

MOLECULAR PHARMACOLOGY

September 2009

Volume 76

Number 3

<http://molpharm.aspetjournals.org>

ISSN 0026-895X

MINIREVIEWS

- Molecular Pharmacology of Promiscuous Seven Transmembrane Receptors Sensing Organic Nutrients
Petrine Wellendorph, Lars Dan Johansen, and Hans Bräuner-Osborne 453
- Mechanisms of Pharmacogenomic Effects of Genetic Variation within the Cardiac Adrenergic Network in Heart Failure
Gerald W. Dorn II and Stephen B. Liggett 466
- Toward a Systems Level Understanding of Organic Anion and Other Multispecific Drug Transporters: A Remote Sensing and Signaling Hypothesis
Sun-Young Ahn and Sanjay K. Nigam 481

ARTICLES

- Stabilizers of the Max Homodimer Identified in Virtual Ligand Screening Inhibit Myc Function
Hao Jiang, Kristen E. Bower, Albert E. Beuscher IV, Bin Zhou, Andrey A. Bobkov, Arthur J. Olson, and Peter K. Vogt 491
- Hepatic CYP3A Suppression by High Concentrations of Proteasomal Inhibitors: A Consequence of Endoplasmic Reticulum (ER) Stress Induction, Activation of RNA-Dependent Protein Kinase-Like ER-Bound Eukaryotic Initiation Factor 2 α (eIF2 α)-Kinase (PERK) and General Control Nonderepressible-2 eIF2 α Kinase (GCN2), and Global Translational Shutoff
Poulomi Acharya, Juan C. Engel, and Maria Almira Correia 503
- 15-oxo-Eicosatetraenoic Acid, a Metabolite of Macrophage 15-Hydroxyprostaglandin Dehydrogenase That Inhibits Endothelial Cell Proliferation
Cong Wei, Peijuan Zhu, Sumit J. Shah, and Ian A. Blair 516
- Up-Regulation of AGS3 during Morphine Withdrawal Promotes cAMP Superactivation via Adenylyl Cyclase 5 and 7 in Rat Nucleus Accumbens/Striatal Neurons
Peidong Fan, Zhan Jiang, Ivan Diamond, and Lina Yao 526
- A Nondesensitizing Kainate Receptor Point Mutant
Naushaba Nayeem, Yihong Zhang, Devin K. Schweppe, Dean R. Madden, and Tim Green 534

Molecular Pharmacology (ISSN 0026-895X) is published monthly (two volumes per year beginning in January and July) by the American Society for Pharmacology and Experimental Therapeutics, 9650 Rockville Pike, Bethesda, MD 20814-3995; e-mail: subscriptions@aspet.org; web site: aspet.org. Periodicals postage paid at Bethesda, MD, and at additional mailing offices. POSTMASTER: Send address changes to *Molecular Pharmacology*, 9650 Rockville Pike, Bethesda, MD 20814-3995. Subscription rates: U.S.: \$686.00; outside the U.S.: \$778.00. Single copy: \$60.00. GST Tax Number for Canadian sub-

scribers: BN:13489 2330 RT. Indexed or abstracted by *Biochemistry & Biophysics Citation Index*®, *Biological Abstracts*, *Current Awareness in Biological Sciences*, *Current Contents*®/Life Sciences, *EMBASE/Excerpta Medica*, *Index Medicus*, *Medical Documentation Service*®, *Reference Update*®, *Research Alert*®, *Science Citation Index*®, and *SciSearch*®. Copyright © 2009 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

Exploring the Mechanism of Agonist Efficacy: A Relationship between Efficacy and Agonist Dissociation Rate at the Muscarinic M ₃ Receptor <i>David A. Sykes, Mark R. Dowling, and Steven J. Charlton</i>	543
Human 5-HT ₇ Receptor-Induced Inactivation of Forskolin-Stimulated Adenylate Cyclase by Risperidone, 9-OH-Risperidone and Other “Inactivating Antagonists” <i>Nicole Toohey, Michael T. Klein, Jessica Knight, Carol Smith, and Milt Teitler</i>	552
The Na ⁺ /Ca ²⁺ Exchange Inhibitor 2-(2-(4-(4-Nitrobenzyloxy)phenyl)ethyl)isothiourea Methanesulfonate (KB-R7943) Also Blocks Ryanodine Receptors Type 1 (RyR1) and Type 2 (RyR2) Channels <i>Genaro Barrientos, Diptiman D. Bose, Wei Feng, Isela Padilla, and Isaac N. Pessah</i>	560
Selective Activation of the SK1 Subtype of Human Small-Conductance Ca ²⁺ -Activated K ⁺ Channels by 4-(2-Methoxy-phenylcarbamoyloxymethyl)-piperidine-1-carboxylic Acid <i>tert</i> -Butyl Ester (GW542573X) Is Dependent on Serine 293 in the S5 Segment <i>Charlotte Hougaard, Marianne L. Jensen, Tim J. Dale, David D. Miller, David J. Davies, Birgitte L. Eriksen, Dorte Strøbæk, Derek J. Trezise, and Palle Christophersen</i>	569
Benzene Metabolite Hydroquinone Up-Regulates Chondromodulin-I and Inhibits Tube Formation in Human Bone Marrow Endothelial Cells <i>Hongfei Zhou, Jadwiga K. Kepa, David Siegel, Shigenori Miura, Yuji Hiraki, and David Ross</i>	579
Docosahexaenoic Acid Inhibits Superoxide Dismutase 1 Gene Transcription in Human Cancer Cells: The Involvement of Peroxisome Proliferator-Activated Receptor α and Hypoxia-Inducible Factor-2 α Signaling <i>Erin R. Tuller, Charles T. Beavers, Jessica R. Lou, Michael A. Ihnat, Doris M. Benbrook, and Wei-Qun Ding</i>	588
Autophagy-Mediated Insulin Receptor Down-Regulation Contributes to Endoplasmic Reticulum Stress-Induced Insulin Resistance <i>Lijun Zhou, Jingjing Zhang, Qichen Fang, Meilian Liu, Xianling Liu, Weiping Jia, Lily Q. Dong, and Feng Liu</i>	596
The Aldo-Keto Reductase <i>Akr1b7</i> Gene Is a Common Transcriptional Target of Xenobiotic Receptors Pregnane X Receptor and Constitutive Androstane Receptor <i>Ming-Jie Liu, Yuki Takahashi, Taira Wada, Jinhua He, Jie Gao, Yanan Tian, Song Li, and Wen Xie</i>	604
Adenovirus-Transduced Human Butyrylcholinesterase in Mouse Blood Functions as a Bioscavenger of Chemical Warfare Nerve Agents <i>Nageswararao Chilukuri, Ellen G. Duysen, Kalpana Parikh, Robert diTargiani, Bhupendra P. Doctor, Oksana Lockridge, and Ashima Saxena</i>	612
Biochemical and Electrophysiological Characterization of Almorexant, a Dual Orexin 1 Receptor (OX ₁)/Orexin 2 Receptor (OX ₂) Antagonist: Comparison with Selective OX ₁ and OX ₂ Antagonists <i>Pari Malherbe, Edilio Borroni, Emmanuel Pinard, Joseph G. Wettstein, and Frédéric Knoflach</i>	618
Etoposide Induces Protein Kinase C δ - and Caspase-3-Dependent Apoptosis in Neuroblastoma Cancer Cells <i>Travis W. Day, Ching-Huang Wu, and Ahmad R. Safa</i>	632
□ Inverse Effects on Gating and Modulation Caused by a Mutation in the M2–M3 Linker of the GABA _A Receptor γ Subunit <i>Sean M. O’Shea, Carrie A. Williams, and Andrew Jenkins</i>	641
Selective Inhibition of Acetylcholine-Evoked Responses of $\alpha 7$ Neuronal Nicotinic Acetylcholine Receptors by Novel tris- and tetrakis-Azaaromatic Quaternary Ammonium Antagonists <i>Gretchen Y. López-Hernández, Jeffrey S. Thinschmidt, Guangrong Zheng, Zhenfa Zhang, Peter A. Crooks, Linda P. Dwoskin, and Roger L. Papke</i>	652

Dual Mechanisms of sHA 14-1 in Inducing Cell Death through Endoplasmic Reticulum and Mitochondria

David Hermanson, Sadiya N. Addo, Anna A. Bajer, Jonathan S. Marchant, Sonia Goutam Kumar Das, Balasubramanian Srinivasan, Fawaz Al-Mousa, Francesco Michelangeli, David D. Thomas, Tucker W. LeBien, and Chengguo Xing

667

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

About the cover: Confocal immunofluorescence CYP3A protein analyses of cultured rat hepatocytes treated with MG132. See the article by Acharya et al. on page 503 of this issue.