

Internal distribution code:

- (A) [-] Publication in OJ
(B) [-] To Chairmen and Members
(C) [-] To Chairmen
(D) [X] No distribution

**Datasheet for the decision
of 7 October 2014**

Case Number: T 2007/11 - 3.3.01
Application Number: 07809032.1
Publication Number: 1919893
IPC: C07D401/04, A61K31/506,
A61P35/00
Language of the proceedings: EN

Title of invention:

POLYMORPHIC FORM OF IMATINIB MESYLATE ETHANOL SOLVATE AND
PROCESS FOR ITS PREPARATION

Applicant:

Sicor, Inc.

Headword:

-

Relevant legal provisions:

EPC Art. 111(1), 83, 56, 123(2)

Keyword:

Sufficiency of disclosure - main request (no)
Inventive step - first auxiliary request (no) -
improvement not credible
Amendments - second auxiliary request - added matter (yes)

Decisions cited:

R 0002/08, T 0020/81, T 0777/08

Catchword:



Beschwerdekammern
Boards of Appeal
Chambres de recours

European Patent
Office
D-80298 MUNICH
GERMANY
Tel. +49 (0) 89 2399-0
Fax +49 (0) 89
2399-4465

Case Number: T 2007/11 - 3.3.01

D E C I S I O N
of Technical Board of Appeal 3.3.01
of 7 October 2014

Appellant: Sicor, Inc.
(Applicant) 19 Hughes
Irvine, CA 92618 (US)

Representative: Nachshen, Neil
D Young & Co LLP
120 Holborn
London EC1N 2DY (GB)

Decision under appeal: **Decision of the Examining Division of the
European Patent Office posted on 22 March 2011
refusing European patent application No.
07809032.1 pursuant to Article 97(2) EPC.**

Composition of the Board:

Chairman L. Bühler
Members: G. Seufert
J. Ousset

Summary of Facts and Submissions

- I. The applicant lodged an appeal against the decision of the examining division refusing European patent application No. 07809032.1.
- II. The present decision refers to the following documents:
- (2) WO 99/03854
 - (5) J. Bernstein, "Polymorphism in Molecular Crystals", Clarendon Press, Oxford (UK), 2002, pages 27, 46 to 49, 112, 150, 151
 - (6) S. Byrn et al., Pharmaceutical Research, Vol. 12, No. 7, 1995, pages 945 to 954
 - (7) Annex A, filed with letter of 5 January 2009;
 - (7a) coloured copies of document (7), resubmitted at the oral proceedings before the board
- III. At the oral proceedings held on 13 October 2010, the examining division concluded that the main request and first auxiliary request contravened Article 84 EPC. The second auxiliary request was considered to meet the requirements of the EPC. After the appellant had adapted the description, the division announced its intention to grant a patent on the second auxiliary request and the description adapted thereto.
- IV. A communication according to Rule 71(3) EPC was dispatched on 1 December 2010. On EPO Form 2906 annexed to the communication, the division set out the reasons as to why the higher ranking main and first auxiliary requests were not allowable.
- V. With letter of 14 February 2011, the appellant declined to approve the text proposed for grant and requested the grant of a patent on the basis of the first auxiliary

- request. Alternatively, it requested a written reasoned decision on the refusal of its main and first auxiliary requests.
- VI. On 22 March 2011 the examining division's decision refusing the application was dispatched. The division held that the main request and first auxiliary request contravened Article 84 EPC, in particular because the feature "ethanol solvate", regarded as an essential feature characterising the claimed crystalline form, was missing in claim 1 of both requests.
- VII. With the statement of grounds of appeal, the appellant filed a new main request and a new auxiliary request. In addition, pages 112, 150 and 151 of document (5) were resubmitted as evidence for common general knowledge.
- VIII. In a communication accompanying the summons to oral proceedings, the board, in its preliminary opinion, considered that the examining division's finding of non-compliance with Article 84 EPC due to the missing feature "ethanol solvate" appeared to be justified. The board also raised further objections under Articles 84 and 56 EPC and indicated that sufficiency of disclosure might also be an issue for discussion with respect to the claimed unsolvated or hydrated form. As evidence for common general knowledge, the board introduced further pages of document (5) and, in addition, document (6).
- IX. In reply to the board's communication the appellant filed a new main request and first and second auxiliary requests replacing the previous requests on file. The first and second auxiliary requests were subsequently replaced by first and second auxiliary requests filed at the oral proceedings before the board on 7 October 2014.

Claim 1 of the main request reads as follows:

"1. A crystalline form of imatinib mesylate characterised by a powder XRD pattern with peaks at 6.0, 8.6, 11.4, 14.2, 18.3 ± 0.2 degrees two-theta; wherein the XRD pattern is measured with a Philips X'pert Pro powder diffractometer, Cu-tube, scanning parameters: CuK_α radiation, λ = 1.5418 Å and a continuous scan rate of: 0.02° 2 theta/0.3 sec."

Claim 1 of the first auxiliary request differs from claim 1 of the main request in that it indicates additional peaks at 10.2, 19.9, 20.5, 21.6 and 22.4.

Claim 1 of the second auxiliary request was restricted to a particular pharmaceutical composition and reads as follows:

"1. A tablet comprising a crystalline form of imatinib mesylate characterised by a powder XRD pattern with peaks at 6.0, 8.6, 10.2, 11.4, 14.2, 18.3, 19.9, 20.5, 21.6 and 22.4 ± 0.2 degrees two-theta; wherein the XRD pattern is measured with a Philips X'pert Pro powder diffractometer, Cu-tube, scanning parameters: CuK_α radiation, λ = 1.5418 Å and a continuous scan rate of: 0.02° 2 theta/0.3 sec, and at least one pharmaceutical acceptable excipient."

X. The arguments of the appellant with respect to the decisive issues can be summarised as follows:

Claim 1 of the main request was directed to a unique crystalline form, which was clearly and unambiguously defined by characteristic powder X-ray diffraction (hereinafter XRD) peaks. The feature "ethanol solvate" provided secondary information which was not essential.

It was therefore not necessary to introduce it into claim 1. The board's opinion that claim 1 referred to a group of compounds, including the unsolvated form of imatinib mesylate, was pure conjecture, in particular in the absence of any evidence that such compounds even existed. Present claim 1 could not be compared with a claim directed to a Markush formula, where it was clearly foreseeable that all claimed compounds could be prepared. Furthermore, the application described several ways for the preparation of the claimed unique crystalline form. In the absence of any evidence that other crystalline solvates or unsolvated forms with the specifically claimed peaks existed, it was unreasonable to request evidence as to how such forms could be obtained. Attempts to do so were, however, suggested and an opportunity to do so requested, if the board intended to refuse the application under Article 83 EPC.

Newly filed first and second auxiliary requests should be admitted into the proceedings. They were a response to the preceding discussion. Further peaks had been added to better characterise the unique crystalline form. Basis for the amendments could be found in paragraphs [00113] and [00114] of the application as filed.

Document (2) was the closest state of the art. In view of this document the problem to be solved was the provision of an improved form of imatinib mesylate having unexpected properties with regard to flowability and formulations as disclosed in paragraph [00117] of the application. The data submitted in January 2009 attested to the advantages compared to the known crystalline forms α and β disclosed in document (2). The particle size was an inherent property of the claimed crystalline form. It was not achieved by grinding,

milling or sieving processes, which were undesirable on an industrial scale and might involve changing the nature of the crystalline form. If the board was not satisfied with the data on file and considered refusing the application, the case should be remitted to the examining division in order to give the appellant an opportunity to provide further supporting evidence.

Claim 1 of the second auxiliary request was supported by claim 121, paragraph [00195] and example 46 of the application as filed. In particular, the latter identified the tablet as preferred dosage form.

- XI. 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 with letter 5 September 2014 or, alternatively, on the basis of the first or second auxiliary request, filed during the oral proceedings of 7 October 2014. The appellant further requested that the case be remitted to the department of first instance in case the board intended to refuse the patent application under Articles 83 or 56 EPC due to a lack of supporting evidence.
- XII. At the end of the oral proceedings the decision of the board was announced.

Reasons for the Decision

1. The appeal is admissible.
2. Procedural matters

- 2.1 The appellant requested remittal of the case to the department of first instance if the board intended to refuse the application under Articles 83 or 56 EPC due to a lack of supporting evidence.
- 2.2 It is constant jurisprudence that there is no absolute right to two instances in the sense of a party being entitled in all circumstances to have every aspect of its case examined by two instances. According to Article 111 (1) EPC a board of appeal may either exercise any power within the competence of the department which was responsible for the decision appealed or remit the case to that department for further prosecution.
- 2.3 Concerning the appellant's request that the case be remitted to the department of first instance if the board intended to refuse the application for insufficiency of disclosure, the board notes that the requirement of sufficiency must be complied with as of the date of filing and cannot subsequently be remedied, in particular by the provision of further experimental evidence as suggested by the appellant. Such evidence could not be added to the description without infringing Article 123(2) EPC. It could also not be used to change in any way the understanding of claim 1 (see point 3 below).
- 2.4 Concerning the appellant's request that the case be remitted to the department of first instance if the board intended to refuse the application for lack of inventive step, the board notes that the appellant had been informed, with the communication accompanying the summons to oral proceedings, of the board's preliminary opinion on inventive step. In particular, it was pointed out that the alleged advantages linked to a particular

particle shape, size and size distribution mentioned in the appellant's letter of 5 January 2009 could not be taken into consideration. The problem to be solved appeared to be the provision of a mere alternative and the proposed solution did not appear to be inventive. The reasons for the board's opinion were also given (see point 6.3 of the board's communication). It should thus have been clear to the appellant and its professional representative requesting grant of a patent that inventive step based on the alleged advantages was an issue they should expect to be discussed at the oral proceedings.

In reply to the board's communication, the appellant chose to pursue its case relying on the evidence on file. No attempt was made to provide further evidence in support of unexpected or advantageous technical effects. Nor was it argued that the time to do so was insufficient. Indeed, the appellant in its response did not request either postponement of the oral proceedings or remittal of the case to the first instance. The board also does not perceive particular difficulties which could have prevented the appellant from providing conclusive evidence demonstrating advantageous properties of the claimed form over the prior art before or at the oral proceedings before the board. Indeed, it was for the appellant and its representative to submit the necessary evidence to support their case on their own initiative and at the appropriate time (see R 2/08 of 11 September 2008, points 8.5 and 9.10 of the Reasons).

2.5 In these circumstances, the board took the view that a remittal of the case was not appropriate. Accordingly, the board, in the exercise of its discretion under

Article 111 (1) EPC, refused the appellant's request for remittal.

Main request

3. Understanding of claim 1
 - 3.1 Claim 1 of the main request is directed to a crystalline form of imatinib mesylate characterised by a powder XRD pattern having five specific peaks.
 - 3.2 According to the appellant, claim 1 referred to a unique product which was clearly and unambiguously defined by characteristic powder XRD peaks. These peaks were sufficient to distinguish the claimed product not only from the crystalline forms of the prior art described in paragraphs [0005] to [0010] of the application, but also from each of the other crystalline forms described in the application. In the appellant's opinion, there was no room for the understanding that claim 1 referred to a range of crystalline products, in particular since there was no evidence on file showing that such products existed. It was further argued that powder X-ray diffraction was the most definite method ("the gold standard") for identifying and distinguishing polymorphic forms. In support, the appellant referred to document (5).
 - 3.3 The board does not agree.
 - 3.3.1 Starting from the literal wording of claim 1, the board notes that the name "imatinib mesylate" commonly refers to a compound having the structural formula as mentioned on page 1, paragraph [0003] of the application and a molecular formula of $C_{29}H_{31}N_7O \times CH_4O_3S$. In the present case, this understanding does not make technical sense,

in view of the further embodiments according to dependent claims 8 and 11, which define the crystalline form as ethanol solvate or a hydrated form (i.e. a compound with the molecular formula of $C_{29}H_{31}N_7O \times CH_4O_3S \times (C_2H_6O)_n \times (H_2O)_y$) and in view of the description of the application (see paragraph [00116]). The skilled reader would, therefore, not consider the feature "imatinib mesylate" in the preamble of claim 1 to be limited to imatinib mesylate with a molecular formula of $C_{29}H_{31}N_7O \times CH_4O_3S$.

- 3.3.2 Moreover, when asked by the board, the appellant conceded that any solvate of a crystalline imatinib mesylate whose powder X-ray diffraction pattern had the claimed peaks would fall within the scope of claim 1. The appellant argued however that there was no evidence on file that such solvates existed. The burden of proof lay with the EPO. In the board's judgment, such lack of evidence does not affect the objective reading of a claim, if the given interpretation is not technically unsound, as the board can affirm in the present case.
- 3.3.3 In the board's judgement, claim 1 of the main request is directed to a crystalline product of imatinib mesylate having the claimed five powdered XRD peaks, wherein the imatinib mesylate is, however, not restricted to a compound having the molecular formula $C_{29}H_{31}N_7O \times CH_4O_3S$, but rather includes the unsolvated and solvated forms as well as mixtures of crystalline forms. This understanding of claim 1 is consistent with dependent claims 8 and 10, further characterising the imatinib mesylate as ethanol solvate or as a hydrated form and consistent with the description (paragraph [00171]) referring to the possibility of other crystalline forms being present.

3.3.4 The board is also not convinced that the claimed powder XRD peaks restrict claim 1 uniquely to the imatinib mesylate ethanol solvate of the present invention (hereinafter also "Form X"). In this context, the board notes that the claimed peaks represent only a small selection of peaks from the complete powder XRD pattern, mostly those with the lowest intensity, which are usually less characterising for a particular solid-state form (see figure 19 of the application). In its submission of 5 September 2014, the appellant referred to page 2, paragraphs [0005] to [0010] of the application, where several known crystalline forms of imatinib mesylate are characterised by peaks of their powder X-ray diffraction pattern, and argued that the features of claim 1 were sufficient to distinguish the claimed subject-matter from these crystalline forms. The board does not agree. Paragraph [0009] of the application refers to forms I and II. For the latter, numerous peaks are mentioned, including peaks at 8.4, 11.5, 14.1 and 18.6 ± 0.2 degrees two theta, which are essentially identical to four of the five peaks presently claimed. Furthermore, document (2), which is mentioned in paragraph [0006] of the application, describes imatinib mesylate forms alpha and beta. In figure 2/3 of document (2) the powder X-ray diffraction pattern of form beta is shown, which has a peak around 6.0. None of this was contested by the appellant. Thus the claimed peaks, instead of uniquely characterising the specific crystalline form of the present invention, could equally well reflect the presence of crystalline form II and form beta. The board is aware that the peak in figure 2/3 of document (2) is rather small. However, neither claim 1 nor the description of the application provides a definition of a peak in terms of its relative intensity.

3.3.5 The appellant's argument that the peaks of a crystalline form in a mixture may be different from those of the individual crystalline forms is not convincing in view of paragraph [00171] of the application. This paragraph indicates that the forms specified in the application do not contain more than 10% of form alpha or form beta. The purity can be measured by powdered X-ray diffraction using peaks of form alpha or form beta which are selected from a list of peaks. The peaks mentioned in these lists include those peaks which are identical to those known for the individual crystalline forms (see page 2, paragraph [0006] of the application). Thus, the appellant's assertion that the peaks of a crystalline form in a mixture may be different from the same peaks in the individual form is not convincing.

3.3.6 Furthermore, it is not contested that a powder X-ray diffraction pattern is probably the best method to identify and distinguish polymorphs as stated in document (5). However, document (5) refers to the powder X-ray diffraction patterns in general. It does not support the view that a few peaks selected from such a diffraction pattern are sufficient to uniquely characterise a particular solid-state form.

4. Sufficiency of disclosure (Article 83 EPC)

4.1 Given the above understanding of claim 1, the question that needs to be examined is whether the skilled person is able to obtain all embodiments falling within the ambit of claim 1 using common general knowledge and taking into account the information given in the description of the patent application.

4.1.1 The information provided in the application as filed is limited to the preparation of a crystalline imatinib mesylate ethanol solvate with powder XRD peaks of claim 1 (see page 27, lines 12 to 13, where it is stated that the **above** crystalline form **is** an ethanol solvate; the form discussed "above" is Form X with the claimed peaks). This is also reflected in examples 18 to 23, which explicitly indicate the presence of ethanol. Examples 17 and 24, which are silent with respect to the ethanol content, nevertheless describe the preparation of Form X under reactions and drying conditions which make it highly unlikely that an unsolvated Form X is obtained. Finally, the board notes that the solid state ^{13}C -NMR of Form X (figures 20 and 21 of the application) clearly contains signals belonging to ethanol (see page 27, lines 16 to 18 and figure 21). The application contains no information as to how other crystalline products of imatinib mesylate (i.e. other solvated and unsolvated forms) having the claimed powdered XRD peaks can be obtained.

The skilled person can also not rely on his common general knowledge to fill in any gaps. Although crystallisation techniques belong to the basic knowledge of the person skilled in the art, it is manifest that not only the solvents but also the precise crystallisation conditions play an essential role in the

formation of a particular crystalline product. Furthermore, the application discloses that the ethanol content in the imatinib mesylate ethanol solvate can be decreased to 2% by drying or heating. There is no information available as to whether the unsolvated form can be obtained without bringing about a change in the crystalline structure and, if so, under which conditions this can be achieved. In the absence of such information, the board can only come to the conclusion that the skilled person based on his common general knowledge and the information present in the application is unable to obtain all embodiments falling within the ambit of claim 1.

4.1.2 In view of the above, the board does not accept the appellant's argument that several ways of performing the invention were disclosed in the application. The application only discloses several ways for preparing the particular crystalline form of imatinib mesylate ethanol solvate.

5. Admission of the first and second auxiliary requests into the proceedings

The appellant filed new first and second auxiliary requests in direct response to the preceding discussion on the question of whether or not the crystalline product according to the invention was uniquely defined by the claimed powder XRD peaks. Since the amendments made in these requests, namely the addition of further powder XRD peaks, were considered to be a genuine attempt by the appellant to address the board's objection, did not add to the complexity of the case and raised no new issues, the board in exercising its discretion pursuant to Article 13 RPBA admitted the new

first and second auxiliary requests into the proceedings.

First auxiliary request

6. Additional powder XRD peaks have been added by the appellant in an attempt to limit claim 1 to a unique crystalline form. The board appreciates that, depending on the circumstances, the addition of further powder XRD peaks may be sufficient for the purpose of uniquely defining a crystalline product. It was, however, not necessary to decide on the question raised by the appellant of whether, in the present case, the XRD peaks defined in claim 1, merely due to their number and without regard to their relative intensity, were in fact sufficient to uniquely characterise the crystalline product according to the invention, i.e. the ethanol solvate, because the first auxiliary request was not allowable for another reason (see point 7 below).

7. Inventive step
 - 7.1 According to the appellant, document (2) represents the closest state of the art. The board sees no reason to disagree with the appellant's choice and therefore takes this document as the starting point for the assessment of inventive step.

 - 7.2 Document (2) discloses two crystalline imatinib mesylate forms characterised by a number of powder X-ray diffraction peaks (hereinafter "Form α " and "Form β "). Form α is obtained in needle-shaped crystals. It is hygroscopic and has unfavourable flow characteristics (document (2), page 2, last paragraph, lines 1 to 5). Form β is not obtained in the form of needles. Its explicit shape is not mentioned. Its flow

characteristics are, however, substantially more favourable than those of Form α and it is not hygroscopic (document (2), page 2, last line to page 3, lines 9).

In the light of this document, the appellant formulated the problem to be solved as the provision of an improved crystalline form of imatinib mesylate. The proposed solution was the claimed crystalline form.

7.3 According to the appellant, the proposed crystalline form was especially attractive for pharmaceutical formulations, due to its unique shape (habit), smaller particle size and the narrower particle size distribution. Excellent flowability was achieved due to the unique shape and could be retained even with small particles. The unique shape was also advantageous during manufacturing processes compared to the needle-shape of Form α . Compared to Form β , the crystalline Form X of the application had a narrower particle size distribution, which was advantageous as it reduced aggregation. As evidence that the problem of providing an improved crystalline form had plausibly been solved, the appellant relied on document (7) and paragraph [00117] of the application.

7.4 The board notes that claim 1 of the main request is directed to a crystalline form of imatinib mesylate *per se*. It is not restricted to a crystalline form having a particular shape, size or size distribution. Advantages based on these features cannot therefore be taken into account in the assessment of an inventive step. Furthermore, these properties are in general the result of specific crystallisation conditions and can be influenced by controlling these conditions, or by adding further steps like sieving or milling. The same

crystalline form can also crystallise in different shapes (see document (5), page 46, last paragraph; page 47, lines 1 to 11, page 49, figure 2.13). The present application describes various methods for the preparation of the crystalline imatinib mesylate ethanol solvate, including crystallisation under different conditions (see examples 19 or 25), or drying of a "Form IV" (example 17), which according to the application does not have the particular shape of the crystalline imatinib mesylate ethanol solvate (see paragraph [00117]). In none of these examples is the particle size, particle distribution or shape given. The application also does not contain any data with respect to the allegedly excellent flowability, let alone a comparison with Form β , which according to document (2) already has favourable flow characteristics. The only reference to flowability is found in paragraph [00117] of the application, where it is merely mentioned that due to the regular rhomboidal shape of Form X excellent flowability is expected and is retained even with small particles. None of these statements is supported by evidence.

- 7.5 Document (7), relied on by the appellant as further evidence for the alleged improvements, shows three microscope images of crystals (figures A1 to A3). Figure A1 shows "imatinib mesylate crystals according to the appellant's claims", figures A2 and A3 show crystals of Form α and Form β , respectively. The board notes that there is no information in document (7) with regard to the methods according to which the various crystalline forms have been obtained, despite the fact that these can influence particle size, distribution and shape. Nor is there any information that links the crystals shown in A1 to A3 to a particular powder XRD-pattern. Leaving aside this lack of information, the figures in document

(7) are not such as to demonstrate any improvement over the crystalline Form β , for the following reasons:

Figure A1 and figure A3 use different scales and therefore cannot be directly compared. In figure A1, the section shown is more enlarged and, as a consequence, the crystals are conveniently spaced, allowing a rough assessment of their shape. However, no conclusion with respect to particle size distribution can be drawn from this small enlarged section. Figure A3 shows aggregations of crystals, which do not allow any conclusion to be drawn with regard to their particle size, particle distribution or shape. Furthermore, no conclusions with regard to flowability, let alone improved flowability, of the claimed crystalline form can be drawn from document (7).

The comparison with Form α is not relevant in the present case, since Form β was already known to be the more advantageous form, in particular, with respect to flow properties (see point 7.2. above).

7.6 According to the jurisprudence of the boards of appeal, alleged but unsupported advantages cannot be taken into consideration in determining the problem underlying the invention (see e.g. decision T 20/81, OJ EPO 1982, 217, point 3, last sentence). Since in the present case the alleged advantages lack the required support, the aforementioned technical problem (see point 7.2 above) needs to be reformulated in a less ambitious way. In view of document (2), it can merely be seen as the provision of a further crystalline form of imatinib mesylate.

7.7 It remains to be decided whether or not the proposed solution is obvious for the skilled person in view of

the prior art and common general knowledge as reflected in documents (5) and (6).

7.7.1 Screening for solid-state forms of a drug and characterisation of these forms is routine practice in the pharmaceutical industry and forms part of the routine task of the skilled person working in the field of drug development, in particular in view of regulatory requirements to provide information on polymorphic, hydrated (solvated) or amorphous forms of a drug (see document (5), page 27, first three paragraphs under point 1.5; document (6), page 945, left column, first paragraph). Moreover, the skilled person is familiar with routine methods for screening for solid-state forms by crystallisation from a range of different solvents under different conditions (i.e. temperature, concentration, agitation, pH, etc.; see document (6), page 946, right column, last paragraph; page 949, left column, first three paragraphs under point A). In this context, the board also notes that ethanol is explicitly mentioned as one of the solvents that may be used when screening for solid-state forms. The mere provision of a further crystalline form of a known pharmaceutically active compound as the result of such routine investigations and routine experimentation does not require inventive skills (see also T 777/08, eighth paragraph of point 5.2 of the Reasons).

7.7.2 The board cannot accept the appellant's argument that there was inventive merit merely because the skilled person could not have been certain that a further crystalline form of imatinib mesylate existed or that such a form would have different and even advantageous properties. The formation of different solid-state forms is commonplace in drugs and, as set out in point 7.7.1 above, screening for such forms is routine practice. In

particular, in view of regulatory requirements, the skilled person not only could but also would investigate whether further solid-state forms existed, irrespective of whether he is able to predict their existence or their properties with certainty. The appellant's arguments with respect to advantageous properties are without merit, since no such properties have been demonstrated and the technical problem to be solved is merely the provision of a further crystalline form (see point 7.6 above).

Second auxiliary request

8. Amendments

8.1 Claim 1 of the second auxiliary request refers to a tablet comprising a crystalline form of imatinib mesylate characterised by a certain number of powder XRD peaks (see point IX above).

8.2 According to the appellant, this claim finds its basis in claim 121, paragraph [00195] and example 46 of the application as originally filed.

8.3 The board does not agree.

8.3.1 Claim 121 as originally filed refers to a pharmaceutical composition comprising a crystalline form of imatinib mesylate of "any of the preceding crystalline claims". The set of claims as originally filed, however, contains claims directed to a number of different crystalline forms (see claims 9, 31, 48, 69, 92-97), which means that claim 121 refers to pharmaceutical compositions wherein the crystalline imatinib mesylate is to be selected from a list of crystalline forms of imatinib

mesylate. Moreover, no reference is made to a particular pharmaceutical composition.

- 8.3.2 A list of suitable pharmaceutical composition for administration is given in paragraph [00195] of the description as originally filed, including, without preference, tablets, pills, powders, liquids, suspension, emulsion, granules, capsules, suppositories, injection preparations and the like. This paragraph does not mention the particular crystalline form presently claimed.
- 8.3.3 Hence, neither claim 121 nor paragraph [00195] of the application as filed clearly and unambiguously discloses the combination of the specific crystalline product and the specific pharmaceutical form as presently claimed.
- 8.3.4 Example 46 refers to a mixture of six specific components in specific amounts. This mixture was pressed into a tablet. Hence, example 46 does not provide a proper basis for a claim directed to a tablet which comprises the claimed crystalline form in any amount, together with any pharmaceutically acceptable excipient(s) in any amount. Furthermore, in the board's judgement, each of the specific excipients which are present in addition to the pharmaceutically active component imatinib mesylate, or the method of preparing the tablet, has an influence on structure and properties of the tablet. For example the presence of a disintegrant, such as croscopolvidone, can increase dissolution, direct compression affects the uniformity, etc. Example 46 is therefore not merely an equivalent to the disclosure that "a tablet" is the preferred pharmaceutical composition, as argued by the appellant.

8.4 For the aforementioned reasons, the board concludes that the subject-matter of claim 1 of the second auxiliary request contravenes Article 123(2) EPC.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar:

The Chairman:



M. Schalow

L. Bühler

Decision electronically authenticated