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Datasheet for the decision of 10 September 2010

Case Number: T 1970/07 - 3.3.01

Application Number: 03747847.6

Publication Number: 1546138

IPC: C07D 409/12

Language of the proceedings: EN

Title of invention:
Novel raloxifene acid addition salts and/or solvates thereof, improved method for purification of said raloxifene acid addition salts and/or solvates thereof and pharmaceutical compositions comprising these

Applicant:
A/S GEA Farmaceutisk Fabrik

Headword:
Raloxifene L-lactate/FARMACEUTISK FABRIK

Relevant legal provisions:
EPC Art. 54

Keyword:
"Sole request:
- requirements of Article 123(2), 82, 83 and 84 EPC fulfilled;
- novelty (yes) - specific configuration not disclosed
- remittal to the first instance for further prosecution"

Decisions cited:
T 0296/87, T 0181/82

Catchword:
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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 1970/07 **-** 3.3.01

D E C I S I O N
of the Technical Board of Appeal 3.3.01
of 10 September 2010

Appellant: A/S GEA Farmaceutisk Fabrik
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Representative: Rasmussen, Torben Ravn
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Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 26 June 2007 refusing European patent application No. 03747847.6 pursuant to Article 97(1) EPC 1973.**

Composition of the Board:

Chairman: P. Ranguis
Members: G. Seufert
C.-P. Brandt

Summary of Facts and Submissions

I. The Appellant lodged an appeal on 22 August 2007 against the decision of the Examining Division dated 26 June 2007 refusing European patent application No. 03747847.6 and filed a written statement on 24 October 2007 setting out the grounds of appeal.

II. In this decision the following numbering will be used to refer to the documents:

(1) EP-A-0 584 952
(2) EP-A-0 062 503

III. The decision under appeal was based on the set of claims filed with letter of 7 June 2006. The Examining Division held that the subject-matter of the claims, at least as far as raloxifene DL-lactate if not D- and L-lactate was concerned, was not novel over the disclosure in document (1) and that the requirement of Article 84 EPC had not been complied with in view of inconsistencies between the description and the claimed subject-matter.

IV. In the statement of grounds of appeal the Appellant maintained the set of claims underlying the decision under appeal as its main request and filed auxiliary requests 1-4.

V. In a communication dated 15 June 2010 accompanying the summons to oral proceedings requested by the Appellant the Board expressed its preliminary view that the main request as well as the auxiliary requests 1 and 2, as far as raloxifene (DL)-lactate was concerned, lacked

novelty in view of documents (1) and (2). Furthermore, the Board indicated that the specific crystalline forms of certain raloxifene derivatives referred to in all requests were not clearly and unambiguously characterised by the available X-ray data, since no information was present as to the method for their determination and the measuring conditions, most importantly as to which K_α radiation had been used. In addition, in view of the Appellant's letter of 16 May 2007 the Board was of the opinion that the application did not contain sufficient information for the preparation of raloxifene L-lactate $^1/_4$ hydrate or raloxifene DL-lactate hemihydrate and the specific crystalline forms thereof. A further issue was unity of invention.

VI. In response to the Board's communication the Appellant filed a fifth auxiliary request.

VII. At the beginning of the oral proceedings before the Board the Appellant withdrew its main request filed on 7 June 2006 as well auxiliary requests 1-4 filed with the statement of grounds of appeal and declared the fifth auxiliary request to be its main request. The Board indicated its objections regarding support and sufficiency of disclosure of the general expression "solvates thereof" and after discussion the Appellant withdrew its main request (former fifth auxiliary request) and filed an amended main request.

The amended main request consists of 12 claims with the independent claims 1, 2, 4 and 6 reading as follows:

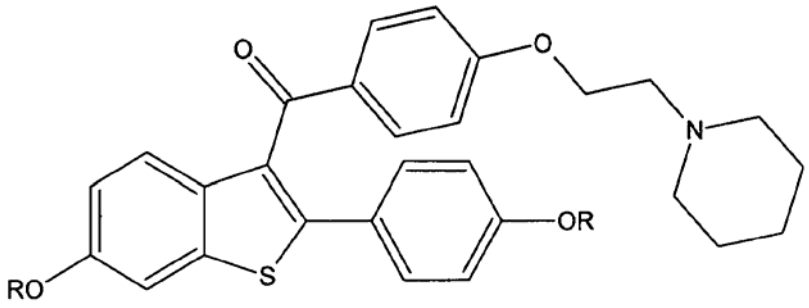
"1. Raloxifene L-lactate or a hemihydrate thereof."

"2. Use of raloxifene L-lactate or a hemihydrate thereof for the manufacture of a pharmaceutical composition capable of fast and reliable release of the raloxifene L-lactate or a hemihydrate thereof in gastric juice."

"4. Pharmaceutical composition capable of fast and reliable release of the active ingredients in gastric juice, comprising raloxifene L-lactate or a hemihydrate thereof."

"6. Process for the manufacture of raloxifene L-lactate according to claim 1, comprising the following steps:

to a solution of the compound having the general formula I in an solvent



Formula I

wherein R represents two independently selected hydroxyl protection groups,

a suitable reagent is added in order to remove the protection groups;
L-lactic acid is added to the mixture, and raloxifene L-lactate is precipitated from the mixture and isolated."

VIII. The Appellant argued that claims 1 and 6 of the main request were supported by claim 1 and claim 13 in combination with claim 20 of the application as originally filed. Furthermore, there was a clear disclosure in the application as far as the preparation of raloxifene L-lactate and a hemihydrate was concerned. The subject-matter of the amended claims was novel over documents (1) and (2) as these documents did not disclose the acid addition salt of raloxifene with the L-enantiomer of lactic acid. In support the Appellant referred to decision T 296/87 according to which an enantiomer is not anticipated by the description of a racemate.

IX. The Appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the main request filed during oral proceedings before the Board.

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 and sole request

2. *Amendments*

2.1 The subject-matter of claim 1 of the main request is supported by claim 1 as originally filed, which amongst other raloxifene derivatives explicitly mentioned

raloxifene L-lactate and raloxifene L-lactate hemihydrate. The process claim 6 of the main request finds its basis in the original process claim 13 for the preparation of raloxifene lactate in combination with the original claim 20, which referred to claim 13 and defined the lactate as L-lactate. Claims 2-5 and 7-12 are supported by claims 9-12 and 14-19 as originally filed.

2.2 The main request therefore meets the requirements of Article 123(2) EPC.

3. *Clarity, sufficiency of disclosure and unity*

3.1 The Board had objected to specific crystalline raloxifene derivatives characterised by unclear X-ray data as well as to certain raloxifene derivatives, which, as admitted by the Appellant, may not have been obtained, and to insufficient disclosure with regard to the preparation of any solvate of raloxifene L-lactate.

3.2 The main request no longer contains any of the specific compounds objected to and no longer refers to **any** solvate of raloxifene L-lactate.

Claim 1 is restricted to two individual raloxifene derivatives clearly and unambiguously defined by their chemical names. They have been prepared according to methods described in the application (page 9, line 9 to page 10, line 14, examples 5 and 7) and they share the specific configuration in the acid part of the acid addition salt. Claim 6 refers to a particular way of preparing the raloxifene L-lactate.

3.3 In view of the above, the Board concludes that the main request meets the requirements of Articles 82, 83 and 84 EPC.

4. *Novelty*

4.1 Claim 1 is directed to an acid addition salt of raloxifene with the L-enantiomer of lactic acid, which the Board interprets as the pure enantiomer, and a hemihydrate thereof.

4.2 In accordance with the consistent jurisprudence of the Boards of Appeal, the novelty of an individual chemical compound can only be denied if there is a clear and unambiguous disclosure of this compound in the form of a technical teaching (see in particular T 181/82, OJ EPO 1984, 401, No. 8 of the reasons, and T 296/87, OJ EPO 1990, 195, Nos. 6 and 7 of the reasons).

4.3 Documents (1) and (2) disclose acid addition salts of raloxifene with various acids, including lactic acid (document (1), claim 2; page 5, lines 3-25; document (2), page 15, lines 6-7, claim 3 and page 7, line 29 to page 8, line 8). Document (1) furthermore mentions that the compounds according to formula (I), which includes raloxifene, may form solvates with water or an organic solvent (document (1), page 5, lines 20-21). In documents (1) and (2) the configuration of the lactate is undefined. Acid addition salts of raloxifene with a single enantiomeric form of the acid (D- or L-form, or (+)- or (-)-Form) are neither explicitly mentioned nor are reaction conditions disclosed which will directly and inevitably

result in the formation of the raloxifene L-lactate or its hemihydrate.

4.4 Accordingly, the Board comes to the conclusion that the individual compounds raloxifene L-lactate and raloxifene L-lactate hemihydrate have not been made available to the public in the form of a technical teaching by the disclosure of documents (1) and (2). These compounds, their use, pharmaceutical compositions comprising them and the process for preparing raloxifene L-lactate are therefore novel within the meaning of Article 54 EPC.

5. *Remittal*

In the decision under appeal the first instance revoked the patent solely on the ground of lack of novelty. The issue of inventive step had not yet been examined. In these circumstances the Board considers it appropriate to exercise the power conferred by Article 111(1) EPC to remit the case to the Examining Division for further prosecution.

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 for further prosecution.

The Registrar: The President:

M. Kiehl P. Ranguis