

The Journal of PHARMACOLOGY

And Experimental Therapeutics

A Publication of the American Society for Pharmacology and Experimental Therapeutics

January 2014

Vol. 348, No. 1

Contents

HIGHLIGHTED PAPERS	1
PERSPECTIVES IN PHARMACOLOGY	
Analysis of Histamine Receptor Knockout Mice in Models of Inflammation <i>Detlef Neumann, Erich H. Schneider, and Roland Seifert</i>	2
BEHAVIORAL PHARMACOLOGY	
Modulation of Peripheral μ -Opioid Analgesia by σ_1 Receptors <i>Cristina Sánchez-Fernández, Ángeles Montilla-García, Rafael González-Cano, Francisco Rafael Nieto, Lucía Romero, Antonia Artacho-Cordón, Rosa Montes, Begoña Fernández-Pastor, Manuel Merlos, José Manuel Baeyens, José Manuel Entrena, and Enrique José Cobos</i>	32
Pharmacological Characterization of a Dopamine Transporter Ligand That Functions as a Cocaine Antagonist <i>Rajeev I. Desai, David K. Grandy, Carl R. Lupica, and Jonathan L. Katz</i>	106
Preclinical Efficacy of N-Substituted Bzotropine Analogs as Antagonists of Methamphetamine Self-Administration in Rats <i>Takato Hiranita, Stephen J. Kohut, Paul L. Soto, Gianluigi Tanda, Theresa A. Kopajtic, and Jonathan L. Katz</i>	174
CARDIOVASCULAR	
☐ Stimulation of G Protein–Coupled Bile Acid Receptor Enhances Vascular Endothelial Barrier Function via Activation of Protein Kinase A and Rac1 <i>Taiki Kida, Keisuke Omori, Masatoshi Hori, Hiroshi Ozaki, and Takahisa Murata</i>	125
☐ Pharmacologic Inhibition of the Renal Outer Medullary Potassium Channel Causes Diuresis and Natriuresis in the Absence of Kaliuresis <i>Maria L. Garcia, Birgit T. Priest, Magdalena Alonso-Galicia, Xiaoyan Zhou, John P. Felix, Richard M. Brochu, Timothy Bailey, Brande Thomas-Fowlkes, Jessica Liu, Andrew Swensen, Lee-Yuh Pai, Jianying Xiao, Melba Hernandez, Kimberly Hoagland, Karen Owens, Haifeng Tang, Reynalda K. de Jesus, Sophie Roy, Gregory J. Kaczorowski, and Alexander Pasternak</i>	153
CELLULAR AND MOLECULAR	
A Novel and Potent Inhibitor of Dimethylarginine Dimethylaminohydrolase: A Modulator of Cardiovascular Nitric Oxide <i>Yohannes T. Ghebremariam, Daniel A. Erlanson, and John P. Cooke</i>	69
☐ Detailed Mechanistic Analysis of Gevokizumab, an Allosteric Anti-IL-1 β Antibody with Differential Receptor-Modulating Properties <i>Hassan Issafras, John A. Corbin, Ira D. Goldfine, and Marina K. Roell</i>	202
DRUG DISCOVERY AND TRANSLATIONAL MEDICINE	
☐ Chemopreventive Effects of an HDAC2-Selective Inhibitor on Rat Colon Carcinogenesis and APC ^{min/+} Mouse Intestinal Tumorigenesis <i>Durgadevi Ravillah, Altaf Mohammed, Li Qian, Misty Brewer, Yuting Zhang, Laura Biddick, Vernon E. Steele, and Chinthalapally V. Rao</i>	59
Dopamine and Lipophilic Derivates Protect Cardiomyocytes against Cold Preservation Injury <i>Christiane Vettel, Maximilia C. Hottenrott, Rahel Spindler, Urs Benck, Peter Schnuelle, Charalambos Tsagogiorgas, Bernhard K. Krämer, Simone Hoeger, Ali El-Armouche, Thomas Wieland, and Benito A. Yard</i>	77
☐ Pharmacokinetic and Pharmacodynamic Properties of GS-9620, a Novel Toll-Like Receptor 7 Agonist, Demonstrate Interferon-Stimulated Gene Induction without Detectable Serum Interferon at Low Oral Doses	96

- Identification of the Antiarrhythmic Drugs Amiodarone and Lorcainide as Potent H3 Histamine Receptor Inverse Agonists 116
Andria L. Del Tredici, Jian-Nong Ma, Fabrice Piu, and Ethan S. Burstein
- Preclinical to Clinical Translation of Tofacitinib, a Janus Kinase Inhibitor, in Rheumatoid Arthritis 165
Martin E. Dowty, Michael I. Jesson, Sarbani Ghosh, Jamie Lee, Debra M. Meyer, Sriram Krishnaswami, and Nandini Kishore
- ☐ LLY-2707, A Novel Nonsteroidal Glucocorticoid Antagonist That Reduces Atypical Antipsychotic–Associated Weight Gain in Rats 192
Dana K. Sindelar, Mathew W. Carson, Michelle Morin, Janice Shaw, Robert J. Barr, Anne Need, Jesline Alexander-Chacko, Michael Coghlan, and Donald R. Gehlert

GASTROINTESTINAL, HEPATIC, PULMONARY, AND RENAL

- Bradykinin Contracts Rat Urinary Bladder Largely Independently of Phospholipase C 25
Carsten Sand and Martin C. Michel
- ☐ NSAID-Induced Enteropathy: Are the Currently Available Selective COX-2 Inhibitors All the Same? 86
Matteo Fornai, Luca Antonioli, Rocchina Colucci, Carolina Pellegrini, Giulio Giustarini, Lara Testai, Alma Martelli, Antuella Matarangasi, Gianfranco Natale, Vincenzo Calderone, Marco Tuccori, Carmelo Scarpignato, and Corrado Blandizzi

INFLAMMATION, IMMUNOPHARMACOLOGY, AND ASTHMA

- ☐ Induction of Regulator of G-Protein Signaling 2 Expression by Long-Acting β_2 -Adrenoceptor Agonists and Glucocorticoids in Human Airway Epithelial Cells 12
Neil S. Holden, Tresa George, Christopher F. Rider, Ambika Chandrasekhar, Suharsh Shah, Manminder Kaur, Malcolm Johnson, David P. Siderovski, Richard Leigh, Mark A. Giembycz, and Robert Newton

METABOLISM, TRANSPORT, AND PHARMACOGENOMICS

- Serum- and Glucocorticoid-Regulated Kinase 2 Determines Drug-Activated Pregnane X Receptor to Induce Gluconeogenesis in Human Liver Cells 131
Saki Gotoh and Masahiko Negishi
- Nitric Oxide and Interleukin-1 β Stimulate the Proteasome-Independent Degradation of the Retinoic Acid Hydroxylase CYP2C22 in Primary Rat Hepatocytes 141
Choon-myung Lee, Bang-sub Lee, Samuel L. Arnold, Nina Isoherranen, and Edward T. Morgan

NEUROPHARMACOLOGY

- ☐ The Unique $\alpha 4(+)(-)\alpha 4$ Agonist Binding Site in $(\alpha 4)_3(\beta 2)_2$ Subtype Nicotinic Acetylcholine Receptors Permits Differential Agonist Desensitization Pharmacology versus the $(\alpha 4)_2(\beta 2)_3$ Subtype 46
J. Brek Eaton, Linda M. Lucero, Harrison Stratton, Yongchang Chang, John F. Cooper, Jon M. Lindstrom, Ronald J. Lukas, and Paul Whiteaker

☐ Supplemental material is available online at <http://jpet.aspetjournals.org>.

About the cover: Simplified schematic representation of CD4⁺ T-cell polarization, including the most probable histamine receptor subtype involvements identified in histamine receptor knockout mice. See the article by Neumann et al. ([dx.doi.org/10.1124/jpet.113.204214](https://doi.org/10.1124/jpet.113.204214)).