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D E C I S I O N
of 3 June 1997

Case Number: T 0536/95 - 3.3.1

Application Number: 85307108.2

Publication Number: 0178826

IPC: C07C 69/734

Language of the proceedings: EN

Title of invention:
Fungicides

Patentee:
ZENECA LIMITED

Opponent:
Imperial Chemical Industries PLC
BASF Aktiengesellschaft, Ludwigshafen
Novartis AG Patent and Trademark Dept.

Headword:
Fungicides/ZENECA

Relevant legal provisions:
EPC Art. 54(2), 111(1)

Keyword:
"Novelty (yes) - arbitrary combination of substituents mentioned in prior art not implicitly disclosed in that prior art"

Decisions cited:
T 0167/84, T 0026/85, T 0666/89, T 0012/90

Catchword:
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Case Number: T 0536/95 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 3 June 1997

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Decision under appeal: Decision of the Opposition Division of the European Patent Office posted 2 May 1995 revoking European patent No. 0 178 826 pursuant to Article 102(1) EPC.

Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
S. C. Perryman

Summary of Facts and Submissions

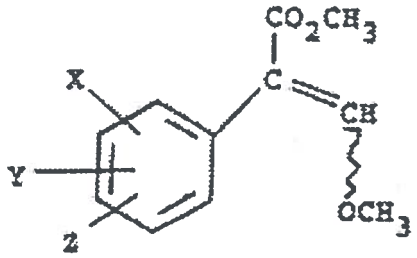
I. This appeal is from the Opposition Division's decision revoking European patent No. 0 178 826, which was granted on the basis of European patent application No. 85 307 108.2, filed on 3 October 1985.

II. The Opposition Division found that it was not made credible that instructions given in the patent in suit were not sufficient to prepare the compounds defined in Claim 1 according to the then pending main and auxiliary requests and that Claims 1 to 4 according to those requests were novel over document (1), a dissertation by Georg Schramm, but, according to the principle laid down in T 12/90 of 23 August 1990, not over document (7), an English translation of part of JP-A-82/176981.

III. During oral proceedings, held on 3 June 1997, the Appellant filed, as a main request, a set of 29 claims for the contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE and a set of 20 claims for the contracting state AT. Those sets of claims corresponded with the sets of claims according to the first auxiliary request as summarised in the letter of 22 May 1997.

It was contested to the last in the oral proceedings that the following Claims 1, 18 and 22 of the set of claims for the contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE according to the main request were novel over documents (1) and (7):

"1. Compounds having the general formula (Ia):

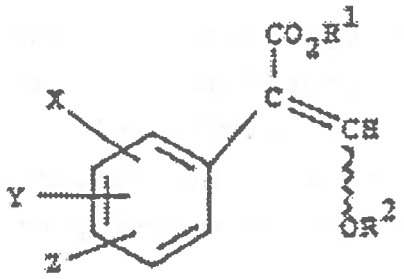


(Ia)

and stereoisomers thereof, wherein X, Y and Z, which may be the same or different, are hydrogen or halogen atoms, or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, alkoxy, haloalkoxy, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted arylazo, acylamino, nitro, nitrile, $-CO_2R^3$, $-CONR^4R^5$, $-COR^6$, $-CR^7=NR^8$ or $-N=CR^9R^{10}$ groups; or the groups X and Y, when they are in adjacent positions on the phenyl ring, may join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; or when Y is hydrogen, fluoro, chloro, methyl, nitro, 5-trifluoromethyl, 5-methylsulphenyl or 4-N,N-dimethylamino and Z is hydrogen, or when Y is 3-nitro and Z is 5-chloro or 5-nitro, or when Y is 4-methoxy and Z is 5-methoxy, or when Y and Z together form 4,5-methylenedioxy, X, which is in the 2-position of the phenyl ring, is hydroxy, methylsulphenylmethoxy, phenylsulphenylmethoxy, cyclohexyloxy, allyloxy, methallyloxy, (E)-phenylallyloxy, (E)-crotyloxy, 2-tetrahydropyranyloxy, pyridyloxy, 2-(5'-CF_3-pyridyl)oxy or pyrimidinyloxy; or when Y and Z are both hydrogen, X is 2-phenylsulphenyl, 2-phenylsulphinyl or 2-phenylsulphonyl; provided that X, Y and Z are not all hydrogen, that when X and Y are both hydrogen Z is not 2-benzoylamino, **2-methoxy, 3-methoxy, 4-chloro,**

4-methyl, 4-methoxy, 4-ethoxy, 4-nitro or 4-phenyl and that when X is hydrogen and Y is 3-methoxy Z is not 4-methoxy; and R^3, R^4, R^5, R^6, R^7, R^8, R^9 and R^{10}, which may be the same or different, are hydrogen atoms or alkyl, cycloalkyl, alkenyl, alkynyl, optionally substituted aryl, optionally substituted aralkyl, or cycloalkylalkyl groups; and metal complexes thereof." (emphasis added)

"18. A fungicidal composition comprising, as an active ingredient, a compound having the general formula (I):

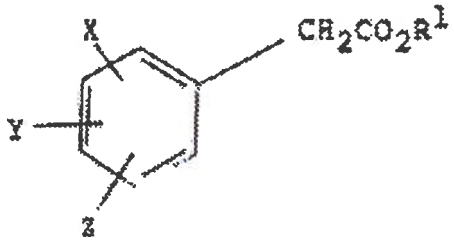


(I)

and stereoisomers thereof, wherein X, Y and Z, which may be the same or different, are hydrogen or halogen atoms, or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, alkoxy, haloalkoxy, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted arylazo, acylamino, nitro, nitrile, $-CO_2R^3$, $-CONR^4R^5$, $-COR^6$, $-CR^7=NR^8$ or $-N=CR^9R^{10}$ groups; or the groups X and Y, when they are in adjacent positions on the phenyl ring, may join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; or when R^1 and R^2 are both methyl, Y is hydrogen, fluoro, chloro, methyl, nitro, 5-trifluoromethyl, 5-methylsulphenyl or 4-N,N-dimethylamino and Z is hydrogen, or Y is 3-nitro and Z is 5-chloro or 5-nitro, or Y is

4-methoxy and Z is 5-methoxy, or Y and Z together form 4,5-methylenedioxy, X, which is in the 2-position of the phenyl ring, is hydroxy, methylsulphenylmethoxy, phenylsulphenylmethoxy, cyclohexyloxy, allyloxy, methallyloxy, (E)-phenylallyloxy, (E)-crotyloxy, 2-tetrahydropyranyloxy, pyridyloxy, 2-(5'-CF^3-pyridyl)oxy or pyrimidinyloxy; or when R^1 and R^2 are both methyl and Y and Z are both hydrogen, X is 2-phenylsulphenyl, 2-phenylsulphinyl or 2-phenylsulphonyl; and R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^8, R^9 and R^{10}, which may be the same or different, are hydrogen atoms or alkyl, cycloalkyl, alkenyl, alkynyl, optionally substituted aryl, optionally substituted aralkyl, or cycloalkylalkyl groups; or a metal complex thereof; and a solid carrier or liquid carrier containing a wetting, dispersing or emulsifying agent."

"22. A process for preparing compounds as claimed in any one of claims 1 to 17 and the compound used in the composition claimed in claim 18 **other than the compounds having the general formula (I) in which R^1 is methyl or ethyl, R^2 is methyl and X, Y and Z are all hydrogen, and in which R^1 and R^2 are both methyl, X and Y are both hydrogen and Z is 4-chloro, 4-methoxy or 4-phenyl**, which comprises bringing into reaction a compound of general formula (VII):



(VII)

wherein X, Y. Z and R^1 are as defined before but R^1 is not a hydrogen atom, with a base and a compound having the general formula HCO_2R^1 wherein R^1 is as defined before, but is not a hydrogen atom, and then in the same reaction vessel, or in a separate step with a base present, bringing the resulting compound into reaction with a compound of general formula R^2Q wherein R^2 is as defined before and Q is a leaving group." (emphasis added)

IV. The Appellant (Patentee) argued that the principle laid down in T 12/90 was not applicable to the present case, since the compounds defined in Claim 1 could be derived from compound (III) in document (7) only by selecting one functional group out of each of the three variable groups thereof and there was no general teaching to combine the three functional groups. Since the compounds exemplified in document (7) and being embraced within the claimed scope were accidental anticipations, novelty could be established by disclaiming only those specific exemplified compounds.

Additionally, he submitted that the fungicidal compositions of Claim 18 and the process of Claim 22 met the requirement of novelty over documents (1) and (7).

V. The Respondents (Opponents) alleged that neither Claim 1 nor Claim 18 or Claim 22 were novel, since

(i) methyl esters of 2-phenyl-beta-methoxyacrylic acids having the phenyl group substituted were generally disclosed by compound (III) in document (7) and implicitly disclosed by document (1),

(ii) compositions containing a compound having the general formula (I), a carrier and a wetting, dispersing or emulsifying agent were implicitly described in document (1) and

(iii) a process for preparing the compounds specifically exemplified in document (7) and being embraced within the defined scope were not excluded from Claim 22.

VI. During the oral proceedings Respondent II (Novartis) filed document (8), Fungi on plants and plant products in the United States, APS Press, The American Phytopathological Society, St. Paul, Minnesota USA.

VII. The Appellant requested that the decision under appeal be set aside and that the patent be maintained on the basis of the main request submitted at the oral proceedings on 3 June 1997 or as auxiliary requests on the basis of the second, third, fourth or fifth auxiliary requests as summarized in the letter of 22 May 1997.

The Respondents requested that the appeal be dismissed.

VIII. At the end of the oral proceedings it was announced that the claims according to the main request were considered to be novel over each of the documents (1) and (7) and the case remitted to the first instance for further consideration.

Reasons for the Decision

1. The appeal is admissible.

2. Since it was not contested anymore that the instructions given in the patent in suit were sufficient to prepare the compounds defined in Claim 1 and since the Board has no reason to question this, it is not necessary to give detailed reasons therefor.

3. The Board is satisfied that the disclaimers defined in the emphasized parts of Claims 1 an 22 are formally acceptable. Since this was never contested, there is also no need to give detailed information therefor.

4. *Novelty*

4.1 Claim 1 for the contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE

Compounds having the general formula (Ia) as defined in Claim 1 wherein X and Y are both hydrogen and Z is hydrogen, 4-chloro, 4-methoxy or 4-phenyl, which were disclosed in document (1) as compounds 11d, 75d, 76d and 101 on pages 11, 69 and 85, as well as those wherein X and Y are both hydrogen and Z is 4-methyl, 2-methoxy, 3-methoxy, 4-nitro or 4-ethoxy and those wherein X is hydrogen, Y is 3-methoxy and Z is 4-methoxy, which were disclosed in examples 1, 2, 3, 6, 8 and 9 of document (7), are specifically excluded by the disclaimer in Claim 1 (see the emphasized part).

The Respondents contested, however, that such disclaimer would be sufficient for making Claim 1 novel over both documents.

4.1.1 The Respondents argued that it may be derived from document (1) that the respiration of fungi is inhibited by *Strobilurin A* and *Strobilurin B* (first paragraph of page 19), that such activity is also found in 2-phenyl-beta-methoxyacrylic acid methyl ester and that the activity is increased in its analogs having substituents on the phenyl group (page 68; page 69, first paragraph; page 70, first paragraph, in combination with the antimicrobial data in Table 13, pages 92 to 95, and the paragraph bridging pages 98 and 99). Since in a dissertation the chemical compounds are usually not defined by general formulas, as it is the case in patent applications, the chemical compounds mentioned therein are to be considered as representative individuals of a group of compounds and, consequently, document (1) is to be interpreted as disclosing not only the compounds specifically mentioned therein but 2-phenyl-beta-methoxyacrylic acid methyl ester and its analogs wherein the phenyl ring is substituted in general.

4.1.2 However, the Board cannot accept this argumentation, since in the passages referred to by the Respondent only 2-phenyl-beta-methoxyacrylic acid methyl ester and its analogs having the phenyl ring substituted in its para position with a chloro atom or a methoxy- or a phenyl-group have been described and according to the jurisprudence of the Boards of Appeal of the EPO for assessing novelty the teaching of a document, independent of its nature, is not to be interpreted as embracing equivalents not disclosed in that document (see T 167/84, OJ EPO 1987, 369, reasons 6. and T 517/90 of 13 May 1992, reasons 3.2).

4.1.3 For substantiating its argumentation the Respondent referred to the decisions T 26/85 (OJ EPO 1990, 22), T 12/90 of 23 August 1990 and T 666/89 (OJ EPO 1993, 495).

However, the fact that in the present case in assessing novelty the Board does not consider equivalents to be implicitly disclosed when they are not explicitly disclosed in a prior art document is not in contradiction with the principles set out in any of those decisions.

In T 666/89 it is said that the evaluation of novelty must not be confined to a comparison of the claimed subject-matter with only the examples of a citation, but must extend to all the information contained in the earlier document (see point 5. of the reasons). In that case, however, the prior art document was a patent application containing examples and a general teaching. The fact that in that case the Board concluded that in assessing novelty not only the examples but also the general teaching is to be considered is irrelevant for the present case, since document (1) does not contain such general teaching.

In T 26/85 it is said that, if overlapping ranges of a certain parameter exist, it is a realistic approach in assessing novelty to consider whether a person skilled in the art would seriously contemplate applying the technical teachings of the prior art document in the range of overlap (see point 9. of the reasons) and in T 12/90 it is said that a prior art document destroys the novelty of a claim also if a general teaching of a subgroup claimed is not literally but only implicitly described therein (see point 2.5 of the reasons).

Both decisions are, however, irrelevant for the present case, since there is no overlap between the teaching of document (1) and the scope of Claim 1, from which the four compounds described in document (1) have been disclaimed.

4.1.4 Both Respondents submitted that Claim 1 is not novel over the disclosure of compound (III) described on page 4 of document (7) and having the formula $R^2C(COOR^4)=CHR^3$, wherein R^2 signifies an aryl group, which may have substituents selected from among nitro, amino, hydroxy, alkoxy and aralkoxy, or a pyridyl group (page 1, lines 11, 12 and 19 to 21), R^3 signifies an alkoxy group and $COOR^4$ signifies an esterified carboxyl group (page 5, first paragraph). More particularly, they alleged that, according to the principle described in T 12/90, present Claim 1 could only be made novel over document (7) by disclaiming the complete general teaching of compound (III) and not by only disclaiming those compounds embraced within the claimed scope, which are specifically mentioned in the examples.

4.1.5 However, it was of the essence in T 12/90 that the specific combination of substituents, as defined in the claim underlying that decision, was disclosed in a prior art document, since the Board found in that case that the said combination was implicitly disclosed in the prior art document and, consequently, was not the result of an arbitrary combination of specific substituents selected out of several groups of substituents, (see especially reasons 2.5 and 2.11). Therefore, the Board came to the conclusion that, in order to make the claims novel, the complete teaching overlapping with the claims had to be removed.

4.1.6 Consequently, in order to decide whether the principle laid down in T 12/90 is applicable in the present case, the question arises whether the presently claimed compounds were implicitly disclosed in document (7) or whether those compounds could be derived therefrom only by an arbitrary combination of possible substituents mentioned for R^2, R^3 and R^4 in compound (III).

The compounds of formula (III) are described in document (7) as starting materials for preparing dihydropyrimidine compounds by a cyclisation reaction, wherein the R^3 substituent and the OR^4 substituent function as leaving groups. Since those leaving groups only have an effect on the cyclisation reaction and do not influence the substitution of the dihydropyrimidine compounds, in the enumeration of the possible alkoxy groups and esterified carboxyl groups in the paragraph bridging pages 5 and 6 each group must be considered as being equivalent, without any group being preferred.

4.1.7 Since, in order to come to the claimed compounds, in compound (III) of document (7) R^3 has to be selected as methoxy and $COOR^4$ has to be selected as methoxycarbonyl and there is nowhere in that document any **general teaching** to make this specific selection, let alone, to use a compound of formula (III) wherein R^3 is methoxy and $COOR^4$ is methoxycarbonyl, the Board comes to the conclusion that in document (7) there is no general teaching leading to the presently claimed compounds and, consequently, starting from document (7) a skilled person could come to the claimed compounds only by an arbitrary and accidental combination of the substituents.

4.1.8 Also the Respondent's argument that compounds of formula (III) wherein R^3 is methoxy and $COOR^4$ is methoxycarbonyl are disclosed in document (7), since in the enumerations in the paragraph bridging pages 5 and 6 those groups are mentioned as the first candidates, cannot be accepted, since it is common practice in enumerating chemical substituents to start with the lowest homolog and subsequently mention the higher ones. This does, however, not mean that in any such enumeration the lowest homolog is necessarily the preferred one.

4.1.9 Consequently, the principle described in T 12/90 is not applicable to the present case and by specifically disclaiming the compounds embraced within the scope of Claim 1 which are mentioned in the examples, Claim 1 is novel over document (7).

Consequently, the Board comes to the conclusion that Claim 1 is novel over the teaching of documents (1) and (7).

4.2 Claim 18 for the contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE

The Respondents also contested that Claim 18, defining fungicidal compositions containing a compound of formula (I) or a metal complex thereof and a solid carrier or liquid carrier containing a wetting, dispersing or emulsifying agent, would be novel over document (1), more particularly, over the compositions used for obtaining the antimicrobial data in Table 13, especially the data presented in the last column.

However, this mere allegation has never been substantiated by any evidence illustrating that, for obtaining the antimicrobial data in Table 13 of

document (1) a wetting, dispersing or emulsifying agent is used in the said compositions. In the absence of such evidence the Board finds that it has not been made credible that document (1) destroys the novelty of Claim 18.

This finding cannot be influenced by the fact whether or not it has been made credible by reference to document (8) that the wording of Claim 18 embraces any kind of fungicidal compositions. On the one hand, there is no information available that this document (8), which was said to represent the common general knowledge, belongs to the state of the art, and, on the other hand, this document could not have any impact on the Board's finding that it was not made credible that for obtaining the antimicrobial data in Table 13 of document (1) a wetting, dispersing or emulsifying agent was used, in the absence of any information in this respect.

4.3 Claim 22 contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE

Finally, the Respondents contested that Claim 22 would meet the requirement of novelty, since the compounds described in document (7) were not excluded by a disclaimer.

However, since document (7) is silent about any process for preparing the compounds of formula (III) and Claim 22 concerns **a process** for preparing the compounds defined therein, the Board finds that Claim 22 is novel over document (7).

Moreover, by the disclaimer defined in the emphasized part of Claim 22 the claim is made novel over the teaching of document (1), which was not contested by the Respondents.

5. The Board is satisfied that none of the cited prior art documents destroys the novelty of the other claims for the contracting states BE, CH, DE, FR, GB, IT, LI, LU, NL and SE according to the main request and the novelty of the claims for the contracting state AT according to the main request. Since this was not contested, it is not necessary to give detailed reasons for this finding.

6. Since the decision of the Opposition Division only concerned the novelty of the claimed subject-matter over the teachings of documents (1) and (7), the Board considers that it would not be appropriate at the present stage of the proceedings to deal with the further grounds of opposition, such as the issue of inventive step and the issue of whether the pesticidal and the growth regulating activity of the claimed compounds was sufficiently described in the contested patent, in order not to deprive the parties of the possibility of having these issues decided by two instances. Therefore, the Board has decided to invoke its power under Article 111(1) EPC and to remit the case to the first instance for further prosecution.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.

2. The matter is remitted to the first instance for further consideration on the basis of the main request submitted at the oral proceedings on 3 June 1997.

The Registrar: The Chairman:

E. Görgmaier A. Nuss