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**Datasheet for the decision
of 29 January 2021**

Case Number: T 1905/17 - 3.3.02

Application Number: 11178005.2

Publication Number: 2428519

IPC: C07J43/00, C07J31/00

Language of the proceedings: EN

Title of invention:

Composition for the preparation of 17-vinyl- triflates as intermediates

Patent Proprietor:

BTG International Limited

Opponent:

FRKelly

Headword:

Relevant legal provisions:

EPC Art. 56, 76(1), 100(a), 100(c)

RPBA Art. 12(4)

Keyword:

Divisional application - added subject-matter
Inventive step
Late-filed facts

Decisions cited:

G 0002/10

Catchword:



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Case Number: T 1905/17 - 3.3.02

D E C I S I O N
of Technical Board of Appeal 3.3.02
of 29 January 2021

Appellant: FRKelly
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Decision under appeal: **Decision of the Opposition Division of the
European Patent Office posted on 27 June 2017
rejecting the opposition filed against European
patent No. 2428519 pursuant to Article 101(2)
EPC.**

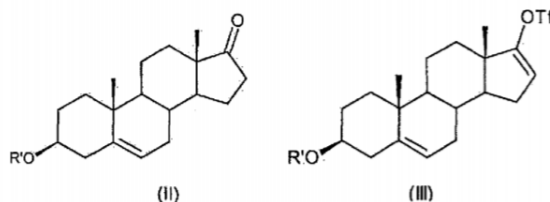
Composition of the Board:

Chairman M. O. Müller
Members: S. Bertrand
R. Romandini

Summary of Facts and Submissions

- I. European patent No. 2 428 519 was opposed under Article 100(a) and (c) EPC on the grounds that its subject-matter lacked inventive step and extended beyond the content of the parent application as filed (Article 76(1) EPC).
- II. The appeal lodged by the opponent ("appellant") lies from the opposition division's decision to reject the opposition.
- III. The patent contained six claims, independent claim 1 of which read as follows:

"A composition comprising a compound of the formula (II), a compound of the formula (III), a triflating agent and a base comprising a tertiary or heterocyclic amine such that the pK_a of the conjugate acid at 25 °C is within the range 5.21 to 12,



wherein

R' represents hydrogen or a lower acyl group having 2 to 4 carbon atoms;

or a protected derivative thereof

characterised in that base [sic] is selected from the group consisting of pyridine, 2,6-lutidine,

N-methylmorpholine, 1,4-diaza-bicyclo[2.2.2]octane (DABCO), trimethylamine, triethylamine, N,N-diiso-

propyl-ethyl-amine (DIPEA), quinuclidine and 1,8-diazabicyclo-[5.4.0]-undec-7-ene (DBU)."

IV. The following documents are referred to in the present decision:

D2	WO 93/20097 A
D3	Haidar Samer et al., <i>Archiv der Pharmazie</i> , vol. 334, 12, 373-374
D5	Stang P. J. et al., <i>Synthesis</i> , Georg Thieme Verlag, Stuttgart, DE, 1982, 85-126
D17	Stang, <i>Organic Syntheses</i> , Coll. vol. 6, 757 (1988); vol. 54, 79 (1974)
A003	Potter et al., <i>O.P.P.I. Briefs</i> , 29 (1), 123-34 [1997],

The decision also refers to the parent application as filed and published under WO 2006/021777 A1.

V. The opposition division's conclusions included the following:

- The claims as granted fulfilled the requirements of Articles 76(1) and 123(2) EPC.
- The subject-matter of the claims as granted involved an inventive step in view of D2 or D3 as the closest prior art.

VI. In its statement of grounds of appeal, the appellant contested the opposition division's reasoning, asserting that the claims as granted added subject-matter beyond the content of the parent application as filed and that the subject-matter of these claims did not involve an inventive step. It submitted various documents including document A003.

- VII. In its reply to the grounds of appeal, the patent proprietor ("respondent") provided counter-arguments regarding added subject-matter and inventive step. It submitted auxiliary requests I to XV.
- VIII. On 31 July 2020, the board issued a communication in preparation for the oral proceedings, which were to be arranged as requested by the parties.
- IX. In a further letter in preparation for the oral proceedings, the appellant submitted further arguments regarding inventive step.
- X. Oral proceedings before the board were held on 29 January 2021 by videoconference.
- XI. The appellant's case, where relevant to the present decision, may be summarised as follows.

Main request

Articles 100(c) and 76(1) EPC

- The skilled person reading the parent application as filed would have realised that the invention it discussed related to a process, as mentioned in the original claims of the parent application as filed, and not to a composition as now claimed. The only disclosure in the parent application as filed was the direct product of the process disclosed in that document. There was no stable composition in existence when a reaction was under way, so the only disclosure was of the reaction product itself, not including the reagents as it did in claim 1 of the main request.
- Claim 1 of the main request resulted from the combination of claim 1 and table 1 of the parent application as filed. This was clearly a double

selection that was not disclosed in the parent application as filed.

Articles 100(a) and 56 EPC

- D2 or D3 was the closest prior art. Both documents disclosed the preparation of the triflate compound starting from the corresponding ketone as required by claim 1, in the presence of 2,6-di-tert-butyl-4-methylpyridine (DTBMP).
- The subject-matter of claim 1 of the main request differed from the disclosure of D2 or D3 on account of the identity of the tertiary or heterocyclic amine.
- The alleged effect, namely inhibiting the formation of the by-product resulting from the elimination of the lower acyloxy group (compound of formula 4 as depicted in paragraph [0035] of the patent), was not achieved over the whole scope of claim 1 of the main request. Since claim 1 was a composition claim and used the wording "comprising", there was no requirement for claim 1 of the main request to be free of any other components. In particular, the level of impurities was not limited in the claimed compositions. Claim 1 of the main request thus covered compositions with high levels of impurities. The alleged technical problem of avoiding the need for complex purification processes was thus not solved.
- The objective technical problem in view of D2 or D3 was the provision of an alternative process for preparing the triflate compound.

- The solution proposed by claim 1 of the main request was to select a base from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine, 1,4-diaza-bicyclo[2.2.2]octane (DABCO), trimethylamine, triethylamine, N,N-diisopropyl-ethyl-amine (DIPEA), quinuclidine and 1,8-diaza-bicyclo-[5.4.0]-undec-7-ene (DBU).
- The solution was obvious in view of D5 or D17, which disclosed using pyridine (D5, D17) and triethylamine (D5) in a triflating reaction. The skilled person would have selected pyridine or triethylamine as an alternative base to DTBMP.
- The subject-matter of claim 1 did not involve an inventive step.

Auxiliary request I

Article 76(1) EPC

- The parent application as filed did not teach the wording "consisting of" used in claim 1 of auxiliary request I. Table 2 disclosed very specific conditions, such as a specific solvent, a specific triflating agent, a specific equivalent of triflic agent, a specific equivalent of base and specific reaction times. Table 2 was thus not a valid basis for using "consisting of" in claim 1 of auxiliary request I.

Auxiliary requests II to XVI

Article 56 EPC

- The further limitations introduced into claim 1 of each of auxiliary requests II to XVI did not overcome the objection of lack of inventive step

since the alleged effect was not achieved over the whole scope of each claim.

XII. The respondent's case, where relevant to the present decision, may be summarised as follows.

Main request

Articles 100(c) and 76(1) EPC

- From the disclosure of the parent application as filed as a whole, the skilled person would have derived a composition used as a reaction mixture in the method claimed in the parent application as filed.

Admittance of the attack starting from the general knowledge ("base knowledge") as represented by D5 and D17 as the closest prior art

- This attack was not presented to the opposition division in support of the grounds of opposition. The appellant has not given any explanation why it did not do so or could not have done so. Furthermore, it did not explain why this attack could be considered a response to an aspect of the decision that had not previously been considered. This new attack should therefore not be admitted into the appeal proceedings.

Articles 100(a) and 56 EPC

- Document D2 or D3 was the closest prior art.
- The distinguishing feature over D2 or D3 was the amine as defined in claim 1 of the main request.
- The effect arising from the use of the selected amines was that, when the composition was used in

an abiraterone production process, a product with greater purity could be produced and there was no impurity present resulting from the elimination of the lower acyloxy group (compound of formula 4). This effect was not dependent on the level of impurities in the composition of claim 1 of the main request and was thus achieved over the whole scope of claim 1.

- The objective technical problem was how to modify D2 to avoid the need for complex purification processes, such as chromatography, when producing abiraterone.
- The selection of the amines as required by claim 1 of the main request was not obvious in view of the teaching of D5 or D17. Neither document mentioned abiraterone production, or the production of any similar compound that would lead the skilled person to consider their teaching to be obviously applicable to abiraterone production. Furthermore, there was nothing in either document that would have led the skilled person to select the bases in question in order to solve the problem of avoiding the need for complex purification processes, such as chromatography, when producing abiraterone.
- The subject-matter of claim 1 of the main request involved an inventive step.

Auxiliary request I

Article 76(1) EPC

- Table 2 and the passage on page 4, lines 7-9 of the parent application as filed taught that no purification was needed when using the composition according to claim 1 of auxiliary request I and

thus that the composition did not contain any impurities.

Auxiliary requests II to XVI

Article 56 EPC

During oral proceedings, the respondent noted that the reasoning as to why the main request was not allowable also appeared to apply to auxiliary requests II to XVI.

XIII. The parties' final requests were as follows:

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

The respondent requested that:

- the appeal be dismissed, implying that the opposition division's decision to reject the opposition be upheld;
- the patent, alternatively, be maintained on the basis of auxiliary request I filed during oral proceedings or on the basis of auxiliary requests II to XVI (entitled I to XV) filed with the reply to the statement setting out the grounds of appeal;
- the appellant's attack starting from the base knowledge as represented by D5 and D17 as the closest prior art not be admitted into the proceedings;
- document A003 not be admitted into the proceedings;
- the attack against claim 6 under Article 100(c) EPC not be admitted into the proceedings; and

- the appellant's new line of attack that the technical effect was not present across the whole scope of claim 1 of the main request in view of Table 3 not be admitted into the proceedings.

Reasons for the Decision

Main request - patent as granted

1. Articles 100(c) and 76(1) EPC
 - 1.1 The appellant contended that claims 1 and 6 of the main request extended beyond the content of the parent application as filed.
 - 1.2 Claim 1 of the main request
 - 1.2.1 Claim 1 of the main request meets the requirements of Article 76(1) EPC for the following reasons:

Claim 1 of the parent application as filed relates to a process for the preparation of a compound of formula (I) including a triflating step, in which a ketone of formula (II) is converted into a triflate of formula (III) in the presence of a base comprising a tertiary or heterocyclic amine such that the pK_a of the conjugate acid at 25°C is within the range 5.21 to 12. The compounds of formulae (II) and (III) in claim 1 of the parent application as filed correspond to those of claim 1 of the main request, as does the pK_a of the conjugate base in claim 1 of the parent application as filed. Claim 1 of the parent application does not disclose a triflating agent or the list of amines referred to in claim 1 of the main request.

Subject-matter which the application as filed implicitly discloses to the skilled person on the basis of common general knowledge is part of the content of that application (G 2/10, OJ 2012, 376). In view of the triflating step in claim 1 of the parent application as filed, therefore, the skilled person would recognise that using a triflating agent is implicitly disclosed. Claim 1 of the parent application as filed thus discloses a composition comprising a ketone of formula (II), a triflate of formula (III), a triflating agent and a tertiary or heterocyclic amine. Table 1 on page 4 of the parent application as filed discloses the list of amines referred to in claim 1 of the main request.

Therefore, the subject-matter of claim 1 of the main request is directly and unambiguously disclosed in claim 1 in conjunction with table 1 of the parent application as filed.

- 1.2.2 The appellant argued that the only disclosure in the parent application as filed was of the direct product of the process disclosed in that document, and that there was no stable composition in existence when a reaction was under way (XI, *supra*).

The board does not agree. The process disclosed in the parent application as filed is an equilibrium reaction. Irrespective of this, the parent application as filed discloses incomplete conversion (tables 4 and 5). Both implies that the triflated product and the starting materials are present together in one and the same composition.

The appellant also argued that the combination of claim 1 and table 1 of the parent application as filed was clearly a double selection that was not disclosed in the parent application as filed.

The board cannot accept this argument either. Claim 1 of the parent application as filed is the broadest embodiment and hence does not imply a selection. The only "selection" involved is the preferred amines of table 1. Since table 1 refers to preferred bases, it is a pointer to combine the whole list of amines in table 1 with claim 1 of the parent application as filed.

1.2.3 Therefore, claim 1 of the main request does not extend beyond the content of the parent application as filed (Article 76(1) EPC).

1.3 Claim 6 of the main request

1.3.1 Claim 6 of the main request reads "*A composition according to claim 1 wherein R' represents an acetyl group*".

1.3.2 The appellant asserted that claim 6 of the main request included even further added matter, arguing that none of the original claims of the parent application as filed referred to a process in which R' was said to be acetyl, let alone to a specific composition comprising the various components required by claim 6 of the main request.

1.3.3 The appellant raised this objection for the first time with its statement of grounds of appeal. It did not object to the feature "*wherein R' represents an acetyl group*" in claim 6 of the main request in either the notice of opposition (page 3) or its letter of 10 April 2017 in reply to the summons to attend oral proceedings before the opposition division. The appellant did not attend oral proceedings before the opposition division, and the division did not address this issue either during the oral proceedings (see the minutes) or in the impugned decision (paragraph 4.2.1). For this reason, the board concludes that this

objection could and should have been filed in the proceedings before the opposition division.

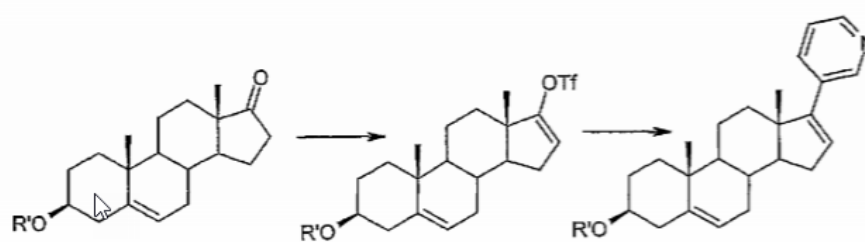
1.3.4 Therefore, the board decided not to admit the objection of added matter raised against claim 6 of the main request, pursuant to Article 12(4) RPBA 2007.

1.3.5 In the communication under Article 15(1) RPBA, the board expressed its preliminary view on the above points regarding Article 76(1) EPC; the parties did not contest this view.

2. Inventive step

2.1 The patent aims to provide improved abiraterone synthesis. Abiraterone (formula below) is prepared from a two-step synthesis involving converting a steroidal ketone (i.e. a compound of formula (II)) into the corresponding enol triflate (i.e. a compound of formula (III)), which, in the second step, is converted to abiraterone or one of its derivatives (paragraphs [0001] to [0003] and [0008]).

The two-step synthesis may be represented as follows:



Formula (II)

Formula (III)

Abiraterone

The patent focuses on the first step, i.e. the preparation of the triflate of formula (III).

2.2 Closest prior art

D2 (example 1(a), page 14) discloses converting dehydroepiandrosterone-3-acetate (a compound of formula (II) according to claim 1 of the main request) into a vinyl triflate compound thereof (a compound of formula (III) according to claim 1 of the main request) with trifluoromethanesulfonic anhydride (triflic anhydride, a triflating agent according to claim 1 of the main request) in the presence of 2,6-di-tert-butyl-4-methylpyridine (DTBMP). The compound of formula (III) is then converted into abiraterone (step (b) on page 15 of D2).

D3 (scheme 1) discloses converting a ketone compound (a compound of formula (II) according to claim 1 of the main request) into compound 1a (a compound of formula (III) according to claim 1 of the main request) with triflic anhydride (a triflating agent according to claim 1 of the main request) in the presence of DTBMP (page 373, right-hand column, lines 10-11). Compound 1a is then converted to a pyrimidyl analog of abiraterone.

Since the two documents contain identical disclosures on preparing the compound of formula (III), the board considers that both may be used as the closest prior art. In the following, the closest prior art is hence considered to be either of D2 or D3.

2.3 Distinguishing features

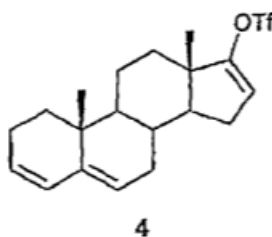
The composition of claim 1 of the main request differs from the above disclosure of D2 or D3 on account of the amine used as the base when converting the compound of formula (II) into the compound of formula (III). D2 and D3 both use the same amine: DTBMP. Claim 1 requires pyridine, 2,6-lutidine, N-methylmorpholine, 1,4-diazabicyclo[2.2.2]octane (DABCO), trimethylamine,

triethylamine, N,N-diiso-propyl-ethyl-amine (DIPEA), quinuclidine and 1,8-diaza-bicyclo-[5.4.0]-undec-7-ene (DBU).

2.4 Objective technical problem

2.4.1 Table 2 of the patent shows the formation of a by-product of formula 4 (paragraph [0035] of the patent) when using different amines as the base (see column "%4²" in table 2, which represents the amount of the compound of formula 4 produced in the tests) in the step of triflating the compound of formula (II) into the compound of formula (III). With amines as defined in claim 1, 0% by-product is obtained for all conversion times (ranging from 1.5 to 24 hours). When DTBMP (amine used in D2 or D3) is used, 17% of the compound of formula 4 is obtained at a conversion time of 3 hours (second comparative example in table 2). According to paragraph [0035], the compound of formula 4, which is an impurity, is difficult to remove by crystallisation.

Compound of formula 4:



2.4.2 The respondent submitted that, in view of the results in table 2, the objective technical problem was how to modify D2 to avoid the need for complex purification processes, such as chromatography, when producing abiraterone.

2.4.3 The board does not agree. As submitted by the appellant, the low impurity content is not achieved over the whole scope of claim 1 of the main request for the following reasons:

Claim 1 of the main request is a composition claim and uses the wording "comprising", so the composition in the claim covers all levels of impurities. A composition of this kind has high purification requirements. Therefore, the reduced need for complex purification processes is not achieved over the whole scope of claim 1 and the objective technical problem as formulated by the respondent is not solved over the whole scope of claim 1.

2.4.4 The respondent disputed this, arguing that any composition according to claim 1 of the main request could be reacted further so as to reduce the formation of the by-product of formula 4 when compared with a corresponding reaction mixture that instead comprised DTBMP as the amine.

The board cannot accept the respondent's argument. It was common ground between the parties that the triflate reaction was an equilibrium reaction. Both parties accepted that starting materials could be present after the equilibrium point of the reaction had been reached.

This implies that claim 1 covers compositions which have reached the equilibrium point and thus cannot be reacted any further. Therefore, the alleged reduced formation of the by-product of formula 4 cannot be achieved for a composition of this kind at the equilibrium point, so the alleged effect is not achieved over the whole scope of claim 1 of the main request.

2.4.5 In light of the above, the objective technical problem may only be considered to be the provision of a composition that results from an alternative process for preparing the triflate compound of formula (III).

2.5 Obviousness

The appellant referred to D5 and D17 as evidence of the obviousness of the solution.

2.5.1 D5 discloses (right-hand column of page 106, "Method G") a method for producing acyclic and cyclic vinyl perfluoroalkanesulfonates. In the aforementioned passage, Method G is a triflating reaction and is disclosed as being applicable to mono-, di- or tri-substituted vinyl esters with different substituents. The same passage discloses that "*The most commonly used base is pyridine, but lutidine, triethylamine, ..., and other [sic] have been used*". Therefore, D5 at least teaches that amines like pyridine or triethylamine are alternative candidates to the DTBMP used in D2.

D17 discloses that bases including pyridine and lutidine can be used when reacting a ketone with a triflating agent to produce a vinyl triflate (first paragraph under table I).

As with D5, it can be concluded that D17 teaches that at least pyridine is an alternative base to DTBMP in a triflating step.

For this reason, the solution proposed by claim 1 of the main request, namely to replace DTBMP with pyridine, is obvious in view of D5 or D17. The solution of replacing DTBMP with triethylamine is obvious in view of D5.

Therefore, the subject-matter of claim 1 of the main request does not involve an inventive step when considering D2 or D3 as the closest prior art in combination with D5 or D17.

2.6 Admittance of the attack starting from the base knowledge as represented by D5 and D17 as the closest prior art

2.6.1 In its statement of grounds of appeal, the appellant contested the inventive step of the subject-matter of claim 1, taking the closest prior art to be *inter alia* common general knowledge ("base knowledge") as represented by D5 and D17.

2.6.2 The respondent objected to the admittance of this inventive-step attack.

During the oral proceedings the board decided not to admit the attack into the proceedings. Since the subject-matter of claim 1 of the main request does not involve an inventive step in view of D2 or D3 as the closest prior art, there is no need for the board to give reasons for its refusal to admit the attack starting from the common general knowledge represented by D5 and D17.

2.7 Admittance of A003

2.7.1 A003 was filed with the statement of grounds of appeal. The appellant relied on this document in the context of its inventive-step objection. The document discloses the synthesis of abiraterone and supports the fact that DTBMP is an expensive hindered base.

2.7.2 The respondent objected to the admittance of A003.

- 2.7.3 Since the subject-matter of claim 1 of the main request does not involve an inventive step in view of D2 or D3 as the closest prior art, the board did not need to rule on the admittance of A003.
- 2.8 Admittance of the new line of attack that the technical effect on which the proprietor relied was not achieved across the whole scope of claim 1 of the main request in view of table 3
- 2.8.1 In its further submissions and during oral proceedings, the appellant submitted that the purported technical effect, namely inhibiting the formation of the product of formula 4, was not achieved over the whole scope of the claim, as evidenced by table 3 of the application as filed. That table showed compositions according to claim 1 of the main request for which no triflate was formed (samples marked by a cross in table 3), the starting material decomposed ("decomp." in table 3) or the product of formula 4 was formed ("elim." in table 3).
- 2.8.2 During the oral proceedings, the respondent objected to the admittance of this new line of attack.
- 2.8.3 Since the subject-matter of claim 1 of the main request does not involve an inventive step in view of D2 or D3 as the closest prior art, the board did not need to rule on the admittance of this new line of attack.

Auxiliary request I ("New Auxiliary Request 1")

3. Claim 1 of auxiliary request I differs from claim 1 of the main request in that the preamble of the claim was amended as follows:

*"A composition ~~comprising~~ **consisting of** a compound of the formula (II), a compound of the formula (III), a*

triflating agent, a solvent wherein the solvent comprises a chlorinated organic solvent or an organic ester solvent, and a base comprising a tertiary or heterocyclic amine such that the pK_a of the conjugate acid at 25 °C is within the range 5.21 to 12, ..." (emphasis added by the board; struck-through and bold text representing deletions and additions, respectively, compared with claim 1 of the main request).

4. Article 76(1) EPC

4.1 The appellant contended that the parent application as filed did not disclose the term "consisting of".

4.2 The respondent referred to table 2 of the parent application as filed as a basis for the term "consisting of".

4.3 Table 2 of the parent application as filed summarises the results obtained for the triflating step, i.e. the conversion of the compound of formula 2 into the compound of formula 3 using different bases. The compounds of formulae 2 and 3 are compounds of formulae (II) and (III) as defined in claim 1 of auxiliary request I, for which R' is an acetyl group. The triflating agent used is triflic anhydride ("Tf₂O"). Tests are conducted using 2,6-lutidine, triethylamine ("Et₃N") and N,N-diisopropyl-ethyl-amine ("ⁱPr₂EtN"), which are bases as required by claim 1 of the main request. The solvent is dichloromethane ("DCM"). This disclosure relates to a reaction mixture involving *inter alia* a compound of formula 2 (a specific compound of formula (II)), a compound of formula 3 (a specific compound of formula (III)), triflic anhydride and a specific tertiary or heterocyclic amine. Triflic anhydride and the amine are used at specific ratios

(1.0 or 1.1 equivalent for triflic anhydride and 1.0 to 1.7 equivalent for the amine). This disclosure of table 2 does not provide a basis for claim 1 of auxiliary request I since the disclosure of table 2 has been generalised to *inter alia* any compound of formula (II), any compound of formula (III), any triflating agent and any amount (equivalent) of the triflating agent and the base.

4.4 The respondent argued that the description as a whole of the parent application as filed taught that the composition as now defined in claim 1 of auxiliary request I led to a reaction mixture with no formation of a by-product of formula 4. It made reference to the passage on page 4, lines 7-9 of the parent application as filed, which demonstrated that no purification was required. As such, no impurities were present in the reaction mixture obtained with the composition as now defined in claim 1 of auxiliary request I. Therefore, the disclosure of table 2 of the parent application as filed could be generalised to the subject-matter of claim 1 of auxiliary request I.

4.5 The board does not agree. First, table 2 of the parent application as filed only discloses the formation of a specific impurity, namely a compound of formula 4 as depicted on page 11 of the parent application as filed. Table 2 does not consider any other impurities that could be present in the reaction mixture, so it cannot be concluded from this disclosure that the reaction mixtures disclosed are free of all impurities. Furthermore, the parent application as filed only focuses on the by-product resulting from the elimination of the acid group when R' is a lower acyl group (see the passage on page 3, lines 4-9). The following passage (page 3, lines 10-13) mentions that the formation of the undesirable by-product (i.e. the

by-product resulting from the elimination of the acid group) is kept to acceptable levels. This passage does not teach that the by-product resulting from the elimination of the acid group is absent. This is confirmed by table 3 of the parent application as filed, which discloses reaction mixtures according to the invention comprising detectable amounts of the by-product.

Furthermore, as submitted by the appellant, the passage on page 4, lines 7-9 of the parent application as filed only refers to chromatographic purification at any stage of the synthesis of the compound of formula (I). It does not exclude other purification techniques being used during the preparation of the compound of formula (I). As confirmed by the passage on page 4, lines 10-13 of the parent application as filed, the aim of the invention is to simplify the purification process, meaning that other impurities can be present. The parent application as filed therefore does not teach that other impurities are absent in the reaction mixture, so it does not disclose a composition that is devoid of all impurities and thus consists of only five components as defined in claim 1 of auxiliary request I.

4.6 This being the case, the board concludes that claim 1 of auxiliary request I does not meet the requirements of Article 76(1) EPC.

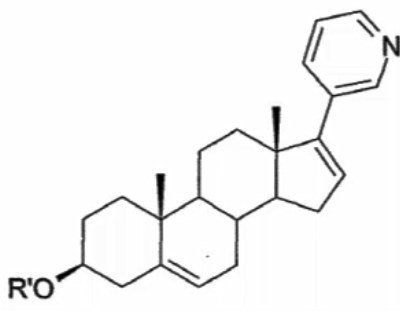
Allowability of auxiliary requests II to XVI

During oral proceedings, the parties agreed that the reasoning as to why the main request was not allowable also applied to auxiliary requests II to XVI (see below).

Auxiliary request II ("Auxiliary Request I")

5. Claim 1 of auxiliary request II

Claim 1 of auxiliary request II reads as follows: "A composition **being a reaction mixture from the production of a compound of formula (I)**



the composition comprising a compound of the formula (II), a compound of the formula (III), a triflating agent and a base comprising a tertiary or heterocyclic amine such that the pK_a of the conjugate acid at 25 °C is within the range 5.21 to 12, ..." (emphasis added by the board; bold text representing additions compared with claim 1 of the main request).

5.1 Article 56 EPC

The reasons given for the main request apply to claim 1 of auxiliary request II:

Since the claimed composition is a reaction mixture, this does not exclude compositions which have reached equilibrium and cannot be reacted any further. The alleged reduced formation of the by-product of formula 4 is thus not achieved for a composition of this kind and the objective technical problem remains the provision of an alternative (2.4.5, *supra*).

Auxiliary request III ("Auxiliary Request II")

6. Claim 1 of auxiliary request III

Claim 1 of auxiliary request III differs from claim 1 of the main request in that the wording "*wherein the composition is producible by a process comprising converting compound [sic] of formula (II) into compound of formula (III) in a triflating step in the presence of the base*" was introduced into the claim.

6.1 Article 56 EPC

The reasons given for the main request apply to claim 1 of auxiliary request III:

The amendment made does not exclude compositions which have reached equilibrium, so the objective technical problem cannot be formulated in a more ambitious manner (2.4.5, *supra*).

Auxiliary request IV ("Auxiliary Request III")

7. Claim 1 of auxiliary request IV is a combination of claim 1 of each of auxiliary requests II and III.

7.1 Article 56 EPC

For the same reasons, claim 1 of auxiliary request IV still encompasses compositions which have reached equilibrium and cannot be reacted any further. The reasons given for the main request apply *mutatis mutandis*.

Auxiliary request V ("Auxiliary request IV")

8. Claim 1 of auxiliary request V

The term "*a solvent comprising a chlorinated organic solvent or an organic ester*" was introduced into claim 1 of auxiliary request V when compared with claim 1 of the main request.

8.1 Article 56 EPC

Example 1 of D2 (2.2, *supra*) discloses dichloromethane as the solvent for preparing the compound of formula (III). Dichloromethane is a chlorinated organic solvent as required by claim 1 of auxiliary request V and is thus not a further distinguishing feature. Therefore, the reasoning given above for claim 1 of the main request applies *mutatis mutandis*.

Auxiliary requests VI to VIII ("Auxiliary Requests V to VII")

9. Claim 1 of auxiliary request VI is a combination of claim 1 of each of auxiliary requests II and V.

Claim 1 of auxiliary request VII is a combination of claim 1 of each of auxiliary requests III and V.

Claim 1 of auxiliary request VIII is a combination of claim 1 of each of auxiliary requests II, III and V.

9.1 Article 56 EPC

The reasons given for claim 1 of each of auxiliary requests II, III and V apply *mutatis mutandis* to claim 1 of each of auxiliary requests VI to VIII.

Auxiliary request IX ("Auxiliary Request VIII")

10. Claim 1 of auxiliary request IX

Claim 1 of auxiliary request IX is a combination of claims 1, 2, 3 and 6 of the main request, i.e. the amine is 2,6-lutidine or triethylamine, the triflating agent is triflic anhydride and R' is acetyl.

10.1 Article 56 EPC

Example 1 of D2 (2.2, *supra*) uses triflic anhydride ("*trifluoromethanesulfonic anhydride*") as the triflating agent and the compound prepared is an acetoxy compound ("*3 β -Acetoxyandrosta-...*"), meaning that R' is acetyl according to formula (II) or (III) of claim 1 of auxiliary request IX. The triflating agent and R' as defined in claim 1 of auxiliary request IX are not distinguishing features over D2 or D3. Furthermore, D5 discloses triethylamine and lutidine as the base suitable for a triflating reaction. The above reasoning based on a combination of D2 and D5 or D17 in relation to claim 1 of the main request thus applies *mutatis mutandis*.

Auxiliary requests X to XII ("Auxiliary Requests IX to XI")

11. Claim 1 of auxiliary request X is a combination of claim 1 of each of auxiliary requests II and IX.

Claim 1 of auxiliary request XI is a combination of claim 1 of each of auxiliary requests III and IX.

Claim 1 of auxiliary request XII is a combination of claim 1 of each of auxiliary requests II, III and IX.

11.1 Article 56 EPC

The reasons given for claim 1 of each of auxiliary requests II, III and IX apply *mutatis mutandis* to claim 1 of each of auxiliary requests X to XII.

Auxiliary request XIII ("Auxiliary Request XII")

12. Claim 1 of auxiliary request XIII

Claim 1 differs from claim 1 of auxiliary request IX in that the composition additionally comprises a solvent selected from chloroform, dichloromethane and 1,2-dichloroethane.

12.1 Article 56 EPC

Example 1 of D2 (2.2, *supra*) discloses dichloromethane as the solvent for preparing the compound of formula (III). The solvent defined in claim 1 of auxiliary request XIII is thus not a distinguishing feature. Consequently, the reasoning given above in relation to claim 1 of auxiliary request IX applies *mutatis mutandis*.

Auxiliary requests XIV to XVI ("Auxiliary Requests XIII to XV")

13. Claim 1 of auxiliary request XIV is a combination of claim 1 of each of auxiliary requests II, V and IX.

Claim 1 of auxiliary request XV is a combination of claim 1 of each of auxiliary requests III, V and IX.

Claim 1 of auxiliary request XVI is a combination of claim 1 of each of auxiliary requests II, III, V and IX.

13.1 Article 56 EPC

The reasons given for claim 1 of each of auxiliary requests II, III, V and IX apply *mutatis mutandis* to claim 1 of each of auxiliary requests XIV to XVI.

14. In light of the above, none of the sets of claims on file is allowable.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.
2. The patent is revoked.

The Registrar:

The Chairman:



N. Maslin

M. O. Müller

Decision electronically authenticated