# 

PATENT OWNER ASTRAZENECA AB'S EXHIBIT LIST (as of September 25, 2015)

Patent RE44,186

Exhibit	Description
Exhibit 2001	Doreen M. Ashworth et al., 4-Cyanothiazolidides as Very Potent, Stable Inhibitors of Dipeptidyl Peptidase IV, 6 BIOORG. & MED. CHEM. LETT. 2745 (1996)
Exhibit 2002	David R. Magnin et al., 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-methanoprolinenitrile-Based Inhibitors, 47 J. MED. CHEM. 2587 (2004)
Exhibit 2003	Jeffrey A. Robl & Lawrence G. Hamann, <i>The Discovery of the Dipeptidyl Peptidase-4 (DPP4) Inhibitor Onglyza</i> <sup>TM</sup> : From Concept to Market, in ACCOUNTS IN DRUG DISCOVERY: CASE STUDIES IN MEDICINAL CHEMISTRY 1 (Joel C. Barrish et al. eds., 2011)
Exhibit 2004	ASTRAZENECA ANNUAL REPORT AND FORM 20-F INFORMATION 2014, available at www.astrazeneca.com/annualreport2014
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)
Exhibit 2006	Kathleen Aertgeerts et al., Crystal Structure of Human Dipeptidyl Peptidase IV in Complex with a Decapeptide Reveals Details on Substrate Specificity and Tetrahedral intermediate Formation, 13 PROTEIN SCI. 412 (2004)
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)
Exhibit 2008	George R. Flentke et al., Inhibition of Dipeptidyl Aminopeptidase IV (DP-IV) by Xaa-boroProdipeptides and Use of These Inhibitors to Examine the Role of DP-IV in T-cell Function, 88 PROC. NAT'L ACAD. SCI. 1556 (1991)



Exhibit	Description
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)
Exhibit 2010	Hans-U Demuth et al., Abstract, Single Dose Treatment of Diabetic Patients by the DP IV Inhibitor P32/98, 49 DIABETES 413-P (2000)
Exhibit 2011	U.S. Patent No. 5,939,560
Exhibit 2012	Paul Rothenberg et al., Abstract, Treatment with a DPP-IV Inhibitor, NVP-DPP728, Increases Prandial Intact GLP-1 Levels and Reduced Glucose Exposure in Humans, 49 DIABETES 160-OR (2000)
Exhibit 2013	U.S. Patent No. 6,166,063
Exhibit 2014	Ligaya M. Simpkins et al., <i>Potent Non-Nitrile Dipeptidic Dipeptidyl Peptidase IV Inhibitors</i> , 17 BIOORG. & MED. CHEM. LETT. 6476 (2007)
Exhibit 2015	Pioneer and Analogue Drugs, in Analogue-Based Drug Discovery III 3 (János Fischer et al. eds., 2013)
Exhibit 2016	Thomas E. Hughes et al., NVP-DPP728: (1-[[[2-[(5-Cyanopyridin-2-yl)amino ]ethyl]amino ]acetyl]-2-cyano-(S)-pyrrolidine), a Slow-Binding Inhibitor of Dipeptidyl Peptidase IV, 38 BIOCHEM. 11597 (1999)
Exhibit 2017	Coralie Nguyen et al., Specific and Irreversible Cyclopeptide Inhibitors of Dipeptidyl Peptidase IV Activity of the T-Cell Activation Antigen CD26, 41 J. MED. CHEM. 2100 (1998)
Exhibit 2018	Aiying Wang et al., 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, 12 BMC PHARM. 1 (2012)



Exhibit	Description
Exhibit 2019	Defendants Joint Initial Invalidity Contentions Regarding U.S. Patent No. RE44,186
Exhibit 2020	M.A. Nauck et al., Effects of Subcutaneous Glucagon-Like Peptide 1(GLP-1 [7–36 Amide]) in Patients with NIDDM, 39 DIABETOLOGIA 1546 (1996)
Exhibit 2021	Nancy L. Thompson et al., 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, 273 J. BIOCHEM. 497 (1991)
Exhibit 2022	Int'l Pub. No. WO 95/15309
Exhibit 2023	U.S. Patent No. 6,011,155
Exhibit2024	Von R. Hiltmann et al., 2-Acylaminopyridin-Derivate mit morphinagonistischer und -antagonistischer Wirksamkeit, 24 ARZNEIM. FORSCH. 584 (1974)
Exhibit 2025	U.S. Patent No. 4,591,598
Exhibit 2026	German Patent Pub. No. 25 21 895 A1
Exhibit 2027	U.S. Patent No. 3,325,478
Exhibit 2028	Stephen Hanessian et al., Probing the Importance of Spacial and Conformational Domains in Captopril Analogs for Angiotensin Converting Enzyme Activity, 8 BIOORG. & MED. CHEM. LETT. 2123 (1998)
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)
Exhibit 2030	F. R. Rubio et al., <i>Urinary Metabolites of Rimantadine in Humans</i> , 16 DRUG METABOLISM & DISPOSITION 773 (1988)



Exhibit	Description
Exhibit 2031	Gunter Fischer et al., The Conformation Around the Peptide Bond Between the P1- And P2-Positions Is Important for Catalytic Activity of Some Proline-Specific Proteases, 742 BBA 452 (1983)
Exhibit 2032	U.S. Patent No. 4,954,158
Exhibit 2033	Masahiro Yoshioka et al., Role of Rat Intestinal Brush-Border Membrane Angiotensin-Converting Enzyme in Dietary Protein Digestion, 253 Am. J. Physiol. G781 (1987)
Exhibit 2034	German Patent Pub. No. 3324263 A1
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)
Exhibit 2036	Caplus Records for German Patent Pub. No. 3324263 A1
Exhibit 2037	Roberto Pellicciari et al., Synthesis of All Four Diastereoisomers of 4-(Carboxymethyl)proline, a Conformationally Constrained Analogue of 2-Aminoadipic Acid, 1 J. CHEM. Soc. 1251 (1995)
Exhibit 2038	Viacheslav V. Tverezovsky et al., Synthesis of (2S, 3R, 4S)-3,4-Methanoproline and Analogues by Cyclopropylidene Insertion, 53 Tetrahedron 14773 (1997)
Exhibit 2039	Frank L. Switzer et al., Synthesis of (4)-2,3-Methanoproline: A Novel Inhibitor of Ethylene Biosynthesis, 45 Tetrahedron 6091 (1989)
Exhibit 2040	Alain Hercouet et al., First Asymmetric Synthesis of (-)-(2S, 3R)-Methanoproline, 7 Tetrahedron: Asymmetry 1267 (1996)



# DOCKET

# 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.

