



Aktenzeichen

File Number

Numéro du dossier

T0597192 -3.3.1

In der Anlage erhalten Sie

- eine Kopie des Berichtigungsbeschlusses
- ein korrigiertes Vorblatt (Form 3030)
- einen Leitsatz / Orientierungssatz (Form 3030)
- _____

Please find enclosed

- a copy of the decision correcting errors
- a corrected covering page (Form 3030)
- a headnote / catchword (Form 3030)
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Veillez trouver en annexe

- une copie de la décision rectifiant des erreurs
- une page de garde (Form 3030) corrigée
- un sommaire / une phrase vedette (Form 3030)
- _____

Anmeldung Nr. / Patent Nr.:

(soweit nicht aus der Anlage ersichtlich)

Application No. / Patent No.:

81200211.1

(if not apparent from enclosure)

Demande n° / Brevet n°:

(si le n° n'apparaît pas sur l'annexe)

Headnote:

There is no basis in the EPC for the substantiation of inventive step by way of disclaimer. This method may only be used by way of exception for avoiding anticipation, if the subject-matter of a claim cannot be restricted on the basis of the original disclosure in positive terms without unduly impairing its clarity and conciseness.

A hypothetical novelty attack in possible proceedings before a national court, unsupported by pleadings or evidence on the file before the EPO, is not a sufficient reason under the EPC for allowing a disclaimer.

Internal distribution code:

- (A) Publication in OJ
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D E C I S I O N
of 1 March 1995

Case Number: T 0597/92 - 3.3.1

Application Number: 81200211.1

Publication Number: 0035305

IPC: C07C67/475

Language of the proceedings: EN

Title of invention:

Process for preparing esters of 2-(6'-methoxy-2'-naphtyl)-propionic acid via rearrangement of new ketals of 2-halo-1-(6'-methoxy-2'-naphtyl)-propan-1-one

Patentee:

BLASCHIM S.p.A.

Opponent:

Syntex Pharmaceuticals International Limited

Headword:

Rearrangement reaction/BLASCHIM

Relevant legal provisions:

EPC Art. 54(2); 56; 87(1); 107; 123(2)(3)

Keyword:

"Main request - disclaimer not allowed in the absence of concrete novelty attack"

"First auxiliary request - novelty (yes); inventive step (yes) - non obvious solution"

Decisions cited:

T 0004/80; T 0002/83; T 0433/86; T 0170/87; G 0009/91

Headnote follows:



Case Number: T 0597/92 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 1 March 1995

Appellant:
(Opponent) Syntex Pharmaceuticals International Limited
Corner House, Church Street
Hamilton (BM)

Representative: Armitage, Ian Michael
MEWBURN ELLIS
York House
23 Kingsway
London WC2B 6HP (GB)

Respondent: BLASCHIM S.p.A.
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Representative: VOSSIUS & PARTNER
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Decision under appeal: Interlocutory decision of the Opposition Division
of the European Patent Office dated 12 March 1992,
posted on 24 April 1992 concerning maintenance of
European patent No. 0 035 305 in amended form.

Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
 J. A. Stephens-Ofner

Summary of Facts and Submissions

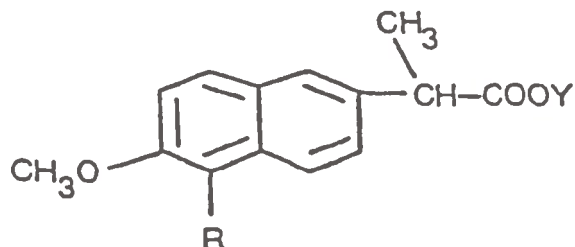
- I. European patent application 81 200 211.1, filed on 23 February 1981, was granted as European patent No. 0 035 305 with 13 claims, whereby priority was claimed from two earlier Italian applications, namely 2 018 780 of 26 February 1980 and 2 404 580 of 7 August 1980.
- II. Although notices of opposition were filed against the European patent by three parties, the withdrawal of two of the three filed oppositions resulted in the patent being opposed under Article 100(a) EPC to the extent of only Claims 1 to 4, on the basis of which the revocation of the patent was requested by the sole Opponent left.

From the numerous documents cited during the opposition only the following remained relevant:

- (1) an experimental graduate thesis by Graziano Castaldi "Synthesis of Arylacetic acids of Pharmaceutical Interest: Reactions of α -Haloalkylarylketones and their Methyl Ketals with Silver (I) Salts";
 - (2) J. Am. Chem. Soc., 93, 711 to 716 (1970);
 - (3) Tetrahedron Letters, No. 32, 3 013 to 3 016 (1973);
and
 - (4) Tetrahedron, 30, 141-149 (1974).
- III. By a decision issued orally on 12 March 1992, with the reasoned decision being issued on 24 April 1992, the patent was maintained in amended form according to the main request submitted by the Patentee during the oral proceedings before the Opposition Division.

Claim 1 according to the main request read as follows:

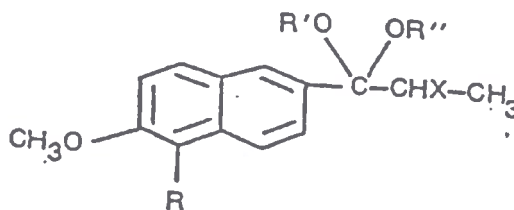
"1 A process for preparing products having general formula:



wherein

R is selected from the group comprising an hydrogen and a bromine atom; and

Y is selected from the group comprising an alkyl radical having from 1 to 6 carbon atoms, a haloalkyl radical having from 2 to 6 carbon atoms and a benzyl radical; which comprises the rearrangement of products having general formula



wherein

R has the above mentioned meaning;

R' is selected from the group comprising an alkyl radical having from 1 to 6 carbon atoms and a benzyl radical;

R'' is selected from the group comprising an alkyl radical having from 1 to 6 carbon atoms and a benzyl radical;

R' and R'' , together, are an alkylene radical having 2-6 carbon atoms which, together with the



group, forms a heterocyclic ring;
X is a halogen atom in the presence of a Lewis acid,
excluding Ag⁻."

Claims 2 to 5 were dependent on Claim 1 and Claims 6 to 13 related to ketals suitable as starting materials in the claimed process.

IV. The Opposition Division held essentially that the earlier priority could not be validly claimed, except for the particular embodiment covered by Claim 5 which specifies that the Lewis acid is CuCl, and, consequently, that document (1), which was made publicly available before the application date, namely on 10 April 1980, was state of the art according to Article 54(2) EPC.

Nevertheless, Claims 1 to 4 were found to be novel and inventive.

In particular, the Opposition Division took the view that the thesis (1), describing a rearrangement reaction analogous to the claimed one when using an active silver salt was the most relevant state of the art. The principal reason for accepting inventive step was that (i) no ketal was considered to form as an intermediate in the corresponding rearrangement reaction according to the thesis, (ii) in the claimed process it was recognised for the first time that not only silver salts could be used for effecting the concerned rearrangement reaction but also other Lewis acids and (iii) it was recognised for the first time that by using these Lewis acids a rearranging agent can be used in less than stoichiometric proportions.

Documents (2) to (4) were not considered to provide any relevant additional information.

V. The Appellant (Opponent) lodged an appeal against this decision.

Oral proceedings, at which the duly summoned Appellant was not represented, were held on 1 March 1995.

In his written submissions the Appellant essentially contended that the claimed process was obviously derivable from the prior art, because (i) rearrangement reactions of structurally similar ketals as the one in the claimed process assisted by silver (I) ions were known from the thesis, (ii) a skilled man would have recognised that silver salts are Lewis acids and (iii) consequently, the skilled man would have recognised that other Lewis acids than silver (I) salts could be used to assist the claimed rearrangement process.

In support of this submission, a declaration by Professor R. Gompper was filed. In this declaration, as in the argument of the appellant, reference was made to a large number of additional references.

In addition, the appellant argued that it was known that the rearrangement of alpha-haloketones was initiated by the reaction of the rearranging agent with the alpha-halo atom and that it was known that silver halides were practically insoluble. Consequently, it would have been obvious to replace silver as rearranging agent by Lewis acids forming more soluble salts with halides, thus keeping the catalyst available in solution and enabling the use of less than stoichiometric amounts of the rearranging agent.

Finally, there was evidence by way of a comparative test that copper oxide and silver oxide had similar activities in catalysing the claimed rearrangement reaction.

- VI. In their written submissions and during the oral proceedings on appeal, the Respondent (Proprietor of the patent) submitted that the claimed process was inventive, because (i) none of the cited documents involved the same starting ketal or the same reaction products as the claimed process and (ii) it was not suggested in any of the cited documents that the silver salt in the process described in the thesis acted as a Lewis acid and could be replaced by an entire class of compounds, let alone, by one of the metal salts mentioned in Claim 1 of the first auxiliary request.

Finally, he argued that, since it was not obvious to replace the silver salt by another chemical agent the comparative data provided by the Appellant were not relevant.

- VII. The two Opponents, who withdrew their oppositions but were both parties as of right under Article 107 EPC, did not submit any comments or requests during the appeal.

- VIII. The Appellant maintained his written request that the decision under appeal be set aside and that the European patent be revoked.

The Respondent requested that the decision under appeal be set aside and that the patent be maintained on the basis of the claims submitted during the oral proceedings before the Opposition Division as a main request, or on the basis of one of the sets of claims according to the first or second auxiliary request, provided during the oral proceedings of 1 March 1995.

- IX. Claim 1 according to the first auxiliary request reads as Claim 1 according to the main request, with the difference that at the end the words "in the presence of a Lewis acid, excluding Ag⁺" were replaced by

"in the presence of a Lewis acid acting as a catalyst and selected from the organic and the inorganic salts of copper, magnesium, calcium, zinc, cadmium, barium, mercury, tin, antimony, bismuth, manganese, iron, cobalt, nickel and palladium".

- X. At the conclusion of the oral proceedings, the Board's decision to maintain the patent on the basis of the first auxiliary request was announced.

Reasons for the Decision

1. The appeal is admissible.
2. Since the patent in suit had been opposed by the Appellant to the extent of only Claims 1 to 4, product Claims 6 to 13 cannot be considered here, as the Board has no power so to do. Since the amendment in dependent Claim 5 according to the main or first auxiliary request results from the necessity for the respondent to find an adequate response to the opposition grounds in an uncertain priority situation, it will also be considered whether Claim 5 meets the requirements of the EPC (see G 9/91, OJ EPO 1993, pages 408-420, in particular, items 7, 10 and 11 of the reasons).

3. *Main request*

The process claimed in Claim 1 has been restricted by a disclaimer excluding the possibility of carrying out the claimed process in the presence of silver (I) ions.

However, according to established Board of Appeal case law a disclaimer can only be allowed in rather exceptional circumstances, so as to make a claim which overlaps with the state of the art novel, even in the absence of support for the excluded matter in the originally filed application (see T 4/80, OJ EPO 1982, 149 and T 433/86 dated 11 December 1987, not published in OJ EPO), but cannot be used to render a claim inventive, in the absence of such exceptional circumstances, since the introduction of a "disclaimer" in that case amounts in fact to nothing else than the insertion of a new (negative) feature in terms of subject-matter not present in the originally filed application, which is contrary to the requirement of Article 123(2) EPC (see T 170/87, OJ EPO 1989, 441 to 447). Thus, as already stated in decision T 4/80, a disclaimer may only be used by way of exception for avoiding claim anticipation, if the subject-matter of a claim cannot be restricted on the basis of the original disclosure in positive terms without unduly impairing its clarity and conciseness, which is a clear indication that the patentee should normally use positive technical features when a restriction of the claim becomes necessary under the pressure of a prior art disclosure.

In the present case, a hypothetical process as in present unamended Claim 1, i.e. as granted, is not disclosed in document (1) which, therefore, cannot be regarded as novelty destroying. Consequently, the effect of the disclaimer is not to cut out subject-matter known from that document (1), but, rather to create

inventiveness in the amended claimed process over the process known previously, for which the Board finds no basis in the EPC.

The Respondent submitted, as general principle, that such disclaimers should nevertheless be allowed even if there was no novelty objection to the unamended claim. In other words, if the sole function of the disclaimer was to create inventiveness. Such a course of action, if submitted, was justifiable because the Boards of Appeal should not be restricted to the pleadings, the evidence and the arguments before them in the appeal, but ought to have regard to the likely future of the granted bundle of European patents and, in particular, have regard to novelty objections that might conceivably be made before a national court on a document that was never before in proceedings before the EPO or the Boards of Appeal. In other words, disclaimers of the type he sought should be allowable in order to obviate a hypothetical or possible novelty attack for which no basis exists in the material before the EPO.

The Board appreciates the Respondent's eagerness to boost the validity of his patent to be expected to come under attack in the courts of one or more of the Contracting States. Such an understandable desire cannot on any proper legal basis affect the deliberations and the judgement of the Boards of Appeal, which must and do act independently (see G 9/91 and G 10/91) and must therefore arrive at their decisions on any particular moment solely and exclusively upon the pleadings, the evidence and the arguments that have been submitted in the appeal as such. For this reason, the Respondent's submission must fail **in limine**.

4. *First auxiliary request*

4.1 Amendments

The set of claims according to the first auxiliary request differs from the granted set of claims by the specification in Claim 1 that the Lewis acid is "acting as a catalyst" and by the restriction of the used Lewis acids to organic and inorganic salts of a specific group of metals. Claim 2 was converted from an independent form into a dependent form and the cuprous derivative used as Lewis acid according to Claim 5 was restricted to CuCl.

Such limitation of the used Lewis acids, the conversion of an independent claim into a dependent one and the specification that the Lewis acids act as a catalyst clearly do not extend the protection conferred by the patent.

Additionally, since it was specified in the originally filed application that the used Lewis acids act as catalysts (see page 3, lines 3 to 7) and since the inorganic and organic salts of the specific metals used in the rearrangement reaction according to Claims 1 and 5 were described on page 3, lines 15 to 20 and line 24, no subject-matter extending beyond the content of the application is added.

Claims 3 and 4 are identical with the corresponding granted claims. They were neither amended nor objected to by the Respondent.

Consequently, the requirements of Article 123(2) and (3) EPC are met.

4.2 Priority

In the patent in suit priority is claimed from Italian patent applications 2 018 780 and 2 404 580 filed on 26 February 1980 and on 7 August 1980 respectively.

Since, according to Article 87(1) EPC, a right of priority may be enjoyed for the same invention only, in deciding whether the patent in suit is entitled to claiming the priority of any of those documents, it needs to be decided whether in any of those priority documents the **same invention**, i.e. the same subject-matter, is described as in the set of claims. The main criterion in this respect is whether the claimed invention is disclosed in the priority documents as a matter of substance, either expressly or by a direct and unambiguous implication by the text, as a whole, of any of these documents.

Although Italian patent application 2 018 780 is concerned with a rearrangement reaction as in the claimed process, in this document only the presence of a monovalent copper salt is required (see page 4, last paragraph; page 6, second and last paragraph; page 7, lines 2 to 5 and 9 to 11; Examples 9 to 31; and Claims 1 and 17), without mentioning the possibility of using a cupric salt or any other metal salt for the rearrangement reaction.

Moreover, contrary to the process according to Claim 1, Italian patent application 2 018 780 as well as Italian patent application 2 404 580 are completely silent about the possibility of naphthyl radical being substituted in its 5-position by bromine.

Therefore, on the basis of these differences, the claimed process cannot be regarded to be one "in respect of the same invention" as that described in any of the priority documents (Article 87(1) EPC). This means that the patent in suit is not entitled to any of these priority dates.

As a consequence thereof, document (1), which was made publicly available on 10 April 1980, forms part of the state of the art according to Article 54(2) EPC. This was not contested any more during the appeal proceedings.

4.3 Novelty

From all the documents cited during the opposition and appeal proceedings, document (1) is the only one being concerned with the rearrangement of ketals of 2-halo-1-(2'-naphthyl)-propane-1-one into 2-(6'-methoxy-2'-naphthyl) propionic acid and an ester thereof.

However, since document (1) is only concerned with rearrangement reactions in the presence of silver (I) salts (see, for example, page 32, lines 1 and 2, and the last paragraph) and the presence of other metal salts is not mentioned therein, this document cannot be considered to destroy the novelty of Claim 1, wherein the use of a silver salt is not embraced. This was not contested any more by the Appellant.

4.4 Inventive step

4.4.1 The patent in suit is concerned with a process of preparing 2-(6'-methoxy-2'-naphthyl) propionic acid and esters thereof via rearrangement of a ketal of 2-halo-1-(6'-methoxy-2'-naphthyl)-propan-1-one (see page 2, lines 3 and 4).

Since document (1) belongs to the state of the art (see point 4.2 supra), it was no longer disputed by the parties that the rearrangement reaction in the presence of Ag⁺ ions described in that document is the most relevant prior art.

This prior art document relates to reactions for preparing 2-(6'-methoxy-2'-naphthyl) propionic acid or esters thereof by rearrangement reaction of a 1-(6'-methoxy-2'-naphthyl)-2-halo-propanone in the presence of a silver ion, as described in the second paragraph of page 58 in combination with the last but one compound in Table 9 on page 59 of document (1).

- 4.4.2 Thus, starting from document (1) the problem to be solved can be regarded as providing an alternative process for preparing 2-(6'-methoxy-2'-naphthyl) propionic acid or esters thereof.
- 4.4.3 This problem is solved by converting the ketone into a ketal, which rearrangement reaction is conducted in the presence of a metal salt in accordance with Claim 1. In view of the examples provided in the patent in suit the Board finds that the problem is indeed credibly solved by the solution now claimed.
- 4.4.4 From the summary of the review of the literature on the rearrangement reactions of α -haloalkylarylketones in the last paragraph on page 30 of document (1) it clearly follows that (i) ketals of secondary α -haloalkylarylketones, such as the starting ketals in the claimed process, in the presence of silver (I) ions are selectively rearranged without solvolysis and that (ii) such selectivity is not observed when ketones are treated with silver (I) ions.

Consequently, it cannot be considered as surprising that a skilled person, looking for converting a ketone, as described in Table 9 of document (1) into a 2-(6'-methoxy-2'-naphthyl) propionic acid or an ester thereof, and wishing to avoid any other reactions, such as solvolysis, would convert the ketone into a ketal before the rearrangement reaction.

- 4.4.5 The only remaining question to be decided is whether or not it would have been obvious for the skilled person to substitute the silver (I) salt by any of the metal salts mentioned in Claim 1 as a rearranging agent.

The Appellant argued in the main that a skilled person would indeed have done so, because he would have recognised that the silver salt used in the rearrangement reaction described in document (1) was a Lewis acid, and that it could have been expected that other Lewis acids, such as the metal salts used in the claimed process, would be suitable for promoting the rearrangement.

However, in none of the documents cited during the opposition and appeal proceedings has a rearrangement reaction of an α -haloalkylarylketone been described, let alone suggested, wherein the rearranging agent is used for the reason that it is a Lewis acid, including reactions where a silver salt was used.

More particularly, since it was the object of document (1) to check the possibility of obtaining esters by the reaction of α -haloalkylketones with silver (I) salts (see page 32, lines 1 and 2), from the descriptive and experimental part of the thesis a suggestion of using other agents than silver (I) salts cannot be derived and was thus clearly never intended, especially since it was stated in the thesis that "the

information obtained has made it possible to obtain a **general method** (emphasis added) for the synthesis of arylacetic esters from primary and secondary α -haloalkylarylketones and the methyl ketals of primary α -haloalkylarylketones" (page 4, lines 1 to 5).

Furthermore, in the review of the literature on the rearrangement of α -haloalkylarylketones, presented on pages 19 to 30 of document (1) as well as in documents (2), (3) and (4) all the rearrangement reactions are assisted by silver ions and a suggestion that such reactions could be assisted by any other chemical agent cannot be found (see the abstract on page 711 of document (2); the paragraph bridging pages 3 014 and 3 015 of document (3); and the abstract on page 141 of document (4)).

Consequently, the Board concludes that the Appellant has not established that there was any suggestion in any of the prior art documents that any rearranging agent other than silver (I) salts would be a suitable rearranging agent for the starting ketals according to the claimed process.

- 4.4.6 It has never been contested that silver (I) ions are Lewis acids and, consequently, that a skilled person **could** have interpreted the silver (I) salt assisted rearrangement reaction on page 58 of document (1) as a reaction being assisted by a Lewis acid. However, according to the established case law of the Boards of Appeal, in order to demonstrate obviousness it is not sufficient that a skilled person **could** have interpreted document (1) in such a way that the silver (I) salt was a Lewis acid, but it must be made credible that the skilled person **would** have interpreted that document accordingly (see T 2/83, OJ EPO, 1984, 265).

Because, in the present case, there is not the slightest hint in the prior art that a rearrangement reaction of α -haloalkylarylketones or ketals thereof could be assisted by any rearranging agent other than a silver salt, the skilled man could deduce from this document only that silver ions were necessary to conduct the rearrangement reaction and no reason can be seen why a skilled person would have interpreted the reaction in such a way that the silver (I) ion is acting as a Lewis acid.

Consequently, the Board concludes that it would not have been obvious to replace the silver (I) salt in the reaction described on page 58 of document (1) by any Lewis acid, let alone, by a salt of one of the specific metals mentioned in Claim 1 of the contested patent.

4.4.7 Since the only conclusion from the above is that at the filing date of the patent in suit the replacement of the silver (I) salt in the reaction described in document (1) was not obvious, the comparative data showing that copper oxide and silver oxide have similar activity in assisting the claimed reaction are not relevant as evidence in support of the alleged absence of inventive step.

4.4.8 In reply to the arguments in the decision of the Opposition Division to maintain the patent in amended form, the Appellant referred in his written submissions to a large number of references concerned with the use of silver salts and other agents in rearrangement reactions in general, which references were also cited in the declaration of Professor R. Gompper. However, since none of those documents was more relevant than any of the documents (1) to (4), these documents are not admitted into the appeal proceedings.

4.4.9 The Board therefore concludes that the process claimed in Claim 1 according to the first auxiliary request was not obvious in the light of the cited state of the art.

The process Claims 2 to 5, which depend on Claim 1 derive their patentability from that claim.

5. Consequently, the grounds of opposition do not prejudice the maintenance of the patent in amended form according to the first auxiliary request.

Therefore, there is no need to consider the second auxiliary request.

Order

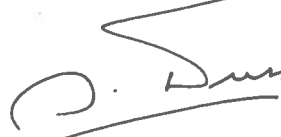
For these reasons it is decided that:

1. The Opposition Division's decision is set aside.
2. The case is remitted to the Opposition Division with the order to maintain the patent on the basis of auxiliary request 1 with consequential amendments to the description, if necessary.

The Registrar:


E. Görgmaier

The Chairman:


A. Nuss