

MOLECULAR PHARMACOLOGY

June 2015

Volume 87

Number 6

molpharm.aspetjournals.org

ISSN 1521-0111

MINIREVIEW

G Protein Regulation of Neuronal Calcium Channels: Back to the Future

Juliane Proft and Norbert Weiss

890

ARTICLES

▣ Molecular Determinants of CGS21680 Binding to the Human Adenosine A_{2A} Receptor

Guillaume Lebon, Patricia C. Edwards, Andrew G. W. Leslie, and Christopher G. Tate

907

▣ FTY720 Phosphate Activates Sphingosine-1-Phosphate Receptor 2 and Selectively Couples to G $\alpha_{12/13}$ /Rho/ROCK to Induce Myofibroblast Contraction

Katrin Sobel, Lucile Monnier, Katalin Menyhart, Matthias Bolinger, Rolf Studer, Oliver Nayler, and John Gatfield

916

Cyclic Adenosine 3',5'-Monophosphate Elevation and Biological Signaling through a Secretin Family G_s-Coupled G Protein-Coupled Receptor Are Restricted to a Single Adenylate Cyclase Isoform

Andrew C. Emery, Xiu-Huai Liu, Wenqin Xu, Maribeth V. Eiden, and Lee E. Eiden

928

▣ The Molecular Basis of Oligomeric Organization of the Human M₃ Muscarinic Acetylcholine Receptor

María José Varela Liste, Gianluigi Caltabiano, Richard J. Ward, Elisa Alvarez-Curto, Sara Marsango, and Graeme Milligan

936

Salmeterol Efficacy and Bias in the Activation and Kinase-Mediated Desensitization of β 2-Adrenergic Receptors

Luis E. Gimenez, Faiza Baameur, Sharat J. Vayttaden, and Richard B. Clark

954

▣ Pharmacological NAD-Boosting Strategies Improve Mitochondrial Homeostasis in Human Complex I-Mutant Fibroblasts

Roberta Felici, Andrea Lapucci, Leonardo Cavone, Sara Pratesi, Rolando Berlinguer-Palmini, and Alberto Chiarugi

965

▣ Investigation of the Fate of Type I Angiotensin Receptor after Biased Activation

Gyöngyi Szakadati, András D. Tóth, Ilona Oláh, László Sándor Erdélyi, Tamas Balla, Péter Várnai, László Hunyady, and András Balla

972

Characterization of Angiotensin II Molecular Determinants Involved in AT₁ Receptor Functional Selectivity

Ivana Domazet, Brian J. Holleran, Alexandra Richard, Camille Vandenberghe, Pierre Lavigne, Emanuel Escher, Richard Leduc, and Gaétan Guillemette

982

▣ The Novel Ribonucleotide Reductase Inhibitor COH29 Inhibits DNA Repair In Vitro

Mei-Chuan Chen, Bingsen Zhou, Keqiang Zhang, Yate-Ching Yuan, Frank Un, Shuya Hu, Chih-Ming Chou, Chun-Han Chen, Jun Wu, Yan Wang, Xiyong Liu, D. Lynne Smith, Hongzhi Li, Zheng Liu, Charles D. Warden, Leila Su, Linda H. Malkas, Young Min Chung, Mickey C.-T. Hu, and Yun Yen

996

- Dual Targeting of the Androgen Receptor and Hypoxia-Inducible Factor 1 α Pathways Synergistically Inhibits Castration-Resistant Prostate Cancer Cells
Elena V. Fernandez, Kelie M. Reece, Ariel M. Ley, Sarah M. Troutman, Tristan M. Sissung, Douglas K. Price, Cindy H. Chau, and William D. Figg 1006
- Activating and Inhibitory Functions of WNT/ β -Catenin in the Induction of Cytochromes P450 by Nuclear Receptors in HepaRG Cells
Maria Thomas, Christine Bayha, Silvia Vetter, Ute Hofmann, Michael Schwarz, Ulrich M. Zanger, and Albert Braeuning 1013
- The Nurr1 Activator 1,1-Bis(3'-Indolyl)-1-(*p*-Chlorophenyl)Methane Blocks Inflammatory Gene Expression in BV-2 Microglial Cells by Inhibiting Nuclear Factor κ B
Briana R. De Miranda, Katriana A. Popichak, Sean L. Hammond, Bryce A. Jorgensen, Aaron T. Phillips, Stephen Safe, and Ronald B. Tjalkens 1021
- Brainstem Brain-Derived Neurotrophic Factor Signaling Is Required for Histone Deacetylase Inhibitor-Induced Pain Relief
Wenjuan Tao, Quan Chen, Lu Wang, Wenjie Zhou, Yunping Wang, and Zhi Zhang 1035
- The Link between Inactivation and High-Affinity Block of hERG1 Channels
Wei Wu, Alison Gardner, and Michael C. Sanguinetti 1042

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

About the cover: Molecular interactions of the subtype-specific agonist CGS21680 bound to the adenosine A_{2A} receptor determined by X-ray crystallography. See the article by Lebon et al. (<http://dx.doi.org/10.1124/mol.114.097360>).