IN THE UNITED STATES DISTRICT COURT

FOR THE DISTRICT OF DELAWARE

FOREST LABORATORIES LLC and FOREST LABORATORIES HOLDINGS LTD.,	)	
Plaintiffs,	)	
v.	)	Civ. No. 14-1119-SLR-SRF
SIGMAPHARM LABORATORIES LLC, HIKMA PHARMACEUTICALS LLC, HIKMA PHARMACEUTICALS PLC, WEST-WARD PHARMACEUTICALS CORP., BRECKENRIDGE PHARMACEUTICAL INC., ALEMBIC PHARMACEUTICALS LTD., ALEMBIC GLOBAL HOLDING SA, ALEMBIC PHARMACEUTICALS INC., and AMNEAL PHARMACEUTICALS LLC,	)	
Defendants.	)	

MEMORANDUM ORDER

At Wilmington this 14th day of January, 2016, having heard argument on, and having reviewed the papers submitted in connection with, the parties' proposed claim construction;

IT IS ORDERED that the disputed claim language of U.S. Patent Nos. 5,763,476, (the '476 patent), 7,741,358 (the '358 patent), and 8,022,228 (the '228 patent) shall be construed consistent with the tenets of claim construction set forth by the United States Court of Appeals for the Federal Circuit in *Phillips v. AWH Corp.*, 415 F.3d 1303 (Fed. Cir. 2005), as follows:

The '476 Patent

1. **"Tension, excitation, anxiety, and psychotic and schizophrenic disorders:"**[1] "The preamble is a limitation, and does not include bipolar disorder, including manic or mixed episodes associated with bipolar I disorder." The word "bipolar" is not found in the claims or specification.[2] Nonetheless, bipolar disorder was known at the time, evidenced by applicants' separate patent application (No. 11/247,342) for the treatment of bipolar disorder with asenapine, specifying a "new therapeutic use[] for ...asenapine, that [is] different from the [c]ertain treatments ...disclosed in U.S. Patent No. 4,145,434 and 5,763,476." (D.I. 58, ex. 5, U.S. App. No. 11/247,342 at 1-2). Moreover, the examiner recognized that the '476 patent did not include treatment of bipolar disorder, stating "Delbressine et al. [the '476 patent] do[es] not however teach the use of asenapine for treating bipolar disorder or its symptoms or the use of asenapine for stabilizing mood." (D.I. 58, ex. 6 at. 9) Finally, relying on definitions from the same medical diagnostic manual as plaintiffs' expert, namely the DSM-IV, defendants' expert, Dr. Frazer, differentiates bipolar disorder as a separate disorder from the psychotic and schizophrenic disorders disclosed in the '476 patent. Bipolar disorder is characterized as a "mood disorder," separate and apart from schizophrenia and psychotic disorders. (D.I. 58, ex. 1 at ¶¶ 24-27)

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[1] Found in claim 4.

[2] There is no mention of "bipolar" as related to the disease. The specification does include the phrase "bipolar limb leads," referring to an electrocardiographic conductor used in testing a dog under anesthesia. (4:63-64)

2. **"Administering sublingually or buccally:"**[3] "Administering beneath the tongue or adjacent to or in the direction of the cheek." The parties agree that, consistent with the plain and ordinary meaning according to one of ordinary skill in the art, "sublingually or buccally" indicates administering or inserting the dosage form under the tongue or in the direction of the cheek/buccal pouch. (D.I. 53 at 11; D.I. 58 at 6) A construction requiring an "aqueous solution" or "rapidly disintegrates" is improper under the doctrine of claim differentiation. Claim 9, which depends from claim 4, explicitly recites "a solid pharmaceutical composition which rapidly disintegrates in the mouth of a subject upon insertion into the buccal pouch or upon placement under the tongue." (6:40-42) There is no basis to read such limitations into claim 4. *Versa Corp. v. Ag–Bag Int'l Ltd.*, 392 F.3d 1325, 1330 (Fed. Cir. 2004) ("The doctrine of claim differentiation 'create[s] a presumption that each claim in a patent has a different scope.'") (citation omitted); *N. Am. Vaccine, Inc. v. Am. Cyanamid Co.*, 7 F.3d 1571, 1577 (Fed. Cir. 1993) ("The dependent claim tail cannot wag the independent claim dog."). Additionally, a construction encompassing an "aqueous solution" would limit claim 4 to the preferred embodiment in the specification. *Falana v. Kent State Univ.*, 669 F.3d 1349, 1355 (Fed. Cir. 2012) (quoting *Teleflex, Inc. v. Ficosa N. Am. Corp.*, 299 F.3d 1313, 1327-28 (Fed. Cir. 2002) ("[T]his court has 'cautioned against limiting the claimed invention to preferred embodiments or specific examples in the specification.'")); *Philips Elecs. N. Am. Corp. v. Contec Corp.*, 312 F. Supp. 2d 592, 599 (D. Del. 2004) ("[I]t is well settled that limitations from the preferred embodiment cannot be read into the claims.").

[3] Found in claims 4 and 13.

3. **"A solid pharmaceutical composition which rapidly disintegrates:"**[4] "A solid pharmaceutical composition which disintegrates within 30 seconds in water at 37° C as measured according to the procedure described in either Remington's Pharmaceutical Sciences, 18th Edition (Ed. A. R. Genaro), 1990, pp. 1640-1641 or US Pharmacopeia, Chapter <701>." The specification provides an express definition of the term "rapid disintegration" as follows: "[r]apid disintegration means that the pharmaceutical composition is disintegrated within 30 seconds in water at 37° C., and preferably within 10 seconds, as measured according to the procedure described in Remington's Pharmaceutical Sciences, 18th Edition (Ed. A. R. Genaro). 1990. pp 1640-1641; see also US Pharmacopeia, Chapter <701>." (1:59-65) Because the specification provides an express definition, that definition will be adopted. *Phillips*, 415 F.3d at 1316.

The '358 Patent

4. **"Orthorhombic"/"Orthorhombic crystalline form"**[5]**/"Orthorhombic crystal form"**[6] "Asenapine maleate crystalline form characterized by at least one of the following: the XRPD pattern at Fig. 1 (lower pattern), the Raman spectra at Fig. 2 (lower spectrum), a melting point in the range of 138-142° C, the unit cell as reported in Table 1A and the atomic positions as reported in Table 1B." Plaintiffs proffer the following construction: "a crystalline form of asenapine maleate, distinguishable from the monoclinic form, that can be characterized by several analytical techniques known

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[4] Found in claim 9.

[5] Found in claim 1.

[6] Found in claim 1 of the '228 patent which shares a specification with the '358 patent. All references in this paragraph are to the '358 patent.

in the art such as Infrared Spectroscopy, Raman Spectroscopy, Solid State Nuclear Magnetic Resonance Spectroscopy, Differential Scanning Calorimetry, X-ray powder diffraction patterns (XPRD) and many others. Such techniques may be applied individually or in combination." However, a construction that merely characterizes a substance by listing techniques which could be used to characterize it, without any information concerning what findings would confirm the presence of the orthorhombic crystal form, does not sufficiently define it. Ultimately, plaintiffs' proposed construction would include any asenapine maleate polymorph that was not the monoclinic form, failing to limit the substance to the particular orthorhombic crystal form that is the purported invention of the '228 and '358 patents. (D.I. 88, ex. 3 at 113:20-21) While the specification is clear that the orthorhombic crystal form is "characterized, and thus distinguished from the monoclinic form, by several analytical techniques known in the art" (3:19-22), the specification continues to identify particular results, using a number of different techniques that further characterize the claimed polymorph. (3:27-5:14) Consistent with the court's construction as set forth above, the specification recites that "techniques may be applied individually or in combination" (3:25-26) as follows: "the orthorhombic form is characterized by" listed peaks on an XRPD pattern (3:36-40); crystallographic data of the orthorhombic form "are shown in Tables 1a and 1b" (3:51-52); "the crystalline asenapine maleate prepared according to the invention . . .has a melting point in the range of 138-142° C" (3:16-18); and "the orthorhombic form is characterized by" listed Raman spectra peaks (5:7-12).

5. **"Isolated in a form which contains at least about 90 wt. % of the orthorhombic crystalline form:"**[7] "At least about 90 wt. % of the asenapine maleate is in the orthorhombic crystal form." The specification recites that "[t]he present invention provides an orthorhombic form of asenapine maleate, which through the use of a special crystallization technique, can be prepared in a highly pure form" (2:53-55), indicating that the basis of the invention is the ability to manufacture and consistently formulate a substance that is at least about 90 wt.% pure. Moreover, the specification is devoid of any indication that the "highly pure form" of orthorhombic asenapine maleate can be mingled with an unlimited amount of non-crystalline asenapine maleate and remain pure.

Additionally, claim 1 recites an **isolated** form of orthorhombic crystalline material. (1:4-5) (emphasis added) The specification refers to the term "isolated" when describing the product of a re-crystallization experiment as follows: "[a]senapine maleate was isolated by filtration and washed with a 1:1 mixture of acetone and heptanes (30 kg) cooled to 10° C. The material was thereafter dried. The yield was 90-96%. XRPD analysis showed that >95% orthorhombic form was obtained." (9:44-48) Notably, the specification indicates that "asenapine maleate" was isolated, rather than "crystalline" asenapine maleate. This finding of >95% purity is indicative of the amount of orthorhombic crystals compared to the yield of asenapine maleate.[8]

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[7] Found in claim 1.

[8] During the claim construction hearing, defendants argued that plaintiffs' construction could lead to a composition of 10 mg of asenapine maleate that fits within the definition of the term, but comprises a ratio of 50% orthorhombic, 45% non-crystalline, and 5% monoclinic. While this composition fits within the definition, the composition is not the same product contemplated by the patent. In other words, plaintiffs' construction is only

The '228 Patent

6. **"The compound trans-5-chloro-2,3,3a,12btetrahydro-2-methyl-1Hdibenz[2,3:6,7]oxepino[4,5-c]pyrrole(Z)-2-butenedioate" and "microcrystalline:"**[9] "the compound asenapine maleate wherein the compound comprises particles having a size distribution characterized by a d95 of 30 μm or less and is in the orthorhombic crystal form." The specification provides that "[t]he present invention provides an orthorhombic form of asenapine maleate, which through the use of a special crystallization technique, can be prepared in a highly pure form." (2:65-67) Furthermore, "one aspect of the invention provides an orthorhombic crystalline form of asenapine maleate, which contains 10% or less of another crystalline form, 5% or less of another crystalline form, or no detectable amount of another crystalline form, respectively. (3:8-13) Finally, "[a]nother aspect of the invention provides an orthorhombic crystalline form of asenapine maleate that is microcrystalline. Here the term 'microcrystalline' means that the form comprises particles having a size distribution characterized by a d95 of30 μm or less." (3:13-17)

7. The court has provided a construction in quotes for the claim limitations at issue. The parties are expected to present the claim construction to the jury consistently with any explanation or clarification herein provided by the court, even if such language is not included within the quotes.

United States District Judge

valid in the narrow circumstance that the orthorhombic crystalline form is composed of pure crystal.

[9] Found in claim 1.