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D E C I S I O N
of 24 July 2001

Case Number: T 0051/98 - 3.3.1

Application Number: 89302029.7

Publication Number: 0336558

IPC: C07F 9/32

Language of the proceedings: EN

Title of invention:

Novel organic phosphorous derivatives and manufacturing processes therefor

Patentee:

NISSAN CHEMICAL INDUSTRIES LTD., et al

Opponent:

Aventis CropScience GmbH

Headword:

Phosphinothrycin/NISSAN

Relevant legal provisions:

EPC Art. 100(a), 100(b)

Keyword:

"Sufficiency of disclosure (yes)"

"Inventive step (yes) - non-obvious solution"

Decisions cited:

T 0163/84, T 0018/88, T 0409/91

Catchword:

-



Case Number: T 0051/98 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 24 July 2001

Appellant:
(Opponent) Aventis CropScience GmbH
Patent- und Lizenzabteilung
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Representative: -

Respondent:
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Decision under appeal: Decision of the Opposition Division of the
European Patent Office posted 15 October 1997
rejecting the opposition filed against European
patent No. 0 336 558 pursuant to Article 102(2)
EPC.

Composition of the Board:

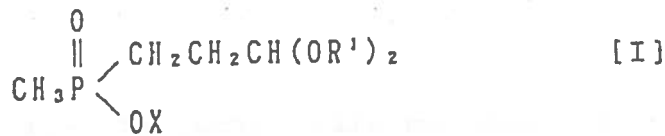
Chairman: A. J. Nuss
Members: P. F. Ranguis
S. C. Perryman

Summary of Facts and Submissions

I. The Appellant (Opponent) lodged an appeal against the decision of the Opposition Division rejecting pursuant to the provisions of Article 102(2) EPC the opposition against the European patent No. 0 336 558 (European patent application No. 89302029.7) under Article 100(a) EPC (lack of inventive step of Claims 1 to 10) and Article 100(b) EPC (subject matter of process Claims 3 to 10).

II. The decision under appeal was based on Claims 1 to 10 as granted. Independent Claims 1, 3, 5 and 8 read as follows:

"1. Methyl-3,3'-dialkoxypropylphosphinic acid derivatives represented by the general formula (I)



in which R¹ means an alkyl group of 1-10 carbon atoms and X means a halo-alkyl group having from 1 to 4 carbon atoms or a phenyl group."

"3. A process for manufacturing methyl-3,3'-dialkoxypropylphosphinic acid derivatives represented by the formula (I) given in Claim 1, which comprises the reaction of a compound represented by the general formula (II)

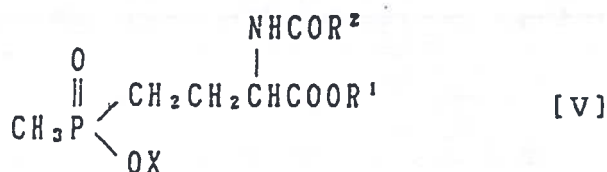


in which X means a halo-alkyl group having from 1 to 4 carbon atoms or a phenyl group, a compound represented by the general formula (III)

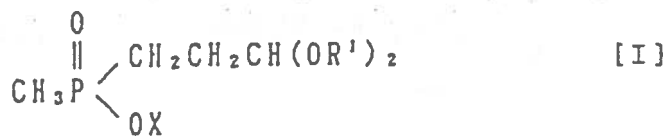


in which R¹ means an alkyl group of 1-10 carbon atoms, hydrogen and carbon monoxide, in the presence of a catalyst comprising an VIII group metal in the periodic table."

"5. A process for manufacturing 2-amino-4-(alkoxymethylphosphino) butyrate derivatives represented by the general formula (V)



in which R¹ means an alkyl group of 1-10 carbon atoms, R² means an alkyl group comprising up to 12 carbon atoms, phenyl group, methyl substituted phenyl, trimethyl substituted phenyl, butyl substituted phenyl, methoxy substituted phenyl, cyano substituted phenyl, fluorine substituted phenyl, fluorine disubstituted phenyl, chlorine-fluorine substituted phenyl, chlorine substituted phenyl, chlorine disubstituted phenyl, bromine substituted phenyl, methyl-chlorine substituted phenyl, benzoyl substituted phenyl, naphthyl, methyl substituted phenylbutyl or benzyl, and X means an alkyl group having from 1 to 4 carbon atoms, a halo-alkyl group having from 1 to 4 carbon atoms or phenyl group, which comprises reaction of a methyl-3,3'-dialkoxypropylphosphinic acid derivative represented by the general formula (I)

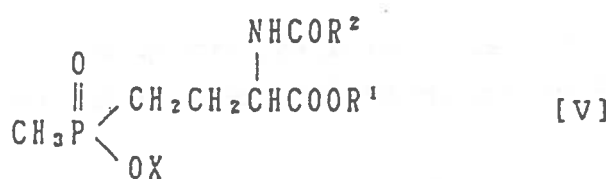


in which R¹ means an alkyl group of 1-10 carbon atoms and X means an alkyl group having from 1 to 4 carbon atoms, halo-alkyl group having from 1 to 4 carbon atoms and phenyl group, a compound represented by the general formula (IV)

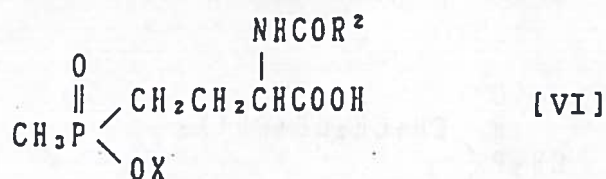


in which R² is as defined above, and carbon monoxide, or hydrogen and carbon monoxide, in the presence of a catalyst comprising an VIII group metal in the periodic table."

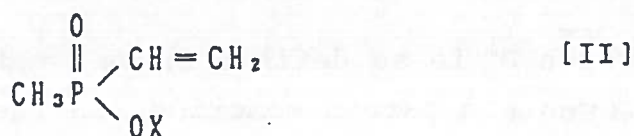
8. "A process for manufacturing 2-amino-4-(alkoxymethylphosphino) butyrate derivatives represented by the general formula (V)



in which R¹ means an alkyl group of 1-10 carbon atoms, R² is as defined in Claim 5, and X means an alkyl group having from 1 to 4 carbon atoms, a halo-alkyl group having from 1 to 4 carbon atoms or phenyl group, and/or 2-amino-4-(alkoxymethylphosphino) butyric acid derivatives represented by the general formula (VI)



in which R² is as defined in Claim 5, and X means an alkyl group having from 1 to 4 carbon atoms, halo-alkyl group having from 1 to 4 carbon atoms, or phenyl group, which comprises reaction of a compound represented by the general formula (II)



in which X means an alkyl group having from 1 to 4 carbon atoms, a halo-alkyl group having from 1 to 4 carbon atoms or phenyl group, a compound represented by the general formula (III)



in which R¹ means an alkyl group of 1-10 carbon atoms, a compound represented by the general formula (IV)



in which R² is as defined in Claim 5, hydrogen and carbon monoxide, in the presence of a catalyst comprising an VIII group metal in the periodic table."

III. The Opposition Division held that the patent in suit, insofar as it related to Claims 3 to 10, disclosed the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art.

The Opposition Division also found that the subject-matter of Claims 1 to 10 involved an inventive step in view, in particular, of the following documents cited with the statement of grounds of opposition:

- (1) EP-A-0 009 022
- (2) Journal f. prakt. Chemie, Bd. 318, Heft 1, 1976, pages 157-160
- (3) DD-Patent Nr. 116 236
- (5) J. Am. Chem Soc. 1982, 104, 3527-3529
- (6) J. Org. Chem. 1980, 45, 684-689
- (7) J. Chem. Soc. Chem. Comm. 1971, 1540
- (8) Chem. Abstr. vol. 104, 1986, Abstr. No. 33873f
- (9) J. Organomet. Chem. 279, 1985
- (10) Chemtech 1979, 536, referring to
 - (a) GB-A-2 000 132 and
 - (b) US-A-3 766 266.

IV. The Opposition Division was of the opinion that the Opponent had submitted no convincing argument concerning the ground of opposition based on Article 100(b) EPC. In particular, the Opponent had provided no evidence that the alternatives to dicobalt octacarbonyl as catalysts disclosed in the description could not be carried out by a person skilled in the art.

Regarding the lack of inventive step (Article 100(a) EPC), the Opposition Division held that, starting from document (1) as the closest state of the art, none of

the documents (7), (8) and (10b) in combination with document (1) provided the skilled person with a teaching suggesting the preparation of compounds (V) according to the subject-matter of Claims 5 to 7 of the patent in suit, in view of the substantial structural difference between the aldehydes or acetals used in the above cited documents (7), (8) and (10b) as substrates for the amido-carbonylation on the one hand, and the acetals of formula (I) containing a phosphinic moiety used in the Claims 5 to 7 on the other hand.

It followed from the above conclusions that the inventive concept underlying Claims 5 to 7 supported the inventive step for the subject-matter of Claims 1 and 2 related to the intermediate products of formula (I) and that of Claims 3 and 4 related to a process for preparing said products of formula (I).

Regarding Claims 8 to 10, the Opposition Division held that, starting from document (2) as the closest state of the art, none of the documents (9) and (10a) in combination with document (2) provided the skilled person with an incentive to prepare compounds (V) and/or (VI).

V. The Appellant's submissions presented in writing and during oral proceedings, which took place on 24 July 2001, can be summarised as follows:

- Having regard to the lack of sufficiency of disclosure under Article 100(b) EPC, the subject matter of Claims 3 to 10 related to the hydroformylation or amidocarbonylation of compounds of formula (I) or (II) in the presence of a catalyst comprising an VIII group metal in the periodic table. Given that the examples of the patent in suit were only performed with dicobaltoctacarbonyl as catalyst, the person

skilled in the art could not derive from that any guidance regarding the conditions of the reactions to be used with other catalysts. In particular, the documents (5), (6) and (9) showed that the regioselectivity of hydroformylation of olefins depended upon the catalyst structure. While regioselectivity with dicobaltoctacarbonyl catalyst led to the addition of carbonyl on the end carbon atoms (to form a "normal" aldehyde), the regioselectivity of other catalysts, in sharp contrast, was not foreseeable. This was confirmed by experiments No's. 1 and 2 submitted with the statement of grounds of appeal which showed that

- (a) carbonylation of methylvinylphosphinic acid ethyl ester in presence of $\text{RhH}(\text{CO})(\text{PPh}_3)_3$ and methanol only led to 2% of linear ("normal") aldehyde,
- (b) amidocarbonylation of methylvinylphosphinic acid ethyl ester in presence of $\text{RhH}(\text{CO})(\text{PPh}_3)_3$, methanol and acetamide produced no 2-N-acylamino-4-ethoxy-4-(methylphosphinyl) butyric acid methylester.

Thus, neither the patent in suit nor the common general knowledge provided the person skilled in the art with the relevant information to select the appropriate catalyst other than dicobaltoctacarbonyl to obtain the desired linear products with high yields as stated by the claimed invention. It had to be concluded that, contrary to the requirement stated in decision T 409/91, the extent of Claims 3 to 10 was not justified by the technical contribution of the invention to the art, in particular if the solution to the technical problem was to be seen in an improved process for the preparation of phosphinothricin.

- Having regard to the lack of inventive step of Claim 5 under Article 100(a) EPC, the amidocarbonylation reactions for preparing N-acyl-aminoacids were already known from documents (7), (8) and (10b), rendering obvious the claimed process. Assuming that, as acknowledged by the Opposition Division, the technical problem underlying the claimed subject-matter was to be seen in the provision of an improved process for preparing phosphinothricin compared to the known process as set out in document (1), no clear advantage of the claimed process in view thereof had been shown.

- Having regard to the lack of inventive step of Claim 8 under Article 100(a) EPC, no clear advantage had been shown in comparison to document (2) which disclosed a process for preparing phosphinothricin by reacting methylvinylphosphinic acid 2-chloroethylester and acetaminomalonic acid ester to provide methyl-(3,3-bis-ethoxycarbonyl-3-acetamino-propyl)-phosphinic acid 2-chloroethyl ester and subjecting the said compound to acid hydrolysis to phosphinothricin.

VI. The Respondent (Proprietor of the patent), with regard to the objection of insufficiency of disclosure of Claims 3 to 8, argued that the results of the experiments provided by the Appellant were anomalous and that the person skilled in the art would have had no difficulty to select the appropriate reaction conditions in accordance with the teaching of the patent in suit.

Having regard to the objection of lack of inventive step, the Respondent supported the reasons of the decision of the Opposition Division and further pointed

out that the subject-matter of Claim 5 provided multiple advantages compared with the processes disclosed in the prior art, in particular:

- the yields associated with the Claim 5 are greater than the yields associated with the prior art, while the reaction times are comparable,
- the examples No's. 3 and 4 of document (1) involve the use of large amounts of toxic reagents and evolve toxic side-products which results in a large problem when formulating an appropriate pollution counter-measure. There was also a more economical efficiency of the claimed process.

VII. The Appellant requested that the decision under appeal be set aside and that the patent be revoked. The Respondent requested that the appeal be dismissed.

VIII. 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. *Article 100(b) EPC - Sufficiency of disclosure*
 - 2.1 The ground of opposition under Article 100(b) EPC is directed against Claims 3 to 10. It has, therefore, to be decided whether the patent in suit discloses the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art insofar as the subject matter of Claims 3 to 10 is concerned.

2.2 The Appellant argued that Claims 3 to 10 embraced process conditions that could not operate successfully. In that context, he relied upon documents (5), (6) and (9) and submitted two trials aimed to demonstrate that, in presence of hydorrhodium carbonyl tris (triphenylphosphine), the carbonylation reaction according to Claim 3 and the amidocarbonylation reaction according to Claim 8 did not occur (see point V above).

2.3 Regarding the subject matter of Claim 3 and its dependent Claim 4, the patent in suit describes in general terms the reaction and indicates that transition metals belonging to VIII group in the periodic table such as cobalt, rhodium, iron, nickel, ruthenium, osmium, iridium and platinum are to be used as the catalyst. In particular are cited the carbonyl compounds thereof, preferably of cobalt and rhodium, among which hydrocobalt carbonyl, dicobalt octacarbonyl, tetradirhodium-carbonyl and hexarhodium-hexadecacarbonyl are particularly preferred, which may be used alone or as a mixture. The patent in suit details further that the catalyst may be stabilized by a phosphine compound (cf. page 4, lines 26 to 28; page 5, lines 1 to 15; page 6, lines 14 to 18 and lines 21 to 23). Example 1 illustrates such a reaction in using methylvinylphosphinic acid-2-chloroethylester as starting compound of formula (II) and dicobalt octacarbonyl as catalyst.

2.4 First, the Board would like to point out that the subject matter of Claims 3 and 4 relates to the hydroformylation of compounds of formula (II) to prepare compounds of formula (I), in the presence of a catalyst, a means well-known per se, and alcohol. It is not contested that all the compounds of formula (I) can be obtained starting from the appropriate compound of

formula (II) with cobalt carbonyl as catalyst. It is, therefore, the Board's conclusion that the patent in suit discloses the subject matter of the invention insofar as Claims 3 and 4 are concerned in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art. Contrary to the view expressed by the Appellant, this situation differs from that which prevailed in the decisions T 409/91 (OJ EPO 1994, 653), where only some members of the aimed entities could be obtained.

- 2.5 The Appellant, nevertheless, argued that the invention could not be carried out with catalysts other than cobalt without undue burden. He submitted, first, that documents (5) and (6) showed that the regioselectivity of the hydroformylation reaction was dependent of the nature of the catalyst, rhodium carbonyl catalyst yielding, in particular, a branched aldehyde contrary to cobalt carbonyl and that the patent in suit did not give any guidance which would have enabled the person skilled in the art to find the appropriate conditions to perform the reaction at issue, except from that with cobalt carbonyl. However, the Board observes that documents (5) and (6) relate to hydroformylation of trifluoropropene or pentafluorostyrene and methyl methacrylate respectively. Those compounds are so far from those of formula (II) that they cannot be considered as a proper evidence that the invention insofar as Claims 3 and 4 are concerned is not enabling for catalysts other than cobalt.

Furthermore, the Appellant submitted as evidence a trial showing that in presence of hydorrhodium carbonyl tris (triphenylphosphine), methanol, CO (3 MPa), H₂ (3 MPa) and at 60°C, the carbonylation of methylvinyl phosphinic acid ethyl ester did not yield the corresponding expected acetal and that the regioselectivity of the reaction was directed to the

branched aldehyde. However, the Board observes that the carbonylation trial was made with a starting compound which is not included in the definition of the compounds of formula (II). Indeed, the radical X cannot be alkyl. A prerequisite condition for a trial to qualify as evidence for supporting insufficiency of disclosure of a claimed invention is that it relates to an embodiment **within** the claimed invention.

- 2.6 Regarding the subject matter of Claim 5 and its dependent Claims 6 and 7, the Board observes that the Appellant did not substantiate his assertions in the appeal proceedings. There is no need, therefore, to deal with that issue as the Board does not see any successful challenge of these claims under Article 100(b) EPC.
- 2.7 Regarding the subject matter of Claim 8 and its dependent Claims 9 and 10, the patent in suit describes in general terms the reaction concerned and indicates that transition metals belonging to VIII group in the periodic table such as cobalt, rhodium, iron, nickel, ruthenium, osmium, iridium and platinum are used as catalyst. In particular are cited the carbonyl compounds thereof, preferably of cobalt and rhodium, among which hydrocobalt carbonyl, dicobalt octacarbonyl, tetradirhodium-carbonyl and hexarhodium-hexadecacarbonyl are particularly preferred, which may be used alone or as a mixture. The patent in suit details further that the catalyst may be stabilized by a phosphine compound (cf. page 4, lines 44 to 57; page 5, lines 34 to 56; page 6, lines 14 to 18 and lines 21 to 23). Examples No. 6 illustrates such a reaction in using methylvinylphosphinic acid-2-chloroethylester as starting compound of formula (II) and dicobalt octacarbonyl as catalyst.

2.8 First, the Board would like to point out that the subject matter of Claims 8 to 10 relates to the amidocarbonylation of compounds of formula (II) to prepare compounds of formula (V) and/or (VI), in the presence of a catalyst, a means well-known per se, an alcohol and an amide. It is not contested that all the compounds of formula (V) and/or (VI) can be obtained starting from the appropriate compound of formula (II) with cobalt carbonyl as catalyst. It is, therefore, the Board's conclusion that the patent in suit discloses the subject matter of the invention insofar as Claims 8 to 10 are concerned in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art. For the same reasons as those expressed in point 2.4 above the decision T 409/91 is not relevant in the present case.

2.9 The Appellant, nevertheless, argued that the invention could not be carried out with other catalysts than cobalt without undue burden. The Appellant submitted, first, that document (9) showed that the reaction of amidocarbonylation could not be carried out in presence of rhodium carbonyl alone and that the patent in suit did not give any guidance which would have enabled the person skilled in the art to find the appropriate conditions to perform the reaction at issue, except from that with cobalt carbonyl. However, the Board observes that document (9) relates to amidocarbonylation of trifluoropropene (see page 211). This compound is so far from those of formula (II) that it cannot be considered as a proper evidence that the invention, insofar as Claims 8 to 10 are concerned, is not sufficiently disclosed.

- 2.10 Furthermore, the Appellant submitted a trial showing that in the presence of hydorrhodium carbonyl tris (triphenylphosphine), methanol, acetamide, CO (10 MPa), H₂ (10 MPa) and at 100°C, the amidocarbonylation of methylvinyl phosphinic acid ethyl ester did not yield the corresponding expected ester (compound of formula (V) above) and that the regioselectivity of the reaction was directed to the branched aldehyde.

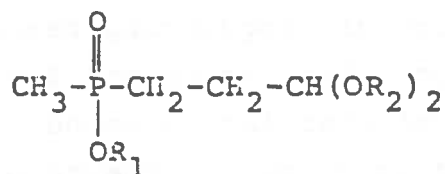
Claim 8 and its dependent Claims 9 and 10 are directed to a process for manufacturing a product of a specified formula from a reagent of a specified formula, in the presence of a catalyst comprising an VIII group metal in the periodic table. It is not in dispute that this process can be carried out using the cobalt (an VIII group metal) catalyst exemplified in the description. That the Appellant has shown that with the particular conditions specified for their experiments the desired product is not made using a particular rhodium catalyst, is not sufficient to enable the Board to conclude that no rhodium catalysts will work as desired in the processes now claimed, even in the absence of any counter-experiments by the patentee showing that a rhodium catalyst does work for the process claimed. Where as here the invention is concerned with the choice of starting materials to be used in a new process to make particular products, and enough information is present to allow the skilled person to carry out the invention as claimed, the invention cannot be considered as insufficiently disclosed for a person skilled in the art.

3. *Inventive step - Article 56 EPC*

- 3.1 The set of claims of the patent in suit comprises four independent claims i.e. Claims 1, 3, 5 and 8. It is, therefore, necessary to examine independently whether those claims comply with the requirement of Article 56

EPC (cf. T 163/84, OJ EPO 1987, 301, point 7 of the reasons). As held by the Opposition Division and in agreement with the parties, the Board considers the question of the obviousness of Claim 5 must be, first, examined.

3.2 Regarding Claim 5 of the patent in suit (see point II above), the Board considers, as held by the Opposition Division and in agreement with the parties, that document (1) represents the closest state of the art and, thus, the starting point in the assessment of inventive step. Indeed, this document relates to a process for preparing D,L-2-amino-4-methylphosphinobutyric acid, which is one of the herbicides of formula (VII) that can be prepared by hydrolysis of the compounds of formula (V) according to the patent in suit (see page 6, line 52 to page 7, line 17 and submissions of the Respondent of 2 September 1998, point 19), by starting from an acetal of an ester of 3-oxopropyl-methylphosphinic acid of formula:



wherein R₁ means a lower-alkyl group having 1 to 5 carbon atoms and R₂ means a lower-alkyl group having 1 to 5 carbon atoms, an allyl group, a phenyl group or a substituted phenyl group (cf. page 2, line 26 to page 3, line 4).

3.3 In the next step, the technical problem which the invention according to Claim 5 addresses in the light of document (1) is to be determined. The Respondent,

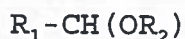
relying upon the comparison between Example No. 3 of the patent in suit and Examples Nos. 3 and 4 of document (1) argued that the claimed process represented a significant improvement in terms of yield, reaction time, use of less toxic reagents and production of less toxic side products and economical efficiency. However, the Board observes that Example No. 3 of the patent in suit relates to the synthesis of 2-N-acylamino-4-chloroethoxy-4-(methylphosphinyl) butyric acid methyl ester involving methyl-3,3'-dimethoxypropylphosphinic -2-chloroethyl ester as starting product while the Examples Nos. 3 and 4 of document (1) relate to the preparation of 2-amino-4-methylphosphinobutyric acid involving ethyl 3-oxopropylmethylphosphinate as starting product. Even though it was admitted that the 2-N-acylamino-4-chloroethoxy-4-(methylphosphinyl) butyric acid is further submitted to hydrolysis, it remains that the starting products differ at least by the phosphinic acid ester moiety (ethoxy instead of chloroethoxy). Under those circumstances, any comparison is of no value regarding the yield and the reaction time for it is not such that those alleged improvements have their origin in the distinguishing feature of the invention, namely amidocarbonylation vis à vis Strecker synthesis with the same starting compound. Furthermore, regarding the alleged more toxic reagents or side products involved or produced in the reaction disclosed in document (1), this contention is, in the Board's judgment, insufficiently substantiated. The Board could acknowledge as an advantage the fact that a process is safer than another one. However, the situation here is not so clear. As pointed out by the Appellant, even though it can be accepted that the Strecker reaction requires the use of toxic reagents like potassium cyanide, hydrocyanic acid gas, ammonia and ammonium chloride, the reagents used in the claimed process such as heavy metals, carbon monoxide or hydrogen cannot be

considered as safe reagents. It is to be noted that the Respondent himself, at paragraph 42 of his response received on 11 September 1998, mentions the explosive nature of the hydrogen gas. The Board does not contest that it would not be possible to render the oxo process safe. Nevertheless, this does not demonstrate that the said process is **safer** than a process using Strecker reaction if the required precautions are taken. Furthermore, regarding the alleged higher economical efficiency of the claimed process vis à vis document (1), the fact that the aldehyde produced in Example No. 2 of this document is unstable cannot alone substantiate such an argument.

- 3.4 As each of the parties to the proceedings carry the separate burdens of proof of any fact it alleges, it is the Board's conclusion that in absence of any evidence, the technical problem cannot be seen in providing an improved process but rather in the provision of a further process for preparing a phosphinothrycin type compound.
- 3.5 The description of the patent in suit, in particular Examples Nos. 3, 4 and 5, demonstrate that the subject matter of Claim 5 represents indeed a solution to the technical problem as defined in point 3.4 above.
- 3.6 It remains to be decided whether or not the proposed solution to the problem underlying the subject matter of Claim 5 is obvious in view of the prior art.
- 3.7 The Board concurs with the Appellant's submissions that the reactions of amidocarbonylation of various aldehydes or diacetals in presence of dicobalt-octacarbonyl for preparing N-acylamino acids were disclosed by documents (7) or (8) and (10b) respectively. It can even be derived from those

documents that under the given reaction conditions there is a close relationship between aldehydes and diacetals related thereto. However, the fact that those reactions are *in abstracto* known does not mean that they are applicable to any starting compounds without considering the material teaching provided by the documents from which those reactions are known.

- 3.8 In that context, it is observed that none of documents (7) or (8) and (10b) relate to the amidocarbonylation of diacetal or aldehyde compounds having a phosphinic acid ester moiety. Even document (8) which discloses the amidocarbonylation of acetals of formula:



wherein R_2 is *inter alia* a heterocyclic group,

cannot rebut this finding as a heterocyclic group contains generally a heteroatom selected from N, O or S and not P, let alone a group of formula $P(=O)-O-$.

- 3.9 In the Board's judgment, the person skilled in the art seeking an alternative to the process for preparing a phosphinothricin type compound disclosed in document (1) would not have contemplated the possibility of using a compound of formula (I) in a reaction of amidocarbonylation, because, as held by the Opposition Division, none of the documents (7), (8) and (10b) provide the skilled person with a teaching or even a hint in that respect due to the substantial structural difference between the aldehydes of acetals used in the above cited documents as substrates for amidocarbonylation and the acetals of formula (I) used in the patent in suit.

3.10 It follows from the above that the subject matter of Claim 5 is not rendered obvious by document (1) in combination with documents (7), (8) and (10b). The same applies to dependent Claims 6 and 7 relating to specific embodiments of said independent Claim 5.

3.11 Regarding Claims 1 and 2, the Board observes that the claimed compounds of formula (I) are new. This was not contested by the Appellant. Those compounds are used as starting compounds to perform the inventive process according to Claims 5 to 7 (see point 3.9 above). Therefore, such compounds are patentable as their further processing is inventive. Indeed, it was not obvious to expect in the present case that such compounds would have been useful to prepare compounds of formula (V) in the amidocarbonylation conditions. This finding meets the standards established by the decision T 18/88 (OJ EPO 1992, 107, point 8 of the reasons).

In that context, the Board disagrees with the Appellant regarding the alleged *prima facie obviousness* of the claimed compounds in view of document (1). Indeed, the teaching of document (1) relates to the transformation of a C₁-C₅ alkyl 3,3-dihydrocarbyloxypropyl methylphosphinate, the hydrocarbyl radical being alkyl, allyl, phenyl or substituted phenyl (cf. point 3.2 above) and this document provides the skilled person with no hint regarding further substituents which could have been present on the ester group.

3.12 The patentability of Claims 3 and 4 derives from that of Claims 1 and 2 because it is constant jurisprudence of the Boards of Appeal that the process of preparation of inventive compounds (see point 3.10 above) is itself inventive even if an analogy process is involved.

3.13 Regarding Claim 8 of the patent in suit (see point II

above), the Board considers, as held by the Opposition Division and in agreement with the parties, that document (2) represents the closest state of the art and, thus, the starting point in the assessment of inventive step. Indeed, this document relates to a process for preparing D,L-2-amino-4-methylphosphinobutyric acid which is one of the herbicides of formula (VII) that can be prepared by hydrolysis of the compounds of formula (V) according to the patent in suit (see page 6, line 52 to page 7, line 17 and submissions of the Respondent of 2 September 1998, point 19), starting from methylvinylphosphinic acid-2-chloroethylester (see page 158, compound No. 6). This document aims therefore at the same objective as the subject matter of Claim 8 and has the same relevant technical feature in common, i.e. the same starting compound. The Board observes, in passing, that document (3) relates to the same teaching as document (2), being by the same authors and/or inventors respectively and could have also been considered as the closest state of the art. Nevertheless, in the present case, the Board sees no reason to deviate from the opinion expressed by Opposition Division and the parties.

- 3.14 In the next step, the technical problem which the invention according to Claim 8 addresses in the light of document (2) is to be determined. The Respondent, relying upon the comparison between the patent in suit and the example related to the synthesis of phosphinothricin (cf. page 160) of document (2) argued that the claimed process represented a significant improvement in terms of reaction time, use of less toxic reagents and economical efficiency. However, it is observed that the reaction time (4 hours) in Example No. 6 of the patent in suit, the sole one relating to the subject matter of Claim 8, leads to a yield of 75%, while the reaction time according to the example of the

document (2) (14 hours) leads to a yield of 95%. The Board cannot find in this comparison any decisive advantage since a shorter reaction time is counterbalanced by a significant lower yield. Regarding the alleged use of less toxic reagents, this contention is not substantiated by any evidence, such as common general knowledge showing that an oxo process would be safer than a process involving sodium metal. The same remark applies to the alleged better economical efficiency.

The technical problem cannot be seen, therefore, in providing an improved process but rather in the provision of a further process for preparing a phosphinothrycin type compound.

- 3.15 The description of the patent in suit, in particular Example No. 6, demonstrates that the subject matter of Claim 8 represents indeed a solution to the technical problem as defined in point 3.14 above.
- 3.16 It remains to be decided whether or not the proposed solution to the problem underlying the subject matter of Claim 8 is obvious in view of the prior art.
- 3.17 Documents (9) and (10a) cited by the Appellant against the inventive step of the subject matter of Claim 8 concern the hydroformylation-amidocarbonylation of trifluoropropene to a mixture of N-acetyltrifluorovaline and N-acetyltrifluoronorvaline and of olefinic compounds optionally substituted with groups or atoms which are not detrimental to the reaction such as: -CN, -OCOCH₃, -SCH₃, -(CH₂)_n-X, X being a carboxy, ester, nitrile or SCH₃ group, respectively. None of those documents relate to the amidocarbonylation of olefinic compounds comprising a phosphinic acid ester moiety.

Starting from document (2), the person skilled in the art seeking a further process for preparing a phosphinothricin type compound would not have contemplated the possibility of using a compound of formula (II) in a reaction of hydroformylation amidocarbonylation because, as held by the Opposition Division, none of the documents (9) and (10a) provide the person skilled in the art with an incentive or even a hint in that respect due to the substantial structural difference between the alkene compounds used in the above cited documents and the methyl-vinyl-phosphonic acid ester used patent in suit.

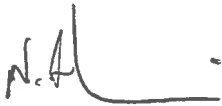
- 3.18 It follows from the above that the subject matter of Claim 8 is not rendered obvious by document (2) in combination with documents (9) and (10a). The same applies to dependent Claims 9 and 10 relating to specific embodiments of said independent Claim 8.
- 3.19 Consequently, the patent in suit discloses the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art insofar as attacked Claims 3 to 10 are concerned and Claims 1 to 10 meet the requirements of Article 56 EPC.

Order

For these reasons it is decided that:

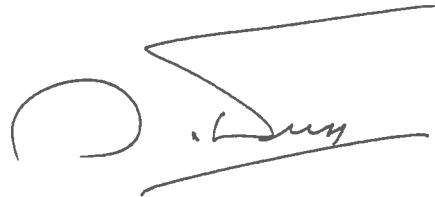
The appeal is dismissed.

The Registrar:



N. Maslin

The Chairman:



A. Nuss

Order

For these reasons it is ordered that

the report be referred to

The Attorney

Department

[Faint, illegible handwritten text]

Very truly yours,