

Patent No. 8,791,154  
Petition For *Inter Partes* Review

UNITED STATES PATENT AND TRADEMARK OFFICE

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BEFORE THE PATENT TRIAL AND APPEAL BOARD

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ARGENTUM PHARMACEUTICALS LLC

Petitioner

v.

ALCON RESEARCH, LTD

Patent Owner

Patent No. 8,791,154

Issue Date: July 29, 2014

Title: HIGH CONCENTRATION OLOPATADINE  
OPHTHALMIC COMPOSITION

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*Inter Partes* Review No. 2016-00544

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**PETITION FOR *INTER PARTES* REVIEW  
UNDER 35 U.S.C. §§ 311-319 AND 37 C.F.R. § 42.100 *ET SEQ.***

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**TABLE OF EXHIBITS**

| <b>Ex #</b> | <b>Exhibit</b>   |
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| <b>1001</b> | U.S. Patent No. 8,791,154 B2 (“154 Patent”)  |
| <b>1002</b> | Declaration of Dr. Erning Xia  |
| <b>1003</b> | Declaration of Dr. Leonard Bielory   |
| <b>1004</b> | WO 2008/015695 A2 (“Bhowmick”)   |
| <b>1005</b> | YANNI <i>et al.</i> , “The <i>In Vitro</i> and <i>In Vivo</i> Ocular Pharmacology of Olopatadine (AL-4943A), an Effective Anti-Allergic/Antihistaminic Agent,” <i>Journal of Ocular Pharmacology and Therapeutics</i> , Volume 12, Number 4, 1996, pp. 389-400 (“Yanni”) |
| <b>1006</b> | U.S. Pat. No. 6,995,186 B2 (“Castillo”)  |
| <b>1007</b> | U.S. Pat. Pub. No. 2011/0082145 A1 (“Schneider”)   |
| <b>1008</b> | U.S. Pat. No. 5,641,805 B2 (“Hayakawa”)  |
| <b>1009</b> | Image File Wrapper for U.S. Patent No. 8,791,154 B2  |
| <b>1010</b> | Image File Wrapper for U.S. Provisional Appl. No. 61/487,789   |
| <b>1011</b> | Image File Wrapper for U.S. Provisional Appl. No. 61/548,957   |
| <b>1012</b> | WO 1999/018963 (“Lisi”)  |
| <b>1013</b> | WO 2002/024116 A1 (“Shahinian”)  |
| <b>1014</b> | LOFTSSON <i>et al.</i> , “Cyclodextrins in eye drop formulations: enhanced topical delivery of corticosteroids to the eye,” <i>Acta Ophthalmologica Scandinavica</i> , 2002, pp. 144-150.  |
| <b>1015</b> | NANDI <i>et al.</i> , “Synergistic Effect of PEG-400 and Cyclodextrin to Enhance Solubility of Progesterone,” <i>AAPS PharmSciTech</i> 2003; 4 (1), pp 1-5.  |
| <b>1016</b> | WO 2011/138801 A1 (“Khopade”)  |
| <b>1017</b> | JANSOOK <i>et al.</i> , “CDs as solubilizers: Effects of excipients and competing drugs,” <i>International Journal of Pharmaceutics</i> , 379, 2009, pp. 32-40.  |
| <b>1018</b> | HARADA, A., “Preparation and structures of supramolecules between cyclodextrins and polymers,” <i>Coordination Chemistry Reviews</i> , 148, 1996, pp. 115-133.   |

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| <b>1019</b> | WO 2012/159064A1 (“Gamache”)   |
| <b>1020</b> | EP 0 799 044 B1 (“Yanni III”)  |
| <b>1021</b> | WO 2001/054687 A1 (“Yanni II”)   |
| <b>1022</b> | WO 2009/003199 A1 (“Pipkin”)   |
| <b>1023</b> | WO 2000/78396 A2 (“Graff”)   |
| <b>1024</b> | Curriculum Vitae for Dr. Erning Xia  |
| <b>1025</b> | Curriculum Vitae for Dr. Leonard Bielory   |
| <b>1026</b> | U.S. Pat. No. 7,687,646 B2 (“Bader”)   |
| <b>1027</b> | U.S. Pat. No. 4,871,865 (“Lever”)  |
| <b>1028</b> | U.S. Pat. No. 5,116,863 (“Oshima”)   |
| <b>1029</b> | EP 0214779 B1 (“Lever”)  |
| <b>1030</b> | Alcon Research, Ltd. v. Apotex Inc., 687 F.3d 1362 (Fed. Cir. 2012)  |
| <b>1031</b> | Alcon Research, Ltd. v. Apotex Inc., 790 F. Supp. 2d 868 (S.D. Ind. 2011)  |
| <b>1032</b> | EP 0 235 796 B2 (“Oshima”)   |
| <b>1033</b> | ABELSON <i>et al.</i> , “Combined Analysis of Two Studies Using the Conjunctival Allergen Challenge Model to Evaluate Olopatadine Hydrochloride, a New Ophthalmic Antiallergic Agent With Dual Activity,” <i>American Journal of Ophthalmology</i> , Volume 126, No. 6, pp. 797-804. |
| <b>1034</b> | WO 2004/024126 A1 (“Thompson”)   |
| <b>1035</b> | CHAUDHARI <i>et al.</i> , “Solubility enhancement of hydrophobic drugs using synergistically interacting cyclodextrins and cosolvent,” <i>Current Science</i> , 1586 Vol. 92, No. 11, 10 June 2007; pp. 1586-1591.   |
| <b>1036</b> | ANSEL, Howard C., <i>Pharmaceutical Calculations</i> , 13 <sup>th</sup> Ed., Wolters Kluwer, 2010, pp. 82-83   |
| <b>1037</b> | PATANOL® Label   |
| <b>1038</b> | PATADAY® Label   |
| <b>1039</b> | PATANASE® Label  |
| <b>1040</b> | GENNARO, Alfonso R., <i>Remington: The Science and Practice of</i>   |

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