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D E C I S I O N
of 5 December 1994

Case Number: T 1048/92 - 3.3.1

Application Number: 88304016.4

Publication Number: 0294934

IPC: C07D 499/00

Language of the proceedings: EN

Title of invention:

Diasteriomeric 5R, 6S-6-(1R-hydroxyethyl)-2-(cis-1-oxo-3-thiolanylthio)-2-penam-3-carboxylic acids

Applicant:

PFIZER INC.

Opponent:

Headword:

Penem derivatives/PFIZER

Relevant legal provisions:

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

Keyword:

"Implicit disclosure of steric configuration (no)"
"Remittal"

Decisions cited:

T 0012/81, T 0181/82, T 0296/87, T 0012/90, T 0658/91

Catchword:



Case Number: T 1048/92 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 5 December 1994

Appellant:

PFIZER INC.
235 East 42nd Street
New York, N.Y. 10017 (US)

Representative:

Moore, James William, Dr.
Pfizer Limited
Ramsgate Road
Sandwich
Kent CT13 9NJ (GB)

Decision under appeal:

**Decision of the Examining Division of the
European Patent Office dated 6 July 1992 refusing
European patent application No. 88 304 016.4
pursuant to Article 97(1) EPC.**

Composition of the Board:

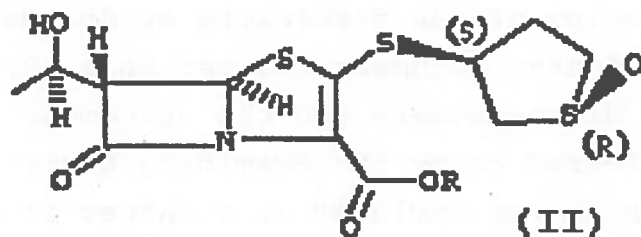
Chairman: A. Jahn
Members: R. K. Spangenberg
J. A. Stephens-Ofner

Summary of Facts and Submissions

I. The appeal was filed on 1 August 1992 and the appropriate fee was paid at the same date. It lies against the decision of the Examining Division of 6 July 1992 refusing European patent application No. 88 304 016.4, filed on 4 May 1988 and published under No. 0 294 934.

II. The decision under appeal was based on amended application documents, including three sets of claims for different Contracting States. The first set of claims for all designated Contracting States except GR and ES contained six claims, the first of them reading as follows:

"A penem having the absolute stereochemical formula:



wherein R is hydrogen or a radical forming an ester hydrolysable under physiological conditions; or a pharmaceutically acceptable cationic salt thereof when R is hydrogen."

Claim 2 related to the compounds according to Claim 1 wherein R is either hydrogen or pivaloylmethyl.

The sole ground of refusal was that the subject-matter of the above two claims was not novel with respect to the content of document

(1) EP-A 0 130 025.

The Examining Division held that this document implicitly disclosed the compound of the above claims wherein R was hydrogen, since this compound was one of only two possible stereoisomers comprised by Claim 6 of document (1) and since it was expressly stated in the description of this patent application that "various optically active isomers" of the compounds described therein were possible and that the "invention embraces such optically active isomers". It further held that these optically isomers could be prepared by a skilled person at the priority date of the application, so that the disclosure in document (1) was sufficient to make these compounds available to the public.

III. The Appellant (the Applicant) submitted that the objection raised under Article 54(1) EPC was based on a misinterpretation of the disclosure of document (1), since Claim 6 of that document related to a 50:50 mixture of two diastereomers and the statement in the description referred to by the Examining Division was a standard one which was included as a matter of law to alert possible infringers to the fact that separated isomeric forms are regarded as falling within the scope of the claims. From the point of view of science, it did not add anything to what the skilled chemist already knew, i. e. that various optical isomers were theoretically possible. Furthermore, the skilled chemist would not have recognised the mixture of stereoisomers disclosed in Claim 6 of document (1) as the same compound as either of its component diastereomers, as is evident from the fact that these products were given different Registry Numbers in Chemical Abstracts. Referring, inter alia, to decisions T 181/82, T 296/87 and T 12/81, he submitted that the

compounds according to the present application were to be regarded as chemical entities different from those disclosed in document (1) and were therefore novel.

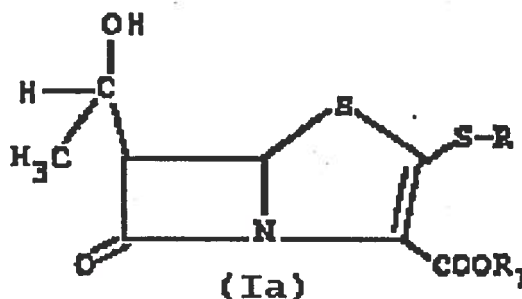
- IV. The Appellant requested that the decision under appeal be set aside and a patent be granted on the basis of "the latest set of claims on file", i. e. the sets of claims underlying the decision under appeal. In the alternative, he requested that a question be referred to the Enlarged Board of Appeal.

Reasons for the Decision

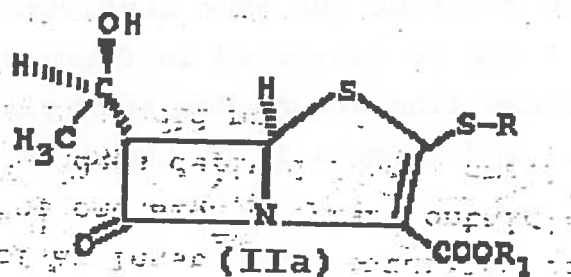
1. The appeal is admissible.
2. The sole issue to be decided in these appeal proceedings is that of the novelty of the subject-matter of Claims 1 and 2 in respect of the disclosure in document (1). In the Board's judgment these claims relate to substantially pure compounds which are not contaminated by significant amounts of stereoisomers.
 - 2.1 On that basis, the only question to be decided is whether the individual stereochemical configuration of the compounds according to the present Claims 1 and 2 has been made available to the public by that disclosure. The Board holds, in accordance with the consistent jurisprudence of the Boards of Appeal, that the novelty of such an individual chemical configuration can only be denied if there is an unambiguous disclosure of this very configuration 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). It is thus not sufficient that the

configuration in question belongs conceptually to a disclosed class of possible configurations, without any pointer to the individual member. It is further clear that, if such a configuration is novel, it constitutes a "new element" in the sense of decisions T 12/81 (OJ EPO 296, No. 14.2 of the reasons, and T 12/90 of 23 August 1990 (not published in OJ EPO, No. 2.6 of the reasons), conferring novelty to any group of individual chemical compounds having this feature in common. It is therefore to be examined whether the common stereochemical configuration of the presently claimed compounds is disclosed in document (1).

2.2. Document (1) relates to penem derivatives having the formula Ia



in which R₁ is hydrogen or an ester group which can be hydrolysed *in vivo* and R has a great number of different meanings, comprising acyclic radicals such as 2-(methylsulfinyl)ethyl as well as cyclic radicals such as 1-oxo-3-thiolanyl and 3-thianyl (page 1, line 10 to page 2, line 6. The description then indicates that compounds of the following formula IIa

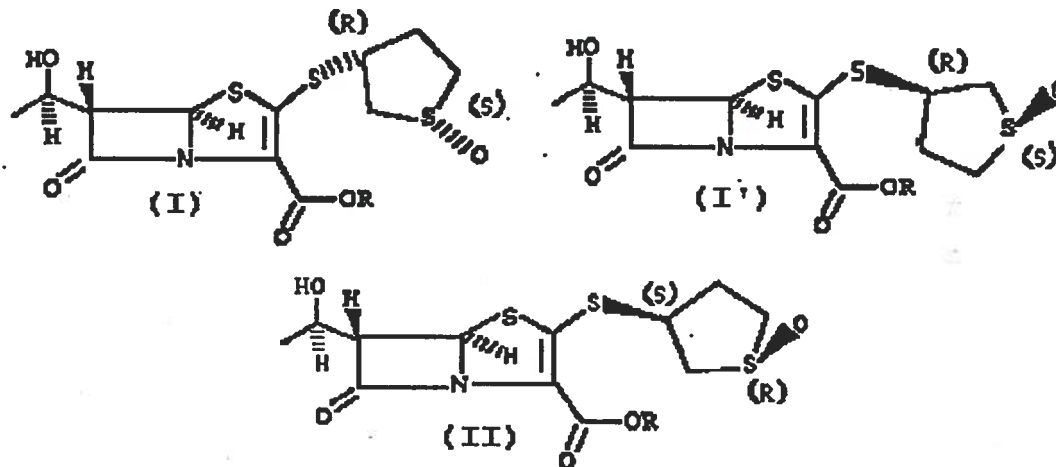


in which R and R₁ have the same meanings as in formula Ia "were included within the scope of the present invention" (page 2, lines 20 to 24). The description then goes on and states on page 4, lines 7 to 10: "As will be appreciated, various optically active isomers of the new compounds are possible. The present invention embraces such optically active isomers as well as mixtures thereof."

Claim 6 relates to a compound of the above formula IIa wherein R is *cis*-1-oxo-3-thiolanyl.

2.3 The chemical formula of Claim 6 of document (1) indicates the specific configurations of the three asymmetric carbon atoms of the penem ring system which are also present in the claimed compounds. In respect of the configurations of the asymmetric atoms of the thiolane ring (the sulphur atom in position 1 and the carbon atom in position 3) this formula additionally indicates that the oxygen atom bound to position 1 and the sulphur atom (carrying the penem ring system) bound to position 3 must be on the same side of the thiolane ring (*cis* - configuration). This information is however not sufficient to describe unambiguously the absolute steric configuration at the two asymmetric atoms of the thiolane ring, since two different steric configurations exist which satisfy this requirement and

which may be represented by the following formulas I or I' (which describe the same configuration, because formula I can be converted in formula I' by rotation of the thiolane ring around the exocyclic C-S-bond) on the one hand, and formula II on the other hand.



Therefore, Claim 6 of document (1), taken in isolation, does not unambiguously disclose any one of the above two absolute configurations, although it conceptually comprises both of them.

2.4 In the Board's judgment the above ambiguity is not removed by the disclosure contained in the paragraph on page 4 of document (1) relied upon in the decision under appeal, since this paragraph refers to optical active isomers in general, including a great number of possible diastereomeric and enantiomeric forms, but not to any specific configuration even at the three asymmetric carbon atoms contained in the penem ring system, for which the specific configuration at these three carbon atoms disclosed in Claim 6 is an example. Moreover, there is no indication that this paragraph contains any technical teaching relevant to asymmetric carbon or even sulphur atoms which may be contained in

some of the substituents R comprised by formula Ia, corresponding to formula I in document (1). Rather, the skilled reader would consider this paragraph solely in respect of the essential structural elements of the disclosed class of chemical compounds, i.e. the three asymmetric carbon atoms of the penem ring system. Nevertheless, the Board observes that it does not agree with the Appellant's submission that the above paragraph relating to optically active isomers would not add anything to what the skilled chemist already knew, i.e. that various optical isomers were theoretically possible, since the express statement that such optically active isomers **are embraced by the invention** goes beyond the conceptual information that such isomers are theoretically possible (see also T 658/91 of 14 May 1993, No. 2.4 of the reasons) and is therefore a relevant part of the disclosure of document (1).

- 2.5 In these circumstances the fact that the disclosure of Claim 6 of document (1) does not embrace more than two possible steric configurations does not take away the novelty of the specific one which is claimed in the present application, because there is no unambiguous technical teaching directed to that configuration in the parts of document (1) relied upon by the Examining Division. Thus the facts of the present case are quite different from the facts underlying decision T 658/91 and are rather similar to those underlying decision T 296/87. For this reason, the novelty of the subject-matter of the present claims cannot be denied on that basis and the decision under appeal must be set aside.
3. However, the Examining Division, having taken the position that the claimed subject-matter lacked novelty for the above reason, as set out in the decision under

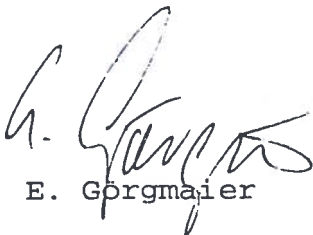
appeal, has not yet examined whether other objections might prejudice the requested grant of a patent. It is therefore appropriate to remit the case to the Examining Division for further prosecution, in order to give the Appellant an opportunity to have any such further objections considered by two instances.

Order

For these reasons it is decided that

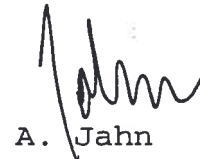
1. The decision under appeal is set aside.
2. The case is remitted to the Examining Division for further prosecution.

The Registrar:



E. Gorgmaier

The Chairman:



A. Jahn