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Veröffentlichung im Amtsblatt Ja/Nein
Publication in the Official Journal Yes/No
Publication au Journal Officiel Oui/Non

0002133

Aktenzeichen / Case Number / N° du recours : T 2/83

Anmeldenummer / Filing No / N° de la demande : 79105188.1

Publikations-Nr. / Publication No / N° de la publication : 0014253

Bezeichnung der Erfindung:
Title of invention:
Titre de l'invention : Simeticon antacid tablet

ENTSCHEIDUNG / DECISION
vom / of / du 15 March 1984

Anmelder/Patentinhaber:
Applicant/Proprietor of the patent:
Demandeur/Titulaire du brevet : Rider, Joseph Alfred

Stichwort / Headword / Référence : "Simethicone Tablet / Rider"

EPÜ / EPC / CBE Articles 52(1) and 56

"Inventive step", Problem invention"

Leitsatz / Headnote / Sommaire

I. The discovery of an unrecognised problem may give rise to patentable subject-matter in spite of the fact that the claimed solution is retrospectively trivial and in itself obvious ("problem-inventions").

II. In a case where the applicant had supplemented a known layered tablet by the provision of a barrier between the layers, the Board held that the proper question to be asked was not whether the skilled man could have provided the barrier but whether he would have done so in expectation of some improvement or advantage.

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Case Number: T 2 / 83

DECISION

of the Technical Board of Appeal 3.3.1

of 15 March 1984

Appellant: Rider, Joseph Alfred
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U S A

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Decision under appeal: Decision of Examining Division 001 of the European Patent Office dated 20 July 1982 refusing European patent application No 79 105 188.1 pursuant to Article 97(1) EPC

Composition of the Board:

Chairman: D. Cadman
Member: G. Szabo
Member: L. Gotti Porcinari

Summary of Facts and Submissions

I. European patent application 79 105 188.1 filed on 14 December 1979 and published on 20 August 1980 with publication number 14 253 claiming priority of the prior application on 31 January 1979 (US-7887) was refused by the decision of the Examining Division 001 of the European Patent Office dated 20 July 1982. The decision was based on claims 1 to 9. The main claim was worded as follows:

"1. A tablet containing simethicone and an antacid, said tablet comprising: a first volume portion containing said simethicone; a second volume portion containing antacid; each of said first and second volume portions being separate and discrete from the other volume portion; and barrier means between said first and second volume portions for maintaining the simethicone in said first volume portion out of contact with the antacid in the second volume portion and for preventing migration of ingredients from one volume portion to another; said simethicone being exterior of any matrix formed by any of the other ingredients in said tablet, the availability of the simethicone for anti-foaming action being independent of the breakdown of any such matrix."

II. (a) The reason given for the refusal was that the subject-matter of the claims did not involve an inventive step. The closely related prior art according to FR-A-2 077 913 (1) teaches that a gastro-intestinal formulation can contain a silicone oil and a substance which is active in the gastro-intestinal

tract, the two agents being separately presented in different volume portions and having barrier means in between.

(b) The subject-matter of claim 1 under appeal differs from the composition disclosed in (1) only in that it employs a specific silicone oil, i.e. simethicone, and an antacid as a gastro-intestinal agent. It differs from the prior art composition described in US-A-3 501 571 (2), granted to Yen, only by having an additional barrier between the layers containing simethicone, on the one hand, and antacid, on the other.

(c) The problem of the migration of silicone materials has been known and the use of barriers has generally been available in the art to prevent interaction between incompatible medicaments. There are already some other methods in the state of the art to keep simethicone and antacids successfully apart, and there is therefore no surprising effect involved in the use of barrier means to solve a well known problem.

III. The applicant lodged an appeal against the decision on 16 September 1982, and paid the fee and submitted a Statement of Grounds within the prescribed time.

IV. The Board raised objections against the patentability of the claims in the case in a communication to the appellant. A reply was filed in due time and subsequently a set of amended claims was presented. These were further amended before the oral hearing on 2 November 1983. The specification was also brought in line with the new claims with a letter of 28 February 1984, the main claim to read as follows:

"1. A tablet containing simethicone and an antacid, said tablet comprising: a first volume portion containing said simethicone and a solid carrier composed of simethicone adsorbing material; a second volume portion containing said antacid; each of said first and second volume portions being separate and discrete from the other volume portion; said simethicone being exterior of any matrix formed by any of the other ingredients in said tablet, the availability of the simethicone for anti-foaming action being independent of the breakdown of any such matrix,
charaterised in that
there are barrier means between said first and second volume portions for maintaining the simethicone in said first volume portion out of contact with the antacid in the second volume portion and for preventing migration of ingredients from one volume portion to another."

V. In the Grounds of Apppeal, in the reply to communications and in the oral proceedings the appellant has argued essentially as follows:

(a) There is no explicit or implicit requirement in the Convention that a patentable invention should provide a surprising effect. According to the Guidelines for Examination the patentable invention may be based on the formulation of a problem to be solved (C-IV-9.4), the solution being obvious once the problem or the effect required by it is clearly stated. Although simethicone may be readily released when adsorbed on a lactose filler material, its release turned out to be retarded or prevented when the material is contiguous to the antacid component. It appears that simethicone migrates, against all expectations, from the

adsorbed state into the solid antacid layer and becomes absorbed therein. Once this unknown problem has been discovered, the claimed solution may in itself be trivial.

(b) After it became known that the effectiveness of simethicone is greatly reduced when intimately mixed with antacid, the sensible answer from the point of view of pharmaceutical technology was to incorporate simethicone in a suitable carrier in order to prevent its migration. Thus, entrapping has been recommended, i.e. absorbing the silicone oil in a matrix of molten sorbitol or in a mixture of glycerol and corn syrup (US-A-3 767 794 (McVean) and US-A-4 127 650 (Buchler)). Nevertheless such formulations required the breakdown of the matrix before the silicone oil could effectively be released and thereby resembled in this respect the original tablets where simethicone had been absorbed in antacid. Unfortunately the alternative proposition, i.e. merely to adsorb simethicone on lactose or sorbitol or on a similar material according to Yen (i.e. US-3 501 571) (2)) and to compress the granules thereof together with antacid granules into tablets, has also turned out to be unsatisfactory.

(c) The applicants have discovered that the admixed or the multilayered tablet according to Yen still leads to an unexpected and substantial reduction of simethicone activity (cf. Rider, J.A., Current Therapeutic Research, 1981, 30/6, 1033-1038, and corresponding affidavit). Only after the recognition of the hidden inadequacy of formulations according to Yen was the skilled pharmaceutical practitioner in the

position to see the need for improvement and turn reluctantly to the otherwise technically superfluous and undesirable barrier system.

(d) In FR-A-2 077 913 (1), which discloses gastro-intestinal formulations wherin the silicone oil is encapsulated within a gelatinous barrier surrounded by other solid agents active in the gastro-intestinal tract, the purpose of the barrier is to enable separate releases in the intestine and the outermost barrier surrounding the solid layer serves the purpose of preventing release in the stomach. The teaching of the document is inconsistent with the idea of absorbing the silicone component in a solid carrier since this would render the liquid containing barrier superfluous.

VI. After the oral hearing the Board asked for further evidence and explanations from the appellants. These were submitted in due time. The appellants have requested that the decision under appeal should be set aside and the patent be granted on the basis of the new claims.

Reasons for the Decision

1. The appeal complies with Articles 106 to 108 and Rule 64 EPC and is, therefore, admissible.

2. There is no formal objection to the current version of the claims, since it is adequately supported by the original disclosure.

3. The problem with which the claimed invention was concerned was to provide an improved anti-flatulency effect side by side with an antacid effect in the stomachs of patients. It was already well known that simethicone, a silicone oil, has such anti-flatulency activity and that it could also be administered together with the usual antacid agents, such as aluminium or magnesium hydroxide, or magnesium carbonate. Nevertheless it was soon recognised that when simethicone is in intimate contact with an antacid component, its release is delayed or to some extent prevented in consequence of a strong absorption by the antacid bases. This was demonstrated by the reduced de-foaming action of blended tablets in vitro (Rezak, M., J. Pharm. Sci. 1966, 55, 538-539). It is believed that changes in the anti-flatulency effect in vivo are generally correlated with those of the anti-foaming effect.

4. Although one obvious solution of the problem would have been to separate the liquid simethicone component from the solid antacid with a barrier, as it is done in the known gastro-intestinal formulations according to prior document (1), the trend in the art was to avoid barriers, which are cumbersome to manufacture. It was preferred to combine simethicone with a great excess of a carrier which was to prevent migration and absorption by the antacid. According to Yen (2) the organopolysiloxane oil, e.g. the simethicone component, is reversibly adsorbed on the surface of lactose, sorbitol, sucrose or other suitable carrier. The granules of such material are tabletted in admixture with granules of antacid. The possibility of forming contiguous layers from such materials in a single tablet was also expressly mentioned, although the compression of the admixture of granules was preferred.

5. According to the submissions of the appellants, appropriate tests with commercial products revealed that neither the admixed nor even the layered version of the Yen-type formulation was satisfactory after normal storage. The layered varieties which were also obtainable on the market, showed a subtantially reduced anti-foaming activity in comparison with a tablet after prolonged storage containing a barrier according to the application under appeal (cf. Rider J.A., Current Therapeutic Research, 1981, 30/6, 1033-1038 and affidavit by Rider). The modification provides a striking difference in performance, although the presentation of simethicone in a solid adsorbed form on at least 20 to 40 times the quantity of lactose together with starch and other carriers should have been sufficient to prevent migration and inactivation. In view of the fact that this was the object of the Yen patent, there was no reason to assume that an effective separation had not been achieved, particulaly with the layered tablet where the interface between the two materials is itself minimised. The modified tablets claimed in the present application are therefore novel, and show, in consequence of the inserted barrier an improved performance.

6. The discovery of a yet unrecognised problem may, in certain circumstances, give rise to patentable subject-matter in spite of the fact that the claimed solution is retrospectively trivial and in itself obvious ("problem inventions"). For instance the so-called analogy processes in chemistry are only claimable as long as the problem, i.e. the need to provide certain patentable products as their effect, is not yet within the state of the art. It appears however, that whenever the modification of a known device involves no real choice

in the direction of a clearly desired improvement, i.e the skilled man is in an inevitable "one-way-street" situation, the additional provision of a yet unsuspected "bonus" or side effect, which may be interpreted as a solution of a yet unknown problem, should not necessarily be decisive for patentability (cf. "Electromagnetically operated switch/Allan-Bradley, T 21/81, OJ 1983/1, pages 15-21).

7. The question regarding the inventive step, in relation to the modification of the layered tablet of the state of the art as suggested by the present applicants, is not whether the skilled man could have inserted a barrier between the layers but whether he would have done so in expectation of some improvement or advantage. Since the Yen tablet was, on the face of it and from what was assumed in view of its commercialisation, a satisfactory answer to the problem of undesirable migration, the addition of a barrier would have appeared superfluous, wasteful and devoid of any technical effect. In view of the recognition that a barrier has, after all, a substantial effect, the outcome was not predictable and the claimed modification involves an inventive step on this basis.

8. The above considerations are conditional on the fact that the deficiency of the Yen tablet was not in the state of the art at the priority date of the application. Otherwise the skilled practitioner would have had practically no other choice but to suggest a barrier against the reported undesirable migration in the composition. This would have been as obvious as the isolation of a liquid, unadsorbed, simethicone from the antacid component with a barrier following the teaching

of the very close gastro-intestinal formulation disclosed in document (1). The Examining Division correctly recognised the unpatentability of such a measure since the behaviour of liquid simethicone was already well known. But the same should not apply to simethicone adsorbed on a carrier as long as the problem associated with it is not public knowledge. Conversely it also follows that the modification of the barrier-containing system of document (1) by the adsorption of the liquid on a carrier 20 to 40 times the quantity thereof cannct be envisaged from the teaching of the citation without distorting the formulation and removing the barrier which would have lost its purpose.

9. Whether or not the discovery of a real technical problem was at hand in respect of tablets which contain simethicone adsorbed on carriers and then tabletted in admixture or separate layers with antacid depends on the reliability of the anti-foam test as a true indicator of the anti-flatulency action in the stomach. Tablets are for in vivo use and in vitro tests have no necessary technical relevance unless indicative of removing or alleviating the problem of flatulency. In view of the support for the assumed correlation in the literature cited in the case so far, the Board accepts that an inventive step has been credibly established for the claimed tablets.

Order

It is decided that

1. The decision of the Examining Division dated 20 July 1982 is set aside.

2. The case is remitted to the first instance with the order to grant a European patent on the basis of the following documents:

   (1) Description:
   Pages 1, 2, 4 and 10 (renumbered as 9) of the original patent application;
   Pages 3, 6 and 10, received on 5 March 1984 with letter of 2 March 1984;
   Pages 5, 7, 8 and 11, received on 10 March 1984 with letter of 8 March 1984.

   (2) Claims:
   Nos. 1 to 5 (Page 1), received on 10 March 1984 with letter of 8 March 1984;
   No. 6 (page 2), received on 5 March 1984 with letter of 2 March 1984.

   (3) Drawings:
   Page 1/1 (Figs 1 to 3), received on 10 March 1984 with letter of 8 March 1984.

Registrar: Chairman:

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