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Datasheet for the decision of 19 November 2020

Case Number: T 0507/17 - 3.3.02

Application Number: 08770794.9

Publication Number: 2173752

IPC: C07D487/04, A61K31/519

Language of the proceedings: EN

Title of invention:
SALTS OF THE JANUS KINASE INHIBITOR (R)-3-(4-(7H-PYRROLO(2,3-D)PYRIMIDIN-4-YL)-1H-PYRAZOL-1-YL)-3-CYCLOPENTYLPROPANENITRILE

Patent Proprietor:
Incyte Holdings Corporation

Opponents:
Actavis Group PTC ehf
Generics [UK] Ltd (trading as Mylan)

Headword:
JANUS KINASE INHIBITORS

Relevant legal provisions:
EPC Art. 54, 56, 83, 87, 123(2)
RPBA Art. 12(2), 13(1), 13(3)

Keyword:
Late-filed objections - admitted (no)
Novelty - (yes)
Inventive step - (yes)
Priority - (yes)
Insufficiency of disclosure (no)

Decisions cited:
G 0002/98

Catchword:

Europäisches Patentamt
European Patent Office
Office européen des brevets

Beschwerdekammern

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Case Number: T 0507/17 - 3.3.02

D E C I S I O N
of Technical Board of Appeal 3.3.02
of 19 November 2020

Appellant: (Opponent 2)	Generics [UK] Ltd (trading as Mylan) Albany Gate Darkes Lane Potters Bar Hertfordshire EN6 1 AG (GB)
Representative:	FRKelly 27 Clyde Road Dublin D04 F838 (IE)
Respondent: (Patent Proprietor)	Incyte Holdings Corporation 1801 Augustine Cut-Off Wilmington, DE 19803 (US)
Representative:	Carpmaels & Ransford LLP One Southampton Row London WC1B 5HA (GB)
Party as of right: (Opponent 1)	Actavis Group PTC ehf Reykjavikurvegi 76-78 220 Hafnarfjördur (IS)
Representative:	Elkington and Fife LLP Prospect House 8 Pembroke Road Sevenoaks, Kent TN13 1XR (GB)
Decision under appeal:	**Decision of the Opposition Division of the European Patent Office posted on 3 November 2016 rejecting the oppositions filed against European patent No. 2173752 pursuant to Article 101(2) EPC**

Composition of the Board:

Chairman	M. O. Müller
Members:	M. Maremonti
	M. Blasi

Summary of Facts and Submissions

I. The appeal by opponent 2 (hereinafter "appellant") lies from the decision of the opposition division to reject the oppositions against European patent No. 2 173 752.

II. The patent as granted contains 19 claims, independent claim 1 of which reads as follows:

"*1. A salt selected from:*

(R)-3-(4-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1H-pyrazol-1-yl)-3-cyclopentylpropanenitrile maleic acid salt;

(R)-3-(4-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1H-pyrazol-1-yl)-3-cyclopentylpropanenitrile sulfuric acid salt; and

(R)-3-(4-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1H-pyrazol-1-yl)-3-cyclopentylpropanenitrile phosphoric acid salt."

Claim 6 is directed to a method of preparing the salt of claim 1, claim 7 to a composition including the salt of claim 1, claim 10 to a method of modulating an activity of Janus kinase ("JAK" in the following) comprising contacting JAK *ex vivo* with a salt of claim 1 and claims 12 to 19 to the salt of claim 1 for use in treating specific diseases. Dependent claims 2 to 5, 8 to 9 and 11 concern particular embodiments of the subject-matter of claims 1, 7 and 10, respectively.

III. The following documents were among those cited during the opposition proceedings:

C1: WO 2006/096270 Al

C3: WO 2007/070514 Al

C4: Berge *et al.*, "Pharmaceutical Salts", Journal of Pharmaceutical Sciences, Vol. 66, No. 1, January 1977, pages 1 to 19

C5: Remington's Pharmaceutical Sciences 17th edition, ISBN 0-912734-03-5, 1985, Ch. 76, pages 1418 and 1419

C7: WO 2006/127587 Al

C16: Declaration of J.D. Rogers dated 4 June 2010 filed with the USPTO and accompanied by the annexed Exhibits A, B and C

The opposition division came to, *inter alia*, the following conclusions:

- The subject-matter claimed in the contested patent did not extend beyond the content of the application as filed.
- The contested patent disclosed the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art.
- The claimed priority date of the contested patent was valid.
- The claimed subject-matter was novel over the disclosure of document C3.
- The claimed subject-matter involved an inventive step in view of the disclosures of either C1 or C7 taken as the closest prior art.

IV. In its statement of grounds of appeal, the appellant contested the reasoning of the opposition division and maintained that the subject-matter of claims 10 and 11

extended beyond the content of the application as filed. Moreover, it argued that the claimed subject-matter was not entitled to the claimed priority date, was not sufficiently disclosed, was not novel over the disclosure of C3 and did not involve an inventive step.

The appellant corroborated its arguments by relying on the following newly filed documents A001 to A005:

A001: Gould P.S. "Salt selection for basic drugs", Int. J. Pharmaceutics, 1986, 33, pages 201 to 217

A002: Black S.N. *et al.* "Structure, Solubility, Screening, and Synthesis of Molecular Salts", J. Pharmaceutical Sciences, 2007 (May), 96(5), pages 1053 to 1068

A003: Paulekuhn G.S. *et al*. "Trends in active pharmaceutical ingredient salt selection based on analysis of the orange book database", J. Med. Chem. 2007, 50, 6665 to 6672

A004: Bastin R.J. *et al*. "Salt selection and Optimisation Procedures for Pharmaceutical New Chemical Entities", Organic Process Research & Development, 2000, 4, 427 to 435

A005: Quintás-Cardama A. *et al.* "Preclinical characterization of the selective JAK1/2 inhibitor INCB018424: therapeutic implications for the treatment of myeloproliferative neoplasms, Blood, 2010, 115, pages 3109-3117

V. In its reply to the statement of grounds of appeal, the patentee (hereinafter "respondent") rebutted the arguments of the appellant and submitted that the patent as granted met all requirements of the EPC.

The respondent also filed sets of claims of auxiliary requests 1 to 3.

VI. The parties were summoned to oral proceedings in accordance with their request. In preparation for the proceedings, the board issued a communication pursuant to Article 15(1) RPBA 2020, in which it expressed, *inter alia*, the preliminary opinion that the claimed subject-matter was entitled to the claimed priority date, was sufficiently disclosed in the contested patent, was novel and involved an inventive step.

VII. By letter dated 27 July 2020, the respondent filed sets of claims of auxiliary requests 1 to 4, with auxiliary request 2 being identical to the previously filed auxiliary request 1.

VIII. By letter dated 20 October 2020, opponent 1, party to the appeal proceedings as of right according to Article 107, second sentence, EPC, announced that it would not be represented at the scheduled oral proceedings.

IX. By communication dated 28 October 2020, the board informed that the oral proceedings would be held by videoconference as agreed by the appellant and the respondent.

X. Oral proceedings before the board were held on 19 November 2020 by videoconference in the absence of opponent 1 pursuant to Rule 115(2) EPC and Article 15(3) RPBA 2020. During oral proceedings, the respondent maintained auxiliary request 2 as filed on 27 July 2020 as its main request. All other claim requests were withdrawn.

The set of claims of auxiliary request 2 consists of 17 claims which are identical to claims 1 to 9 and 12 to 19 as granted, claims 10 and 11 as granted having been deleted.

XI. Final requests

The appellant requested that the decision under appeal be set aside and that the patent be revoked.

The respondent requested that the decision under appeal be set aside and that the patent be maintained in amended form according to the claims of the main request, filed as auxiliary request 2 by letter dated 27 July 2020.

Opponent 1, party to the appeal proceedings as of right according to Article 107, second sentence, EPC, did not file any request.

XII. The arguments of the appellant, in so far as relevant to the present decision, are summarised as follows:

Added subject-matter:

- The subject-matter of claims 10 to 17 of the main request did not have basis in the application as filed since the feature of claim 12 as filed expressing that the salt was administered in a therapeutically effective amount had not been included in the claims.

- It was acknowledged that this objection had not been raised in the appeal proceedings until oral proceedings, but it had been raised before the opposition division. It was very relevant and should be admitted into the proceedings.

Novelty:

- Document C3 in combination with documents C4 and C5, stated in C3 to be incorporated in C3 in their entirety, anticipated the subject-matter of claim 1.

- Example 67 of C3 on pages 91 and 92 disclosed the free base of the salts defined in claim 1. Claim 1 of C3 disclosed salts of the compounds defined in this claim. C3 further disclosed on page 34 that the described invention included pharmaceutically acceptable salts of the disclosed compounds. In lines 25 to 28, it was stated that suitable salts were found in C4 and C5, stated to be incorporated by reference.

- Both C4 and C5 disclosed a short list of salts, among which the salts of maleic, sulfuric and phosphoric acid as defined in claim 1 at issue were explicitly mentioned.

- Thus, by referring to C4 and C5, C3 directly and unambiguously disclosed the claimed salts. It had to be concluded that the subject-matter of claim 1 lacked novelty over the disclosure of C3.

- Additionally, document C16 confirmed that the respondent was working with the salts defined in claim 1 prior to the priority date of the contested patent.

Priority:

- The claimed priority was not valid for the subject-matter of claims 10 to 17 of the main request.

- Paragraph [0077] of the contested patent was not present in the priority application. This paragraph contained example A, which was the only evidence of a JAK inhibiting activity of the claimed phosphoric salt.

- Even if claims 10 and 11 of the priority application mentioned that the claimed salts had a JAK inhibiting activity, this statement was not corroborated by any example and thus had to be regarded as merely speculative.

- It had to be concluded that the priority application was a non-enabling disclosure of the JAK inhibiting activity of the claimed salts. Therefore, the subject-matter of claims 10 to 17 of the main request invoking this activity for medical uses were not entitled to the priority date claimed for the contested patent.

- This objection had been raised on page 3 of the statement of grounds of appeal and therefore should be admitted into the proceedings.

Inventive step:

- Since the priority was not valid for the subject-matter of claims 10 to 17, document C3, published between the priority and the filing date of the contested patent, might be selected as the closest prior art for the subject-matter of these claims.

- Either C1 or C7 further represented the closest prior art for the subject-matter of claim 1. The sole distinguishing feature was the different chemical structure.

- No technical effect was linked to this distinguishing feature. Moreover, a JAK inhibiting activity had only been shown for the phosphoric acid salt. No support could be found for a JAK inhibiting activity of the claimed maleate and sulphate salts.

- Document C16 confirmed that the phosphate salt behaved differently than the maleate and sulphate salts. A005 showed that the free base of the claimed salts did not inhibit JAK3 at the same level as JAK1 and JAK2.

- Thus, a JAK inhibiting activity had not been shown across the whole claimed scope. The objective technical problem had to be seen in the mere provision of an alternative compound.

- The claimed compounds had to be regarded as an arbitrary choice from a host of possible solutions. The skilled person choosing random substituents would have easily come up with the free base of the claimed salts.

- Salts of maleic, sulfuric and phosphoric acid were common in the art being among the salts typically used for preparing pharmaceutical formulations (see C4, C5 and A001 to A004). They thus represented an obvious choice.

- Even accepting that these salts performed better in terms of solubility, it would have been obvious to the skilled person to consider all available salts and analyse which of these were more suitable for pharmaceutical applications.

- It had to be concluded that the claimed subject-matter lacked an inventive step.

Sufficiency of disclosure:

- The contested patent did not disclose to the skilled person the JAK inhibiting activity of the maleate and sulphate salts defined in claim 1 in an enabling way.

- An activity had only been shown for the phosphate salt. This was further confirmed by C16.

- It had to be concluded that the claimed subject-matter was not sufficiently disclosed across the whole claimed scope.

XIII. The arguments of the respondent, in so far as relevant to the present decision, are summarised as follows:

Added subject-matter:

- The objection to claims 10 to 17 of the main request had not been raised in the appeal proceedings until oral proceedings. Thus, it should not be admitted into the proceedings under the Rules of Procedure of the Boards of Appeal.

- Even if admitted, this objection was not founded. The feature that the claimed compounds had to be administered in a therapeutically effective amount, as explicitly mentioned in the claims as filed, was an implicit feature of claims 10 to 17 since these were directed to the use of the compounds of claim 1 for medical treatments. A medical treatment implied that a therapeutically effective amount was used.

Novelty:

- Document C3 was not novelty-destroying for the subject-matter of claim 1.

- Multiple selections had to be made within the disclosure of C3 to arrive at the claimed compounds. Moreover, the incorporation by reference of documents C4 and C5 was not detailed. No precise passages of these documents were referred to.

- It had to be concluded that the subject-matter of claim 1 was novel over the disclosure of C3.

Priority:

- The objection to priority entitlement for the subject-matter of claims 10 to 17 had not been raised in the appeal proceedings until oral proceedings. It should not be admitted into the proceedings under the Rules of Procedure of the Boards of Appeal.

- The only objection raised in the statement of grounds of appeal concerned paragraph [0077] of the contested patent which was not present in the priority application.

- However, the priority had to be assessed for the subject-matter of the claims and not of passages of the description.

- Even considering this objection raised late in the proceedings, this was not founded. The priority application directly and unambiguously disclosed that the compound defined in claim 1 had a JAK inhibiting activity. This resulted from page 3 and the claims of the priority application.

- Therefore, it had to be concluded that the priority was validly claimed.

Inventive step:

- Either C1 or C7 could be selected as the closest prior art. The claimed compounds differed from the compounds falling under the Markush formulae disclosed in C1 or C7 in their chemical structures. In particular, the cyclopentyl substituent present in the claimed compounds was not disclosed.

- According to the contested patent, paragraph [0016], the claimed salts had superior solubility, rate of dissolution and chemical stability. Examples 1 to 3 demonstrated the crystallinity of these compounds.

- The objective technical problem had thus to be seen in the provision of compounds having improved properties for pharmaceutical formulations.

- However, even if not taking the mentioned effects into account, the technical problem should at least be seen in the provision of alternative JAK inhibitors.

- Example A demonstrated the JAK inhibiting activity of the phosphate salt. There was no reason to doubt that a similar activity was also obtained with maleate and sulphate salt. C16, Exhibit B, confirmed the crystallinity and thus high solubility of these salts. Once dissolved, all three claimed salts released the free base that was the active compound.

- When looking for a solution to the posed technical problem, the skilled person would not have randomly changed the chemical structures of C1 or C7 since the researched compound had to exhibit JAK inhibiting activity. By randomly modifying the

known structures, the skilled person would not have had any reasonable expectation of success. Moreover, the skilled person would not have known which part of the known structures had to be modified. No link between specific chemical groups and JAK inhibiting activity had been disclosed.

- It had to be concluded that the claimed subject-matter involved an inventive step.

Sufficiency of disclosure:

- Examples 1 to 3 of the contested patent demonstrated that all three claimed salts had been obtained in crystalline form.

- This was further confirmed by document C16. There was thus no reason to consider that the maleate and sulphate salts behaved differently than the phosphate salt as far as the JAK inhibiting activity was concerned.

- The claimed subject-matter was sufficiently disclosed in the contested patent.

Reasons for the Decision

Main request - admittance of the new objection under Article 123(2) EPC

1. At the oral proceedings before the board, the appellant (XII above) raised a new objection under Article 123(2) EPC against claims 10 to 17 of the main request. It acknowledged that this objection had not been raised during the appeal proceedings but put forward that it should still be admitted since it had been raised in the proceedings before the opposition

division. The respondent requested that this objection not be admitted into the proceedings.

1.1 Under Article 12(2) RPBA 2007 (applicable to this case in accordance with Article 24, Article 25(2) RPBA 2020 and Article 12(4) RPBA 2007), the statement of grounds of appeal shall contain the appellant's complete case. It shall set out clearly and concisely the reasons why it is requested that the decision under appeal be reversed and should specify expressly all facts, arguments and evidence relied on. Objections raised in the proceedings before the opposition division but not reiterated in the statement of grounds of appeal are thus not part of the appellant's case within the meaning of Article 12(2) RPBA 2007.

1.2 Moreover, the appellant's objection under Article 123(2) EPC against claims 10 to 17 of the main request had been regarded by the opposition division as not founded (appealed decision, page 6, point 1, third paragraph). The fact that the appellant did not contest this finding of the opposition division in its statement of grounds of appeal has to be taken as an indication that the appellant saw no reason to pursue this matter further.

1.3 Thus, because it was only raised at the oral proceedings before the board, the appellant's objection represented an amendment to its case. Pursuant to Article 13(1) and (3) RPBA 2007 which continued to apply to this case in accordance with Article 24 and Article 25(3) RPBA 2020, the board had discretion over whether to admit and consider this objection.

Under Article 13(1) RPBA 2007, the board exercises its discretion in view of, *inter alia*, the complexity of

the new subject-matter submitted, the state of the proceedings and the need for procedural economy.

In accordance with Article 13(3) RPBA 2007, amendments to a party's case submitted at oral proceedings are not admitted if they raise issues which the board or the other party cannot reasonably be expected to deal with without adjournment of the oral proceedings.

1.3.1 As set out under XII above, the new objection was based on the fact that claims 10 to 17 did not include the feature expressing the administration of the salts of claim 1 in a therapeutically effective amount. This feature was present in the corresponding claims as filed.

1.3.2 The board considered that this new objection raised complex issues at an extremely late stage of the appeal proceedings. In fact, by admitting this new objection, a factual assessment would have had to be made regarding whether the administration of the salts of claim 1 in a therapeutically effective amount was an implicit feature of claims 10 to 17 as submitted by the respondent (XIII above) with the argument that these claims are directed to medical uses.

1.3.3 Therefore, the admittance of this new objection would have led to an entirely fresh case on the issue of added subject-matter to be considered at an extremely late stage of the appeal proceedings for the first time. However, as established in the case law and confirmed in the version of the Rules of Procedure of the Boards of Appeal which entered into force on 1 January 2020, the primary object of the appeal proceedings is to review the decision under appeal in a judicial manner (Article 12(2) RPBA 2020) and not to start new opposition proceedings. The admittance of

such an objection initiating a fresh case would have been contrary to procedural economy since neither the respondent nor the board could reasonably be expected to deal with it without adjournment of the oral proceedings.

1.4 Thus, in exercising its discretion under Article 13(1) and (3) RPBA 2007, the board decided not to admit the new objection under Article 123(2) EPC raised by the appellant at the oral proceedings.

Main request - Article 123(2) EPC

2. The main request of the respondent contains claims 1 to 17 that are identical to claims 1 to 9 and 12 to 19 as granted (II above). Granted claims 10 and 11 have been deleted.

2.1 In its statement of grounds of appeal, the appellant had raised a single objection of added subject-matter against claims 10 and 11 as granted. Since these claims are not present anymore in the main request, this objection has been rendered moot.

2.2 In view of this and since the only further objection under Article 123(2) EPC has not been admitted (point 1 above), the board had no reason to doubt that the claims of the main request did not comprise added subject-matter and thus complied with Article 123(2) EPC.

Main request - novelty under Article 54 EPC

3. Claim 1 (II above) refers to a salt selected from the maleic acid salt, the sulfuric acid salt or the phosphoric acid salt of the R-enantiomer of a certain free base.

The appellant put forward (XII above) that document C3 taken in combination with documents C4 and C5, stated in C3 (page 34) to be incorporated in C3 in their entirety, anticipated the subject-matter of claim 1.

The board disagrees.

3.1 Claim 1 of C3 discloses a general formula containing a number of different substituents. For each substituent, claim 1 proposes a large number of different possibilities, thus amounting to a myriad of possible compounds falling under claim 1.

3.2 C3 also discloses (pages 62 to 266) 745 specific compounds, among which compound 67 in example 67 (page 91) represents the free base of the salts defined in claim 1 of the main request (II above). In example 67, both the R and the S enantiomer of this free base are disclosed. It was not disputed by the appellant that C3 does not explicitly disclose salts of this compound 67, let alone the claimed phosphate, maleate and sulphate salts.

3.3 The appellant mentioned the reference of C3 to C4 and C5 as disclosing suitable salts to be used. Indeed, C3 generally discloses (page 34, lines 13 to 28) that the described invention also includes pharmaceutically acceptable salts of the disclosed compounds. Various examples of such salts are mentioned. In lines 25 to 28 of page 34, it is stated that lists of suitable salts are found in two specified documents, each of which was said to be incorporated by reference in its entirety. The two specified documents are C4 and C5 in these proceedings.

Document C4 discloses, e.g. in table I on page 2, a long list of FDA-approved commercially marketed salts, among which phosphate, maleate and sulphate are

included. The same list is also disclosed in document C5, e.g. in table II on page 1418.

3.4 Therefore, to arrive at the salts defined in claim 1 of the main request, a first selection of the R-enantiomer of the free base of example 67 of C3 has to be made. A second selection would have to be made to consider salts of the R-enantiomer. A third selection would be needed to choose to refer to the salts described in C4 or C5. And a fourth selection would have to be made within C4 or C5 among the various salts mentioned in these documents. No pointer towards such selections is present in C3.

Such a multiple selection without any pointer does not represent a direct and unambiguous disclosure of the salts defined in claim 1 of the main request. Therefore, the subject-matter of claim 1 is novel over the disclosure of C3, also taking into account its reference to C4 and C5.

3.5 In the written proceedings, the appellant (statement of grounds of appeal, point 4, last paragraph) also referred to document C16, allegedly showing that the respondent "*was specifically working with the phosphate, maleate and sulphate salts prior to the priority date*".

The board finds that C16 is not relevant to the novelty of the claimed subject-matter. Indeed, C16 is a declaration of one of the inventors of the contested patent dated 4 June 2010, i.e. after the priority date of the contested patent. The fact that the respondent worked with the claimed salts before the priority date of the patent pertains to the logical development of an invention and does not mean that these salts were made

available to the public before the priority date within the meaning of Article 54 EPC.

3.6 Therefore, the board concludes that the subject-matter of claim 1 of the main request is novel. The same applies to claims 2 to 17, directed to particular embodiments of the salts of claim 1, a method of preparing the salts of claim 1, compositions including the salt of claim 1 and medical uses of the salts of claim 1 (Article 54 EPC).

Main request - validity of the priority date - admittance of the new objection raised by the appellant at the oral proceedings

4. At the oral proceedings before the board, the appellant (XII above) raised an objection to the validity of the priority date for the subject-matter of claims 10 to 17 of the main request. The appellant argued that this objection had been raised on page 3 of its statement of grounds of appeal. The respondent put forward that this objection was submitted late and requested that it not be admitted into the proceedings.

4.1 The board notes that in its statement of grounds of appeal (page 3), the appellant merely contested the entitlement to the priority date of paragraph [0077] of the contested patent in view of the fact that this paragraph had not been present in the priority application. No objection concerning the entitlement to priority of the subject-matter of claims 10 to 17 was mentioned in the statement of grounds of appeal.

4.2 The objection to claims 10 to 17 was thus only raised at the oral proceedings and, as such, represented an amendment to the appellant's case. Pursuant to Article 13(1) and (3) RPBA 2007, the board had

discretion over whether to admit and consider this objection.

4.3 As set out under XII above, the new objection was based on the following: Claims 10 to 17 were directed to medical uses involving a JAK inhibiting activity. Claims 10 and 11 of the priority application disclosed this JAK inhibiting activity. However, no example demonstrating the JAK inhibiting activity of the claimed salts had been disclosed in the priority application. The latter was thus a mere speculative, non-enabling disclosure of the JAK inhibiting activity and could thus not provide a valid priority for the subject-matter of claims 10 to 17.

4.4 The board considered that this new objection raised complex issues at an extremely late stage of the proceedings. In fact, by admitting this new objection, a factual assessment would have had to be made regarding whether the disclosure in the priority application rendered plausible that the salts disclosed in it and defined in claim 1 of the main request had a JAK inhibiting activity, thus enabling the medical uses disclosed in the priority application and defined in claims 10 to 17 of the main request.

4.5 Therefore, the admittance of this new objection would have led to an entirely fresh case on the priority issue to be considered at an extremely late stage of the appeal proceedings for the first time. However, as already mentioned under point 1.3.3 above, the primary object of the appeal proceedings is to review the decision under appeal in a judicial manner (Article 12(2) RPBA 2020) and not to start new opposition proceedings. The admittance of such an objection initiating a fresh case would have been contrary to procedural economy since neither the

respondent nor the board could reasonably be expected to deal with it without adjournment of the oral proceedings.

The submission of the new objection only at oral proceedings is additionally unjustified in view of the fact that the board in its communication issued in advance of the oral proceedings (VI above) had expressed a favourable preliminary opinion on the validity of the claimed priority. Until the oral proceedings, this preliminary opinion had not been contested by the appellant.

4.6 In exercising its discretion under Article 13(1) and (3) RPBA 2007, the board thus decided not to admit the new objection to the validity of the priority date raised by the appellant at the oral proceedings.

4.7 As regards the appellant's objection to the priority entitlement for paragraph [0077] of the contested patent, it is the invention as defined in the claims that is entitled (or not) to a claimed priority date, and not single parts of the description (see opinion G 2/98, OJ EPO 2001, 413, point 9 of the reasons). The fact that the disclosure in paragraph [0077] of the contested patent is not present in the priority application does not have any bearing on the validity of the priority date as the effective date for the subject-matter of the claims of the main request.

4.8 For the reasons set out above, the board is satisfied that the priority date is valid for the subject-matter of the claims of the main request (Article 87 EPC).

Main request - inventive step under Article 56 EPC

5. The closest prior art

5.1 In view of the above-mentioned conclusion of the board on the validity of the priority date, document C3, published after the priority date of the contested patent, does not represent prior art to be considered for the question of inventive step (Article 56, second sentence, EPC).

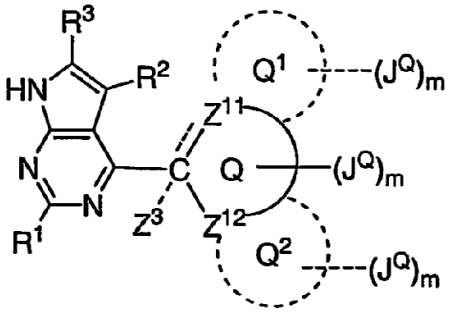
5.2 Both parties indicated documents C1 and C7 as possible starting points for the assessment of inventive step. Both documents were also taken by the opposition division to represent the closest prior art in the appealed decision (pages 8 and 9).

5.3 The board sees no reason to take a different stance. Indeed, both documents (C1: paragraphs [0105] and [0106]; C7: paragraphs [0104] and [0105]) disclose inhibitors of protein kinases, specifically of JAK, and their use in treating a variety of disorders. Therefore, both C1 and C7 address the same issues as the contested patent and represent suitable starting points for the assessment of inventive step.

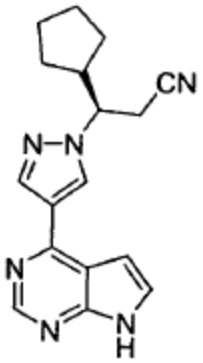
6. The objective technical problem

6.1 It was undisputed that the compounds defined in claim 1 of the main request differ from the compounds disclosed in C1 and C7 in their chemical structure.

6.1.1 C1 (page 15) discloses compounds based on a generic pyrrolopyrimidine structure:



It also discloses (paragraph [0193]) salts of these compounds, including phosphate, maleate and sulphate salts. Compared with the compounds of claim 1 at issue, the free base of which has the structure:



the generic formula presented in C1 does not include any cyclopentyl substitution linked via an aliphatic chain to a pyrazole ring connected to the pyrrolopyrimidine group.

6.1.2 C7 (paragraph [0104]) discloses compounds based on a generic pyrrolo**pyridine** structure (the claimed compounds include a pyrrolo**pyrimidine** structure; emphasis by the board). It also discloses (paragraph [0177]) salts of these compounds, including phosphate, maleate and sulphate salts. The pyrrolopyridine group can also carry a pyrazole ring (e.g. compounds 70 and 80 in paragraph [0246], compound 106 in paragraph [0287] and compound 124 in paragraph [0300])). The compounds as defined in claim 1 thus at least differ

from the compounds disclosed in C7 in that they comprise a pyrimidine rather than a pyridine moiety.

6.2 The respondent put forward that the objective technical problem deriving from the above-mentioned distinguishing features had at least to be seen in the provision of an alternative JAK inhibitor.

This formulation of the technical problem was contested by the appellant. On the basis of paragraph [0077] of the contested patent and the disclosures of C16 and A005, the appellant argued (XII above) that there was no evidence that the claimed maleate and sulphate salts acted as JAK inhibitors. C16 confirmed that the phosphate salt behaved differently than the maleate and sulphate salts. A005 showed that the free base of the claimed salts did not inhibit JAK3 at the same level as JAK1 and JAK2.

6.3 The board finds that the appellant's arguments are not convincing for the following reasons.

6.3.1 Document C16 (a declaration of one of the inventors of the contested patent) states (points 3 and 4) that out of 43 tested salts of the same free base as defined in claim 1 at issue, only the phosphate, maleate and sulphate salts, i.e. the same salts as claimed, provided highly crystalline materials. The phosphate salt was further tested for its solubility and showed a solubility in water 20-times higher than the free base (points 7 and 8). Contrary to the appellant's allegation, C16 does not mention any different behaviour of the phosphate salt compared to the maleate and the sulphate salts, let alone that any of these salts are not JAK inhibitors.

6.3.2 Document A005 was published well after the priority date of the contested patent. It discloses (section "*Results*" on page 3111) that the free base of the claimed salts inhibited JAK1 and JAK2 to a larger extent than JAK3 in the tested conditions. Therefore, A005 rather confirms that the free base of the claimed salts does act as a JAK inhibitor. There is no reason to assume that this inhibiting effect is not present if the salts rather than the free base are used (see also point 6.3.4 below).

6.3.3 Therefore, neither C16 nor A005 casts any doubts, based on verifiable facts, on the JAK inhibiting effect of the claimed compounds.

6.3.4 Example A in paragraph [0077] of the contested patent demonstrates the JAK inhibiting effect of the claimed phosphate salt. It is true that the patent does not contain any example on the JAK inhibiting effect of the maleate and sulphate salts. However, the board concurs with the respondent (reply to the statement of grounds of appeal, page 7, point 6.4) that the active compound is the same for all three claimed salts. In fact, once dissolved, the free base is released and responsible for the JAK inhibition.

Document C16 in the annexed Exhibit B confirms that the claimed maleate, phosphate and sulphate salts were obtained as highly crystalline material. Thus, it can be reasonably assumed that they would dissolve similarly and behave the same as far as their JAK inhibiting effect is concerned. No evidence to the contrary has been provided by the appellant.

6.4 Therefore, the board is satisfied that the objective technical problem lies at least in the provision of alternative JAK inhibiting compounds.

7. Obviousness of the claimed solution

7.1 As a solution to the above posed objective technical problem, claim 1 of the contested patent proposes the three salts defined in the claim (II above).

7.2 The appellant argued that starting from C1 or C7, the claimed salts had to be merely regarded as an arbitrary choice from a host of possible solutions. The skilled person choosing random substituents would have easily come up with the free base cation of the claimed salts. The phosphate, maleate and sulphate anions associated with the free base cation in the claimed salts were among the most commonly used. All secondary documents C4, C5 and A001 to A004 confirmed this. Therefore, no inventive step should be acknowledged.

7.3 The board disagrees for the following reasons.

7.3.1 It is acknowledged that an arbitrary choice among equivalent solutions all available to the skilled person may be regarded as not inventive. However, the free base cation of the salts defined in claim 1 at issue (6.1.1 above) is neither disclosed in closest prior art documents C1 or C7 nor in any of the secondary documents invoked by the appellant. Thus, it would not have been available to the skilled person.

7.3.2 Moreover, neither C1 nor C7 contains any pointer that would have prompted the skilled person to modify the chemical structure of the disclosed free base compounds to arrive at the specific free base cation of the claimed salts.

7.3.3 The skilled person would not have considered a random choice of alternative free base cations to those known from C1 or C7 since the solution to the posed technical problem had to be a JAK inhibitor. More specifically,

the skilled person would not have had a reasonable expectation of success that by choosing a random alternative free base cation, the JAK inhibiting effect would be maintained.

7.3.4 In the absence of any pointer to the free base cation of the claimed salts, the fact that documents C4 and A001 to A004 disclose that the claimed phosphate, maleate and sulphate anions may be generally used to prepare pharmaceutical salts does not have any bearing on the issue of obviousness of the claimed salts.

7.4 The board concludes that the subject-matter of claim 1 would not have been obvious having regard to the cited state of the art.

Therefore, the subject-matter of claim 1 and claims 2 to 17, directed to particular embodiments of the salts of claim 1, a method of preparing the salts of claim 1, compositions including the salt of claim 1 and medical uses of the salts of claim 1, involves an inventive step within the meaning of Article 56 EPC.

Main request - sufficiency of disclosure - Article 83 EPC

8. The appellant argued (XII above) that the requirement relating to sufficiency of disclosure was not met across the whole claimed scope. It claimed that there was no evidence that the claimed maleate and sulphate salts acted as JAK inhibitors. Rather, C16 demonstrated that the phosphate salt behaved differently than the maleate and sulphate salts.

These arguments are essentially identical to those put forward above on the issue of inventive step. However, these arguments are not convincing for the same reasons as already mentioned under 6.3 above.

More specifically, as set out above, C16 does not cast any doubts, based on verifiable facts, on the JAK inhibiting effect of the claimed compounds.

The board concludes that the claimed subject-matter is sufficiently disclosed in the contested patent.

Conclusions

9. The main request of the respondent is allowable under the EPC.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.

2. The case is remitted to the opposition division with the order to maintain the patent in amended form according to the claims of the main request, filed as auxiliary request 2 with letter dated 27 July 2020, and a description to be adapted thereto.

The Registrar: The Chairman:

N. Maslin M. O. Müller

Decision electronically authenticated