

UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE PATENT TRIAL AND APPEAL BOARD

MYLAN PHARMACEUTICALS INC.,
Petitioner,

v.

ASTRAZENECA AB,
Patent Owner.

Case IPR2015-01340
Patent RE44,186

PATENT OWNER ASTRAZENECA AB'S EXHIBIT LIST
(as of June 27, 2016)

Exhibit	Description	Status
Exhibit 2001	Doreen M. Ashworth et al., <i>4-Cyanothiazolidides as Very Potent, Stable Inhibitors of Dipeptidyl Peptidase IV</i> , 6 BIOORG. & MED. CHEM. LETT. 2745 (1996)	Served and Filed
Exhibit 2002	David R. Magnin et al., <i>Synthesis of Novel Potent Dipeptidyl Peptidase IV Inhibitors with Enhanced Chemical Stability: Interplay Between the N-Terminal Amino Acid Alkyl Side Chain and the Cyclopropyl Group of α-Aminoacyl-L-cis-4,5-methanoproline nitrile-Based Inhibitors</i> , 47 J. MED. CHEM. 2587 (2004)	Served and Filed
Exhibit 2003	Jeffrey A. Robl & Lawrence G. Hamann, <i>The Discovery of the Dipeptidyl Peptidase-4 (DPP4) Inhibitor Onglyza™: From Concept to Market</i> , in ACCOUNTS IN DRUG DISCOVERY: CASE STUDIES IN MEDICINAL CHEMISTRY 1 (Joel C. Barrish et al. eds., 2011)	Served and Filed
Exhibit 2004	ASTRAZENECA ANNUAL REPORT AND FORM 20-F INFORMATION 2014, available at www.astrazeneca.com/annualreport2014	Served and Filed
Exhibit 2005	Jens J. Holst & Carolyn F. Deacon, <i>Inhibition of the Activity of Dipeptidyl-Peptidase IV as a Treatment for Type 2 Diabetes</i> , 47 DIABETES 1663 (1998)	Served and Filed
Exhibit 2006	Kathleen Aertgeerts et al., <i>Crystal Structure of Human Dipeptidyl Peptidase IV in Complex with a Decapeptide Reveals Details on Substrate Specificity and Tetrahedral intermediate Formation</i> , 13 PROTEIN SCI. 412 (2004)	Served and Filed
Exhibit 2007	K. Augustyns et al., <i>The Unique Properties of Dipeptidyl- Peptidase IV (DPP IV / CD26) and the Therapeutic Potential of DPP IV Inhibitors</i> , 6 CURR. MED. CHEM. 311 (1999)	Served and Filed
Exhibit 2008	George R. Flentke et al., <i>Inhibition of Dipeptidyl Aminopeptidase IV (DP-IV) by Xaa-boroProdiptides and Use of These Inhibitors to Examine the Role of DP-IV in T-cell Function</i> , 88	Served and Filed

Exhibit	Description	Status
	PROC. NAT'L ACAD. SCI. 1556 (1991)	
Exhibit 2009	Robert P. Pauly et al., <i>Improved Glucose Tolerance in Rats Treated With the Dipeptidyl Peptidase IV (CD26) Inhibitor Ile- Thiazolidide</i> , 48 METABOLISM 385 (1999)	Served and Filed
Exhibit 2010	Hans-U Demuth et al., Abstract, <i>Single Dose Treatment of Diabetic Patients by the DP IV Inhibitor P32/98</i> , 49 DIABETES 413-P (2000)	Served and Filed
Exhibit 2011	U.S. Patent No. 5,939,560	Served and Filed
Exhibit 2012	Paul Rothenberg et al., Abstract, <i>Treatment with a DPP-IV Inhibitor, NVP-DPP728, Increases Prandial Intact GLP-1 Levels and Reduced Glucose Exposure in Humans</i> , 49 DIABETES 160-OR (2000)	Served and Filed
Exhibit 2013	U.S. Patent No. 6,166,063	Served and Filed
Exhibit 2014	Ligaya M. Simpkins et al., <i>Potent Non-Nitrile Dipeptidic Dipeptidyl Peptidase IV Inhibitors</i> , 17 BIOORG. & MED. CHEM. LETT. 6476 (2007)	Served and Filed
Exhibit 2015	<i>Pioneer and Analogue Drugs</i> , in ANALOGUE-BASED DRUG DISCOVERY III 3 (János Fischer et al. eds., 2013)	Served and Filed
Exhibit 2016	Thomas E. Hughes et al., <i>NVP-DPP728: (1-[[[2-[(5- Cyanopyridin-2-yl)amino]ethyl]amino]acetyl]-2-cyano-(S)- pyrrolidine), a Slow-Binding Inhibitor of Dipeptidyl Peptidase IV</i> , 38 BIOCHEM. 11597 (1999)	Served and Filed
Exhibit 2017	Coralie Nguyen et al., <i>Specific and Irreversible Cyclopeptide Inhibitors of Dipeptidyl Peptidase IV Activity of the T-Cell Activation Antigen CD26</i> , 41 J. MED. CHEM. 2100 (1998)	Served and Filed
Exhibit 2018	Aiying Wang et al., <i>Potency, Selectivity and Prolonged Binding of Saxagliptin to DPP4: Maintenance of DPP4 Inhibition by Saxagliptin In Vitro and Ex Vivo When Compared to a Rapidly- Dissociating DPP4 Inhibitor</i> , 12 BMC PHARM. 1 (2012)	Served and Filed
Exhibit 2019	Defendants Joint Initial Invalidity Contentions Regarding U.S. Patent No. RE44,186	Served and Filed

Exhibit	Description	Status
Exhibit 2020	M.A. Nauck et al., <i>Effects of Subcutaneous Glucagon-Like Peptide 1 (GLP-1 [7–36 Amide]) in Patients with NIDDM</i> , 39 DIABETOLOGIA 1546 (1996)	Served and Filed
Exhibit 2021	Nancy L. Thompson et al., <i>A Fischer Rat Substrain Deficient in Dipeptidyl Peptidase IV Activity Makes Normal Steady-State RNA Levels and an Altered Protein: Use as a Liver-Cell Transplantation Model</i> , 273 J. BIOCHEM. 497 (1991)	Served and Filed
Exhibit 2022	Int'l Pub. No. WO 95/15309	Served and Filed
Exhibit 2023	U.S. Patent No. 6,011,155	Served and Filed
Exhibit 2024	Von R. Hiltmann et al., <i>2-Acylaminopyridin-Derivate mit morphinagonistischer und - antagonistischer Wirksamkeit</i> , 24 ARZNEIM. FORSCH. 584 (1974)	Served and Filed
Exhibit 2025	U.S. Patent No. 4,591,598	Served and Filed
Exhibit 2026	German Patent Pub. No. 25 21 895 A1	Served and Filed
Exhibit 2027	U.S. Patent No. 3,325,478	Served and Filed
Exhibit 2028	Stephen Hanessian et al., <i>Probing the Importance of Spacial and Conformational Domains in Captopril Analogs for Angiotensin Converting Enzyme Activity</i> , 8 BIOORG. & MED. CHEM. LETT. 2123 (1998)	Served and Filed
Exhibit 2029	Koert Gerzon et al., <i>The Adamantyl Group in Medicinal Agents I. Hypoglycemic N-Arylsulfonyl-N'adamantylureas</i> , 6 J. MED. CHEM. 760 (1963)	Served and Filed {substitution proposed and served}
Exhibit 2030	F. R. Rubio et al., <i>Urinary Metabolites of Rimantadine in Humans</i> , 16 DRUG METABOLISM & DISPOSITION 773 (1988)	Served and Filed
Exhibit 2031	Gunter Fischer et al., <i>The Conformation Around the Peptide Bond Between the P1- And P2- Positions Is Important for Catalytic Activity of Some Proline-Specific Proteases</i> , 742 BBA 452 (1983)	Served and Filed
Exhibit 2032	U.S. Patent No. 4,954,158	Served and Filed
	Masahiro Yoshioka et al., <i>Role of Rat Intestinal</i>	Served and Filed

Exhibit	Description	Status
Exhibit 2033	<i>Brush-Border Membrane Angiotensin-Converting Enzyme in Dietary Protein Digestion</i> , 253 AM. J. PHYSIOL. G781 (1987)	
Exhibit 2034	German Patent Pub. No. 3324263 A1	Served and Filed
Exhibit 2035	Stephen Hanessian et al., <i>The Stereocontrolled Synthesis of Enantiopure α-Methano Heterocycles and Constrained Amino Acid Analogs</i> , 37 TETRAHEDRON LETT. 8967 (1996)	Served and Filed
Exhibit 2036	CAplus Records for German Patent Pub. No. 3324263 A1	Served and Filed
Exhibit 2037	Roberto Pellicciari et al., <i>Synthesis of All Four Diastereoisomers of 4-(Carboxymethyl)proline, a Conformationally Constrained Analogue of 2-Aminoadipic Acid</i> , 1 J. CHEM. SOC. 1251 (1995)	Served and Filed
Exhibit 2038	Viacheslav V. Tverezovsky et al., <i>Synthesis of (2S, 3R, 4S)-3,4- Methanoproline and Analogues by Cyclopropylidene Insertion</i> , 53 TETRAHEDRON 14773 (1997)	Served and Filed
Exhibit 2039	Frank L. Switzer et al., <i>Synthesis of (4)-2,3-Methanoproline: A Novel Inhibitor of Ethylene Biosynthesis</i> , 45 TETRAHEDRON 6091 (1989)	Served and Filed
Exhibit 2040	Alain Hercouet et al., <i>First Asymmetric Synthesis of (-)-(2S, 3R)-Methanoproline</i> , 7 TETRAHEDRON: ASYMMETRY 1267 (1996)	Served and Filed
Exhibit 2041	Raymond A. Pederson et al., <i>Improved Glucose Tolerance in Zucker Fatty Rats by Oral Administration of the Dipeptidyl Peptidase IV Inhibitor Isoleucine Thiazolidide</i> , 47 DIABETES 1253 (1998)	Served and Filed
Exhibit 2042	Jingrong Li et al., <i>Aminoacylpyrrolidine-2-nitriles: Potent and Stable Inhibitors of Dipeptidyl-Peptidase IV (CD 26)</i> , 323 ARCH. BIOCHEM. & BIOPHYSICS 148 (1995)	Served and Filed
Exhibit 2043	Stephen Hanessian et al., <i>The Synthesis of 4,5-Methano Congeners of α-Kainic and α-allo-Kainic Acids as Probes for Glutamate Receptors</i> 37 TETRAHEDRON LETT. 8971 (1996)	Served and Filed

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