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32,861 RP, A NEW PRODUCT FOR THE CONTROL OF SUCKING INSECTS, COCKROACHES AND ANTS

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Summary 32,861 RP is a novel insecticide from the oxadiazole group of compounds. It has low mammalian and fish toxicity and shows activity by contact and ingestion.

It exhibits a good knockdown effect and has short persistence in plants but longer in soil and on glass plates. 32,861 RP is active against a wide range of insects although this is greatest against piercing and sucking species. Aphids from various crops are susceptible.

The product is of particular interest for the control of rice hoppers which have become resistant to carbamate and organophosphate insecticides. In addition it possesses significant activity against cockroaches and ants (e.g. *Atta* spp.).

Résumé Le 32,861 RP est un nouvel insecticide de la famille des oxadiazoles. Peu toxique pour les mammifères et les poissons, il agit par contact et ingestion.

Il se caractérise par une bonne action de choc et une faible persistance dans les plantes mais de plus longue durée dans le sol et sur les plaques de verre.

Le 32,861 RP est actif contre un grand nombre d'insectes. C'est en particulier contre les piqueurs suceurs que l'activité du 32,861 RP est grande. Les pucerons des différentes cultures sont sensibles au produit.

Le 32,861 RP présente un intérêt particulier contre les cicadelles du riz devenues résistantes aux produits classiques. Il possède en outre une activité intéressante contre cafards et fourmis (p.ex. *Atta* spp.).

INTRODUCTION

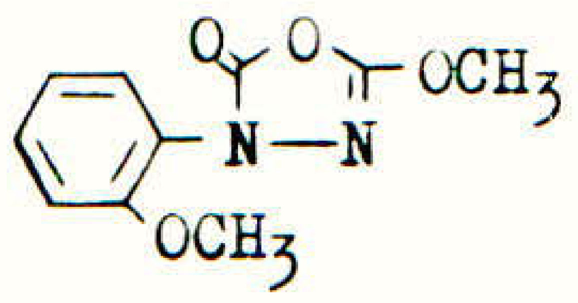
In the investigation on the family of oxadiazoles conducted at the Research Centre Nicolas Grillet, Rhône-Poulenc, Paris, insecticidal properties have been demonstrated in several compounds, of which 32,861 RP is the most active.

The good results obtained under laboratory and greenhouse conditions have now been confirmed in field trials carried out in France, Brazil and Japan.

PHYSICO-CHEMICAL PROPERTIES

Empirical formula: $C_{10}H_{10}N_2O_4$

Structural formula:



Chemical name: 5-methoxy-3(2-methoxyphenyl)-1,3,4-oxadiazole-2(3H)-one.

Molecular weight: 222.2.

Melting point: 79°C.

Physical aspect: Fine crystals, beige in colour.

Solubility at 20°C (approximate values):
1 g/l in water;
100 g/l in xylene;
380 g/l in anisole;
500 g/l in cyclohexanone.

Vapour pressure: Negligible (no significant volatilisation at 20°C, under pressure of 1 mm/Hg).

Stability: The technical and formulated product is stable under normal storage conditions.

TOXICOLOGICAL PROPERTIES

Mammals

Acute toxicity

Technical material

oral : mice (♂ + ♀): LD50 = 75 mg/kg

: rat (♂ + ♀): LD50 = 220 mg/kg

percutaneous : rat atoxic at 2000 mg/kg.

Wettable powder formulation (expressed as a.i.)

oral : rat (♂ + ♀): LD50 = 500 mg/kg

percutaneous : rat atoxic at 5000 mg/kg.

Irritant action (as w.p.)

eye irritation/rabbit : very slight

skin irritation/rabbit: none.

Inhibition of cholinesterase

In mammals, 32,861 RP has a moderate anti-cholinesterase activity.

Antidotes

Atropine alone or associated with pralidoxine sulphate (Contrathion(R)) may be used as an antidote.

Fish

32,861 RP shows low toxicity to carp. The LC50 (72 hours) = approximately 25 ppm.

Honeybees

Oral toxicity: LD50 = 10 µg/g of bees; contact toxicity: slight.

FORMULATIONS

For experimental purposes the following formulations are available:

EXP 5469 : 50% wettable powder

EXP 5543 : 20% emulsifiable concentrate

EXP 5523 : 2.5% granule

EXP 5544 : 4% dust.

Other formulations are under study.

BIOLOGICAL ACTIVITY

32,861 RP is insecticidally active by contact and ingestion. Furthermore it has good knockdown effect and slight systemic activity.

Persistence in plants is short but longer in soil and on glass plates. The major agricultural pests suceptible to 32,861 RP are sucking insects: aphids, planthoppers and leafhoppers. Generally, all aphids are well controlled and in particular the species attacking vegetables, such as cabbage aphid (*Brevicoryne brassicae*), peach-potato aphid (*Myzus persicae*) and black bean aphid (*Aphis fabae*). Among the plant and leafhoppers on rice good efficacy is shown against green rice leafhopper (*Nephotettix cincticeps*), brown plant hopper (*Nilaparvata lugens*) and white-backed planthopper (*Sogatella furcifera*).

In addition, 32,861 RP is effective against cockroaches and, from preliminary results obtained in Brazil using bait formulations, efficacy against ants (*Atta* spp.) is comparable to that of mirex.

Crop tolerance is generally good, although some phytotoxic reactions have been recorded in France on lettuce, chicory and apples (cv. Golden Delicious).

(R) Registered Trade Mark.

EXPERIMENTAL RESULTS

Aphids on vegetable crops

Since the early trials, 32,861 RP has proved exceptionally effective against aphids. A good knockdown effect occurs even at 200 mg a.i./l although generally 300 to 400 mg a.i./l are necessary to ensure good crop protection. It is on vegetables that the knockdown action and the short persistence of the product are of particular practical interest.

Data presented in Table 1 are combined results, in each case from two or three field trials carried out in 1976 or 1977. The spray volumes used throughout were 1,000 l/ha.

Table 1

Control of aphids in various vegetable crops, France 1976-77

Pest	Crop	Treatment	Concn (mg a.i./l)	% Mortality 1D*	2-3D	7-9D	13-14D
Cabbage aphid	Cabbage	Mevinphos (e.c.)	350	96	97	95	73.3
		32,861 RP (w.p.)	300	94.5	97.2	77.5	77
		32,861 RP (w.p.)	400	99.1	99.5	94	75.2
Peach-potato aphid	Tomato	Mevinphos (e.c.)	350	99	99	80	48
		32,861 RP (w.p.)	300	92	97	79	67
		32,861 RP (w.p.)	400	99	100	93	62
Black bean aphid	Broad bean	Mevinphos (e.c.)	350	100	100	93	93
		32,861 RP (w.p.)	300	99	99	73	72
		32,861 RP (w.p.)	400	100	100	81	72
Peach-potato aphid	Potato	Pirimicarb (s-granule)	375	97.2	97.5	96.1	-
		32,861 RP (w.p.)	400	98	98.5	100	-
		32,861 RP (w.p.)	600	100	100	99.8	-

* Days after treatment.

Aphids on other crops

32,861 RP is also effective against aphids on fruit trees (pome fruits) and cereals. Below are results of field trials conducted in France, for which spray volumes used on fruit trees (Tables 2 and 3) were 1,200 l/ha and on cereals (Table 4) 400 l/ha.

Table 2

Control of green apple aphid (Aphis pomi) on apple, France 1976

Treatment	Dosage (mg a.i./l)	% Mortality 1 D*	3 D	7 D	14 D
Phosalone (w.p.)	600	100	100	100	99.3
	400	97.5	97.8	98.5	95.5
32,861 RP (w.p.)	800	99.5	100	99.3	96.8

*Days after treatment.

Table 3

Control of rosy apple aphid (Dysaphis plantaginea) on apple and pear-bedstraw aphid (D. pyri) on pear, France 1976

Treatment	Dosage (mg a.i./l)	% Mortality 1 D*	3 D	7 D	14 D
Phosalone (w.p.)	600	94.7	99.7	99.9	99.8
	400	98.7	98.9	99.7	85
32,861 RP (w.p.)	800	99.6	99.8	99.9	98.7

* Days after treatment

Table 4

Control of grain aphid (Macrosiphum (sitobion)avenae) on winter wheat, France 1977

Treatment	Dosage (g a.i./ha)	% Mortality 2 D*	7 D	15 D
Phosalone (e.c.)	600	94	91	86
32,861 RP (w.p.)	400	92	80	41

* Days after treatment

Leaf and planthoppers of rice

In addition to green rice leafhopper, brown planthopper and white-backed plant-hopper experiments have also been conducted on small brown planthopper (Laodelphax striatellus) and preliminary laboratory tests identified a potential for the control of these pests of rice (Tables 5-6). Strains of green rice leafhopper resistant to carbamates have become an increasing menace of rice growing in Japan: 32,861 RP is active against such strains (Table 5). Subsequent field trials in Japan have confirmed the high efficacy of 32,861 RP, particularly against green rice leafhopper and white-backed planthopper (Tables 7-8).

Table 5

Action on leaf and planthoppers of rice: laboratory experiments, Japan 1978

Species	LC50 values ppm a.i. 32,861 RP (w.p.)	XMC* (w.p.)
Green rice leafhopper (OP-carbamate resistant strain)	23	210
Brown plant hopper	26	25
White-backed planthopper	26	12

* 3,5,xylyl methyl carbamate.

Plants were sprayed after pre-infection with adult hoppers. Data are means of a series of experiments.

Table 6

Residual efficacy of 32,861 RP to leaf and plant hoppers of rice (as % control of original population), laboratory experiments, Japan 1978

Species	Time (h)*	Concn (ppm a.i.) 32,861 RP (w.p.) 25	50	250	500	3,5, xylyl methyl carbamate (w.p.) 50	112	250	500
Green rice leafhopper	24	3.3	0	43.3	100	0	-	0	10.0
	48	0	0	20.0	100	0	-	0	10.0
	72	0	0	16.7	100	0	-	0	3.3
Brown plant hopper	24	0	16.7	96.7	100	50.0	93.3	100	100
	48	3.3	0	6.7	13.3	0	0	6.7	46.7
	72	0	6.7	3.3	0	0	0	3.3	16.7
White-backed planthopper	24	50.0	90.0	100	100	60.0	100	100	100
	48	0	0	0	10.0	10.0	10.0	20.0	30.0
	72	10.0	20.0	0	10.0	20.0	0	0	0
Small brown planthopper	24	0	3.3	10.0	100	3.3	23.3	83.3	100
	48	0	3.3	100	0	3.3	0	0	0
	72	-	-	0	0	-	-	3.3	0

* Hours after treatment
Rice plants were sprayed and subsequently infected with adult hoppers.

Table 7

Efficacy of 32,861 RP on rice hoppers (as % control of pre-spray population), field trials, Japan 1978

Species	Time (d)	Untreated	Concn (g a.i./ha) 32,861 RP (dust) 800	1000	1200	3,5 xylyl methyl carbamate (dust) 800	1200
Green rice leafhopper	1*	58	87	96	97	97	98
	10*	63	60	69	68	77	79
	1+	0	91	92	95	62	75
	11+	0	24	68	57	0	31
Brown plant hopper	1*	44	77	65	85	88	95
	9*	0	45	52	76	79	94
	1+	21	33	46	62	63	29

* Days after first treatment. + Days after second treatment.

Table 8

Efficacy of 32,861 RP against white-backed plant hopper (as % control of pre-spray level) in rice, field trials, Japan 1978

Products	Dosage (g a.i./ha)	Trial 1		Trial 2	
		1 D*	9 D	1 D	9 D
32,861 RP (w.p.)	750	91	63	92	66
	1000	90	77	92	54
	1500	95	77	97	77
3,5 xylyl methyl carbamate (w.p.)	750	99	71	92	86
	1000	99	61	91	86
	1500	100	76	94	89
Untreated		0	48	51	46

* Days after treatment

Cockroaches

In laboratory tests 32,861 RP has shown a high level of activity against German cockroach (*Blatella germanica*) equivalent to propoxur yet superior to bendiocarb. On these insects, good knockdown effect is retained whilst a very long residual efficacy has been recorded when applications were made on inert surfaces. Spray, dust and bait formulations have been used.

The results from experiments in France on the German cockroach (Tables 12-14) are also confirmed by work in Brazil and Japan; effectiveness has also been shown against American cockroach (*Periplaneta americana*).

Table 9

Direct contact action on adult male *Blatella germanica*, laboratory experiment, France 1978

Products	concn (a.i.)	% Mortality								LD50
		1h*	2h	3h	4h	5h	6h	24h	48h	
32,861 RP	10^{-4}	13	73	100	100	100	100	100	100	$9x10^{-6}$
	$5x10^{-5}$	13	53	87	93	93	93	93	93	
	10^{-5}	6	40	40	67	67	67	67	67	
Propoxur	10^{-4}	100	100	100	100	100	100	100	100	$2.8x10^{-5}$
	$5x10^{-5}$	46	53	53	53	53	53	53	53	
	10^{-5}	6	6	6	6	6	6	6	6	

* Hours after treatment.
The insects were treated by a spray application.

Table 10

Residual efficacy on adult male *Blatella germanica*; laboratory experiments, France 1978

Products	Concn (a.i.)	% Mortality 3h	4h	5h	6h	7h	24h	48h	LD50
32,861 RP	10^{-3}	40	65	80	95	100	100	100	$1.9x10^{-5}$
	$5x10^{-4}$	60	65	75	80	95	100	100	
	10^{-4}	50	65	80	90	90	100	100	
	$5x10^{-5}$	35	60	60	60	65	95	95	
	10^{-5}	0	0	0	0	0	15	15	
Propoxur	10^{-4}	100	100	100	100	100	100	100	$1.4x10^{-5}$
	$5x10^{-5}$	100	100	100	100	100	100	100	
	10^{-5}	0	10	10	10	10	15	20	

Cockroaches were introduced onto sprayed glass plates immediately after spraying and assessments made of mortality 3-48 h after introducing the insects.

Table 11

Residual effect on adult male *Blatella germanica*; laboratory experiments, France 1978

Products	Concn (a.i.) %	% Mortality (24 h) 0 D	14 D	28 D	35 D	42 D	50 D
32,861 RP	2	100	100	100	90	100	100
	1	100	100	87	85	90	100
	0.5	80	100	87	70	85	100
Propoxur	2	100	100	100	100	85	100
	1	100	80	47	60	65	65
	0.5	73	87	33	0	20	20

Glass plates were sprayed and cockroaches introduced to the treated plates at various times up to 50 days after treatment.

DISCUSSION

32,861 RP has proved to be an excellent insecticide against sucking insects such as aphids and leafhoppers. On plants it is characterised by a short persistence and is therefore particularly useful on vegetables and other crops when an insecticide must be applied very close to harvest. At present, the most promising application is for the treatment of rice against leafhoppers, whether resistant or not to organophosphorous and carbamate insecticides. 32,861 RP has also an interesting potential in the control of cockroaches and ants (e.g. *Atta* spp.). Many trials are in progress in South America and in Europe, the preliminary data for which are very promising.

Studies on the metabolism of 32,861 RP in plants, soil and animals are in progress. The first results confirm the biological observations that this insecticide has a very short persistence, with a half-life of about 2 days in plants and 5 to 8 days in the soil. On glass plates persistence is longer.

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FURTHER EXPERIENCES WITH A NEW MORPHOLINE FUNGICIDE FOR THE CONTROL OF POWDERY MILDEW AND RUST DISEASES ON CEREALS

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Summary A new systemic fungicide cis-4-[3-(4-tert-butylphenyl)-2-methyl-propyl]-2,6-dimethylmorpholine (code numbers Ro 14-3169/000 = LAB 108 406) controls *Erysiphe graminis* and *Puccinia* spp. on wheat, barley, oats and rye. It has both protective and curative properties; it is transported acropetally and protects the treated crop including newly developed leaves for 3-4 weeks. It exhibits strong fumigant activity.

Field trials over several years in important cereal growing areas revealed excellent activity at 750g a.i./ha against powdery mildew and rust diseases on cereals.

It has a low mammalian toxicity, and causes no unwanted side effects on cereals. First results indicate that the active material interferes with the sterol synthesis. The compound is available as an emulsifiable concentrate and will be introduced commercially in several countries in 1980.

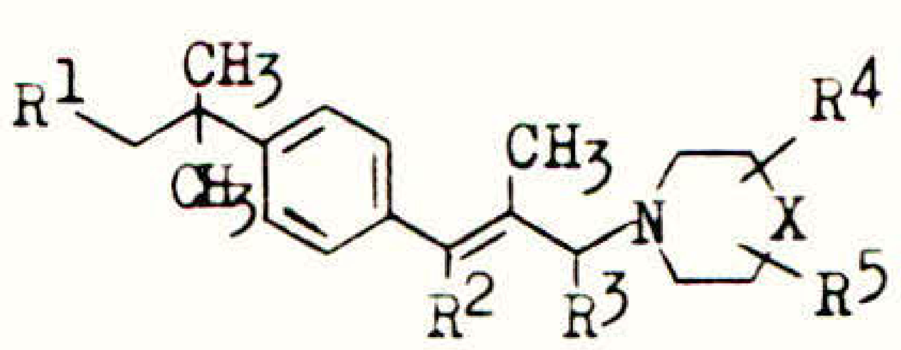
Résumé Un nouveau fongicide systémique cis-4-[3-(4-tert-butylphenyl)-2-methylpropyl)]-2,6-dimethylmorpholine (numeros de code Ro 14-3169/000 = LAB 108 406) est efficace contra *Erysiphe graminis* et *Puccinia* spp. du blé, de l'orge, de l'avoine et du seigle. Il révéle des propriétés à la fois preventive et curative. Il est vehiculé por voie ascendante et protége la culture traitée, y compris les nouvelles feuilles développées, pour une durée de 3 à 4 semaines. Il se montre d'une forte activité fumigatoire.

Des essais de plein champ, menés pendant plusieurs années dans les principales régions céréalières ont montré une activité excellente à une dose de 750g m.a./ha sur les oidiums et les rouilles des céréales.

Il a une basse toxicité mammifere et n'est pas phytotoxique sur les céréales. De premiers résultats montrent que la matière active gêne la synthese de steroes. Le produit est dispenible en concentré emulsionable et sera commercialisé dans plusieurs pays en 1980.

INTRODUCTION

Compounds of the general formula



R^1 = H, alkyl, cycloalkyl (up to 8 carbon atoms) or halogen

R^2-R^5= H or alkyl (up to 8 carbon atoms)

X = CH_2 or O

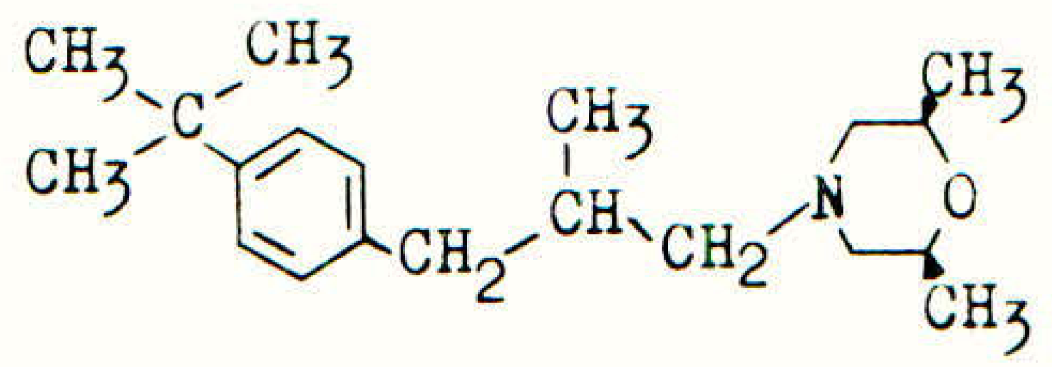
are highly active against *Erysiphe* and *Puccinia* spp. on wheat, barley, rye and oats. A representative of this chemical class tested under the code nos. Ro 14-3169/000 (Maag, Switzerland) and LAB 108 406 (BASF, Germany) in important European cereal growing areas is presented in this paper. The compound is scheduled for commercial introduction in 1980.

CHEMICAL AND PHYSICAL PROPERTIES

Proposed common name: Fenpropemorph

Chemical name: *cis*-4-[3-(4-*tert*-butylphenyl)-2-methylpropyl]-2,6-dimethylmorpholine

Structural formula:



Molecular formula: $C_{20}H_{33}NO$

Molecular weight: 303.5

Boiling point: 120°C at 0.05 mmHg

Vapour pressure: 2.5 x 10^{-5} mmHg at 20°C

Solubility: water 1g/l; soluble in most common organic solvents

Form: colourless, odourless liquid

Stability: stable to hydrolysis at room temperature in acid to alkaline range

FORMULATION

An e.c. containing 750g a.i./l is available (code no. ACR 3320 = BAS 421 00F).

TOXICOLOGY

Table 1

Acute toxicity of Ro 14-3169/000

Method of administration	Animal	LD50
Oral	rat ♂	3,650 mg/kg
	rat ♀	3,420 mg/kg
Dermal	rat ♂	4,200 mg/kg
	rat ♀	4,380 mg/kg
Intraperitoneal	mouse ♂	1,180 mg/kg
	mouse ♀	1,270 mg/kg
Skin irritation topical (100% compound)	rabbit	slight irritation
Eye irritation (90% compound in olive oil)	rabbit	slight irritation

SPECTRUM OF ACTIVITY

The compound is specifically effective against the most important foliar cereal diseases. It controls powdery mildew (Erysiphe graminis) on wheat and barley, as well as all rust diseases on wheat, rye, oat, barley, or maize caused by Puccinia spp. (Table 2).

Table 2

Main activities under laboratory, greenhouse or climate chamber conditions

Pathogen	Host plant	ED_{75} values (MIC)
Foliar treatment		mg (a.i.)/l spray
Erysiphe graminis	barley	4
Erysiphe graminis	wheat	70
Puccinia triticina	wheat	300
Puccinia dispersa	rye	200
Puccinia graminis	wheat	250
Puccinia coronata	oat	75
Puccinia sorghi	maize	400
Puccinia striiformis	wheat	300
Uromyces spp.	bean	275
Seed treatment		mg (a.i.)/kg seed
Tilletia tritici	wheat	250
Ustilago avenae	oat	400
Pyrenophora graminea	barley	350
Rhizoctonia solani	cotton	400

Strong fungicidal side effects against species of Uromyces, Hemileia, Rhizoctonia, Pyricularia and Rhynchosporium have been detected. To control these and other pathogens the following concentrations are necessary under laboratory conditions (Tables 2&3).

Table 3

Fungicidal side effects under laboratory, greenhouse, or climate chamber conditions

Pathogen	Host plant	ED_{75} values (MIC) in mg a.i./l spray mixture, kg seed or agar culture-medium
Foliar treatment		in vivo
Cescospora arachidicola	groundnut	400
Spaerotheca pannosa	roses	200
Uncinula necator	vine	100
Septoria nodorum	wheat	~1000
Agar culture medium		in vitro
Poria vaporaria		0.1
Lenzites trabea		0.2
Ceratocystis ulmi		0.2
Ustilago nuda		1
Septoria abenae		2
Ustilago maydis		3

Vapour phase activity

The distribution of the compound through the vapour phase is marked (Bohnen, K. and Pfiffner, A. 1979(b)).

Under greenhouse conditions (open vents during summer months) powdery mildew infections on barley or wheat are completely eradicated if untreated plants are within 1m of plants sprayed with the compound.

Under field conditions a 20-30% reduction of powdery mildew in untreated plots occurs if neighbouring plots have received a treatment of the fungicide.

Penetration and transport in the plant

Ro 14-3169/000 enters the host plant quickly and easily through the roots and to some degree through the green plant tissue. The compound is translocated acropetally with the transpiration stream in the host (Bohnen, K. and Pfiffner, A. 1979(b)). Untreated new growth, especially on monocotolydons, is therefore successfully protected by this systemic effect (Table 4).

Table 4

Systemic activity after soil treatment under greenhouse conditions

Powdery mildew on spring sown barley (cv. Herta)

Ro 14-3169/000 mg/l soil	Activity %	Ethirimol mg/l soil	Activity %
5	100	5	99
1.25	63	1.25	13
0.6	50	0.6	0

Control: 80% of leaf surface attacked

Application: Soil drench with 50ml per litre, 4 days prior to artificial infection

Assessment: 11 days after fungicidal application

Curative activity

The compound exhibits strong eradicative properties. Established infections of powdery mildew or rust on cereals in or on the host can be eradicated or hindered in their growth with curative treatments.

Preventive treatment is therefore unnecessary. Curative application at the onset of the disease (5-10% of the leaves have isolated patches of powdery mildew or rust) produces excellent results with this compound (Table 5).

Table 5

Curative activity after foliar treatment under greenhouse conditions

Powdery mildew on spring sown barley (cv Herta)

Ro 14-3169/000 g/hl	Number of infections per plant	Ethirimol g/hl	Number of infections per plant
20	0	20	3
5	2	5	3
1	3	1	6
Control:	> 1,000		

Application: 3 days after artificial infection

Assessment: 11 days after fungicidal application

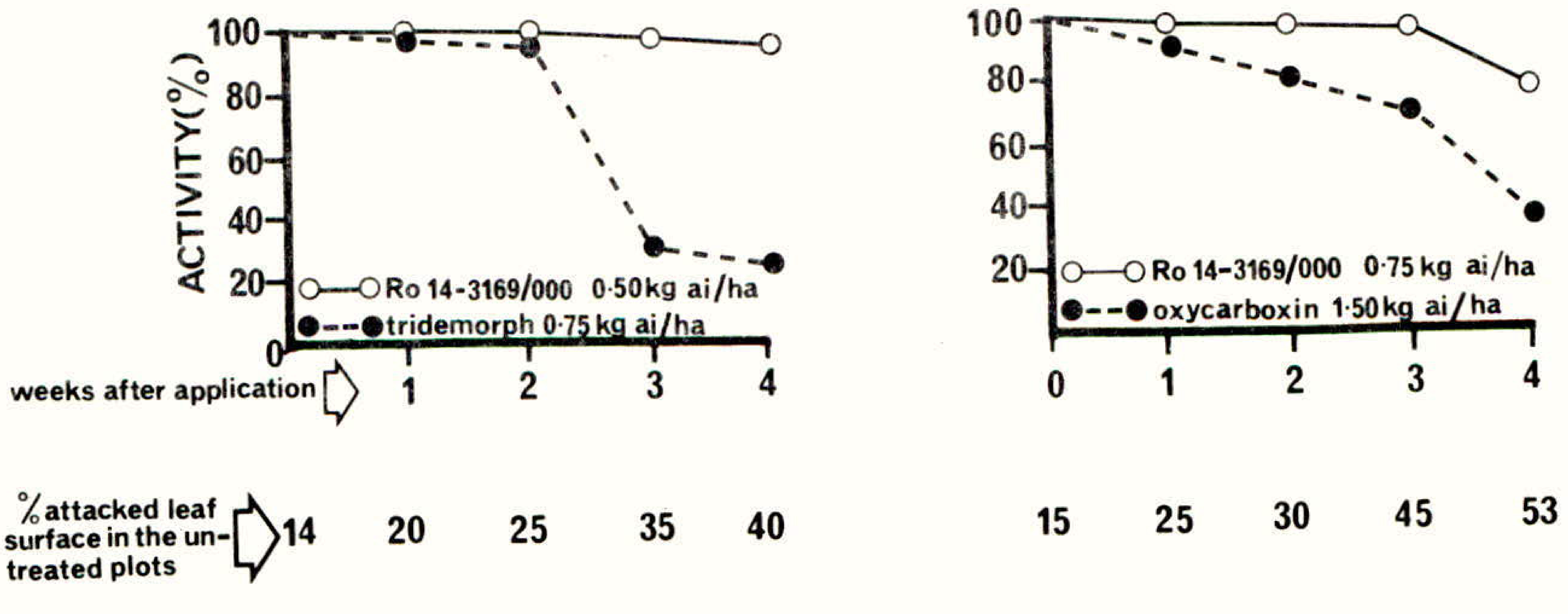
FUNGICIDAL PERSISTENCE

Ro 14-3169/000, applied as a foliar spray, protects plants under field conditions for 3-4 weeks. Its persistence is long enough to protect barley, wheat, rye and oats using a single application over the most important period of growth to ensure high yields and healthy crops. In case of an early or persistent infection, a second treatment may be necessary (Figure 1).

Figure 1

Fungicidal persistence under field conditions

Disease:	Powdery mildew	Yellow rust (*Puccinia striiformis*)
Host:	Spring sown wheat (cv Lita)	Autumn sown wheat (cv Probus)
Application:	Single curative, foliar	Single curative, foliar
Infection:	Spontaneous and artificial	Artificial and irrigated



RESIDUES

Due to the limited persistence period of the compound in or on the plant, no undesirable residues remain on the crop at harvest. Residue on grains from treated plants are below the currently detectable level of 0.05 ppm.

MODE OF ACTION

First results indicate that this compound interferes with the sterolbiosynthesis in susceptible fungi (Bohnen, K. and Pfiffner, A. 1979(a)).

FIELD TRIALS

Ro 14-3169/000 has been tested for several years in important cereal growing countries. The laboratory and greenhouse results were confirmed. In the trials conducted in 1977 and 1978 the compound exhibited excellent activity against powdery mildew on barley and wheat at 750g a.i./ha. Persistence ranged from 3-4 weeks (Tables 6, 7).

Table 6

Efficacy against powdery mildew on winter barley - treatment at growth stage 7-9 (Feekes Large Scale)

Treatment	Rate g a.i./ha	1977 (7 trials) % mildew 20 DAT*	1977 (7 trials) % mildew 30 DAT*	1977 Yield t/ha	1978 (6 trials) mildew (1-9)** 20 DAT*	1978 (6 trials) mildew (1-9)** 30 DAT*	1978 Yield t/ha
Ro 14-3169/000	750	2	2	6.70	1.0	2.0	6.38
Triadimefon	125	2	2	6.74	1.0	1.5	6.38
Untreated control	-	8	15	6.24	2.5	3.0	6.25
LSD (P = 0.05) (Tukey)				0.28			0.22

* = days after treatment ** = official German BBA rating system

Table 7

Efficacy against powdery mildew on winter wheat - treatment at growth stage 7-9 (Feekes Large Scale)

Treatment	Rate g a.i./ha	1977 (14 trials) % mildew 20 DAT*	1977 (14 trials) % mildew 30 DAT*	1977 Yield t/ha	1978 (15 trials) % mildew 10 DAT*	1978 (15 trials) % mildew 20 DAT*	1978 (15 trials) % mildew 30 DAT*	1978 Yield t/ha
Ro 14-3169/000	750	3	4	6.74	1	1	4	7.01
Triadimefon	125	3	3	6.76	1	1	3	7.08
Untreated control	-	10	11	6.35	4	6	10	6.63
LSD (P = 0.05) (Tukey)				0.24				0.19
(P = 0.01)								0.22

* = days after treatment

In trials against yellow rust disease (Puccinia striiformis) a treatment of 750g a.i./ha showed the same activity level and persistence as triadimefon (the standard compound) (Table 8).

Table 8

Control of yellow rust on winter wheat - treatment at growth stage 8-10.5 (Feekes Large Scale)

Treatment	Rate g a.i./ha	1977 (4 trials) % yellow rust 20 DAT*	1977 (4 trials) Yield t/ha	1978 (5 trials) yellow rust (1-9)** 10 DAT*	1978 (5 trials) yellow rust (1-9)** 20 DAT*	1978 (5 trials) yellow rust (1-9)** 30 DAT*	1978 (5 trials) Yield t/ha
Ro 14-3169/000	560	1	-	2.0	1.7	1.7	7.43
Ro 14-3169/000	750	-	6.90	2.0	1.6	1.4	7.58
Triadimefon	125	1	6.75	2.0	1.7	1.5	7.30
Untreated control	-	5	6.52	2.9	3.2	3.1	6.66
LSD (P = 0.05) (Tukey)			0.54				0.46
(P = 0.01)							0.54

* = days after treatment ** = official German BBA rating system

Ro 14-3169/000 proved to be more active than the standard (triadimefon) against brown rust (Puccinia triticina) (Table 9).

Table 9

Control of brown rust on winter wheat - treatment at growth stage 10.5 (Feekes Large Scale)

Treatment	Rate g a.i./ha	% brown rust 10 DAT*	% brown rust 30 DAT*	Yield t/ha
Ro 14-3169/000	560	3	23	7.68
Ro 14-3169/000	750	3	18	7.79
Triadimefon	125	3	28	7.61
Untreated control	-	4	57	7.31
LSD (P = 0.05) (Tukey)				0.25

* = days after treatment

In the field trials carried out so far (though not all are reported in this paper) an optimum application rate of 750g a.i./ha against powdery mildew and rust diseases on cereals, with a persistence of 3-4 weeks, was realized with this compound.

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METHFUROXAM, A NEW SYSTEMIC FUNGICIDE FOR THE CONTROL OF BASIDIOMYCETE PATHOGENS

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Summary Methfuroxam, 2,4,5-trimethyl-3-furanilide, is a new systemic fungicide active against Basidiomycete pathogens. Used as a seed treatment against loose smut of wheat, barley and oats and bunt of wheat, 20 g a.i./100 kg seed gave excellent control of these diseases and was superior to standard materials. Mixtures with other fungicides are needed to control a wider range of cereal seed-borne diseases. Methfuroxam is also active against Rhizoctonia solani and rust fungi, but a higher rate of use, similar to that for carboxin and oxycarboxin, appears to be needed. Methfuroxam has a low mammalian toxicity, can be applied as a wide range of formulations, and has shown no crop phytotoxicity.

Resume Methfuroxam, 2,4,5-trimethyl-3-furanilide est un nouveau fongicide systémique actif contre les Basidiomycetes. Quand on l'a utilisé comme un traitement de semences à 20 g matière active/100 kg semence il a donné un contrôle excellent du Charbon nu de blé, d'orge et d'avoine et a été supérieur aux étalons. Des associations avec d'autres fongicides sont nécessaires pour étendre le spectre d'activité sur les champignons parasites des semences des céreales. Methfuroxam est actif aussi contre la Rhizoctonia solani et des Pucciniacées avec une dose pareille à ceux de carboxine et oxycarboxine. Methfuroxam n'est que faiblement toxique pour les mammifères, peut être appliqué dans plusieurs formulations et n'a pas demontré de la phytotoxicité.

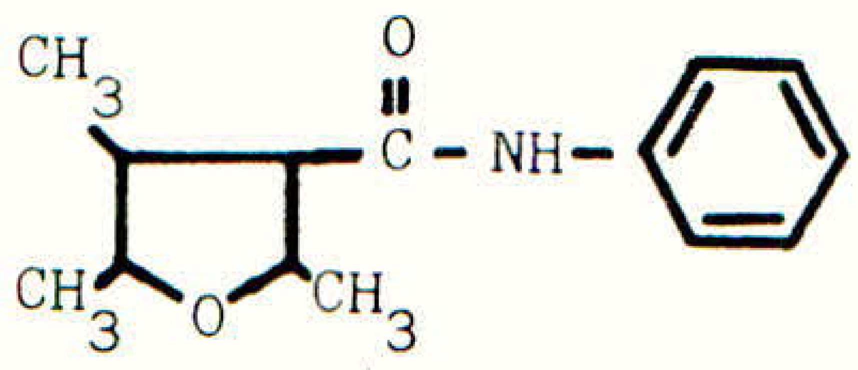
INTRODUCTION

Research by Uniroyal in Canada and the USA first identified 2,4,5-trimethyl-3-furanilide, common name methfuroxam (coded UBI-H719) as being active as a systemic fungicide for the control of Basidiomycete pathogens. World-wide development over the last 5 years has led to a closer definition of this activity and has concentrated on the use of methfuroxam by seed application for the control of internally- and externally-borne smuts (Ustilago spp) and bunts (Tilletia spp). This paper presents a summary of trials results obtained with methfuroxam, principally as a cereal seed treatment, in Western Europe.

PROPERTIES OF METHFUROXAM (UBI-H719)

Chemical and Physical

Chemical structure:



Chemical name (IUPAC):	2,4,5-trimethyl-3-furanilide
Melecular formula and weight:	$C_{14}H_{15}NO_2$ 229
Physical form:	off-white crystalline solid
Melting range:	138 - 142°C
Odour:	faint
Solubility:	water: 0.01; DMF: 412; acetone: 125; methanol: 64; benzene: 36 g/kg solvent @ 25°C

Toxicology

The results obtained in acute tests with various species of mammals are shown in Table 1.

Table 1
Toxicity of methfuroxam to mammals

Route	Species	LD50 (mg/kg) Male	Female
Oral	Rat	4300	1470
	Mouse	620	880
	Dog	-	10,000
Intraperitoneal	Rat	220	220
Dermal	Rabbit	3160	
Inhalation	Rat	17.39 mg/l of air	

In 90-day subactue tests on dogs and rats there was no effect at dietary levels of 1000 and 300 ppm respectively, the highest rates tested. Tests on rabbits showed methfuroxam to be non-irritant on skin and only mildly irritant to the eye. Wild-life toxicity tests show the LC50 of methfuroxam to Bluefill sunfish and rainbow trout to be 0.18 mg/l and 0.36 mg/l respectively.

Further testing necessary to obtain registration for methfuroxam is underway, but all the tests completed to date indicate that there are unlikely to be any toxicological, handling or environmental problems with this compound.

Formulations

Methfuroxam can be formulated as a wettable powder, emulsifiable concentrate and liquid, powder or flowable (colloidal) seed dressing. Wide scale testing has been done with powder (PSD) and liquid (LSD) seed dressing formulations containing 5 to 15% a.i. Methfuroxam has also been successfully formulated in mixture with a wide range of other fungicides for seed dressing trials and commercial introduction.

Residues

Residue analysis has been conducted on grains produced from cereal seed treated with methfuroxam up to 30 g a.i./100 kg seed. Residues have ranged from 0.13 to 0.02 ppm in immature plants, depending on time of sampling, and from 0.01 ppm to nil residues in mature grain. At most, very low residues resulted from this method of application.

Biological activity

In vitro and *in vivo* screening data suggested that methfuroxam is highly and selectively active against Basidiomycete pathogens, activity against other fungi being moderate to poor. Development trials have confirmed this activity using both seed treatments against smuts and bunts in cereals and *Rhizoctonia solani* in cotton and foliar application to control rusts in cereals and vegetables.

The systemic nature of methfuroxam has been confirmed by bioassay techniques and radio tracer studies.

MATERIALS AND METHODS

Commercially-available fungicides were used as standards for comparison in tests evaluating methfuroxam activity. In seed treatment tests these included various formulations of carboxin (carboxin/organomercury (Macer *et al*, 1969) and carboxin/copper oxyquinolate) and benomyl (benomyl/thiram (Allison *et al*, 1975)).

Trials methods

Naturally-infected seed was used in seed treatment trials except in the case of *Tilletia caries* and *Ustilago avenae* where artificial inoculation of wheat and oat seed was carried out prior to fungicidal treatment.

Small batches (100 - 1000 g) of seed were treated with dry PSD formulations in the laboratory by shaking the required amount of powder with the seed in closed glass vessels for approximately 1 min. Liquid formulations were applied either by first pipetting the required volume of formulation onto the inner wall of a rotating glass beaker, adding the seed and then shaking manually, or by spraying the formulation onto a thin layer of seed and then completing the distribution by manual shaking.

Distribution of the seed treatments applied by these methods was good and chemical analysis showed that the seed received 85 - 95% of the theoretical loading.

Seed was planted in small plots, randomised field trials using precision equipment such as a tractor-mounted Øyjord drill. Except where indicated, plots comprised eight 2.5 m rows, the seed rate was 25 g/plot and there were four replicates of each treatment.

RESULTS

Efficacy against seed-borne pathogens

Loose smut of barley (Ustilago nuda): The mean effect of seed treatment with methfuroxam against loose smut on two cultivars of spring barley (cv.Sultan and Cleremont) is shown in Table 2. Complete control was obtained with 20 g a.i./100 kg seed, and thus methfuroxam was at least four times more active than carboxin. There was no decrease in crop emergence following methfuroxam seed treatment.

Table 2
Control of loose smut of spring-sown barley by seed treatment with methfuroxam powder, UK 1975

Treatment	Rate (g a.i./100 kg)	Emerged plants(%)	Infected ears per plot	Disease Control(%)
Methfuroxam	10	96	4.1	85.5
	20	115	0.0	100.0
Carboxin	40	110	3.25	93.7
	80	115	1.75	95.3
	150	94	0.25	99.5
Carboxin/organomercury	110/2	117	0.0	100.0
Untreated		100	35.0	

= 42 plants/2m row = 3% infected ears

The mean results for four loose smut trials in autumn-sown barley cv. Astrix are given in Table 3. Methfuroxam at 20 g a.i./100 kg gave less than complete control but was equivalent to 80 g a.i. carboxin.

Loose smut of wheat (Ustilago nuda var. tritici): results from methfuroxam trials on two cultivars of autumn sown wheat in the UK are shown in Table 4. Methfuroxam at 10 g a.i. gave complete control of loose smut in cv. Maris Ranger, but 20 g a.i. was needed to give 98 - 100% control in all trials.

Table 3
Control of loose smut of autumn-sown barley by seed treatment with methfuroxam powder

Treatment	Rate (g a.i./100 kg seed)	Disease control (%)
Methfuroxam	10	90.1
	20	96.2
	40	100.0
Carboxin	40	92.3
	80	96.1
Carboxin/organomercury	110/2	98.6
Untreated	-	(20 infected ears/plot)

Table 4
Control of loose smut in winter- sown wheat by seed treatment with methfuroxam powder

Treatment	Rate (g a.i. /100 kg seed)	Disease control (%) cv.Cappelle Desprez Trial 1	Trial 2	cv. Maris Ranger Trial 1	Trial 2
Methfuroxam	10	90.5	96.7	100	100
	20	98.8	100.0	100	100
	40	98.5	-	100	-
Carboxin	40	-	-	-	97.3
	80	-	-	-	93.6
Carboxin/organomercury	110/1	97.7	100	100	100
Benomyl/thiram	60/60	98.4	100	100	97.3
Untreated - infected ears/plot		(65.6)	(22.0)	(30.0)	(11.0)
- infection (%)		(2.4)	(1.8)	(1.1)	(0.7)

Table 5
Control of loose smut in winter-sown wheat by seed treatment with methfuroxam powder (France, 1974) as shown by percent infected ears

Treatment	Rate g a.i./100 kg	Site 1	2	3	4	Mean	Percent control
Methfuroxam	10	0	0	0.03	0.33	0.09	97.8
	15	0.08	0	0.03	0.09	0.05	98.8
	20	0	0	0	0	0	100
Carboxin/copper oxyquinolate	100/30	0	0	0.11	0.29	0.10	97.6
Untreated		2.33	3.1	8.3	2.96	4.17	-

This activity was confirmed in four trials in France using cv. Capelle Desprez sown at 20 g seed per 8 m row with five replicates of each treatment. The mean percentage infected ears (Table 5) showed that 20 g methfuroxam gave complete control of loose smut. Infection levels in these trials ranged from 2.3 to 8.3 percent.

Bunt of wheat (*Tilletia caries*): results for two trials with differing levels of symptom expression are shown in Table 6. The seed was inoculated with 10 g spores of *T.caries* per kg seed prior to application of the seed treatments and infection was assessed on 100 mature ears per plot. Methfuroxam powder formulation at 20 g a.i. gave 99 - 100% disease control and was equivalent or superior to the standard treatments. Organomercury, still widely used as a general seed treatment in the U.K., gave relatively poor control in the trial with 60% infected ears in the untreated plots.

Table 6
Control of bunt in winter-sown wheat by seed treatment with methfuroxam powder, U.K.

Treatment	Rate (g a.i./100 kg seed)	% disease control Trial 1	% disease control Trial 2
Methfuroxam	10	100	76.9
	20	100	99.2
	40	100	-
Carboxin/mercury liquid	75/2	-	85.1
Maneb	100	87.7	40.0
Organomercury	4	100	40.0
Untreated - infected ears	-	(10.3%)	(60.5%)

Loose smut of oats (Ustilago avenae): trials were normally carried out with artificially inoculated seed because of the scarcity of this disease in modern agriculture. For one such trial in Switzerland oat seed was inoculated in vacuo with an aqueous suspension of U.avenae spores prior to treatment with fungicides. Methfuroxam at 25 and 50 g a.i. and carboxin at 52 and 75 g a.i./100 kg seed all gave complete control.

Other seed-borne diseases: Methfuroxam gave only poor control of other seed-borne pathogens of cereals in W.Europe such as Pyrenophora spp, Fusarium spp and Leptosphaeria nodorum. Mixtures with other fungicides have, however, been found to control these pathogens.

Good control of Rhizoctonia solani, a seed- and soil-borne pathogen causing damping-off of a wide range of crops, has been obtained with methfuroxam but at rates similar to the standard fungicide carboxin.

Crop safety: no retardation or reduction in emergence or vigour of cereal crops has been noticed with seed treatment with up to 40 g a.i. methfuroxam/100 kg seed in field trials. Similarly this rate has been shown to be safe in standard germination tests both before and after storage of treated seed.

Efficacy against foliar diseases

Good control of foliar rust diseases has been obtained with seed treatments and foliar sprays of methfuroxam. Results from a greenhouse test against broad bean rust (Uromyces vicia-fabae) are shown in Table 7. The beans (cv. Asmer Longpod) were sprayed to run-off with fungicide solutions, allowed to dry and then inoculated with uredospores suspended in mineral oil. Whilst methfuroxam gave good reduction in disease symptoms at 100 ppm, it showed no advantage over oxycarboxin. The similarity in activity of methfuroxam to oxycarboxin has been confirmed with cereal rusts such as Puccinia graminis and P.striiformis.

Table 7

Control of broad bean rust with protectant foliar sprays of methfuroxam

Fungicide	Methfuroxam			Oxycarboxin			Untreated
Concentration (ppm)	25	50	100	23	50	100	0
Disease control (%)	67	83	91	57	56	89	-
Pustules/leaflet	-	-	-	-	-	-	174

DISCUSSION

Methfuroxam is a toxicologically safe, systemic fungicide which field trials have confirmed shows good activity against Basidiomycete pathogens. Of the areas where such a fungicide could be of potential use, that of cereal seed treatment has been studied most widely in W.Europe. At 20 - 30 g a.i./100 kg seed it gave the high degree of control of smuts and bunts necessary to pass stringent registration requirements. This rate is at least one quarter of the rate of carboxin needed to give comparable control.

The relatively low rate of use offers economy and formulation felexibility Methfuroxam thus shows potential not only as a seed treatment specifically for loose smut control but also as a component for mixtures with other fungicides to replace organomercurial seed treatments. For example, mixtures of methfuroxam, imazalil and thiabendazole have already been registered in West Germany as broad-spectrum seed treatments.

Rates lower than 20 g a.i. methfuroxam have been found to give commercially acceptable control in certain countries where Tilletia spp are the main seed-borne problems. Methfuroxam is registered in Australia at 7.5 g a.i./100 kg (Alcock,1978)

Methfuroxam also controls Rhizoctonia solani and a range of rust diseases, but in these cases the advantage with present formulations over existing standard materials is not so great as against seed-borne Basidiomycetes.

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