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

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

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

ACCELERATED COMMUNICATION
□ Targeting of the Orphan Receptor GPR35 by Pamoic Acid: A Potent Activator of Extracellular Signal-Regulated Kinase and β-Arrestin2 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 Terasiliger, Said Kamel, Edward Meigs Brown, Romuald Mentaverri, and Michel Brazier

□ 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
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, Siying 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,  
Giorgia Rizzo, Stefano Orlandi, Bahman Sadeghpour, Xiaoxin X. Wang, Tao Jiang, Moshe Levi,  
Mark Pruzanski, 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  
Ann T. Du, Đöne Onan, Diem T. Dinh, Michael J. Lew, James Ziogas, Marie-Isabel Aguilar,  
Leonard K. Pattenden, and Walter G. Thomas 639

The M1 Muscarinic Receptor Allosteric Agonists AC-42 and 1-11032-(2-Methylbenzyl)-1,4-11032-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 Kreatsoulas, Danette M. Pascarella, Julie A. O'Brien,  
and Cyrille Sur 648

Virtual Screening-Based Discovery and Mechanistic Characterization of the Acylthiourea MRT-10  
Family as Smoothened Antagonists  
Fabrizio Manetti, Helene Faure, Hermine Roudaut, Tatiana Gorojankina, 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 Thiosemicarbazon 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 Androstan-3α,17β-diol Glucuronide
Dong Gui Hu, Dione Gardner-Stephen, Gianluca Severi, Philip A. Gregory, Joanna Treloar,
Graham G. Giles, Dallas R. English, John L. Hopper, Wayne D. Tilley, and Peter I. Mackenzie

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-Gutiño, 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.