

UNITED STATES PATENT AND TRADEMARK OFFICE

---

BEFORE THE PATENT TRIAL AND APPEAL BOARD

---

APOTEX INC.,  
Petitioner,

v.

MERCK SHARP & DOHME CORP.,  
Patent Owner.

---

Case IPR2015-00419  
Patent 5,691,336

---

Before LORA M. GREEN, ZHENYU YANG, and  
ROBERT A. POLLOCK, *Administrative Patent Judges*.

YANG, *Administrative Patent Judge*.

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

## INTRODUCTION

Apotex Inc. (“Petitioner”) filed a Petition for an *inter partes* review of claims 1, 3–8, and 10–25 of U.S. Patent No. 5,691,336 (“the ’336 patent,” Ex. 1001). Paper 1 (“Pet.”). Merck Sharp & Dohme Corp. (“Patent Owner”) timely filed a Preliminary Response. Paper 13 (“Prelim. Resp.”). We have jurisdiction under 35 U.S.C. § 314.

For the reasons provided below, we determine Petitioner has not established a reasonable likelihood that it would prevail in showing the unpatentability of at least one challenged claim. *See* 35 U.S.C. § 314(a). Therefore, we deny the Petition for an *inter partes* review.

### *Related Proceedings*

According to the parties, Patent Owner previously asserted the ’336 patent against several entities, but not Petitioner, in district court proceedings. Pet. 1, 2; Paper 9, 1–2.

### *The ’336 Patent*

The ’336 patent is directed to a genus of tachykinin receptor antagonists useful in treating inflammatory diseases, pain or migraine, asthma, and emesis. Ex. 1001, 5:15–39. The compounds are prodrugs of their parent compounds. *Id.* at 12:26–27.

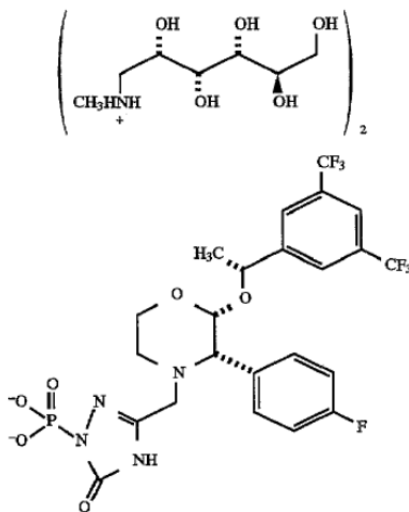
According to the ’336 patent,

Prodrugs are entities structurally related to a[] biologically active substance (the “parent drug”)[,] which, after administration, release the parent drug in vivo as the result of some metabolic process, such as enzymatic or chemical

hydrolysis of a carboxylic, phosphoric or sulfate ester or reduction or oxidation of a susceptible functionality.

*Id.* at 12:38–43. “[T]he activity exhibited upon administration of the prodrug is principally due to the presence of the parent compound that results from cleavage of the prodrug.” *Id.* at 12:31–34. Compared with their parent compounds, the prodrugs of the ’336 patent have enhanced solubility. *Id.* at 12:27–29, 13:9–12.

The ’336 patent discloses 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(1-phosphoryl-5-oxo-4H-1,2,4-triazolo)methylmorpholine as “a particularly preferred compound” within the scope of its invention. *Id.* at 43:19–23. Today this compound is referred to as fosaprepitant. Pet. 5. The ’336 patent also discloses 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)phenyl-4-(3-(1-phosphoryl-5-oxo-4H-1,2,4-triazolo)methylmorpholine, bis(N-methyl-D-glucamine) as “a specific particularly preferred compound” within the scope of its invention. *Id.* at 43:23–27. It has the structure:



*Id.* at 159:23–45. Today this compound is referred to as fosaprepitant dimeglumine, which is the active ingredient in Patent Owner’s FDA-approved product, Emend<sup>®</sup> for Injection. Prelim. Resp. 1.

Among the challenged claims, claims 15, 16, 18, and 19 are directed to the compound fosaprepitant dimeglumine; and claim 23 is directed to a pharmaceutical composition comprising fosaprepitant dimeglumine. The other claims are broader in scope, but each encompasses fosaprepitant dimeglumine, the composition thereof, or the use thereof.

*Asserted Grounds of Unpatentability*

Petitioner asserts the following grounds of unpatentability:

<b>Claims</b>	<b>Basis</b>	<b>References</b>
1, 3–8, and 10–25	§ 103	Dorn ’699 <sup>1</sup> and Murdock ’082 <sup>2</sup>
1, 3–8, and 10–25	§ 103	Dorn ’699, Murdock ’082, Atanassova, <sup>3</sup> and Van Den Bos <sup>4</sup>
12, 15, 16, 18, 19, and 23	§ 103	Dorn ’699, Murdock ’082, Atanassova, Van Den Bos, Sommer, <sup>5</sup> Veronesi, <sup>6</sup> and Chromy <sup>7</sup>

<sup>1</sup> Dorn et al., U.S. Patent No. 5,637,699, issued June 10, 1997 (Ex. 1003, “Dorn ’699”).

<sup>2</sup> Murdock et al., U.S. Patent No. 5,070,082, issued December 3, 1991 (Ex. 1004, “Murdock ’082”).

<sup>3</sup> Atanassova, T. et al., *Synthesis of N-substituted derivatives of 2-imidazolidinone*, 46 PHARMAZIE 670–71 (1991) (Ex. 1007, “Atanassova”).

<sup>4</sup> Van Den Bos et al., U.S. Patent No. 3,661,926, issued May 9, 1972 (Ex. 1006, “Van Den Bos”).

<sup>5</sup> Sommer, F.G., et al., *Pain Accompanying Leg Venography: A Comparison of Sodium and Methylglucamine Diatrizoates*, 133 RADIOLOGY 790–91 (1979) (Ex. 1017, “Sommer”).

According to Petitioner, Dorn '699 is prior art under 35 U.S.C. § 102(e) because it has an effective filing date of at least December 17, 1993, before the priority date of the challenged claims.<sup>8</sup> Pet. 32. Petitioner asserts that the other references are prior art under 35 U.S.C. § 102(b). *Id.* at 33, 48, 53.

In support of its patentability challenge, Petitioner relies on the Declaration of Dr. Longqin Hu. Ex. 1002.

## ANALYSIS

### *Claim Construction*

In an *inter partes* review, the Board interprets a claim term in an unexpired patent according to its broadest reasonable construction in light of the specification of the patent in which it appears. 37 C.F.R. § 42.100(b); *In re Cuozzo Speed Techs., LLC*, 778 F.3d 1271, 1278–81 (Fed. Cir. 2015). Under that standard, and absent any special definitions, we assign claim terms their ordinary and customary meaning, as would be understood by one of ordinary skill in the art at the time of the invention, in the context of the

---

<sup>6</sup> Veronesi, U.S. Patent No. 4,748,174, issued May 31, 1988 (Ex. 1022, “Veronesi”).

<sup>7</sup> Chromy, V., et al., *D(-)-N-Methylglucamine Buffer for pH 8.5 to 10.5*, 24 CLIN. CHEM. 379–81 (1978) (Ex. 1018, “Chromy”).

<sup>8</sup> The earliest possible priority date of the '336 patent is March 4, 1994. Ex. 1001, 1:9–10. For purposes of its Preliminary Response, Patent Owner asserts February 28, 1995 as the priority date. Prelim. Resp. 9–10 & n.2.

# Explore Litigation Insights

Docket Alarm provides insights to develop a more informed litigation strategy and the peace of mind of knowing you're on top of things.

## Real-Time Litigation Alerts



Keep your litigation team up-to-date with **real-time alerts** and advanced team management tools built for the enterprise, all while greatly reducing PACER spend.

Our comprehensive service means we can handle Federal, State, and Administrative courts across the country.

## Advanced Docket Research



With over 230 million records, Docket Alarm's cloud-native docket research platform finds what other services can't. Coverage includes Federal, State, plus PTAB, TTAB, ITC and NLRB decisions, all in one place.

Identify arguments that have been successful in the past with full text, pinpoint searching. Link to case law cited within any court document via Fastcase.

## Analytics At Your Fingertips



Learn what happened the last time a particular judge, opposing counsel or company faced cases similar to yours.

Advanced out-of-the-box PTAB and TTAB analytics are always at your fingertips.

## API

Docket Alarm offers a powerful API (application programming interface) to developers that want to integrate case filings into their apps.

## LAW FIRMS

Build custom dashboards for your attorneys and clients with live data direct from the court.

Automate many repetitive legal tasks like conflict checks, document management, and marketing.

## FINANCIAL INSTITUTIONS

Litigation and bankruptcy checks for companies and debtors.

## E-DISCOVERY AND LEGAL VENDORS

Sync your system to PACER to automate legal marketing.