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**Datasheet for the decision
of 10 April 2014**

Case Number: T 1168/11 - 3.3.01

Application Number: 05252709.0

Publication Number: 1591445

IPC: C07D457/06

Language of the proceedings: EN

Title of invention:

Preparation of cabergoline form I and solvate thereof

Patent Proprietor:

Resolution Chemicals Limited

Opponent:

Teva Czech Industries s.r.o

Headword:

Ethylbenzene-containing solvate of cabergoline/RESOLUTION
CHEMICALS

Relevant legal provisions:

EPC Art. 100(a), 56
RPBA Art. 13(1), 15(4)

Keyword:

Main request: inventive step (no)
Auxiliary requests - not admitted as they were late-filed

Decisions cited:

T 0270/90, T 0355/97, T 0836/02, T 0176/04, T 0197/86

Catchword:



**Beschwerdekammern
Boards of Appeal
Chambres de recours**

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Case Number: T 1168/11 - 3.3.01

**D E C I S I O N
of Technical Board of Appeal 3.3.01
of 10 April 2014**

Appellant: Teva Czech Industries s.r.o.
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Decision under appeal: **Decision of the Opposition Division of the
European Patent Office posted on 17 March 2011
rejecting the opposition filed against European
patent No. 1591445 pursuant to Article 101(2)
EPC.**

Composition of the Board:

Chairman: C. M. Radke
Members: J. Ousset
C. Brandt

Summary of Facts and Submissions

- I. The opponent filed a notice of appeal against the decision of the opposition division to reject the opposition against European patent No. 1 591 445.
- II. The opposition was directed against the patent as a whole and was based on grounds under Article 100(a) (alleged lack of novelty and of inventive step), (b) and (c) EPC.
- III. The documents cited during the opposition proceedings include the following:
- (1) WO-A-03/078433
 - (2) WO-A-2004/101510
 - (3) U.S. patent application No. 60/539,494 filed on 27 January 2004
- IV. The opposition division found that document (2) did not disclose the formation of a solvate of cabergoline and ethylbenzene. Starting from document (1) as the closest prior art, the provision of an alternative process for the preparation of cabergoline form I, and of an intermediate useful in the said process, was found to be inventive.
- V. This decision is based on the following sets of claims:
- claims 1 to 26 as granted (main request);
claims 1 to 25 of auxiliary request 1;
claims 1 to 26 of auxiliary request 2; and
claims 1 to 14 of auxiliary request 3;
the claims of the auxiliary requests were submitted during the oral proceedings before the board. The respondent (patent proprietor) withdrew the sets of

claims of all previously filed auxiliary requests during said oral proceedings.

The independent claims of the main request (granted claims) read as follows:

"1. A method of preparing of cabergoline form I, comprising forming a solvate of cabergoline and ethylbenzene, optionally further comprising n-heptane, and obtaining form I from the solvate."

"13. A method of preparing cabergoline form I, comprising dissolving cabergoline in a first solvent comprising at least 75% by volume ethylbenzene to form a solution, and obtaining form I from the solution."

"25. A solvate form of cabergoline, comprising cabergoline and ethylbenzene."

Claim 13 of auxiliary request 1 reads as follows:

"13. A method of preparing cabergoline form I, comprising dissolving cabergoline in a first solvent comprising at least 75% by volume ethylbenzene to form a solution, and obtaining form I from the solution, wherein the obtaining comprises adding a second solvent to the solution to form a solvate of cabergoline and ethylbenzene."

Claim 13 of auxiliary request 2 reads as follows:

"13. A method of preparing cabergoline form I, comprising dissolving cabergoline in a first solvent comprising 100% by volume ethylbenzene to form a solution, and obtaining form I from the solution."

In auxiliary request 3, claims 13 to 24 were deleted and claims 25 and 26 renumbered 13 and 14.

VI. The appellant's arguments, as far as they are relevant for the decision, can be summarised as follows:

- Document (2) mentioned explicitly that xylene containing ethylbenzene can be used to make the xylene-containing solvate of cabergoline form XI, the latter being further transformed into cabergoline form I. The formation of a solvate containing ethylbenzene was thus inevitable. The ratio of 75% by volume of ethylbenzene in the first solvent mentioned in claim 13 was not limitative, since the amount of the second solvent was not mentioned.
- In view of the disclosure of document (2), the person skilled in the art would use xylene containing ethylbenzene. Hence, the respondent has not discharged its burden of proof that an ethylbenzene-containing solvate of cabergoline was not formed in document (2).

VII. The respondent's arguments, as far as they are relevant for the decision, can be summarised as follows:

- There was no motivation in the prior art to use ethylbenzene in order to prepare a solvate of cabergoline form I.
- Document (1) did not mention a solvate containing ethylbenzene. The comparative data presented were fair, and it was believed that the toluene solvate mentioned in Table II of the application as filed

was obtained according to the disclosure of document (1).

- Auxiliary requests 1 to 3 were not filed earlier for the following reasons:
 - The opposition division found the claimed subject-matter inventive, and the board did not issue any communication questioning the inventiveness of the patent in suit.
 - The filing of these auxiliary requests was a reaction to the conclusion of the board, drawn during the oral proceedings, that the subject-matter of claim 13 of the main request was not inventive.
 - In view of the many objections raised by the appellant in its written submissions, it was not reasonable to file these auxiliary requests prior to the oral proceedings.

- VIII. The appellant (opponent) requested that the decision under appeal be set aside and that European patent No. 1 591 445 be revoked.
- IX. The respondent (patent proprietor) requested that the appeal be dismissed or, alternatively, that the patent be maintained on the basis of one of auxiliary requests 1 to 3 filed during the oral proceedings on 10 April 2014.
- X. At the end of the oral proceedings, the decision of the board was announced.

Reasons for the Decision

1. The appeal is admissible.

Main request

2. Novelty

- 2.1 It was not contested that the patent in suit enjoys the priority claimed. Both priorities of document (2) date prior to the priority date of the patent in suit, whereas its filing date lies after it. Therefore, document (2) forms part of the state of the art under Article 54(3) EPC for subject-matter also disclosed in one of its priority documents, e.g. in document (3). Documents (2) and (3) mention clearly that when preparing a xylene-containing solvate of cabergoline form I, it is possible that the xylene used for this may contain further solvents such as ethylbenzene and/or acetone (see (2), page 20, last two lines of paragraph [0069]; see (3), page 4, last two lines of the second paragraph). Furthermore, these documents also disclose that desolvation of the xylene solvate of cabergoline form XI can be performed to obtain cabergoline form I (see (2), page 21, paragraph [0071], second sentence; see (3), penultimate paragraph on page 5). However, the possible ethylbenzene content in the xylene solvent is not specified in documents (2) and (3). Hence, the subject-matter of claim 13 is novel, as this claim requires 75% by volume of ethylbenzene in the first solvent. The appellant has not provided any evidence showing that a solvate containing ethylbenzene is formed in a solvent mixture containing a large excess of xylene. Therefore, documents (2) and (3) do not clearly and unambiguously disclose the subject-matter of claims 1 and 25, which involve the formation

of a solvate containing ethylbenzene (see claim 1) or are directed to this solvate as such (see claim 25). As the remaining claims 2 to 12, 14 to 24 and 26 are dependent claims, the subject-matter of all the claims of the main request is deemed to be novel.

The appellant argued that said ethylbenzene solvate was inevitably formed in document (2).

The board cannot share this view. Nothing in document (2) indicates that such a solvate was formed. Hence, in the absence of any further evidence showing the said formation, the respondent's assertion is purely speculative. In that respect it is irrelevant whether the amount of ethylbenzene as mentioned in claim 13 is limitative or not.

2.2 The board does not share the appellant's opinion that it is up to the respondent to prove that no ethylbenzene solvate is formed when using a xylene solvent containing ethylbenzene. According to constant jurisprudence of the boards of appeals, each party bears the burden of proof for its allegations. In the present case, the appellant failed to provide evidence in support of its allegation that an ethylbenzene solvate of cabergoline is formed when using the process disclosed in document (2). There is no reason why the burden of this proof should be shifted to the respondent (see T 270/90, OJ EPO 1993, 725, reasons 2.1; T 355/97 of 5 July 2000, reasons 2.5.1; T 836/02 of 1 June 2005, reasons 4.5; T 176/04 of 30 November 2005, reasons 5.6.3; all but T 270/90 not published in OJ EPO).

2.3 Thus, the claimed subject-matter is novel.

3. Inventive step

- 3.1 Document (2) forms part of the state of the art under Article 54(3) EPC and thus is not to be considered in deciding whether there has been an inventive step (Article 56, second sentence, EPC).

The board agrees with the parties that document (1) represents the closest prior art for the assessment of inventive step. This document discloses the preparation of cabergoline form I via the formation of a toluene solvate form V (see claim 1). Hence, the process of present claim 13 differs from that disclosed in document (2) only in that it is performed in a solvent containing ethylbenzene.

- 3.2 The problem addressed in the application as filed was the provision of a process to make available cabergoline form I in high purity, having relatively small particle size and in which the drying time of the solvate is reduced (see paragraphs [0006] to [0008]). The established case law of the boards of appeal requires that, when comparative tests are used to demonstrate that an alleged effect is achieved, the comparison with the closest prior art should be carried out in such a way that the said effects are due to the distinguishing feature of the invention compared with the closest prior art (T 197/86, OJ EPO 1989, 371, reasons 6).

For this purpose, the respondent referred to the results shown in Table II of the patent in suit (see paragraph [0028]).

This table compares the particle size distribution of "Cabergoline Form I" obtained according to the process

of the patent in suit with that according to document (1) ("Cabergoline Form I (from WO 03/74833)"). However, it is not mentioned and cannot be inferred from the patent in suit whether the reaction conditions differed only in the distinguishing feature, namely in the different solvent used (replacement of toluene by ethylbenzene). Therefore, this comparative test does not show convincingly that the smaller size of the particles obtained has its origin in the nature of the solvent. Hence it is not evident that the problem described above has been solved.

- 3.3 Therefore, the problem underlying the patent in suit can be seen only in the provision of an alternative process to make available cabergoline form I.
- 3.4 The examples of the description show that this problem was solved.
- 3.5 A solution to this problem proposed by the patent in suit is the process of claim 13.
 - 3.5.1 The wording of claim 13 does not exclude that cabergoline form I is used as the starting material. This was not disputed by the respondent. Hence, in this case, the process described in claim 13 amounts to a recrystallisation process of cabergoline form I. Recrystallisation is a well-known technique which aims generally at purifying a solid compound. Here, since no improved effect could be demonstrated by the respondent (see point 3.2), the process of claim 13 amounts merely to the solubilisation of cabergoline form I in a solvent different from that used in document (1). Selecting a solvent different from that of document (1) does not require any inventive skills. Replacing the toluene used in document (1) by ethylbenzene was

particularly obvious, as the latter is the next higher homologue of the former (ethylbenzene replacing methylbenzene = toluene).

- 3.5.2 The respondent's argument was mainly based on the fact that it was not predictable that a solvate could be obtained with ethylbenzene.

This is irrelevant, since claim 13 does not require that a solvate is formed.

- 3.6 Therefore, the subject-matter of claim 13 lacks inventive step.

4. Auxiliary requests 1 to 3

- 4.1 These requests were submitted by the respondent during the oral proceedings and after the board had indicated that the subject-matter of claim 13 of the main request was not inventive. They are thus clearly late-filed, and consequently their admissibility is a matter for the discretion of the board.

- 4.2 That the starting material could be identical to the final product was already raised by the respondent in its notice of opposition (see page 12, lines 3 to 6), and this objection was repeated in the grounds of appeal (see letter of 27 July 2011, paragraph bridging pages 12 and 13). In its reply to the statement setting out the grounds of opposition (see letter of 23 October 2009, page 3, first full paragraph), the respondent took position on this objection and considered it unfounded. This argument was repeated in its reply to the statement setting out the grounds of appeal (see letter of 12 January 2012, page 3, first paragraph). Hence, the respondent was well aware of this objection

from the written opposition and appeal proceedings, but deliberately chose not to file amended claims aiming to overcome this objection.

Therefore, these requests could have been filed earlier in the proceedings; admitting these shortly before the end of the oral proceedings would have unduly delayed said proceedings, contrary to the requirement of procedural economy (see Article 13(1) of the Rules of Procedure of the Boards of Appeal (RPBA), Supplementary publication to OJ EPO 1/2014, 44). This would also be contrary to a fair and efficient conduct of the proceedings (see Article 15(4) RPBA), since one party provided its objections in due time whereas the other waited until the last minute before filing requests aiming to overcome a long-standing objection.

- 4.3 That the board considered the subject-matter of claim 13 of the main request not to be inventive was regarded by the respondent as a new argument in view of which it should be allowed to have its late-filed requests admitted into the proceedings.

However, this finding of the board was not a new argument but a conclusion drawn from arguments which had been raised by the appellant in due time.

- 4.4 Finally the respondent argued that the filing of these requests before the oral proceedings was not advisable in view of the numerous objections raised.

The board does not understand why the number of objections raised would influence the filing of requests before the holding of the oral proceedings. In inter partes proceedings the parties are expected to play an active role and to provide evidence or requests

at an early stage. This requires *inter alia* that a patent proprietor carefully studies the objections raised by the other party or parties and reacts to those which it deems to be particularly relevant, e.g. by filing amended claims. Therefore, the respondent (patent proprietor) should not have delayed the filing of such auxiliary requests until the board announced its conclusion on inventive step with respect to the main request.

4.5 For the reasons mentioned above, the board did not admit these late-filed requests.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.
2. European patent No. 1 591 445 is revoked.

The Registrar:

The Chairman:



G. Nachtigall

C. M. Radke

Decision electronically authenticated