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Datasheet for the decision of 1 December 2020

Case Number: T 2021/18 - 3.3.07

Application Number: 12769823.1

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Title of invention:
NICOTINE-CONTAINING PHARMACEUTICAL COMPOSITION

Applicant:
Modoral Brands Inc.

Headword:
Nicotine-Containing Pharmaceutical Composition / MODORAL

Relevant legal provisions:
EPC Art. 54(2), 56

Keyword:
Novelty - main request (no) - auxiliary request 1 (no)
Inventive step - auxiliary requests 2-6 (no)

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Case Number: T 2021/18 - 3.3.07

D E C I S I O N
of Technical Board of Appeal 3.3.07
of 1 December 2020

Appellant: (Applicant)	Modoral Brands Inc. 401 North Main Street Winston-Salem NC 27101-3804 (US)
Representative:	Hoeger, Stellrecht & Partner Patentanwälte mbB Uhlandstrasse 14c 70182 Stuttgart (DE)
Decision under appeal:	**Decision of the Examining Division of the European Patent Office posted on 6 March 2018 refusing European patent application No. 12769823.1 pursuant to Article 97(2) EPC.**

Composition of the Board:

Chairman	A. Usuelli
Members:	E. Duval
	Y. Podbielski

Summary of Facts and Submissions

I. The appeal was filed by the applicant (appellant) against the decision of the examining division to refuse the application in suit. The decision was based on a main request filed on 16 April 2014, and on auxiliary requests 1 and 2 filed during the oral proceedings before the examining division.

II. Claim 1 of the main request read as follows:

"A nicotine-containing pharmaceutical composition, comprising:
a. a nicotinic compound;
b. a sugar substitute in the form of isomalt in an amount of at least about 80% by weight; and
c. a sugar alcohol syrup selected from maltitol syrup or xylitol syrup in an amount sufficient to slow recrystallization of the sugar substitute in melted form and up to about 20% by weight,
wherein the composition is translucent and in a pharmaceutically acceptable form adapted for oral delivery of the composition."

In claim 1 of auxiliary request 1, the amount of sugar alcohol syrup was defined to be "at least about 4.0% by weight".

In auxiliary request 2, claim 1 related to a method of preparing a nicotine-containing pharmaceutical composition, comprising in particular at least about 4% sugar alcohol syrup and at least about 85% sugar substitute. Claim 6 of auxiliary request 2 related to a nicotine-containing pharmaceutical composition obtainable by this method.

III. The appealed decision referred among others to following document:

D8: US 2004/101543 A 1

IV. The examining division decided the following:

(a) Claim 1 of the main request lacked novelty over example 4 of D8, which disclosed a composition comprising about 99% isomalt, about 0.4% xylitol and nicotine. The definition of the amount of maltitol or xylitol syrup as "sufficient to slow recrystallization of the sugar substitute in melted form" was regarded as unclear for lack of indications as to how to assess it. Furthermore, the use of xylitol syrup for the preparation of the claimed composition did not differentiate it from the composition of D8, since xylitol was completely melted and dissolved in the process.

(b) Claim 1 of auxiliary request 1 did not meet the requirements of Article 84 EPC.

(c) Regarding auxiliary request 2, neither the subject-matter of claim 6 nor that of claim 1 involved an inventive step.

In particular, starting from D8, the subject-matter of claim 6 differed by a higher amount of xylitol. In light of the further teaching of D8, the skilled person would increase the amount of xylitol in order to provide an alternative formulation.

V. In its statement setting out the grounds of appeal, the appellant defended its case on the basis of the main request filed on 16 April 2014 and three auxiliary requests.

VI. The Board issued a communication under Article 15(1) RPBA setting out its preliminary opinion.

VII. By letter dated 30 October 2020, the appellant filed auxiliary requests 1-6.

In claim 1 of auxiliary request 1, the amount of sugar alcohol syrup was defined to be "from about 0.1% to about 2% by weight".

Claim 1 of auxiliary request 2 additionally specified that the composition was in the form of a lozenge.

In auxiliary request 3, claim 1 related to a method of preparing a nicotine-containing pharmaceutical composition having from about 0.1% to about 2% sugar alcohol syrup and at least about 85% sugar substitute and being in the form of a lozenge. Claim 6 related to a nicotine-containing pharmaceutical composition obtainable by this method.

Each of auxiliary requests 4-6 corresponded respectively to auxiliary requests 1-3, wherein the range for the amount of sugar alcohol syrup of "from about 0.1% to about 2% by weight" was replaced with "at least about 4.0% by weight".

VIII. Oral proceedings were held before the Board on 1 December 2020.

IX. The appellant's arguments regarding novelty and inventive step may be summarised as follows:

(a) Novelty

Example 4 of D8 taught a composition of about 99 % by weight of isomalt, about 0.4 % by weight of xylitol powder and about 0.25 % by weight of nicotine.

The use of a sugar alcohol as a syrup was not disclosed in D8. The presence of a syrup, however, had a significant effect on the microstructure of the composition. When added as a syrup, the full amount of sugar alcohol was immediately active in slowing down recrystallization. Even if the water introduced by the syrup was evaporated during the preparation of the compositions, this evaporation also influenced the properties and structure of the product. In contrast, when added in powder form to the molten isomalt composition as in D8, the sugar alcohol first had to be dissolved and distributed in the surrounding medium to provide such an effect. Hence it behaved differently with respect to the recrystallization kinetics and could even trigger recrystallisation.

In its submissions of 30 October 2020, the appellant submitted that example 4 of D8 only contained 0.0032% of xylitol powder by weight. This was far below the amount which could slow recrystallization of the sugar substitute. D8 did not teach to use a sugar alcohol syrup in order to obtain a composition with the desired translucent properties, and did not describe the physical appearance of the product of example 4.

Hence the subject-matter of claim 1 of the main request, and of all the auxiliary requests, was novel over D8.

(b) Inventive step

An important feature of the claimed pharmaceutical composition and method was the requirement to provide the sugar alcohol in the form of a syrup in order to ensure the required translucency. The amount of sugar alcohol syrup utilized could depend on the composition of the remaining ingredients in the reaction mixture to ensure that the recrystallization of the composition as a whole was sufficiently suppressed to provide a material with the desired translucency characteristics. The methods provided in the present application provided a means for affording a nicotine-containing pharmaceutical composition exhibiting the desired translucency. For example, the Experimental Section described the preparation of hard-boiled lozenges comprising isomalt and maltitol by heating these components above the hard crack stage.

Such a correlation between the use of a sugar alcohol syrup and visual translucency/transparency, especially in the presence of a high amount of sugar substitute of 80% or more, was not addressed or hinted to in D8. There was nothing in D8 that taught or even remotely suggested using a sugar alcohol syrup to slow down recrystallization of the sugar substitute, thus leading to a translucent material.

Hence the subject-matter of the main request and of the auxiliary requests involved an inventive step.

X. The appellant requests that the decision under appeal be set aside and that a patent be granted on the basis of the main request filed on 16 April 2014, or, in the alternative, on the basis of one of the auxiliary requests 1-6 filed with the letter dated 30 October 2020.

Reasons for the Decision

1. Main request, Novelty

1.1 Example 4 of D8 shows the preparation of oral dosage forms starting from nicotine bitartrate dihydrate, xylitol powder, isomalt, and water.

The preparation involves heating a mixture comprising isomalt and water to 165°C, cooling it to 135°C, adding to this cooked mix (200 g) a pre-blend of xylitol and nicotine bitartrate (1.45 g of pre-blend comprising about 0.7 g xylitol) and a buffer solution (15 g), and mixing well before cooling.

The final composition of example 4 of D8 thus comprises about 99% by weight of isomalt and (0.7/(200+15+1.45))*100=0.32% xylitol by weight. This amount of 0.32% xylitol essentially confirms the amount of about 0.4% indicated in the appealed decision. The amount of 0.0032% xylitol calculated by the appellant (see the letter of 30 October 2020, page 8) is erroneous, because it omits to multiply the xylitol to composition ratio by 100 to obtain a percentage.

Lastly, D8 generally mentions that in the oral dosage forms disclosed therein, the isomalt matrix is glassy

and transparent (see claims 1 and 8; paragraph [0024]). Furthermore, during this process, most of the water used for processing evaporates (see for instance paragraph [0065]).

1.2 Accordingly, D8 discloses a nicotine-containing pharmaceutical composition, comprising (a) a nicotinic compound and (b) a sugar substitute (isomalt) in an amount as claimed (about 99%, i.e. more than 80% by weight). The composition of D8 is in a pharmaceutically acceptable form adapted for oral delivery. Lastly, the composition of D8 is translucent as required by claim 1. In this respect, the Board agrees with the examining division that the term "translucent" only excludes compositions which are completely impenetrable by light.

1.3 For the following reasons, the Board finds that D8 also shows feature (c) of claim 1, which requires the use of a sugar alcohol syrup, i.e. a thick solution of sugar alcohol in water (see page 13 of the description, second paragraph), in an amount sufficient to slow recrystallization of the isomalt in melted form.

1.3.1 Firstly, the Board does not interpret feature (c) as requiring the actual presence of the sugar alcohol syrup in the final nicotine-containing pharmaceutical composition, but rather as requiring that, during the preparation of this composition, the sugar alcohol be introduced as a syrup. Under the elevated temperatures used during preparation of the claimed composition (see the description, bottom of page 22), the water present in the syrup will evaporate, and the sugar alcohol will not be present anymore as a syrup. In this sense, feature (c) must be seen as a feature defining the claimed composition in terms of the process for its

preparation, rather than in terms of its components. This interpretation was confirmed by the appellant during the oral proceedings.

1.3.2 In D8, the sugar alcohol (xylitol) is added as a powder and not as a syrup. However, as noted by the examining division, in the final composition, the skilled person is not in a position to distinguish whether xylitol has been added in the form of a powder to the liquid isomalt matrix or in the form of a syrup, because xylitol will melt and/or dissolve in the molten isomalt matrix. Additionally, any water introduced with the syrup will mostly evaporate during the cooking or melting step, considering the temperatures used in the process. Accordingly, the feature that the sugar alcohol be added as a syrup does not establish a difference over D8 for the final composition.

1.3.3 The appellant argues that the sugar alcohol will behave differently with respect to the recrystallisation kinetics when added as a syrup. The Board does not share this opinion. In view of the reasons put forward by the examining division, and considering that the sugar alcohol is added at 135°C to the much larger amount of molten isomalt matrix and mixed well, this alleged different behavior is not credible. The appellant did not provide any evidence that the "product-by-process" feature (c) would reliably result in the alleged distinguishing properties in the microstructure of the product. Thus, it has not been demonstrated that the addition of the sugar alcohol as a syrup establishes novelty over example 4 of D8.

1.3.4 Lastly, feature (c) also requires that the sugar alcohol syrup be added in an amount "sufficient to slow

recrystallization of the sugar substitute in melted form".

This functional definition does however not clearly define the amount of sugar alcohol syrup. This is in particular because, according to the description (see page 13, last paragraph), this sufficient amount depends on the composition of the remaining ingredients and the desired level of translucency/transparency, none of which is limited in claim 1 of the main request apart from components (a) and (b).

In any case, according to the description (see page 13), this sufficient amount of sugar alcohol syrup typically ranges from about 0.1 % to about 2% (see also claim 1 of auxiliary request 1, point 2. below). Consequently, the amount of xylitol in example 4 of D8 (namely 0.32%), which falls within this range, must be regarded as fulfilling this functional definition of claim 1 of the main request.

1.4 Consequently, the main request does not meet the criteria of novelty of Article 54(2) EPC.

2. Auxiliary request 1, novelty

Claim 1 of auxiliary request 1 differs from claim 1 of the main request in that the amount of sugar alcohol syrup is defined as being in the range of 0.1-2%. Since the amount of xylitol in example 4 of D8 (namely 0.32%) falls within this range, auxiliary request 1 does not meet the requirements of novelty either.

3. Auxiliary request 2-6, inventive step

The invention pertains to a nicotine-containing composition intended to be employed for therapeutic use (see page 3 of the description). The composition exhibits some level of translucency.

The Board shares the opinion of the examining division that D8 represents a suitable starting point for the assessment of inventive step.

3.1 Claim 1 of auxiliary request 2 differs from claim 1 of auxiliary request 1 by the feature that the composition is in the form of a lozenge. This feature represents the sole differentiating feature of the subject-matter of claim 1 of auxiliary request 2 over example 4 of D8.

Since the provision of the composition in the form of a lozenge is not shown to be associated with any particular technical effect, the objective technical problem is the provision of a further nicotine-containing pharmaceutical composition.

Although example 4 of D8 does not specify the dosage form of the composition, lozenges are generally described in D8 as a preferred form (see claim 19, or paragraph [0022]). Adopting this preferred dosage form for the composition of example 4 does not involve an inventive step.

3.2 In auxiliary request 3, claim 1 relates to a method of preparing a nicotine-containing pharmaceutical composition, whereas claim 6 pertains to a nicotine-containing pharmaceutical composition obtainable by a method according to any one of claims 1 to 5. In claim 1, the resulting composition is essentially defined by

the same features as in claim 1 of auxiliary request 2 (including 0.1-2% by weight of sugar alcohol syrup and a lozenge as dosage form). The method of claim 1 is otherwise not shown or alleged to impart to the resulting composition any additional differentiating feature over D8. Consequently, the lack of inventive step found for the composition of claim 1 of auxiliary request 2 applies equally to the composition of claim 6 of auxiliary request 3.

3.3 Auxiliary requests 4-6 differ from auxiliary requests 1-3 in that the amount of sugar alcohol syrup is defined to be at least about 4% by weight.

Thus the subject-matter of claim 1 of auxiliary request 4 differs from the teaching of D8 by the amount of sugar alcohol syrup used (see 1. above).

The appellant argues that the presence of the sugar alcohol syrup advantageously slows down recrystallisation of the sugar substitute in melted form. However, no such effect is shown in the application, and no evidence was provided that an increase in the amount of sugar alcohol would have any impact on the recrystallisation and transparency properties of the composition. On the contrary, in the examples (see tables 1 and 2), sample 3 comprising about 5% maltitol syrup is opaque.

Accordingly, the objective technical problem remains the provision of a further nicotine-containing pharmaceutical composition.

D8 discloses that the amount of xylitol may generally be from about 1% to about 20% (see claim 17). The selection, from this broader range, of an amount of at

least 4% sugar alcohol syrup must be regarded as an arbitrary choice. Hence, the subject-matter of claim 1 of auxiliary request 4 does not involve an inventive step.

The same reasoning applies to claim 1 of auxiliary request 5 and claim 5 of auxiliary request 6.

Thus none of the auxiliary requests 4-6 meet the requirements of Article 56 EPC.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar: The Chairman:

B. Atienza Vivancos A. Usuelli

Decision electronically authenticated