

MOLECULAR PHARMACOLOGY

July 2013

Volume 84

Number 1

molpharm.aspetjournals.org

ISSN 1521-0111

ARTICLES

- ▣ N-Methyl-D-Aspartic Acid Receptor Activation Downregulates Expression of δ Subunit-Containing GABA_A Receptors in Cultured Hippocampal Neurons
Suchitra Joshi and Jaideep Kapur 1
- ▣ Small Molecule Inhibitors of ERCC1-XPF Protein-Protein Interaction Synergize Alkylating Agents in Cancer Cells
Lars Petter Jordheim, Khaled H. Barakat, Laurence Heinrich-Balard, Eva-Laure Matera, Emeline Cros-Perrial, Karima Bouledrak, Rana El Sabeh, Rolando Perez-Pineiro, David S. Wishart, Richard Cohen, Jack Tuszyński, and Charles Dumontet 12
- ▣ Pharmacological Inhibition of Platelet-Tumor Cell Cross-Talk Prevents Platelet-Induced Overexpression of Cyclooxygenase-2 in HT29 Human Colon Carcinoma Cells
Melania Dovizio, Thorsten J. Maier, Sara Alberti, Luigia Di Francesco, Emanuela Marcantoni, Götz Münch, Constance M. John, Beatrix Suess, Alessandro Sgambato, Dieter Steinhilber, and Paola Patrignani 25
- ▣ A Selective High-Affinity Antagonist of the P2Y₁₄ Receptor Inhibits UDP-Glucose-Stimulated Chemotaxis of Human Neutrophils
Matthew O. Barrett, Juliana I. Sesma, Christopher B. Ball, P. Suresh Jayasekara, Kenneth A. Jacobson, Eduardo R. Lazarowski, and T. Kendall Harden 41
- ▣ Activation of UTP-Sensitive P2Y₂ Receptor Induces the Expression of Cholinergic Genes in Cultured Cortical Neurons: A Signaling Cascade Triggered by Ca²⁺ Mobilization and Extracellular Regulated Kinase Phosphorylation
Roy C. Y. Choi, Glanice K. Y. Chu, Nina L. Siow, Amanda W. Y. Yung, Lisa Y. Yung, Pinky S. C. Lee, Christopher C. W. Lo, Joseph Simon, Tina T. X. Dong, Eric A. Barnard, and Karl W. K. Tsim 50
- ▣ Nrf2 Activators Attenuate the Progression of Nonalcoholic Steatohepatitis-Related Fibrosis in a Dietary Rat Model
Rieko Shimosono, Yoshiji Asaoka, Yoshitaka Yoshizawa, Takumi Aoki, Hidetoshi Noda, Masateru Yamada, Mie Kaino, and Hidenori Mochizuki 62
- ▣ Exploration of the Orthosteric/Allosteric Interface in Human M1 Muscarinic Receptors by Bitopic Fluorescent Ligands
Sandrine B. Daval, Esther Kellenberger, Dominique Bonnet, Valérie Utard, Jean-Luc Galzi, and Brigitte Ilien 71
- ▣ Antifungal Azoles: Structural Insights into Undesired Tight Binding to Cholesterol-Metabolizing CYP46A1
Natalia Mast, Wenchao Zheng, C. David Stout, and Irina A. Pikuleva 86
- Inhibition of the Proton-Coupled Folate Transporter (PCFT-SLC46A1) by Bicarbonate and Other Anions
Rongbao Zhao, Michele Visentin, Sylvia O. Suadicani, and I. David Goldman 95
- Rapamycin Allosterically Inhibits the Proteasome
Pawel A. Osmulski and Maria Gaczynska 104

- [S] Structural Determinants of Agonist Efficacy at the Glutamate Binding Site of *N*-Methyl-D-Aspartate Receptors
Kasper B. Hansen, Nami Tajima, Rune Risgaard, Riley E. Perszyk, Lars Jørgensen, Katie M. Vance, Kevin K. Ogden, Rasmus P. Clausen, Hiro Furukawa, and Stephen F. Traynelis 114
- [S] The Nuclear Factor κ B Family Member RelB Facilitates Apoptosis of Renal Epithelial Cells Caused by Cisplatin/Tumor Necrosis Factor α Synergy by Suppressing an Epithelial to Mesenchymal Transition–Like Phenotypic Switch
Giulia Benedetti, Michiel Fokkelman, Kuan Yan, Lisa Fredriksson, Bram Herpers, John Meerman, Bob van de Water, and Marjo de Graauw 128
- Three Ubiquitination Sites of Organic Anion Transporter-1 Synergistically Mediate Protein Kinase C–Dependent Endocytosis of the Transporter
Shanshan Li, Qiang Zhang, and Guofeng You 139
- [S] Low Molecular Weight Fucoidan Improves Endoplasmic Reticulum Stress-Reduced Insulin Sensitivity through AMP-Activated Protein Kinase Activation in L6 Myotubes and Restores Lipid Homeostasis in a Mouse Model of Type 2 Diabetes
Yong-Tae Jeong, Yong Deuk Kim, Young-Mi Jung, Dong-Chan Park, Dong-Sub Lee, Sae-Kwang Ku, Xian Li, Yue Lu, Guang Hsuan Chao, Keuk-Jun Kim, Jai-Youl Lee, Moon-Chang Baek, Wonku Kang, Seung-Lark Hwang, and Hyeun Wook Chang 147
- MINIREVIEW**
- The Prevalence, Maintenance, and Relevance of G Protein–Coupled Receptor Oligomerization
Graeme Milligan 158
- ERRATUM**
- Correction to “Differential Activation and Modulation of the Glucagon-Like Peptide-1 Receptor by Small Molecular Ligands” 170

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

About the cover: Binding modes of the three hits F02... and their chemical structures. See the article by Jordheim et al. on page 12 of this issue.