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D E C I S I O N
of 10 February 2003

Case Number: T 1111/00 - 3.3.1

Application Number: 93102143.0

Publication Number: 0555845

IPC: C07J 51/00

Language of the proceedings: EN

Title of invention:
Steroid derivatives

Applicant:
MITSUBISHI CHEMICAL CORPORATION

Opponent:
-

Headword:
Steroids/MITSUBISHI

Relevant legal provisions:
EPC Art. 54, 123(2)

Keyword:
"Novelty (yes) - generic disclosure does not reveal particular structure-different structure of specific embodiments"

Decisions cited:
T 0198/84

Catchword:
-



Case Number: T 1111/00 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 10 February 2003

Appellant:

MITSUBISHI CHEMICAL CORPORATION
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Tokyo (JP)

Representative:

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Decision under appeal:

Decision of the Examining Division of the
European Patent Office posted 27 January 1999
refusing European patent application
No. 93 102 143.0 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 26 March 1999 lies from the decision of the Examining Division posted on 27 January 1999 refusing European patent application No. 93 102 143.0 (European publication No. 555 845).
- II. The decision of the Examining Division was based on claims 1 to 12 filed on 30 April 1997 according to the then pending request. The Examining Division held that the subject-matter claimed was not new in view of document

(8) EP-A-496 520,

thus contravening Article 54 EPC.

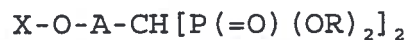
The Examining Division held that document (8) was state of the art according to Articles 54(3) and (4) EPC. That document disclosed generically bisphosphonate derivatives of steroid compounds. Those bisphosphonate and steroid groups were bound by a covalent linkage which could hydrolyse in the human body in the vicinity of bone to release steroidal hormone. That generic term used in document (8) embraced the linking group A as defined in its claim 1. The Examining Division found that as such the presently claimed subject-matter was a selection over the more generic disclosure of this document. However, in order for a selection from a known range of values to be considered novel, the selected range must be narrow with regard to the known range, must be removed from the examples given for the known range and must not be an arbitrary selection from the known range (see decision T 198/84, OJ EPO 1985, 209). The Examining Division did not dispute that the specifically defined linking groups according to claim 1 were neither disclosed in the examples nor

defined as preferred embodiments of document (8). Therefore the Division explicitly did not base its novelty objection on the specific compounds described in that document.

III. The Appellant argued that the novelty objection was unfounded since the claimed compounds were structurally different from those disclosed in document (8). In the claimed compounds the linking group A was attached to the bisphosphonate group either via a NH-group or a CH₂-group. In document (8) the bisphosphonate group was necessarily linked through a CH₂-group as the term "alkyl-1,1"-bisphosphonate used therein required the mandatory presence of an alkyl having at least two carbon atoms. However, the group linking the steroid group and the bisphosphonate group in that document comprised a carbamide group which was not present in the compounds claimed in case the linking group A was attached via a CH₂-group.

IV. On 31 January 2003 the Appellant submitted a fresh set of eleven claims in order to remove some deficiencies under Articles 123(2) and 84 EPC of the claims then on file. Fresh claim 1 reads as follows:

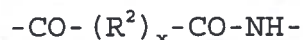
"1.A steroid derivative of the general formula (I):



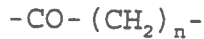
wherein X-O- represents a residue of steroid compound, -A- represents



wherein y represents an integer of from 1 to 3, m represents an integer of from 0 to 5, R¹ represents a hydrogen atom, an optionally substituted C₁- C₄ alkyl group or an optionally substituted C₆ - C₁₄ aryl group;



wherein x represents 0 or 1, and R² represents a phenylene group or a C₁-C₇ alkylene group, or



wherein n represents an integer of from 0 to 10, with the proviso that, when X-O- is 17 β -(3-hydroxy-1,3,5-estratrienyloxy) group, n represents 0 or 1; and R represents a hydrogen atom or C₁- C₄ alkyl group, or a pharmaceutically acceptable salt thereof."

Independent claims 6 and 7 were directed to a pharmaceutical composition and a therapeutic agent comprising a steroid derivative according to claim 1. Independent claims 8 to 10 referred to processes for preparing the steroid derivatives of claim 1 and independent claim 11 to their use.

- V. The Appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the claims 1 to 11 submitted on 31 January 2003.

Reasons for the Decision

1. The appeal is admissible.
2. *Amendments*

Claims 1 to 7 are based on original claims 1 to 5, 7 and 8 wherein some alternative meanings of substituents in the general formulae given have been deleted. In claim 2 the nomenclature of two steroids has been amended which is supported by page 5, lines 10 and 11 of the application as filed. The process claims 8 to 10 are backed up by page 34, line 20 to page 51, line 4. Claim 11 is based on page 1, lines 4 to 6 of the application as filed and on original claim 7.

For these reasons, the Board concludes that claims 1 to 11 meet the requirements of Article 123(2) EPC.

3. *Novelty*

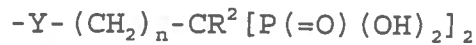
The only issue arising from this appeal is whether or not the subject-matter of the claims is novel over document (8), which is stated in the decision under appeal as being the sole ground for refusal of the application.

3.1 The Board observes that it is a generally applied principle that for concluding lack of novelty, there must be a direct and unambiguous disclosure in the state of the art which would inevitably lead the skilled person to subject-matter falling within the scope of what is claimed.

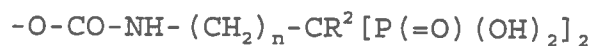
3.2 In the present case, document (8) discloses in claim 1 compounds of the general formula A-B-C wherein the group A is a residue of a hydroxyl containing steroidal hormone, the group C is a residue of an amino or hydroxy alkyl-1,1-bisphosphonate and the group B is a covalent linkage which can hydrolyse in the human body in the vicinity of bone to release steroidal hormone A.

Thus, this generic disclosure found in claim 1 of document (8) is silent about and does not reveal to the skilled person any chemical structure of the groups B and C. The present application, however, provides in claim 1 for specific chemical structures of the bisphosphonate group and of the linking group called "A" in terms of the present application which latter must have one of the three alternative structures $-\text{CO}[\text{NH}(\text{CHR}^1)_y-\text{CO}]_m\text{NH}$, $-\text{CO}-(\text{R}^2)_x-\text{CO}-\text{NH}-$ or $-\text{CO}-(\text{CH}_2)_n-$. Therefore the generic, i.e. unspecific, disclosure of claim 1 of document (8) does not destroy the novelty of the particular subject-matter claimed which finding has been conceded by the Examining Division.

3.3 Furthermore, document (8) indicates on page 8, line 35 the chemical structure of the alkyl-1,1-bisphosphonate group C with the formula

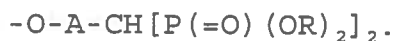


wherein Y may be *inter alia* NH, n is 1 to 4 and R² may be *inter alia* H. The covalent linking group B as indicated on page 9, lines 19 and 20 of document (8) may be *inter alia* a keto group -CO-. The combination of those elements is specifically disclosed on page 9, line 30 of that document with the formula



wherein n is 1 to 4.

The corresponding portion of the compounds claimed in the present application reads



According to claim 1 the group A must have one out of three specific alternative chemical structures (cf point IV *supra*). In the first two alternatives thereof the group A is attached to the carbon atom of the bisphosphonate group via a -NH-group while according to the specific chemical structure disclosed in document (8) the bondage is performed via an alkylene group $-(CH_2)_{1-4}-$. In the third alternative given in present claim 1 the group A does not comprise any -NH-group while the presence of that group is mandatory in the specific chemical structure disclosed in document (8).

Hence, the embodiments specifically disclosed in document (8) are structurally different from the compounds claimed and, thus, cannot anticipate the subject-matter of the present application.

3.4 The Board noted that the Examining Division cited and relied on a particular decision of the Boards of Appeal, namely T 198/84 (*loc cit.*), in order to deny novelty to the present application. The Board observes, that this decision deals with the criteria to be

applied when selecting a novel numerical sub-range out of a broad range delimited by minimum and maximum values. In the present case, however, the matter to be decided is not the novelty of a numerical sub-range but the novelty of a group of chemical compounds, i.e. of steroid derivatives as defined by the general (generic) formula given in claim 1. As the issue decided in that case is quite different from the issue to be decided here, the reasoning of that case is not relevant here and the first instance erred in relying thereon.

- 3.5 For these reasons, the Board concludes that the subject-matter of independent claims 1, and 6 to 11, and by the same token that of dependent claims 2 to 5 referring to preferred embodiments within the ambit of those claims, is novel over document (8).

4. *Remittal*

Having so decided, the Board has not taken a decision on the whole matter since the decision under appeal was solely based on a novelty objection vis-à-vis document (8), which objection is not pertinent. As the Examining Division has not yet ruled on the other requirements for granting a European patent, 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 further prosecution on the basis of the claims according to the pending request, in order to enable the first instance to decide on the outstanding issues.

Order

For these reasons it is decided that:

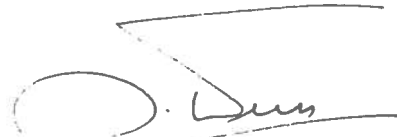
1. The decision under appeal is set aside.
2. The case is remitted to the first instance for further prosecution on the basis of claims 1 to 11 submitted on 31 January 2003.

The Registrar:



N. Maslin

The Chairman:



A. Nuss

For the purpose of this report, the following information is provided:

The first part of the report is devoted to a description of the

methodology used in the study. This includes a discussion of the

data sources and the

conclusion

conclusion

conclusion