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**D E C I S I O N**  
**of 6 March 2001**

**Case Number:** T 0165/98 - 3.3.1

**Application Number:** 87309931.1

**Publication Number:** 0274825

**IPC:** C07D 209/08

**Language of the proceedings:** EN

**Title of invention:**

Indole derivatives and their uses in agriculture

**Applicant:**

Syngenta Limited

**Opponent:**

-

**Headword:**

Indoles/SYNGENTA

**Relevant legal provisions:**

EPC Art. 56, 111(1), 123(2)

**Keyword:**

"Amendments (allowable) - directly and unambiguously derivable from numerous examples"

"Inventive step (yes, after amendment) - effect credible for all claimed compounds - unobvious solution"

**Decisions cited:**

T 0907/90, T 0939/92

**Catchword:**

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Case Number: T 0165/98 - 3.3.1

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.1  
of 6 March 2001

**Appellant:** Syngenta Limited  
Fernhurst  
Haselmere, Surrey GU27 3JE (GB)

**Representative:** Houghton, Malcolm John  
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**Decision under appeal:** Decision of the Examining Division of the  
European Patent Office posted 7 August 1997  
refusing European patent application  
No. 87 309 931.1 pursuant to Article 97(1) EPC.

**Composition of the Board:**

**Chairman:** A. J. Nuss  
**Members:** R. Freimuth  
R. T. Menapace

## Summary of Facts and Submissions

- I. The appeal lodged on 3 October 1997 lies from the decision of the Examining Division posted on 7 August 1997 refusing European patent application No. 87 309 931.1 (European publication No. 274 825).
- II. The decision of the Examining Division was based for the Contracting States AT, BE, CH, DE, FR, GB, GR, IT, LU, NL and SE on claims 1 to 10 and for the Contracting State ES on claims 1 to 7, according to the then pending request. The Examining Division found that the subject-matter of the claims lacked inventive step within the whole area claimed.

The Examining Division held in particular that document

(3) DE-A-2 821 693

disclosing acrylic acid derivatives useful as fungicides, represented the closest prior art with respect to that part of claim 1 which was entitled to the claimed priority date. The subject-matter claimed was also directed to compounds having fungicidal activity. According to claim 1 of the then pending request the substituent X in general formula (I) (see point III below) *inter alia* took the meaning "optionally substituted heteroaryl". However, the present application did not comprise any example having that particular meaning and having been tested for its fungicidal activity. While other meanings for the substituent X were exemplified and tested, the generalisation of the fungicidal results of these examples to those having the meaning "optionally substituted heteroaryl" was not allowable since that term embraced an infinite number of possibilities whose fungicidal activity had not yet been explored by the

Applicant. The fungicidal tests which were comprised in documents

(9) EP-A-429 968 and

(10) EP-A-439 785,

were to be disregarded as evidence to support that term in the claimed invention since they were postpublished such that the extent to which they could support the breadth of the claims would be speculative. Thus, it could not be considered a reasonable prediction that all the variants covered by the meaning "optionally substituted heteroaryl" for the substituent X would show the purported fungicidal activity. Therefore it was inherently unlikely that substantially all claimed compounds had that activity.

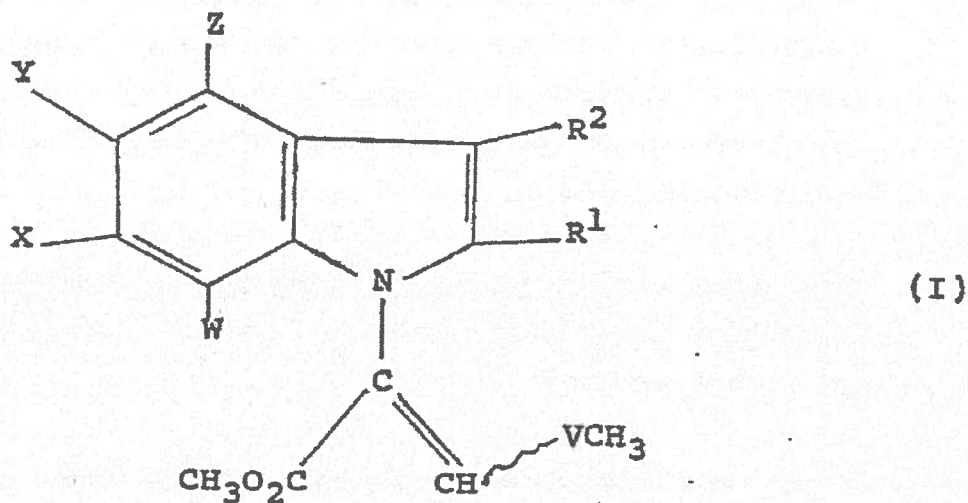
With respect to that part of claim 1 which was not entitled to the claimed priority date, the Examining Division considered document

(8) EP-A-206 523

as starting point while the claimed invention to that extent aimed at compounds having unexpected properties. However, on the grounds given above, the claims were not acceptable due to their breadth.

III. At the oral proceedings before the Board, held on 6 March 2001, the Appellant submitted an amended first set of six claims for the Contracting States AT, BE, CH, DE, FR, GB, GR, IT, LU, NL and SE and an amended second set of four claims for the Contracting State ES, both sets superseding any previous request. Independent claim 1 of that first set read as follows:

"1. A compound of the formula (I):



or a stereoisomer thereof, wherein  $R^1$ ,  $R^2$ ,  $W$ ,  $Y$  and  $Z$  are hydrogen;  $V$  is oxygen;  $X$  is hydrogen, halogen, nitro, cyano,  $C_{1-6}$  alkyl,  $C_{3-6}$  cycloalkyl,  $C_{3-6}$  cycloalkyl( $C_{1-6}$ )alkyl, phenyl( $C_{1-4}$ )alkyl, phenyl, phenoxy,  $C_{1-6}$  alkoxy, phenyl( $C_{1-4}$ )alkoxy,  $C_{2-6}$  alkenyl (optionally substituted with phenyl, furyl, thienyl or pyridyl),  $S(O)_nR^3$ , pyridyl, pyrimidinyl, thienyl, furyl or pyrrolyl;  $R^3$  is  $C_{1-6}$  alkyl, phenyl, phenyl( $C_{1-4}$ )alkyl, pyridyl, pyrimidinyl, thienyl, furyl or pyrrolyl; any of the foregoing alkyl or alkoxy moieties is optionally substituted by hydroxy, halogen,  $C_{1-6}$  alkoxy or  $C_{1-4}$  alkoxycarbonyl; any of the foregoing phenyl, pyridyl, pyrimidinyl, thienyl, furyl or pyrrolyl moieties is optionally substituted by halogen, hydroxy,  $C_{1-6}$  alkyl, trifluoro( $C_{1-6}$ )alkyl,  $C_{1-6}$  alkoxy or trifluoromethoxy and  $n$  is 0, 1 or 2."

The further independent claims 3, 4, 5 and 6 of that first set were directed to a process for preparing the compounds according to claim 1, to intermediate compounds within that preparation process, to a fungicidal composition comprising a compound as defined in claim 1 and to a method of combatting fungi by applying a compound according to claim 1.

The second set of claims for the Contracting State ES comprised independent claims directed to a fungicidal composition, to a method of combatting fungi and to a preparation process, these claims being identical to the respective claims for the other designated Contracting States.

- IV. The Appellant (Applicant) argued that both fresh sets of claims were restricted to subject-matter involving an inventive step.

Starting from document (3) as closest state of the art with respect to that part of claim 1 which was entitled to the claimed priority date, the compounds claimed had been acknowledged by the Examining Division as inventive without the need to demonstrate a technical advantage over the prior art. Furthermore all the compounds claimed had a fungicidal activity. The objections raised in the decision under appeal in particular against the breadth of claim 1 arising from the expression "optionally substituted heteroaryl" for the substituent X no longer applied due to the amendments made to the claims. To demonstrate the fungicidal activity of the claimed compounds the Appellant referred to the activity tests comprised in the present application and in documents (9) and (10), and to a test report submitted on 10 July 1992 in the examination proceedings and, additionally, to a fresh test report submitted on 14 February 2001 which was an extract from the file of the US family member of document (9). Those tests on fungicidal activity exemplified numerous individual compounds falling within the present claims. In view of the structurally very different substituents X in the compounds tested for their fungicidal activity, the generalisation from the tested compounds to claimed compounds not having been tested was reasonable due to their known chemical similarity. Thus, the variety of the evidence presented

gave full credence to the prediction that all variants claimed would show the requisite activity. Since there was no teaching in the cited state of the art to incorporate an indolyl substituent on the methyl  $\beta$ -methoxy(thio)acrylate moiety known from document (3) the subject-matter claimed was not obvious.

The Appellant considered document (8) to represent the closest state of the art with respect to that part of claim 1 which was not entitled to the claimed priority date. However, the aim was merely to provide further compounds having fungicidal activity without the need to show any improvement thereof. While the present activity tests justified that part of claim 1 as well, the claimed compounds were not obvious starting from document (8).

- V. The Appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the new sets of claims submitted during oral proceedings.
- VI. 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. *Amendments (Article 123 (2) EPC)*
  - 2.1 The subject-matter of claim 1 for all designated Contracting States other than ES is based on claim 1 of the application as filed. The definitions of the chain length of any alkyl and alkoxy group, of any cycloalkyl group and of the alkenyl group as having 1 to 6, 3 to 6

and 2 to 6 carbon atoms, respectively, are found on page 3, lines 2 and 6, and page 4, line 4 of the application as filed, thus providing a proper basis for the corresponding amendments throughout claim 1. The specific meanings of phenyl, phenoxy, phenyl(C<sub>1-4</sub>)alkyl and phenyl(C<sub>1-4</sub>)alkoxy substituting for the aryl(oxy), aralkyl and arylalkoxy groups, respectively, find support on page 3, lines 15, 17 and 29 of the original application. The list of specific heteroaryl groups is backed up by original page 4, lines 11 and 12. Both lists of specific optional substituents on the foregoing groups find support on page 3, lines 11 to 13, and 20 and 21 in combination with page 4, lines 12 and 13 of the application as filed.

Furthermore, the Appellant has amended claim 1 in restricting the subject-matter thereof to the specific sub-class of compounds according to formula (I) wherein the substituents R<sup>1</sup>, R<sup>2</sup>, W, Y and Z have the sole meaning "hydrogen" and the group V means "oxygen". In order to determine whether that amendment offends against Article 123 (2) EPC, it is necessary to examine whether it introduces technical information which a skilled person would not have directly and unambiguously derived from the application as filed. While the application as filed does not describe *expressis verbis* that particular sub-class of compounds, a literal interpretation of the application is inappropriate as the skilled person to whom any technical information is addressed would not stick to the wording but would consider the whole content of any document (see decision T 907/90, point 2.2 of the reasons, not published in OJ EPO). Thus, for obtaining further information, the skilled reader would turn in particular to the examples representing the experimental work actually carried out and disclosing numerous individual compounds. In Table I on pages 5 to 8, the application as filed comprises 40 examples of



individual compounds, the overwhelming majority thereof, i.e 32 compounds, showing the particular sub-class of compounds specified in amended claim 1, namely the substituents R<sup>1</sup>, R<sup>2</sup>, W, Y and Z being hydrogen and the group V oxygen. Moreover, the application as filed comprises in the form of an example fungicidal activity tests of 6 representative compounds of that particular sub-class, thus leading to the conclusion that it actually forms the core of the invention. For these reasons, in the Board's judgement, the skilled person derives directly and unambiguously from those sections of the application as filed the particular sub-class of compounds defined in claim 1 where the substituents R<sup>1</sup>, R<sup>2</sup>, W, Y and Z represent hydrogen and the group V oxygen, without generating technical information which extends beyond the content of the application as filed.

Claim 2 refers to preferred alternatives listed in present claim 1 for the substituent X. Claims 3 and 4 are based on original claims 2 and 4, their wording being adapted to present claim 1. Claims 5 and 6 find a basis in claims 7 and 8 of the application as filed.

2.2 The subject-matter of claims 1 to 4 for the Contracting State ES is basically that of the respective claims for the other designated Contracting States and, thus, supported by the application as filed.

2.3 For these reasons, the Board concludes that the amendments made to the claims according to both sets, i.e. for all designated Contracting States, are in keeping with the requirements of Article 123 (2) EPC.

### 3. *Novelty*

The Board is also satisfied that the restricted subject-matter as defined in the present claims is novel and meets the requirements of Article 54 EPC.

Since novelty has already been acknowledged by the Examining Division in the decision under appeal for the then pending claims which were broader in scope than those present, it is not necessary to give detailed reasons for that finding.

4. *Inventive step*

It remains to decide whether or not the subject-matter of the present claims involves an inventive step as required by Article 56 EPC.

- 4.1 Claim 1 for all designated Contracting States other than ES is directed to methyl  $\beta$ -methoxyacrylates substituted at their  $\alpha$ -carbon atom with a particular heterocyclic ring, showing fungicidal activity.

Similar compounds having the identical activity already belong to the state of the art. Document (3) which is published before the priority date of the present application, refers to  $\alpha$ -substituted methyl  $\beta$ -methylthioacrylates having fungicidal activity (page 5, paragraph 1). Document (8), which is published after the priority date but before the filing date of the present application, refers to methyl  $\beta$ -methoxyacrylates substituted at their  $\alpha$ -carbon atom with a different heterocyclic ring which show fungicidal activity (column 1, line 2).

Since claim 1 is entitled to the claimed priority date only in part, the Board considers, in agreement with the Appellant and the Examining Division, that document (3) represents the closest state of the art with respect to that part of claim 1 enjoying the claimed priority right and that document (8) does the same with respect to the other part of claim 1 having not the benefit thereof. Hence, both documents are taken

jointly as the starting point in the assessment of inventive step.

- 4.2 In view of this state of the art, i.e. with respect to both documents addressed above, the problem underlying the present application as submitted by the Appellant consists in providing further compounds having fungicidal activity.
- 4.3 The patent in suit proposes as the solution to this problem the compounds according to claim 1 with the general formula (I) (see point III above) which are characterized by the presence of a 6-substituted indolyl ring bonded to the  $\alpha$ -carbon atom of the methyl  $\beta$ -methoxyacrylates.
- 4.4 To support his submission that all claimed compounds show in fact the alleged fungicidal activity and, thus, successfully solve the problem as defined in point 4.2 above (see decision T 939/92, OJ EPO 1996, 309, point 2.6), the Appellant referred to numerous activity tests of individual compounds purportedly covered by claim 1, which were comprised in the present application, in documents (9) and (10), in a test report submitted on 10 July 1992 in examination proceedings and in a fresh test report submitted on 14 February 2001.
- 4.4.1 Documents (9) and (10) comprise tests for fungicidal activity in examples A to E and example H, respectively, and other tests for insecticidal activity which latter, hence, are irrelevant in the present case. Those former tests refer to several individual compounds some of them having a chemical structure according to general formula (I) of the present application wherein the substituent at the 6-position of the indolyl ring, called substituent X in terms of that general formula, is either a thiazole ring or a phenyl substituted pyrimidine ring. However, these

individual compounds are outside the scope of claim 1 as now amended since it covers neither definition of the substituent X.

For that reason, the Appellant cannot successfully rely on the activity tests comprised in documents (9) and (10) as evidence for the alleged fungicidal activity of the claimed compounds.

The fresh test report submitted on 14 February 2001 comprises *inter alia* activity tests of individual compounds of document (9) which for the reasons given above are outside the scope of claim 1 as amended; to that extent the same conclusion necessarily applies to that report.

- 4.4.2 The test report submitted on 14 February 2001 to the extent that it refers to individual compounds covered by claim 1, the test report submitted on 10 July 1992 and the test report comprised in the present application on page 18 (Table III) demonstrate that claimed compounds achieve a fungicidal activity. Numerous individual compounds were tested in those reports against a variety of foliar fungal diseases of plants, e.g. Venturia inaequalis on apple, and the results indicated show that the claimed compounds which have been tested inhibit fungal diseases.

In all the compounds according to general formula (I) successfully tested for their fungicidal activity the substituents R<sup>1</sup>, R<sup>2</sup>, W, Y and Z are exclusively hydrogen and the group V exclusively oxygen; that substitution pattern is now reflected in claim 1. The substituent X at the 6-position of the indolyl group in the individual compounds tested has been varied as to its chemical structure over a broad range. Thus, very different chemical groups have been tested, i.e. hydrogen, methoxy, ethoxy, phenyl, styryl, pyridyl,

bromo and iodo. Claim 1 has been amended to comprise only groups as substituent X which, in the present case, are considered to possess chemical similarity to those tested, i.e. to particular (cyclo)aliphatic and ether groups, to particular heteroaryl groups, to further halogen and electron-withdrawing groups, and optionally to specific conventional substituents on those groups. In the light of the structural variety of the substituent X in the compounds tested for their fungicidal activity and in view of having adapted thereto the definitions of that substituent in present claim 1, the Appellant's extrapolation of the presence of a fungicidal activity from the tested compounds to any untested compound within that claim, in the Board's judgement, appears plausible. Therefore, on the basis of the present test reports and in the absence of evidence to the contrary, it is credible that in the present case all claimed compounds show the required fungicidal activity.

4.4.3 For these reasons, it has been convincingly demonstrated based on the evidence on file, that the problem underlying the application to provide further compounds having fungicidal activity (see point 4.2 above) has been successfully solved within the whole area claimed.

4.5 Finally, it remains to be decided whether or not the proposed solution to the problem underlying the present application involves an inventive step.

Document (3), i.e. the closest prior art document with respect to that part of claim 1 enjoying the claimed priority right, is directed to methyl  $\beta$ -methylthioacrylates having fungicidal activity which are substituted at their  $\alpha$ -carbon atom with a carbonyl or sulfonyl group. Document (8), i.e. the closest prior art document in respect to that part of claim 1 which

does not benefit from the claimed priority right, is directed to methyl  $\beta$ -methoxyacrylates having fungicidal activity which are substituted at their  $\alpha$ -carbon atom with a pyrrolyl group. Neither document gives any incentive to structurally modify those substituents at the  $\alpha$ -carbon atom into a 6-substituted indolyl ring as defined in amended claim 1 in order to provide further fungicidal compounds. Thus, document (3), on its own, or document (8), on its own or in combination with document (3), do not point to the claimed solution proposed for solving the problem underlying the present application.

4.6 The Examining Division not relying on further documents in the decision under appeal in order to challenge obviousness, the Board, being not aware of any further relevant document, is, thus, satisfied that the state of the art addressed in the proceedings does not render the claimed invention obvious.

4.7 For these reasons, the Board concludes that the subject-matter of claim 1 for all designated Contracting States other than ES, and, by the same token, that of independent claims 3, 4, 5 and 6 referring to a process for preparing the compounds according to claim 1, to intermediate compounds within that preparation process, to a fungicidal composition comprising a compound as defined in claim 1 and to a method of combatting fungi by applying a compound according to claim 1, respectively, as well as that of independent claims 1, 3 and 4 for the Contracting State ES being identical to the respective claims for the other designated Contracting States, and that of dependent claim 2, involve an inventive step within the meaning of Articles 52 (1) and 56 EPC.

5. *Remittal*

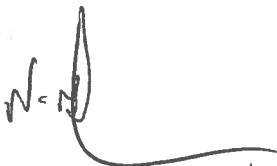
Having so decided, the Board has not, however, taken a decision on the whole matter, since substantial amendments to the description are required in order to bring it into conformity with the claims as amended. Under these circumstances the Board considers it appropriate to exercise the power conferred to it by Article 111 (1) EPC to remit the case to the Examining Division for the purpose of properly adapting the description of the application to the present claims.

**Order**

**For these reasons it is decided that:**

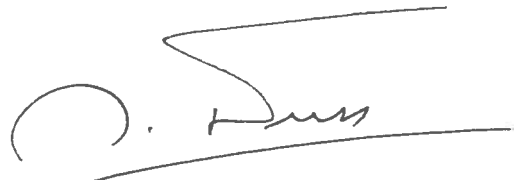
1. The decision under appeal is set aside.
2. The case is remitted to the first instance with the order to grant a patent on the basis of the two sets of claims submitted during the oral proceedings and a description to be adapted.

The Registrar:



N. Maslin

The Chairman:



A. Nuss

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