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Datasheet for the decision of 26 March 2024

Case Number: T 0091/22 - 3.3.07

Application Number: 16198994.2

Publication Number: 3165220

IPC: A61K9/20, A61K9/48, A61K31/513

Language of the proceedings: EN

Title of invention:
PHARMACEUTICAL COMPOSITION CONTAINING AN ANTI-NUCLEATING AGENT

Patent Proprietor:
Merck Sharp & Dohme LLC

Opponents:
Kraus & Lederer PartGmbB
Ter Meer Steinmeister & Partner Patentanwälte mbB

Headword:
PHARMACEUTICAL COMPOSITION CONTAINING AN ANTI-NUCLEATING AGENT/Merck Sharp & Dohme LLC

Relevant legal provisions:
RPBA 2020 Art. 12(2), 12(4), 13(2)
EPC R. 80
EPC Art. 76(1), 56

Keyword:

Decisions cited:
T 1742/19

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Chambres de recours

Boards of Appeal of the
European Patent Office
Richard-Reitzner-Allee 8
85540 Haar
GERMANY
Tel. +49 (0)89 2399-0
Fax +49 (0)89 2399-4465

Case Number: T 0091/22 - 3.3.07

D E C I S I O N
of Technical Board of Appeal 3.3.07
of 26 March 2024

Appellant: (Patent Proprietor)	Merck Sharp & Dohme LLC 126 East Lincoln Avenue Rahway, New Jersey 07065 (US)
Representative:	Merck Sharp & Dohme LLC 120 Moorgate London EC2M 6UR (GB)
Appellant: (Opponent 1)	Kraus & Lederer PartGmbB Thomas-Wimmer-Ring 15 80539 München (DE)
Representative:	Kraus & Lederer PartGmbB Thomas-Wimmer-Ring 15 80539 München (DE)
Party as of right: (Opponent 2)	Ter Meer Steinmeister & Partner Patentanwälte mbB Nymphenburger Strasse 4 80335 München (DE)
Decision under appeal:	**Interlocutory decision of the Opposition Division of the European Patent Office posted on 19 November 2021 concerning maintenance of the European Patent No. 3165220 in amended form.**

Composition of the Board:

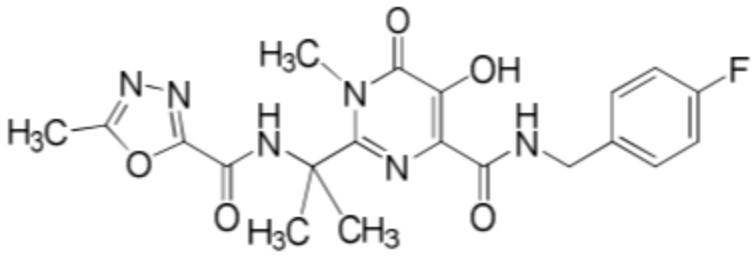
Chairman	A. Usuelli
Members:	D. Boulois
	S. Ruhwinkel

Summary of Facts and Submissions

I. European patent No. 3 165 220 B1 was granted on the basis of a set of 7 claims. The patent had the application number 16 198 994.2 and was filed as a divisional application from the earlier application EP 05 852 790.4 having the publication number EP 1 819 323. The earlier application is the subject of the decision T 1742/19.

Independent claim 1 as granted read as follows:

"1. A pharmaceutical composition for oral administration as a solid dose, which comprises:
(a) from 0.5 to 20 wt.% of an anti-nucleating agent which comprises hydroxyalkylcellulose, and
(b) an effective amount of from 5 to 75 wt.% of a potassium salt of Compound A, wherein Compound A is:



and which further comprises a diluent, a disintegrant, and a lubricant."

II. The patent had been opposed on the grounds that its subject-matter lacked inventive step, was not sufficiently disclosed and extended beyond the content of the application as filed.

III. The appeal lies from the decision of the opposition division finding that the patent in amended form meets the requirements of the EPC. The decision was based on the claims as granted as main request, on auxiliary requests 1-3 filed with letter of 2 June 2020, on auxiliary request 4 filed with letter of 1 April 2021 and auxiliary request 5 filed during the oral proceedings of 2 June 2021.

Independent claim 1 of the auxiliary requests read as follows, the differences, unless otherwise indicated, relating to a comparison with the main request:

Auxiliary request 1

Claim 1 of auxiliary request 1 was identical. In this request, dependent claim 4 was deleted.

Auxiliary request 2

Claim 1 had been amended by incorporating the subject-matter of granted claim 2, namely that the composition comprises "(a) from 0.5 to 20 wt.% of an anti-nucleating agent **which is hydroxypropylmethylcellulose**" and dependent claim 4 as granted was deleted.

Auxiliary request 3

Claim 1 has been amended by incorporating the subject-matter of granted claims 2 and 3 namely that the composition comprises "(a) from 0.5 to 20 wt.% of an anti-nucleating agent **which is hydroxypropylmethylcellulose**" and "**wherein the diluent is microcrystalline cellulose, the disintegrant is croscaramellose sodium and the lubricant is magnesium stearate**". Dependent claim 4 as granted were deleted.

Auxiliary request 4

Claim 1 has been amended by specifying the amount of anti-nucleating agent, i.e.: "(a) **from 2 to 15 wt.%** of an anti-nucleating agent which comprises hydroxyalkylcellulose". Furthermore, the following feature was introduced at the end of claim 1: "which further comprises **a first diluent**, **a second diluent**, a disintegrant, and a lubricant". Dependent claims 2-4 as granted were deleted.

A new claim 2 was added which read:

"2. The pharmaceutical composition according to claim 1, wherein:
the anti-nucleating agent is hydroxypropylmethylcellulose;
the first diluent is microcrystalline cellulose;
the second diluent is lactose or dibasic calcium phosphate;
the disintegrant is croscarmellose sodium; and the lubricant is magnesium stearate."

Auxiliary request 5

Auxiliary request 5 corresponded to auxiliary request 4 with dependent claim 4 deleted.

IV. The documents cited during the opposition proceedings included the following:

D1: WO 03/035077
D3: Gao et al, Drug Dev. Ind. Pharm. 2004, 30 (2), 221 -229;

D4: Usui el al. "Inhibitory effect of water-soluble polymers on precipitation of RS8359" International Journal of Pharmaceutics, 1997, 154, pp 59-66;
D5: Raghavan et al., 2001, 212, 213-221;
D15: Experimental data filed by the proprietor as Appendix on 2 June 2020;

V. According to the decision under appeal, the subject-matter of claims 1-7 of the main request contravened Article 76(1) EPC. The conclusion applied *mutatis mutandis* also to auxiliary request 1.

The subject-matter of claims 1-5 of auxiliary request 2 and of claims 1-4 of auxiliary request 3 did not meet the requirements of Article 76(1) EPC for the same reason of the main request.

Auxiliary request 4 was admitted into the opposition proceedings, but did not meet the requirements of Article 76(1) EPC in view of the subject-matter of its dependent claim 4.

Auxiliary request 5 was filed during the oral proceedings and admitted. D1 was considered to be the closest prior art. The distinguishing features were the presence of claimed amounts of anti-nucleating agent comprising hydroxyalkylcellulose, the claimed amount of a potassium salt of Compound A and the use of the first diluent, the second diluent, a disintegrant and a lubricant. The problem was defined as the provision of a novel pharmaceutical formulation comprising compound A having certain suitable bioavailability. The solution was not obvious in view of D1 and D3-D5.

VI. Opponent 01 (hereinafter appellant-opponent) and the patent-proprietor (hereinafter appellant-proprietor) filed an appeal against said decision.

VII. With its notice of appeal dated 26 January 2022, the appellant-proprietor submitted a main request and auxiliary requests 1-2.

The main request and auxiliary request 1 corresponded to the set of claims as granted with the deletion of respectively dependent claims 4 and 6 as granted, and dependent claims 3, 4 and 6 as granted. Auxiliary request 2 corresponded to auxiliary request 5 as maintained by the opposition division.

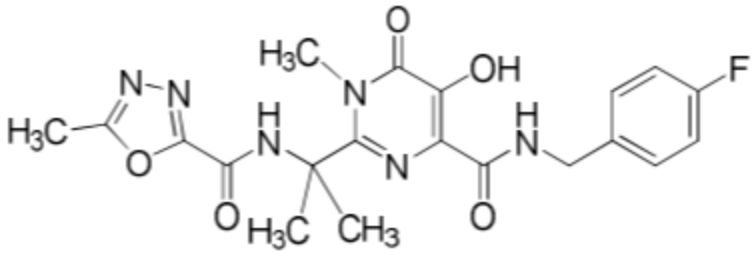
VIII. A communication from the Board, dated 15 December 2023, was sent to the parties. In it the Board expressed its preliminary opinion that the main request and auxiliary request 1 were admissible and that auxiliary request 2 was part of the proceedings. The main request and auxiliary request 1 did not meet the requirements of Article 76(1) EPC, and auxiliary request 2 was inventive.

IX. With a letter dated 1 February 2023, the appellant-proprietor filed a main request and 4 auxiliary requests.

The main request corresponded to the main request already on file. Auxiliary request 1 corresponded to auxiliary request 1 as filed on 26 January 2022. Auxiliary request 2 was a new request and comprised only claims 1 and 5 of the main request. Auxiliary request 3 corresponded to auxiliary request 2 as filed on 26 January 2022, and also to auxiliary request 5 as maintained by the opposition division.

Thus, claims 1 and 2 of auxiliary request 3 read as follows:

"1. A pharmaceutical composition for oral administration as a solid dose, which comprises: (a) from 2 to 15 wt.% of an anti-nucleating agent which comprises hydroxyalkylcellulose, and (b) an effective amount of from 5 to 75 wt.% of a potassium salt of Compound A, wherein Compound A is:



and which further comprises a first diluent, a second diluent, a disintegrant, and a lubricant.

2. The pharmaceutical composition according to claim 1, wherein:
the anti-nucleating agent is hydroxypropylmethylcellulose;
the first diluent is microcrystalline cellulose;
the second diluent is lactose or dibasic calcium phosphate;
the disintegrant is croscarmellose sodium;
and the lubricant is magnesium stearate."

X. Oral proceedings took place on 26 March 2024 in the presence of the appellant-proprietor and the appellant-opponent.

XI. The arguments of the appellant-opponent may be summarised as follows:

Admission of the main request and auxiliary request 1 into the appeal proceedings

The deletion of granted claims 4 and 6 in the new main request and of granted claim 3 in auxiliary request 1 addressed only some issues of added subject-matter which are dealt in the decision on appeal, but not all of them. Moreover, the appellant-proprietor did not explain why these requests were filed only in appeal, while they could and should have been filed during the opposition proceedings, in view of the objections of the opponents and the preliminary opinion of the opposition division. Finally, the new main request and auxiliary request 1 were not *prima facie* allowable.

Admittance of new arguments

The arguments regarding the basis of the amendments provided in the letter of 1st February 2024 were given for the first time in the appeal proceedings and should not be admitted.

Main request and auxiliary requests 1-2 - Amendments

Neither the claims of the parent application D8, nor the description could form a basis for the claims of the main request. It was in particular not possible to associate chosen parts of the description with specific claims.

Admission of auxiliary request 3

This request corresponded to auxiliary request 5 filed during the oral proceedings before the OD, and was similar to auxiliary request 4 with its dependent claim 4 deleted. Auxiliary request 5 was admitted by the OD and the Board had the discretion to reverse this decision.

This request could and should have been filed earlier in the opposition proceedings.

Moreover, this request should not have been admitted under Rule 80 EPC, in view of the new claim 2, and it was clearly *prima facie* not admissible and constituted an abuse of procedure. The principle of admissibility was applied in an unreasonable way by the OD.

Auxiliary request 3 - Inventive step

D1 was the closest prior art. As the problem was not solved over the whole scope of the claim, the problem had to be defined as the provision of an alternative composition. The solution was obvious in view of D3, D4 and D5.

XII. The arguments of the appellant-proprietor may be summarised as follows:

Admission of the main request and auxiliary request 1 into the appeal proceedings

The new requests were not complex, addressed and solved some of the objections raised under Article 76(1) EPC. They were also filed in answer to some findings of the

opposition division; some subject-matter was removed from said requests to respond to these points.

Admittance of new arguments

The arguments provided in the letter of 1st February 2024 were already discussed during the opposition proceedings.

Main request and auxiliary requests 1-2 - Amendments

A basis for the claims could be found in claim 13 of D8 and in particular in the description on page 7.

Admission of auxiliary request 3

There had been no abuse of proceedings for filing of auxiliary requests 4 and 5 during the opposition proceedings. Auxiliary request 5 was *prima facie* allowable, since based on the admissible auxiliary request 4 with dependent claim 4 deleted.

Auxiliary request 3 - Inventive step

D1 was the closest prior art and the request was inventive, as it was already decided in the case T 1742/19.

XIII. Requests

The appellant-proprietor requested that the decision under appeal be set aside and the patent be maintained according to the set of claims filed as main request with letter of 1st February 2024 or according to one of auxiliary requests 1 to 4 filed on the same date.

The appellant-opponent requested that the decision under appeal be set aside and the patent be revoked. It also requested that neither the main request and auxiliary requests 1 to 4 nor the new arguments filed by the appellant-proprietor with letter of 1st February 2024 be admitted into the appeal proceedings.

Reasons for the Decision

1. Admission of the main request and auxiliary request 1 into the appeal proceedings

1.1 These requests have been filed by the appellant-proprietor with its notice of appeal. The subject-matter of the new main request corresponds to claims 1, 2, 3, 5 and 7 of the main request considered in the opposition proceedings (i.e. the patent as granted), while the subject-matter of the new auxiliary request 1 corresponds to claims 1, 2, 4 and 6 of auxiliary request 1 considered in the opposition proceedings.

1.2 According to Article 12(2) RPBA, a party's appeal case shall be directed to the requests, facts, objections, arguments and evidence on which the decision under appeal was based. Any part of a party's appeal case which does not meet the requirements of Article 12(2) RPBA is to be regarded as an amendment; the party shall clearly identify each amendment and provide reasons for submitting it in the appeal proceedings (Article 12(4) RPBA).

Pursuant to Article 12(4) RPBA, any amendment may be admitted only at the discretion of the Board. Relevant criteria for the exercise of the Board's discretion are the complexity of the amendment, suitability of the

amendment to address the issues which led to the decision under appeal and the need for procedural economy.

1.3 The main request and auxiliary request 1 are formally new requests, even if they were based on the main request and auxiliary request on file during the opposition proceedings, with the suppression of dependent claims which were objected to under Article 76(1) and 123(2) EPC.

Accordingly, these requests represent an amendment to the appellant's case within the meaning of Article 12(4) RPBA and may be admitted only at the discretion of the Board.

1.4 In view of their content, the main request and auxiliary request 1 are requests on which the decision under appeal was partially based and the Board does not have to examine a new set of claims for the first time, in particular with regard to the objections raised under Article 76(1) EPC and on which the decision of the opposition division was based. Thus, the factual or legal framework of the case does not change. For these reasons the Board considers that the filing of the main request and auxiliary request 1 does not present any complexity and that it meets the need for procedural economy. Moreover, they constitute also a clear attempt to address some of the issues under Article 76(1) EPC which led to the decision under appeal.

1.5 Accordingly, these requests are admitted into the appeal proceedings (Article 12(4) RPBA).

2. Admittance of new arguments

2.1 The appellant-opponent objected to the admittance of the arguments filed by the appellant-proprietor with letter of 1st February 2024 on page 2 of that letter with regard to a possible basis for the main request in the original claims. The appellant-proprietor based its argumentation taking the claims of the parent application D8 as a basis for claim 1 of the main request.

2.2 The Board notes that this point was discussed during the opposition proceedings and has been addressed specifically by the OD in its decision in point 13.4, where the OD hold that the claims of the parent application D8 could no serve as basis for the amendments.

This point is therefore a basis of the appeal proceedings (Article 12(1), (2) RPBA), and the Board does not see any reason to not admit arguments based on it (Article 13(2) RPBA).

3. Main request - Amendments

3.1 With regard to the disclosure of the parent application EP 05852790.4 reference is made to the publication WO 2006/060681 (D8).

3.2 Claim 1 of the main request relates to a composition for oral administration and comprises as main features:
a) the potassium salt of Compound A;
b) said potassium salt of Compound A being present in an amount of 5 to 75wt%
c) from 0.5 to 20wt% of an anti-nucleating agent which comprises hydroxyalkylcellulose,

d) a diluent, a disintegrant and a lubricant.

3.3 According to the appellant proprietor, a basis for claim 1 of the main request can be found in the following pages of D8: page 11 for the potassium salt of Compound A, pages 6 and 7 for the anti-nucleating agent, page 7 for the claimed excipients, and in examples 3 and 6.

Another basis can be found in the embodiment starting at the bottom of page 10 of the description. This relates to a solid composition for oral dosage (composition C1) comprising compound A as the potassium salt (top of page 11) and an anti-nucleating agent which comprises hydroxyalkylcellulose (embodiment (iii-c) on page 11). The weight proportions of the compound to anti-nucleating agent are disclosed in the first line of the table on page 7. With regard to the presence of a diluent, a disintegrant and a lubricant the appellant patent proprietor referred to the embodiment (iv-a) on page 12.

During oral proceedings, the appellant-proprietor also associated the disclosure of claims 5-13 of D8 with the some parts of the description.

3.3.1 The description on pages 6-8 of D8

The passages on pages 6-8 do neither disclose the presence of the Compound A, nor of its potassium salt; only a general formula is disclosed on pages 8-10 and the salts are mentioned further in the context of composition C1 on pages 10-13. Moreover, said passages are extracted from a broad context. Hence, the previous page 5 mentions that the anti-nucleating agent might be selected among a list which includes

hydroxyalkylcelluloses but is not limited thereto (see page 5, line 14-page 6, line 8); combining the generic disclosure of pages 6 to 8 of the description with selected passages on page 11 regarding the potassium salt of Compound A is not possible since this part relates to the specific composition C1. Multiple selections from these passages are therefore necessary to arrive at the claimed subject-matter.

The examples, in particular examples 3 and 6 are too specific to be generalised or associated with any other part of the description of D8.

Consequently, pages 6-8 of the description and examples 3 and 6 cannot constitute the basis for claim 1 of the main request.

3.3.2 The description on pages 10-13 of D8

The description of D8 presents on pages 10-13, three compositions named C1, C2 and C3. The only possible basis for claim 1 of the main request appears to be the disclosure of composition C1. The preceding embodiment on page 10 relates to the specific potassium salt of Compound A (see page 11, lines 1-7) which appears therefore to be explicitly disclosed in relation to composition C1.

When referring to this composition C1, the passage on page 11, lines 8-10 mentions that "as set forth in any of the preceding embodiments of Composition C1, in which one or more of the following feature (i) to (v) are incorporated" (see page 11, 2nd par., lines 9-10). Features (i) to (v) define the amounts of the active ingredient, the amount of the anti-nucleating agent, the type of anti-nucleating agent, the presence of

further excipients and the pharmaceutical form of the composition. Each feature comprises a certain number of alternatives without providing any level of preference. Starting from this passage, it is not possible to arrive to the claimed subject-matter of claim 1 without making multiple selections among a high number of alternatives disclosed at the same level of preference.

For instance, items (i-a)-(i-c) of the composition C1 relate to the amount on the Compound I present in the composition, and present respectively the following amounts i.e "at least about 5 wt.%" (i-a), "at least about 10 wt.%" (i-b) and "in a range from about 5 to about 75 wt.%, or from about 5 to about 60 wt.%, or from about 15 to about 50 wt.%" (i-c). Consequently, the incorporation of the feature "of from 5 to 75 wt.%" in claim 1 of the main request constitutes a selection.

The same conclusion applies with regard to the feature "from 0.5 to 20 wt.%" defining the amount of the anti-nucleating agent. This amount is one of the alternatives disclosed on page 11 of D8 (see items (ii-a) to (ii-c)).

The items (iii-a)-(iii-d) of page 11 of D8 relates to the anti-nucleating agent. Item (iii-c) corresponds to the feature incorporated in claim 1, namely "which comprises hydroxyalkylcellullose", whereas items (iii-a) and (iii-b) propose different alternatives. The feature "an anti-nucleating agent which comprises hydroxyalkylcellulose" appears to be again a selection among all possible alternatives disclosed in items (iii-a)- (iii-d).

Finally, the excipients defined in claim 1 are disclosed in feature (iv-a) on page 12. Other

combination of excipients are however disclosed in the same page (see features (iv-b) to (iv-e).

Consequently, the subject-matter of claim 1 results from multiple selections among several different lists disclosed on page 10-13 of D8. Thus, it is not derivable directly and unambiguously from said passage of the description.

3.3.3 Claims 5-13 of D8

Claim 5 is an independent claim of a composition comprising a salt of a compound of the general Formula I and an anti-nucleating agent, whereas its dependent claims 6 and 8 relate respectively to its alkali metal salt and to the presence of a diluent, a disintegrant and a lubricant. Claim 7 gives the amount of anti-nucleating agent and claim 9 is about its tablet form. None of said claims relate specifically to the compound as claimed in the main request nor to the use of an hydroxyalkylcellulose as anti-nucleating agent. Accordingly, these claims cannot constitute a basis for the subject-matter of claim 1.

Claim 10 relates to a pharmaceutical composition comprising the potassium salt of Compound A. Claims 11 and 12 are dependent claims from claim 10 and relate respectively to the Form 1 potassium salt of Compound A and to hydroxyalkylcellulose as anti-nucleating agent. Claim 13 is also dependent on claim 10 but concerns the same composition "which further comprises a first diluent, a second diluent, a disintegrant and a lubricant". The presence of a first and second diluent in claim 13 disqualifies this claim as a possible basis for claim 1 of the main request.

It is furthermore not possible to associate specific subject-matter from claims 5-9 or 10-12 to specific parts of the description. It is indeed generally not permitted to take features belonging to distinct embodiments to artificially create a particular embodiment, unless there is a clear pointer in the original application to do so. Such a pointer however, does not exist in the present case.

3.4 Consequently, the Board concurs with the decision of the opposition division that neither the claims nor the description of D8 directly and unambiguously disclose the pharmaceutical composition of claim 1 of the main request. Hence, claim 1 of the main request contravenes the requirements of Article 76(1) EPC.

4. Auxiliary requests 1 and 2 - Amendments

Claim 1 of auxiliary requests 1 and 2 is identical to claim 1 of the main request and the same conclusion must apply for these requests. Consequently claim 1 of the auxiliary requests 1 and 2 contravenes the requirements of Article 76(1) EPC.

5. Admission of auxiliary request 3 into the appeal proceedings

5.1 This request corresponds to auxiliary request 5, which was filed at the oral proceedings on 2nd June 2021 before the opposition division, to overcome the added subject-matter issues. The opposition division considered this request to be late-filed, but admitted it into the proceedings by exercising its discretion.

Hence, auxiliary request 3, corresponding to auxiliary request 5 filed during the opposition proceedings,

forms part of the basis of the appeal proceedings pursuant to Article 12(1), (2) RPBA because the decision of the opposition division is based also on this request.

5.2 The appellant-opponent considers that auxiliary request 5 could and should have been filed earlier during the opposition proceedings; in its view the filing of this request during oral proceedings was an abuse of procedure. Moreover, the request does not comply with Rule 80 EPC, since claim 2 was not present in the claims as granted. Hence, auxiliary request was late-filed and not *prima facie* allowable.

Hence, the appellant-opponent considers that the exercise of discretion had been made according to the wrong principles and in an unreasonable way by the opposition division and objects the admission of this request in the opposition and appeal proceedings.

5.3 The Board notes that the appellant-opponent had objected all granted claims in its notice of opposition for added matter. Arguments were in particular provided in relation to dependent claim 6 as granted, which related to the amounts of the potassium salt of Compound A, and for which there was no basis in D8.

The preliminary opinion of the opposition division was that none of the requests on file met the requirements of Article 76(1) and 123(2) EPC, *inter alia* in view of granted claim 6.

The patentee filed auxiliary request 4 in response to the preliminary opinion one day before the last day according to Rule 116 EPC, wherein dependent claim 4 of

the auxiliary request corresponded to claim 6 as granted.

At the oral proceedings before the opposition division, all requests on file were found not to meet the requirements of Articles 76(1) and 123(2) EPC.

Auxiliary request 4 was considered to be admissible under Rule 80 EPC despite the introduction of the new dependent claim 2. However, auxiliary request 4 was found not to meet the requirements of Article 76(1) EPC since no basis was found for dependent claim 4, corresponding to claim 6 as granted. The appellant-proprietor filed then auxiliary request 5, corresponding to auxiliary request 4, without dependent claim 4.

5.4 In view of the file history, auxiliary request 5 was clearly late-filed in view of the requirements of Rule 116(1) EPC. Hence, the opposition division had a discretion conferred by Rule 116(1) EPC, Article 114(2) EPC and Article 123(1) EPC to admit or not to admit such late-filed requests.

The principles for exercising this discretionary power for admitting or not new requests at a late stage might be the *prima facie* allowability of the request, i.e the suitability to overcome an objection raised without prima facie introducing any new objection, the convergence of the request, the procedural economy as well as the fact that the conduct of the patent proprietor did not amount to an abuse of procedure, or an unwarranted advantage for it, and the reasonable expectation that the opponents familiarise themselves with the proposed amendments in the time available (see

also Case Law Book of the Boards of Appeal, 10th Edition, IV.C.4.5.).

5.5 Auxiliary request 5 filed at the oral proceedings before the opposition division corresponds to auxiliary request 4 with the deletion of dependent claim 4, corresponding to claim 6 as granted. In the Board's view, this request was convergent with the previous request and was *prima facie* allowable. Its filing was not against the principle of procedural economy.

5.5.1 Auxiliary request 4 was filed before the limit date of Rule 116 EPC in response to the preliminary opinion of the opposition division and was admitted into the opposition proceedings. Claim 1 of auxiliary 4 had been amended by the addition of **a second diluent**. This amendment was made on the basis of the objection made by the appellant-opponent in its notice of opposition, stating that, if a pharmaceutical composition comprises the potassium salt of Compound A as claimed, it must then comprise at least two different diluents (see point 4.1.4 of the notice of opposition dated 17 December 2019). The objection of the appellant-opponent against claim 6 relied in particular on the absence of any basis in the items **in the description** on page 12 or on the disclosure of page 7 of D8. The preliminary opinion of the opposition division regarding the main request and auxiliary requests 1-3 also mentioned that claim 6 as granted could not find a basis in the embodiments of page 12 of D8.

The basis given by the appellant-proprietor for claims 1-5 of auxiliary request 4 was however to be found **in the claims of D8** and not in the description, with in particular a basis in claim 18 of D8 for the subject-matter of claim 4 of auxiliary request 4, which is a

different basis compared to those considered by the appellant-opponent or by the opposition division in its preliminary opinion.

The appellant-opponent did not provide any further written comments during the opposition proceedings, neither in response to the filing of the main request and auxiliary requests 1-3, nor to the subsequent filing of auxiliary request 4, for which a different basis was given by the appellant-proprietor for all claims, in particular claim 18 of D8 for dependent claim 4 of auxiliary request 4.

Consequently, the conformity of auxiliary request 4, in particular on the basis of amended claim 1 and of the basis of the subject-matter of dependent claim 4 in the claims of D8, was discussed for the first time during the oral proceedings before the opposition division. In the Board's view, it was therefore not possible for the patentee to file auxiliary request 5 earlier, and the filing of auxiliary request 5 does not appear to constitute an abuse of procedure in view of the case history.

5.5.2 Moreover, it is also clear that auxiliary request 5 was *prima facie* allowable, since based on auxiliary request 4. The filing of a new request based on auxiliary request 4 without dependent claim 4 was expected to meet *prima facie* the requirements of Article 76(1) EPC.

Moreover, auxiliary request 4 was also found to meet the requirements of Rule 80 EPC, despite the addition of the new dependent claim 2. The subsequent filing of auxiliary request 5 was therefore considered implicitely as *prima facie* allowable also on this point.

5.5.3 The Board concurs with the opposition division's conclusion in relation to Rule 80 EPC.

The amendments introduced in claims 1 and 2 of auxiliary requests 4 and 5 are indeed intended to overcome a ground of opposition, namely that the claimed subject-matter extended beyond the content of the application as filed, and thus are admissible under Rule 80 EPC.

As claim 1 of the main request referred to only one diluent, the Article 76(1) EPC objection raised by the opponents also applied to the dependent claims 2-3. Therefore, the presence of a second diluent has been specified in claim 2 of auxiliary requests 4 and 5 in order to address said objection. This amendment also brings claim 2 in line with the wording of claim 1 of the auxiliary request 4.

5.6 Consequently, the opposition division exerted its discretionary power to admit auxiliary request 5 in a reasonable way and the Board does not see any reason to overrule the decision to admit auxiliary request 5 into the opposition proceedings, irrespective of whether there is any discretion at all to exclude from the appeal proceedings a request already admitted by the opposition division which is the subject of the appealed decision (see also Case Law Book of the Boards of Appeal, loc. cit., V.A.3.4.4.; IV.C.4.5.2.).

Auxiliary request 3 as filed during the appeal proceedings and corresponding to auxiliary request 5 filed during the opposition proceedings forms therefore part of the basis of the appeal proceedings pursuant to Article 12(1), (2) RPBA. For the reasons given in 5.5.3

above, this request is considered to comply with Rule 80 EPC.

6. Auxiliary request 3 - Inventive step

6.1 The claimed invention relates to a composition for oral administration that includes the potassium salt of compound A that converts to a less soluble form under certain acidic conditions. The composition comprises an anti-nucleating agent for solving this problem (see par. [0001], [0005] and [0009] of the specification).

6.2 D1 was considered to represent the closest prior art by the opposition division in its decision. Both parties agree to this choice.

6.2.1 D1 relates to N-substituted hydroxypyrimidinone carboxamide as inhibitors of HIV integrase. One of the compound disclosed in D1 is compound A in its free acid form, namely compound 24 on page 161, which is also exemplified on page 130 (example 19), and is mentioned in claim 28 on page 207, lines 18-20. Said Compound A is disclosed in D1 among a great number of alternative N-substituted hydroxypyrimidinone carboxamide. D1 mentions the possibility to administer the compounds disclosed therein in the form of pharmaceutically acceptable salts, such as inter alia potassium salts (see page 62, lines 13-26), but also sodium, calcium, magnesium, quaternary ammonium salts. Some ways and forms of administration are disclosed on page 62, lines 27-33. Page 63, lines 24-30 refers to tablets, capsules, nasal sprays, injectable suspensions as possible dosage forms.

6.2.2 The opposition division concluded in its decision that the differences between claim 1 and the closest prior

art were the use of a specific potassium salt of compound A in the specific amount and in its combination with a specific amount of an anti-nucleating agent comprising hydroxyalkylcellulose. The Board agrees with this conclusion, since document D1 does neither disclose compound A as potassium salt nor the presence of an anti-nucleating agent in the claimed amounts.

6.3 The opposition division defined the problem as the provision of a novel pharmaceutical formulation comprising compound A having certain suitable bioavailability.

The appellant-opponent disagrees with the opposition division and defines the problem as the provision of an alternative formulation of the potassium salt of compound A.

6.4 Examples 4 and 5 and D15 were cited to support the existence of an effect by the appellant-proprietor.

6.4.1 D15 shows that tablets comprising HPMC provide a quick dissolution.

An improvement in solubility and bioavailability linked with the presence of HPMC is shown in examples 4 and 5 of the patent.

Example 4 shows a comparison among tablets comprising 0, 5, 10 or 15wt% HPMC, and show indeed a two-fold improvement in the dissolution for tablets containing HPMC in comparison to the tablets with 0wt% of HPMC; tablets with 10 or 15wt% exhibited a slower dissolution than the tablets with 5wt% of HPMC, but achieved prolonged supersaturation. Example 5 is a

pharmacokinetic study and a comparison between a tablet comprising the potassium salt of Compound A and either 5 wt.% of HPMC or 5 wt.% of methlycellulose. It shows a 2-fold improvement in the AUC value for the tablet with 5 wt.% of HPMC versus the tablet comprising 5 wt.% of methylcellulose.

6.5 In the Board's view, the improvement shown in examples 4 and 5 is extrapolable to any hydroxalkylcellulose. Hence, already in view of the examples of the patent, the problem can be as defined as the provision of a novel pharmaceutical formulation comprising compound A having suitable bioavailability.

6.6 With regard to obviousness, it is known from the teaching of several cited documents, such as D3, D4 or D5, that hydroxyalkylcellulose polymers were known and used for improving the water solubility of drugs or as anti-nucleating agents.

D3 teaches the inhibitory effect of HPMC on the precipitation of a poorly water soluble drug PNU-91325.

D4 discloses the effects of water-soluble polymers on precipitation of the drug RS-8359. D4 discloses explicitly that the polymers inhibited the nucleation of the compound in the supersaturated solution (see page 64).

D5 discloses HPMC as anti-nucleating agent for decreasing the crystallization of hydrocortisone acetate.

The Board does not contest that it was known that hydroxyalkylcellulose polymers were known and used for improving the water solubility of drugs or as anti-

nucleating agents. The question with regard to obviousness is in the present case whether the skilled person, starting from D1 as closest prior art, **would** have been incited to choose all the features disclosed therein and combine them with the teaching of the other cited documents to arrive at the claimed subject-matter, in order to provide a pharmaceutical formulation comprising compound A and having certain suitable bioavailability, and not whether the skilled person **could** have done so.

There is however no incentive in D1 or any other cited document to combine the potassium salt of Compound A with an anti-nucleating agent comprising hydroxyalkylcellulose. The fact that Compound A and its potassium salt is a drug that converts to a less soluble form of the drug under certain acidic conditions was not known at the effective filing date of the contested patent. There was therefore no reason to envisage an option to improve the solubility of the claimed compound, even the use of anti-nucleating agents which is one option among many others. For this reason alone, the claimed solution is not obvious (cf. also T 1742/19).

6.7 Accordingly, auxiliary request 3 meets the requirements of Article 56 EPC.

Order

For these reasons it is decided that:

The appeals are dismissed.

The Registrar: The Chairman:

L. Malécot-Grob A. Usuelli

Decision electronically authenticated