UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE PATENT TRIAL AND APPEAL BOARD

CONJUPRO BIOTHERAPEUTICS, INC.,
Petitioner,

v.

ASCLETIS PHARMA CHINA CO. LTD.,
Patent Owner.

Case No. PGR2025-00057
Patent No. 12,234,236
Title: GLP-1R agonist and therapeutic method thereof

PATENT OWNER'S UPDATED EXHIBIT LIST

Exhibit No.	Description of Document
2001	Declaration of Geoffrey D. Biegler ("Biegler")
2002	Excerpts of File History of U.S. Patent No. 12,234,236
2003	Excerpts of File History of U.S. Patent Application No. 18/885,065
2004	International Publication No. WO 2025/131043A1
2005	Certified English Translation of International Publication No. WO 2025/131043A1
2006	U.S. Patent No. 12,291,530
2007	Guang Huang et al., *Applications of Oxetanes in Drug Discovery and Medicinal Chemistry*, European Journal of Medicinal Chemistry (2023), 261
2008	Juan J. Rojas and James A. Bull, *Oxetanes in Drug Discovery Campaigns*, Journal of Medicinal Chemistry (2023), 66 , 12697-12709
2009	Jonas Boström et al., *Oxadiazoles in Medicinal Chemistry*, Journal of Medicinal Chemistry (2011), 55, 1817-1830
2010	Yijing Li et al., *Thiadiazole—a Promising Structure in Medicinal Chemistry*, ChemMedChem (2013), 27-41
2011	Bethany R. Shire and Edward A. Anderson, *Conquering the Synthesis and Functionalization of Bicyclo[1.1.1]pentanes*, An Open Access Journal of the American Chemical Society (2023), 3, 1539-1553
2012	Edon Vitaku, David T. Smith, and Jon T. Njardarson, *Analysis of the Structural Diversity, Substitution Patterns, and Frequency of Nitrogen Heterocycles among U.S. FDA Approved Pharmaceuticals*, Journal of Medicinal Chemistry (2014), 57, 10257-10274
2013	Marcelo D. Polêto et al., *Aromatic Rings Commonly Used in Medicinal Chemistry: Force Fields Comparison and Interactions With Water Toward the Design of New Chemical Entities*, Frontiers in Pharmacology (2018), 9, 1-20
2014	Aimee K. Clarke and William P. Unsworth, *A happy medium: the synthesis of medicinally important medium-sized rings via ring expansion*, The Royal Society of Chemistry (2020), 11, 2876-2881

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2015	Alexander S. Harmata, Madison J. Sowden, and Corey R. J. Stephenson, *Bridged bicyclic building block upgrading: Photochemical synthesis of bicyclo[3.1.1]heptan-1-amines*, Willard Henry Dow Laboratory, Dept. of Chemistry, Univ. of Michigan
2016	Jang Won Son and Soo Lim, *Glucagon-Like Peptide-1 Based Therapies: A New Horizon in Obesity Management*, Endocrinology and Metabolism (2024), 39, 206-221
2017	Sean Wharton, M.D. et al., Daily Oral GLP-1 Receptor Agonist Orforglipron for Adults with Obesity, The New England Journal of Medicine (2023), 389, 877-888 (https://www.nejm.org/doi/10.1056/NEJMoa2302392)
2018	*A Study of Orforglipron (LY3502970) in Adult Participants with Obesity or Overweight With Weight-Related Comorbidities (ATTAIN-1)*, Lilly Trials, https://trials.lilly.com/en-US/trial/403875 (last visited Oct. 6, 2025)
2019	International Publication No. WO 2024/169952 A1
2020	International Publication No. WO 2023/016546 A1
2021	International Publication No. WO 2024/153070 A1
2022	*Ascletis Presented Results from Cohorts 1 and 2 of 28-day Multiple Ascending Dose Study of Its Oral Small Molecule GLP-1R Agonist ASC30 at the 61st European Association for the Study of Diabetes (EASD) Annual Meeting*, Ascletis, https://www.ascletis.com/news_detail/192/id/1243.html (last visited Oct. 6, 2025)
2023	Jinzi Jason Wu and Vanessa Wang, *Poster number: 750-P, ASC30, an Oral GLP-1R Biased Small Molecule Agonist in Participants with Obesity -A First-in-Human Single Ascending Dose Study*, (June 20, 2025), https://www.ascletis.com/data/upload/ueditor/20250618/ASC30_poster_@_ADA_2025.pdf
2024	*Compound Summary for CID 8028, Tetrahydrofuran*, National Center for Biotechnology Information, PubChem, https://pubchem.ncbi.nlm.nih.gov/compound/Tetrahydrofur an

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2025	*Compound Summary for CID 9253, Cyclopentane*, National Center for Biotechnology Information, PubChem, https://pubchem.ncbi.nlm.nih.gov/compound/Cyclopentane (last visited Oct. 6, 2025)
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2028	Pedro de Sena Murteira Pinheiro, Lucas Silva Franco and Carlos Alberto Manssour Fraga, *The Magic Methyl and Its Tricks in Drug Discovery and Development*, MDPI (2023), 16, 1157
2029	Declaration of Isha Agarwal ("Agarwal")
2030	Bio of Tae Yeon (Zoe) Kim ("Kim Bio")
2031	Declaration of Tae Yeon (Zoe) Kim ("Kim")
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2033	Deposition transcript of Michael Pirrung taken on February 26, 2026
2034	Camile Georges Wermuth, David Aldous, Pierre Raboisson and Didier Rognan, *The Practice of Medicinal Chemistry*, 4th ed. (2015)
2035	B. Sun et al., RCSB PDB of PDB ID 6XOX, *cryo-EM of human GLP-1R bound to non-peptide agonist LY3502970*, RCSB PROTEIN DATA BANK, https://www.rcsb.org/3d-view/6XOX
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2039	James Burnett et al., *A threonine turnstile defines a dynamic amphiphilic binding motif in the AAA ATPase p97 allosteric binding site*, Org. Biomol. Chem., Vol. 15, 4096 (2017)
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2041	Angela Kerekes, et al., *Aurora Kinase Inhibitors Based on the Imidazo[1,2-a]pyrazine Core: Fluorine and Deuterium Incorporation improve Oral Absorption and Exposure*, J. Med. Chem., Vol. 54, 201-210 (2011)
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2043	Tong & Whitesell, *In Situ Salt Screening*, Pharm. Dev. & Tech., 3(2):215, 217 (1998)
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2045	Stephen M. Berge, Lyle D. Bighley and Donald C. Monkhouse, *Pharmaceutical Salts*, J. Pharm. Sci., 66:1-19 (1977)
2046	Faisal Malik and Zhijun Li, *Non-peptide agonists and positive allosteric modulators of glucagon-like peptide-1 receptors: Alternative approaches for treatment of Type 2 diabetes*, British Pharm. Society, 511, 514 (2021)
2047	Edith Wing-Kar Chow and Elaine Chow, *Oral small-molecule GLP-1 receptor agonist for type 2 diabetes and obesity*, The Lancet (Dec. 20, 2025), https://www.thelancet.com/journals/lancet/article/PIIS0140-6736(25)02381-5/abstract?rss=yes

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2049	Neeta B. Amin et al., *Evaluation of an oral small-molecule glucagon-like peptide-1 receptor agonist, lotiglipron, for type 2 diabetes and obesity: A dose-ranging, phase 2, randomized, placebo-controlled study*, Diabetes Obesity Metab. 2025; 27:215–227 (2024)
2050	*Pfizer Provides Update on GLP-1Receptor Agonist Danuglipron*, Pfizer (April 14, 2025), https://www.pfizer.com/news/press-release/press-release-detail/pfizer-provides-update-oral-glp-1-receptor-agonist
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2060	Volodymyr Semeno et al., *Bicyclo[m.n.k]alkane Building Blocks as Promising Benzene and Cycloalkane Isosteres: Multigram Synthesis, Physicochemical and Structural Characterization*, Nov. 14, 2023, https://chemrxiv.org/engage/chemrxiv/article-details/65416d4ec573f893f18864ed (last visited March 3, 2026)
2061	Alexander Harmata, et al., *Bridged Bicyclic Building Block Upgrading: Photochemical Synthesis of bicyclo[3.1.1]heptan-1-Amines*, Univ. of Michican, Oct. 21, 2020, https://chemrxiv.org/engage/chemrxiv/article-details/60c75119337d6c71cfe284b0 (last visited March 3, 2026)

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2066	Jinzi Jason Wu and Vanessa Wang, *Poster: A full analysis of 28-Day MAD Study of Oral GLP-1R Biased Small Molecule Agonist ASC30 for obesity*, Obesity Week, Ascletis.com (October 30, 2025), https://www.ascletis.com/data/upload/ueditor/20251030/Poster_ASC30_tablets_obesity_week.pdf
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2071	Juan Frias et al., *Efficacy and safety of oral orforglipron in patients with type 2 diabetes: a multicentre, randomised, dose-response, phase 2 study*, The Lanclet, Vol. 402 (2023)
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2077	Benjamin D. Cons, et al., *Electrostatic Complementarity in Structure-Based Drug Design*, J. of Med. Chem., Vol. 65, 7476-7488 (2022)
2078	Paul Koovits, et al., *Hit-to-lead optimization of a benzene sulfonamide series for potential antileishmanial agents*, RCS Med. Chem., Vol. 11, 1267-1274 (2020)
2079	Original Expunged
2079	Corrected Declaration of Dr. Peter Wipf in Support of Patent Owner's Response, dated March 5, 2026
2080	Declaration of John Gargiulo in Support of Patent Owner's Response, dated March 5, 2026

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2081	Ascletis laboratory notebook no. GLNB007230-3
2082	Kazancioglu, M. Z., Quirion, K., Wipf, P. and Skoda, E. M., Enantioselective Synthesis and Selective Functionalization of 4-Aminotetrahydroquinolines as Novel Glp-1 Secretagogues, Chirality 2022, 34(3), 521-536
2083	Sean Wharton et al., *Orforglipron, an Oral Small-Molecule GLP-1 Receptor Agonist for Obesity Treatment*, New England Journal of Medicine 2025; 393:1796-806
2084	Proposed Protective Order
2085	Redline of Protective Order Compared to Default Protective Order
2086	Second Declaration of John Gargiulo, dated May 6, 2026

Dated: May 7, 2026

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CERTIFICATION OF SERVICE UNDER 37 C.F.R. §§ 42.6(e), 42.205(a))

I, Geoffrey D. Biegler, hereby certify that on this 7th day of May, 2026, the foregoing **PATENT OWNER'S UPDATED EXHIBIT LIST** was served electronically via email on the following:

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