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Datasheet for the decision of 14 March 2017

Case Number: T 0168/12 - 3.3.01

Application Number: 09163348.7

Publication Number: 2100884

IPC: C07D263/20

Language of the proceedings: EN

Title of invention:
Crystalline form of linezolid

Applicant:
Symed Labs Limited

Headword:
Lizenolid form III/SYMED LABS

Relevant legal provisions:
EPC Art. 84

Keyword:
Claims - clarity - main request (yes)

Decisions cited:

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It can be changed at any time and without notice.

Catchword:

This datasheet is not part of the Decision.
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Case Number: T 0168/12 - 3.3.01

D E C I S I O N
of Technical Board of Appeal 3.3.01
of 14 March 2017

Appellant: (Applicant)	Symed Labs Limited 8-2-293/174/3 Road No. 14, Beside B.N. Reddy Colony Banjara Hills, Hyderabad Telangana 500034 (IN)
Representative:	Best, Michael Lederer & Keller Patentanwälte Partnerschaft mbB Unsöldstrasse 2 80538 München (DE)
Decision under appeal:	**Decision of the Examining Division of the European Patent Office posted on 25 July 2011 refusing European patent application No. 09163348.7 pursuant to Article 97(2) EPC.**

Composition of the Board:

Chairman	A. Lindner
Members:	J. Molina de Alba
	L. Bühler

Summary of Facts and Submissions

I. The present appeal lies from the decision of the examining division refusing European patent application No. 09 163 348.7, published as EP 2 100 884 and filed as a divisional application of European patent application No. 03 769 887.5.

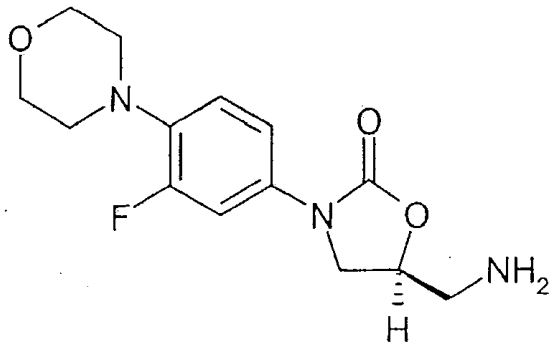
II. Of the documents cited during the examination/appeal proceedings, the following are referred to below:

(7) Experimental report filed with the statement of grounds of appeal

(9) Experimental report filed with letter dated 24 May 2012

III. The decision under appeal was based on the main and sole request submitted at the oral proceedings held on 25 July 2011. Claims 1 and 9 read as follows:

"1. A process for the preparation of crystalline linezolid form III, which comprises the steps of:
a) acetylating (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]amine of formula



in a solvent optionally in the presence of an organic base to form linezolid;

b) optionally seeding the reaction mixture formed in step (a); and
c) isolating linezolid form III from the reaction mixture of (a) or (b);
wherein the solvent consists of ethyl acetate, methyl acetate, propyl acetate, isopropyl acetate, butyl acetate, toluene or xylene.
...

9. A process for the preparation of crystalline linezolid form III, which comprises the steps of:
a) mixing linezolid with a solvent or a mixture of solvents;
b) cooling the contents to 0 °C to 10 °C;
c) optionally seeding the contents with linezolid form III;
d) stirring the contents for at least 15 min; and
e) collecting linezolid form III crystals by filtration or centrifugation;
wherein the solvent consists of R_1-OH or R_1-CO-O-R_2, where R_1 and R_2 are independently C_1 to C_6 alkyl groups."

IV. The examining division based its decision on a lack of clarity in the claims introduced by the expression "lizenolid form III", a name given by the applicant to a morphological form of linezolid which has no common meaning in the art and for which the application does not provide sufficient means of identification. On the one hand, the examining division objected that the XRPD and IR data contained in the application lacked essential information, namely the radiation source of XRPD and the method of sample preparation of IR. On the other hand, it also objected that there was no evidence that the processes of independent claims 1 and 9 directly and inevitably lead to a same and unique

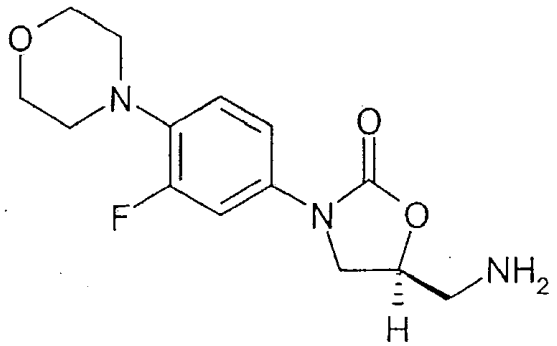
crystalline form of lizenolid under all the experimental conditions encompassed by the claims.

V. The appellant (applicant) filed notice of appeal against this decision. With its statement of grounds of appeal, the appellant filed a new main request based on claims 1-8 and 15 of the application as originally filed. In addition, five auxiliary requests, the test report (7) and an expert opinion from Dr Roland Boese were filed.

Claim 1 of the main request reads as follows:

"1. A process for the preparation of crystalline linezolid form III, characterized by an x-ray powder diffraction spectrum having peaks expressed as 2θ at 7.6, 9.6, 13.6, 14.9, 18.2, 18.9, 21.2, 22.3, 25.6, 26.9, 27.9 and 29.9 degrees, which comprises the steps of:

a) acetylating (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]-methyl]amine of formula in a solvent



optionally in the presence of an organic base to form linezolid;
b) optionally seeding the reaction mixture formed in step (a); and

c) isolating linezolid form III from the reaction mixture of (a) or (b);
wherein the solvent is selected from the group consisting of ethyl acetate, methyl acetate, propyl acetate, isopropyl acetate, butyl acetate, acetonitrile, chloroform, methylene dichloride, benzene, toluene and xylene."

VI. With letter dated 24 May 2012, the appellant filed the additional experimental report (9).

VII. The appellant's arguments, insofar as they are relevant to the present decision, may be summarised as follows:

In its decision, the examining division had failed to consider the fact that the application contained five detailed examples which disclosed specific processes to obtain the crystalline form III of linezolid. A skilled person could repeat any of those examples to obtain a sample of the product designated in the application as form III of linezolid and, with such a sample, he could by routine experimentation obtain the parameters used for obtaining the XRPD and IR spectra. Said parameters were therefore implicitly disclosed in the application. In particular, the XRPD data provided in the application were obtained using copper Kα radiation, which was by far the most common source for the investigation of polymorphs of organic compounds. The IR samples were prepared as KBr pellets.

Regarding the examining division’s concern that the processes of claims 1 and 9 would not directly and inevitably lead to a same and unique crystalline form of lizenolid under all the experimental conditions encompassed by the claims, the appellant argued that form III of linezolid was directly obtained by the

process of claim 1, as illustrated in example 5 of the application. Further, said form III was thermally stable and had no tendency to convert to other forms, as proven by the additional tests reported in documents (7) and (9), which showed that example 5 yielded form III immediately after the process steps of claim 1 and that this form did not change to any other form under different work-up conditions of temperature, pressure and time.

VIII. The appellant requested that the decision under appeal be set aside and that the case be remitted to the examining division for further prosecution on the basis of the main request or, alternatively, on the basis of one of auxiliary requests 1 to 5, all filed with the statement of grounds of appeal.

Reasons for the Decision

1. The appeal is admissible.

2. Main request

2.1 Articles 76(1) and 123(2) EPC

Claims 1 to 8 of the main request are based on claims 10 to 17 of the parent application. The basis of claim 9 can be found on page 2, lines 21 to 24, of the parent application. Similarly, claims 1 to 9 of the main request correspond, respectively, to claims 1 to 8 and 15 of the application as originally filed.

Consequently, the main request complies with the requirements of Articles 76(1) and 123(2) EPC.

2.2 Clarity (Article 84 EPC)

In the process of claim 1, the linezolid form III isolated in step c) has been characterised by the position of specific peaks in the XRPD pattern, expressed as 2θ values. The application, however, fails to indicate the radiation source used to obtain said peaks, a parameter which is essential to reproduce the given XRPD pattern and the absence of which could prevent the skilled person from effectively identifying the mentioned linezolid form III.

In this respect, the board agrees with the appellant that copper Kα radiation is by far the most common radiation source for the characterisation of polymorphs of organic compounds by XRPD. Therefore, in the absence of a source being mentioned, the skilled person at the filing date of the application would inevitably have understood it as being copper Kα radiation.

Furthermore, the appellant has reproduced the method of claim 1 as illustrated in example 5 of the application followed by different work-up conditions (see experimental reports (7) and (9)) and has proven that the claimed method consistently yields a single form of lizenolid that is stable under different temperature, pressure and time conditions and that said form is compatible with the peak list of claim 1 when its XRPD spectrum is obtained with copper Kα radiation, no matter if the $K\alpha_1$ or the $K\alpha_{1,2}$ lines are taken. As a consequence, the requirements of Article 84 EPC are met.

3. As the main request is considered to fulfil the requirements of Article 84 EPC, the board does not need to decide on the lower-ranking requests.

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: The Chairman:

M. Schalow A. Lindner

Decision electronically authenticated