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Paper 17
Entered: October 16, 2015

UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE PATENT TRIAL AND APPEAL BOARD

LUPIN LIMITED,
Petitioner,

v.

JANSSEN SCIENCES IRELAND UC,
Patent Owner.

Case IPR2015-01030
Patent 8,518,987 B2

Before JACQUELINE WRIGHT BONILLA, GRACE KARAFFA OBERMANN, and CHRISTOPHER G. PAULRAJ, *Administrative Patent Judges.*

BONILLA, *Administrative Patent Judge*.

DECISION
Denying Institution of *Inter Partes* Review
37 C.F.R. § 42.108

I. INTRODUCTION

Petitioner Lupin Limited ("Petitioner") filed a Petition requesting *inter partes* review of claims 1–19 of U.S. Patent No. 8,518,987 B2 (Ex. 1001, "the '987 patent"). Paper 1 ("Pet."). Janssen Sciences Ireland UC ("Patent Owner") filed a Preliminary Response. Paper 9 ("Prelim. Resp."). We have jurisdiction under 35 U.S.C. § 314(a), which provides that an *inter partes* review may not be instituted "unless . . . there is a reasonable likelihood that the petitioner would prevail with respect to at least 1 of the claims challenged in the petition."

Upon consideration of the Petition and the Preliminary Response, and for the reasons explained below, we determine that Petitioner has not established a reasonable likelihood that it would prevail in showing the unpatentability of any claim challenged in the Petition. Accordingly, we decline to institute an *inter partes* review.

A. Related Proceedings

The parties identify the following as related district court proceedings regarding the '987 patent: *Janssen Prods., L.P. v. Lupin Ltd.*, C.A. No. 14-1370 (D.N.J.), now terminated and consolidated with *Janssen Products, L.P. v. Lupin Ltd.*, C.A. No. 13-3891 (D.N.J.) (stayed pending appeal of Fed. Cir. case involving related U.S. Pat. No. 7,700,645 B2); *Janssen Prods., L.P. v. Teva Pharm. USA, Inc.*, C.A. No. 13-7576 (D.N.J.) (terminated); *Janssen Prods., L.P. v. Cipla Ltd.*, C.A. No. 14-1056 (D. Del.) (terminated); *Janssen Prods., L.P. v. Cipla Ltd.*, C.A. No. 14-5093 (D.N.J.) (dismissed); *Janssen Prods., L.P. v. Cipla Ltd.*, C.A. No. 15-0307 (D. Del.) (terminated); and *Janssen Prods., L.P. v. Cipla Ltd.*, C.A. No. 15-2549 (D.N.J.) (dismissed). Pet. 5–6; Paper 6, 2–3; Paper 8, 2–4.

B. The '987 Patent

The '987 patent is directed to pseudopolymorphic forms (also called pseudopolymorphs) of an HIV protease inhibitor having a particular chemical structure of Formula (X), also known generally as darunavir. Ex. 1001, 1:17–58, 2:60–3:4; Pet. 1. The specification states that "it was unexpectedly found that certain modifications of the solid state of compound of formula (X) positively influenced its applicability in pharmaceutical formulations," such that pseudopolymorphic forms contributed to improved stability and bioavailability. Ex. 1001, 2:51–64.

The specification states that relevant pseudopolymorphs include alcohol solvates, hydrate solvates, alkane solvates, ketone solvates, and other solvates, where preferred pseudopolymorphs include the hydrate and ethanolate solvates. *Id*. at 3:5–21; 5:10–47. The term "pseudopolymorph" refers "to polymorphic crystalline forms that have solvent molecules incorporated in their lattice structures." *Id*. at 4:67–5:2. The specification states that "'hydrates' are substances that are formed by adding water molecules." *Id*. at 4:59–62. In addition, a "solvate" is "a crystal form that contains either stoichiometric or non-stoichiometric amounts of solvent," and because "water is a solvent, solvates also include hydrates." *Id*. at 4:64–67. An "anhydrous form" is "a particular form essentially free of water." *Id*. at 4:58–59.

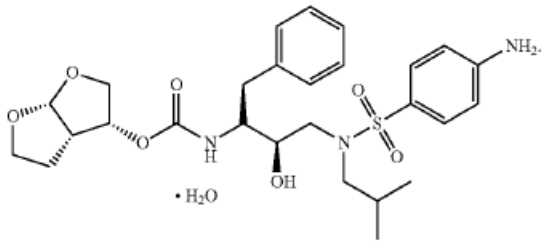
The specification discloses processes for the crystallization of pseudopolymorphs of compound of formula (X). *Id*. at 11:56–14:39, 16:15–58. The specification describes example pseudopolymorphs that include Form A (ethanolate) and Form B (hydrate) of the compound of formula (X), among other crystalline forms. *Id*. at 5:45–54. The specification teaches

that "[s]olvent content of the crystal may vary in different ratios depending on the conditions applied," and "the solvent may range between (5:1) and (1:5)," or in particular "from about 0.2 to about 3 molecules of solvent per 1 molecule of compound of formula (X)," where "preferably the ratio is 1 molecule of solvent per 1 molecule of compound of formula (X)." *Id*. at 5:55–6:2. In Example 4, Table 10, the specification discloses chemical information for different forms, including Forms A and B, that are "Hemi-solvate," "Mono-solvate," "Di-solvate," and "Tri-solvate." *Id*. at 17:55–18:11.

C. Illustrative Claims

The '987 patent contains nineteen claims. Independent claim 1 and dependent claims 2 and 19 are representative, and reproduced below.

> 1. A hydrate of the compound (3R,3aS,6aR)-hexahydrofuro [2,3-b]furan-3-yl (1S,2R)-3-[[(4-aminophenyl) sulfonyl] (isobutyl)amino]-1-benzyl-2-hydroxypropylcarbamate in which the ratio of the compound to water is about 1:0.5 to about 1:3.
>
> 2. A hydrate having the formula:



> 19. The composition of claim 3 further comprising amorphous (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl (1S,2R)-3-[[(4-aminophenyl)sulfonyl](isobutyl)amino]-1-benzyl-2-hydroxypropylcarbamate.

Independent claim 3, upon which claim 19 (and other dependent claims) depend, is similar to claim 1, but further recites an inert carrier.

D. Proposed Grounds of Unpatentability

Petitioner advances three grounds of unpatentability under 35 U.S.C. § 102 or § 103 in relation to all challenged claims in the '987 patent (Pet. 7):

Reference[s]	Statutory Basis	Challenged Claims
Ghosh 1998 (Ex. 1002)[1]	§ 102	1–19
The '775 patent (Ex. 1003)[2]	§ 102	1–19
Ghosh 1998 (Ex. 1002) and the '775 patent (Ex. 1003) in view of Byrn (Ex. 1004),[3] Desiraju (Ex. 1005),[4] and knowledge of one of ordinary skill in the art	§ 103	1–19

In addition, Petitioner supports its challenges in the Petition with Declarations of Terence L. Threlfall, Ph.D. ("Threlfall Decl.") (Ex. 1025), Keith B. Leffler, Ph.D. ("Leffler Decl.") (Ex. 1062), Frederick J. Northrup, Ph.D. ("Northrup Decl.") (Ex. 1069), and Aristotle G. Kalivretenos, Ph.D. ("Kalivretenos Decl.") (Ex. 1082). Pet. 8.

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[1] Ghosh et al., *Potent HIV Protease Inhibitors Incorporating High-Affinity P_2-Ligands and (R)-(Hydroxyethylamino)sulfonamide Isostere*, 8 BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 687 (1998) ("Ghosh 1998") (Ex. 1002).

[2] Vazquez et al., U.S. Patent No. 6,248,775 B1, filed Apr. 8, 1999, issued Jun. 19, 2001 ("the '775 patent") (Ex. 1003).

[3] Byrn et al., *Pharmaceutical Solids: A Strategic Approach to Regulatory Considerations*, 12 PHARMACEUTICAL RES. 945 (1995) ("Byrn") (Ex. 1004).

[4] Desiraju, *Hydration in Organic Crystals: Prediction from Molecular Structure*, 6 J. CHEM. SOC'Y CHEM. COMM. 426 (1991) ("Desiraju") (Ex. 1005).

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