MINIREVIEWS
Control of Assembly and Function of Glutamate Receptors by the Amino-Terminal Domain
Kasper B. Hansen, Hiro Furukawa, and Stephen F. Traynelis 535

Thinking Outside of the “RGS Box”: New Approaches to Therapeutic Targeting of Regulators of G Protein Signaling
Benita Sjögren and Richard R. Neubig 550

PERSPECTIVE
Mind Your Salts: When the Inactive Constituent Isn’t
Richard R. Neubig 558

ACCELERATED COMMUNICATION
Targeting of the Orphan Receptor GPR35 by Pamoic Acid: A Potent Activator of Extracellular Signal-Regulated Kinase and β-Arbetin2 with Antinociceptive Activity

ARTICLES
Strontium Ranelate Decreases Receptor Activator of Nuclear Factor-κB Ligand-Induced Osteoclastic Differentiation In Vitro: Involvement of the Calcium-Sensing Receptor
Axelle Caudrillier, Anne-Sophie Hurtel-Lemaire, Alice Wattel, Fabienne Cournarie, Corinne Godin, Laurent Petit, Jean-Pierre Petit, Ernest Tewiilliger, Said Kamel, Edward Meigs Brown, Romuald Mentaverri, and Michel Brazier 569

Targeting the Proton-Coupled Folate Transporter for Selective Delivery of 6-Substituted Pyrrolo[2,3-d]Pyrimidine Antifolate Inhibitors of De Novo Purine Biosynthesis in the Chemotherapy of Solid Tumors
Sita Kugel Desmoulin, Yiqiang Wang, Jianmei Wu, Mark Stout, Zhanjun Hou, Andreas Fulterer, Min-Hwang Chang, Michael F. Romero, Christina Cherian, Aleem Gangjee, and Larry H. Matherly 577
**Potassium Channel Block by a Tripartite Complex of Two Cationophilic Ligands and a Potassium Ion**

Pavel I. Zimin, Bojan Garic, Silke B. Bodendiek, Cédrick Mahieux, Heike Wulff, and Boris S. Zhorov

588

**Phenylalanine-544 Plays a Key Role in Substrate and Inhibitor Binding by Providing a Hydrophobic Packing Point at the Active Site of Insulin-Regulated Aminopeptidase**

Anthony L. Albiston, Vi Pham, Syiling Ye, Leeloo Ng, Rebecca A. Lew, Philip E. Thompson, Jessica K. Holien, Craig J. Morton, Michael W. Parker, and Siew Yeen Chai

600

**Role of Epigenetic Mechanisms in Differential Regulation of the Dioxin-Inducible Human CYP1A1 and CYP1B1 Genes**

Sudheer R. Beedanagari, Robert T. Taylor, Peter Bui, Feng Wang, Derek W. Nickerson, and Oliver Hankinson

608

**Functional Characterization of the Semisynthetic Bile Acid Derivative INT-767, a Dual Farnesoid X Receptor and TGR5 Agonist**

Giovanni Rizzo, Daniela Passeri, Francesca De Franco, Gianmario Ciaccioli, Loredana Donadio, Giorgio Rizzo, Stefano Orlandi, Bahman Sadeghpour, Xiaoxin X. Wang, Tao Jiang, Moshe Levi, Mark Pruksani, and Luciano Adorini

617

Molecular Model of Anticonvulsant Drug Binding to the Voltage-Gated Sodium Channel Inner Pore

Gregory M. Lipkind and Harry A. Fozzard

631

**Ligand-Supported Purification of the Urotensin-II Receptor**


639

The M1 Muscarinic Receptor Allosteric Agonists AC-42 and 1-[(1′-(2-Methylbenzyl)-1,4′-bipiperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one Bind to a Unique Site Distinct from the Acetylcholine Orthosteric Site

Marlene A. Jacobson, Constantine Kretzoukas, Danette M. Pascarella, Julie A. O'Brien, and Cyrille Sur

648

**Virtual Screening-Based Discovery and Mechanistic Characterization of the Acalyphthiouraea MRT-10 Family as Smoothened Antagonists**

Fabrizio Manetti, Helene Faure, Hermine Roudaut, Tatiana Gorojanka, Elisabeth Traiffort, Angele Schoenfelder, Andre Mann, Antonio Solinas, Maurizio Taddei, and Martial Ruat

658

**A Functional Cross-Talk between Liver X Receptor-α and Constitutive Androstane Receptor Links Lipogenesis and Xenobiotic Responses**

Yonggong Zhai, Tara Wada, Bin Zhang, Shaheen Khadem, Songrong Ren, Ramalinga Kuruba, Song Li, and Wen Xie

666

Membrane Transport and Intracellular Sequestration of Novel Thiosemicarbazone Chelators for the Treatment of Cancer

Angelica M. Merlot, Namfon Pantarat, David B. Lovejoy, Danuta S. Kalinowski, and Des R. Richardson

675

Elimination of a Hydroxyl Group in FTY720 Dramatically Improves the Phosphorylation Rate

Eve Jary, Thomas Bee, Scott R. Walker, Sung-Kee Chung, Kyung-Chang Seo, Jonathan C. Morris, and Anthony S. Don

685

**Mechanism-Based Inactivation of Cytochrome P450 3A4 by Lapatinib**

Woon Chien Teng, Jing Wen Oh, Lee Sun New, Michelle D. Wahlin, Sidney D. Nelson, Han Kiat Ho, and Eric Chun Yong Chan

693

**Synergistically Enhanced CYP2B6 Inducibility between a Polymorphic Mutation in CYP2B6 Promoter and Pregnane X Receptor Activation**

Haishan Li, Stephen S. Ferguson, and Hongbing Wang

704
A Novel Polymorphism in a Forkhead Box A1 (FOXA1) Binding Site of the Human UDP Glucuronosyltransferase 2B17 Gene Modulates Promoter Activity and Is Associated with Altered Levels of Circulating Androstane-3α,17β-diol Glucuronide


Cyclopentenone Prostaglandins with Dienone Structure Promote Cross-Linking of the Chemoresistance-Inducing Enzyme Glutathione Transferase P1-1

Francisco J. Sánchez-Gómez, Beatriz Díez-Dacal, María A. Pajares, Oscar Llorca, and Dolores Pérez-Sala

Blockade by Nanomolar Resveratrol of Quantal Catecholamine Release in Chromaffin Cells

José Carlos Fernández-Morales, Matilde Yáñez, Francisco Orallo, Lorena Cortés, José Carlos González, Jesús Miguel Hernández-Gutiérrez, Antonio G. García, and Antonio M. G. de Diego

Mutagenesis of Nucleophilic Residues near the Orthosteric Binding Pocket of M₁ and M₂ Muscarinic receptors: Effect on the Binding of Nitrogen Mustard Analogs of Acetylcholine and McN-A-343

Hinako Suga, Gregory W. Sawyer, and Frederick J. Ehlert

μ-Opioid Receptors: Correlation of Agonist Efficacy for Signalling with Ability to Activate Internalization

Jamie McPherson, Guadalupe Rivero, Myma Baptist, Javier Llorente, Suleiman Al-Sabah, Cornelius Krasel, William L. Dewey, Chris P. Bailey, Elizabeth M. Rosethorne, Steven J. Charlton, Graeme Henderson, and Eamonn Kelly

An N-Terminal Polybasic Motif of Gαq Is Required for Signaling and Influences Membrane Nanodomain Distribution

Marykate Crouthamel, Daniel Abankwa, Li Zhang, Cherisse DiLizio, David R. Manning, John F. Hancock, and Philip B. Wedegaertner

Negative Regulatory Effects of Mnk Kinases in the Generation of Chemotherapy-Induced Antileukemic Responses

Jessica K. Altman, Heather Glaser, Antonella Sassano, Sonali Joshi, Takeshi Ueda, Rie Watanabe-Fukunaga, Rikiro Fukunaga, Martin S. Tallman, and Leonidas C. Platanias

Exploring the Binding Site Crevice of a Family B G Protein-Coupled Receptor, the Type 1 Corticotropin Releasing Factor Receptor

Kostas Gkountelias, Maria Papadokostaki, Jonathan A. Javitch, and George Liapakis

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

About the cover: Superposition of structures of carbamazepine (pink color) and lamotrigine (blue color) inside of the inner pore (top view). See article by Lipkind et al. on page 631 of this issue.