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Datasheet for the decision of 6 December 2022

Case Number: T 2954/19 - 3.3.07

Application Number: 09764855.4

Publication Number: 2365800

IPC: A61K9/20, A61K31/56

Language of the proceedings: EN

Title of invention:
ULIPRISTAL ACETATE TABLETS

Patent Proprietor:
Laboratoire HRA Pharma

Opponents:
Hexal AG
Helm AG
Cyndea Pharma. S.L.

Headword:
Ulipristal acetate tablets / LABORATOIRE HRA

Relevant legal provisions:
RPBA Art. 12(4)
RPBA 2020 Art. 25(2)
EPC Art. 100(a), 56

Keyword:

Late-filed evidence - admitted (yes)

Inventive step - Main request and auxiliary requests I to V (no)

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Case Number: T 2954/19 - 3.3.07

D E C I S I O N
of Technical Board of Appeal 3.3.07
of 6 December 2022

Appellant: (Patent Proprietor)	Laboratoire HRA Pharma 200 avenue de Paris 92320 Châtillon (FR)
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Decision under appeal: **Decision of the Opposition Division of the European Patent Office posted on 28 August 2019 revoking European patent No. 2365800 pursuant to Article 101(3)(b) EPC.**

Composition of the Board:

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

Summary of Facts and Submissions

I. European patent 2 365 800 (hereinafter "the patent") was granted on the basis of 15 claims. The independent claims of the patent as granted read as follows:

"1. A pharmaceutical tablet for oral administration comprising:

- from 3 wt% to 18 wt% of ulipristal acetate, wherein ulipristal acetate is present in the tablet in an amount ranging from 1 mg to 50 mg together with the following excipients:
- from 60 wt% to 95 wt% of a diluent selected from the group consisting of monosaccharides, disaccharides, sugar alcohols, and hydrates thereof, cellulose, and microcrystalline cellulose.
- from 0 wt% to 10 wt% of a binder,
- from 1 wt% to 10 wt% of croscarmellose sodium, and
- from 0.5 wt% to 4 wt% of magnesium stearate

wt% designating an amount by weight, as a percentage of the total weight of the composition."

"15. A method of manufacturing a ulipristal acetate tablet according to any of claims 1 to 14, the method comprising mixing the ingredients and ulipristal acetate and forming a tablet, preferably by wet granulation or by direct compression."

II. Three oppositions were filed against the patent on the grounds that its subject-matter lacked inventive step and extended beyond the content of the application as originally filed.

III. The opposition division took the decision to revoke the patent.

IV. The decision of the opposition division, posted on 28 August 2019, cited *inter alia* the following documents:

D4: WO 03/045397
D9: Remington: The Science and Practice of Pharmacy (20th Edition), 2000 Nov., pages 858-871
D14: Blithe DL *et al.*, Steroids, 68, 2003, 1013-1017
D15: HWI Experimental Report of 13.04.2017
D16: Dissolution Test of EllaOne (19.04.2017)
D17: WO 2008/079245
D37: Declaration of Christine Seguin, 25.09.2017
D38: Excerpts from Chemspider website
D42: Leaflet Secufem, July 2008
D43: Excerpt from Drug Bank website: Ulipristal
D44: Excerpt from Drug Bank website: Levonorgestrel
D45: HWI Experimental report of 23.07.2018
D47: Declaration of Christine Seguin, 18.09.2018

V. The opposition division decided in particular as follows:

(a) The main request fulfilled the requirements of Article 123(2) EPC.

(b) The granted patent was entitled only to partial priority and D18 was thus relevant prior art according to Article 54 (2) EPC for the corresponding subject-matter.

(c) The main request did not involve an inventive step. D14 disclosed gelatin capsules comprising ulipristal acetate (UPA) for use in gynecological

applications such as emergency contraception. It was the closest prior art to the granted claims. The claimed dosage form differed from the one of D14 in that it was a tablet containing specific excipients. The alleged effects of the claimed dosage form had not been credibly substantiated. The objective technical problem to be solved was thus the provision of an alternative oral dosage form for the immediate release of UPA. It would have appeared obvious for the skilled person to apply the immediate release tablet formulations disclosed for a further active agent useful in emergency contraception as disclosed in D4, D17 and D42 to the formulation of UPA.

(d) The subject-matter of claim 1 of auxiliary requests 1 and 4 extended beyond the scope of protection conferred by the granted patent contrary to Article 123(3) EPC. Auxiliary request 2 was not admitted into the opposition proceedings. Auxiliary requests 3 and 5 did not involve an inventive step for the same reasons as the main request, since the newly introduced features were already disclosed or suggested in D14, D4, D17 and/or D42.

VI. The patent proprietor (appellant) lodged an appeal against the above decision of the opposition division.

VII. With its statement setting out the grounds of appeal the appellant defended its case on the basis of the patent as granted as the main request, and on the basis of auxiliary requests I to V filed therewith.

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

Claim 1 of auxiliary request I corresponded to claim 1 of the main request wherein:
- "cellulose and microcrystalline cellulose" had been deleted from the group of diluents, and
- the amount of binder had been amended to "from 1 wt% to 10 wt%".

Claim 1 of auxiliary request II corresponded to claim 1 of the main request wherein:
- the amount of ulipristal acetate had been amended to "30 mg",
- the amount of binder had been amended to "from 1 wt% to 10 wt%". and
- the features "and wherein the diluent is lactose monohydrate and the binding agent is povidone" had been added at the end of the claim.

Claim 1 of auxiliary request III corresponded to claim 1 of auxiliary request I wherein the amount of ulipristal acetate had been amended to "30 mg".

Claim 1 of auxiliary request IV corresponded to claim 1 of the main request wherein:
- the amount of ulipristal acetate had been amended to "30 mg",
- the group of diluents had been amended to "lactose monohydrate and mannitol",
- the amount of binder had been amended to "from 1 wt% to 10 wt%", and
- the binder had been amended to a binder "selected from povidone and/or hydroxy propyl methyl cellulose".

Claim 1 of auxiliary request V was identical to claim 1 of auxiliary request II.

VIII. The following items of evidence were filed by the parties during the appeal proceedings:

(a) Documents filed by the appellant on 27 December 2019 with its statement setting out the grounds of appeal:

D48: Excerpt of the Handbook of Excipients

(b) Documents filed by the respondent 2 on 29 May 2020 with its reply to the statement setting out the grounds of appeal:

D49: Ullmann's Encyclopedia of Industrial Chemistry, Volume 15, Wiley-VCH 2003, pages 471-486, Gelatin
D50: Wikipedia - Gelatine
D51: Declaration by Prof. Dr. Henning Blume, dated 21.01.2020
D52: Notfall-Kontrazeption - Was man zur "Pille danach" wissen sollte, Deutsches Arzteblatt, Jg 105, Heft 18, 02.05.2008
D53: EP 1 987 814 Al

IX. In a communication pursuant to Article 15(1) of the Rules of Procedure of the Boards of Appeal (RPBA) 2020 dated 21 June 2022, the Board provided its preliminary opinion. In particular the Board indicated that the technical effect over the closest prior art D14 alleged by the appellant did not appear to have been appropriately substantiated. An issue of compliance with the requirements of Article 56 EPC for the auxiliary requests was also mentioned.

X. With letter of 17 October 2022 the appellant informed the Board that it would not attend the oral proceedings

scheduled on 24 November 2022 and requested that the appeal be decided on its written submissions.

XI. On 23 November 2022, the Board informed the parties that the oral proceedings were cancelled.

XII. The appellant requested that the decision under appeal be set aside and the patent be maintained as granted (main request), or that the patent be maintained on the basis of one of the auxiliary requests I-V filed with the statement setting out the grounds of appeal.

Auxiliary requests I and III corresponded to auxiliary requests 1 and 3 filed on 24 July 2018 (*i.e.* auxiliary requests 3 and 5 of the first instance decision). Auxiliary requests II, IV and V were newly filed.

XIII. The respondents 1, 2 and 3 requested that the appeal be dismissed, *i.e.* that the patent be revoked.

The respondent 1 further requested that document D48 and auxiliary requests II and V not be admitted into the appeal proceedings.

The respondent 3 further requested that document D48 and auxiliary requests II to V not be admitted into the appeal proceedings.

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

(a) The excerpt of the Handbook of Excipients filed as D48 was submitted to support the fact that the gelatin shell of gelatin capsules could contain additives.

(b) The subject-matter of granted claim 1 differed from the formulation of the closest prior art D14 in the nature of the dosage form (namely tablet *versus* capsule in D14) and of its excipients (combination of specific excipients in specific amounts *versus* microcrystalline cellulose in D14). The claimed formulation had improved properties in terms of manufacture (less expensive and easy to implement), administration (easier to swallow) and pharmacodynamic properties as substantiated in example 4 of the patent and D47. Furthermore, example 4 of the patent provided a more reliable comparison of the claimed tablets with the prior art capsules than D15, D16 and D45. The objective technical problem resided consequently in the provision of a more practical dosage form of ulipristal acetate (UPA), which could be manufactured at the industrial scale and had improved pharmacokinetics properties, e.g. for use as an emergency contraceptive, as compared to the capsule of D14. A concrete technical prejudice existed at the priority date as the skilled person would have recognised that UPA was difficult to formulate. There was furthermore no expectation of success in using the formulations used for levonorgestrel tablets in D4, D17 and D42 because levonorgestrel and UPA had different physico-chemical properties and were thus not interchangeable. Moreover, the handbooks disclosing the present claimed excipients did not provide specific guidance for the formulation of UPA. None of the cited documents could thus cure the deficiencies of D14. Hence, the subject-matter of granted claim 1 involved an inventive step.

(c) The subject-matter of the respective claims 1 of auxiliary requests I to V involved an inventive step for the same reasons as the main request (granted claims). Moreover, the skilled person would not have considered the pharmaceutical compositions described in D4, D17 and D42 containing 1.5 mg of active ingredient (levonorgestrel) as possible compositions to formulate a much larger amount of UPA, namely 30 mg. As a result, claims 1 of auxiliary requests I to V fulfilled the requirements of Article 56 EPC.

XV. The arguments of the respondents, as far as relevant for the present decision, can be summarised as follows:

(a) According to the respondents 1 and 3, D48 should not be admitted into the appeal proceedings because it should have been filed in first instance (respondent 1) and was not prima facie relevant (respondent 3). According to respondent 2, D49 to D53 were filed in direct response to the statement setting out the grounds of appeal and should thus be admitted into the appeal proceedings.

(b) The subject-matter of granted claim 1 differed from the capsules of the closest prior art D14, in that the formulation was in the form of a tablet with specific excipients in particular amounts. No technical effect had been appropriately substantiated for the claimed tablets compared to the capsules of D14. The objective technical problem resided therefore in the provision of an alternative oral dosage form for the immediate release of UPA. The claimed formulations were obvious in light of D4, D17, D42 and D53.

(c) The subject-matter of claims 1 of auxiliary requests I to V did not involve an inventive step for the same reasons as for the granted claims, because the amended features were either already disclosed in the prior art documents D4, D17 and/or D42 (in particular tablets with lactose monohydrate and povidone) or suggested by the prior art (D14 disclosed higher doses of UPA). Moreover no unexpected effect had been substantiated for these features.

Reasons for the Decision

1. Admittance of new items of evidence

1.1 Documents D48 and D49 to D53 were submitted with the statement setting out the grounds of appeal before 1 January 2020 (D48) and the timely filed reply thereto (D49 to D53). Following the transitional provisions set out in Article 25(2) RPBA 2020, their admittance into the proceedings must be decided on the basis of Article 12(4) RPBA 2007.

1.2 D48 is an excerpt of the Handbook of Excipients and was filed to support the appellant’s assertion that gelatin capsules may contain additives such as preservatives and surfactants in addition to gelatin as material for the shell. This document provides evidence of common general knowledge.

Furthermore it has been filed in support of an argument in response to the decision of the opposition division considering the comparative tests of the patent not convincing due to the presence of additives in the tested capsules. Since this issue was not raised in the preliminary opinion of the opposition division but only

in the decision, there is no reason for concluding that D48 should have been filed already during the first instance proceedings.

1.3 Documents D49 to D53 were filed by the respondent 2 in response to arguments provided in the appellant's statement setting out the grounds of appeal and concern the solubility properties of gelatin (D49 and D50), the motivation to prepare immediate release tablets in emergency contraception (D51 and D52) and the suitability of the excipients of D14 for drugs classified as less soluble and less permeable than levonorgestrel (D53).

1.4 As a consequence, the Board does not exercise its discretion pursuant to Article 12(4) RPBA 2007 to exclude these documents from the appeal proceedings. The documents D48 to D53 are admitted into the appeal proceedings.

Main request - patent as granted

2. Inventive step

2.1 Closest prior art and distinguishing feature

2.1.1 The granted patent relates to UPA tablets for oral administration having good bioavailability and useful as contraceptive, in particular emergency contraceptive, and for the therapy of hormonal diseases, as well as a method for the preparation thereof (see paragraphs [0001], [0004], [0005], [0012] and [0014] to [0017]).

2.1.2 In agreement with all parties, the Board considers D14 to represent the closest prior art.

D14 provides results of clinical studies conducted to assess the effect of UPA in gynecological applications such as emergency contraception, in preparation for Phase II clinical trials on UPA. UPA was administered in the form of gelatin capsules containing 1, 10, 50, 100 or 200 mg UPA and MCC (see page 1014, first column and Tables 1 and 2). Oral doses are shown to be absorbed rapidly and cleared slowly (see page 1016, first column, last paragraph). The authors conclude that UPA has excellent potential for use in emergency contraception or daily contraception as well as in the treatment of fibroids and endometriosis (see page 1016, second column).

2.1.3 It was undisputed that the present oral dosage form differs from the one of D14 in that it is a tablet with specific excipients in specific amounts.

2.2 Technical effect and objective technical problem

2.2.1 The appellant argued that the claimed tablets would have several advantages over the capsules of D14:

(a) the tablets would be less expensive to manufacture and easier to implement,
(b) the tablets would be easier to swallow, and
(c) the tablets would have improved pharmacokinetic properties, in particular an advantageous dissolution behaviour in the duodenum.

2.2.2 The Board notes that the properties (a) and (b) have not been experimentally substantiated. They may be considered as constituting generally recognised advantages of tablets over gelatin capsules. Such

commonly known and thus expected properties cannot however confer any inventiveness.

2.2.3 Regarding property (c), the appellant referred to example 4 of the patent and to D47 as experimental evidence therefor.

2.2.4 It cannot however be concluded that the capsules used in example 4 of the patent are representative of the capsules according to D14 for the reasons detailed below (see items 2.2.5 to 2.2.7).

2.2.5 Information regarding the composition of the capsule tested in example 4 of the patent is provided in paragraphs [0082] and [0085]. In paragraph [0082] it is stated that "the formulations tested included a 10 mg capsule with micronized UPA in 120 mg MCC”. It is then specified in paragraph [0085] that "the lipids or surfactants that are present in the capsule composition are expected to help to achieve an immediate dissolution of the compound [...]". The Board is not convinced by the argument of the appellant, that it would be clear from the patent that the additives mentioned in paragraph [0085] are present in the capsule shell (*i.e.* not in admixture with the active principle). When reading both paragraphs together, there is no unambiguous disclosure that these additives are indeed in the shell. The wording "are present in the capsule composition" does not appear clear in that respect. It is indeed doubtful whether this "composition" corresponds to the shell or the powder filled in the capsule. Finally, the wording used in paragraph [0082] is not restrictive either (*i.e.* other components might be present in the capsule).

2.2.6 Moreover, even if it may be common general knowledge that such additives may be present in gelatin capsules *per se* (see D48 referred to by the appellant), it cannot be excluded that, in view of their function, they may also form part of the powder filled into the capsules. It cannot therefore be concluded that the additives in the patent are necessarily in the shell nor that the capsules of D14 would contain such additives in the shell, in particular as D14 is silent about any additive.

2.2.7 The appellant furthermore argued that, because the additives are expected to promote dissolution and absorption of the active ingredient (see paragraph [0085] of the patent), the capsules of example 4 would be expected to have superior PK profile than the capsule of D14. Since the tablets tested in example 4 were better than the tested capsules, it would be highly plausible that they were also better than the capsules of D14.

This argument is however not convincing because:
- it is based on unsubstantiated assumptions regarding the effect of the additives, and
- it does not appear to be in line with the results provided in D15, D16, D45 and D47, which substantiate that capsules according to D14 and tablets according to the patent have comparable dissolution profiles in acidic medium.

2.2.8 Hence, the results of example 4 of the patent cannot credibly substantiate an improved effect compared to the capsules of D14.

2.2.9 Concerning D47, this document shows the improved dissolution profile of tablets according to the

invention compared to gelatine capsules according to D14 in a medium mimicking the duodenum (FaSSIF; pH 6.5). Independently of the issue raised by the respondent 2 of whether such post-published evidence may be taken into account in the present case, the Board considers that these data are not representative of an oral administration and cannot therefore substantiate a technical effect of the claimed tablets over the capsules of D14, which are both to be administered orally.

In D47 the tablet and the capsule are placed as such, *i.e.* totally undissolved, in the FaSSIF medium. As argued by the appellant, the *in vitro* dissolution data in acidic medium of D15, D16, D45 and D47 (see Figure 1 of D47) are not entirely representative of what happens in the stomach after oral administration. However since those data show that around at least 95% of the tablet and capsule are dissolved after 10 minutes in acidic media, it appears credible that the vast majority of a tablet or a capsule will be dissolved in the stomach upon oral administration. Following oral administration the tablet and the capsule will then not reach the duodenum in an entirely undissolved state. The experiment of D47 in FaSSIF does therefore not appear to be representative of the *in vivo* dissolution/ absorption profile of UPA in the duodenum following its oral administration in the form of a tablet or a capsule.

This particular effect is therefore not relevant for the definition of the problem to be solved.

2.2.10 Finally the considerations made by the appellant on the maintenance of UPA in a dissolved state after initial dissolution in FaSSIF medium due to the specific

excipients of the tablet have not been experimentally substantiated as being an advantage over the capsule. As argued by respondent 2, while the capsule dissolves indeed much slower than the tablet according to Figure 2 of D47, the maximal dissolution of the capsule does not appear to have been reached when the measurement was stopped. The fact that UPA, when released from the capsule, would not remain in a dissolved state has thus not been experimentally substantiated.

2.2.11 Accordingly, the objective technical problem can only be formulated as the provision of an alternative immediate release oral dosage form of UPA.

2.3 Obviousness of the claimed solution

2.3.1 The respondents argue that the claimed tablets would be obvious in light of D4, D17, D42 and D53. These documents disclose immediate release tablet formulations of other steroid contraceptives, namely levonorgestrel (see D4, D17 and D42) and mifepristone (see D53).

2.3.2 The Board observes that particular dosage forms containing excipients having particular effects on the formulation of a given active principle are not necessarily expected to exert the same effect when used to formulate another active principle. However, in the present case, the claimed excipients and their amounts were commonly known, including for immediate release tablets (see e.g. D9: page 860, "Diluents"; pages 860 to 861 "Binders"; page 862, left column "Disintegrants"; page 861, right column "Lubricants"). They had furthermore been successfully applied to the preparation of oral tablets optimized for emergency contraception, and thus immediate release, containing

different structurally closely related active ingredients for the same use (see D4, D17, D42 and D53). In particular the following compositions were disclosed:

(a) a tablet comprising (see Example 2 of D4):
- 1.5 wt% levonorgestrel,
- 90 wt% diluents (lactose monohydrate and microcrystalline cellulose),
- 2.5 wt% binder (polyvinylpyrrolidone, *i.e.* povidone),
- 4.0 wt% croscarmellose sodium, and
- 1.0 wt% magnesium stearate.

(b) a tablet comprising (see Formulation 16 in Example 7 of D17):
- 1.9 wt% levonorgestrel,
- 73.6 wt% diluents (mannitol, xylitol, and microcrystalline cellulose),
- 0 wt% binder,
- 10 wt% croscarmellose sodium, and
- 1.1 wt% lubricants (magnesium stearate and sodium stearyl fumarate) including 0.3 wt% magnesium stearate.

As indicated in the impugned decision (see page 10, last paragraph), the general disclosure of D17 specifies that magnesium stearate may be used in an amounts ranging from 0.1 wt% to 3 wt% (see page 19, first paragraph), suggesting that a higher proportion of magnesium stearate within the lubricants may be used. This formulation was furthermore specifically shown to release 75% of the active ingredient within only 5 minutes, *i.e.* to provide immediate release (see D17, page 43, table 21).

(c) a commercial tablet comprising (see page 1, left column, 1st paragraph, tablet with 1.5 mg levonorgestrel of D42):

- 2.1 wt% levonorgestrel,
- 88.5 wt% diluent (lactose monohydrate and microcrystalline cellulose),
- 3 wt% binder (polyvinylpyrrolidone, *i.e.* povidone),
- 5 wt% croscarmellose sodium, and
- 1 wt% magnesium stearate.

(d) tablets comprising (see page 5, lines 1-15 of D53):
- 20 to 80 wt% mifepristone,
- 10 to 75 wt% of a diluent (10-50 wt% of microcrystalline cellulose and up to 25 wt% of further diluents, *e.g.* lactose, saccharose and mannitol, see paragraph [0020]),
- 2 to 10 wt% of a binder,
- 0.5 to 10 wt% of a disintegrating agent, e.g. croscarmellose (see paragraph [0021]), and
- 0.5 to 5 wt% of a lubricant, e.g. magnesium stearate (see paragraph [0022]).

When applying such formulations to UPA, while using the dose of UPA used in the capsules of D14 (10 mg), the skilled person would have arrived at formulations according to present claim 1.

2.3.3 In this context, the appellant argued that a technical prejudice to formulate UPA existed at the priority date of the patent. According to the appellant, the skilled person having knowledge of UPA's chemical structure could have determined at the priority date its physico-chemical properties, such as logP and solubility, and would thus have recognised that UPA would be difficult to formulate. This was confirmed by the fact that UPA belonged to the Biopharmaceutics Classification System (BCS) class II (see D37). Besides the knowledge on UPA formulation was very limited at the priority date. It would therefore have been impossible to determine an

appropriate formulation "*in abstracto*" without research investigation.

2.3.4 According to established case law of the boards of appeal (see Case Law of the Boards of Appeal, 10th Edition, I.D.10.2), a technical prejudice is a widely held but incorrect opinion of a technical fact which has to be demonstrated with a high standard of proof by the patent proprietor. The existence of such a prejudice is normally demonstrated by reference to the literature or to encyclopedias published before the priority date.

In the present case, the appellant bases its argument on:

(a) the alleged knowledge the skilled person would have gained from the structure of UPA,
(b) a declaration of one of its employee (D37) based *inter alia* on data of 2017 and issued in september 2017, and
(c) the very limited documentation in the art on the formulation of UPA at the priority date.

These means of evidence do not appropriately substantiate that there has been a prevailing but incorrect school of thought widespread throughout the entire technical field at the priority date regarding the formulation of UPA. The fact that the skilled person may have expected UPA to be difficult to formulate cannot as such amount to a technical prejudice against the formulation of UPA. The opinion of one expert issued almost 9 years after the priority date cannot either provide evidence of the alleged technical prejudice. Finally, the limited documentation on the formulation of UPA at the priority date cannot be considered to amount to a technical prejudice.

2.3.5 The appellant further explained that the skilled person would not have applied the formulations developed for levonorgestrel to UPA, since it would have expected UPA and levonorgestrel to have different behaviours in terms of *in vivo* bioavailability and interactions with excipients. Indeed UPA and levonorgestrel would have different solubility and polarizability properties (see D38) as well as different mechanisms of action, which would influence their dosage.

2.3.6 The Board observes that, as argued by the respondents 2 and 3, the presently claimed excipients were successfully applied at the present priority date to different types of steroids, namely levonorgestrel, being an active ingredient of BCS class I *i.e.* with indeed high solubility and high permeability, but also mifepristone, being an active ingredient of BCS class IV *i.e.* with low solubility and low permeability and thus expected to be difficult to formulate. Moreover, as underlined by the respondent 1, the differences in solubility and logP values of levonorgestrel and UPA are not so significant (see D43 and D44) that the skilled person would have considered the compounds as of entirely different nature. The skilled person would therefore not have seen any hindrance in trying to apply the formulations developed for these different steroids (levonorgestrel and mifepristone) to UPA. The fact that UPA has been classified after the present priority date as belonging to BCS class II (see D37), *i.e.* characterised by a low solubility and a high permeability, does not render it *a priori* more difficult to formulate than mifepristone. Finally, as underlined in the impugned decision (see page 9, penultimate paragraph), the pharmacological mechanism of action has no bearing on the choice of type of

dosage form and excipients of a formulation to be administered orally.

2.3.7 The Board therefore considers in the present case that, in the absence of any particular effect linked to the claimed formulation, it would have appeared obvious to the skilled person to try and apply the tablet formulations disclosed for further structurally related steroids having various solubility profiles to UPA in order to solve the problem posed.

2.4 Consequently, granted claim 1 does not comply with the requirements of Article 56 EPC and the ground of opposition under Article 100(a) EPC prejudices the maintenance of the patent.

Auxiliary requests

3. Admittance

Since the auxiliary requests are found not to meet the requirements of the EPC (see below item 4.), no decision on their admittance is required.

4. Inventive step

4.1 The respective claims 1 of auxiliary requests I to V differ from claim 1 of the main request in that:
(i) the amount of binder has been limited to **1** wt% to 10 wt% (auxiliary requests I to V),
(ii) the diluent has been limited to
(ii-a) monosaccharides, **disaccharides**, sugar alcohols and/or hydrates thereof, *i.e.* deletion of cellulose and microcrystalline cellulose (auxiliary requests I and III),

(ii-b) **lactose monohydrate** (auxiliary requests II and V), or

(ii-c) **lactose monohydrate** and/or mannitol (auxiliary request IV)

(iii) the binder has been limited to

(iii-a) **povidone** (auxiliary requests II and V), or

(iii-b) **povidone** and/or HPMC (auxiliary request IV),

and/or

(iv) the amount of UPA has been limited to 30 mg (auxiliary requests II, III, IV and V).

4.2 Features (i) to (iii) are already disclosed in at least D4 and D42 (see features in bold in item 4.1 and disclosure of D4 and D42 as detailed in item 2.3.2, including 2.5 wt% or 3 wt% povidone as binder and lactose monohydrate as a diluent) and no particular effect linked to these features was demonstrated.

In this context, it is observed that the total amount of diluent in the formulations of D4 (90 wt%) and D42 (88.5 wt%) cited above falls within the present claimed range (60 wt% to 95 wt%). As argued by respondent 1, no particular effect linked to the choice of the diluents' amounts was reported. Replacing one of the two diluents of the compositions of D4 and D42 by further lactose monohydrate (*i.e.* the other diluent in said compositions) is thus considered as an obvious option (features (ii-a) to (ii-c)).

The appellant did furthermore not provide any specific argument why these features would overcome the lack of inventive step finding for the main request.

The features (i) to (iii) cannot therefore contribute to the provision of an inventive step.

4.3 Moreover, in the absence of an unexpected technical effect linked thereto, adapting the absolute amount of active ingredient, is considered to form part of routine work for the skilled person. In particular, D14 already teaches that doses of 10 mg and 50 mg of UPA are effective in emergency contraception (see e.g. Abstract). The selection of 30 mg (feature (iv)) is therefore considered arbitrary.

The argument of the appellant that the skilled person would not have considered the pharmaceutical compositions described in D4, D17 and D42 containing 1.5 mg of active ingredient as possible compositions to formulate a much larger amount of UPA is hence not convincing. In particular, D53 confirms that higher amounts of active ingredient can be used with the presently claimed excipients in the claimed relative amounts (see page 5 lines 1-15).

4.4 The same reasoning as the one developed for granted claim 1 does thus apply to claims 1 of auxiliary requests I to V.

4.5 As a result, auxiliary requests I to V do not 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