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Veröffentlichung im Amtsblatt  Ja/Nein  
Publication in the Official Journal  Yes/No  
Publication au Journal Officiel  Oui/Non

Aktenzeichen / Case Number / N° du recours : T 191/86 - 3.3.1

Anmeldenummer / Filing No / N° de la demande : 83 302 115.7

Veröffentlichungs-Nr. / Publication No / N° de la publication : 0 092 387

Bezeichnung der Erfindung: A fungicidal composition

Title of invention:

Titre de l'invention :

Klassifikation / Classification / Classement : A01N 47/04

### ENTSCHEIDUNG / DECISION

vom / of / du 23 June 1988

Anmelder / Applicant / Demandeur : SUMITOMO CHEMICAL COMPANY, LIMITED

Patentinhaber / Proprietor of the patent /  
Titulaire du brevet :

Einsprechender / Opponent / Opposant :

Stichwort / Headword / Référence :

EPÜ / EPC / CBE Art. 56

Schlagwort / Keyword / Mot clé : "Inventive step (denied) - Expected synergistic effect"

Leitsatz / Headnote / Sommaire

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Case Number : T 191 /86 - 3.3.1



**D E C I S I O N**  
of the Technical Board of Appeal 3.3.1  
of 23 June 1988

**Appellant :** SUMITOMO CHEMICAL COMPANY, LIMITED  
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**Decision under appeal :** Decision of Examining Division 001 of the  
European Patent Office dated 19 December 1985  
refusing European patent application  
No. 83 302 115.7 pursuant to Article 97(1) EPC

**Composition of the Board :**

**Chairman :** F. Antony

**Members :** C. Gérardin

G.D. Paterson

## Summary of Facts and Submissions

I. European patent application No. 83 302 115.7, filed on 14 April 1983, claiming the priority of an earlier Japanese application filed on 20 April 1982 and published under publication number 92 387 was refused by a decision of the Examining Division dated 19 December 1985.

II. The decision was based on the ground that the subject-matter of Claim 1 did not involve an inventive step with regard to the teaching of GB-A-2 046 260 (document (1)) and FR-A-2 332 707 (document (2)).

The application contained four claims filed on 15 August 1985, of which Claim 1 reads as follows:

"A fungicidal composition which comprises an inert carrier and as an active ingredient (E)-1-(2, 4-dichlorophenyl)-4, 4-dimethyl-2-(1,2,4-triazol-1-yl)-1-penten-3-ol and an N-haloalkylthioimide fungicide which is N-(trichloromethylthio)-4-cyclohexene-1,2-dicarboximide, N-(1,1,2,2-tetrachloroethylthio)-4-cyclohexene-1,2-dicarboximide or N-(trichloromethylthio)phthalimide in a ratio of 1:0.1 to 1:20 by weight, the total amount of active ingredient being 0.1 to 99.9% by weight."

The triazole compound will be referred to as compound (A) hereinafter and the three imide compounds as compounds (B).

III. In the decision it was stated that fungicidal compositions with a broad spectrum of activity were known from document (2); they combined an imide compound (B) as in the present application and a triazole compound (I) structurally

related to the triazole compound (A) according to the application-in-suit.

Fungicidal compounds including the specific compound (A) were described in document (1). This compound, which had an intrinsic activity superior to that of compound (I), could be mixed with other fungicides, especially with compounds (B), in which case a synergistic effect was to be expected.

The replacement of compound (I) by compound (A) in order to improve the activity of the fungicidal compositions disclosed in document (2) was therefore regarded as obvious.

IV. On 17 February 1986 the Applicant (Appellant) filed a notice of appeal against the decision to refuse the application and paid the prescribed fee. The arguments presented in the Statement of Grounds of Appeal filed on 22 April 1986 and during oral proceedings held on 23 June 1988 can be summarised as follows:

- (i) The fungicidal activities actually observed for those compositions described in document (2) combining compound (I) with a compound (B) did not bear out the claim to synergism; the skilled man would thus not have been inclined to improve such compositions.
  
- (ii) Compound (I) could not be regarded as closely related to compound (A); although both had a triazole ring, they differed by their structures in several respects, which resulted in a very different fungicidal effectiveness of compositions wherein they were combined with compounds (B).

(iii) The compositions described in document (2) were disclosed to be effective against a specific fungus which is not included in the list of fungi against which the triazoles disclosed in document (1), thus in particular compound (A), were effective. There would thus be no incentive for the skilled man to combine these two teachings.

(iv) Even the combination of these two documents would not lead to the invention, since nothing would suggest to select the specific compound (A) among all the compounds disclosed in document (1), or even among those known from Table 6 thereof. Moreover, although the prior art was aware of the existence of two geometrical isomeric forms, Z-form and E-form, the fungicidal activity of each of them was still unknown.

V. On 20 June 1988 the Appellant filed new Claims 4 to 9 as well as an auxiliary set of six claims, of which Claim 1, after amendment of a minor typing error, reads as follows:

"A fungicidal composition which comprises an inert carrier and as an active ingredient

(E)-1-(2,4-dichlorophenyl)-4,4-dimethyl-2-(1,2,4-triazol-1-yl)-1-penten-3-ol and N-

(trichloromethylthio)-4-cyclohexene-1,2-dicarboximide in the ratio of 1:1-1:10 by weight in a total amount of 1 to 99% by weight."

VI. At the oral proceedings held on 23 June 1988, the Appellant requested as his Main Request that the decision under appeal be set aside and that a patent be granted on

the basis of Claims 1 to 3 filed on 17 August 1985 and Claims 4 to 9 filed on 20 June 1988, or as an Auxiliary Request, on the basis of Claims 1 to 6 filed on 20 June 1988.

#### Reasons for the Decision

1. The appeal complies with Articles 106 to 108 and Rule 64 EPC and is, therefore, admissible.

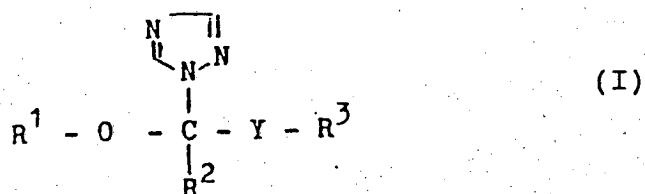
#### Main Request

2. There are no formal objections on the basis of Article 123(2) EPC to the current version of the claims since they do not extend beyond the content of the application as filed.

Claim 1 results from the combination of original Claims 1 and 4, and Claims 2 and 3 correspond to the original claims with same numbers. Claim 4 is a particular embodiment of Claim 1 limited to the use of one of the specific N-haloalkylthioimides disclosed in original Claim 4. Claim 5 corresponds essentially to the original Claim 5. The treatment of cucumber gray mold infection or cucumber downy mildew infection as well as of wheat leaf blight infection according to Claims 6 and 7 is supported by Test Examples 1 to 3 (page 7, line 18 to page 15 of original description). The specific prevention or control of *Venturia inaequalis* infection on apples and *Venturia nashicola* infection on pears according to Claims 8 and 9 is disclosed on original page 2, lines 23 to 25.

3. The application relates to a fungicidal composition. In the Board's view, the closest state of the art is represented by document (2) which describes fungicidal

compositions containing 1,2,4-triazol derivatives,  
of the formula



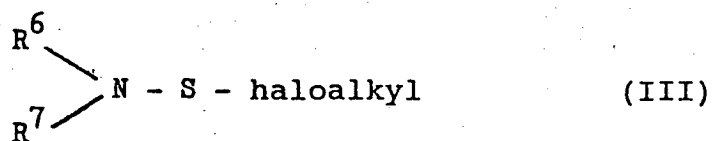
wherein R<sup>1</sup> is a phenyl group, optionally substituted by a halogen atom, a nitro group, a trifluoromethyl group, an alkyl group with 1 to 6 carbon atoms or an alkoxy group having up to 4 carbon atoms;

R<sup>2</sup> is a hydrogen atom, an alkyl group having up to 4 carbon atoms or a phenyl group;

R<sup>3</sup> is an alkyl group having up to 6 carbon atoms, a cycloalkyl group with 5 or 6 carbon atoms, a phenyl group or a 4-chlorophenyl group;

Y is selected from among CO, C=N-OH, C(OH)<sub>2</sub> and CH(OH) (page 2, lines 12 to 27);

in combination with, inter alia, polyhaloalkylthio compounds of the formula



wherein R<sup>6</sup> and R<sup>7</sup> each are an alkyl, aryl, alkylcarbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, amidosulfonyl, alkylamidosulfonyl, dialkylamidosulfonyl, amidocarbonyl,

alkylamidocarbonyl or dialkyldiamidocarbonyl group, or they may represent together divalent residues which together with the nitrogen atom shown form an optionally substituted heterocycle; the haloalkyl group having 2 to 5 halogen atoms and up to 2 carbon atoms (page 3, lines 7 to 19).

The fungicidal activity of these combinations of compounds (I) and (III) is said to be generally greater than that of each of the individual components as well as greater than that resulting from a merely additive effectiveness (page 4, lines 21 to 24).

4. In the light of this prior art the problem underlying the present application can be seen in proposing a fungicidal composition with enhanced effectiveness without reducing the spectrum of protective activity conferred by compounds (III).

To solve this problem, the present application provides compositions combining one of three specific compounds (B) encompassed by formula (III) and specifically mentioned among a group of five compounds in document (2) (page 6, lines 7 to 22) with the specific triazole compound (A) referred to in Claim 1. The three compounds (B) are referred to as Captan, Captafol and Folpet. In view of the numerous experimental data given in the application and submitted during the examination proceedings as well as at the appeal stage and which show a marked synergistic effect, the Board is satisfied that this proposal does indeed solve the above technical problem.

5. After examination of the cited documents the Board has reached the conclusion that this technical teaching is not disclosed in either of them and the subject-matter is, therefore, novel. Since the Examining Division has not

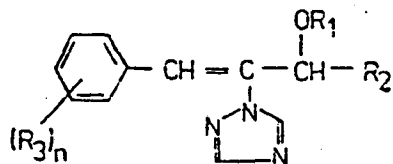


challenged novelty, further considerations in this respect are superfluous.

6. It still remains to be examined whether, starting from the prior art in accordance with document (2), the subject-matter of the application involves an inventive step in the light of the state of the art.

6.1 In order not to impair the broad spectrum of protective activity conferred by compounds (B), any attempt to improve the effectiveness of the fungicidal compositions known from document (2) by combining compounds (I) and (B) would lead the skilled man to look for fungicides which are intrinsically at least as effective as compounds (I), compatible with compounds (B) and likely to give rise to synergism therewith. Compounds meeting these three requirements are described in document (1).

The compounds of document (1) are 1-substituted 1-triazolylstyrenes with the following formula



wherein  $R_1$ , is a hydrogen atom, an alkyl group with 1 to 4 carbon atoms, an alkenyl group with 3 or 4 carbon atoms or a propynyl group;  $R_2$  is an alkyl group with 1 to 6 carbon atoms, a cyclopropyl or a 1-methylcyclopropyl group; each  $R_3$  is a halogen atom, an alkyl group with 1 to 4 carbon atoms, a halogen-substituted alkyl group with 1 to 3 carbon atoms, an alkoxy group with 1 to 4 carbon atoms, a phenoxy, phenyl, cyano or nitro group;  $n$  is an integer of 0 to 3; and the term halogen means chlorine, bromine and fluorine atoms (page 1, lines 6 to 20).

These compounds are said to have far superior properties as compared with prior art agricultural chemicals (page 3, lines 15/16); in this regard a compound of formula (I) is mentioned as a well-known reference compound (page 47, line 56; page 50, line 14). It is further specified that these compounds may be applied in mixtures with other fungicides, such as Captan and Captafol, without lowering the controlling effect of each active ingredient of the mixture (page 36, lines 3 to 9). Furthermore, a synergistic effect owing to mixing is said to be expected (page 36, line 53). These properties correspond exactly to the above defined requirements. In an attempt to solve the above technical problem, in the judgement of the Board it was, therefore, obvious to try the combination of a compound (B) with one of the compounds of document (1).

- 6.2 Although formula (I) encompasses a large number of compounds, as is apparent from the various possible meanings of the substituents and of n, nevertheless an empirical approach would actually point at a very small number of compounds; for, when looking for a particularly suitable compound to be used in a combination product, the person skilled in the art would in the first place look for compounds which are particularly active as such.

Test Example 4 (beginning on page 46, line 61), wherein examination of infection and calculation of the control of disease are carried out on infected seedlings with various fungicides, provides a first pointer. According to Table 6 on page 47 only ten compounds (1 to 3 and 26 to 33) ensure 100% control of disease at the three concentrations of 100, 20 and 5ppm of active ingredient. These ten compounds are therefore clearly the most promising to try in combination with a compound (B).

Furthermore, test Example 1 (beginning on page 36, line 58) indicates the fungitoxic effect of the same test compounds evaluated for generally 8 to 10 different fungi in four ratings A, B, C and D corresponding respectively to 100%, 90% or more, 50 to 89% and 40% or less of growth inhibition. According to Table 3 on pages 38 to 44, only four out of a large number of tested compounds (1 to 3 and 27) ensure absolute growth inhibition (rating A) for at least 8 different fungi.

Thus only four of the tested compounds are rated highly in each of test Examples 1 and 4.

- 6.3 This means that the skilled man was directed by document (1) towards four main candidates to be tested. Moreover, in accordance with page 36, line 53 of document (1) he could expect that one or more of the resulting combinations with compounds (B) would exhibit a synergistic effect and would still display the whole spectrum of activity of compounds (B). The final selection of the most suitable compound from this small group did not require more than a very small number of obvious routine experiments. Under these no doubt rather rare circumstances, in the Board's view it must be concluded that it was obvious for a skilled man, faced with the relevant problem, having regard to the state of the art, to try each of the four best compounds indicated by the test results in document (1) in combination with a compound (B), with a reasonable expectation that synergism would occur.

In fact, compound No. 2 in each of test Examples 1 and 4 of document (1) is the triazole (A) to be used in accordance with the application-in-suit.

Although in many cases a synergistic effect is unpredictable and surprising, in an exceptional case such as the present, in the Board's judgement there was therefore no inventive step required to choose the combination of the triazole (A) with a compound (B), in spite of the quite marked synergistic effect which is found with such combination, because some synergistic effect would have been reasonable to expect.

- 6.4 The various arguments based essentially on selection and synergism put forward by the Appellant cannot outweigh this conclusion.
- 6.4.1 Whether or not compound (A) and compound (I) should be regarded as closely related on the basis of a common triazole group is actually irrelevant. As stated above, the choice of compound (A) would be made merely on the basis of the effectiveness of its combinations with compounds (B), not in view of structural differences or resemblances with compound (I).
- 6.4.2 Likewise irrelevant, for the same reason, is that every triazole of formula (I) in document (1) has two geometrical isomer forms, Z-form and E-form. Since these can easily be distinguished from each other by melting point, NMR spectrum and gas chromatography, which are all standard tests, or even better by their starting material (page 1, lines 37 to 39), their characterisation cannot contribute to the inventiveness of the final selection from the last group of compounds.
- 6.4.3 The partial absence of synergism in document (2) is not conclusive as to the question of inventive step in the application. It is accepted that Table B on page 17 does not show unambiguously that the results obtained from a combination of compound (I) and compound (B) are

significantly better than what would be expected on the basis of a merely additive effect. In reality, whether synergism is present or not in the prior art compositions is of little importance since their effectiveness is regarded as insufficient.

- 6.4.4 The synergism of the claimed compositions abundantly demonstrated by the numerous comparative examples provided by the Appellant has never been disputed. The fact is that synergism was expected.

Although the expectation of a synergistic effect is only mentioned in document (1) within a paragraph which in its previous sentence is dealing with insecticides (page 36, lines 43 to 53), the Board cannot accept the restrictive interpretation made by the Appellant which consists in separating the insecticides from the fungicides and the herbicides disclosed in the previous paragraph (page 36, lines 5 to 42). This approach would not be in line with the description in general wherein no distinction is made between the various agricultural chemicals used individually (page 3, lines 14 to 17) or in combination (page 36, lines 3 to 5); moreover, the distinction between herbicides and insecticides regarding synergism would mean that the phosphorothioates listed as herbicides (page 36, lines 34 to 37) are fundamentally different from the phosphorothioates listed as insecticides (page 36, lines 45 to 49), which the Appellant has never demonstrated and which would be surprising.

- 6.4.5 Although the composition containing compound (I) and a compound (B) is used according to Example B of document (2) against a particular fungus, namely *Fusicladium dendriticum*, which is not mentioned in the list of fungi against which the triazoles according to document (1) are effective (page 3, lines 18 to 46), this would not prevent

the skilled man from combining the teachings of these two documents. Document (2) should not be reduced to the scope of a specific embodiment, but should be regarded as a whole. The fact that several fungi quoted in document (2) (page 10, line 34 to page 11, line 20) are to be found as well in document (1) already suggests a similarity in the field of applications; besides, these lists are not limitative and the fungi quoted are only given as examples.

7. Claim 5, although of a different claim category, is based on the same concept as Claim 1 and thus is lacking in inventive step as well. Claims 2 to 4 and 6 to 9 merely relate to preferred embodiments of the subject-matter of Claims 1 and 5, respectively and must equally fall, also considering that a given request can only be allowed or refused as a whole.

#### Auxiliary Request

8. The wording of Claims 1 to 6 according to the Auxiliary Request is adequately supported by the original disclosure and no objection is raised having regard to Article 123(2) EPC.

The scope of Claim 1 differs from the one according to the Main Request in that it is limited to the specific combination of compound (A) with Captan which is one of the three compounds (B) mentioned in original Claim 4; to the preferred (A):(B) weight ratio of original page 2, line 10; and to the total fungicide weight range of original Claim 3. Claims 2 to 6 correspond to Claims 5 to 9 of the Main Request and are therefore formally acceptable for the reasons given in point 2 above.

9. The grounds given against the allowability of the Main Request apply equally against the Auxiliary Request. The combination of compound (A) with precisely Folpet cannot be regarded as an inventive selection; neither does it represent the selection of one element from each of two separate lists or groups of compounds, because one "list" consists of one element only, namely (A); nor has existence of a special effect been demonstrated for the combination of (A) with Folpet as against its combination with Captan or Captafol.
  
10. These arguments apply as well to dependent Claims 2 to 6 which merely represent preferred embodiments of the compositions according to Claim 1 and thus fall with it.

Order

For these reasons, it is decided that:

The appeal is dismissed.

The Registrar:



The Chairman:



CG

