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D E C I S I O N
of 20 October 1998

Case Number: T 0668/94 - 3.3.1

Application Number: 87305592.5

Publication Number: 0254426

IPC: C07C 251/32

Language of the proceedings: EN

Title of invention:
Fungicides

Patentee:
Zeneca Limited

Opponent:
BASF Aktiengesellschaft, Ludwigshafen
Novartis AG Patent and Trademark Dept.

Headword:
Fungicides/ZENECA

Relevant legal provisions:
EPC Art. 54, 56, 83, 84, 114, 123(2), 123(3)

Keyword:
"Late-filed evidence - only relevant evidence admitted"
"Novelty (yes) - individual compounds - selection from several
lists"
"Inventive step (no) - obvious solution"

Decisions cited:
T 0012/81, T 0007/86, T 0433/86, T 0301/87, T 0192/88,

T 0789/89, T 0939/92

Catchword:

When only some and not substantially all claimed compounds exhibit a particular technical effect, then the conclusion must be drawn that the invention as broadly defined in the independent claim is not a solution to the technical problem of achieving this particular technical effect, with the consequence that the alleged technical effect of some of the claimed compounds is to be disregarded in the determination of the objective problem underlying the invention, and thus in the assessment of inventive step, (following T 0939/92, OJ EPO 1996, 309) (point 8.1 of the reasons).



Case Number: T 0668/94 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 20 October 1998

Appellant: Zeneca Limited
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Decision under appeal: Decision of the Opposition Division of the
European Patent Office posted 7 July 1994
revoking European patent No. 0 254 426 pursuant
to Article 102(1) EPC.

Composition of the Board:

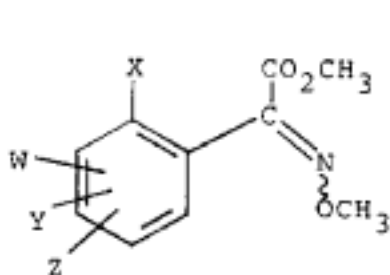
Chairman: A. J. Nuss
Members: R. Freimuth
S. C. Perryman

Summary of Facts and Submissions

- I. The Appellant (Proprietor of the Patent) lodged an appeal on 19 August 1994 against the decision of the Opposition Division posted on 7 July 1994 revoking the European patent No. 254 426.
- II. Notice of Opposition had been filed by the Respondent 1 (Opponent 1) and the Respondent 2 (Opponent 2), both requesting revocation of the patent in its entirety for insufficient disclosure of the invention (Article 100(b) EPC) and for lack of novelty, and Respondent 2 additionally requesting revocation for lack of inventive step (Article 100(a) EPC). The oppositions were based inter alia on the documents
- (1) EP-A-253 213,
 - (4) Dissertation of Georg Schramm, Bonn 1980,
 - (5) Hoppe-Seyler's Z. Physiol. Chem., Volume 364, page 320 (1983),
 - (6) Pure and Appl. Chem., Volume 53, pages 1233 to 1240 (1981),
 - (9) EP-A-178 826 and
 - (14) Abstract from Prof. Dr. T. Anke submitted 1 February 1982 for the XIIIth International Congress of Microbiology on 8 August 1982 in Boston.

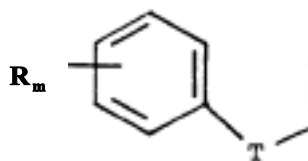
III. The decision was based on a set of eleven claims as amended during opposition proceedings for the contracting states CH, DE, FR, GB, GR, IT, LI, LU, NL and SE, claim 1 reading as follows:

"1. A compound having the general formula (I) :



and stereoisomers thereof, wherein X is halogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aralkyl, optionally substituted aryloxyalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted amino, optionally substituted arylazo, optionally substituted heteroarylalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted acylamino, nitro, nitrile, trifluoromethyl, -OR¹, -SR¹, -CO₂R², -CONR³R⁴, -COR⁵, -CR⁶=NR⁷, -N=CR⁸R⁹, -SOR¹⁰; or -SO₂R¹¹; W, Y and Z, which may be the same or different, are any of the atoms or groups listed for X above and, in addition, may be hydrogen atoms; or any two of the groups W, X, Y and Z, in adjacent positions on the phenyl ring, optionally join to form a fused ring, either aromatic or aliphatic, optionally containing one or more heteroatoms; R¹ is optionally substituted alkyl, or cycloalkyl optionally containing

a heteroatom in the cycloalkyl ring, optionally substituted alkenyl, optionally substituted acyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, or optionally substituted heteroarylalkyl; R^2 , R^3 , R^4 , R^5 , R^6 , R^8 , R^9 , R^{10} and R^{11} , which may be the same or different, are hydrogen or optionally substituted alkyl, cycloalkyl, cycloalkylalkyl, optionally substituted alkenyl, optionally substituted aralkyl, optionally substituted aryl or optionally substituted heteroaryl; and R^7 is optionally substituted aryl; provided that when W, Y and Z are all hydrogen, X is not a group of formula (Ia):



wherein the radicals R ($m=1$ to 5) are identical or different substituents from the group consisting of halogen, cyano, trifluoromethyl, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, optionally substituted phenyl, optionally substituted phenoxy, optionally substituted benzyloxy and hydrogen, and T is a linking group which is methyleneoxy, oxymethylene, ethylene, ethynylene, ethynylene or oxygen, except that X may be 4-nitrophenoxy, 2,4-dinitrophenoxy, 3-fluorophenoxy, 4-fluorophenoxy, 3-chlorophenoxy, 3-chlorophenoxyethyl or 4-methoxyphenoxyethyl."

Claim 1 of a set of seven claims for the contracting state AT related to a process for preparing a compound

according to claim 1 for the other contracting states and claim 1 of a set of eight claims for the contracting state ES related to a fungicidal or plant growth composition comprising such a compound.

IV. The Opposition Division held that the claims were allowable in view of Article 123(2) and (3) EPC since the introduction of the disclaimer constituted a restriction of the claims with respect to a novelty objection based on document (1) and since the compounds mentioned explicitly in claim 1 were supported by specific examples of the description. Having regard to the objection of insufficiency of the disclosure pursuant to Article 83 EPC, the arguments presented concerned mostly the breadth of the claims, and thus Article 84 EPC which did not constitute a ground for opposition. In the absence of convincing evidence a mere list of hypothetical compounds which could allegedly not be prepared according to the process of the patent in suit, did not demonstrate that the instructions given were insufficient to enable a skilled person to carry out the invention.

The claimed subject-matter was novel over document (1) due to the presence of the disclaimer and because the individual compounds mentioned explicitly in claim 1 were the result of combinations not disclosed in document (1). Document (9) was regarded as the closest prior art in the assessment of inventive step. The main difference between these fungicidal compounds and those of the invention was that the former contained a methyl methoxyacrylate group and the latter a methyl

methoxyiminoacetate group. In view of the teaching of document (4) this structural modification was regarded as obvious in order to provide novel fungicides. The Respondent 2's test report filed in this respect with his letter dated 11 May 1994 was rejected for its late filing and lack of relevance.

- V. In the Statement of Grounds of appeal submitted on 16 November 1994, the Appellant defended the maintenance of the patent in suit in amended form on the basis of the claims indicated in point III (main request). The first auxiliary request differed from the main request only in that the clause "except that X may be 4-nitrophenoxy, 2,4-dinitrophenoxy, 3-fluorophenoxy, 4-fluorophenoxy, 3-chlorophenoxy, 3-chlorophenoxyethyl or 4-methoxyphenoxyethyl" at the end of claim 1 had been deleted. The claim 1 of the second auxiliary request was restricted to compounds having the general formula (I) and stereoisomers thereof,

"wherein X is optionally substituted heteroarylalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted heteroarylethenyl, optionally substituted heteroarylethynyl, optionally substituted heteroarylcarbonylamino, -OR¹ or -SR¹, wherein R¹ is optionally substituted heteroaryl or optionally substituted heteroarylalkyl; and W, Y and Z, which are the same or different, are hydrogen, fluoro, chloro, bromo, hydroxy, methyl, methoxy, trifluoromethyl, methylamino or dimethylamino."

The Appellant argued that the subject-matter of the

patent in suit was novel and involved an inventive step essentially for the following reasons:

- A. Claim 1 according to the main request excluded the compounds disclosed in document (1) as a generic group, but included individual compounds not specifically mentioned in that document. These individual compounds could not be regarded as inherently disclosed in document (1) since this would contradict the concept of individualisation applied by the Boards of Appeal.
- B. There was no teaching in the closest document (9) that the methyl methoxyacrylate group could be replaced by a methyl methoxyiminoacetate group. The skilled person was directed away from modifying the methyl methoxyacrylate-group since this group was essential to secure optimum activity. There were many other modifications one might have tried other than replacing the vinyl-CH group by N.
- C. The definition of the problem to be solved in the decision under appeal included pointers to the solution offered by the invention which necessarily resulted in an ex-post facto analysis (see decisions T 229/85, OJ EPO 1987, 237; T 99/85, OJ EPO 1987, 413; T 181/82, OJ EPO 1984, 401). The problem was to be seen in finding further plant fungicides.
- D. The document (4) was a thesis difficult to find

for a skilled person when searching through the state of the art. It focussed on two antifungal antibiotics, strobilurins A and B. It was directed towards solving the problems in chemotherapy, did not relate to the area of agricultural chemicals and was not concerned with the problem of finding new plant fungicides. No in vivo data were offered in that document and the in vitro data were not concerned with diseases of interest to plant fungicides. Therefore it was not obvious to combine the documents (4) and (9).

- E. Although the document (4) made the comment that the activity of the methoxyiminoacetate compound 108b was similar to the C-analogue 77a, the skilled person had no incentive to modify the document (9) structures by replacing the acrylate group with the iminoacetate group. Undue weight has been given to an observation in document (4) about the single methoxyiminoacetate compound 108b. The inhibition of respiration of *Penicillium notatum* measured in document (4) was crucial and the key to the antifungal activity of the compounds. However, the results of the inhibition of respiration indicated in Table 13 for compound 77a and for its methoxyimino analogue 108b showed that compound 77a offered twice the inhibition at half the rate compared with compound 108b. Due to this inferior activity as a respiration inhibitor, the skilled person had no incentive to replace the methoxyacrylate group of document (9) with the methoxyiminoacetate group of compound 108b.

- F. The documents (5), (6) and (14), referring back to document (4), did not recognize the equivalence of the methoxyiminoacetate to the methoxyacrylate group, and stressed that the methoxyacrylate group was essential for achieving antifungal activity.
- G. The methoxyiminoacetate 108b and the C-analogue 77a in document (4) differed from the claimed compounds in that the acrylate or acetate groups are separated from the phenyl ring by an olefinic group. The skilled person did not know what effect the CH/N-exchange had when translated to directly linked compounds without the olefinic group. Since the directly linked compound 11d in document (4) showed a slightly superior activity to that of compound 77a, the skilled person had little incentive to try to modify the document (9) structures by the methoxyiminoacetate group.
- H. Having regard to the second auxiliary request, the heteroaromatic values for the group X in formula (I) were not found in documents (4) and (9). Therefore these compounds were beyond the purview of the cited references. Only a few heteroaromatic compounds were disclosed in document (9) without biological data being given.
- I. Respondent 2's experimental report filed on 11 May 1994 during opposition proceedings was late filed and lacked relevance. It was therefore not admitted into the opposition proceedings and should not be admitted into the appeal proceedings

either. If admitted nevertheless, the Appellant's test report submitted on 14 August 1998 was to be taken into account; it showed that the replacement of the acrylate group by the oxime group in the compounds of document (9) rendered the compounds less stable as illustrated by testing their hydrolysis and soil persistence.

- VI. The Respondent 1 withdrew his opposition with letter dated 16 October 1998.

- VII. The Respondent 2 submitted that the claims were neither clear nor supported by the description and that the subject-matter of the patent in suit was neither novel nor involved an inventive step, essentially for the following reasons:
 - A. The Respondent 2, taking up the essence of Respondent 1's arguments, submitted that the patent in suit was not novel in view of document (1). This document preferred inter alia the substituents 3-fluoro, 4-fluoro, 3-chloro, 4-nitro and 4-methoxy as group "R_m" in formula (Ia) of the patent in suit and disclosed numerous individual compounds bearing these substituents. Six individual compounds bearing these substituents were listed in the exception to the disclaimer in Appellant's claim 1 according to the main request, ie. were positively claimed in the patent in suit. Although these individual compounds of the patent in suit were not disclosed explicitly in document (1), the content of that

document led the skilled person compulsorily to these structures and enabled the skilled person to prepare these compounds. Therefore the technical teaching of document (1) comprised the individual compounds now claimed in the patent in suit.

- B. Starting from document (9) as closest state of the art, the problem underlying the patent in suit consisted in providing further fungicides. The document (4) taught on page 100, paragraph 5, and on page 101, paragraph 2, a close correlation between the antifungal activity of the methoxyacrylate compound 77a and the methoxyiminoacetate compound 108b. Thus, the latter document gave the incentive to replace the methoxyacrylate group in the compounds known from document (9) by the methoxyiminoacetate group, i.e. to perform a CH/N exchange.

The document (4), irrespective of how difficult it might have been to find when searching through the state of the art, belonged without any doubt to the art and addressed the antifungal activity. The documents (5), (6) and (14) represented secondary literature; they were based on and contained a reference to document (4). Therefore these documents did not add anything to the teaching of the primary document (4).

Furthermore the compound 77a was taught in document (4) to be less stable than the compound 108b which was supported by Respondent 2's test

report called "Versuchsbericht" and filed during opposition proceedings on 11 May 1994. Therefore the skilled person received an additional hint to modify the structure of the compounds of document (9) by substituting the methoxyiminoacetate for their methoxyacrylate group.

This substitution of the methoxyiminoacetate group in the compounds of patent in suit for the methoxyacrylate group in those of document (9) did not result in an improved antifungal activity as demonstrated in the comparative test report called "Vergleichsversuch" and submitted on 14 August 1998. This was in line with the teaching of document (4) showing in its Table 13 a similar antifungal activity for compounds 77a and 108b.

The data in Table 13 of document (4) were concerned with three fungi causing diseases to plants of interest in agriculture as evidenced in the following fresh documents submitted on 14 August 1998:

(15) PESTDOC, Organism Thesaurus, Volume 2, Plant Organisms, part 2, pages 1305, 1409 and 1411 (1977)

(16) Mykosen, Volume 23, pages 583 to 589 (1980).

C. The feature "optionally substituted" defining substituents in the claims, e.g. "optionally

substituted alkyl", represented an open-ended definition. It had not been demonstrated that substantially all compounds covered showed the alleged antifungal effect (cf. decision T 939/92, OJ EPO 1996, 309). This was supported by the test report called "Testbericht" and submitted on 14 August 1998 which demonstrated that numerous compounds covered by the feature "optionally substituted alkyl" did not show antifungal activity.

D. For the reasons given above with regard to lack of inventive step, claim 1 of the main request was neither clear nor supported by the description. Moreover Claim 5 according to the main request was inconsistent with claim 1 of that request since it claimed compounds being disclaimed in claim 1. The same objection applied to claim 11 according to the second auxiliary request which was inconsistent with claim 1 of that request.

VIII. The Appellant requested that the decision under appeal be set aside and the patent be maintained on the basis of the main request submitted with the grounds of appeal (see points III and V above) or the first auxiliary request submitted on 14 August 1998, i.e. three sets of claims including a particular set for AT, for ES and for the designated contracting states other than AT and ES, or the second auxiliary request filed as first auxiliary request with the grounds of appeal, i.e. three sets of claims including a particular set for AT, for ES and for the designated contracting

states other than AT and ES.

The Respondent 2 requested that the appeal be dismissed.

IX. Oral proceedings were held on 20 October 1998 in the absence of Respondent 1. At the end of the oral proceedings the decision of the Board was given orally.

Reasons for the Decision

1. The appeal is admissible.

2. Parties to the appeal

The Respondent 1's withdrawal of his opposition (see point VI above) is to be treated as a withdrawal of all his pending requests and as a withdrawal from the appeal proceedings. Thus, he ceases to be a party to appeal proceedings as far as the substantive issues are concerned (see decision T 789/89, OJ EPO 1994, 482, points 2.3 and 2.6 of the reasons).

3. Late-filed evidence (Article 114 EPC)

3.1 The Respondent 2's test report called "Versuchsbericht" was filed late during opposition proceedings and has been disregarded by the Opposition Division for its lack of relevance. The Board sees no reason to differ from this viewpoint, and, consequently, this evidence is not admitted into

the proceedings.

3.2 The Appellant's test report is new evidence submitted for the first time on 14 August 1998 during appeal proceedings. Although it was intended to counter Respondent 2's test report mentioned in point 3.1., it was filed more than four years later. No reason has been given for this late filing. Since furthermore this evidence lacks relevance for the decision to be taken, it is not admitted into the proceedings (Article 114(2) EPC).

3.3 The Respondent 2's two test reports called "Testbericht" and "Vergleichsversuch" are new evidence submitted for the first time on 25 August 1998 during appeal proceedings. No reason has been given for this late filing by the Respondent 2, nor can the Board see any such reason. They address the breadth of the claims as regards the feature "optionally substituted" and a supposed unexpected effect; these issues, however, are not relevant for the decision to be taken. Therefore they share the fate of the other test reports in not being admitted into the proceedings (Article 114(2) EPC).

3.4 The documents (15) and (16) are new evidence cited on 25 August 1998 for the first time and have not been so far relied upon in appeal proceedings. Although they were prompted by Appellant's arguments in his Statement of Grounds of Appeal submitted on 16 November 1994, they are considered to be late filed due to the delay of about four years. However,

they address the pending issue whether or not the fungi tested in document (4) cause plant diseases of interest in agriculture, which is relevant for the decision to be taken. Thus, these documents are admitted into the proceedings (Article 114(1) EPC).

Main Request

4. Amendments (Article 123(2) and (3) EPC)

The Respondent 2 made no objection under Article 123(2) and (3) EPC against the claims as amended and the Board considers that these requirements are indeed satisfied.

The first amendment to claim 1 as granted is that the redrafted disclaimer excludes the generic class of compounds which is the subject-matter of claim 1 in document (1). The exclusion of this subject-matter which already belongs to the state of the art, does not contravene Article 123(2) EPC, even though the matter is not derivable from the application as filed (cf. decisions T 433/86, point 2 of the reasons, reported in EPOR 1988, 97 to 104, especially page 100; T 192/88, point 4.1 of the reasons; neither published in OJ EPO). The second amendment to claim 1 as granted is that some individual compounds in the disclaimed generic class are positively claimed,

based on the examples 7 to 9, 21 to 26 and 38 to 41 of the application as filed. Therefore this amendment complies with the requirements of Article 123(2) EPC likewise.

These individual compounds claimed were comprised within the scope of the claims as granted and nothing that was disclaimed in claim 1 as granted is now claimed. This amendment therefore does not extend the protection conferred. The change in the disclaimer of claim 1 as amended in comparison to the disclaimer of claim 1 as granted represents a restriction of the scope of the claims, and thus of the protection conferred thereby. Therefore the claims as amended meet the requirements of Article 123(3) EPC.

5. Clarity and Support by the description (Article 84 EPC)

5.1 The Respondent 2 argued that claim 1 was neither clear nor supported by the description for the breadth of the feature "optionally substituted" defining the substituents which represented an open-ended definition. This feature objected to was already comprised in the claims as granted; it does not result from any amendment made during opposition or appeal proceedings. However, Article 102(3) EPC does not allow objections to be based upon Article 84 EPC if such objections do not arise out of the amendments made (see decision T 301/87, OJ EPO 1990, 335, point 3.8 of the reasons). The Board therefore rejects this objection of Respondent 2.

5.2 The Respondent 2 objected to an inconsistency of claim 5 with claim 1. However, a decision of the Board on this matter is unnecessary, since the main request including claim 5 is in any case not allowable for the reasons given in point 8 below.

6. Insufficiency of the disclosure of the invention (Article 100(b) EPC)

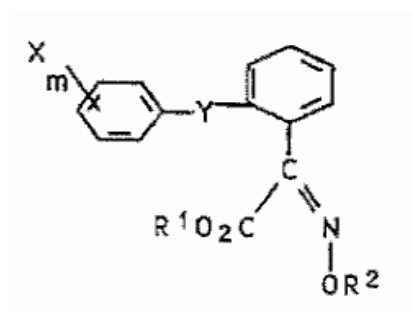
The insufficiency of the disclosure of the invention was not at issue in this appeal and the Board is satisfied that the patent in suit discloses the invention in a manner sufficiently clear and complete to be carried out by a person skilled in the art. Although raised as a ground for opposition by the former Respondent 1, the Opposition Division has already rejected this ground. Since it was no longer in dispute before the Board, no detailed reasoning needs to be given.

7. Novelty

7.1 The Respondent 2 contested the novelty of the subject-matter claimed in respect of document (1). Insofar as it relates to the general formula (I), the subject-matter of claim 1 as amended is delimited from document (1) due to the disclaimer reflecting the generic disclosure of that document. This is not in dispute between the parties. However, the Respondent 2 objected to the individual compounds claimed in claim 1 as amended. He alleged that their novelty was already destroyed due to the disclosure

in document (1) of the substituents 3-fluoro, 4-fluoro, 3-chloro, 4-nitro and 4-methoxy comprised in these individual compounds. Nevertheless the Respondent 2 acknowledged that these individual compounds as such were not disclosed explicitly in document (1).

7.2 The document (1) discloses compounds having the general formula:



wherein the substituents R^1 , R^2 , Y, X and the index m have to be chosen each from a different list. In order to arrive at the individual compounds listed in claim 1 of the patent in suit, a selection of the substituent X_m in the general formula of document (1) given above from one single list, as done by the Respondent 2, is not sufficient. Additional selections from the lists for R^1 , R^2 and Y are rather needed; the methyl group has to be selected from a second list for the substituent R^1 and from a third list for R^2 and the ether group from a fourth list for Y.

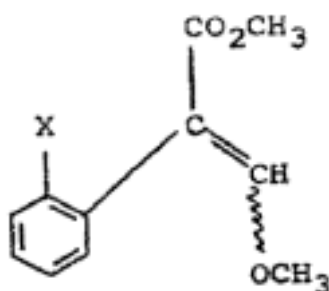
According to established jurisprudence of the Boards of Appeal a document disclosing polysubstituted chemical compounds does not qualify for a specific

disclosure of an individual compound if the individual compound can only be derived from the generic disclosure by selecting one substituent from each of two or more lists of substituents (see decisions T 12/81, OJ EPO 1982, 296, point 13 of the reasons; T 7/86, OJ EPO 1988, 381, point 5.1 of the reasons). Applying this principle in the present case results in the conclusion that the disclosure of document (1) is not detrimental to the novelty of the individual compounds listed in claim 1 of the patent in suit since a selection within each of four lists of substituents is necessary in order to arrive at these individual compounds.

- 7.3 In the Board's judgement, document (1), which constitutes state of the art within the meaning of Article 54(3) and (4) EPC for all the contracting states designated in the patent in suit except LU, does not anticipate the subject-matter of the patent in suit for the reasons given above.
- 7.4 The Board is satisfied that the subject-matter of the patent in suit is not disclosed in any of the further cited documents. This being not in dispute between the parties during appeal proceedings and the Opposition Division having already acknowledged novelty for the present claims, it is not necessary to give detailed reasons for this finding.
- 7.5 For the above reasons, the Board concludes that the subject-matter of the patent in suit is novel and meets the requirements of Articles 52(1) and 54 EPC.

8. Inventive step

8.1 The patent in suit refers to derivatives of acrylic acid useful in agriculture as fungicides and plant growth regulators (patent specification page 2, lines 3 and 4; claims 7 to 10). Similar compounds for the same uses already belong to the state of the art. Document (9) discloses derivatives of acrylic acid useful as fungicides in plants and as plant growth regulators (see claims 18 and 19), notably methyl *o*-phenyl-*o*-methoxyacrylates having the general formula:



and stereoisomers thereof, wherein X may be an alkyl, alkenyl, alkynyl, aryloxy or arylalkoxy, each of which is optionally substituted (see page 5, line 1 ff).

The Board considers, in agreement with the parties, that this disclosure of document (9) represents the closest state of the art, and, hence, the starting point in the assessment of inventive step.

8.2 As indicated in the patent specification, the technical problem underlying the patent in suit in view of this state of the art consisted in providing

further compounds having (a) plant antifungal and (b) plant growth regulating activities (page 23, lines 23, 49 to 55).

8.3 The specification of the patent in suit demonstrates in Table III on page 29 that claimed compounds achieve an antifungal activity. The compounds were tested against a variety of foliar fungal diseases of plants and the results indicated show that the fungal diseases are inhibited. Therefore it appears that the technical problem (a) underlying the patent in suit has been solved. The Respondent 2 objected to the breadth of the claims of the patent in suit based on the feature "optional substituted" defining the substituents in the claims which represented an "open-ended" definition; he concluded that not substantially all compounds covered by the claims would solve the technical problem (a), i.e. show an antifungal activity. However, this issue need not to be decided by the Board since in any case the suggested solution to this problem is obvious in the light of the further state of the art as set out in point 8.6 below.

Having regard to the technical problem (b), the Appellant states explicitly in the specification of the patent in suit on page 23, line 52 that some claimed compounds exhibit a plant growth regulating activity, which signifies that only a limited, but indeterminate part of all claimed compounds show this activity. Hence, the Appellant concedes at the same time that not substantially all claimed compounds

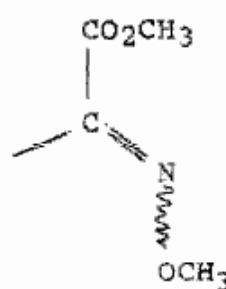
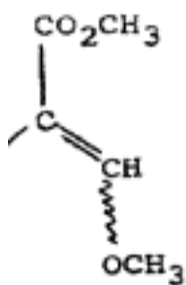
exhibit a plant growth regulating activity. Tables V and VI on page 31 of the specification of the patent in suit are in line therewith since they demonstrate the achievement of a plant growth regulating activity merely for a very narrow sector of the claimed invention. The four compounds, tested in these tables of the patent specification, have a similar chemical structure which fits in the general formula (I) exclusively the group [(hetero)aryl substituted acylamino] for X, and the substituent hydrogen for R³, W, Y and Z. The technical problem (b) could only be taken into account in the assessment of inventive step if it could be accepted as having been successfully solved, i.e. if it would be credible that substantially all claimed compounds possessed the plant growth regulating activity (see decision T 939/92, OJ EPO 1996, 309, points 2.5.4 and 2.6 of the reasons). However, since not substantially all claimed compounds exhibit indeed a plant growth regulating activity, the conclusion must be drawn that the invention as broadly defined in claim 1 is not a solution to the technical problem (b), with the consequence that the alleged plant growth regulating activity of some of the claimed compounds is to be disregarded in the determination of the objective problem underlying the patent in suit, and thus in the assessment of inventive step.

8.4 For these reasons the sole objective problem underlying the patent in suit is that already defined above under point 8.2 as technical problem (a), i.e. in providing further compounds having a plant

antifungal activity. This formulation of the objective problem concurs with Appellant's continuous submissions during opposition and appeal proceedings as well as with that in the decision under appeal. It does not include any pointer to the solution offered by the invention, thereby avoiding any ex post facto analysis the Appellant objected to.

- 8.5 The patent in suit proposes as the solution to this problem the compounds with the general formula (I) (see point III above) which are characterized by the presence of a methyl methoxyiminoacetate group (see the formula on the right in point 8.6.1 below).
- 8.6 It remains to decide whether or not the proposed solution to the objective problem underlying the patent in suit is obvious in view of the further state of the art.
- 8.6.1 When starting from the compounds known from document (9), i.e. compounds with a methyl •-methoxyacrylate group (see the formula on the left below), it is a matter of course that the person skilled in the art seeking to provide further plant fungicides would turn his attention to that prior art just dealing with plant fungicides. As a skilled person, he would be struck by document (4), which relates to strobilurines showing a strong antifungal activity against plant pathogens (pages 68, point 3.4; page 98, paragraph 1; Table 13); these compounds are derivatives of acrylic acid and comprise the methyl •-methoxyacrylate group as those

of document (9). Document (4) moreover deals with numerous analogues of strobilurines in order to study the structure-effect relationship. Inter alia, two analogues thereof have been prepared and tested for their antifungal activity, which are the methyl •-styryl-•-methoxy-acrylate (compound no. 77a) and the methyl •-styryl-methoxyiminoacetate (compound no. 108 b). Both compounds satisfy the following formulae respectively



wherein the substituent on the free bond is the styryl group. The two compounds differ solely in the substitution of N for CH. When replacing the =CH-OCH₃-portion of the methoxyacrylate group of compound no. 77a by the methoxyimino group =N-OCH₃ of compound 108b, document (4) reports explicitly that the activity of both compounds is kept very similar (page 100, paragraph 5). The compound no. 108b teaches that by substituting N for CH the activity may be maintained (page 101, paragraph 2).

The Board concludes from the above that the state of the art gives the person skilled in the art a

concrete hint on how to solve the problem underlying the patent in suit as defined in point 8.4, namely by substituting the methoxyiminoacetate group for the methoxyacrylate group in the compounds known from document (9), thereby arriving at the claimed compounds with the general formula (I), i.e. the solution proposed by the patent in suit. In the Board's judgement, to follow the avenue indicated in the state of the art was obvious to try with a reasonable expectation of success.

8.6.2 For the following reasons the Board cannot accept Appellant's arguments designed for support of inventive step.

8.6.2.1 Inventive step in the sense of Article 56 EPC is to be assessed "having regard to the state of the art" which "is held to comprise everything made available to the public by means of a written...description" pursuant to Article 54(2) EPC. The Appellant did not dispute that document (4) belongs to the state of the art and was made available to the public. Therefore, any difficulty the Appellant may see in finding that document, does not disqualify document (4) as representing state of the art in the sense of Article 56 EPC, with the consequence that this document is certainly to be taken into consideration when assessing inventive step.

8.6.2.2 The Appellant disputed that the person skilled in the art would take document (4) into consideration when looking for further fungicides since its title referred to antibiotics and not to fungicides. However, those terms are not mutually exclusive; the term antibiotics is a generic term conventional in the art which embraces the activity against any microorganism including fungi. Thus, based on tests, document (4) reports in detail about the antifungal activity and the relationship thereof to the structure of the compounds (pages 68, 92 to 102; abstract). The Board is convinced that the person skilled in the art is well aware of the teaching about fungicides in that document, and that nothing deters him from taking up this teaching when aiming at further fungicides.

8.6.2.3 The methoxyacrylate/methoxyiminoacetate compounds 77a/108b in document (4) were substituted by a styryl group, i.e. the phenyl ring was linked via a vinylene group to the methoxyacrylate/methoxyiminoacetate groups respectively. The Appellant expressed doubts that the effect of the CH/N exchange, encountered in these compounds, could be translated to the compounds of document (9), in which the phenyl ring was directly linked to the methoxyacrylate/methoxyiminoacetate groups respectively. However, document (4) teaches explicitly on page 99, paragraph 4 that the methoxyacrylate compound 11d, in which the phenyl ring is directly linked to the methoxyacrylate group, shows antifungal activity and that this activity is

maintained when inserting a vinylene group in between, resulting in compound 77a. This teaching makes clear to the skilled reader that the vinylene group in between is not a structural element essential for the antifungal activity, with the consequence that the person skilled in the art is not diverted from, but rather reinforced in translating the effect of the CH/N exchange from compounds 77a/108b of document (4) to those known from document (9), thus, arriving at the compounds of the present invention.

8.6.2.4 The Appellant argued that document (4) was not directed to fungicides for plants. The antifungal activity reported in that document is based on tests against Penicillium notatum (respiration inhibition), Nematospora coryli and Eremothecium ashbyi (Table 13 on pages 92 to 94). These three fungi tested are plant pathogens as either agreed between the parties or as demonstrated in documents (15) and (16). Contrary to the view expressed by the Appellant, these fungi are damaging agricultural plants or products thereof, Nematospora coryli causing e.g. yeast spots on sojabeans, rot on pomegranates, kernel spots on pecan and stigmatomycose on coffee shrub (document (15), page 1305) and Penicillium notatum e.g. infecting stored rice, wheat and maize grains (document (16), page 585). The Board is therefore convinced that document (4) relates to compounds having plant antifungal activity with the consequence that the person skilled in the art, aiming at further compounds having a plant antifungal activity, has a

substantial incentive to follow the teaching of document (4) and to combine it with that of document (9), thereby arriving at the proposed solution of the patent in suit.

8.6.2.5 The Appellant objected to the tests of Table 13 in document (4) on the basis that they were carried out in vitro, not in vivo, so that no conclusion could be drawn therefrom. However, in vitro testing is a conventional method in the art in order to screen compounds for detecting the presence or absence of antifungal activity at an early test-stage. Furthermore, document (4) generally teaches an antifungal activity of the compounds based on those tests. Thus, the person skilled in the art would not ignore this clear teaching of the art.

8.6.2.6 With regard to the results in Table 13 of document (4), results which it previously attacked for their alleged lack of relevance, the Appellant objected that some compounds in that document showed inferior antifungal activity compared to others, addressing inter alia compounds no. 11d, 77a and 108b. The Appellant's argument fails, since any inferiority or superiority in the antifungal activity of compounds compared to others is irrelevant in the present case. The problem underlying the patent in suit does not consist in providing improved, but in providing merely further antifungal compounds, which is a less ambitious problem (see point 8.4 above).

8.6.2.7 The divergent arguments of the parties relating to

the "stability" of any methoxyacrylate and methoxyiminoacetate compounds cannot be taken into account in the present assessment of inventive step. The "stability" of the compounds, which the parties even interpret in different ways, does not affect the problem underlying the patent in suit. Therefore, any consideration relating to the "stability" of the compounds cannot discourage or encourage the skilled person, facing the objective problem to provide further antifungal compounds, from following that teaching of document (4) dealing with the structure/antifungal-effect relationship.

8.6.2.8 The Appellant further argued that document (9) directed the skilled person away from modifying the methyl methoxyacrylate group since this group was essential to secure optimum activity. He based his submission on the test results in Table VII of document (9); modifying the methyl ester group, the methyl ether group or the E-configuration entailed inferior antifungal activity. However, the patent in suit follows and does not divert from this line indicated in that document. According to the general formula (I) (see point III above) the claimed compounds comprise the methyl ester and the methyl ether group, and the E-configuration is covered by claim 1 of the patent in suit. Therefore the person skilled in the art is not deterred from combining the teaching of document (9) with that of document (4) in order to solve the problem underlying the patent in suit.

8.6.2.9 The documents (5), (6) and (14), the Appellant argued, did not recognize the equivalence of the methoxyiminoacetate to the methoxyacrylate group. This argument is not pertinent since document (4) does so (see point 8.6.1 above) giving the person skilled in the art the incentive to solve the problem underlying the patent in suit by substituting the methoxyiminoacetate group for the methoxyacrylate group.

The Appellant submitted that the documents (5), (6) and (14) put emphasis on the structural element of the •-methoxyacrylate group; the person skilled in the art was therefore not disposed to modify this structural element as the invention did. With regard to the emphasis, however, these documents, which contain a cross-reference to document (4), represent secondary literature being based on the teaching of that document. Hence, they do not add anything to the teaching of the original document (4) on their own. That original document teaches precisely to replace the •-methoxyacrylate group by the methoxyiminoacetate group while maintaining the antifungal activity. Therefore, the documents (5), (6) and (14) are unable to divert the person skilled in the art from following the teaching of document (4), and thereby arriving at the solution proposed by the patent in suit.

8.7 Therefore, in the Board's judgement, the subject-matter of claim 1 represents an obvious solution to the problem underlying the patent in suit and does

not involve an inventive step.

9. In these circumstances, the Appellant's main request is not allowable as the subject-matter of claim 1 lacks inventive step pursuant to Article 56 EPC.

First Auxiliary Request

10. The first auxiliary request differs from the main request solely in that the individual compounds of the disclaimed generic class, which were claimed in claim 1 according to the main request, are no longer claimed. In view of the considerations of the Board with respect to the main request indicated in points 4, 5.1, 6 and 7, the Board considers the requirements of Articles 54, 83, 84 and 123 EPC to be satisfied.
11. The considerations having regard to inventive step given in point 8 with respect to the main request are neither based on nor affected by the presence or absence of these individual compounds in claim 1. Therefore the conclusion drawn in point 8.7 with regard to the main request still applies for the first auxiliary request, i.e. the subject-matter of its claim 1 is obvious and does not involve an inventive step.
12. In these circumstances, Appellant's first auxiliary request also is not allowable for lack of inventive step pursuant to Article 56 EPC.

Second Auxiliary Request

13. Claim 1 of the second auxiliary request differs from that of the main request exclusively in that the substituent X in the general formula (I) mandatorily comprises a heteroaryl group as specified in detail in point V. This amendment is in accordance with the requirements of Article 123 EPC since it restricts the scope of the claims as granted and is backed up by the application as filed (page 2, line 17 to page 3, line 3).
14. In view of the considerations of the Board with respect to the main request indicated in points 5.1, 6 and 7 above, the Board considers the requirements of Articles 54, 83 and 84 EPC to be satisfied with respect to claim 1. The Respondent 2 objected to an inconsistency of claim 11 with claim 1. However, a decision of the Board on this matter is unnecessary, since the second auxiliary request including claim 11 is in any case not allowable for the reasons given in point 15 below.
15. The document (9), which represents the closest state of the art, already discloses generally compounds comprising a heteroaryl group in the substituent X (page 4, line 10) and individual compounds comprising as heteroaryl group the groups 2-furyl (Table I, no. 55, 56; Table IV, no. 178, 179), 2-thienyl (Table I, no. 77, 78; Table IV, no. 180, 181 [misprint]), 2-, 3- or 4-pyridyl (Table I, no. 83 to 85; Table II, no. 165 to 168; Table IV, no. 165 to

168), 2-, 4- or 5-pyrimidinyl (Table II, no. 169 to 171; Table IV, no. 169 to 171) and 2- or 3-pyrrolyl (Table IV, no. 182, 183). The mandatory presence of a heteroaryl group in the substituent X, hence, does not constitute for the matter of inventive step a feature distinguishing the subject-matter of claim 1 according to the second auxiliary request from that of document (9).

Although no specific biological data for those individual compounds have been reported in document (9), as the Appellant argued, the document teaches the skilled reader that the compounds disclosed in that document, thus, including also those comprising a heteroaryl substituent, are useful as fungicides in plants (claim 18; page 1, paragraph 1). Therefore document (9) still represents the starting point in the assessment of inventive step and the solution proposed by the patent in suit remains exclusively in substituting the methoxyiminoacetate group for the methoxyacrylate group in the compounds known from document (9), thereby arriving at the claimed compounds with the general formula (I).

The considerations having regard to inventive step given in point 8 with respect to the main request are neither based on nor affected by the presence or absence of heteroaryl groups in the substituent X. Therefore the conclusion drawn in point 8.7 with regard to the main request still applies for the second auxiliary request, i.e. the subject-matter of

claim 1 of the latter is obvious and does not involve an inventive step.

16. In these circumstances, Appellant's second auxiliary request is not allowable for lack of inventive step pursuant to Article 56 EPC as well, and the appeal must be dismissed.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar:

The Chairman:

E. Görgmaier

A. Nuss