dimethyl formamide and 250 ml of abs. toluene was stirred at room temperature and refluxed for 1 hour. The solvent was evaporated off and the crude product then distilled in vacuo; b.p. $126^\circ\text{--}132^\circ\text{C}/0.08$ Torr.

15 The D-forms of both cis/trans-isomers (compounds 65a and 65b) were obtained by acylating the pure D-form of α -(2,3-dimethyl-6-ethylanilino)-propionic acid methyl ester with methoxyacetic acid or with one of the reactive derivatives thereof.

20 The other intermediates were also prepared in a manner analogous to that of Example 1a) e.g. the following compounds of the formula II (R_1 in 2-position; R_2 in 6-position; $\text{R}_3 = \text{H}$).

R_1	R_2	R_7	$-X-R_3$	Physical constant
CH_3	CH_3	H	$-CH(CH_3)-COOCH_3$	b.p. 98°C/0.8 Torr
CH_3	C_2H_5	H	"	b.p. 88°–90°C/0.01 Torr
CH_3	C_2H_5	5- CH_3	"	b.p. 96°–99°C/0.03 Torr
CH_3	CH_3	3- CH_3	"	b.p. 83°C/0.03 Torr; 145°C/9 Torr
		4- CH_3	"	b.p. 88°–90°C/0.04 Torr
CH_3	CH_3	3- CH_3	"	b.p. 88°–90°C/0.04 Torr
CH_3	C_2H_5	4- CH_3	"	b.p. 95°–100°C/0.02 Torr
CH_3	H	5- CH_3	"	b.p. 106°–108°C/0.1 Torr
CH_3	H	3- CH_3	"	b.p. 146°C/5 Torr
	H	H	"	b.p. 110°C/0.2 Torr
$isoC_3H_7$	$isoC_3H_7$	H	"	b.p. 105°C/0.5 Torr
$isoC_3H_7$	H	H	"	b.p. 93°C/0.07 Torr
$t.C_4H_9$	H	H	"	b.p. 125°–127°C/0.07 Torr
CH_3	H	4-Cl	"	b.p. 88°–89°C/0.03 Torr
CH_3	Cl	H	"	m.p. 31.5–32.5°
CH_3	CH_3	4-Br	"	m.p. 46°–47.5°C
CH_3	CH_3	3-Br	"	b.p. 98°C/0.15 Torr
F	H	H	"	b.p. 90°–100°C/0.09 Torr
Cl	H	H	"	

TABLE (CONT.)

R_1	R_2	R_7	$-X-R_3$	Physical constant
Br	H	H	$-\text{CH}(\text{CH}_3)-\text{COOCH}_3$	b.p. 110°C/0.01 Torr
CH_3	CH_3	4-I	" "	m.p. 81°-83°C
I	H	H	" "	b.p. 105°C/0.15 Torr
$n\text{C}_4\text{H}_9\text{O}-$	H	H	" "	b.p. 132°C/0.5 Torr
CH_3	H	4- $\text{CH}_3\text{O}-$	" "	b.p. 131°C/0.5 Torr
CH_3	H	4sec.- $\text{C}_4\text{H}_9\text{O}-$	" "	b.p. 138°C/0.15 Torr
Cl	H	5-Cl	" "	m.p. 51.5°-54°C
CH_3	C_2H_5	H	$-\text{CH}(\text{CH}_3)-\text{CONH}_2$	b.p. 155°-157°C/0.1 Torr
C_2H_5	C_2H_5	H	$-\text{CH}(\text{CH}_3)-\text{CONH}_2$	m.p. 71°-73°C
C_2H_5	C_2H_5	H	$-\text{CH}_2-\text{CONH}_2$	m.p. 103°-106°C
C_2H_5	C_2H_5	H	$-\text{CH}_2-\text{COOC}_2\text{H}_5$	b.p. 100°-103°C/0.04 Torr
C_2H_5	C_2H_5	H	$-\text{CH}_2-\text{CON}(\text{CH}_3)_2$	wax-like
CH_3	CH_3	H	$-\text{CH}_2-\text{CONH}_2$	m.p. 89°-91°C
CH_3	CH_3	H	$-\text{CH}(\text{CH}_3)-\text{CONH}_2$	m.p. 102°-103°C
CH_3	CH_3	H	$-\text{CH}(\text{CH}_3)-\text{CONHCH}_3$	m.p. 75°-76°C
CH_3	CH_3	H	$-\text{CH}(\text{CH}_3)-\text{CON}(\text{CH}_3)_2$	b.p. 104°-108°C/0.02 Torr
C_2H_5	C_2H_5	H	$-\text{CH}_2-\text{CONHCH}_3$	m.p. 59°-61.5°C

TABLE (CONT.)

R_1	R_2	R_7	$-X-R_3$	Physical constant
C_2H_5	C_2H_5	H	$-CH_2-CONHC_2H_5$	m.p. $79^\circ-80^\circ C$
CH_3	CH_3	H	$-CH_2-COOCH_3$	b.p. $155^\circ-160^\circ C/20$ Torr
CH_3	Cl	H	$-CH(CH_3)-COOC_2H_5$	b.p. $110^\circ-120^\circ C/0.3$ Torr
CH_3	C_2H_5	H	$-CH_2-COOCH_3$	b.p. $168^\circ-171^\circ C/30$ Torr
CH_3	Cl	H	$-CH(CH_3)-CONHCH_3$	m.p. $51^\circ-53^\circ C$
CH_3	Cl	H	$-CH(CH_3)-COOCH_3$	m.p. $118^\circ-122^\circ C$
CH_3	Cl	4-I	$-CH(CH_3)-COOCH_3$	m.p. $135^\circ-137^\circ C/0.02$ Torr
CH_3	CH_3	4-Cl	$-CH(CH_3)-COOCH_3$	m.p. $65^\circ-69^\circ C$
CH_3	C_2H_5	4-I	$-CH(CH_3)-COOCH_3$	b.p. $142^\circ-145^\circ C/0.04$ Torr
CH_3	C_2H_5	4-Cl	$-CH(CH_3)-COOCH_3$	b.p. $151^\circ-153^\circ C/0.03$ Torr
CH_3	Cl	4-Cl	$-CH(CH_3)-COOCH_3$	m.p. $82^\circ-85^\circ C$
CH_3	Cl	4-Br	$-CH(CH_3)-COOCH_3$	m.p. $52^\circ-54^\circ C$
CH_3	C_2H_5	4-Br	$-CH(CH_3)-COOCH_3$	

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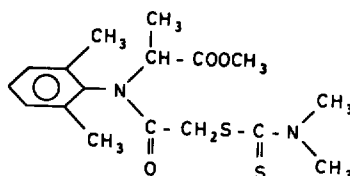
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Preparation of

Example 2.



(compound 294)

5 N - (Dimethylthiocarbonyl - thio - acetyl) - 2,6 - dimethyl - phenyl - alanine methyl ester.

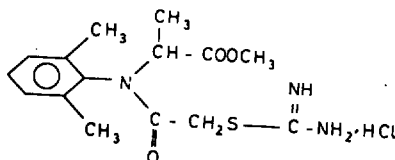
a) Manufacture of N - (1' - methoxycarbonyl - ethyl) - N - chloroacetyl - 2,6-dimethylaniline.

990.3 g (4.76 g-moles) of α -(2,6-dimethylanilino)-propionic acid methyl ester were mixed with 605 g (5.7 g-moles) of sodium carbonate in 2.5 litres of abs. benzene. To this mixture were then added slowly dropwise 455 ml (5.7 g-moles) of monochloroacetyl chloride in such a way that a temperature of 30°—35°C in the reaction mixture was not exceeded. After it had been stirred at room temperature overnight, the mixture was filtered off and the filtrate was concentrated by rotary evaporation at c. 50°C. The residue was recrystallised from petroleum ether (boiling range 65°—90°C). Yield: 1132 g of intermediate (m.p. 92°—94°C).

b) A mixture of 85.2 g of the α -propionic acid methyl ester obtained according to a) and 53.7 g of sodium dimethyldithiocarbamate in 1000 ml of acetonitrile was refluxed for 6 hours with stirring and the passage of nitrogen. After it had been cooled, the reaction mixture was poured into water and the reaction product extracted with chloroform. The chloroform was evaporated off and the product recrystallised from methanol. The white crystals of compound No. 294 melted between 127°—128.5°C.

Preparation of

Example 3.



(compound 298)

25 N - (1' - methoxycarbonyl-ethyl) - N - ([isothiuronium - hydrochloride - S -]-methylcarbonyl) - 2,6 - dimethylaniline.

A mixture of 21.8 g of N-(1'-methoxycarbonyl-ethyl)-N-chloroacetyl-2,6-dimethylaniline and 5.4 g of thiourea was refluxed for 1 hour with stirring and the reaction product precipitated from the solution. The batch was cooled and the product filtered off and recrystallised from isopropanol.

The white crystals of compound No. 298 melted between 258°—260°C with decomposition.

The following compounds of the formula I were manufactured in analogous manner:

TABLE I (R_1 in 2-position; $R_2=H$; $X-R_3=-CHCOOCH_3$; $Y=OR_4$)

Compound	R_1	R_2	R_7	R_4	Physical constant
1	CH_3	$6-CH_3$	H	CH_3	m.p. $67^\circ-68^\circ C$
2	CH_3	$6-CH_3$	H	C_2H_5	b.p. $130^\circ-132^\circ C/0.02$ Torr
3	CH_3	$6-CH_3$	H	$n-C_3H_7$	b.p. $133^\circ-140^\circ C/0.03$ Torr
4	CH_3	$6-CH_3$	H	iso- C_3H_7	b.p. $137^\circ-140^\circ C/0.04$ Torr
5	CH_3	$6-CH_3$	H	sec. C_4H_9	b.p. $141^\circ-143^\circ C/0.04$ Torr
6	CH_3	$6-CH_3$	H	tert. C_4H_9	b.p. $145^\circ-147^\circ C/0.03$ Torr
7	CH_3	$6-CH_3$	H	$n-C_4H_9$	b.p. $138^\circ-139^\circ C/0.07$ Torr
8	CH_3	$6-CH_3$	H	sec. C_5H_{11}	b.p. $140^\circ-142^\circ C/0.04$ Torr
9	CH_3	$6-C_2H_5$	H	CH_3	b.p. $148^\circ C/0.4$ Torr
10	CH_3	$6-C_2H_5$	H	C_2H_5	b.p. $141^\circ-144^\circ C/0.05$ Torr
11	CH_3	$6-C_2H_5$	H	iso- C_3H_7	
12	CH_3	$6-C_2H_5$	H	sec. C_4H_9	
13	CH_3	$6-C_2H_5$	H	tert. C_4H_9	
14	CH_3	$6-C_2H_5$	H	$n-C_4H_9$	m.p. $47^\circ-56^\circ C$
15	CH_3	$6-C_2H_5$	H	sec. C_5H_{11}	b.p. $148^\circ-150^\circ C/0.04$ Torr
16	CH_3	$6-Cl$	H	CH_3	
17	CH_3	$6-Cl$	H	C_2H_5	

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TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	Physical constant
18	CH ₃	6-Cl	H	isoC ₃ H ₇	b.p. 147°C/0.15 Torr
19	CH ₃	6-Cl	H	tert. C ₄ H ₉	
20	CH ₃	6-Cl	H	sec. C ₄ H ₉	
21	CH ₃	6-Cl	H	sec. C ₃ H ₁₁	
22	CH ₃	5-CH ₃	H	CH ₃	b.p. 153°-155°C/0.07 Torr
23	CH ₃	5-CH ₃	H	C ₂ H ₅	
24	CH ₃	5-CH ₃	H	isoC ₃ H ₇	
25	C ₂ H ₅	6-C ₂ H ₅	H	CH ₃	
26	C ₂ H ₅	6-C ₂ H ₅	H	C ₂ H ₅	b.p. 147°C/0.3 Torr
27	C ₂ H ₅	6-C ₂ H ₅	H	isoC ₃ H ₇	b.p. 142°-145°C/0.06 Torr
28	CH ₃	3-CH ₃	6-CH ₃	CH ₃	
29	CH ₃	3-CH ₃	6-CH ₃	C ₂ H ₅	b.p. 152°C/0.1 Torr
30	CH ₃	3-CH ₃	6-CH ₃	n-C ₃ H ₇	m.p. 58°-68°C
31	CH ₃	3-CH ₃	6-CH ₃	isoC ₃ H ₇	b.p. 140°-142°C/0.04 Torr
32	CH ₃	3-CH ₃	6-CH ₃	n-C ₄ H ₉	b.p. 138°-140°C/0.06 Torr
33	CH ₃	3-CH ₃	6-CH ₃	sec. C ₄ H ₉	b.p. 140°-142°C/0.08 Torr
34	CH ₃	3-CH ₃	6-CH ₃	tert. C ₄ H ₉	b.p. 147°-148°C/0.06 Torr

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TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₄	Physical constant
35	CH ₃	3-CH ₃	6-CH ₃	sec. C ₈ H ₁₁	b.p. 159°-161°C/0.04 Torr
36	CH ₃	4-CH ₃	6-CH ₃	CH ₃	m.p. 50°-53°C
37	CH ₃	4-CH ₃	6-CH ₃	C ₂ H ₅	b.p. 148°-151°C/0.08 Torr
38	CH ₃	4-CH ₃	6-CH ₃	isoC ₃ H ₇	b.p. 149°-152°C/0.07 Torr
39	CH ₃	4-CH ₃	6-CH ₃	sec. C ₄ H ₉	b.p. 157°-159°C/0.08 Torr
40	CH ₃	4-CH ₃	6-CH ₃	sec. C ₈ H ₁₁	
41	CH ₃	5-CH ₃	6-C ₂ H ₅	CH ₃	
42	CH ₃	5-CH ₃	6-C ₂ H ₅	C ₂ H ₅	
43	CH ₃	5-CH ₃	6-C ₂ H ₅	isoC ₃ H ₇	
44	CH ₃	3-Br	6-CH ₃	CH ₃	b.p. 200°C/0.04 Torr
45	CH ₃	3-Br	6-CH ₃	C ₂ H ₅	
46	CH ₃	3-Br	6-CH ₃	isoC ₃ H ₇	
47	CH ₃ O-	6-CH ₃	H	CH ₃	
48	CH ₃ O-	6-CH ₃	H	isoC ₃ H ₇	
49	CH ₃ O-	4-CH ₃ O-	6-CH ₃ O-	CH ₃	b.p. 180°-182°C/0.04 Torr
50	Cl	6-Cl	H	CH ₃	
51	Cl	6-Cl	H	C ₂ H ₅	

TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₄	Physical constant
52	Cl	6-Cl	H	isoC ₃ H ₇	b.p. 130°C/0.01 Torr b.p. 130°-137°C/0.04 Torr
53	F	H	H	CH ₃	
54	F	H	H	isoC ₃ H ₇	
55	F	H	H	sec. C ₄ H ₉	
56	Cl	H	H	CH ₃	b.p. 130°C/0.05 Torr
57	Cl	H	H	isoC ₃ H ₇	
58	I	H	H	CH ₃	b.p. 168°C/0.3 Torr
59	I	H	H	isoC ₃ H ₇	
60	Br	H	H	C ₂ H ₅	b.p. 140°C/0.04 Torr
61	Br	H	H	isoC ₃ H ₇	
62	CH ₃	3-CH ₃	H	CH ₃	
63	CH ₃	3-CH ₃	H	C ₂ H ₅	
64	CH ₃	3-CH ₃	H	isoC ₃ H ₇	b.p. 126°-132°C/0.08 Torr
65	CH ₃	3-CH ₃	6-C ₂ H ₅	CH ₃	
66	CH ₃	3-CH ₃	6-C ₂ H ₅	C ₂ H ₅	
67	CH ₃	3-CH ₃	6-C ₂ H ₅	iso-C ₃ H ₇	
68	CH ₃	3-CH ₃	6-C ₂ H ₅	secC ₄ H ₉	

TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₄	Physical constant
69	CH ₃	4-sec.- C ₄ H ₉ O-	H	CH ₃	b.p. 175°C/0.3 Torr
70	CH ₃	4-sec.- C ₄ H ₉ O	H	C ₂ H ₅	
71	CH ₃	4-sec.- C ₄ H ₉ O	H	iso-C ₃ H ₇	
72	CH ₃	4-CH ₃ O-	H	CH ₃	
73	C ₂ H ₅	6-Cl	H	CH ₃	b.p. 151°-153°C/0.04 Torr b.p. 162°-164°C/0.04 Torr b.p. 150°-152°C/0.06 Torr
74	C ₂ H ₅	6-Cl	H	iso-C ₃ H ₇	
75	CH ₃	6-CH ₃	H	-CH ₂ -CH=CH ₂	
76	CH ₃	6-Cl	H	-CH ₂ -CH=CH ₂	
77	CH ₃	6-C ₂ H ₅	H	-CH ₂ -CH=CH ₂	b.p. 129°C/0.05 Torr
78	C ₂ H ₅	6-C ₂ H ₅	H	-CH ₂ -CH=CH ₂	
79	CH ₃	4-CH ₃	H	-CH ₂ -CH=CH ₂	
80	C ₂ H ₅	6-Cl	H	-CH ₂ -CH=CH ₂	
81	CH ₃	3-CH ₃	6-CH ₃	-CH ₂ -CH=CH ₂	
82	CH ₃	4-CH ₃	6-CH ₃	-CH ₂ -CH=CH ₂	
83	Cl	6-Cl	H	-CH ₂ -CH=CH ₂	
84	F	H	H	-CH ₂ -CH=CH ₂	

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TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	Physical constant
85	Cl	H	H	-CH ₂ -CH=CH ₂	b.p. 158°-160°C/0.02 Torr
86	CH ₃	6-CH ₃	H	-CH ₂ -C(CH ₃)=CH ₂	
87	CH ₃	4-CH ₃	6-CH ₃	-CH ₂ -CH=CH-CH ₃	
88	CH ₃	3-CH ₃	6-CH ₃	-CH ₂ -CH=CH-CH ₃	
89	CH ₃	6-C ₂ H ₅	H	-CH ₂ -CH=CH-CH ₃	m.p. 81°-86°C
90	CH ₃	6-CH ₃	H	-CH ₂ -CH=CH-CH ₃	
91	CH ₃	6-Cl	H	-CH ₂ -CH=CH-CH ₃	
92	CH ₃	6-CH ₃	H	-CH ₂ -C ₆ H ₅	
93	CH ₃	6-Cl	H	-CH ₂ -C ₆ H ₅	b.p. 180°-182°C/0.03 Torr
94	CH ₃	3-CH ₃	6-CH ₃	-CH ₂ -C ₆ H ₅	
95	CH ₃	4-CH ₃	6-CH ₃	-CH ₂ -C ₆ H ₅	
96	CH ₃	6-C ₂ H ₅	H	-CH ₂ -C ₆ H ₅	
97	Cl	H	H	-CH ₂ -C ₆ H ₅	b.p. 187°-189°C/0.04 Torr
98	Cl	6-Cl	H	-CH ₂ -C ₆ H ₅	
99	CH ₃	6-CH ₃	H	-CH ₂ -C ₆ H ₄ -Cl(4)	
100	CH ₃	6-CH ₃	H	-CH ₂ -C ₆ H ₃ Cl ₂ (3,4)	
101	CH ₃	6-CH ₃	H	-CH(CH ₃)-C≡CH	
102	CH ₃	6-Cl	H	-CH(CH ₃)-C≡CH	

TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	Physical constant
103	Cl	6-Cl	H	-CH(CH ₃)-C≡CH	viscous oil
104	CH ₃	3-CH ₃	6-CH ₃	-CH(CH ₃)-C≡CH	viscous oil
105	CH ₃	4-CH ₃	6-CH ₃	-CH(CH ₃)-C≡CH	
106	CH ₃	6-C ₂ H ₅	H	-CH(CH ₃)-C≡CH	
107	CH ₃	4-CH ₃	6-CH ₃	-CH ₂ -C≡CH	
108	CH ₃	6-CH ₃	H	-CH ₂ -C≡CH	viscous oil
109	CH ₃	6-Cl	H	-CH ₂ -C≡CH	
110	CH ₃	3-CH ₃	6-CH ₃	-CH ₂ -C≡CH	
111	CH ₃	6-C ₂ H ₅	H	-CH ₂ -C≡CH	
112	CH ₃	6-Cl	H	-CH ₂ -C≡Cl	
113	CH ₃	4-CH ₃	6-CH ₃	-CH ₂ -C≡Cl	
114	CH ₃	6-CH ₃	H	-CH ₂ -C≡Cl	m.p. 58°-60°C
115	CH ₃	3-CH ₃	6-CH ₃	-CH ₂ -C≡Cl	
116	CH ₃	6-CH ₃	H	-CH(CH ₃)-C≡Cl	
117	CH ₃	6-C ₂ H ₅	H	-CH ₂ -C≡Cl	
118	CH ₃	4-Cl	6-CH ₃	CH ₃	m.p. 87°-90°C
119	CH ₃	4-Cl	6-CH ₃	C ₂ H ₅	
120	CH ₃	4-Cl	6-CH ₃	nC ₃ H ₇	

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TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₄	Physical constant
121	CH ₃	4-Cl	6-CH ₃	iso-C ₃ H ₇	m.p. 75°-78°C
122	CH ₃	4-Cl	6-CH ₃	sec. C ₄ H ₉	
123	CH ₃	4-Cl	6-CH ₃	tert. C ₄ H ₉	
124	CH ₃	4-Cl	6-CH ₃	n-C ₅ H ₁₁	
125	CH ₃	4-Cl	6-CH ₃	-CH ₂ C ₆ H ₅	
126	CH ₃	4-Br	6-CH ₃	CH ₃	m.p. 98°-100°C
127	CH ₃	4-Br	6-CH ₃	C ₂ H ₅	m.p. 64°-65.5°C
128	CH ₃	4-Br	6-CH ₃	-CH ₂ CH=CH ₂	
129	CH ₃	4-Br	6-CH ₃	sec. C ₄ H ₉	
130	CH ₃	4-Br	6-CH ₃	-CH ₂ C ₆ H ₅	
131	CH ₃	4-Br	6-CH ₃	iso-C ₃ H ₇	m.p. 38.5°-41°C
132	CH ₃	4-Br	6-CH ₃	n-C ₄ H ₉	
133	CH ₃	4-Br	6-CH ₃	n-C ₃ H ₇	
134	CH ₃	4-Br	6-CH ₃	tert. C ₄ H ₉	
135	CH ₃	4-Br	6-CH ₃	n-C ₅ H ₁₁	
136	CH ₃	4-Br	6-CH ₃	-CH ₂ C ₆ H ₅	m.p. 82°-84°C
137	CH ₃	4-I	6-CH ₃	CH ₃	
138	CH ₃	4-I	6-CH ₃	C ₂ H ₅	

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TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	Physical constant
139	CH ₃	4-I	6-CH ₃	n-C ₃ H ₇	m.p. 105°-108°C
140	CH ₃	4-I	6-CH ₃	iso-C ₃ H ₇	
141	CH ₃	4-I	6-CH ₃	sec. C ₄ H ₉	
142	CH ₃	4-I	6-CH ₃	-CH ₂ -CH ₂ -CH ₂	
143	CH ₃	4-Cl	6-C ₂ H ₅	CH ₃	
144	CH ₃	4-Cl	6-Cl	CH ₃	
145	CH ₃	4-Cl	6-C ₂ H ₅	C ₂ H ₅	
146	CH ₃	4-Cl	6-C ₂ H ₅	iso-C ₃ H ₇	
147	CH ₃	4-Cl	6-C ₂ H ₅	sec. C ₄ H ₉	
148	CH ₃	4-Cl	6-Cl	CH ₃	
149	CH ₃	4-Br	6-C ₂ H ₅	C ₂ H ₅	m.p. 87°-90°C
150	CH ₃	4-Br	6-C ₂ H ₅	CH ₃	
151	CH ₃	4-Br	6-C ₂ H ₅	iso-C ₃ H ₇	
152	CH ₃	4-Br	6-Cl	CH ₃	
153	CH ₃	4-Cl	6-Cl	C ₂ H ₅	
154	CH ₃	4-I	6-C ₂ H ₅	iso-C ₃ H ₇	
155	CH ₃	4-Br	6-C ₂ H ₅	sec. C ₄ H ₉	
156	CH ₃	4-I	6-Cl	CH ₃	

TABLE I (CONT.)

Compound	R ₁	R ₂	R ₇	R ₄	Physical constant
157	CH ₃	4-Br	6-Cl	-CH ₂ -CH=CH ₂	b.p. 183°-185°C/0.02 Torr
158	CH ₃	4-Br	6-C ₂ H ₅	-CH ₂ -CH=CH ₂	
159	CH ₃	4-Br	6-Cl	C ₂ H ₅	
160	CH ₃	4-Br	6-Cl	sec. C ₄ H ₉	
161	CH ₃	4-Br	6-C ₆ H ₅	CH ₂ C ₆ H ₅	b.p. 192°-197°C/0.03 Torr
162	CH ₃	4-I	6-C ₂ H ₅	CH ₃	

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TABLE II (R, in 2-position; Y=OR₄)

Compound	R ₁	R ₂	R ₇	R ₈	-X-R ₃	R ₄	Physical constant
163	CH ₃	6-CH ₃	H	H	-CH-COOC ₂ H ₅ CH ₃	CH ₃	b.p. 136°-138°C/0.02 Torr
164	CH ₃	6-CH ₃	H	H	-CH-COOC ₂ H ₅ CH ₃	isoC ₃ H ₇	
165	CH ₃	6-Cl	H	H	-CH-COOC ₂ H ₅ CH ₃	CH ₃	
166	Cl	6-Cl	H	H	-CH-COOC ₂ H ₅ CH ₃	CH ₃	
167	CH ₃	6-CH ₃	H	H	-CH-COOC ₂ H ₅ CH ₃	-CH ₂ -C ₆ H ₅	b.p. 178°-182°C/0.03 Torr
168	Br	H	H	H	-CH-COOC ₂ H ₅ CH ₃	-isoC ₃ H ₇	
169	CH ₃	6-CH ₃	H	H	-CH ₂ -COOCH ₃	C ₂ H ₅	
170	CH ₃	6-CH ₃	H	H	-CH ₂ -COOCH ₃	sec. C ₄ H ₉	b.p. 162°-165°C/0.04 Torr
171	Cl	H	H	H	-CH ₂ -COOCH ₃	isoC ₅ H ₁₁	
172	Cl	6-Cl	H	H	-CH ₂ -COOCH ₃	isoC ₃ H ₇	
173	Cl	6-Cl	H	H	-CH ₂ -COOCH ₃	CH ₃	
174	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -COOCH ₃	CH ₃	m.p. 50°-52°C

TABLE II (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	-X-R ₃	R ₄	Physical constant
175	CH ₃	6-C ₂ H ₅	H	H	-CH ₂ -COOCH ₃	isoC ₃ H ₇	oil
176	I	6-C ₂ H ₅	H	H	-CH ₂ -COOCH ₃	CH ₃	
177	CH ₃	3-CH ₃	6-CH ₃	H	-CH ₂ -COOCH ₃	CH ₃	
178	CH ₃	4-CH ₃	6-CH ₃	H	-CH ₂ -COOCH ₃	CH ₃	
179	CH ₃	3-CH ₃	5-CH ₃	6-CH ₃	-CH ₂ -COOCH ₃	CH ₃	
180	CH ₃	4-Cl	6-CH ₃	H	-CH ₂ -COOCH ₃	CH ₃	m.p. 96°C b.p. 165°-170°C/0.3 Torr
181	CH ₃	6-CH ₃	H	H	-CH ₂ -CONH ₂	CH ₃	
182	CH ₃	6-CH ₃	H	H	-CH ₂ -CONHCH ₃	CH ₃	
183	CH ₃	6-CH ₃	H	H	-CH ₂ -CONHCH ₃	C ₂ H ₅	
184	CH ₃	6-CH ₃	H	H	-CH ₂ -CONHCH ₃	isoC ₃ H ₇	
185	CH ₃	6-Cl	H	H	-CH ₂ -CONHCH ₃	CH ₃	
186	CH ₃	6-Cl	H	H	-CH ₂ -CONHCH ₃	C ₂ H ₅	
187	Cl	6-Cl	H	H	-CH ₂ -CONHCH ₃	C ₂ H ₅	
188	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -CONHCH ₃	CH ₃	
189	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -CONHCH ₃	isoC ₃ H ₇	
190	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -CONHC ₂ H ₅	CH ₃	
191	CH ₃	6-C ₂ H ₅	H	H	-CH ₂ -CONHCH ₃	CH ₃	
192	CH ₃	6-CH ₃	H	H	-CH ₂ -CONH ₂	-CH ₂ -CH-CH ₂	

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TABLE II (CONT.)

Compound	R ₁	R ₂	R ₇	R ₆	-X-R ₃	R ₄	Physical constant
193	CH ₃	6-CH ₃	H	H	-CH ₂ -CONHCH ₃	-CH ₂ -CH=CH ₂	
194	CH ₃	6-Cl	H	H	-CH ₂ -CONHC ₂ H ₅	-CH ₂ -CH=CH ₂	
195	CH ₃	6-ClH ₅	H	H	-CH ₂ -CONHCH ₃	-CH ₂ -C≡CH	
196	CH ₃ O-	4-CH ₃ O-	6-CH ₃ O	H	-CH ₂ -CONHCH ₃	-CH ₂ -CH=CH ₂	
197	CH ₃ O-	4-CH ₃ O	6-CH ₃ O	H	-CH ₂ -CONHCH ₃	CH ₃	
198	CH ₃	4-CH ₃	6-ClH ₅	H	-CH ₂ -CONHCH ₃	-CH ₂ -CH=CH ₂	
199	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -CONHCH ₃	-CH ₂ -CH=CH ₂	
200	C ₂ H ₅	6-Cl	H	H	-CH ₂ -CONHCH ₃	-CH ₂ -CH=CH ₂	

TABLE III (R_1 in 2-position; $Y = SZ_1$)

Compound	R_1	R_2	R_7	R_8	$-X-R_3$	Z_1	Physical constant
201	CH_3	$6-CH_3$	H	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	b.p. $190^\circ-192^\circ C/0.15$ Torr
202	CH_3	$6-C_2H_5$	H	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	b.p. $194^\circ-197^\circ C/0.2$ Torr
203	CH_3	$6-Cl$	H	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	b.p. $215^\circ-220^\circ C/0.07$ Torr
204	Cl	$6-Cl$	H	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	
205	CH_3	$3-CH_3$	$6-CH_3$	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	b.p. $188^\circ-190^\circ C/0.04$ Torr
206	CH_3	$4-CH_3$	$6-CH_3$	H	$-CH(CH_3)-COOCH_3$	$-CH_2-C_6H_5$	b.p. $205^\circ-210^\circ C/0.03$ Torr
207	CH_3	$6-CH_3$	H	H	$-CH_2-COOCH_3$	$-CH_2-C_6H_5$	
208	C_2H_5	$6-C_2H_5$	H	H	$-CH_2-CONH_2$	$-CH_2-C_6H_5$	
209	CH_3	$6-CH_3$	H	H	$-CH_2-CONHCH_3$	$-CH_2-C_6H_5$	
210	C_2H_5	$6-C_2H_5$	H	H	$-CH_2-CONHC_2H_5$	$-CH_2-C_6H_5$	
211	CH_3	$6-Cl$	H	H	$-CH_2-CONHCH_3$	$-CH_2-C_6H_5$	
212	C_2H_5	$6-C_2H_5$	H	H	$-CH_2-CONHCH_3$	$-CH_2-C_6H_5$	
213	CH_3	$6-CH_3$	H	H	$-CH(CH_3)CONHCH_3$	$-CH_2-C_6H_5$	
214	CH_3	$6-CH_3$	H	H	$-CH(CH_3)COOCH_3$	$-CH_2-C_6H_5$	b.p. $185^\circ-195^\circ C/0.1$ Torr
215	CH_3	$6-C_2H_5$	H	H	$-CH_2-COOCH_3$	$-CH_2-C(CH_2Cl)_2$	b.p. $187^\circ-190^\circ C/0.2$ Torr
216	C_2H_5	$6-C_2H_5$	H	H	$-CH_2-CONHCH_3$	$-CH_2-C(CH_2Cl)_2$	m.p. $70^\circ-72^\circ C$

TABLE III (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	-X-R ₃	Z ₁	Physical constant
217	C ₂ H ₅	6-C ₂ H ₅	H	H	-CH ₂ -CONH ₂	-CH ₂ -C(=CH ₂) Cl	m.p. 83°-86°C
218	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	-CH ₂ -C(=CH ₂) Cl	
219	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	CH ₃	
220	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	C ₂ H ₅	
221	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	isoC ₃ H ₇	
222	CH ₃	4-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	CH ₃	
223	CH ₃	4-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	isoC ₃ H ₇	b.p. 151°-153°C/0.15 Torr
224	CH ₃	3-CH ₃	5-CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	CH ₃	
225	CH ₃	5-CH ₃	6-C ₂ H ₅	H	-CH(CH ₃)-COOCH ₃	CH ₃	b.p. 134°-136°C/0.02 Torr
226	CH ₃	5-CH ₃	6-C ₂ H ₅	H	-CH(CH ₃)-COOCH ₃	C ₂ H ₅	
227	CH ₃	3-Br	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	CH ₃	b.p. 180°-182°C/0.06 Torr
228	CH ₃	4-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	-CS-N(CH ₃) ₂	m.p. 146°-147°C
229	CH ₃	4-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	-C(=NH) NH ₂ HCl	m.p. 248°-250° (decomp.)
230	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	-C(=NH) NH ₂ HCl	
231	CH ₃	3-CH ₃	6-CH ₃	H	-CH(CH ₃)-COOCH ₃	-CS-N(CH ₃) ₂	

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TABLE III (CONT.)

Compound	R ₁	R ₂	R ₇	R ₈	-X-R ₃	Z ₁	Physical constant
232	CH ₃	3-CH ₃	6-C ₂ H ₅	H	-CH(CH ₃)COOCH ₃	CH ₃	m.p. 81°-92°C
233	CH ₃	4-CH ₃	6-CH ₃	H	-CH(CH ₃)COOCH ₃	C ₂ H ₅	m.p. 43°-45.5°C
234	CH ₃	4-Cl	6-CH ₃	H	-CH(CH ₃)COOCH ₃	-C ₂ H ₅	
235	CH ₃	4-Cl	6-CH ₃	H	-CH(CH ₃)COOCH ₃	-CH ₃	
236	CH ₃	4-Cl	6-CH ₃	H	" "	iso-C ₃ H ₇	
237	CH ₃	4-Cl	6-CH ₃	H	" "	sec. C ₄ H ₉	
238	CH ₃	4-Br	6-CH ₃	H	" "	-C ₂ H ₅	b.p. 175°-178°C/0.02 Torr
239	CH ₃	4-Br	6-CH ₃	H	" "	n-C ₄ H ₉	
240	CH ₃	4-Cl	6-CH ₃	H	" "	-CH ₂ C ₆ H ₅	
241	CH ₃	4-Br	6-CH ₃	H	" "	iso-C ₃ H ₇	b.p. 180°-184°C/0.03 Torr
242	CH ₃	4-Cl	6-C ₂ H ₅	H	" "	-C(=NH) ₂ Cl	
243	CH ₃	4-Cl	6-C ₂ H ₅	H	" "	C ₂ H ₅	
244	CH ₃	4-Cl	6-C ₂ H ₅	H	" "	CH ₃	
245	CH ₃	4-Cl	6-C ₂ H ₅	H	" "	C ₂ H ₅	
246	CH ₃	4-Br	6-CH ₃	H	" "	sec. C ₄ H ₉	
247	CH ₃	4-Br	6-CH ₃	H	" "	-CH ₂ C ₆ H ₅	brown oil
248	CH ₃	4-Cl	6-C ₂ H ₅	H	" "	sec. C ₄ H ₉	

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TABLE III (CONT.)

Compound	R ₁	R ₂	R ₇	R ₆	-X-R ₃	Z ₁	Physical constant
249	CH ₃	4-Cl	6-C ₂ H ₅	H	CH(CH ₃)COOCH ₃	iso C ₃ H ₇	m.p. 105°-107°C
250	CH ₃	4-Br	6-C ₂ H ₅	H	"	C ₂ H ₅	
251	CH ₃	4-I	6-CH ₃	H	"	-C ₂ H ₅	
252	CH ₃	4-I	6-CH ₃	H	"	iso C ₃ H ₇	
253	CH ₃	4-Cl	6-Cl	H	"	C ₂ H ₅	
254	CH ₃	4-Cl	6-Cl	H	"	sec. C ₄ H ₉	
255	CH ₃	4-Br	6-Cl	H	"	C ₂ H ₅	
256	CH ₃	4-Br	6-Cl	H	"	CH ₃	
257	CH ₃	4-Br	6-CH ₃	H	"	$\begin{array}{c} \text{CH}_3 \\ \\ -\text{C}-\text{N}-\text{CH}_3 \\ \\ \text{S} \end{array}$	m.p. 257°-260°C
258	CH ₃	4-Br	6-CH ₃	H	"	$\begin{array}{c} -\text{C}-\text{NH}_2 \cdot \text{HCl} \\ \\ \text{NH} \end{array}$	

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TABLE IV (R_1 in 2-position; $R_7=R_8=H$; $Y=SZ_2$)

Compound	R_1	R_2	$-X-R_3$	Z_2	Physical constant
259	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-CH_3$	m.p. $65^\circ-67^\circ C$
260	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-C_2H_5$	m.p. $55.5^\circ-56^\circ C$
261	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-n-C_3H_7$	b.p. $166^\circ-169^\circ C/0.04$ Torr
262	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-iso-C_3H_7$	b.p. $145^\circ-148^\circ C/0.02$ Torr
263	CH_3	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-CH_3$	m.p. $81^\circ-95^\circ C$
264	CH_3	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-iso-C_3H_7$	
265	CH_3	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-sec. C_4H_9$	b.p. $154^\circ-156^\circ C/0.09$ Torr
266	Cl	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-CH_3$	b.p. $172^\circ-174^\circ C/0.1$ Torr
267	Cl	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-C_2H_5$	
268	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-sec. C_4H_9$	b.p. $162^\circ-164^\circ C/0.1$ Torr
269	CH_3	$6-C_2H_5$	$-CH(CH_3)-COOCH_3$	$-CH_3$	
270	CH_3	$6-C_2H_5$	$-CH(CH_3)-COOCH_3$	$-C_2H_5$	b.p. $152^\circ-155^\circ C/0.06$ Torr
271	CH_3	$6-C_2H_5$	$-CH(CH_3)-COOCH_3$	$-iso-C_3H_7$	
272	C_2H_5	$6-C_2H_5$	$-CH(CH_3)-COOCH_3$	$-CH_3$	b.p. $197^\circ-199^\circ C/0.02$ Torr
273	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-CH_2-CH=CH_2$	
274	CH_3	$6-C_2H_5$	$-CH(CH_3)-COOCH_3$	$-n-C_4H_9$	
275	CH_3	$6-CH_3$	$-CH(CH_3)-COOCH_3$	$-CH_2-CH=CH-CH_3$	
276	CH_3	$6-Cl$	$-CH(CH_3)-COOCH_3$	$-CH_2-CH=CH-CH_3$	

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TABLE IV (CONT.)

Compound	R ₁	R ₂	-X-R ₃	Z ₂	Physical constant
277	CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	-CH ₂ -C≡CH	b.p. 172°-174°C/0.1 Torr
278	CH ₃	6-Cl	-CH(CH ₃)-COOCH ₃	-CH ₂ -C≡CH	
279	CH ₃	6-Cl	-CH(CH ₃)-COOCH ₃	-CH ₂ -C≡Cl	
280	CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	-n-C ₄ H ₉	
281	C ₂ H ₅	6-C ₂ H ₅	-CH ₂ -COOCH ₃	-S-CH ₃	b.p. 158°-160°C/0.05 Torr
282	CH ₃	6-CH ₃	-CH ₂ -COOCH ₃	-CH ₃	
283	CH ₃	6-Cl	-CH ₂ -COOCH ₃	-CH ₂ -CH=CH ₂	
284	CH ₃	6-CH ₃	-CH ₂ -CONH ₂	-CH ₃	
285	CH ₃	6-Cl	-CH(CH ₃)-CON(C ₂ H ₅) ₂	-C ₂ H ₅	b.p. 151°-175°C/0.1 Torr
286	CH ₃	6-CH ₃	-CH ₂ -CONHCH ₃	-CH ₃	
287	C ₂ H ₅	6-C ₂ H ₅	-CH ₂ -CONHCH ₃	-CH ₃	
288	C ₂ H ₅	6-C ₂ H ₅	-CH ₂ -CONHC ₂ H ₅	-CH ₃	
289	C ₂ H ₅ O-	H	-CH ₂ -CON(CH ₃) ₂	-S-iso-C ₃ H ₇	m.p. 127°-128.5°C
290	CH ₃	6-CH ₃	-CH(CH ₃)-COOC ₂ H ₅	-S-C(CH ₃) ₃	
291	CH ₃	6-C ₂ H ₅	-CH(CH ₃)-COOC ₂ H ₅	-S-C(CH ₃) ₃	
292	Cl	5-Cl	-CH(CH ₃)-COOC ₂ H ₅	-CH ₃	
293	C ₂ H ₅	6-C ₂ H ₅	-CH ₂ -COOC ₂ H ₅	-CH ₃	m.p. 127°-128.5°C
294	CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	-CS-N(CH ₃) ₂	

TABLE IV (CONT.)

Compound	R ₁	R ₂	-X-R ₃	Z ₂	Physical constant
295	CH ₃	6-CH ₃	-CH ₂ -CONH ₂	-CS-N(C ₃ H ₇) ₂	m.p. 95°-96°C
296	CH ₃	4-CH ₃	-CH(CH ₃)-COOCH ₃	-CS-N(CH ₃) ₂	m.p. 98°-99°C
297	CH ₃	5-CH ₃	-CH(CH ₃)-COOCH ₃	-CS-N(CH ₃) ₂	m.p. 258°-260°C
298	CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	m.p. 228°-230°C
299	CH ₃	6-C ₂ H ₅	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	m.p. 230°-232°C
300	C ₂ H ₅	6-C ₂ H ₅	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	m.p. 217°-218°C
301	Cl	5-Cl	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HBr	m.p. 215°-216°C
302	CH ₃	4-CH ₃	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	m.p. 219°-220°C
303	CH ₃	5-CH ₃	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	b.p. 145°-147°C/0.03 Torr
304	Cl	5-Cl	-CH(CH ₃)-COOCH ₃	-C(=NH)-NH ₂ .HCl	m.p. 51°-56°C
305	CH ₃	6-CH ₃	-CH(CH ₃)-COOCH ₃	-tert. C ₄ H ₉	
306	CH ₃	6-Cl	-CH(CH ₃)-COOCH ₃	-n-C ₄ H ₉	

TABLE IV (CONT.)

Compound	R ₁	R ₂	-X-R ₃	Z ₂	Physical constant
307	CH ₃	6-Cl	-CH(CH ₃)COOCH ₃	-C ₂ H ₅	b.p. 166°-168°C/0.08 Torr
308	CH ₃	6-Cl	-CH(CH ₃)COOCH ₃	-tert. C ₄ H ₉	b.p. 138°-141°C/0.08 Torr
309	CH ₃	6-C ₂ H ₅	-CH(CH ₃)COOCH ₃	-sec. C ₄ H ₉	b.p. 171°-173°C/0.1 Torr

The compounds of the formula I can be used with other suitable pesticides or active substances that promote plant growth in order to widen their spectrum of activity. The compounds of the formula I can be used by themselves or in composition form. Accordingly the invention also provides fungicidal compositions comprising a compound of the formula I in admixture with a solid extender and optionally a surface active agent or with a liquid diluent and a surface active agent. The compositions can be solid or liquid and can contain substances normally used in formulation technology, for example natural or regenerated mineral substances, solvents, dispersants, wetting agents, stickers, thickeners, binders or fertilisers. The amount of active substance in commercially useful compositions is between 0.1 and 90%.

The compounds of the formula I can be applied in the following forms (the percentages by weight in brackets denote the preferred amounts of active substances):

solid forms: dusts and tracking agents (up to 10%); granules; coated granules, impregnated granules and homogeneous granules (1 to 80%);

liquid forms:

a) active substance concentrates which are dispersible in water: wettable powders and pastes (25-90% in the commercial pack, 0.01 to 15% in ready for use solution); emulsion concentrates and concentrated solutions (10 to 50%; 0.01 to 15% in ready for use solution);

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b) solutions (0.1 to 20%).

The active substances of the formula I can be formulated, for example, as follows:

Dusts: The following substances are used to manufacture a) 5% and b) a 2% dust:

- 5 a) 5 parts of active substance
95 parts of talcum;
b) 2 parts of active substance
1 part of highly disperse silicic acid
97 parts of talcum.

10 The active substances are mixed with the extenders and ground and in this form can be processed to dusts for application.

Granules: The following substances are used to manufacture 5% granules:

- 15 5 parts of active substances
0.25 parts of epichlorohydrin
0.25 parts of cetyl polyglycol ether
3.50 parts of polyethylene glycol
91 parts of kaolin (particle size 0.3—0.8 mm).

20 The active substance is mixed with epichlorohydrin and the mixture is dissolved in 6 parts of acetone. Then polyethylene glycol and cetyl polyglycol ether are added. The resultant solution is sprayed on kaolin and the acetone is evaporated in vacuo. Such microgranules are advantageously used for combating soil fungi.

Wettable powders: The following constituents are used to manufacture a) a 70%, b) a 40%, c) and d) a 25% and e) a 10% wettable powder:

- 25 a) 70 parts of active substance
5 parts of sodium dibutyl naphthylsulphonate
3 parts of naphthalenesulphonic acid/phenolsulphonic acid/formaldehyde condensate (3:2:1)
10 parts of kaolin
12 parts of Champagne chalk
30 b) 40 parts of active substance
5 parts of sodium lignin sulphonate
1 part of sodium dibutyl naphthalenesulphonic acid
54 parts of silicic acid
35 c) 25 parts of active substance
4.5 parts of calcium lignin sulphonate
1.9 parts of Champagne chalk/hydroxyethyl cellulose mixture (1:1)
1.5 parts of sodium dibutyl naphthalenesulphonate
19.5 parts of silicic acid
19.5 parts of Champagne chalk
40 d) 28.1 parts of kaolin
25 parts of active substance
2.5 parts of isooctylphenoxy-polyethylene-ethanol
1.7 parts of a Champagne chalk/hydroxyethyl cellulose mixture (1:1)
8.3 parts of sodium aluminium silicate
45 16.5 parts of kieselguhr
46 parts of kaolin
e) 10 parts of active substance
3 parts of a mixture of the sodium salts of saturated fatty alcohol sulphates
5 parts of naphthalenesulphonic acid/formaldehyde condensate
50 82 parts of kaolin.

55 The active substances are intimately mixed in suitable mixers with the additives and ground in appropriate mills and rollers. Wettable powders of excellent wettability and suspension powder are obtained. These wettable powders can be diluted with water to give suspensions of desired concentration and can be used in particular for application to leaves.

Emulsifiable concentrates: The following substances are used to manufacture a 25% emulsifiable concentrate:

- 25 parts of active substance
 2.5 parts of epoxidised vegetable oil
 10 parts of an alkylarylsulphonate/fatty alcohol polyglycol ether mixture
 5 parts of dimethyl formamide
 57.5 parts of xylene.

By diluting such concentrates with water it is possible to manufacture emulsions of desired concentration which are especially suitable for application to leaves.

Example 4.

Action against *Phytophthora infestans* on tomatoes

10 Ia) Residual preventive action

3-Week old tomato plants of the "Roter-Gnom" variety were sprayed with a broth prepared from the active substance processed to a wettable powder and containing 0.05% of active substance, and after drying were infected with a zoospore suspension of *Phytophthora infestans*. They were then kept for 6 days in a climatic chamber at 18° to 20° and high humidity, created by a spray mist. After this time typical leaf specks appeared, the number and size of which served as criteria for evaluating the tested substance.

15 Ib) Curative action

"Roter Gnom" tomato plants were sprayed when 3 weeks old with a zoospore suspension of the fungus and incubated in a climatic chamber at 18° to 20°C and saturated humidity. The humidification was interrupted after 24 hours. After drying, the plants were sprayed with a broth containing the active substance formulated as wettable powder in a concentration of 0.05%. After the spray coating had dried, the plants were kept in the humid chamber for a further 4 days. The size and number of the typical leaf specks which had appeared during this time served as criteria for evaluating the effectiveness of the tested substances.

20 II) Preventive-systemic action

The active substance is applied as wettable powder in a concentration of 0.05% (referred to the volume of the soil) to the surface of soil containing 3 weeks old "Roter Gnom" tomatoes in pots. Three days later the underside of the leaves were sprayed with a zoospore suspension of *Phytophthora infestans*. The plants were then kept for 5 days in a spray chamber at 18° to 20°C and saturated humidity, after which time typical leaf specks formed. The size and number of the specks served as criteria for evaluating the effectiveness of the tested substance.

In the above three tests a reduction of attack to less than 20% compared with infected but untreated control plants was achieved using for example compounds nos. 1, 2, 4, 5, 7, 9, 11, 12, 16, 18, 20, 24, 28, 29, 30, 31, 32, 33, 35, 36, 38, 39, 44, 65, 92, 94, 104, 126, 128, 129, 137, 163, 167, 177, 201, 214, 223, 259, 262, 268, 294 and 298.

40 Example 5.

Action against *Plasmopara viticola* (Bert. et Curt.) Berl. et de Toni) on vines

45 a) Residual preventive action

Vine cuttings of the variety "Chasselas" were reared in a greenhouse. Three plants in the 10 leaf stage were sprayed with a broth prepared from the active substance and formulated as a wettable powder. After the coating layer had dried, the plants were infected on the underside of the leaves with a spore suspension of the fungus. The plants were subsequently kept for 8 days in a humid chamber, after which time symptoms of the disease were visible on the control plants. The number and size of the infected areas on the treated plants served as criteria for evaluating the effectiveness of the tested active substances.

50 b) Curative action

Vine cuttings of the variety "Chasselas" were reared in a greenhouse and infected in the 10 leaf stage on the underside of the leaves with a spore suspension of *Plasmopara viticola*. After they had been kept for 24 hours in a humid chamber, the plants were sprayed with an active substance broth prepared from a wettable powder.

The plants were then kept for a further 7 days in a humid chamber, after which time symptoms of disease were visible on the control plants. The size and number of

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the infected areas served as criteria for evaluating the effectiveness of the tested substances.

In both these tests a reduction of attack to less than 20% compared with infected but untreated control plants was achieved using e.g. compounds nos. 1, 2, 4, 9, 11, 16, 18, 28, 29, 31, 36, 44, 65, 94, 126, 128 and 223.

Example 6.

Action against *Erysiphe graminis* on barley

Residual protective action

Barley plants c. 8 cm in height were sprayed with a spray broth (0.05% active substance) prepared from a wettable powder. After 48 hours the treated plants were dusted with conidia of the fungus. The infected barley plants were stood in a greenhouse at ca. 22°C and the fungus infection was evaluated after 10 days.

A number of the compounds of the formula I, effect in this test a reduction of the fungus infection to <20% in comparison with infected but untreated control plants.

Example 7.

Action against *Pythium debaryanum* on sugar beet

a) Action after soil application

The fungus was cultivated on sterile oat grains and added to a mixture of earth and sand. Sugar beet seeds were then sown into flower pots filled with the infected soil. Immediately after sowing, the test preparations formulated as wettable powders were poured as aqueous suspensions over the soil (20 ppm of active substance referred to the volume of the soil). The pots were then left standing for 2—3 weeks in a greenhouse at 20°—24°C. The soil was kept uniformly moist by gently spraying it with water. The emergence of the sugar beet plants as well as the number of healthy and sick plants were ascertained in evaluating the tests.

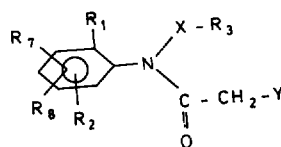
b) Action after seed dressing application

The fungus was cultivated on sterile oat grains and added to a mixture of earth and sand. Flower pots were filled with the infected soil and sugar beet seeds which had been treated with the test preparations formulated as seed dressing powders were sown therein (1000 ppm of active substance referred to the weight of the seeds). The pots were then left standing in a greenhouse for 2—3 weeks at 20°—24°C. The soil was kept uniformly moist by gently spraying it with water. The emergence of the sugar beet plants as well as the number of healthy and sick plants were ascertained.

Under the conditions of both test a) and test b), more than 85% of the sugar beet plants emerged after treatment with one of the active substance of the formula I and had a healthy appearance. Less than 20% of the control plants emerged and had in part a sickly appearance.

WHAT WE CLAIM IS:—

1. A compound of the formula I



(I)

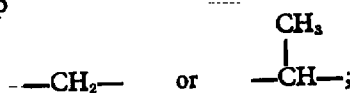
wherein

R_1 represents a halogen atom or an alkyl or alkoxy group of 1 to 4 carbon atoms,
 R_2 represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms or an alkoxy group of 1 to 4 carbon atoms,

R_7 represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms or an alkoxy group of 1 to 4 carbon atoms, and

R_8 represents a hydrogen atom or a methyl group, the total number of carbon atoms in the substituents R_1 , R_2 , R_7 and R_8 not exceeding 8;

X represents the group



the infected areas served as criteria for evaluating the effectiveness of the tested substances.

In both these tests a reduction of attack to less than 20% compared with infected but untreated control plants was achieved using e.g. compounds nos. 1, 2, 4, 9, 11, 16, 18, 28, 29, 31, 36, 44, 65, 94, 126, 128 and 223.

Example 6.

Action against *Erysiphe graminis* on barley

Residual protective action

Barley plants c. 8 cm in height were sprayed with a spray broth (0.05% active substance) prepared from a wettable powder. After 48 hours the treated plants were dusted with conidia of the fungus. The infected barley plants were stood in a greenhouse at ca. 22°C and the fungus infection was evaluated after 10 days.

A number of the compounds of the formula I, effect in this test a reduction of the fungus infection to <20% in comparison with infected but untreated control plants.

Example 7.

Action against *Pythium debaryanum* on sugar beet

a) Action after soil application

The fungus was cultivated on sterile oat grains and added to a mixture of earth and sand. Sugar beet seeds were then sown into flower pots filled with the infected soil. Immediately after sowing, the test preparations formulated as wettable powders were poured as aqueous suspensions over the soil (20 ppm of active substance referred to the volume of the soil). The pots were then left standing for 2—3 weeks in a greenhouse at 20°—24°C. The soil was kept uniformly moist by gently spraying it with water. The emergence of the sugar beet plants as well as the number of healthy and sick plants were ascertained in evaluating the tests.

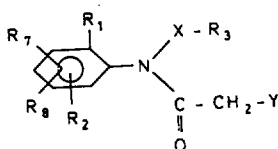
b) Action after seed dressing application

The fungus was cultivated on sterile oat grains and added to a mixture of earth and sand. Flower pots were filled with the infected soil and sugar beet seeds which had been treated with the test preparations formulated as seed dressing powders were sown therein (1000 ppm of active substance referred to the weight of the seeds). The pots were then left standing in a greenhouse for 2—3 weeks at 20°—24°C. The soil was kept uniformly moist by gently spraying it with water. The emergence of the sugar beet plants as well as the number of healthy and sick plants were ascertained.

Under the conditions of both test a) and test b), more than 85% of the sugar beet plants emerged after treatment with one of the active substance of the formula I and had a healthy appearance. Less than 20% of the control plants emerged and had in part a sickly appearance.

WHAT WE CLAIM IS:—

1. A compound of the formula I



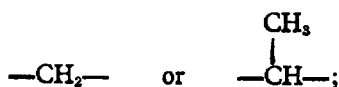
wherein

R₁ represents a halogen atom or an alkyl or alkoxy group of 1 to 4 carbon atoms, R₂ represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms or an alkoxy group of 1 to 4 carbon atoms,

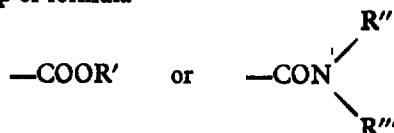
R₇ represents a hydrogen or halogen atom, an alkyl group of 1 to 3 carbon atoms or an alkoxy group of 1 to 4 carbon atoms, and

R₈ represents a hydrogen atom or a methyl group, the total number of carbon atoms in the substituents R₁, R₂, R₇ and R₈ not exceeding 8;

X represents the group



R_3 represents a group of formula



(wherein each of R' , R'' and R''' independently represents a hydrogen atom or a methyl or ethyl group); and

Y represents a group of formula:

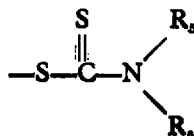


(wherein Hal represents a halogen anion), or

b) $-\text{OR}_4$, or

c) $-\text{SR}_4$ (wherein R_4 represents an alkyl group of 1 to 6 carbon atoms, an alkenyl group of 3 to 6 carbon atoms, or an alkynyl group of 3 to 6 carbon atoms, each of which is unsubstituted or substituted by a halogen, or R_4 represents a benzyl group which is unsubstituted or substituted by halogen or alkyl of 1 to 4 carbon atoms), or

d)



(wherein each of R_5 and R_6 , which may be the same or different, represents an alkyl group of 1 to 4 carbon atoms).

2. A compound as claimed in claim 1 wherein

R_1 represents a methyl group,

R_2 is in ortho-position with respect to the amino group and represents a chlorine atom, or a methyl or ethyl group, each of R_7 and R_8 , which may be the same or different, represents a hydrogen atom or a methyl group,

X represents the group



R_1 represents a methyl group,

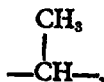
R'' represents a hydrogen atom, and

R''' represents a methyl or ethyl group, and

Y represents a group of formula $-\text{OR}_4$ or $-\text{SR}_4$ (wherein R_4 represents a methyl, ethyl, propyl, isopropyl, butyl, sec.-butyl or tert. butyl group).

3. A compound as claimed in claim 1 wherein the total number of carbon atoms in the substituents R_1 , R_2 , R_7 and R_8 does not exceed 5, and

X represents the group

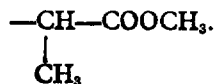


R_3 represents a group of formula $-\text{COOR}'$, and

Y represents a group of formula OR_4 or SR_4 .

4. A compound as claimed in claim 1 or 3 wherein R_4 represents an alkyl group of 1 to 4 carbon atoms, or an allyl, chlorallyl or propargyl group.

5. A compound as claimed in claim 1, 3 or 4 wherein $-\text{X}-\text{R}_3$ represents the group



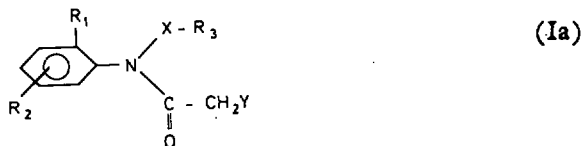
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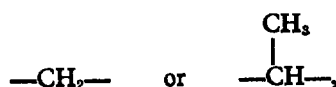
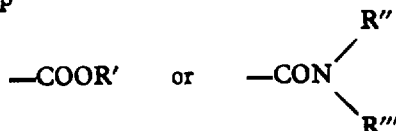
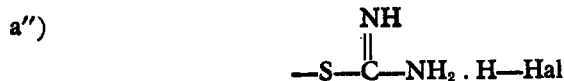
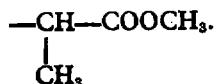
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6. A compound of the formula Ia



wherein

 R_1 represents a halogen atom or an alkyl or alkoxy group of 1 to 4 carbon atoms, R_2 represents a hydrogen or halogen atom or an alkyl group of 1 to 3 carbon atoms, X represents the group R_3 represents a group(wherein each of R' , R'' and R''' independently represents a hydrogen atom or a methyl or ethyl group), and Y represents a group of formula:(wherein Hal represents a halogen anion),b'') —S—R_4 (wherein R_4 represents an alkyl group of 1 to 6 carbon atoms); or(wherein each of R_5 and R_6 , which may be the same or different, represents an alkyl group of 1 to 4 carbon atoms).7. A compound as claimed in claim 6 wherein —X—R_3 represents the group

8. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 2,6 - dimethylaniline.

9. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 2,3,6 - trimethylaniline.

10. N - (1' - Methoxycarbonylethyl) - N - isopropoxyacetyl - 2,3,6 - trimethylaniline.

11. N - (1' - Methoxycarbonylethyl) - N - ethoxyacetyl - 2,3,6 - trimethylaniline.

12. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 6 - chloro - 2-methylaniline.

13. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 4 - bromo - 2,6-dimethylaniline.

14. N - (1' - Methoxycarbonylethyl) - N - ethoxyacetyl - 4 - bromo - 2,6-dimethylaniline.

15. N - (1' - Methoxycarbonylethyl) - N - isopropylthioacetyl - 2,6 - dimethylaniline.

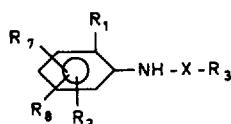
16. N - (1' - Methoxycarbonylethyl) - N - allyloxyacetyl - 4 - bromo - 2,6-dimethylaniline.

17. N - (1' - Methoxycarbonylethyl) - N - ethoxyacetyl - 6 - ethyl - 2 - methylaniline.



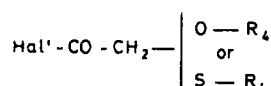
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18. N - (1' - Methoxycarbonylethyl) - N - allyloxyacetyl - 6 - ethyl - 2 - methyl-aniline.
19. N - (1' - Methoxycarbonylethyl) - N - methylthioacetyl - 6 - ethyl - 2 - methylaniline.
20. N - (1' - Methoxycarbonylethyl) - N - isopropylthioacetyl - 6 - chloro - 2 - methylaniline. 5
21. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 4 - chloro - 2,6 - dimethylaniline.
22. N - (1' - Methoxycarbonylethyl) - N - ethylthioacetyl - 4 - bromo - 6 - ethyl- 10
2 - methylaniline. 10
23. N - (1' - Methoxycarbonylethyl) - N - allyloxyacetyl - 2,6 - dimethylaniline.
24. N - (1' - Methoxycarbonylethyl) - N - methoxyacetyl - 4,6 - dichloro - 2 - methylaniline.
25. N - (1' - Methoxycarbonylethyl) - N - methylthioacetyl - 2,6 - dimethyl- 15
aniline. 15
26. A fungicidal composition containing as active substance a compound as claimed in any one of claims 1 to 5 and 8 to 25 in admixture with a solid extender and optionally a surface active agent.
27. A fungicidal composition containing as active substance a compound as claimed in claim 6 or 7 in admixture with a solid extender and optionally a surface active agent. 20
28. A fungicidal composition containing as active substance a compound as claimed in any one of claims 1 to 5 and 8 to 25 in admixture with a liquid diluent and a surface active agent.
29. A fungicidal composition containing as active substance a compound as claimed in claim 6 or 7 in admixture with a liquid diluent and a surface active agent. 25
30. A fungicidal composition containing as active substance a compound as claimed in any one of claims 1 to 5 and 8 to 25 in admixture with a solid extender and/or a liquid diluent and made up in the form of a dust, tracking agent, granule, wettable powder, paste or emulsion concentrate.
31. A fungicidal composition containing as active substance a compound as claimed in claim 6 or 7 in admixture with a solid extender and/or a liquid diluent and made up in the form of a dust, tracking agent, granule, wettable powder, paste or emulsion concentrate. 30
32. A method of combating fungi which comprises applying thereto or to the locus thereof a compound as claimed in any one of claims 1 to 5 and 8 to 25. 35
33. A method of combating fungi which comprises applying thereto or to the locus thereof a compound as claimed in claim 6 or 7.
34. A method as claimed in claim 32 for combating phytopathogenic fungi on cultivated plants.
35. A method as claimed in claim 33 for combating phytopathogenic fungi on cultivated plants. 40
36. A method as claimed in claim 34 wherein the fungi to be combated belong to the species *Phytophthora*, *Peronospora*, *Pseudoperonospora*, *Pythium* or *Plasmopara*.
37. A method as claimed in claim 35 wherein the fungi to be combated belong to the species *Phytophthora*, *Peronospora*, *Pseudoperonospora*, *Pythium* or *Plasmopara*. 45
38. A method as claimed in claim 36 wherein the compounds are employed on vines, potatoes or tobacco.
39. A method as claimed in claim 37 wherein the compounds are employed on vines, potatoes or tobacco.
40. A process for preparing a compound as claimed in claim 1 wherein Y represents OR_4 or SR_4 which comprises acylating a compound of the formula II



(II)

(wherein R_1 to R_3 , R_7 , R_8 and X are as defined in claim 1) with a compound of the formula III



(III)



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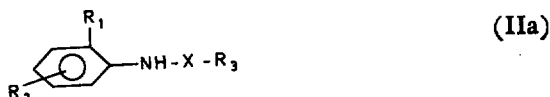
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(wherein R_4 is as defined in claim 1 and Hal' represents a halogen atom) respectively.

41. A process as claimed in claim 40 wherein Hal' represents a chlorine or bromine atom.

5 42. A process for preparing a compound as claimed in claim 6 wherein Y represents SR_4 which comprises acylating a compound of the formula IIa



(wherein R_1 to R_3 and X are as defined in claim 6) with a compound of the formula IIIa



10 (wherein R_4 is as defined in claim 6 and Hal' represents a halogen atom).

43. A process as claimed in claim 42 wherein Hal' represents a chlorine or bromine atom.

44. A process for preparing a compound as claimed in claim 1 substantially as herein described.

15 45. A process for preparing a compound as claimed in claim 1 substantially as described with reference to Example 1, 2 or 3.

46. A compound as claimed in claim 1 when prepared by the process claimed in claim 40, 41, 44 or 45.

20 47. A compound as claimed in claim 1 when prepared by the process claimed in claim 42 or 43.

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