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D E C I S I O N
of 7 December 2005

Case Number: T 1035/03 - 3.3.10

Application Number: 95935597.5

Publication Number: 0790228

IPC: C07C 53/00

Language of the proceedings: EN

Title of invention:

Mono- or polyenic carboxylic acid derivative

Applicant:

Eisai Co., Ltd.

Opponent:

-

Headword:

Mono- or polyenic carboxylic acid derivative/EISAI

Relevant legal provisions:

EPC Art. 54, 111(1), 123(2)

Keyword:

"Amendments allowable (yes) - proper basis in application as
filed - disclaimer allowable - accidental anticipation"

"Novelty (yes) - after amendment"

"Remittal (yes) - fresh case - outstanding issues"

Decisions cited:

G 0010/93, G 0001/03

Catchword:

-



Case Number: T 1035/03 - 3.3.10

D E C I S I O N
of the Technical Board of Appeal 3.3.10
of 7 December 2005

Appellant:

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Representative:

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Decision under appeal:

Decision of the Examining Division of the
European Patent Office posted 6 March 2003
refusing European application No. 95935597.5
pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: R. Freimuth
Members: J. Schmid
J. Seitz

Summary of Facts and Submissions

I. The appeal lodged on 15 May 2003 lies from the decision of the Examining Division of 6 March 2003 refusing European patent application No. 95 935 597.5 (European publication No. 0 790 228), which was filed as international application published as WO 96/13478.

II. The decision of the Examining Division was based on claims 1 to 12 according to the then pending request. The Examining Division found that the subject-matter claimed lacked novelty in view of documents:

- (5) Recueil des Travaux Chimiques des Pays-Bas, vol. 92, (1973), pages 683 to 688;
- (6) Journal of Chemical Society, Perkin Transactions I, 1973, pages 1416 to 1424;
- (7) Journal of American Chemical Society, vol. 115, (1993), pages 7192 to 7198;
- (8) Tetrahedron, vol. 46, (1990), pages 335 to 340;
- (9) EP-A-0 384 450;
- (10) Collection of Czechoslovak Chemical Communications, vol. 43, (1978), pages 1484 to 1487;
- (12) EP-A-0 511 477;
- (13) US-A-4 894 386;
- (15) Journal of Pharmaceutical Sciences, vol. 82, (1993), pages 543 to 545;
- (16) US-A-4 948 796;
- (17) Khimia Prirodných Soedinenii, 1978, pages 63 to 70;
- (18) Bioorganic & Medicinal Chemistry Letters, vol. 1, (1991), pages 107 to 110;

- (20) Dopovidi Akademii Nauk Ukrainiskoi RSR, Ser. B, vol. 32, (1970), pages 829 to 834 and
- (22) Aldrich-Katalog Feinchemikalien 1999-2000, pages 124, 342, 497, 1344 and 1432.

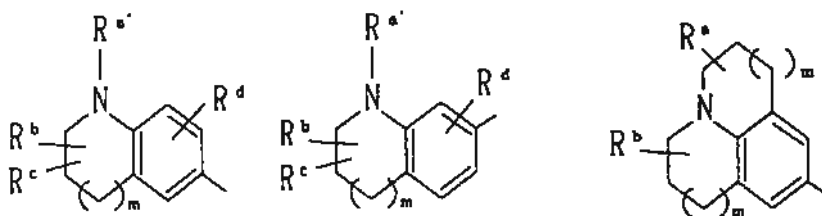
The Examining Division held in particular that compounds 10c and 10e disclosed on page 684 of document (5); compounds 1, 2a, 2b, 3, 4a, 4b, 5 and 6 disclosed on page 1416 of document (6); compounds 6a, 6b, 9a, 9b, 10a, 10b, 13a and 13b disclosed on page 7193 of document (7); compound 1a disclosed on page 337 of document (8); examples 1-3, 6-8 and 10 of document (9); compound III disclosed on page 1485 of document (10); compound II disclosed on page 5 of document (12); examples 12d, 27a, 40a and 40b of document (13); compounds 9 and 10 disclosed on page 543 of document (15); the fourth compound of table 6 of document (16); schemes 1 and 3 on pages 64 and 67 of document (17); compounds 6 and 9 disclosed on page 108 of document (18); compound XII disclosed on page 831 of document (20); and compounds A4,710-9 disclosed on page 124 of document (22) were prejudicial to the novelty of the then pending claim 1.

III. At the oral proceedings before the Board held on 7 December 2005 the Appellant (Applicant) no longer maintained the former requests. He submitted a fresh set of eight claims superseding any previous request. The sole independent claim of that request read as follows:

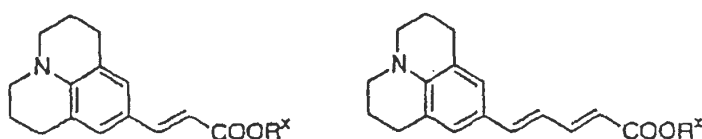
"1. Mono- or polyenic carboxylic acid derivatives represented by the general formula (1-I) or physiologically acceptable salts thereof:



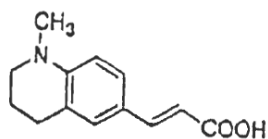
[wherein R¹ is hydrogen or a methyl, ethyl or propyl group; R² and R³ are each independently hydrogen, halogeno, linear C₁ to C₆ alkyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, linear C₁ to C₆ alkoxy, isopropoxy, sec-butoxy or aryl; N is an integer of 1 to 3; and Z represents a group represented by the general formula:



[wherein R^a, R^b, R^c and R^d are each independently hydrogen, linear C₁ to C₆ alkyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, linear C₁ to C₆ alkoxy, isopropoxy, sec-butoxy, cycloalkyl, aryl, heteroaryl, fluoroalkyl or halogeno, or alternatively two of R^a, R^b, R^c and R^d may be united to form saturated or unsaturated ring which may contain oxygen, sulfur and/or nitrogen; R^{a'} is each independently hydrogen, linear C₁ to C₆ alkyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, linear C₁ to C₆ alkoxy, isopropoxy, sec-butoxy, cycloalkyl, aryl, heteroaryl or fluoroalkyl; and m is a number of 0 to 3]] with the proviso that compounds of the formulas:



[wherein R* is hydrogen or ethyl group or a lithium salt thereof],



and the potassium salt thereof are excepted"

- IV. The Appellant submitted that the subject-matter claimed was delimited from the state of the art due to the restrictions made to independent claim 1 and due to the introduction of the disclaimer excising the accidental anticipation of documents (7) and (10).
- V. The Appellant requested that the decision under appeal be set aside and that the case be remitted to the first instance for further prosecution on the basis of the claims 1 to 8 of the sole request filed during the oral proceedings.
- VI. At the end of the oral proceedings the decision of the Board was announced.

Reasons for the Decision

1. The appeal is admissible.
2. *Scope of examination on appeal*

While Article 111(1) EPC gives the Boards of Appeal the power to raise new grounds in *ex-parte* proceedings where the application has been refused on other grounds,

proceedings before the Boards of Appeal in *ex-parte* cases are primarily concerned with examining the contested decision (see decision G 10/93, OJ EPO 1995, 172, points 4 and 5 of the reasons), other objections normally being left to the Examining Division to consider after a referral back, so that the Appellant has the opportunity for these to be considered without loss of an instance.

In the present case the Board, thus, restricts itself to examine whether the amended claims meet the requirement of Article 123(2) EPC and whether the objection as to lack of novelty pursuant to Article 54 EPC which is stated in the decision under appeal as being the sole ground for refusal of the application has been removed.

3. *Amendments (Article 123(2) EPC)*

Claim 1 differs from original claim 1 in that the radical Z of the mono- or polyenic carboxylic derivatives according to general formula (1-I) has been restricted to only comprise the first, second and fourth formulae recited in original claim 2.

Furthermore the following substituents in original claim 1 "carboxyl protecting group", "linear lower alkyl", "linear lower alkoxy", "branched lower alkyl", "branched lower alkoxy" have been amended to read "methyl, ethyl or propyl", "linear C₁ to C₆ alkyl", "linear C₁ to C₆ alkoxy", "isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl" and "isopropoxy, sec-butoxy", respectively. Those amendments find support on page 10, penultimate

paragraph, page 10, last paragraph, page 11, lines 8 to 10, page 11, lines 3 to 6 and page 11, lines 12 and 13, respectively, of the application as filed.

Furthermore, disclaimers have been added to claim 1 excluding individual compounds in order to restore the novelty of the claimed subject-matter over documents (7) and (10). The disclaimed compounds are compounds 9a, 9b, 10a, 10b disclosed on page 7193 in document (7), the lithium salt thereof disclosed in the experimental section on page 7197 of document (7) describing the synthesis of compound (9b) and (10b), compound III disclosed on page 1485 of document (10) and its potassium salt disclosed in the experimental section on page 1486 describing the synthesis of compound III.

Document (7) relates to the preparation of chromophores for the determination of the absolute configuration of taxinine and chromomycin derivatives by UV and circular dichroism (CD) spectroscopy. Document (10) relates to a purely organic synthetic study and deals with particular chemical reactions of 6-formyl-1-methyl-1,2,3,4-tetrahydroquinoline such as the Doebner condensation. Neither document reports any pharmaceutical activity of those compounds.

Therefore, their technical information is so unrelated to and remote from the claimed invention that the person skilled in the art would never have taken it into consideration when making the invention. Consequently, and having regard to the criteria to be applied for assessing the allowability of a disclaimer set out in the decision G 1/03 of the Enlarged Board of Appeal (OJ EPO 2004, 413), the Board has come to the

conclusion that the disclaimer in the present claim 1 does not offend against the requirements of Article 123(2) EPC.

Present claims 2 to 8 are based on original claims 3 to 9.

Therefore, the amendments made to the claims do not generate subject-matter extending beyond the content of the application as filed and the Board concludes that the requirements of Article 123(2) EPC are satisfied.

4. *Novelty*

Claim 1 is directed to compounds which comprise a nitrogen-containing 5-, 6-, or 7-membered mono-heterocyclic ring condensed with a phenyl ring represented by the group Z, which phenyl ring is substituted with a $-(CR^3=CR^2)_nCOOR^1$ radical (see point III) above.

Compounds 10c and 10e disclosed in document (5) are quinoline and benzothiazole derivatives. Compounds 1, 2a, 2b, 3, 4a, 4b, 5 and 6 disclosed in document (6) are pyrrole derivatives. Compounds 6a, 6b, 13a and 13b disclosed in document (7) are phenyl and benzothiazole derivatives. Compound 1a disclosed in document (8) is a phenyl derivative. Examples 1-3, 6-8 and 10 of document (9) are thiophene, pyrrole, furane, pyridine, indole or quinoline derivatives. Compound II disclosed in document (12) is an indole derivative. Examples 12d, 27a, 40a and 40b of document (13) disclose indole derivatives. Compounds 9 and 10 disclosed in document (15) are 3,4-dihydrobenzopyrane derivatives. The fourth

compound of table 6 of document (16) is a benzimidazole derivative. Schemes 1 and 3 on pages 64 and 67 of document (17) disclose phenyl and benzimidazole derivatives. Compounds 6 and 9 in document (18) are phenoxazine derivatives. Compound XII disclosed on page 831 of document (20) is a phenazine derivative.

The quinoline and indole derivatives disclosed in documents (5), (9), (12) and (13) differ from the claimed compounds in that the nitrogen-containing ring is aromatic. The benzothiazole, benzimidazole, phenoxazine and phenazine derivatives disclosed in documents (5), (7), (16), (17), (18) and (20) differ from the claimed compounds in that the nitrogen-containing ring contains more than one heteroatom. The pyrrole derivatives disclosed in document (6) differ from the claimed compounds since they lack the condensed phenyl ring. The phenyl, thiophene and furane derivatives disclosed in documents (7) and (9) differ from the claimed compounds in that they lack a nitrogen-containing ring.

Compounds 9a, 9b, 10a, 10b of document (7) are excluded from the scope of claim 1 by way of a disclaimer, as well as compound III of document (10).

Document (22) was published in 1999, i.e. 4 years after the filing date of the present application and therefore is not state of the art pursuant to Article 54 EPC.

Therefore, the Board concludes that the subject-matter of claim 1 and, by the same token, that of dependent

claims 2 to 8 is novel within the meaning of Articles 52(1) and 54 EPC.

5. *Remittal*

Having so decided, the Board has not, however, taken a decision on the whole matter, since substantial amendments have been made to independent claim 1 which amended claim was presented at the oral proceedings before the Board. The decision under appeal dealt exclusively with lack of novelty of claim 1 over the documents cited according to the then pending request and did not consider claim 1 in the present form as such request was never submitted to the first instance. The amendments leading to fresh claim 1, in particular in restricting the scope of the claims to compounds comprising a nitrogen-containing 5-, 6-, or 7-membered mono-heterocyclic ring condensed with a phenyl ring, have the effect that the reasons given in the contested decision for refusing the present application no longer apply.

Thus, the Board considers that the substantial amendments made by the Appellant remove all the objections on which the decision under appeal was based and that present claim 1 generates a fresh case not yet addressed in examination proceedings and requiring re-examination.

Under these circumstances, the examination not having been concluded and the Appellant having requested remittal, the Board considers it appropriate to exercise the power conferred on it by Article 111(1),

second sentence, second alternative, 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 on the basis of claim 1 to 8 of the sole request filed during the oral proceedings.

The Registrar:

The Chairman:

C. Moser

R. Freimuth