

MOLECULAR PHARMACOLOGY

January 2012

Volume 81

Number 1

molpharm.aspetjournals.org

ISSN 1521-0111

EDITOR'S NOTE

1

ARTICLES

Development of Novel CH223191-Based Antagonists of the Aryl Hydrocarbon Receptor

Eun-Young Choi, Hyosung Lee, R. W. Cameron Dingle, Kyung Bo Kim, and Hollie I. Swanson

3

Estrogen Receptors and Type 1 Metabotropic Glutamate Receptors Are Interdependent in Protecting Cortical Neurons against β -Amyloid Toxicity

Simona Federica Spampinato, Gemma Molinaro, Sara Merlo, Luisa Iacovelli, Filippo Caraci, Giuseppe Battaglia, Ferdinando Nicoletti, Valeria Bruno, and Maria Angela Sortino

12

The LRRRC26 Protein Selectively Alters the Efficacy of BK Channel Activators

Janos Almassy and Ted Begenisich

21

☐ Diflavin Oxidoreductases Activate the Bioreductive Prodrug PR-104A under Hypoxia

Christopher P. Guise, Maria R. Abbattista, Smitha R. Tipparaju, Neil K. Lambie, Jiechuang Su, Dan Li, William R. Wilson, Gabi U. Dachs, and Adam V. Patterson

31

☐ Probe Dependence in the Allosteric Modulation of a G Protein-Coupled Receptor: Implications for Detection and Validation of Allosteric Ligand Effects

Celine Valant, Christian C. Felder, Patrick M. Sexton, and Arthur Christopoulos

41

Designing Calcium Release Channel Inhibitors with Enhanced Electron Donor Properties: Stabilizing the Closed State of Ryanodine Receptor Type 1

Yanping Ye, Daniel Yaeger, Laura J. Owen, Jorge O. Escobedo, Jialu Wang, Jeffrey D. Singer, Robert M. Strongin, and Jonathan J. Abramson

53

☐ Modeling Human Cytochrome P450 2D6 Metabolism and Drug-Drug Interaction by a Novel Panel of Knockout and Humanized Mouse Lines

Nico Scheer, Yury Kapelyukh, Jillian McEwan, Vincent Beuger, Lesley A. Stanley, Anja Rode, and C. Roland Wolf

63

Tyrosine Phosphorylation of the Human Serotonin Transporter: A Role in the Transporter Stability and Function

Balasubramaniam Annamalai, Padmanabhan Mannangatti, Obulakshmi Arapulisamy, Toni S. Shippenberg, Lankupalle D. Jayanthi, and Sammanda Ramamoorthy

73

☐ The Role of CYP3A4 mRNA Transcript with Shortened 3'-Untranslated Region in Hepatocyte Differentiation, Liver Development, and Response to Drug Induction

Dan Li, Roger Gaedigh, Steven N. Hart, J. Steven Leeder, and Xiao-bo Zhong

86

□ The Activity of Human Aquaporin 1 as a cGMP-Gated Cation Channel Is Regulated by Tyrosine Phosphorylation in the Carboxyl-Terminal Domain

Ewan M. Campbell, Dawn N. Birdsell, and Andrea J. Yool

97

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

About the cover: Expression of ER α and mGlu1 receptors in cultured cortical neurons and astrocytes. See article by Spampinato et al. on page 12 of this issue.