

MOLECULAR PHARMACOLOGY

January 2016

Volume 89

Number 1

molpharm.aspetjournals.org

ISSN 1521-0111

MINIREVIEW

Biochemical Pharmacology of the Sigma-1 Receptor

Uyen B. Chu and Arnold E. Ruoho

142

ARTICLES

□ Inactivation of Human Cytochrome P450 3A4 and 3A5 by Dronedarone and *N*-Desbutyl Dronedarone

Yanjun Hong, Yvonne Mei Fen Chia, Ray Hng Yeo, Gopalakrishnan Venkatesan, Siew Kwan Koh, Christina Li Lin Chai, Lei Zhou, Pipin Kojodjojo, and Eric Chun Yong Chan

1

□ The Dual Estrogen Receptor α Inhibitory Effects of the Tissue-Selective Estrogen Complex for Endometrial and Breast Safety

Sang Jun Han, Khurshida Begum, Charles E. Foulds, Ross A. Hamilton, Suzanna Bailey, Anna Malovannaya, Doug Chan, Jun Qin, and Bert W. O'Malley

14

□ Chronic Oxidative Stress Increases Resistance to Doxorubicin-Induced Cytotoxicity in Renal Carcinoma Cells Potentially Through Epigenetic Mechanism

Logeswari Ponnusamy, Prathap Kumar S. Mahalingaiah, and Kamaleshwar P. Singh

27

Molecular Interactions and Implications of Aldose Reductase Inhibition by PGA_1 and Clinically Used Prostaglandins

Beatriz Díez-Dacal, Francisco J. Sánchez-Gómez, Pedro A. Sánchez-Murcia, Ivana Milackova, Tahl Zimmerman, Jana Ballekova, Elena García-Martín, José A. G. Agúndez, Severine Gharbi, Federico Gago, Milan Stefek, and Dolores Pérez-Sala

42

Genomically Incorporated 5-Fluorouracil that Escapes UNG-Initiated Base Excision Repair Blocks DNA Replication and Activates Homologous Recombination

Amelia M. Huehls, Catherine J. Huntoon, Poorval M. Joshi, Carly A. Baehr, Jill M. Wagner, Xiaoxiao Wang, Marietta Y. Lee, and Larry M. Karnitz

53

Axon-to-Glia Interaction Regulates GABA_A Receptor Expression in Oligodendrocytes

Rogelio O. Arellano, María Victoria Sánchez-Gómez, Elena Alberdi, Manuel Canedo-Antelo, Juan Carlos Chara, Aitor Palomino, Alberto Pérez-Samartín, and Carlos Matute

63

$\text{G}\beta\gamma$ Binds to the Extreme C Terminus of SNAP25 to Mediate the Action of $\text{G}_{i/o}$ -Coupled G Protein-Coupled Receptors

Zack Zurawski, Shelagh Rodriguez, Karren Hyde, Simon Alford, and Heidi E. Hamm

75

□ Reduced Myelination and Increased Glia Reactivity Resulting from Severe Neonatal Hyperbilirubinemia

Andreia Barateiro, Shujuan Chen, Mei-Fei Yueh, Adelaide Fernandes, Helena Sofia Domingues, João Relvas, Olivier Barbier, Nghia Nguyen, Robert H. Tukey, and Dora Brites

84

Interdicting G_q Activation in Airway Disease by Receptor-Dependent and Receptor-Independent Mechanisms

Richard Carr III, Cynthia Koziol-White, Jie Zhang, Hong Lam, Steven S. An, Gregory G. Tall, Reynold A. Panettieri Jr., and Jeffrey L. Benovic

94

<p> S A Small Molecule Pyrazolo[3,4-<i>d</i>]Pyrimidinone Inhibitor of Zipper-Interacting Protein Kinase Suppresses Calcium Sensitization of Vascular Smooth Muscle <i>Justin A. MacDonald, Cindy Sutherland, David A. Carlson, Sabreena Bhaidani, Abdulhameed Al-Ghabkari, Karl Swärd, Timothy A. J. Haystead, and Michael P. Walsh</i> </p>	105
<p> S Phosphorylation of RS1 (<i>RSC1A1</i>) Steers Inhibition of Different Exocytotic Pathways for Glucose Transporter SGLT1 and Nucleoside Transporter CNT1, and an RS1-Derived Peptide Inhibits Glucose Absorption <i>Maike Veyhl-Wichmann, Alexandra Friedrich, Alexandra Vernaleken, Smriti Singh, Helmut Kipp, Valentin Gorboulev, Thorsten Keller, Chakravarthi Chintalapati, Rüdiger Pipkorn, Marçal Pastor-Anglada, Jürgen Groll, and Hermann Koepsell</i> </p>	118
<p> S Bumetanide Derivatives AqB007 and AqB011 Selectively Block the Aquaporin-1 Ion Channel Conductance and Slow Cancer Cell Migration <i>Mohamad Kourghi, Jinxin V. Pei, Michael L. De Ieso, Gary Flynn, and Andrea J. Yool</i> </p>	133
<p> S <i>CYP2C8</i> Is a Novel Target of Peroxisome Proliferator-Activated Receptor α in Human Liver <i>Ngome L. Makia and Joyce A. Goldstein</i> </p>	154
<p> S Binding Mode Selection Determines the Action of Ecstasy Homologs at Monoamine Transporters <i>Walter Sandtner, Thomas Stockner, Peter S. Hasenhuettl, John S. Partilla, Amir Seddik, Yuan-Wei Zhang, Jianjing Cao, Marion Holy, Thomas Steinkellner, Gary Rudnick, Michael H. Baumann, Gerhard F. Ecker, Amy Hauck Newman, and Harald H. Sitte</i> </p>	165
<p> S Bitopic Sphingosine 1-Phosphate Receptor 3 (S1P3) Antagonist Rescue from Complete Heart Block: Pharmacological and Genetic Evidence for Direct S1P3 Regulation of Mouse Cardiac Conduction <i>M. Germana Sanna, Kevin P. Vincent, Emanuela Repetto, Nhan Nguyen, Steven J. Brown, Lusine Abgaryan, Sean W. Riley, Nora B. Leaf, Stuart M. Cahalan, William B. Kiosses, Yasushi Kohno, Joan Heller Brown, Andrew D. McCulloch, Hugh Rosen, and Pedro J. Gonzalez-Cabrera</i> </p>	176
<p> S Voltage-Gated R-Type Calcium Channel Inhibition via Human μ-, δ-, and κ-opioid Receptors Is Voltage-Independently Mediated by G$\beta\gamma$ Protein Subunits <i>Géza Berecki, Leonid Motin, and David J. Adams</i> </p>	187
<p> S Identification and Validation of Larixyl Acetate as a Potent TRPC6 Inhibitor <i>Nicole Urban, Liming Wang, Sandra Kwiek, Jörg Rademann, Wolfgang M. Kuebler, and Michael Schaefer</i> </p>	197
<p>ERRATA</p>	
<p>Correction to “Desformylflustrabromine (dFBr) and [³H]dFBr-Labeled Binding Sites in a Nicotinic Acetylcholine Receptor”</p>	141
<p>Correction to “The LRRC26 Protein Selectively Alters the Efficacy of BK Channel Activators”</p>	214

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

About the cover: Image shows expression of fluorescently stained S1PR3-A555 (red) and DAPI for nuclei (blue) on a single cardiac Purkinje fiber rendered and reconstructed using IMARIS software (Bitplane Inc.) from confocal images obtained with laser scanning confocal microscope (Zeiss Inc.) on a 40 micron section from mouse cardiac heart tissue. See the article by Rosen et al. ([dx.doi.org/10.1124/mol.115.100222](https://doi.org/10.1124/mol.115.100222)).