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D E C I S I O N
of 23 September 1998

Case Number: T 0716/94 - 3.3.1

Application Number: 90121211.8

Publication Number: 0431328

IPC: C07C 69/00

Language of the proceedings: EN

Title of invention:
Fungicides

Applicant:
Zeneca Limited

Opponent:
-

Headword:
1-phenyl acrylic acid esters /ZENECA

Relevant legal provisions:
EPC Art. 123(2), 83, 111(1)

Keyword:
"Sufficiency of disclosure (yes) - common general knowledge"

Decisions cited:
T 0206/83, T 0409/91, T 0597/92

Catchword:
-



Case Number: T 0716/94 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 23 September 1998

Appellant: Zeneca Limited
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Decision under appeal: Decision of the Examining Division of the
European Patent Office posted 9 March 1994
refusing European patent application
No. 90 121 211.8 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
W. Moser

Summary of Facts and Submissions

- I. The appeal lies from the Examining Division's decision, despatched on 9 March 1994, refusing European patent application No. 90 121 211.8, which was published as EP-A-0 431 328 and which is a divisional application of European patent application No. 85 307 108.2, published as EP-A-0 178 826. The patent application was refused because the Examining Division considered that neither the requirement of Article 83 EPC nor that of Article 123(2) EPC was fulfilled.

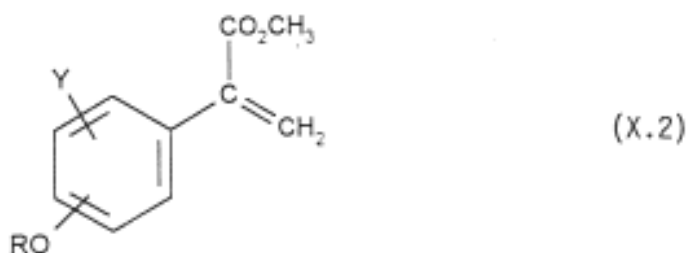
The decision was based on the description as originally filed and a set of 11 claims.

- II. As far as the requirement of Article 83 EPC was concerned, the Examining Division considered that the only information about the preparation of the claimed compounds in the application, saying that they could be prepared by standard methods described in the chemical literature, was not sufficient to allow a skilled person to carry out the invention, because neither starting materials nor processes for preparing the claimed compounds were mentioned in the application, and the documents cited by the Appellant (Applicant) during the examination procedure were not convincing evidence that the preparation of the claimed compounds was part of the skilled person's common general knowledge. Reference was made to decision T 206/83 (OJ EPO 1987, 5).

III. With his reply to a communication of the Board pursuant to Article 11(2) of the Rules of Procedure of the Boards of Appeal, the Appellant filed with a letter of 22 October 1996, received on 24 October 1996, an "Auxiliary set of Claims 1 to 11" and a "Second set of auxiliary claims 1 to 11". Furthermore, during the oral proceedings, which took place on 23 September 1998, he filed a "Third set of auxiliary claims 1 to 4".

Claim 5 of both the "Auxiliary set of Claims 1 to 11" and the "Second set of auxiliary claims 1 to 11" read as follows:

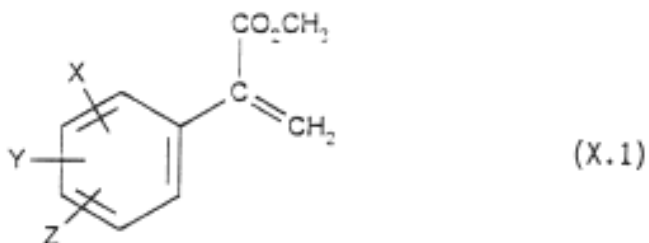
"5. Compounds as claimed in any of the preceding claims and having the formula (X.2):



wherein R is an optionally substituted phenyl or an optionally substituted benzyl group, and Y is a hydrogen or a halogen (fluorine, chlorine or bromine) atom or a methyl, methoxyl, nitro, nitrile, carboxyl or methoxycarbonyl group."

The only independent claim in the "Third set of auxiliary claims 1 to 4" read:

"1. Compounds of the formula (X.1):



wherein X, Y and Z, which may be the same or different, are halogen atoms, or optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, optionally substituted alkynyl, haloalkyl, alkoxy, haloalkoxy, optionally substituted aryloxy, optionally substituted arylalkoxy, optionally substituted acyloxy, optionally substituted amino, optionally substituted arylazo, acylamino, nitro, nitrile, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^4\text{R}^5$, $-\text{COR}^6$, $-\text{CR}^7=\text{NR}^8$, or $-\text{N}=\text{CR}^9\text{R}^{10}$ groups, or Y or Z or both are hydrogen atoms; 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; **provided that when Y and Z are both hydrogen then X is not 2-CO₂CH₃, fluoro, chloro, trifluoromethyl, C₁₋₄ alkyl, C₁₋₄ alkoxy, 4-bromo or 4-nitro.**" (emphasis added)

- IV. In support of his submission that none of the sets of claims added subject-matter extending beyond the content of the application as filed, the Appellant contended that it was clear from the application as filed that the claimed compounds could be used to make all of the compounds of formula (I), and that, consequently, all the limitations or specifications applying to the compounds of formula (I) applied to the

claimed compounds as well.

Additionally, the Appellant submitted that, due to the proviso, as defined in any of the sets of claims, compounds embraced within the broad definition of the compounds of formula (X.1) and known from the following references were excluded from the scope:

- (1) J. Am. Chem. Soc. **97**(1), 242-4 (1975),
- (2) J. Med. Chem. **22**(7), 845-9(1979),
- (3) DE-A-2 651 008,
- (4) DE-A-3 317 356,
- (5) Chem. Abs. 84: 74742z (1976) and
- (6) Soul Taehakkyo Yakhak Nonmunjip **9**, 37-40 (1984).

The Appellant also submitted that the description of the application in suit unambiguously invited a skilled person to consult the standard chemistry textbooks and that, consequently, he or she would have found processes for preparing the claimed compounds and starting materials without any undue difficulty at the date of filing of the present application.

In support of this submission, the Appellant provided an affidavit by Prof. Anthony G. M. Barrett.

- V. The Appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the following documents:

- (a) main request: claims 1 to 11, filed on 24 October 1996 as "Auxiliary set of claims 1 to 11"; or
- (b) first auxiliary request: claims 1 to 11, filed on 24 October 1996 as "Second set of auxiliary claims 1 to 11"; or
- (c) second auxiliary request: claims 1 to 4, submitted during oral proceedings as "Third set of auxiliary claims 1 to 4".

Reasons for the Decision

1. The appeal is admissible

Main request and first auxiliary request

2. *Article 123(2) EPC*

The compounds of formula (X.2) according to **Claim 5** of both requests correspond with originally-described compounds of formula (X) wherein R¹ is methyl and wherein the phenyl group is only substituted with an Y- and an OR-radical.

It has never been contested that in the application as filed the only information about compounds of formula (X) could be found on pages 52 and 53, where it is indicated that according to Scheme III compounds of formula (I) can be made from compounds of formula (X)

(page 52, lines 15 and 16) and that "R¹, R², X, Y and Z throughout Scheme III are as defined above" (page 52, lines 5 and 6). Since the latter is a reference to the definition of the radicals X, Y and Z for the compounds of formula (I), the Appellant contended that all the limitations or specifications applying to the compounds of formula (I) also apply to the compounds claimed at present.

However, the compounds of formula (I) are only described in the application as filed with the X,Y,Z-substitution as defined on page 1, lines 6 to 25; page 2, lines 10 to 23; page 3, lines 1 to 14; and from page 3, line 15, to page 4, line 19, and in none of these citations is the substitution pattern of the now claimed compounds, achieved by the specific combination of Y and OR on the phenyl ring of the compounds of formula (I), mentioned. Therefore, in the Board's judgment the compounds of formula (X.2) were not directly and unambiguously derivable from the teaching of the application as filed.

The Appellant argued that a basis for compounds of formula (I) having the specific combination of Y and OR on the phenyl group could be found on page 4, lines 20 to 26, of the application as filed, where they were defined as compounds of formula (XI).

However, since, contrary to the disclosure in connection with the compounds of formula (I), it was nowhere mentioned that compounds of formula (X) were suitable for preparing compounds of formula (XI), in the Board's view a skilled person would not have

directly and unambiguously derived from the application as filed that compounds of formula (X.2) would be suitable intermediates for preparing compounds of formula (I).

From the above it follows that claim 5 of both the main and the first auxiliary request contain subject-matter which extends beyond the content of the application as filed. Consequently, the applications in accordance with both these requests do not meet the requirements of Article 123(2)EPC.

3. The two requests must therefore be refused (Rule 66(1) EPC in conjunction with Article 97(1) EPC).

Second auxiliary request

4. *Article 123(2) EPC*

The compounds defined in **Claims 1, 2, 3 and 4** correspond with the compounds of formula (X) wherein R¹ is methyl and wherein X, Y and Z represent the substituents as defined for the compounds of formula (I) on page 1, lines 8 to 25; page 2, lines 12 to 23; page 3, lines 3 to 14; and page 3, line 17, to page 4, line 19, respectively, of the application as filed, with the exclusion of those compounds having a fused ringsystem, the known methyl 1-phenyl acrylate and the compounds disclosed in the references (1) to (6).

Since it is clear from the application as filed that the methyl esters of the compounds of formula (I) are preferred (page 2, lines 8 and 9) and that such

compounds can be prepared from compounds of formula (X) (page 52, line 15, to page 53, line 5, and Scheme III), wherein X, Y and Z are defined as X, Y and Z in the compounds of formula (I) (page 52, lines 5 and 6), and since, as already pointed out, the definitions for X, Y and Z in Claims 1 to 4 correspond with the four definitions of those radicals given in the application as filed, the Board comes to the conclusion that the compounds defined in Claims 1 to 4 are directly and unambiguously derivable from the application as filed.

5. *Article 54 EPC*

Since compounds of formula (X.1) wherein Y and Z are both hydrogen and X is 2-COOCH₃, 4-bromo or 4-nitro are disclosed in references (2) (compound 14 in scheme II, page 846), (5) (the first compound mentioned in the abstract) and (6) (compound 10h as defined on page 39) and since such compounds wherein Y and Z are hydrogen and X is a fluoro-, a chloro-, a trifluoromethyl, a C₁₋₄ alkyl, or a C₁₋₄ alkoxy are generically disclosed in reference (4) (see the only claim) and specifically disclosed in references (1) [compound 7 (2-methoxy) in Scheme I] and (3) [see example 5 (3-chloro)], the Board is satisfied that the subject-matter of Claims 1 to 4 is made novel vis-à-vis the disclosure of the cited references (1) to (6) by the disclaimer.

Moreover, the Board finds that in the present case a disclaimer is a suitable method of avoiding anticipation by references (1) to (6) since the subject-matter of Claims 1 to 4 cannot be restricted on the basis of the original disclosure in positive terms

without unduly impairing its clarity and conciseness. This finding is in accordance with the jurisprudence of the Boards of Appeal of the EPO (T 597/92, OJ EPO 1996, 135, point 3 of the Reasons).

6. *Article 83 EPC*

6.1 The Board considers that questions of sufficiency of disclosure are questions of fact which have to be answered on the basis of the available evidence having regard to the balance of probabilities in each individual case (see eg T 409/91, OJ EPO 1994, 653, point 3.5).

6.2 In the present case, the only instruction directly related to the preparation of the claimed compounds can be found on page 53, lines 6 to 8, of the application as filed (page 49, lines 54 and 55 of the published version), indicating that:

"Compounds of general formulae ... (X) can be prepared by standard methods described in the chemical literature".

When assessing whether or not the application discloses the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art and taking into account that the skilled person to whom the application in suit is addressed is necessarily a chemist with an organic synthesis background, the question arises whether such chemist would have been able to find processes for preparing the claimed compounds by taking into consideration methods described in standard chemical textbooks.

6.3 In the decision under appeal the Examining Division found that in the standard textbooks cited during the examination procedure only the preparation of unsubstituted 1-phenyl acrylic acids or esters were described, whereas the application in suit was concerned with 1-phenyl acrylic acid esters bearing substituents on the phenyl-ring. Furthermore, the Examining Division held that the substituents X, Y and Z in the substituted methyl 1-phenyl acrylic acid esters according to the application in suit embrace a variety of meanings whose influence on the reaction, including reactivity towards the reagents used in the cited method, would be unpredictable (see page 7, first paragraph of the decision under appeal).

6.4 The Appellant submitted that a skilled person would recognise that the methods for preparing esters of unsubstituted 1-phenyl acrylic acid could equally be used for substituted derivatives and that some substituents, which are not inert in the reaction medium, may be protected by commonly used techniques.

Moreover, in support of his argument that, taking into account the common general knowledge, a skilled person would have been able to carry out the invention with a reasonable expectation of success, the Appellant filed with the statement setting out the grounds of appeal an affidavit by Prof. Anthony G. M. Barrett, indicating in particular that from the 1968 edition of "Advanced Organic Chemistry: Reactions, Mechanisms, and Structure" by Jerry March, referred to as document (11), a skilled person would have been directed to a

variety of general methods which could have been used to make the claimed compounds with very little experimentation. To further support this statement, Prof. Anthony G. M. Barrett indicated nine reaction methods which a skilled person would have taken into consideration for preparing the claimed compounds and, as an example, he cited the Wittig reaction as an obvious choice, due to the structural analogy of the claimed compounds with the compounds of formula (I), which may also be prepared by a Wittig reaction in the application in suit.

- 6.5 However, since in the present case no evidence is available by which the content of the affidavit of Prof. Anthony G. M. Barrett could be challenged, the Board has no reason to assume that a skilled person would have been unable to prepare the claimed compounds by only using standard methods belonging to the common general knowledge in this field.

Moreover, the Examining Division, when objecting that the reactivity of some (unspecified) substituents on the phenyl ring would be unpredictable, has merely speculated, without providing any substantiating evidence for this.

In the Board's judgment there is no reason to doubt that the Appellant is correct when he asserts that it is common practice for a skilled person, ie a chemist with an organic synthesis background, conducting reactions on organic structures containing substituents which are not inert to the reaction medium, to convert such substituents into a derivative stable to the

medium and, having conducted the reaction, to convert the derivative to the original substituent or to carry out the substitution only after having conducted the said reaction.

6.6 The Board thus comes to the conclusion that the application in suit meets the requirement of Article 83 EPC.

6.7 This finding is not in contradiction with the principle cited under point 11 of the Reasons in T 206/83 and relied upon by the Examining Division (see page 8, last paragraph of the decision under appeal), namely that a document does not effectively disclose a chemical compound, even though it states the structure and the steps by which it is produced, if the skilled person is unable to find out from the document or from common general knowledge how to obtain the required starting materials or intermediates.

In that case not only the specification was silent as to how the starting materials could be obtained, but **also evidence was adduced showing that they could not be prepared by any standard method described in the literature** and that the skilled person would have had no way of knowing how to make them without the benefit of an inventive contribution, which is not the case here as is clear from the above considerations.

Conclusion

7. The Board considers that the case should be remitted to the Examining Division for further prosecution as

provided for in Article 111(1) EPC in order to examine the compliance of the application and the invention to which it relates with the other requirements of the EPC.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.
2. The case is remitted to the first instance for further prosecution on the basis of Claims 1 to 4, submitted during oral proceedings.

The Registrar: The Chairman:

E. Görgmaier A. Nuss