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**Datasheet for the decision
of 20 December 2021**

Case Number: T 0750/18 - 3.3.02

Application Number: 09789380.4

Publication Number: 2342207

IPC: C07D489/12

Language of the proceedings: EN

Title of invention:

PROCESSES FOR THE ALKYLATION OF NORBUPRENORPHINE WITH REDUCED
IMPURITY FORMATION

Patent Proprietor:

Mallinckrodt LLC

Opponents:

isarpatent - Patent- und Rechtsanwälte Behnisch
Barth Charles Hassa Peckmann und Partner mbB
Johnson Matthey Public Limited Company

Headword:

Relevant legal provisions:

EPC R. 139

EPC Art. 123(2), 56

RPBA Art. 12(2)

RPBA 2020 Art. 13(2)

Keyword:

Correction of error - (no)

Amendments - added subject-matter (yes)

Statement of grounds of appeal - complete case (yes) - No need to raise objections against all dependent claims

Late-filed test results - admitted (no)

Inventive step - auxiliary request 5 (no)

Reply to grounds of appeal - complete case (no) - auxiliary request not substantiated

Amendment of the case after summons - exceptional circumstances (no) - auxiliary request 6 admitted (no)

Decisions cited:

T 0786/00, T 0933/09, T 1732/10, T 1533/13, T 1784/14,

T 0319/18

Catchword:

The requirement under Article 12(2) RPBA 2007 to present a complete case does not imply that an appellant/opponent, impugning a decision to maintain a patent in granted or amended form, has to raise objections against all dependent claims (point 4.2 of the reasons).



Beschwerdekammern

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Case Number: T 0750/18 - 3.3.02

D E C I S I O N
of Technical Board of Appeal 3.3.02
of 20 December 2021

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Decision under appeal: **Interlocutory decision of the Opposition
Division of the European Patent Office posted on
12 February 2018 concerning maintenance of the
European Patent No. 2342207 in amended form.**

Composition of the Board:

Chairman M. O. Müller
Members: M. Maremonti
 L. Bühler

Summary of Facts and Submissions

I. The appeals by opponents 1 and 2 (hereinafter "the appellants") lie from the interlocutory decision of the opposition division according to which European patent No. 2 342 207, in its form modified on the basis of the then-pending first auxiliary request, and the invention to which it relates meet the requirements of the EPC.

II. The following documents, *inter alia*, were cited during the opposition proceedings:

D1: Archer *et al.*, "Hybromet: A Ligand for Purifying Opioid Receptors", J. Med. Chem., 1985, 28, pages 1950 to 1953.

D3: WO 2007/081506 A1

D13: Scottish Environment Protection Agency, Permit Number: PPC/A/1008880, 6 July 2007, pages 1, 49 and 50.

D17: US 6,008,420

D22: GB 1 136 214

The opposition division came to the following conclusions, *inter alia*, on the then-pending first auxiliary request:

- The claimed subject-matter met the requirements of Article 123(2) and (3) EPC and involved an inventive step in view of document D3 taken as the closest prior art.

The opposition division did not consider document D13 to be a suitable starting point for assessing inventive step.

- III. In their statements of grounds of appeal, the appellants submitted, *inter alia*, that the subject-matter of claim 1 of the claim request found allowable by the opposition division contravened Article 123(2) EPC and lacked inventive step, *inter alia*, when starting from document D13 as the closest prior art.
- IV. In its reply to the statement of grounds of appeal, the patentee ("respondent") rebutted the appellants' arguments. It also filed sets of claims in accordance with auxiliary requests 1 to 6.
- V. In a further letter dated 20 December 2019, appellant 2 raised objections, *inter alia*, against each of auxiliary requests 1 to 6 as filed by the respondent.
- VI. The parties were summoned to oral proceedings as they had requested.
- VII. In preparation for the oral proceedings, the board issued a communication pursuant to Article 15(1) RPBA 2020 in which it expressed, *inter alia*, the preliminary opinion that the subject-matter of the claim request found allowable by the opposition division did not meet the requirements of Article 123(2) EPC.
- VIII. By letter dated 20 October 2020, the respondent replied to the board's communication. It contested the board's preliminary opinion on added matter. Moreover, it maintained that the claimed subject-matter involved an inventive step in view of D13 taken as the closest prior art. It corroborated its arguments by filing new test results reported in section 5.10 and table 5B on pages 11 and 12 of the letter. The respondent also filed two new sets of claims in accordance with new auxiliary requests 5 and 6 to replace the previous

auxiliary requests 5 and 6 filed with the reply to the appeals.

- IX. In subsequent letters, the appellants raised objections, *inter alia*, as regards the admittance of the new auxiliary requests 5 and 6 into the proceedings.
- X. By letter dated 1 March 2021, appellant 1 announced that it would not be attending the oral proceedings.
- XI. In a subsequent communication, the board informed the parties that in view of the coronavirus pandemic the oral proceedings would be held by videoconference.
- XII. Oral proceedings before the board were held on 20 December 2021 by videoconference in the absence of appellant 1 pursuant to Rule 115(2) EPC and Article 15(3) RPBA. During the oral proceedings, the respondent reintroduced auxiliary requests 5 and 6 as filed with its reply to the appeals. Appellant 2 withdrew its request for non-admittance of auxiliary requests 5 and 6 into the proceedings as filed by letter dated 20 October 2020 as well as of auxiliary requests 5 and 6 as filed with the respondent's reply to the appeals.
- XIII. Final requests
- The appellants requested that the decision under appeal be set aside and the patent be revoked. Appellant 1 additionally requested in writing that auxiliary requests 3 and 4 as filed with the reply to the appeals and auxiliary requests 5 and 6 as filed by letter dated 20 October 2020 not be admitted into the proceedings. Appellant 2 further requested that the test results filed by the respondent by letter dated 20 October 2020 in table 5B, section 5.10 of that letter not be admitted into the proceedings.

The respondent requested as its main request that the appeals be dismissed, i.e. that the patent be maintained on the basis of the claims of the first auxiliary request found allowable by the opposition division. Alternatively, it requested that the patent be maintained on the basis of the claims of one of the following claim sets:

- auxiliary requests 1 to 4 as filed with the reply to the statements of grounds of appeal,
- auxiliary requests 5 and 6 as filed by letter dated 20 October 2020, and
- auxiliary requests 5 and 6 as filed with the reply to the statements of grounds of appeal, should the respective auxiliary requests 5 and 6 as filed by letter dated 20 October 2020 not be admitted into the appeal proceedings.

During the oral proceedings, the respondent also requested that generally no objections against auxiliary request 5 by appellant 2 be admitted into the proceedings.

XIV. The appellants' arguments, insofar as they are relevant to the present decision, are summarised as follows:

- The amendment to claim 1 as filed as regards the definition of M contained in claim 1 of the main request might not be regarded as a correction under Rule 139 EPC. Even assuming that a correction of the original definition was needed, it was not obvious what correction had to be made. Therefore claim 1 of the main request contravened Article 123(2) EPC.
- The same objection applied to claim 1 of auxiliary requests 1 to 4, which were thus also not allowable.

- Auxiliary request 5 should not be admitted into the proceedings since the subject-matter of claim 1 contravened Article 123(3) EPC.
- Should auxiliary request 5 nevertheless be admitted, objections against the claimed subject-matter should be admitted into the proceedings. It was not the task of an opponent to speculate about which granted claims could be combined in auxiliary requests and to raise objections against each of such envisageable combinations in the statement of grounds of appeal. Moreover, as soon as auxiliary requests had been filed by the respondent, corresponding objections had been promptly raised.
- The test results filed by the respondent by letter dated 20 October 2020 in table 5B, section 5.10 of the letter had been filed after notification of the summons to oral proceedings. No exceptional circumstances for this late filing existed. Therefore these results should not be admitted into the proceedings pursuant to Article 13(2) RPBA 2020.
- The subject-matter of claim 1 of auxiliary request 5 lacked inventive step in view of D13 taken as the closest prior art.
- Even considering the objective technical problem to lie in the provision of a process for the preparation of a compound of Formula (II) with an intended reduction in the level of impurity A, the claimed solution was obvious. When aiming to solve the technical problem, the skilled person would obviously have used reactants of the highest purity. Thus claim 1 was obvious in view of D13 combined with common general knowledge or document D17.

- Auxiliary request 6 should not be admitted into the proceedings since the subject-matter of claim 1 contravened Article 123(3) EPC.

XV. The respondent's arguments, insofar as they are relevant to the present decision, are summarised as follows:

- The amended definition of M in claim 1 of the main request had to be seen as the correction of an obvious error, allowable under Rule 139 EPC. The correction offered was the sole possibility which made technical sense in the context of the specification as a whole. The same applied to claim 1 of auxiliary requests 1 to 4.
- Auxiliary request 5 differed from auxiliary request 5 as filed with the reply to the statements of grounds of appeal only in the deletion of the contested definition of M, which was superfluous anyway in view of the restriction of MCO_3 to potassium bicarbonate. There was no difference in substance between the two claim requests. Thus auxiliary request 5 should be admitted into the proceedings.
- Generally, no objections against the subject-matter of auxiliary request 5 should be admitted into the proceedings. Article 12(2) RPBA required an appellant/opponent to present its complete case. This implied that dependent claims should also be attacked. Since the appellants had not objected to the dependent claims in their statements of grounds of appeal, any such objection was late-filed and should not be admitted.
- It was acknowledged that the test results contained in the letter dated 20 October 2020 had been filed late. However, the respondent had become aware of

these results only at that time and had promptly submitted them. The board should use its discretion in admitting the test results into the proceedings.

- The subject-matter of claim 1 of auxiliary request 5 involved an inventive step when starting from D13 as the closest prior art. Neither common general knowledge nor any of the documents invoked by the appellants rendered the claimed subject-matter obvious.
- A substantiation of auxiliary request 6 had been provided in the reply to the statements of grounds of appeal by means of an explicit reference to the examples of the patent. These showed the benefits of the features added to claim 1 of this request. Since no difference in substance existed between auxiliary request 6 as filed with the reply to the appeals and auxiliary request 6 as filed by letter dated 20 October 2020, both requests should be admitted into the proceedings.

Reasons for the Decision

Main request - claim 1 - correction under Rule 139 EPC and added matter under Article 123(2) EPC

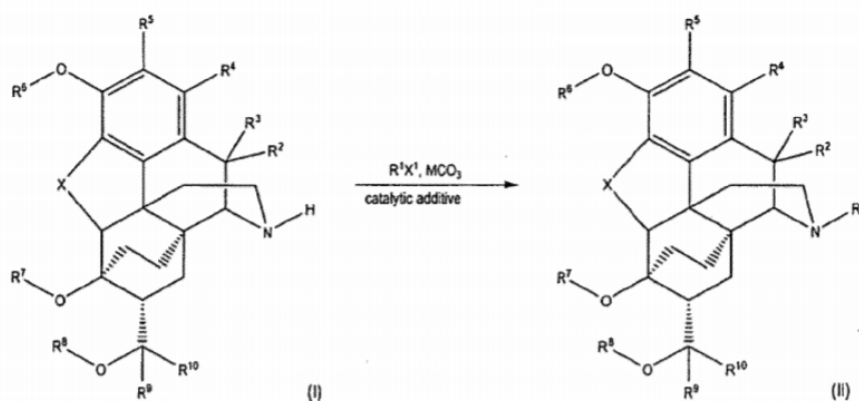
1. Claim 1 of the claim request found allowable by the opposition division reads as follows, the amendments to claim 1 as filed having been highlighted by the board:

"1. A process for the preparation of a compound of Formula (II), the process comprising:

- (a) *forming a reaction mixture by combining a compound of Formula (I) with MCO_3 , a catalytic additive **selected from the group consisting of potassium iodide, sodium iodide and cesium iodide**, and R^1X^1 ,*

the amount of alkenyl impurity comprising R^1X^1 being less than 0.15% by weight, **wherein the molar ratio of the compound of Formula (I) to catalytic additive is from 1:1 to 1:1.5; the molar ratio of the compound of Formula (I) to R^1X^1 is from 1:1 to 1:1.35; and the molar ratio of the compound of Formula (I) to MCO_3 is from 1:1 to 1:2.5; and**

- (b) heating the reaction mixture to a temperature of less than 60° C to form the compound of Formula (II) according to the following reaction scheme:



wherein:

R^1 is **cyclopropylmethyl**;

R^2 and R^3 are independently selected from the group consisting of hydrogen, hydrocarbyl and substituted hydrocarbyl;

R^4 and R^5 are independently selected from the group consisting of hydrogen, hydrocarbyl, substituted hydrocarbyl, halogen, $\{-\}OH$, $\{-\}NH_2$, $\{-\}SH$, $\{-\}SR^{11}$, and $\{-\}OR^{11}$;

R^6 and R^7 are independently selected from the group consisting of hydrogen, a protecting group, hydrocarbyl, and substituted hydrocarbyl;

R^8 is selected from the group consisting of hydrogen, hydrocarbyl, and substituted hydrocarbyl;

R^9 , R^{10} and R^{11} are independently selected from the group consisting of hydrocarbyl and substituted hydrocarbyl;

M is selected from the group consisting of a metal cation having a charge of ~~+1~~ +2 and a metal cation group having a charge of +2;

X is a heteroatom;

X^1 is a halogen; **and**

the alkenyl impurity is a butenyl impurity, and wherein the reaction mixture further comprises the solvent acetone."

The appellants objected to claim 1 under Article 123(2) EPC, *inter alia* in view of the replacement of "+1" by "+2" in the definition of M .

1.1 The respondent argued that this replacement was allowable under Rule 139 EPC as the correction of an obvious error. In particular, the skilled person would immediately have recognised that an error had occurred in claim 1 as filed in defining M as a metal cation having a charge of +1: a charge of +1 would not have balanced the carbonate counterion CO_3 in the formula MCO_3 as the latter bore a charge of -2. Moreover, nothing other than the replacement of "+1" by "+2" would have been intended since this was the only correction which made technical sense in the context of the specification as a whole and encompassed the preferred carbonates of the invention. The respondent pointed to paragraph [0016] of the application as filed, according to which three types of metal carbonates were presented as embodiments of the invention, namely either

(a) M^{+2}CO_3 , such as CaCO_3 , or

(b) $\text{M}^{+1}\text{M}^{+1}\text{CO}_3$, such as K_2CO_3 , Na_2CO_3 and Cs_2CO_3 , or

(c) $M^{+1}HCO_3$, i.e. bicarbonates such as $KHCO_3$ and $NaHCO_3$.

Especially the bicarbonates were used in the examples of the application as filed and were also mentioned in claim 8 as filed.

On the basis of these observations, it was evident that the original definition of M in claim 1 as filed as being either "*a metal cation having a charge of +1*" or "*a metal cation group having a charge of +2*" was intended to cover all three types of carbonates mentioned above. In particular, the alternative of "*a metal cation having a charge of +1*" was meant to cover carbonates of the above type (a) since reference was made to a single cation, whereas the alternative of "*a metal cation group having a charge of +2*" was meant to cover carbonates of types (b) and (c) above since reference was made to a cation group. The term "*cation group*" reflected, namely, the presence of a plurality of cations making up the cationic component of the carbonate. The cation group could be formed either by two metal cations having a +1 charge (type (b) above) or by one metal cation with a +1 charge and a hydrogen cation (type (c) above).

The respondent acknowledged that there were a number of other theoretical options for correcting the definition of M in claim 1 as filed. However, the only correction encompassing all the specific metal carbonates of the above types (a) to (c) identified in the application as filed, and especially $KHCO_3$ present in the examples and in claim 8 as filed, was that made in claim 1 of the main request. All other conceivable corrections either required too much rewording of the claim or did not cover one or more metal carbonates of the above types (a) to (c).

- 1.2 The board finds the respondent's arguments non-convincing for the following reasons:
- 1.2.1 Claim 1 as filed contains two alternatives for the compound of formula MCO_3 , namely firstly a metal cation having a charge of +1 and secondly a metal cation group having a charge of +2.
- 1.2.2 It is acknowledged that the definition of M in claim 1 as filed is not clear and thus is erroneous. However, it is not immediately evident what the error is, and hence what the correction should be.
- 1.2.3 On the one hand, the first alternative of "*a metal cation having a charge of +1*" in claim 1 as filed could be correct and mean that "M" is intended to mean metals with an oxidation state of +1, e.g. K, Na or Cs, so that the formula MCO_3 covers compounds such as K_2CO_3 , Na_2CO_3 or Cs_2CO_3 . In this case, there would be an overlap of this definition of M with that in the second alternative where a metal cation group having a charge of +2 equally seems to refer to cases where MCO_3 covers compounds such as K_2CO_3 , Na_2CO_3 or Cs_2CO_3 . The error would then reside in the inclusion of the term "*group*" as used in the second alternative of M being a "*metal cation group having a charge of +2*". In fact, the expression "*metal cation group having a charge of +2*" is as such unclear since it does not have a generally recognised meaning in the art. This was not contested by the respondent during oral proceedings. In this case, an appropriate correction might be to delete the term "*group*" in the second alternative. Without this term, the second alternative would cover metals with an oxidation state of +2 such as e.g. Ca only. This interpretation would be in line with the description, paragraph [0016] of the application as filed, reciting the above-mentioned metal carbonates.

On the other hand, the first alternative could be incorrect in that M refers to one single metal atom only, which, having a charge of +1, cannot counterbalance the double negative charge of the CO_3^{2-} group, so the formula MCO_3 is incorrect. The correction made in the main request, i.e. to replace "+1" by "+2" for the first alternative, is based on this assumption.

1.2.4 The respondent argued that after the correction made in claim 1 of the main request the corrected claim covered all three types of carbonate mentioned in the application as filed, including, as part of the second alternative, metal *bicarbonates*, such as NaHCO_3 and KHCO_3 , being mentioned in paragraph [0016] and claim 8 of the application as filed and used in the examples. Therefore this correction was the only one to make sense. However, such *bicarbonates* do not fall under the claimed second alternative of MCO_3 of the corrected claim 1 of the main request. In fact, on one hand, hydrogen is not a metal, and thus it cannot be seen how it could be part of a "*metal cation group*". On the other hand, as correctly argued by appellant 2, in metal bicarbonates like NaHCO_3 and KHCO_3 , the hydrogen atom is not present as a cation but is bound to oxygen in forming the anion HCO_3 with a charge of -1. For both reasons, the presence of hydrogen is not encompassed by the claimed second alternative of formula MCO_3 . The respondent's argument must thus fail.

1.2.5 As set out above, the error present in claim 1 as filed (whatever it may be) renders this claim unclear. Therefore a correction of this error under Rule 139 EPC must render the subject-matter concerned at least clearer, since otherwise there would be no correction of the error. However, in the present case, the definition of M in claim 1 of the main request has not been rendered clearer by the correction of "+1" to

" $+2$ ". In fact, it is still unclear what the second alternative "*metal cation group having a charge of $+2$* " is intended to mean and what additional compounds are intended to be covered with respect to the case of M being "*a metal cation having a charge of $+2$* ". In particular, for the reasons given above, the board cannot agree with the respondent that this second alternative covers metal bicarbonates.

- 1.2.6 As regards the respondent's argument that potassium bicarbonate, i.e. KHCO_3 , was mentioned in claim 8 as filed, identified as a claim being dependent on claim 1, the board considers this to be a lack of clarity. In fact, as mentioned above, metal *bicarbonates* are not covered by the definition of M in the formula MCO_3 given in claim 1 as filed. The same lack of clarity was present in the claims as granted, where potassium bicarbonate was mentioned in claim 7, and in the claims of the main request, where potassium bicarbonate is mentioned in claim 6, also stated to be dependent on claim 1.
- 1.2.7 Therefore the skilled person, even realising that there was some sort of error in claim 1 as filed, would have been in doubt as to what exactly the error was. As a consequence, they would not have known what type of correction was needed to eliminate the error. Hence the offered correction in claim 1 of the main request is not obvious within the meaning of Rule 139 EPC.
- 1.3 For these reasons, the board concludes that the replacement of " $+1$ " by " $+2$ " in the definition of M in claim 1 of the main request does not represent a correction of an obvious error within the meaning of Rule 139 EPC. This replacement does not have a direct and unambiguous basis in the application as filed,

contrary to the requirements of Article 123(2) EPC. As a consequence, the main request is not allowable.

Auxiliary requests 1 to 4 - claim 1 - correction under Rule 139 EPC and added matter under Article 123(2) EPC

2. Claim 1 of auxiliary requests 1 to 4 contains the same definition of M as claim 1 of the main request.

It follows that the above observations on claim 1 of the main request apply *mutatis mutandis* to claim 1 of all the auxiliary requests 1 to 4. This was not contested by the respondent during the oral proceedings.

Therefore the board concludes that auxiliary requests 1 to 4 are not allowable for the same reasons as for the main request.

Auxiliary request 5 filed on 20 October 2020 - admittance into the proceedings

3. Claim 1 of auxiliary request 5 filed on 20 October 2020 differs from claim 1 of the main request (point 1 above) in that substituents R^2 to R^6 and R^8 are defined as hydrogen, R^7 and R^{10} methyl, R^9 tertiary butyl, X oxygen and X^1 bromide or chloride. Moreover, the definition of M being "*selected from the group consisting of a metal cation having a charge of +2 and a metal cation group having a charge of +2*" has been deleted and the following feature has been added at the end of the claim: "*wherein MCO_3 is potassium bicarbonate and the catalytic additive is potassium iodide*".

- 3.1 During oral proceedings, appellant 2 withdrew its objection to the admittance of auxiliary request 5. Appellant 1 requested in writing that auxiliary request 5 not be admitted. It argued that the specification of MCO_3 as being potassium bicarbonate did not fall under

the definition of M being "*selected from the group consisting of a metal cation having a charge of +2 and a metal cation group having a charge of +2*" as contained in claim 1 as granted. As such, this specification extended the protection conferred by the claims as granted, contrary to the requirements of Article 123(3) EPC. For this reason, auxiliary request 5 should not be admitted.

3.2 The board disagrees for the following reasons:

3.2.1 Auxiliary request 5 was filed on 20 October 2020, i.e. after the summons to oral proceedings had been issued (23 April 2020). Under Article 13(2) RPBA 2020, any **amendment to a party's appeal case** made after notification of a summons to oral proceedings shall, in principle, not be taken into account unless there are exceptional circumstances, which have been justified with cogent reasons by the party concerned.

3.2.2 However, a claim request named auxiliary request 5 had already been filed by the respondent with its reply to the statements of grounds of appeal (point IV above). Claim 1 of that claim request (hereinafter "original auxiliary request 5"), albeit retaining the general definition of M as in claim 1 of the main request, contained the same restriction of MCO_3 to potassium bicarbonate as claim 1 of the present auxiliary request 5. There is therefore no difference in substance between the two claim requests. Thus the filing of the present auxiliary request 5 has not changed the respondent's case as presented at the onset of the appeal proceedings.

3.2.3 Any possible issue of compliance with Article 123(3) EPC invoked by appellant 1 was already present in the original auxiliary request 5, and thus cannot represent a reason for not admitting auxiliary request 5. Since

the filing of auxiliary request 5 thus does not result in any amendment of the respondent's case, the provisions mentioned in Article 13(2) RPBA 2020 do not apply.

3.3 For these reasons, the board decided to admit auxiliary request 5 as filed on 20 October 2020 into the proceedings.

3.4 In view of the respondent's conditional request as regards the original auxiliary request 5 (point XIII above), to be maintained only in the event of non-admittance of the present auxiliary request 5, the original auxiliary request 5 does not need to be considered.

Admittance into the proceedings of objections by appellant 2 against auxiliary request 5

4. During oral proceedings, the respondent requested that, generally, any objections by appellant 2 against auxiliary request 5 not be admitted into the proceedings.

4.1 The respondent argued that in its statement of grounds of appeal appellant 2 had raised objections only against claim 1 of the main request. As regards the dependent claims, some of which had been incorporated in claim 1 of auxiliary request 5, appellant 2 had merely referred to arguments put forward in the notice of opposition, see point 99 on page 22 of its statement of grounds of appeal. Appellant 1 had also objected in writing merely to claim 1 of the main request. Therefore neither appellant had complied with the requirement of presenting its complete case at the onset of the appeal proceedings. A complete case implied, namely, raising objections covering all the dependent claims. Any objections appellant 2 might have

raised during oral proceedings were thus late-filed and should not have been admitted into the proceedings.

4.2 The board disagrees. Contrary to the respondent's view, the requirement under Article 12(2) RPBA 2007 to present a complete case does not imply that an appellant/opponent, impugning a decision to maintain a patent in granted or amended form, has to raise objections against all the dependent claims. Article 12(2) RPBA 2007 requires an appellant/opponent to set out clearly and concisely the reasons why it is requested that the decision under appeal be reversed. Objections against an independent claim found allowable by the opposition division are therefore sufficient to comply with this requirement.

4.3 It is not the task of an appellant/opponent to foresee what combinations of independent and dependent claims might be claimed by a respondent/patentee in any later-filed auxiliary requests and to raise objections against each of these possibly envisageable combinations before any auxiliary request is actually filed. In fact, once the respondent had filed the original auxiliary request 5 with its reply to the appeals, appellant 2 promptly reacted by letter dated 20 December 2019 by raising objections, *inter alia*, against this auxiliary request (see points 56 to 61 on page 13 of the letter). It is noted that appellant 2 also reacted promptly to the filing of the present auxiliary request 5, raising objections against this auxiliary request in its letter dated 8 December 2020 (see points 59 to 63 on page 14).

4.4 For the reasons set out above, the respondent's request generally not to admit any objection by appellant 2 against auxiliary request 5 into the proceedings was rejected.

Test results filed by the respondent by letter dated 20 October 2020 in table 5B, section 5.10 of the letter - admittance into the proceedings

5. By letter dated 20 October 2020, the respondent filed new test results reported in table 5B, section 5.10 of the letter (pages 11 to 12) in support of its arguments on inventive step.
- 5.1 As regards the reasons for filing these data only at a late stage of the appeal proceedings, the respondent submitted that it became aware of these data of the patentee only at that point in time, in particular by considering the relevance of document D13 in more detail. The data were then promptly filed.
- 5.2 Appellant 2 requested that these test results not be admitted into the proceedings under Article 13(2) RPBA 2020.
- 5.3 The board notes that these test results were filed by the respondent after notification of the summons to oral proceedings. The results reported in said table 5B aim, *inter alia*, to demonstrate a technical effect of the upper value of the claimed range of the molar ratio of the compound of Formula (I) to R^1X^1 (see especially second and third bullet points under point 5.11 on page 12 of the letter dated 20 October 2020). This technical effect had not been relied upon by the respondent in its reply to the statements of grounds of appeal. Thus the filing of the test results contained in said table 5B amounts to an amendment of the respondent's case made after notification of the summons to oral proceedings. Under Article 13(2) RPBA 2020, such an amendment shall not be taken into account unless there are exceptional circumstances, which have been justified with cogent reasons. The fact invoked by the respondent that it became aware of the data only late

in the proceedings, especially when considering the relevance of document D13 in more detail, does not represent an exceptional circumstance within the meaning of Article 13(2) RPBA 2020. In fact, document D13 had already been used by appellant 2 as the closest prior art in its notice of opposition (see points 66 to 86).

- 5.4 Since no exceptional circumstances justify the late filing, the board decided not to admit the test results filed by the respondent in table 5B, section 5.10 of the letter dated 20 October 2020 into the proceedings pursuant to Article 13(2) RPBA 2020.

Auxiliary request 5 - claim 1 - inventive step under Article 56 EPC

6. Closest prior art

- 6.1 Appellant 2 indicated document D13 as the closest prior art. Even though the respondent had contested this choice in writing (reply to the appeals, page 8, point 4.3), it did not reiterate this argument during the oral proceedings, and argued inventive step in view of D13 taken as the closest prior art.
- 6.2 The board notes that D13 discloses (BOX 8.1 on pages 49 and 50) a process for the production of buprenorphine hydrochloride, i.e. a compound falling under Formula (II) of claim 1, from thebaine. It has not been disputed by the respondent that notably the "*Stage 6 Crude*" of D13 discloses a process in which "*buprenorphine stage 5 pure*", falling under Formula (I) of claim 1, is reacted with potassium bicarbonate, potassium iodide and cyclopropylmethyl bromide (CPMB, corresponding to compound R¹X¹ of claim 1) in water and acetone (corresponding to the solvent of claim 1) to form "*buprenorphine stage 6 crude*", a compound falling under Formula (II) of claim 1. Therefore, in view of

the similarities between the process of claim 1 and this disclosure in D13, the board considers D13 as a suitable starting point for assessing inventive step. This view had already been expressed by the board in its communication issued in preparation for the oral proceedings (points 6.5 and 6.6) and had not been contested by the respondent in its letter dated 20 October 2020 (see points 5.6 to 5.8 on page 10 of the letter).

7. Distinguishing features

The respondent submitted that the subject-matter of claim 1 differed from said disclosure of D13 at least in the claimed

- butenyl impurity level of CPMB, and
- molar ratios of the compound of Formula (I) to potassium iodide, CPMB and potassium bicarbonate.

These were, namely, not specified in D13.

Even though the respondent had argued in writing (reply to the appeals, page 13, point 4.35) that the claimed temperature of the reaction was not unambiguously disclosed in D13 either, it did not reiterate this argument at the oral proceedings. The board also sees no reason to doubt that the reaction temperature in D13 is below 60°C as required by claim 1. In fact, as argued by appellant 2 during the oral proceedings and not contested by the respondent, such a temperature is implicitly disclosed in D13 (*loc. cit.*) in view of the use of water and acetone in the reaction mixture, the contents of which are said to be maintained at reflux. This issue had also been raised by the board in its communication sent in preparation for the oral proceedings. No comments in this respect were made by the respondent in its letter dated 20 October 2020.

8. Objective technical problem

8.1 The respondent argued that the technical effect deriving from the above-mentioned distinguishing features was an improvement in the level of the impurity (2S)-2-[17-(but-3-enyl)-4,5 α -epoxy-3-hydroxy-6-methoxy-6 α ,14-ethano-14 α -morphinan-7 α -yl]-3,3-dimethylbutan-2-ol (hereinafter "*impurity A*") in the final product of Formula (II). Impurity A was formed due to the participation of the butenyl impurity initially present in CPMB in the alkylation reaction of the compound of Formula (I) as defined in claim 1 (see paragraph [0002] of the patent). By referring to the examples of the patent, the respondent especially submitted that the reduction in the level of impurity A was non-linear, i.e. was much higher when the level of butenyl impurity present in CPMB was lower than 0.15% by weight as defined in claim 1.

8.2 Therefore the respondent submitted that the objective technical problem was the provision of an improved process for the preparation of a compound of Formula (II) leading to an improved level of impurity A.

8.3 The board notes that the data reported in examples 1 and 3 of the patent (tables 1B, 1C and 3) show that, by lowering the level of butenyl impurity in CPMB, the level of impurity A in the final product is indeed also reduced. However, no effect of the claimed molar ratios of the compound of Formula (I) to potassium iodide, CPMB and potassium bicarbonate is derivable from the data reported in the patent. Nor was such an effect relied upon by the respondent on the basis of the data mentioned in the patent. Therefore, in the following, the board will accept, in the respondent's favour, the formulation of the objective technical problem as proposed by the respondent, but only as deriving from

the claimed level of butenyl impurity in CPMB said to be less than 0.15% by weight.

9. Obviousness

9.1 The respondent argued that there was no hint in the prior art invoked by the appellants that would have prompted the skilled person to use CPMB with a reduced butenyl impurity content in combination with the claimed molar ratios. It was acknowledged that the Finkelstein reaction, which occurred in the claimed process, was known. However, it had not been disclosed in combination with alkylation reactions as defined in claim 1. The only document referring to such a combination was D1, which however disclosed the use of molar ratios much higher than the upper values defined in claim 1. Appellant 2 had referred to document D17 as regards the butenyl impurity level of CPMB. However, this document was not representative of the common general knowledge. Moreover, it did not link the purity of CPMB to any alkylation reaction involving it. Also, impurity levels higher than 0.15% by weight were disclosed in D17. In summary, the skilled person would not have been motivated to use CPMB with less than 0.15% butenyl impurity when aiming to improve the process of D13. To reduce the level of impurity A, the skilled person would rather have purified the final product of D13. D13 itself taught, namely, a post-reaction purification step denoted as "Stage 6 Pure". These reasons were consistent with decision T 0786/00, which established that the purification of the starting materials of a chemical reaction was far less obvious than the purification of the product. It had to be concluded that the subject-matter of claim 1 involved an inventive step.

9.2 The board disagrees for the following reasons:

- 9.2.1 As pointed out by appellant 2 at the oral proceedings and not contested by the respondent, the Finkelstein reaction in combination with an alkylation reaction is already part of the teaching of document D13, in view of the reactants involved in the reaction disclosed therein (point 6.2 above). Moreover, as argued by appellant 2 and not contested by the respondent, at least equimolar ratios of compound "*buprenorphine stage 5 pure*" (corresponding to the compound of Formula (I) of claim 1) to potassium iodide, CPMB and potassium bicarbonate must have been used in D13 in order for the reaction to proceed to completion. Such at least equimolar ratios overlap with the ranges defined in claim 1 at issue. Since the latter ranges are not linked to any particular technical effect (point 8.3 above), the selection of the claimed ranges is regarded as being arbitrary and, as such, not based on any inventive step.
- 9.2.2 As regards the claimed butenyl impurity level of CPMB being less than 0.15% by weight, appellant 2 referred to document D17. D17 (column 1, lines 7 to 13; column 3, lines 27 to 40; examples 3 and 4) discloses the process for the production of halogenomethyl cyclopropanes, *inter alia* CPMB. According to D17 (*loc. cit.*), the process enables the content of the 1-halogeno-3-butene impurity in the product to be reduced to less than 0.1% by weight, and if desired to less than 0.01%. Indeed, in examples 3 and 4, CPMB with a butenyl impurity content of 0.071% and 0.005% by weight respectively was obtained. D17 (*loc. cit.*) further teaches that halogenomethyl cyclopropanes are important intermediates for the preparation of pharmaceuticals, and refers in this respect to document GB 1 136 214, which is D22 in the present proceedings. As pointed out by appellant 2 and not disputed by the respondent, D22 (see compound 63 on pages 8 and 11 and

claim 44) discloses, *inter alia*, buprenorphine, i.e. a compound according to Formula (II) of claim 1 at issue, to be used as a pharmaceutical. According to D17 (*loc. cit.*), "*as is usual in the preparation of pharmaceuticals, **the purity** for the intermediates required for this purpose **should be as high as possible***" (emphasis added by the board).

9.2.3 It is undisputed that impurity A as present in the product of Formula (II) of claim 1 derives directly from the butenyl impurity contained in CPMB used as a reactant. Therefore, on the basis of the above teaching in D17, the skilled person aiming to improve, i.e. reduce, the level of impurity A would have used in the process of D13 a CPMB of the highest possible purity, especially lower than 0.15% by weight as taught e.g. in examples 3 and 4 of D17. In so doing, the skilled person would have arrived at the claimed level of butenyl impurity without exercising any inventive skill. The fact that D17 also, e.g. in examples 1 and 2, discloses butenyl impurity levels higher than 0.15% by weight has no bearing on this conclusion.

9.2.4 Decision T 0786/00 as invoked by the respondent is not relevant to the present case. In fact, case T 0786/00 (reasons, point 3.8.2) concerned the manufacture of polymers having specific properties, wherein organic compounds having a required purity were used as starting components. The issue discussed therein was whether or not starting components known from the prior art would necessarily and inevitably have exhibited the purity as set out in claim 1 of the patent at issue, so that novelty of the claimed subject-matter would have to be denied. The present case is totally different: the issue is not whether or not the CPMB as used in the process of D13 would necessarily and inevitably have exhibited the claimed level of butenyl impurity, but

rather whether the skilled person, starting from D13 not specifying the level of butenyl impurity, would have been prompted by D17 to use a CPMB with the claimed impurity level of less than 0.15% by weight. For the reasons set out above, the board concluded that the skilled person would have followed the explicit teaching of D17 and arrived at the subject-matter of claim 1 in an obvious way.

9.2.5 The respondent's argument that the improvement in the level of impurity A was higher than expected in view of the non-linear reduction in impurity A obtained by lowering the butenyl impurity level in CPMB to below 0.15% by weight has no bearing on the above conclusion of the board. In fact, when selecting a butenyl impurity level of below 0.15% by weight on the basis of the teaching in D17, the skilled person would automatically have obtained such a higher reduction in impurity A.

9.3 As a consequence, the subject-matter of claim 1 of auxiliary request 5 does not involve an inventive step within the meaning of Article 56 EPC. Auxiliary request 5 is thus not allowable.

Auxiliary request 6 as filed by letter dated 20 October 2020 and auxiliary request 6 as filed with the reply to the statements of grounds of appeal - admittance into the proceedings

10. Claim 1 of auxiliary request 6 as filed by letter dated 20 October 2020 and auxiliary request 6 as filed with the reply to the statements of grounds of appeal (hereinafter "original auxiliary request 6"), as compared to claim 1 of auxiliary request 5, contains the following additional features at the end of the claim:

"further comprising precipitating the compound of Formula (II) from the reaction mixture in step (b) and then recrystallizing the precipitate to yield the compound of Formula (II), wherein the precipitate of Formula (II) is dissolved in the solvent acetonitrile and at least 60% by weight of the acetonitrile is removed by distillation prior to the recrystallization of the compound of Formula (II)."

10.1 The respondent argued that there was no difference in substance between auxiliary request 6 as filed on 20 October 2020 and the original auxiliary request 6. Thus auxiliary request 6 did not change its case and should have been admitted for the same reasons as auxiliary request 5. Moreover, it submitted that the filing of the original auxiliary request 6 had been substantiated in point 10.1 on page 15 of the reply to the statements of grounds of appeal. Here, a reference had been made to the examples of the patent. Example 4 of the patent disclosed the benefits of the recrystallisation of buprenorphine, which had been included in claim 1 of the original auxiliary request 6. Additionally, the features added to claim 1 were based on granted claims and were thus not surprising.

10.2 The board finds the respondent's arguments not convincing for the following reasons:

10.2.1 The respondent's reply to the statements of grounds of appeal contains in point 10.1 on page 15, referred to by the respondent, the following statement: *"The claims forming the Sixth Auxiliary Request [here: original auxiliary request 6] strengthen the Respondent's arguments by further characterising the claims in-line with the Examples"*. Contrary to the respondent's view, this vague statement is not regarded as a substantiation of the original auxiliary request 6, i.e. an explanation as to how the amendments carried

out were intended to overcome the inventive-step objections raised by the appellants against the higher-ranking requests. The amendments made are not self-explanatory either. In fact, the mere reference to the examples of the patent in general does not allow the board and the appellants immediately to appreciate why the amendments would notably have overcome the inventive-step objection based on D13 as the closest prior art, especially in view of the fact that D13 itself (see "Stage 6 Pure" and "Stage 7" on page 50) also discloses a procedure to purify the buprenorphine obtained by the process disclosed therein.

The situation is basically different from that of auxiliary request 5 which was admitted into the proceedings (see above). In fact, even though a substantiation of the original auxiliary request 5 was not provided by the respondent in its reply to the appeals either (see point 9.1 on page 15, wherein a statement analogous to the one provided for the original auxiliary request 6 is made), it is immediately apparent that the amendment made, i.e. the restriction of MCO_3 to potassium bicarbonate, was meant to overcome the objection under Article 123(2) EPC to the definition of M as raised by the appellants. The amendment in the original auxiliary request 5 was thus self-explanatory. As set out above, this is not the case for the original auxiliary request 6.

- 10.2.2 Article 12(2) RPBA 2007 requires the respondent to set out its **complete case** in its reply to the statements of grounds of appeal. With respect to the filing of new auxiliary claim requests, it is necessary, *inter alia*, to explicitly state the extent to which the amendments overcome the objections raised by the opponents (see e.g. T 933/09, reasons, point 7; T 1533/13, reasons,

point 1.6.2; T 1784/14, reasons, point 3; T 0319/18, reasons, point 2.1).

- 10.2.3 It is established case law (see e.g. T 1732/10, reasons, point 1.5 and T 1784/14, reasons, point 3.5) that unsubstantiated claim requests which are not self-explanatory become effective only at the date on which substantiation is provided. Substantiation of the amendments contained in the original auxiliary request 6 and the present auxiliary request 6 was provided by the respondent only in its letter dated 20 October 2020 (see page 4, points 2.14 to 2.17), i.e. after notification of the summons to oral proceedings issued on 23 April 2020.
- 10.2.4 This substantiation, putting forward the technical significance of the amendments made, constitutes an amendment of the respondent's appeal case, which may be admitted into the proceedings only at the discretion of the board. According to Article 13(2) RPBA 2020, amendments to a party's case made after notification of the summons to oral proceedings are not to be taken into account unless there are exceptional circumstances, justified by cogent reasons. In the present case, the board cannot identify any exceptional circumstances justifying the filing of the substantiation of auxiliary request 6 at the above late stage of the proceedings, especially in view of the fact that detailed objections against the subject-matter of the original auxiliary request 6 had already been raised by appellant 2 in its letter dated 20 December 2019 (see points 62 to 70 on pages 13 to 15), i.e. before the summons to oral proceedings had been issued. At least at that point in time, the respondent should have promptly provided a substantiation of the amendments made.

10.2.5 Finally, the mere fact that the amendments contained in auxiliary request 6 are based on granted claims does not represent an exceptional circumstance justifying the admittance of this request. In fact, a combination of granted claims would also have required prompt substantiation unless the amendment was self-explanatory, which however is not the case here, as explained above.

10.3 For these reasons, the board, exercising its discretion under Article 13(2) RPBA 2020, decided not to admit the original auxiliary request 6 and auxiliary request 6 as filed by letter dated 20 October 2020 into the proceedings.

Conclusions

11. None of the respondent's requests is both allowable and admissible.

Order

For these reasons it is decided that:

1. The appealed decision is set aside.
2. The patent is revoked.

The Registrar:

The Chairman:



N. Maslin

M. O. Müller

Decision electronically authenticated