

DICLOBUTRAZOL: A NEW SYSTEMIC FUNGICIDE

K. J. Bent and A. M. Skidmore

Imperial Chemical Industries Ltd, Plant Protection Division,  
Jealott's Hill Research Station, Bracknell, Berkshire, RG12 6EY.

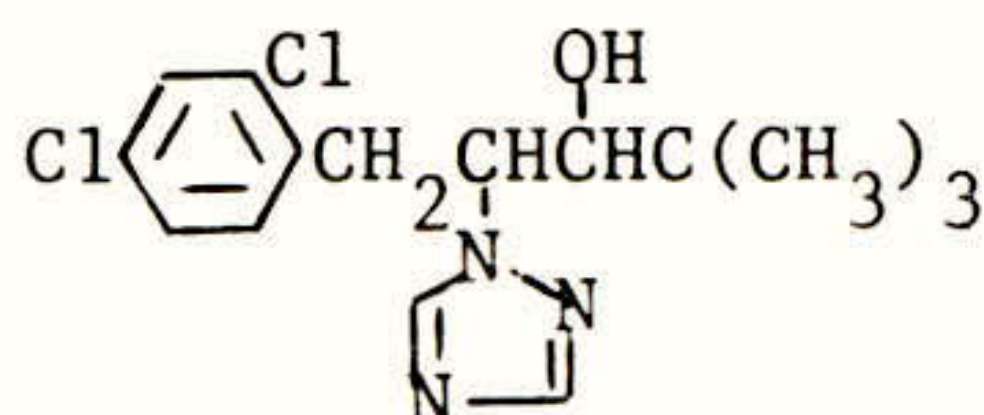
Summary Diclobutrazol, (2R,3R)-and(2S,3S)-1-(2,4-dichlorophenyl)-4,4-dimethyl-2-(1,2,4-triazol-1-yl)pentan-3-ol, is a new systemic fungicide discovered at Jealott's Hill Research Station. It is highly active against rusts, powdery mildews and other fungal pathogens of plants. Spray applications to wheat and barley crops at 62.5 - 125 g/ha have given excellent control of diseases of the foliage and ears, resulting in large yield increases. Protection of barley against winter-kill has been observed in some situations. Diclobutrazol sprays appear promising for the control of coffee rust, apple mildew and scab, grape powdery mildew and various other crop diseases.

INTRODUCTION

Diclobutrazol\*, (2R,3R)-and(2S,3S)-1-(2,4-dichlorophenyl)-4,4-dimethyl-2-(1,2,4-triazol-1-yl)pentan-3-ol, is a new fungicide discovered at the Jealott's Hill Research Station of the Plant Protection Division of ICI in 1976. It has been widely tested in the field under the code number PP296, and is being introduced under the trade name 'Vigil' (registered trade mark of Imperial Chemical Industries Limited). This paper gives general information on diclobutrazol, and reports results obtained from a world-wide programme of field trials conducted over the past 4 years.

CHEMICAL AND PHYSICAL PROPERTIES

Structural formula:



X-ray crystallography revealed that diclobutrazol has the 2R3R and 2S3S (threo) configuration. The corresponding 2R3S and 2S3R (erythro) form was found to be less active as a fungicide.

Diclobutrazol is an odourless, colourless, crystalline solid with a molecular weight of 328, m.p. of 147-149°C and v.p. of  $1-2 \times 10^{-8}$  mmHg at 20°C. It is stable under normal storage conditions in the dark. Its solubility in water at 20°C is 9 mg/l. It is soluble up to 50 g/l in methanol, ethanol, acetone and chloroform.

FORMULATION

The following formulations are available at present:

A 50% w/v suspension concentrate for use on most crops other than cereals.

A 12.5% w/v suspension concentrate mainly for use on cereals ('Vigil').

A 10%/20% w/v suspension concentrate containing a diclobutrazol/carbendazim mixture ('Vigil' K).

\* Accepted BSI and proposed ISO common name

Various other formulations were used in field trials, and are mentioned in the text.

## TOXICOLOGY AND RESIDUES

Available data indicate that diclobutrazol is of low toxicity to mammals and other animals. The acute oral and dermal LD50s for rats are ca 4000 mg/kg and >1000 mg/kg respectively. It is non-irritant to rat skin and mildly irritant to the skin and eye of rabbit. Ames and cell transformation tests gave negative results. A no-effect level of 50 ppm was established when rats were fed diets containing diclobutrazol for 90 days. Further toxicological tests are in progress.

Diclobutrazol is also of low toxicity to birds, fish and invertebrates. The acute oral LD50 for mallard ducks is >9000 mg/kg, and the 24h LC50 for rainbow trout is 24 mg/l. Oral and contact LD50s for bees are >50 µg/bee. Earthworms were unaffected 1 and 6 months after spray application to field plots at 200 g/ha.

Extensive residue data have been obtained from cereal crops. Applications at 125-250 g/ha to barley at Decimal growth stages 32-37 and to wheat at stages 39-75 have led to very low or non-detectable grain residues (0.02 - <0.01 ppm) and to low levels (<0.3 ppm) in straw.

## BIOLOGICAL ACTIVITY

Diclobutrazol inhibited spore germination and mycelial growth of a wide range of fungi, when incorporated into agar or liquid media. ED50 values varied greatly and did not correlate well with performance in vivo. It was inactive at concentrations up to 50 ppm against bacteria and oomycete fungi.

In glasshouse and growth-room tests on seedling plants, sprays and soil drenches of diclobutrazol were active against a wide range of diseases, other than those caused by oomycetes. The greatest activity was shown against rusts (on cereals, beans and coffee), powdery mildews (on cereals, apple, grape and cucumber) and apple scab. Complete protection was conferred by sprays at concentrations down to 1-5 ppm and 0.1 - 0.5 ppm against the cereal rusts and powdery mildews respectively, and there were marked curative effects at slightly higher rates. Translaminar movement and acropetal translocation from stem and leaf deposits were also observed in several plant species. Foliar deposits exerted a marked vapour action over several centimetres against cereal powdery mildews and a weaker vapour action against rusts, despite the extremely low vapour pressure.

A compaction of plant growth associated with shortening of internodes was observed in some glasshouse tests with diclobutrazol. The incidence and severity of the effects varied greatly according to application method, dose, formulation, crop species and conditions. Soil drenches tended to have greater plant growth effects than sprays, dicotyledonous plants (with some exceptions) to be more affected than monocotyledonous species, and wheat to be affected more than barley.

Mode of action studies on Ustilago maydis indicated a marked and specific interference with ergosterol biosynthesis in the fungus, at the 14 $\alpha$ -demethylation stage. This effect has been reported for other fungicides (see Buchenauer, 1977). The effects on plant growth could be reversed by application of gibberellic acid; similar reversals of growth effects of triforine, triarimol and triadimefon have been reported (Shive & Sisler, 1976; Buchenauer, 1977).

## FIELD TRIALS

### Materials and Methods

The following formulations were used. Diclobutrazol, 10% e.c. in 1977, 25% w.p. in 1978, 'Vigil' in 1979; diclobutrazol/carbendazim mixture, 'Vigil' K; triadimefon, 25% w.p. ('Bayleton'); oxycarboxin, 20% e.c. ('Plantvax' 20); captafol, 50% suspension concentrate ('Sanspor'); ethirimol/captafol mixture, 7%/36% suspension concentrate ('Milcap'); carbendazim, 50% w.p. ('Stempor'). The use of alternative formulations in some trials is indicated below.

All trials were based on 3-6 replicate plots with a randomised block design. Smaller plot (< 75m<sup>2</sup>) cereal trials were sprayed with Oxford precision sprayers with Allman 00 jets on a 4-jet boom. Farm sprayers were used for larger trials. Assessments were made according to appropriate MAFF keys on 10-25 leaf, ear or plant samples per plot. Growth stages were recorded on the Decimal scale. In the tables, rates refer to a.i., values with a common letter suffix are not significantly different at  $P = 0.05$ , and leaf numbering is based on 'flag leaf equals leaf one'.

### Results

Wheat Diclobutrazol sprays have given excellent control of brown rust (*Puccinia recondita*), yellow rust (*P. striiformis*), and powdery mildew (*Erysiphe graminis* f. sp. *tritici*), whether applied before or after the start of an epidemic and under a wide range of growing conditions (e.g. Tables 1-3). Protection normally lasted for 6 weeks, and in some trials persisted for 8 or more weeks during which the diseases continued to develop in untreated plots. Partial control of *Septoria* spp. on the leaf and ear, and of *Fusarium* foot-rot was also observed, but effects on eye-spot (*Pseudocercospora herpotrichoides*) were weak (Table 4). A diclobutrazol/carbendazim mixture gave better control of these last three diseases, associated with greater yield increases. A diclobutrazol/captafol mixture was particularly effective against *Septoria* spp.; however it did not prove superior in this respect to a comparable ethirimol-captafol mixture (Table 4).

Table 1

Control of wheat brown rust (*Puccinia recondita*)

| Treatment                         | Rate<br>(g/ha) | % Control of disease on flag leaf |       |       |                    |       |  |
|-----------------------------------|----------------|-----------------------------------|-------|-------|--------------------|-------|--|
|                                   |                | Brazil, 1978                      |       |       | India, 1979        |       |  |
|                                   |                | 12DAT*                            | 20DAT | 27DAT | 13DAT              | 26DAT |  |
| Diclobutrazol                     | 62.5           |                                   |       |       | 89B                | 72B   |  |
| "                                 | 125            | 68A                               | 72A   | 71A   | 86B                | 83B   |  |
| "                                 | 250            |                                   |       |       | 96A                | 97A   |  |
| Triadimefon                       | 125            | 45A                               | 57A   | 63A   | 59C                | 41C   |  |
| Untreated                         | -              | OB                                | OB    | OB    | OD                 | OD    |  |
| Disease level in untreated plots: |                | pustules per leaf                 |       |       | leaf area infected |       |  |
|                                   |                | 2.5                               | 30.0  | 162   | 12.1%              | 66.7% |  |

\* DAT = days after treatment, in all tables

Plot size 4m x 4m, varieties Maringa (Brazil) and Raja 911 (India), one spray applied at GS 50-51 in 250-300 l/ha.

### Control of wheat yellow rust (*Puccinia striiformis*)

Disease level (%) and  
yield in untreated  
plots:

Control of wheat powdery mildew (*Erysiphe graminis* f.sp. tritici)

Disease level (%) and yield in untreated plots:

Table 4  
Control of wheat glume blotch (*Septoria nodorum*), eye-spot  
(*Pseudocercospora herpotrichoides*) and foot-rot (*Fusarium* spp)

| Treatment      | Rate<br>(g/ha) | France 1978              |              |              |                        | France 1978                |                         |        |
|----------------|----------------|--------------------------|--------------|--------------|------------------------|----------------------------|-------------------------|--------|
|                |                | % Control of<br>Septoria |              |              | Yield<br>increase<br>% | % Control of<br>disease    | Yield*<br>increase<br>% |        |
|                |                |                          |              |              |                        | (assessment<br>at harvest) |                         |        |
|                |                | flag<br>24DAT            | ear<br>24DAT | ear<br>40DAT |                        | eye-spot foot-rot          |                         |        |
| Diclobutrazol  | 125            | 20C                      | 52C          | 32C          | 4.8B                   | 0B                         | 33B                     | 16.2C  |
| "              | 250            |                          |              |              |                        | 7B                         | 41A                     | 25.4AB |
| Diclobutrazol) | 125)           | 22BC                     | 63B          | 53B          | 21.3A                  | 40A                        | 46A                     | 32.6A  |
| +carbendazim ) | 200)           |                          |              |              |                        |                            |                         |        |
| Diclobutrazol) | 125)           | 42A                      | 81A          | 57AB         | 22.9A                  |                            |                         |        |
| + captafol )   | 1440)          |                          |              |              |                        |                            |                         |        |
| Triadimefon )  | 125)           | 20C                      | 51BC         | 57AB         | 17.8AB                 | 20AB                       | 38AB                    | 23.9BC |
| + carbendazim) | 200)           |                          |              |              |                        |                            |                         |        |
| Ethirimol + )  | 280)           | 35BC                     | 86A          | 67A          | 20.8AB                 |                            |                         |        |
| captafol )     | 1440)          |                          |              |              |                        |                            |                         |        |
| Untreated      |                | OD                       | OD           | OD           | OC                     | OB                         | OC                      | OD     |

Disease level (%) and yield  
in untreated plots:

88.2 41.2 47.8 4.82  
t/ha  
Plots 120m<sup>2</sup>, var Talent, one  
spray at GS58 in 500 l/ha

70.7 24.7 3.89  
t/ha  
Plots 120m<sup>2</sup>, var Lutin,  
one spray at GS31 plus  
one spray of diclobu-  
trazol or triadimefon  
alone at 125 g/ha at  
GS58 (to control rust)  
in 500 l/ha

\* In part attributable to control of rust infections  
(*Puccinia striiformis* and *P. recondita*) in this trial.

Diclobutrazol showed rather shallow dose-response relationships towards all diseases. However, taking into account yield increases and reliability, a rate of 125 g/ha, either alone or mixed with carbendazim, is considered generally suitable for use on wheat. Large increases in yield were commonly obtained; in 69 wheat trials in several countries with varying combinations and levels of disease over 3 years, diclobutrazol at 125 g/ha gave an overall mean yield increase of 12.4%

In most trials, diclobutrazol sprays did not cause phytotoxicity or interfere with the growth of wheat. However, shortening of shoot growth was detected in some trials. This effect which was more noticeable after early spraying, did not reduce yields.

**Barley** Diclobutrazol sprays exerted a potent and persistent action against powdery mildew (*Erysiphe graminis* f. sp. *hordei*), brown rust (*Puccinia hordei*) and yellow rust (*Puccinia striiformis*) in spring barley. In UK trials in 1978 two successive sprays gave more effective control of mildew and rust and conferred greater yield increases than single sprays (Table 5). Severe attacks of leaf blotch (*Rhynchosporium secalis*) occurred in two trials on variety Maris Mink in 1979. Partial control (65-75%) was given by diclobutrazol sprays at 125 g/ha applied at GS30-31 and admixture with carbendazim (250 g/ha) increased this slightly (to 75-80%).

Table 5  
Control of diseases in spring barley, U.K. 1978

| Treatments<br>(all at 125<br>g/ha)                        | Composite data from six trials                                                                                    |                 |              |                 |                    | Yield<br>increase<br>% |
|-----------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------|-----------------|--------------|-----------------|--------------------|------------------------|
|                                                           | % Control of diseases                                                                                             |                 |              |                 |                    |                        |
|                                                           |                                                                                                                   | <u>Erysiphe</u> |              | <u>Puccinia</u> | <u>Puccinia</u>    |                        |
|                                                           |                                                                                                                   | <u>graminis</u> |              | <u>hordei</u>   | <u>striiformis</u> |                        |
|                                                           | 28<br>DAT                                                                                                         | 42/14<br>DAT*   | 56/28<br>DAT | 56/28<br>DAT    | 56/28<br>DAT       |                        |
| Diclobutrazol at<br>GS 30-31                              | 93                                                                                                                | 92              | 97           | 41              | 10                 | 10.4                   |
| Diclobutrazol at<br>GS 39-45                              | -                                                                                                                 | 48              | 88           | 96              | 95                 | 7.9                    |
| Diclobutrazol at<br>GS 30-31 & 39-45                      | 93                                                                                                                | 96              | 94           | 98              | 92                 | 14.1                   |
| Triadimefon at<br>GS 30-31 & 39-45                        | 92                                                                                                                | 98              | 95           | 97              | 82                 | 11.6                   |
| Untreated                                                 | 0                                                                                                                 | 0               | 0            | 0               | 0                  | 0                      |
| No. of trials<br>assessed:                                | 4                                                                                                                 | 3               | 3            | 6               | 2                  | 5                      |
| Disease level (%)<br>and yield in<br>untreated plots:     | 5.6                                                                                                               | 17.1            | 4.6          | 27.6            | 3.0                | 4.41                   |
| Leaf assessed:                                            | 2-4                                                                                                               | 1-3             | 1-2          | 1-2             | 1-2                | t/ha                   |
| * 42 days after 1st<br>spray, 14 days after<br>2nd spray. | Plots 25m x 2m, vars. Mazurka, Ark Royal, Julia, Golden<br>Promise, 1 or 2 sprays timed as indicated in 200 l/ha. |                 |              |                 |                    |                        |

The value of autumn and spring sprays of diclobutrazol in winter barley was tested in the 1978/79 season in the UK. Results of one series of trials are shown in Table 6. Excellent control of autumn attacks of mildew were given by autumn sprays but yield increases were small. Severe *Rhynchosporium* in the spring was controlled well by a spring spray of a diclobutrazol/carbendazim mixture, with a large yield increase; diclobutrazol alone was less effective. However, the best yield increases (up to 20%) were given when autumn treatments were followed up by spring sprays. The dual requirements in winter barley of securing good autumn establishment and of protecting the resulting high-potential but vulnerable crops in the spring is emphasised by these results.

Autumn treatments gave a noticeable protection against winter-kill in many trials during the severe UK winter of 1978/79. In one very exposed trial, an autumn treatment with diclobutrazol controlled autumn mildew, greatly reduced severe winter-kill and increased yield by 37% (untreated: 2.76 t/ha). Addition of a spring spray of diclobutrazol/carbendazim, to control *Rhynchosporium*, heightened this increase to 59%. It is not clear whether the effect on winter-kill results only from disease control or also from some physiological response of the crop plants. In the same trial ethirimol seed treatment reduced winter-kill to a considerable extent, and gave a 22% yield increase rising to 52% with a spring over-spray of diclobutrazol/carbendazim. Moderate eye-spot infections occurred in some winter barley trials. These were not controlled by a spring spray of diclobutrazol at GS 30-31, but a diclobutrazol/carbendazim mixture gave 40-60% control.

It is not possible to include the many results obtained from applications at different rates of diclobutrazol; these have indicated 125 g/ha as a generally suitable rate of application to barley.

**Table 6**  
**Control of diseases in winter barley, UK 1978/79**

Composite data from 5 trials

| Treatment                        |                     | % Increase<br>in tillers/<br>plant | % Control of disease |        |                |        | Yield<br>increase<br>% |
|----------------------------------|---------------------|------------------------------------|----------------------|--------|----------------|--------|------------------------|
| Autumn<br>(GS21-26)              | Spring<br>(GS30-31) |                                    | Erysiphe graminis    |        | Rhynchosporium |        |                        |
|                                  |                     |                                    | (Autumn)             |        | secalis        |        |                        |
|                                  |                     |                                    |                      |        | (Spring)       |        |                        |
|                                  |                     |                                    | 14DAT1               | 28DAT1 | 14DAT2         | 42DAT2 |                        |
| Diclobutrazol                    | -                   | 16                                 | 98                   | 95     | 8              | 12     | 3.2                    |
| -                                | Diclobutrazol       | 0                                  | 0                    | 0      | 50             | 33     | 2.2                    |
| Diclobutrazol                    | Diclobutrazol       | 16                                 | 98                   | 95     | 41             | 22     | 8.0                    |
| Diclobutrazol)                   | -                   | 22                                 | 95                   | 94     | 1              | 1      | 6.2                    |
| Carbendazim )                    |                     |                                    |                      |        |                |        |                        |
| -                                | Diclobutrazol)      | 0                                  | 0                    | 0      | 67             | 63     | 9.2                    |
|                                  | Carbendazim )       |                                    |                      |        |                |        |                        |
| Diclobutrazol)                   | Diclobutrazol)      | 22                                 | 95                   | 94     | 61             | 54     | 20.0                   |
| Carbendazim )                    | Carbendazim )       |                                    |                      |        |                |        |                        |
| Triadimefon)                     | Triadimefon )*      | (13)                               | (91)                 | (93)   | (66)           | (57)   | (20.0)                 |
| Carbendazim)                     | Carbendazim )       |                                    |                      |        |                |        |                        |
| Diclobutrazol)                   | Diclobutrazol)*     | (23)                               | (91)                 | (95)   | (56)           | (36)   | (18.0)                 |
| Carbendazim )                    | Carbendazim )       |                                    |                      |        |                |        |                        |
| Untreated                        |                     | 0                                  | 0                    | 0      | 0              | 0      | 0                      |
|                                  |                     |                                    |                      |        |                |        |                        |
| Tillers/plant, disease level (%) |                     |                                    |                      |        |                |        |                        |
| and yield in untreated plots:    |                     | 3.8                                | 27.2                 | 30.2   | 11.8           | 14.2   | 4.15                   |
|                                  |                     | (3.2)                              | (24.9)               | (36.7) | (11.5)         | (14.2) | (4.00)                 |
| Leaf assessed:                   |                     |                                    | **                   | **     | 3              | 3      | t/ha                   |

\* Results from 3 trials only. Plots 25m x 2m, var. Maris Otter, at 125 g/ha for diclobutrazol and triadimefon sprays, 250 g/ha for carbendazim sprays (250 l/ha).

\*\* Youngest full leaf at GS2-3.

**Other crops** In small scale trials on several apple varieties, programmes of diclobutrazol sprays at 100-250 ppm have given good control of both powdery mildew (*Podosphaera leucotricha*) and scab (*Venturia inaequalis*) (e.g. Table 7). At some sites they caused a reduction in extension growth and a darkening of foliage. The factors controlling these effects are not clearly understood at present. Varietal and climatic factors seem to be involved, and there are indications that the incidence of the growth effects is lower in warmer climates.

Control of coffee rust (*Hemileia vastatrix*) by application before and after infection, has been obtained in field trials on young bushes in India and Brazil (e.g. Table 8). Protection persisted for several weeks, but further trials are needed to establish the practical benefits of diclobutrazol spray programmes over standard schedules. No phytotoxicity was observed.

Excellent control of powdery mildew attacks on grape-vine, cucurbits and peppers in the absence of phytotoxicity or plant growth effects, has been observed in some preliminary trials, and further experiments are warranted.

Table 7  
Control of powdery mildew (*Podosphaera leucotricha*) and  
scab (*Venturia inaequalis*) in apples

| Treatment                                             | Rate<br>(ppm) | UK 1978<br>% Control of<br>powdery mildew                                                | France 1978<br>% Control of<br>leaf scab                                                   |
|-------------------------------------------------------|---------------|------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------|
| Diclobutrazol 50% wp                                  | 250           | 83B                                                                                      | 95A                                                                                        |
| " " "                                                 | 100           | 84B                                                                                      | 85A                                                                                        |
| Benomyl 50% wp                                        | 250           | 56C                                                                                      | 90A                                                                                        |
| Triadimefon 5% wp                                     | 250           | 99A                                                                                      | 62B                                                                                        |
| " " "                                                 | 100           | 93AB                                                                                     | 36B                                                                                        |
| Bupirimate 25% wp                                     | 100           | 95AB                                                                                     |                                                                                            |
| Untreated                                             |               | 0D                                                                                       | 0B                                                                                         |
| Disease level (% infected leaves) in untreated plots: |               |                                                                                          |                                                                                            |
|                                                       |               | 62.2                                                                                     | 82.1                                                                                       |
|                                                       |               | Single tree plots, MM2 rootstocks, 3 HV sprays applied at 14-day intervals from pink-bud | Single tree plots, MM2 rootstocks, 8 HV sprays applied at 14-day intervals from bud burst. |

Table 8  
Control of rust (*Hemileia vastatrix*) in coffee, India 1978

| Treatment                   | Rate<br>(ppm) | % Control of disease at 75DAT |                  |                   |                  |
|-----------------------------|---------------|-------------------------------|------------------|-------------------|------------------|
|                             |               | Eradicant test                |                  | Protectant test   |                  |
| Diclobutrazol               | 250           | 81                            | 93               | 97                | 99               |
| Oxycarboxin                 | 500           | 91                            | 97               | 93                | 99               |
| Untreated                   |               | 0                             | 0                | 0                 | 0                |
| Disease in untreated plots: |               | 47.3                          | 4.4              | 49.2              | 3.7              |
|                             |               | % diseased leaves             | lesions per leaf | % diseased leaves | lesions per leaf |

Single HV sprays were applied to 3 replicate branches, 3 days after spore inoculation (eradicant test) or 3 days before (protectant test).

#### Acknowledgements

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#### References

- BUCHENAUER, H. (1977). Mode of action and selectivity of fungicides which interfere with ergosterol biosynthesis. Proceedings 1977 British Crop Protection Conference - Pests and Diseases 3, 699-711.
- SHIVE, J. B.; SISLER, H. D. (1976). Effects of ancymidol and triarimol on the growth, sterols and gibberellins of *Phaseolus vulgaris* (L.) Plant Physiology 57, 640-644.

FIELD TRIALS WITH PROCYMIDONE AGAINST BOTRYTIS SPP. ON  
VARIOUS CROPS IN FRANCE

R. N. Durand

I.C.I. SOPRA, 8, avenue Réaumur, 92142 Clamart, France.

Summary Procymidone, N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide, is a new fungicide of low mammalian toxicity and safe in the environment. Its spectrum of activity concerns essentially the genera Botrytis and Sclerotinia. In field trials conducted for 3 years in France procymidone sprayed at 0.75kg a.i./ha, gave excellent control of these pathogens in grape-vines, lettuces, beans and strawberries.

Résumé Procymidone est un nouveau fongicide de faible toxicité pour les mammifères et sans incidence à l'environnement. Son spectre d'action concerne les genres Botrytis et Sclerotinia. Après les essais de plein champ durant trois ans en France, procymidone à 0.75kg m.a./ha a fait preuve d'une très bonne efficacité contre ces pathogènes sur les vignes, laitues, haricots verts et fraises.

INTRODUCTION

Procymidone is a new fungicide discovered by the Sumitomo Chemical Co. Ltd. and developed by I.C.I. SOPRA in France. Its spectrum of action covers mainly the diseases caused by the genera Botrytis and Sclerotinia. (Hattori, 1976; Hisada et al, 1976). French field trials began in 1975 on grape-vines, and in 1977 the testing was extended to other crops. The first registration for use against Botrytis on grape-vines was obtained in 1978 for a w.p. formulation, 'Sumislex', containing 50% a.i.

CHEMICAL AND PHYSICAL PROPERTIES

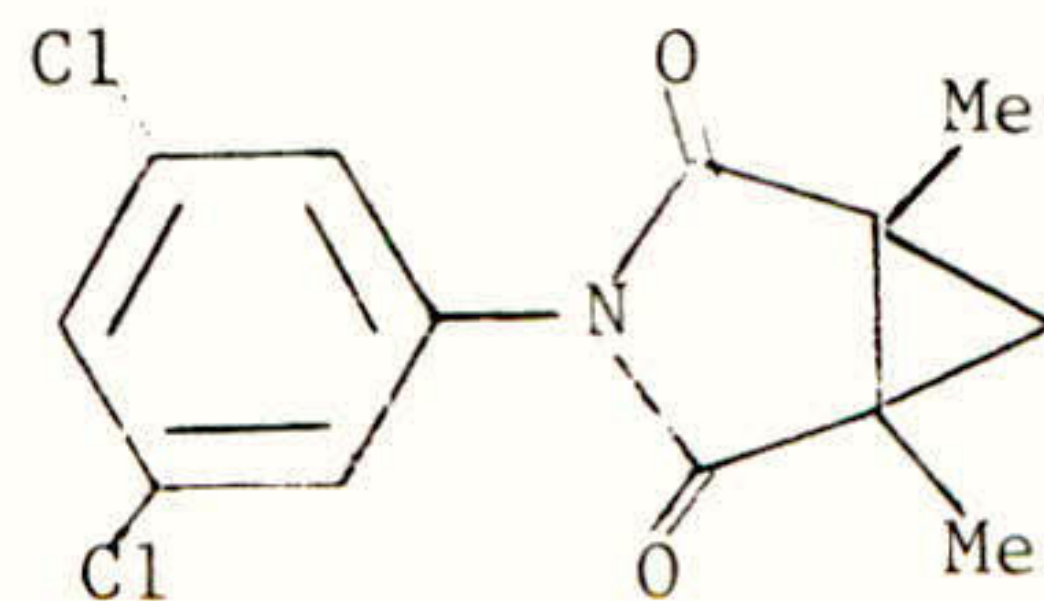
Chemical name: N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide

Code numbers: S7131, SP751011

Accepted BSI and proposed ISO common name: Procymidone

Molecular formula:  $C_{13}H_{11}Cl_2NO_2$

Structural formula:



Molecular weight: 284.1

Appearance: White crystalline solid

Melting point: 166°-166.5°C

Vapour pressure:  $1.32 \times 10^{-4}$  mmHg at 25°C

Solubility: At 25°C 4.5mg/l in water; soluble in organic solvents

Stability: Stable to light, heat and moisture

## TOXICOLOGY AND ENVIRONMENTAL BEHAVIOUR

### Acute toxicity

| Table 1 LD50(mg/kg) |        |      |                 |           |
|---------------------|--------|------|-----------------|-----------|
| Animal              | Sex    | Oral | Intraperitoneal | Cutaneous |
| Mouse               | Male   | 7800 | 1560            | > 2500    |
|                     | Female | 9100 | 1900            | > 2500    |
| Rat                 | Male   | 6800 | 850             | > 2500    |
|                     | Female | 7700 | 730             | > 2500    |

Procymidone causes no skin or eye irritation in laboratory animals. These results show that the product has a low mammalian toxicity and procymidone is exempt from the toxicity classification in France.

### Sub-chronic toxicity (90-day test)

The no-effect dietary level for dogs was 3000ppm.

### Chronic toxicity (2-year test)

Parameters examined included growth, chemical composition of the blood, hematology, urine analysis and ophthalmology. The no-effect level was 1000ppm for male rats and 300ppm for females.

### Mutagenesis, teratogenesis, effect on reproduction, allergy

No toxic effect has been observed.

### Metabolism

In animals, procymidone and its metabolites are very rapidly and totally excreted in the faeces and urine.

The persistence of the product in soil is from 4 to 12 weeks depending on the organic content.

### Toxicity to Wild Life

Bees: Procymidone is not toxic: no-effect dose is >100 µg/bee (contact and oral).

Fish: Lepomis macrochirus: LC50 (96h) 22.9ppm  
Salmo gairdneri: LC50 (96h) 3.6ppm

Birds: Duck: LD50 (male) >4000mg/kg  
LD50 (female) >4850mg/kg

Japanese quail: There was no mortality after administering 7,895mg/kg to males and 6,637mg/kg to females.

## BIOLOGICAL PROPERTIES

### Mode of Action

The work of Lafon (private communication) has shown that procymidone has a preventive action by inhibition of spore germination and more markedly by blocking mycelial growth. In addition a clear curative action has been demonstrated 48h after inoculation in the laboratory. On benzimidazole-resistant strains of Botrytis procymidone shows an activity comparable to that on a normal population.

### Spectrum of Activity

Procymidone is especially effective against Botrytis spp., Sclerotinia spp., Monilia spp., on grape-vines, beans, strawberries, lettuce, ornamental crops and stone-fruit trees.

## RESULTS OF FIELD TRIALS

### Grey mould on grape-vines

The action of procymidone on grey mould has been studied in greatest detail because of the importance of this disease on the grape-vine crop. After a preliminary survey in 1975 a complete programme of experiments was done by I.C.I. SOPRA in 1976, 1977 and 1978. The product has been applied at low volume (100-200 l/ha) on the standard schedule, i.e. applications at the 4 stages:

- A: end of flowering
- B: closing of the bunches
- C: changing of colour
- D: 3 weeks before harvest

We examined results from over a hundred field trials in which there was 20% minimum disease in the non-treated plots. Some of the results are shown in Tables 2-6.

When studied on a majority of French varieties procymidone has never caused visible damage on the leaves or grapes.

At 0.5kg a.i./ha procymidone is comparable to reference products while at 0.75kg a.i./ha its efficacy is clearly superior. A higher rate (1kg a.i./ha) only produces a slight improvement (Tables 2,3,4). Thus, 0.75kg a.i./ha constitutes a sufficient dose to obtain a remarkable efficacy. Similar results are obtained on the mould on stalks (Table 5). Observations of the percentage of healthy bunches (Tables 2,3,4) demonstrate the superiority of procymidone at 0.75kg a.i./ha. Its action at this level is particularly effective in limiting the infection potential in the vineyard. Table 3 shows the persistent action of procymidone; there was still excellent efficacy 5 weeks after the last treatment.

Observations of yield (Table 6) and alcoholic degrees, showed no significant differences between treatments. However, there was a marked increase in the quality of the fruit for wine manufacture compared to the untreated plots.

Twenty-four trials done in specialised laboratories showed that procymidone treatment was associated with a normal analytic composition of the wine, a good organoleptic quality (tested by Kramer's method), and a normal length and kinetics of fermentations.

Table 2 - 1976 trials

| Treatment     | Rate+<br>(kg a.i./<br>ha) | mean % infected berries |        |         | mean % healthy bunches |        |         |
|---------------|---------------------------|-------------------------|--------|---------|------------------------|--------|---------|
|               |                           | Aix 43                  | Aix 44 | Tlse 52 | Aix 43                 | Aix 44 | Tlse 52 |
| Untreated     |                           | 43.56c*                 | 24.1d  | 82.45d  | 1.6c                   | 30.3d  | 0.67d   |
| Procymidone   | 0.5                       | 6.71b                   | 5.63bc | 23.0b   | 52.3b                  | 57.8c  | 30.17b  |
| Procymidone   | 0.75                      | 0.74a                   | 2.15ab | 10.74a  | 84.2a                  | 85.0ab | 54.5a   |
| Procymidone   | 1.0                       | 0.59a                   | 1.52a  | 6.81a   | 84.8a                  | 89.5a  | 63.5a   |
| Dichlofluanid | 2.0                       | 4.45b                   | 8.62c  | 29.23b  | 51.6b                  | 73.8b  | 29.17b  |
| Vinclozolin   | 0.75                      | 5.78b                   | 3.43ab | 37.14c  | 55.2b                  | 78.8ab | 16.5c   |

\*Values with the same letter are not significantly different at  $P = 0.05$ , in all tables.

+ Formulations were 50% w.p., in all tables.

Table 3 - 1977 trials

|               |                          | mean % of infected berries at harvest |        |         |        |         |        |        |
|---------------|--------------------------|---------------------------------------|--------|---------|--------|---------|--------|--------|
| Trials:       |                          | Re 40                                 | Re 41  | Re 42   | To 74  | Tlse 25 | Aix 53 | Aix 88 |
| Dates:        |                          | j4+27                                 | j4+28  | j4+25   | j4+21  | j4+30   | j4+35  | j4+35  |
| Treatment     | Rate<br>(kg a.i./<br>ha) |                                       |        |         |        |         |        |        |
| Untreated     |                          | 20.80c                                | 27.91d | 18.25d  | 47.21c | 23.6d   | 38.59d | 20.69d |
| Procymidone   | 0.75                     | 1.33a                                 | 2.41a  | 1.05a   | 12.06a | 0.88a   | 2.41a  | 3.34b  |
| Procymidone   | 1.0                      | -                                     | 1.31a  | 0.68a   | 6.96a  | 0.60a   | 1.03a  | 0.86a  |
| Dichlofluanid | 2.0                      | 15.63c                                | 18.06c | 10.21c  | 31.64b | 16.58c  | 19.28c | 9.78c  |
| Vinclozolin   | 0.75                     | 3.55b                                 | 7.15b  | 2.90b   | 12.61a | 4.12b   | 9.27b  | 4.27b  |
|               |                          | mean % of healthy bunches at harvest  |        |         |        |         |        |        |
| Untreated     |                          | 25.50d                                | 23.83d | 39.33d  | 1.83d  | 15.50d  | 9.66e  | 7.0d   |
| Procymidone   | 0.75                     | 88.66a                                | 86.05a | 93.50ab | 37.13b | 89.83a  | 61.16b | 63.16a |
| Procymidone   | 1.0                      | -                                     | 90.00a | 95.50a  | 56.53a | 93.67a  | 74.16a | 73.33a |
| Dichlofluanid | 2.0                      | 40.46c                                | 41.83c | 57.66c  | 14.70c | 36.50c  | 31.16d | 20.50c |
| Vinclozolin   | 0.75                     | 71.61b                                | 69.50b | 89.66b  | 37.12b | 65.17b  | 46.50c | 41.16b |

j4: day of the 4th application of fungicide.

Table 4 - 1978 trials

| Treatment     | Rate<br>(kg<br>a.i./ha) | mean % infected berries |        |        |            | mean % healthy bunches |        |         |            |
|---------------|-------------------------|-------------------------|--------|--------|------------|------------------------|--------|---------|------------|
|               |                         | Re 151                  | Re 152 | Re 154 | Tlse<br>53 | Re 151                 | Re 152 | Re 154  | Tlse<br>53 |
| Untreated     |                         | 22.43d                  | 24.18c | 20.46d | 41.20c     | 31.33c                 | 35.33c | 41.33d  | 9.6c       |
| Procymidone   | 0.75                    | 0.93a                   | 2.20ab | 2.56a  | 3.63a      | 94.16a                 | 91.0a  | 85.66ab | 75.0a      |
| Procymidone   | 1.0                     | 0.73a                   | 1.0a   | 2.10a  | 2.60a      | 94.33a                 | 94.0a  | 90.33a  | 83.1a      |
| Dichlofluanid | 2.0                     | 17.03c                  | 22.68c | 10.78c | 15.95b     | 42.66c                 | 35.0c  | 60.0c   | 43.3b      |
| Vinclozolin   | 0.75                    | 2.98b                   | 4.63b  | 7.38bc | 11.56b     | 84.00b                 | 80.00b | 77.00b  | 50.60b     |

Table 5

| Treatment     | Rate<br>(kg<br>a.i./ha) | Mean % infected stalks |               |                  |
|---------------|-------------------------|------------------------|---------------|------------------|
|               |                         | Aix 43(1976)           | Aix 88 (1977) | Reims 152 (1978) |
| Untreated     |                         | 7.83c                  | 11.66b        | 37.83c           |
| Procymidone   | 0.75                    | 0a                     | 4.5a          | 28.33a           |
| Procymidone   | 1.0                     | 0a                     | 4.83a         | 33.0abc          |
| Dichlofluanid | 2.0                     | 0.66b                  | 11.66b        | 35.33bc          |
| Vinclozolin   | 0.75                    | 0a                     | 6.66ab        | 30.08ab          |

Table 6

| Treatment     | Rate<br>(kg<br>a.i./ha) | Yield (t/ha) 1977 trials |          |          |        |
|---------------|-------------------------|--------------------------|----------|----------|--------|
|               |                         | Reims 40                 | Reims 41 | Reims 42 | Aix 88 |
| Untreated     |                         | 9.6a                     | 13.7a    | 13.7b    | 13.0a  |
| Procymidone   | 0.75                    | 12.8a                    | 15.5a    | 15.9a    | 13.9a  |
| Procymidone   | 1.0                     | 11.1a                    | 16.5a    | 17.5a    | 13.9a  |
| Dichlofluanid | 2.0                     | 10.3a                    | 14.7a    | 15.8a    | 13.9a  |
| Vinclozolin   | 0.75                    | 12.8a                    | 16.3a    | 16.6a    | 12.6a  |

Twenty analyses of harvested grapes, treated with 0.75kg a.i./ha of procymidone at stages A, B, C and D (see above), were done. Usually their residue content varied between 2 and 3ppm. In grape juice and wine (18 analyses) the results were in the range 0.14 to 1.53ppm.

These results and the low toxicity of this product (the residue tolerance being 5ppm) indicate the safety of procymidone.

### Botrytis spp and Sclerotinia spp on lettuces

Trials done in 1977 and 1978 revealed a remarkable efficacy of procymidone at 0.75kg a.i./ha (Table 7).

Table 7. Lettuces, 1978 trials

| Treatment   | Rate (kg a.i./<br>ha) | Carp.11 | Carp.72 | Tours 101 | Tlse.48 |
|-------------|-----------------------|---------|---------|-----------|---------|
| Untreated   |                       | 72.2d*  | 86.4d   | 31.0      | 46.2c** |
| Procymidone | 0.75                  | 10.92ab | 7.02a   | 0.0       | 3.1a    |
| Procymidone | 1.0                   | 9.53a   | 7.03a   | -         | -       |
| Benomyl     | 0.4                   | 19.53b  | 41.34c  | 17.03     | 18.7b   |
| Vinclozolin | 0.75                  | 26.92c  | 35.72bc | 0.0       | 1.65a   |

\*Carp. 11, Carp. 72, Tours 101: percentage of Botrytis on leaves

\*\*Toulouse 48: percentage of dead plants with Sclerotinia

### Grey mould on French beans

Botrytis cinerea constitutes a very important menace to French bean production in Brittany and the Paris area. When used at the rate of 0.75kg a.i./ha, procymidone well controlled this disease in 1977 and 1978 (Table 8).

Table 8. French beans, 1978 trials

| Percentage of infected pods |                       |           |           |           |           |
|-----------------------------|-----------------------|-----------|-----------|-----------|-----------|
| Treatment                   | Rate (kg a.i./<br>ha) | Tours 126 | Tours 127 | Tours 213 | Tours 214 |
| Untreated                   |                       | 37.0      | 57.5      | 7.8b      | 8.0b      |
| Procymidone                 | 0.75                  | 3.0       | 4.5       | 3.5a      | 1.0a      |
| Benomyl                     | 0.4                   | 15.0      | 6.7       | -         | -         |
| Vinclozolin                 | 0.75                  | 2.0       | 2.0       | 3.2a      | 1.4a      |

### Grey mould on strawberries

Procymidone at 0.75kg a.i./ha showed an excellent action in all trials.

### White rot on garlic

A treatment of garlic bulbs with 0.075kg a.i./100kg has appeared very effective (Lafon, 1976, private communication).

### References

- HATTORI, J. (1976) Sumilex (Sumisclex). Japan Pesticide Information 29, 16-18.
- HISADA, Y; MAEDA, K; TOTTORI, N; KAWASE, Y. (1976). Plant disease control by N-(3',5'-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboximide. Journal of Pesticide Science 1, 145-149.