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Datasheet for the decision of 24 September 2024

Case Number: T 0228/23 - 3.3.07

Application Number: 15702266.6

Publication Number: 3102187

IPC: A61K9/20, A61K31/4985, A61K31/155, A61P3/10, A61K45/06

Language of the proceedings: EN

Title of invention:
STABLE PHARMACEUTICAL COMPOSITIONS CONTAINING SITAGLIPTIN IN THE FORM OF IMMEDIATE RELEASE TABLETS

Patent Proprietor:
Galenicum Health S.L.U.

Opponents:
ELKINGTON AND FIFE LLP
Hoffmann Eitle Patent- und Rechtsanwälte Partnerschaftsgesellschaft mbB
Mullen, Lee
Dentons UK and Middle East LLP
Laboratorios Liconsa, S.A.
Dentons Patent Solutions GmbH
Cooke, Richard

Headword:
Stable compositions containing Sitagliptin / GALENICUM

Relevant legal provisions:
EPC R. 101(1), 99(2)
RPBA 2020 Art. 12(4), 12(6), 13(2)
EPC Art. 54, 56, 108

Keyword:
Admissibility of appeal - missing statement of grounds
Late-filed evidence - admitted (yes)
Late-filed submissions - admitted (no)
Novelty - main request (yes)
Inventive step - auxiliary requests 1 to 7 (no)

Decisions cited:
G 0002/21, T 0211/01, T 0116/18

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Case Number: T 0228/23 - 3.3.07

D E C I S I O N
of Technical Board of Appeal 3.3.07
of 24 September 2024

Appellant: (Patent Proprietor)	Galenicum Health S.L.U. CL Sant Gabriel n°50 08950 Esplugues de Llobregat (ES)
Representative:	Galenicum Health S.L.U. CL Sant Gabriel n°50 08950 Esplugues de Llobregat (ES)
Appellant: (Opponent 1)	ELKINGTON AND FIFE LLP Prospect House 8 Pembroke Road Sevenoaks Kent TN13 1XR (GB)
Appellant: (Opponent 2)	Hoffmann Eitle Patent- und Rechtsanwälte Partnerschaftsgesellschaft mbB Arabellastr. 30 81925 München (DE)
Representative:	Hoffmann Eitle Patent- und Rechtsanwälte PartmbB Arabellastraße 30 81925 München (DE)
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Appellant: (Opponent 4)	Dentons UK and Middle East LLP One Fleet Place London Greater London EC4M 7WS (GB)
Appellant: (Opponent 5)	Laboratorios Liconsa, S.A. C/Dulcinea s/n 28805 Alcalá de Henares (ES)
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Party as of right: (Opponent 6)	Dentons Patent Solutions GmbH Jungfernturmstraße 2 80333 Munich (DE)
Appellant: (Opponent 7)	Cooke, Richard Elkington and Fife LLP Patents Department 3-4 Holborn Circus London EC1N 2HA (GB)
Representative:	Elkington and Fife LLP Prospect House 8 Pembroke Road Sevenoaks, Kent TN13 1XR (GB)
Decision under appeal:	**Interlocutory decision of the Opposition Division of the European Patent Office posted on 9 January 2023 concerning maintenance of the European Patent No. 3102187 in amended form.**

Composition of the Board:

Chairman	A. Usuelli
Members:	J. Lécaillon
	A. Jimenez

Summary of Facts and Submissions

I. European patent 3 102 187 (hereinafter "the patent") was granted on the basis of 17 claims.

II. Seven oppositions were filed against the patent on the grounds that its subject-matter lacked novelty and inventive step, it was not sufficiently disclosed and it extended beyond the content of the application as originally filed.

III. The opposition division took the decision that, on the basis of auxiliary request 1 filed during the oral proceedings on 6 October 2022, the patent met the requirements of the EPC. The decision was based on an amended main request filed on 4 August 2022 and on auxiliary request 1 (filed as auxiliary request 1a during the oral proceedings on 6 October 2022).

IV. The decision of the opposition division, posted on 9 January 2023, cited *inter alia* the following documents:

D1: WO 2005/072530 A1
D4: EP 2 578 208 A1
D5: WO 2012/131005 A1
D7: WO 2005/003135 A1
D8: Januvia: EPAR Scientific Discussion, EMEA 2007
D25: Aulton's Pharmaceutics: The Design and Manufacture of Medicines, Third Edition, 2007, Ed. M.E. Aulton, Churchill Livingstone, pages 286-289, 336-343, 410-424, 441, 447-455,479-480
D28: Statement by R.M. Wenslow, Jr., inventor of EP 1 654 263 (based on D7), dated January 23, 2009 and made

available to public in the European Patent Register under EP 1 654 263 in January/February 2009
D29: Handbook of Powder Technology (Eds.: A.D. Salman, M.J. Hounslow, J.P.K. Seville), Elsevier, Vol. 11, 2007, pp. 256-257
D30: Handbook of Pharmaceutical Granulation Technology (Ed.: D M. Parikh), Third Edition, informa Healthcare USA, Inc., 2010, pp. 163-166
D33: Pharmaceutical Dosage Forms and Drugs Delivery, 2nd Edition, 2012, Ed. R.l. Mahato *et al.*, CRC Press, Chapter 17: Tablets
D35: Handbook of Pharmaceutical Granulation Technology, second edition Ed, D.M. Parikh, Taylor & Francis, 2005, Chapter 6: Roller Compaction Technology
D40: Report: Sitagliptin Hydrochloride Tablets
D52: WO 2011/025932 A2
D56: Experimental report prepared by Esteban Gergic, 16.06.2020
D61: Additional Experimental Data in view of D1, 20 July 2021
D66: Experimental evidence (pages 1-26)
D71: Experimental report, signed by Esteban Gergic, 18 July 2022
D72: US2011/0104271 A1
D73: WO 03/004498 A1

V. The opposition division decided in particular as follows:

(a) D71 and D72 were admitted into the proceedings.

(b) The main request met the requirements of Articles 123(2), 83 and 54 EPC. In particular, it was considered common general knowledge that the product-by-process feature of preparation by dry granulation would result in tablets having

different properties than tablets obtained by direct compression of non-granulated powder mixture.

(c) The main request did however not comply with the requirements of Article 56 EPC starting from D1, which provided on page 19 an enabling disclosure of a composition comprising a crystalline hydrochloride salt of sitagliptin. The claimed composition differed therefrom in the preparation method used, *i.e.* dry granulation *versus* direct compression. In view of all the experimental data on file, the alleged technical effect of faster and more complete release profile alleged could not be considered as occurring over the whole scope of the claim. The objective technical problem resided in the provision of an alternative to the tablet of D1. The claimed solution was obvious in light of documents D1 and D4 in connection with common general knowledge.

(d) Auxiliary request 1 was admitted into the proceedings and met the requirements of Articles 123(2), 83, 54 EPC.

(e) Furthermore, auxiliary request 1 fulfilled the requirements of Article 56 EPC. The composition of claim 1 differed from the one disclosed in the closest prior art D1 in (i) the preparation method used and (ii) the claimed combination of fillers. The objective technical problem resided in the provision of a stable alternative pharmaceutical composition comprising crystalline sitagliptin hydrochloride. All the claimed features constituted a true combination due to functional interactions. Only by hindsight could the skilled person have

arrived at the present combination of features with the aim of providing a stable composition.

VI. The patent proprietor as well as opponents 1 to 7 lodged an appeal against the above decision of the opposition division. However, opponent 6 did not file any reasoned statement setting out the grounds of appeal.

VII. With its statement setting out the grounds of appeal the appellant - patent proprietor defended its case on the basis of an amended main request and on the basis of auxiliary requests 1 to 7 filed therewith, wherein:

- the amended main request corresponded to the main request filed during the first instance proceedings on 4 August 2022,
- auxiliary requests 1 and 2 were newly filed,
- auxiliary request 3 corresponded to auxiliary request 1 (also denoted "ARla") filed during the oral proceedings of the first instance proceedings on 6 October 2022, and
- auxiliary requests 4 to 7 correspond to auxiliary requests 2 to 5 filed during the first instance proceedings on 4 August 2022.

VIII. The content of the claims upon which the present decision is based can be illustrated as follows:

The claims of the **main request** correspond to the granted claims wherein independent claims 10, 13 and 15 were deleted and the dependencies adapted correspondingly. Independent claim 1 of the main request reads as follows:

"1. A pharmaceutical composition in the form of immediate release tablets comprising at least an active agent, wherein the active agent is crystalline sitagliptin hydrochloride, preferably the monohydrate, wherein the pharmaceutical composition is prepared by dry granulation."

Claims 1 of **auxiliary requests 1 and 2** are identical to claim 1 of the main request.

Claim 1 of **auxiliary request 3** is based on claim 1 of the **main request** wherein the following feature was added at the end of the claim: "and wherein the pharmaceutical composition comprises a **filler**, wherein the filler is a mixture of calcium phosphate dibasic and microcrystalline cellulose." (emphasis added).

Claim 1 of **auxiliary request 4** is based on claim 1 of **auxiliary request 3** wherein the following feature was added at the end of the claim: "and wherein the pharmaceutical composition comprises a **lubricant** selected from sodium stearyl fumarate, magnesium stearate or mixtures thereof." (emphasis added).

Claim 1 of **auxiliary request 5** is based on claim 1 of **auxiliary request 3** wherein the following feature was added at the end of the claim: "and wherein the pharmaceutical composition comprises a **lubricant**, which is a mixture of sodium stearyl fumarate and magnesium stearate." (emphasis added).

Claim 1 of **auxiliary request 6** is based on claim 1 of the **main request** wherein the following feature was added at the end of the claim: "and wherein the pharmaceutical composition **releases** at least 80% of the active agent in 30 min and at least 95% of the active

agent in 60 min, as determined according to the method set out in the specification." (emphasis added).

Claim 1 of **auxiliary request 7** is based on claim 1 of **auxiliary request 3** wherein the following feature was added at the end of the claim: "and wherein the pharmaceutical composition **releases** at least 80% of the active agent in 30 min and at least 95% of the active agent in 60 min, as determined according to the method set out in the specification." (emphasis added).

IX. The following items of evidence filed by the parties during the appeal proceedings are relevant for the present decision:

(a) Documents filed by appellant - opponent 5 (D78 and D79) with its statement setting out the grounds of appeal:

D78: Handbook of Pharmaceutical Excipients, 6th ed., 2009: "Colloidal silicon dioxide" (filed as "D77")
D79: Al-Achi *et al.*, Integrated Pharmaceutics, 2013, section on "Dry Granulation" (filed as "D78")

(b) Document filed by the appellant - patent proprietor with its reply to the appellants - opponents' statements of grounds of appeal:

D81: Printout of webpage https://www.imcdgroup.com/en/ business-groups/pharmaceuticals/solution-centre/colloidal-silicon-dioxide-excipients-oral-solid-tablet-granulation-additive (filed as "Annex 1")

X. Oral proceedings were held before the Board on 24 September 2024.

XI. The appellant - patent proprietor requested that the decision under appeal be set aside and a patent be granted based on:

- the amended main request filed during the first instance proceedings on 4 August 2022 and resubmitted with the statement of grounds of appeal, or
- one of auxiliary requests 1 to 7 filed with the statement of the grounds of appeal.

Additionally the appellant - patent proprietor requested that D78 and D79 not be admitted into the appeal proceedings and D81 be admitted therein.

XII. Appellants - opponents 1 to 7 requested that the decision under appeal be set aside and that the patent be revoked.

Furthermore, appellant - opponent 5 requested that D78 and D79 be admitted into the appeal proceedings.

Finally, appellants - opponents 1 to 5 and 7 requested that section B.9 of the appellant - patent proprietor's submission of 30 July 2024 including the additional experimental data provided therein not be admitted into the appeal proceedings. Appellants - opponents 2 and 7 also requested that the discussion on the friability data in section B.6 of the appellant - patent proprietor's submission of 30 July 2024 not be admitted into the appeal proceedings. Appellant - opponent 5 also requested that the arguments of the appellant -

patent proprietor relying on the data of D40 and D66 be not admitted into the proceedings.

XIII. The arguments of the appellant - patent proprietor, as far as relevant for the present decision, can be summarised as follows:

(a) D78 and D79 were not to be admitted into the appeal proceedings because they should have been filed already in the first instance proceedings and were not *prima facie* relevant.

(b) The arguments and experimental data provided in section B.6 (with respect to friability) and section B.9 of the submission of 30 July 2024 were to be admitted into the appeal proceedings. The improvement in terms of friability was encompassed by the teaching of the patent. The arguments and data detailed in section B.9 were provided in response to the preliminary opinion of the Board which constituted exceptional circumstances.

(c) The arguments of the appellant - patent proprietor relying on documents D40/D66 in support of a technical effect of the claimed invention did not go against its own conduct and should not be excluded from the appeal proceedings.

(d) It was known from common general knowledge that the preparation of tablets by dry granulation compared to direct compression imparts different properties to the final products. Hence claim 1 of the main request was novel over D1.

(e) D1 was not an appropriate starting point, since the example described on page 19 of D1 was prophetic

and defective. Nevertheless, the subject-matter of claim 1 of the main request differed from the one of D1 in the tablets' preparation method and the nature of the salt. D61 substantiated the achievement of a faster and more complete release of sitagliptin. The objective technical problem resided in the provision of stable tablets containing sitagliptin hydrochloride having a faster and more complete drug release. None of the cited prior art disclosed that an improved release profile could be achieved by preparing the tablets via dry granulation instead of direct compression. Even if the problem would reside in the provision of a mere alternative, the skilled person would still not have replaced direct compression with dry granulation. Hence, the subject-matter of claim 1 of the main request involved an inventive step.

(f) Auxiliary requests 1 to 7 met the requirements of Article 56 EPC for the same reasons as the main request. Furthermore there was a functional interaction of all the components in the claimed tablets. The improvement of the release profile due to the preparation by dry granulation was even more pronounced with the specific excipients added in claim 1 of each auxiliary request. Since it was not possible to foresee that this effect would be achieved with the claimed specific combinations of excipients, the claimed subject-matter was inventive. Even if the problem would reside in the provision of a mere alternative, the skilled person would still not have combined all the claimed components to solve the problem posed.

XIV. The arguments of the appellants - opponents, as far as relevant for the present decision, can be summarised as follows:

(a) D78 and D79 were to be admitted into the appeal proceedings because they reflected common general knowledge. Moreover they addressed points raised in preparation of the oral proceedings or in the decision of the opposition division.

(b) The new arguments and experimental data provided in section B.6 (with respect to friability) and section B.9 of the appellant - patent proprietor's submission of 30 July 2024 were not to be admitted into the appeal proceedings since no exceptional circumstances had been established.

(c) The arguments of the appellant - patent proprietor relying on documents D40/D66 in support of a technical effect of the claimed invention was not to be admitted into the appeal proceedings since this went against the principle of *venire contra factum proprium*.

(d) The appellant - patent proprietor had not substantiated that the preparation by dry granulation instead of direct compression as in D1 would impart any distinguishing feature to the final tablets. Furthermore the physico-chemical data reported in D40 supported the absence of any difference. The tablets of claim 1 of the main request were thus not novel over the one described on page 19 of D1.

(e) D1 was a suitable closest prior art document. The subject-matter of claim 1 of the main request

differed from the one of D1 in the tablets' preparation method. The achievement of a faster and more complete release of sitagliptin had not been convincingly shown over the whole scope of the claims as revealed by the experimental data on file, in particular D40/D66. The objective technical problem resided in the provision of an alternative formulation of sitagliptin hydrochloride. Dry granulation was a well-known method for tablet preparation. The main request did thus infringe Article 56 EPC.

(f) The additional features introduced in the claims of the auxiliary requests were either already disclosed in the closest prior art or they did not provide any particular effect. Since the additional excipients were commonly known and had even already been used for sitagliptin, they could not contribute to inventiveness. Auxiliary requests 1 to 7 did therefore not meet the requirements of Article 56 EPC.

Reasons for the Decision

1. Admissibility of the appeal of opponent 6

1.1 Subsequently to the filing of its notice of appeal, opponent 6 did not file any further written submission comprising a statement setting out the grounds of appeal, neither within the time limit provided by Article 108, third sentence, EPC nor in response to the communication of the Registry regarding inadmissibility of the appeal dated 10 July 2023.

1.2 Moreover, the notice of appeal does not contain anything that could be regarded as a statement of grounds pursuant to Article 108 EPC and Rule 99(2) EPC.

1.3 Consequently, the appeal of opponent 6 is rejected as inadmissible (Rule 101(1)EPC). Opponent 6 remains party as of right.

2. Admittance of items of evidence and submissions relevant for the decision

2.1 D78 and D79

2.1.1 The appellant - patent proprietor requested that D78 and D79 not be admitted into the appeal proceedings. These documents were submitted by appellant - opponent 5 with its statement of grounds of appeal. Their admittance is to be decided on the basis of Articles 12(4) and 12(6) RPBA.

2.1.2 As argued by appellant - opponent 5, D78 and D79, which are excerpt of textbooks, provide evidence of common general knowledge. They were furthermore submitted to address:

- the presence of silicon dioxide and its role in the experiments of D40 and D66 (D78), and
- the reasoning of the impugned decision regarding the use of the mixture of fillers of claim 1 of auxiliary request 1 filed during oral proceedings (D79).

2.1.3 The argument of the appellant - patent proprietor that these documents related to the inventive step of "auxiliary request 2" and should thus have been filed during the first instance proceedings following the

filing of auxiliary request 2 on 2 August 2021 is not convincing.

Claim 1 of auxiliary request 2 filed on 2 August 2021 is indeed identical to claim 1 of auxiliary request 1 filed 4 August 2022 and claim 1 of auxiliary request 1 filed during oral proceedings (corresponding to present auxiliary request 3). This claim was therefore indeed already in the proceedings before the oral proceedings. However, contrary to the appellant - patent proprietor's opinion, the particular arguments mentioned above (see 2.1.2) became relevant only during the oral proceedings in opposition.

2.1.4 Furthermore these documents provide merely evidence of common general knowledge used in the argumentation of appellant - opponent 5.

2.1.5 Moreover, the argument of the appellant - patent proprietor that D78 and D79 would not be *prima facie* relevant is also not convincing.

As stated above, D78 and D79 provide evidence of common general knowledge regarding excipients used in the present compositions.

Regarding D78, the issue of a concentration dependent function of colloidal silicon dioxide (disintegrant at high concentration and glidant at low concentration) alleged by the appellant - patent proprietor goes beyond the assessment of *prima facie* relevance.

Concerning D79, the fact that microcrystalline cellulose and dicalcium phosphate are merely disclosed in lists of brittle and plastic materials as excipients

for tablets does not render the teaching of D79 *prima facie* irrelevant.

2.1.6 Accordingly, the Board admits D78 and D79 into the appeal proceedings (Article 12(4) and 12(6) EPC).

2.2 Submission of the appellant - patent proprietor of 30 July 2024 in section B.6 concerning friability

2.2.1 In section B.6 of its submission of 30 July 2024, the appellant - patent proprietor referred to an improvement in terms of friability when preparing the tablets by dry granulation compared to direct compression. The appellant - patent proprietor argued during oral proceedings that the improvement of friability was encompassed by the teaching of the patent, since the patent explicitly refers to the hardness of the tablets and friability is a known critical parameter of tablets.

2.2.2 This argument is however not convincing.

2.2.3 The appellant - patent proprietor relied for the first time in the entire proceedings on an alleged improvement of friability (even if based on data contained in the documents on file) as a technical effect in the context of inventive step. In particular as argued by appellants - opponents 2 and 4 during oral proceedings friability and hardness are two different properties evaluated by different methods (see D33, paragraphs 17.5.3 and 17.5.4). Moreover, none of these two properties was relied upon by the appellant - patent proprietor as a technical effect for the issue of inventive step in the present proceedings before. This argument therefore constitutes an amendment to the case of the appellant - patent proprietor (Article

12(4) RPBA, 1st sentence). Since this amendment was filed after notification of the communication under Article 15(1) RPBA, its admittance is to be decided on the basis of Article 13(2) RPBA.

2.2.4 According to Article 13(2) RPBA, such a late-filed amendment shall in principle not be taken into account unless there are exceptional circumstances, which have been justified by cogent reasons by the party concerned. In the present case, the appellant - patent proprietor has not indicated any such exceptional circumstances.

2.2.5 As a consequence, the Board does not admit the submission of the appellant - patent proprietor of 30 July 2024 in section B.6 concerning friability into the appeal proceedings (Article 13(2) RPBA).

2.3 Submission of the appellant - patent proprietor of 30 July 2024 in section B.9

2.3.1 In section B.9 of its submission of 30 July 2024 the appellant - patent proprietor provided DSC data aiming at substantiating that the skilled person would have expected negative interactions between the active ingredient sitagliptin HCl and the lubricants sodium stearyl fumarate and magnesium stearate in support of inventive step of auxiliary request 4. According to the appellant - patent proprietor, the arguments and data detailed in section B.9 were provided in response to the preliminary opinion of the Board indicating for the first time that there would be no functional interaction between the excipients of Auxiliary request 3 (see item 8.2.3, 2nd paragraph of the communication). The Appellant - patent proprietor explained that this statement came as a surprise since the opposition

division took a different view in its decision (see page 61, 4th paragraph of the decision). This would constitute exceptional circumstances in the sense of Article 13(2) RPBA and would justify the admittance of the new data and arguments of section B.9.

2.3.2 The Board disagrees.

2.3.3 As argued by the appellants - opponents, this argument is entirely new in the proceedings and amounts to an amendment to the appellant - patent proprietor's case. The appellant - patent proprietor did indeed not refer to any interaction between the specific lubricants of auxiliary request 4 and the active ingredient, let alone potentially related stability issues, in the proceedings before. Contrary to the appellant - patent proprietor's view expressed during the oral proceedings, the statement that the combination of all excipients provided an improved release profile does not amount to a statement that there would be an interaction between the active ingredient and specific excipients. Hence, the DSC data and the argument based thereon constitute an amendment to the case of the appellant - patent proprietor. As for the argument on friability, its admittance is to be decided on the basis of Article 13(2) RPBA.

2.3.4 As further brought forward by the appellants - opponents, the passage of the preliminary opinion of the Board referred to be the appellant - patent proprietor related to the absence of functional interrelation between (i) the preparation by dry granulation and (ii) the nature of the filler(s) in the context of auxiliary request 3. This statement did not concern any potential interaction between the active ingredient sitagliptin and specific lubricants,

especially given that there are no lubricants recited in claim 1 of auxiliary request 3 (lubricants are only recited in auxiliary requests 4 and 5). In this context, also the opinion of the opposition division mentioned by the appellant - patent proprietor related to present auxiliary request 3 (corresponding to auxiliary request 1a in the opposition proceedings) and did thus not concern lubricants. It follows that the newly submitted argument and DSC data do not address the point of the preliminary opinion of the Board cited by the appellant - patent proprietor and cannot have been submitted in response thereto.

Furthermore, the lack of relationship amongst the distinguishing features between auxiliary request 3 and D1 was already addressed by the appellants - opponents in the written proceedings (see for example the statement of grounds of appeal of appellant - opponent 4, item 12.3). Hence the issue mentioned by the Board in its preliminary opinion under item 8.2.3, 2nd paragraph was not newly raised.

It follows that the appellant - patent proprietor did not provide any exceptional circumstances justified by cogent reasons for the submission of these data and argument at this late stage of the appeal proceedings.

2.3.5 Accordingly, the Board does not admit the submission of the appellant - patent proprietor of 30 July 2024 in section B.9 into the appeal proceedings (Article 13(2) RPBA).

2.4 Arguments of the appellant - patent proprietor concerning documents D40/D66

2.4.1 Appellant - opponent 5 requested during the oral proceedings that the reliance of the appellant - patent proprietor on documents D40/D66 in support of a technical effect of the invention claimed not be admitted into the appeal proceedings. In the reply to the notice of opposition (see page 15, 3rd paragraph), the appellant - patent proprietor qualified the data provided in documents D40/D66 of "not reliable at all". Relying now on results provided in documents D40/D66 would therefore go against the appellant - patent proprietor's own conduct.

2.4.2 The Board observes that the principle of *venire contra factum proprium* or Estoppel to which appellant - opponent 5 referred applies only to very specific situations. In the present case, the criticism of the data of D40/D66 (based on trial 2b) and the reliance on some specific comparison of data of D40/D66 (trials 1b and 2a) relate to different arguments of the appellant - patent proprietor. The Board cannot therefore identify any contradiction of a specific statement from the past in the appellant - patent proprietor's submissions.

2.4.3 The request of appellant - opponent 5 not to admit some arguments of the appellant - patent proprietor concerning D40/D66 is consequently rejected.

Main request

3. Novelty

3.1 During the appeal proceedings, appellant - opponent 2 and appellant - opponent 4 objected to the novelty of claim 1 of the main request over D1.

3.2 Present claim 1 is a product-by-process claim. When assessing the novelty of such claims, the question to be answered is whether the distinguishing features of the claimed process confer specific features to the claimed products, which distinguish them from the prior art products (Case Law of the Boards of Appeal, 10th Edition, 2022, I.C.5.2.7). In the present case the distinguishing feature of the claimed process identified by the parties lies in the preparation of the tablets by dry granulation (claim 1 of the main request) instead of direct compression (D1, example 1 and example page 19).

3.3 According to the appellants - opponents 2 and 4 this process step would not impart any distinguishing feature to the final tablets, which are in both cases finally compressed.

3.4 As stated in the impugned decision (see point 4.1.4.2) and by the appellant - patent proprietor, it is known from common general knowledge (as revealed by D30 or D35) that the preparation by dry granulation compared to direct compression imparts different properties to the final product. Indeed, dry granulation provides granules having different properties compared to a non-granulated powder mixture, in particular due to interparticulate bond formation (see D30, page 166 under "compaction theory", and D35, page 161 table 2). These different properties result after compression in tablets also having different properties, in terms of *e.g.* content uniformity and structural differences due to a different distribution of compression forces because of said interparticular bonds.

3.5 Appellant - opponent 4 argued that the burden of proof of substantiating that the claimed product would have

different properties than the prior art one due to the different process was on the patent proprietor. Since the patent proprietor did not identify any such specific feature nor provided any experimental data in support thereof, novelty could not be acknowledged.

Furthermore, the data on file showed that the tablets of D1 and those according to the main request had actually comparable physico-chemical properties, such as compressibility, homogeneity and friability (see Tables 7 and 10 of D40).

3.6 In line with the impugned decision, the Board considers that the reference to common general knowledge as detailed above provides sufficient evidence that the dry granulation will provide tablets having different properties than when obtained by direct compression.

Moreover, regarding the data mentioned by appellant - opponent 4, the Board observes that, while the physico-chemical data reported in tables 7 and 10 of D40 for the tablets according to D1 (Trial 1a) and corresponding tablets obtained by dry granulation (Trial 1b) or tablets according to the main request (Trial 2a) are indeed comparable, there are still differences. Thus, these data do not appear to support the position expressed by appellant - opponent 4.

3.7 The subject-matter of claim 1 of the main request is therefore novel over document D1. None of the appellants - opponents pursued in the appeal proceedings the further objections of lack of novelty over D1 and D52. Hence, the main request is novel (Article 54 EPC).

4. Inventive step

4.1 Closest prior art

4.1.1 The main request relates to pharmaceutical compositions of crystalline sitagliptin hydrochloride in the form of immediate release tablets (see claim 1 and paragraph [0007] of the patent). The patent aims at providing formulations having properties rendering them suitable for manufacture at an industrial scale (see paragraph [0006] of the patent). The disclosed formulations are suitable for use in the treatment of type 2 diabetes mellitus (see e.g. paragraph [0036] of the patent).

4.1.2 The parties disagreed with respect to the choice of the closest prior art. According to the impugned decision as well as the appellants - opponents, document D1 represented a suitable starting point. The appellant - patent proprietor considered that document D1 was not an appropriate choice.

4.1.3 The Board observes that document D1 (see claims 1-2 and 11, example 1,example of compositions on page 19 and page 1 lines 5 to 15) relates to immediate release tablets of crystalline salts of sitagliptin for use in the treatment of type 2 diabetes mellitus. The example on page 19 discloses a tablet prepared by direct compression containing a crystalline salt of sitagliptin. D1 constitutes therefore a reasonable starting point for the assessment of inventive step.

In this context, the appellant - patent proprietor considered that the medical use reported in D1 was irrelevant, because the purpose of the patent would be the provision of a stable immediate release tablet and not the treatment of type 2 diabetes. This argument is

not convincing. Indeed the treatment of type 2 diabetes mellitus represents the field of application of the claimed immediate release tablets and is even the subject-matter of claim 12 of the main request. This final purpose of the claimed invention cannot be ignored when determining the closest prior art.

4.1.4 The appellant - patent proprietor argued that the example of a tablet on page 19 of document D1 would be prophetic and defective, so that document D1 would not constitute an appropriate starting point. In particular the disclosure would be ambiguous with regard to:

- the amount of salt to be used,
- whether mannitol or microcrystalline cellulose (MCC) should be used, and
- the final weight of the tablet and hence the need to compensate by adapting the amount of filler.

Furthermore, the appellant - patent proprietor also mentioned that the example of composition on page 19 did not relate to crystalline sitagliptin hydrochloride, which was further confirmed by the difference in amounts of crystalline sitagliptin hydrochloride prepared in example 1 (starting from 20 mg free base) and the amount of active ingredient required in the example on page 19 (100 mg).

4.1.5 As explained by the appellants - opponents, the example of compositions on page 19 of document D1 provides the components to be used and their amounts. In this context, the Board is of the opinion that the skilled person would understand the "100 mg active ingredient" to refer to sitagliptin free base since it refers at the same time to "a 100 mg potency tablet" (see page 19 line 29 of D1). This is confirmed by the fact that all the comparative examples prepared by the different

parties (patent proprietor and opponents) were prepared by using indeed an amount of salt corresponding to 100 mg of sitagliptin free base.

Furthermore, even if mannitol is mentioned first and then MCC, this would not prevent the skilled person from carrying out the example by preparing the composition with one or the other of both fillers.

Concerning the argument of the appellant - patent proprietor regarding the ambiguity in the example of D1 regarding the weight of the final tablet and the associated possible need to compensate by adapting the amount of filler, there is indeed no indication in D1 that the weight of the tablet should be 400 mg and that the amount of filler should be adapted to compensate for the weight difference when using a sitagliptin salt. Nevertheless the Board considers that, as with the issue of the nature of the filler, the skilled person would have considered preparing both types of tablets in case of doubt (as actually done by the parties, who either limited the weight of the final tablet to 400 mg by adapting the amount of filler as *e.g.* in D61 or D56 and D71, or prepared tablets having a final weight of more than 400 mg as *e.g.* in D40/D66).

Moreover, the method of preparation of the tablets, namely (i) blending the components, (ii) adding the lubricant and (iii) direct compression into tablets is described. Since direct compression is a well known method in the field of preparation of pharmaceutical formulations, the disclosure does not appear defective in that respect.

Finally, since the example of page 19 refers to "the crystalline salts of the present invention" it

encompasses without any doubt the preparation of a composition comprising the crystalline sitagliptin hydrochloride salt of example 1. The Board agrees furthermore with the opposition division that the skilled person would understand that the required amount of active ingredient could be obtained by scaling-up or repeating the process of example 1.

4.1.6 The appellant - patent proprietor also considered that the disclosure of the example of page 19 of document D1 was meant as defining one specific embodiment and not as covering a bunch of embodiments whose characteristics could be changed depending on how the disclosure was reworked. However, for the reasons detailed previously, it would not be possible to determine the actual unambiguous disclosure of this embodiment. The fact that the parties were able to prepare some compositions in accordance with this example albeit all differing from each other by various features (including the amount of salt, the compensation of the different amount of active ingredient by modification of the amount of further components, as well as the nature of the filler, see e.g. D61 versus D40/D66 and D56/D71) would actually indicate that the disclosure was ambiguous.

This argument is not convincing. The Board observes that it is a common fact in patent disclosures, that not each and every detail of a preparation method is provided. Merely the essential features for the preparation of the described product are usually provided. This does not *per se* constitute defective or not enabling disclosures, let alone disqualify a document as closest prior art.

4.1.7 The decision T 211/01 was discussed by the parties in the present context. The Board shares the opinion of the appellants - opponents that the case underlying said decision differs from the present one to the extent that it is not applicable to it. In T 211/01, the disclosure of the prior art document was considered defective since the respondent had shown that the process described therein did not provide any reaction at all, *i.e.* the alleged product could not be obtained, and this evidence had not been disputed by the appellants. On the contrary, in the present case, both parties were able to reproduce the process disclosed on page 19 of document D1 albeit with some variations. The present case is therefore actually in line with the jurisprudence mentioned in T 211/01 which foresees that "the starting point for the assessment of inventive step should be one which is at least "promising" [. . .] in the sense that there was **some probability of a skilled person arriving at the claimed invention**" (see T 211/01 reasons 2.1.4, emphasis added).

4.1.8 Finally, during oral proceedings the appellant - patent proprietor argued that the skilled person would have been aware at the priority date of the patent from D7 and D28 that the hydrochloride salt of sitagliptin would be undesirable and unsuitable for tablet formulation and that the dihydrogenphosphate salt disclosed in D7 would be more advantageous (see pages 1 and 2 of D28 and page 4 lines 26 to 31 of D7). There would hence be a clear teaching away from the hydrochloride salt, so that the skilled person would not have started from D1 as closest prior art. Moreover, the choice of the embodiment on page 19 of D1 applied to the hydrochloride salt of sitagliptin could only be made with hindsights of the invention.

The Board disagrees. As argued by the appellants - opponents, the sentence of document D7 referred to by the appellant - patent proprietor (page 4 lines 26 to 31 of D7) merely indicates some advantages of the crystalline phosphate salt of sitagliptin over the free base thereof and the specific hydrochloride salt disclosed in document D73 (amorphous hydrochloride salt, as confirmed in D28). Also document D28 merely states that for some specific reasons (water loss and risk of phase change and needle-like morphology), the author chose not to further develop formulations of crystalline sitagliptin hydrochloride. The skilled person would therefore not have been generally taught away from starting from a composition of crystalline hydrochloride sitagliptin.

Moreover, the selection of the closest prior art, including of the starting point in the closest prior art document, has consistently been defined in the Case Law as being a prior art document disclosing subject-matter conceived for the same purpose and having the most relevant technical features in common (see Case Law of the Boards of Appeal, 10th Edition, 2022, I.D. 3.1, first paragraph). The choice of a tablet according to the example on page 19 of document D1 comprising the crystalline sitagliptin hydrochloride salt of example 1 of document D1 as closest prior art embodiment follows therefore established jurisprudence.

4.1.9 Accordingly, the Board considers that document D1, in particular the example on page 19 of document D1 comprising the crystalline sitagliptin hydrochloride salt of example 1, represents a suitable starting point for the assessment of inventive step.

4.2 Distinguishing feature

4.2.1 It was undisputed that the tablets of claim 1 of the main request differed from the one of the example on page 19 of document D1 in that they were prepared by dry granulation whereas in D1 they were prepared by direct compression. As mentioned above (see point 3.), the Board considers that the dry granulation leads to tablets having different properties than those obtained by direct compression and constitutes therefore a distinguishing feature.

4.2.2 The appellant - patent proprietor contests that the example of page 19 of document D1 would be disclosed for crystalline sitagliptin hydrochloride.

The Board disagrees. As argued by the opposition division and the appellants - opponents, the reference in the example of page 19 to "crystalline salts of the present invention" makes the tablet directly and unambiguously equally disclosed for each and every one of the sitagliptin crystalline salts described in document D1, thus including the hydrochloride salt (see also point 4.1.5, last paragraph, first sentence).

4.3 Technical effects

4.3.1 The parties disagreed with regard to the effect linked to the distinguishing feature, namely the preparation of the tablets by dry granulation instead of direct compression.

4.3.2 According to the appellant - patent proprietor, the use of dry granulation to prepare the present tablets would result in a faster and more complete drug release compared to tablets prepared by direct compression.

This technical effect would be substantiated by the experimental data provided in document D61. Post-published evidences could be taken into account in the present case in line with G 2/21.

4.3.3 The appellants - opponents disputed that post-published evidences could be taken into account to substantiate this effect in line with G 2/21. Furthermore they contested that this effect would be achieved, at least over the whole scope of the claims. In support of this argument, they referred to experimental data provided in documents D40/ D66 and D56/D71.

Consideration of post-published evidences

4.3.4 According to G 2/21, "a patent applicant or proprietor may rely upon a technical effect for inventive step if the skilled person, having the common general knowledge in mind, and based on the application as originally filed, would derive said effect as being encompassed by the technical teaching and embodied by the same originally disclosed invention" (see Order 2.).

4.3.5 The appellants - opponents essentially argued that the alleged improvement of drug release when preparing the tablets by dry granulation compared to direct compression would not be encompassed by the technical teaching of the original application nor embodied by the same originally disclosed invention. In this context they also referred to page 5 of the original application (lines 5 to 7) to observe that both methods were disclosed as equally suitable methods for the preparation of the tablets.

4.3.6 In the present case, the Board considers that the alleged specific effect of faster and more complete

release profile is indeed derivable from the original application in view of the references to immediate release, desired dissolution profiles and dissolution performance (see *e.g.* Title and page 2 of the original application). In particular, as underlined by the appellant - patent proprietor during oral proceedings, the description of the immediate release profile in the context of the invention on page 4 lines 21-31 of the original application defines an increase of the release percentage and/or a reduction of the release time. This passage suggests that a faster and more complete release profile constitutes a purpose of the invention. This technical effect is thus encompassed by the technical teaching of the original application as required by G 2/21.

4.3.7 It remains to be determined whether the second criteria set in G 2/21 was met, *i.e.* whether the effect is embodied by the same originally disclosed invention. The Board agrees with the appellants - opponents that the original application discloses that the tablets can be prepared by direct compression or dry granulation and does not indicate whether one of these methods is preferred over the other in relation to its effect on the release profile of the tablet. However, the fact that all the examples of the original application concern dry granulation indicates that dry granulation would be preferred over direct compression in general in the context of the application. Moreover, the original application describes merely two preparation processes (dry granulation and direct compression). In this specific case of a very limited number of embodiments defined in the original application, one of these being also the subject-matter of the closest prior art and the other one being generally preferred, and in the absence of any legitimate reason at the

effective date to doubt that the alleged effect could be achieved with the claimed subject-matter (see T 116/18, reasons 11.14), the Board is of the opinion that the appellant - patent proprietor should be entitled to specify a preference for one of said originally disclosed embodiments over the other in connection with said effect. In the present case, the alleged effect of faster and more complete release profile for tablets obtained by dry granulation compared to direct compression does thus not change the nature of the claimed invention, as defined in G 2/21 (see last sentence of paragraph 93), so that it is embodied by the same originally disclosed invention in the sense of G 2/21.

4.3.8 In line with G 2/21, the alleged technical effect of faster and more complete release profile in so far as it is supported by the post-published experimental data on file is thus to be taken into account when assessing the inventiveness of the claimed subject-matter.

Alleged faster and more complete release profile

4.3.9 Each of the parties provided comparative experiments based on different reworkings of the example disclosed on page 19 of D1 (see D61 submitted by the appellant - patent proprietor and D40, D66, D56 and D71 submitted by the appellants - opponents). Varying results were obtained, showing:

- either a faster and more complete release of the drug when using dry granulation (D61),
- or no significant difference in the release rates between tablets obtained by dry granulation or direct compression (D40/ D66 and D71, in particular in case of experiments 1 and 4 of D71).

4.3.10 According to the appellant - patent proprietor, it would not be correct to consider each of the different reworkings of the example of page 19 of document D1 by the different parties as alternative embodiments thereof. This example of document D1 would be one single embodiment and the experiment of document D61 would be representative thereof, while the counter - experiments of the appellants - opponents would not.

The Board observes that the comparative examples referred to by each party appear to fulfil the standard criteria to appropriately substantiate an effect of the distinguishing feature (the preparation method - dry granulation or direct compression - being the sole difference between each comparative example). The Board considers that the lack of certain details in the example of document D1 required the parties to fill the gaps, leading to different comparative compositions. Furthermore, as argued by appellant - opponent 7, variants of the prior art are usually permitted for comparative tests as long as the features common with the claimed invention are identical and the sole difference remains the distinguishing feature. There is therefore no fundamental reason to consider the experiment of document D61 as having a higher probative value than those of documents D40/D66 and D56/D71.

4.3.11 The appellant - patent proprietor also argued that the experiments of the appellants - opponents would be biased towards direct compression since the appellants - opponents used a mannitol grade optimised for direct compression.

Independently of whether the employed mannitol is indeed optimised for direct compression, the Board observes that claim 1 of the main request does not

specify any excipients grade, let alone any specific excipients at all. For an alleged technical effect to be taken into account in the formulation of the technical problem, it has to be credibly achieved over the whole scope of the claims, *i.e.* in the present case for any composition of excipients in any grade. If the grade of mannitol has an influence on the drug release such that it may occult the improvement due to the use of dry granulation compared to direct compression, this seems to clearly indicate that the alleged technical effect of the dry granulation over direct compression is not obtained for any excipients composition. Moreover the Board observes that, as underlined by appellants - opponents 3, 5 and 7, no grade is provided for the excipients used in the experiments of document D61, submitted by the appellant - patent proprietor.

4.3.12 Furthermore the appellant - patent proprietor contested that meaningful conclusions could be drawn from D40 and D66, including from the statement below the tables 11 and 10 of D40 and D66 respectively, because no indication regarding the person performing the experiment had been provided.

This argument is not convincing. D40 and D66 contain detailed information regarding the protocols of the trials and the materials used (see pages 2 to 12 of D40 and pages 2 to 13 of D66). The provided experimental data do therefore fulfil the requirement of being reproducible by the skilled person in a reliable and valid manner (see Case Law of the Boards of Appeal, 10th Edition, 2022, I.D.4.3.2, 4th paragraph). There is no requirement that the experiments be performed by an independent analytical company as argued by the appellant - patent proprietor.

4.3.13 The appellant - patent proprietor finally considered that actually all the experiments on file substantiated that dry granulation provided better results in terms of release profile than direct compression. This would be apparent from the charts provided in the submission of the appellant - patent proprietor of 30 July 2024 compiling the results on file (see pages 14 and 15 of said submission on release profile).

The Board is not convinced by this argument. Said submission compiles in the same bar charts data obtained in different experimental tests for formulations having different compositions. These data cannot therefore all be directly compared. Furthermore, not all the data provided by the appellants - opponents have been reported in these charts. In particular the data of trials 2a and 2b of D40 (comparing dry granulation and direct compression for a composition according to example 7 of the patent) which show that at 15 and 62 minutes the release percentage is higher in the compositions obtained by direct compression compared to dry granulation were not reported (only one bar labelled "D40 (O4) Tablets of the Opposed Patent" and mentioned in the text as corresponding to dry granulation was reported without comparison with direct compression for the same composition). The same applies for the corresponding trials 3 and 4 of D66.

4.3.14 Accordingly, when taking into account all the experimental data on file, an improvement of the release rate for tablets obtained by dry granulation compared to direct compression has not been convincingly substantiated throughout the tested compositions. Hence, the alleged technical effect cannot be considered to credibly occur over the whole breadth of the claims.

4.4 Objective technical problem

4.4.1 It follows that the objective technical problem can only be formulated as done by the appellants - opponents, namely as the provision of an alternative formulation comprising sitagliptin hydrochloride.

4.4.2 The formulation of the objective technical problem including a reference to "stable tablets" as done by the appellant - patent proprietor is not appropriate. There is indeed no evidence on file that preparation by dry granulation would provide stable tablets while direct compression would not. There is also no indication that the tablets of document D1 would not be stable.

4.5 Obviousness

4.5.1 As argued by the appellants - opponents, dry granulation was a method for tablet preparation commonly known at the priority date of the patent (see e.g. D33, page 329; D35; D25, page 447; D29). It follows that, in the absence of any particular effect, using this technique instead of direct compression represents one out of equally possible alternatives available to the skilled person to solve the problem posed.

4.5.2 Furthermore, the skilled person would have expected the dry granulation method to be applicable to tablets comprising sitagliptin since it had been disclosed in document D4 for the preparation of tablets comprising sitagliptin (see examples 23 to 33). In this context the argument of the appellant - patent proprietor that D4 would be a pure paper disclosure is not convincing.

The examples of D4, describing a detailed preparation method, provide a clear motivation to apply dry granulation to the preparation of sitagliptin formulations.

4.5.3 Moreover, contrary to the opinion of the appellant - patent proprietor, no general teaching away from the preparation of tablets with the crystalline hydrochloride salt of sitagliptin has been credibly established for the reasons already provided under point 4.1.8, 2nd paragraph.

4.5.4 In absence of any indication that crystallinity or the nature of the salt would not be adapted to formulation by dry granulation, the skilled person would thus not have seen any hindrance in applying this well-known technique to the example of D1. Hence the claimed subject-matter is not inventive starting from D1 in combination with common general knowledge alone or together with D4.

4.6 Accordingly, the main request does not comply with the requirement of inventive step (Article 56 EPC).

Auxiliary requests 1 and 2

5. Inventive step

Since claims 1 of auxiliary requests 1 and 2 are identical to claim 1 of the main request, the same reasoning applies *mutatis mutandis* to these auxiliary requests. Hence, auxiliary requests 1 and 2 do not comply with the requirement of inventive step (Article 56 EPC).

Auxiliary requests 3 and 4

6. Inventive step

6.1 Claim 1 of auxiliary request 3 differs from claim 1 of the main request in that a filler being a mixture of calcium phosphate dibasic and MCC was defined as additional component.

6.2 It was undisputed that the addition of calcium phosphate dibasic (and MCC) constituted a further distinguishing feature compared to the closest prior art D1.

6.3 No particular effect has been substantiated for this additional distinguishing feature. The comparison of trial 1b (filler being mannitol) and 2a (filler being a mixture of calcium phosphate dibasic and MCC) of document D40/D66 on which the appellant - patent proprietor relied is not appropriate to show an effect of this additional distinguishing feature. Indeed, as argued by the appellants - opponents, both tablets differ from each other not only in the nature of the filler but also the presence of additional lubricant (sodium stearyl fumarate) and silicon colloidal anhydrous in the tablets of trial 2a. These additional differences originate from the fact that the composition of trial 1b was based on the composition of D1 and the one of Trial 2a was based on example 7 of the patent.

The appellant - patent proprietor argued that sodium stearyl fumarate was a lubricant and that silicon colloidal anhydrous acted in the amounts used as a glidant but not as a disintegrant (as supported by D81). These additional components would therefore have no influence on the release rate. The Board considers

that, independently of the class of excipients to which the additional components theoretically belong, it remains that the absence of influence thereof on the release rate has not been substantiated, in particular not for the composition of Trial 2a.

Any observed effect in D40/D66 cannot therefore be attributed to the nature of the filler.

6.4 The objective technical problem is therefore the same as the one formulated for the main request (see point 4.4.1).

6.5 Contrary to the opinion of the appellant - patent proprietor, there is no evidence that the distinguishing features compared to the closest prior art ((i) preparation by dry granulation and (ii) nature of the filler) would be functionally interrelated to the extent that their inventiveness could not be assessed separately.

6.6 Accordingly, the feature of preparation by dry granulation is obvious for the same reasons as developed for the main request (see point 4.5).

6.7 As argued by the appellants - opponents, calcium phosphate dibasic and MCC are commonly used fillers in the preparation of tablets including by dry granulation (see D78) and they have even been disclosed in the context of sitagliptin tablets (see D4, examples 23 to 33 and paragraph [0044]), in particular in combination in the commercial product containing sitagliptin monohydrate phosphate (see Januvia® and its composition provided in D8). In this context, the argument of the appellant - patent proprietor that different salts of sitagliptin behave quite differently when combined with

certain excipients, in particular when dry granulation is used, has not been substantiated. As a result, the use of calcium phosphate dibasic and MCC as fillers instead of mannitol or MCC in the formulation of D1 is obvious in view of common general knowledge alone or together with D4 or D8.

6.8 Since the additional feature of claim 1 of auxiliary request 4 concerning the presence of a lubricant being magnesium stearate is already disclosed in example 19 of D1, it does not constitute an additional distinguishing feature. Consequently, the same reasoning as the one developed for auxiliary request 3 applies *mutatis mutandis* to auxiliary request 4.

6.9 As a result, auxiliary requests 3 and 4 do not meet the requirement of inventive step (Article 56 EPC).

Auxiliary request 5

7. Inventive step

7.1 Claim 1 of auxiliary request 5 differs from claim 1 of auxiliary request 4 in that the composition comprises a mixture of sodium stearyl fumarate and magnesium stearate as lubricants.

7.2 It was undisputed that the addition of sodium stearyl fumarate constituted a further distinguishing feature compared to the closest prior art D1.

7.3 No particular effect has been substantiated for this additional distinguishing feature. In this context the appellant - patent proprietor stated that the comparison of trial 1a (according to D1) with trial 2a (according to claim 1 of auxiliary request 5) would

substantiate that the combination of the distinguishing features lead to an improved release rate. However, as already mentioned above (see point 6.3), these two compositions differ also by the presence of silicon colloidal anhydrous and an effect thereof on the release rate cannot be excluded. As a result this argument of the appellant - patent proprietor is not convincing.

7.4 The objective technical problem is therefore the same as the one formulated for the main request (see point 4.4.1).

7.5 Furthermore, as explained for auxiliary request 3, there is no evidence that the distinguishing features compared to the closest prior art ((i) preparation by dry granulation, (ii) nature of the filler and (iii) nature of the lubricants) would be functionally interrelated to the extent that their inventiveness could not be assessed separately.

7.6 Since the claimed lubricants were already well-known standard lubricants and had even been disclosed for the formulation of sitagliptin, in combination with one another and/or with the claimed filler mixture (see D4 paragraph [0167], D5 comparative examples 9 and 10 or the commercial product Januvia® and its composition provided in D8), the present additional feature does not render the claimed subject-matter inventive over D1 in view of common general knowledge alone or together with D4, D5 or D8.

7.7 Auxiliary request 5 does thus not comply the requirement of inventive step (Article 56 EPC).

Auxiliary requests 6 and 7

8. Inventive step

8.1 Claims 1 of auxiliary requests 6 and 7 (based on claims 1 of the main request and auxiliary request 3 respectively) further define a specific release rate.

8.2 It was undisputed that, as argued by appellant - opponent 1, the claimed release rate is already fulfilled by the tablet of document D1 (see D40 Trial la). This additional feature does therefore not appear to constitute a further distinguishing feature compared to the tablet of document D1 and cannot thus contribute to inventiveness. Consequently, the same reasoning as the one developed for the main request and auxiliary request 3 applies *mutatis mutandis* to auxiliary requests 6 and 7 respectively.

8.3 As a result, auxiliary requests 6 and 7 do not fulfil the requirement of inventive step (Article 56 EPC).

Order

For these reasons it is decided that:

The decision under appeal is set aside.

The patent is revoked.

The Registrar: The Chairman:

B. Atienza Vivancos A. Usuelli

Decision electronically authenticated