

The Journal of PHARMACOLOGY

And Experimental Therapeutics

A Publication of the American Society for Pharmacology and Experimental Therapeutics

July 2017

Vol. 362, No. 1

Contents

BEHAVIORAL PHARMACOLOGY

- σ Receptor Effects of N-Substituted Bzotropine Analogs: Implications for Antagonism of Cocaine Self-Administration 2
Takato Hiranita, Weimin C. Hong, Theresa Kopajtic, and Jonathan L. Katz
- Relief of Pain-Depressed Behavior in Rats by Activation of D1-Like Dopamine Receptors 14
Matthew F. Lazenka, Kelen C. Freitas, Sydney Henck, and S. Stevens Negus
- Preference for an Opioid/Benzodiazepine Mixture over an Opioid Alone Using a Concurrent Choice Procedure in Rhesus Monkeys 59
Peter F. Weed, Charles P. France, and Lisa R. Gerak
- Effects of Acute and Chronic Treatments with Dopamine D₂ and D₃ Receptor Ligands on Cocaine versus Food Choice in Rats 161
Morgane Thomsen, Andrew C. Barrett, Paul Butler, S. Stevens Negus, and S. Barak Caine
- ▣ Apparent CB₁ Receptor Rimonabant Affinity Estimates: Combination with THC and Synthetic Cannabinoids in the Mouse In Vivo Triad Model 210
T. W. Grim, A. J. Morales, B. F. Thomas, J. L. Wiley, G. W. Endres, S. S. Negus, and A. H. Lichtman

CARDIOVASCULAR

- Treatment with Standard and Low Dose of Conjugated Equine Estrogen Differentially Modulates Estrogen Receptor Expression and Response to Angiotensin II in Mesenteric Venular Bed of Surgically Postmenopausal Hypertensive Rats 98
Priscila Xavier Araujo, Tiago Januário Costa, Cinthya Echem, Maria Aparecida de Oliveira, Rosangela Aparecida Santos-Eichler, Lucas Giglio Colli, Francisc Jiménez-Altayó, Elisabet Vila, Eliana Hiromi Akamine, Ana Paula Dantas, Graziela Scialianti Ceravolo, and Maria Helena Catelli de Carvalho
- Selexipag Active Metabolite ACT-333679 Displays Strong Anticontractile and Antiremodeling Effects but Low β -Arrestin Recruitment and Desensitization Potential 186
John Gatfield, Katalin Menyhart, Daniel Wanner, Carmela Gnerre, Lucile Monnier, Keith Morrison, Patrick Hess, Marc Iglarz, Martine Clozel, and Oliver Nayler

CELLULAR AND MOLECULAR

- ▣ XE991 and Linopirdine Are State-Dependent Inhibitors for Kv7/KCNQ Channels that Favor Activated Single Subunits 177
Derek L Greene, Seungwoo Kang, and Naoto Hoshi
- ▣ Regulation of δ Opioid Receptor-Mediated Signaling and Antinociception in Peripheral Sensory Neurons by Arachidonic Acid-Dependent 12/15-Lipoxygenase Metabolites 200
Laura C. Sullivan, Teresa A. Chavera, Xiaoli Gao, Miryam M. Pando, and Kelly A. Berg

DRUG DISCOVERY AND TRANSLATIONAL MEDICINE

- ▣ Identification of 4-Aminopyrazolopyrimidine Metabolite That May Contribute to the Hypolipidemic Effects of LY2584702 in Long Evans Diet-Induced Obese Rats 108
Thomas B. Estridge, Asim B. Dey, Charles Reidy, Xiaohong Yu, Yuke Zhang, Maryalice Hartley, Paul L. Milligan, Najia Jin, Mark C. Kowala, Jennifer K. Leohr, Adrian J. Fretland, Thomas E. Mabry, Debra Luffer-Atlas, and M. Jane Luo
- Rational Tuning of Visual Cycle Modulator Pharmacodynamics 131
Philip D. Kiser, Jianye Zhang, Mohsen Badiee, Junzo Kinoshita, Neal S. Peachey, Gregory P. Tochtrop, and Krzysztof Palczewski

ENDOCRINE AND DIABETES

The Dual Amylin- and Calcitonin-Receptor Agonist KBP-042 Works as Adjunct to Metformin on Fasting Hyperglycemia and HbA1c in a Rat Model of Type 2 Diabetes 24

Sara T. Hjuler, Sofie Gydesen, Kim V. Andreassen, Morten A. Karsdal, and Kim Henriksen

- ☐ LX2761, a Sodium/Glucose Cotransporter 1 Inhibitor Restricted to the Intestine, Improves Glycemic Control in Mice 85
David R. Powell, Melinda G. Smith, Deon D. Doree, Angela L. Harris, Jennifer Greer, Christopher M. DaCosta, Andrea Thompson, Sabrina Jeter-Jones, Wendy Xiong, Kenneth G. Carson, Nicole C. Goodwin, Bryce A. Harrison, David B. Rawlins, Eric D. Strobel, Suma Gopinathan, Alan Wilson, Faika Mseeh, Brian Zambrowicz, and Zhi-Ming Ding

GASTROINTESTINAL, HEPATIC, PULMONARY, AND RENAL

Glutamatergic Mechanisms Involved in Bladder Overactivity and Pudendal Neuromodulation in Cats 53

Jamie Uy, Michelle Yu, Xuewen Jiang, Cameron Jones, Bing Shen, Jicheng Wang, James R. Roppolo, William C. de Groat, and Changfeng Tai

Mashingan Improves Opioid-Induced Constipation in Rats by Activating Cystic Fibrosis Transmembrane Conductance Regulator Chloride Channel 78

Yumi Harada, Seiichi Iizuka, Yayoi Saegusa, Sachiko Mogami, Naoki Fujitsuka, and Tomohisa Hattori

NEUROPHARMACOLOGY

- ☐ Pharmacological and Toxicological Properties of the Potent Oral γ -Secretase Modulator BPN-15606 31
Steven L. Wagner, Kevin D. Rynearson, Steven K. Duddy, Can Zhang, Phuong D. Nguyen, Ann Becker, Uyen Vo, Deborah Masliah, Louise Monte, Justin B. Klee, Corinne M. Echmalian, Weiming Xia, Luisa Quinti, Graham Johnson, Jiunn H. Lin, Doo Y. Kim, William C. Mobley, Robert A. Rissman, and Rudolph E. Tanzi

Ethanol Reversal of Tolerance to the Antinociceptive Effects of Oxycodone and Hydrocodone 45

Joanna C. Jacob, Justin L. Poklis, Hamid I. Akbarali, Graeme Henderson, and William L. Dewey

Novel Phosphodiesterase 4 Inhibitor FCPR03 Alleviates Lipopolysaccharide-Induced Neuroinflammation by Regulation of the cAMP/PKA/CREB Signaling Pathway and NF- κ B Inhibition 67

Zheng-Qiang Zou, Jia-Jia Chen, Hong-Fang Feng, Yu-Fang Cheng, Hai-Tao Wang, Zhong-Zhen Zhou, Hai-Biao Guo, Wenhua Zheng, and Jiang-Ping Xu

Glutaminy Cyclase Inhibitor PQ912 Improves Cognition in Mouse Models of Alzheimer's Disease—Studies on Relation to Effective Target Occupancy 119

Torsten Hoffmann, Antje Meyer, Ulrich Heiser, Stephan Kurat, Livia Böhme, Martin Kleinschmidt, Karl-Ulrich Bühring, Birgit Hutter-Paier, Martina Farcher, Hans-Ulrich Demuth, Inge Lues, and Stephan Schilling

- ☐ Pharmacologic Characterization of AMG8379, a Potent and Selective Small Molecule Sulfonamide Antagonist of the Voltage-Gated Sodium Channel $Na_v1.7$ 146

Thomas J. Kornecook, Ruoyuan Yin, Stephen Altmann, Xuhai Be, Virginia Berry, Christopher P. Ilch, Michael Jarosh, Danielle Johnson, Josie H. Lee, Sonya G. Lehto, Joseph Ligutti, Dong Liu, Jason Luther, David Matson, Danny Ortuno, John Roberts, Kristin Taborn, Jinti Wang, Matthew M. Weiss, Violeta Yu, Dawn X. D. Zhu, Robert T. Freneau Jr., and Bryan D. Moyer

ERRATUM

Correction to “Antidepressant Potential of (R)-Ketamine in Rodent Models: Comparison with (S)-Ketamine” 1

☐ Supplemental material is available at jpet.aspetjournals.org.

About the cover: Strategies for selective modulation of the retinoid cycle. See the article by Kiser et al ([dx.doi.org/10.1124/jpet.117.240721](https://doi.org/10.1124/jpet.117.240721)).