

MOLECULAR PHARMACOLOGY

March 2009

Volume 75

Number 3

<http://molpharm.aspetjournals.org>

ISSN 0026-895X

MINIREVIEW

- Pharmacological Targeting of the Integrated Protein Kinase B, Phosphatase and Tensin Homolog Deleted on Chromosome 10, and Transforming Growth Factor- β Pathways in Prostate Cancer
Stephen J. Assinder, Qihan Dong, Helena Mangs, and Des R. Richardson 429

ACCELERATED COMMUNICATIONS

- 5-Fluoro-2-indolyl des-chlorohalopemide (FIPI), a Phospholipase D Pharmacological Inhibitor That Alters Cell Spreading and Inhibits Chemotaxis
Wenjuan Su, Oladapo Yeku, Srinivas Olepu, Alyssa Genna, Jae-Sook Park, Hongmei Ren, Guangwei Du, Michael H. Gelb, Andrew J. Morris, and Michael A. Frohman 437
- D₅ Dopamine Receptors are Required for Dopaminergic Activation of Phospholipase C
Asha Sahu, Kimberly R. Tyeryar, Habiba O. Vongtau, David R. Sibley, and Ashiwel S. Undieh 447

ARTICLES

- Molecular Basis for the Selective Interaction of Synthetic Agonists with the Human Histamine H₁-Receptor Compared with the Guinea Pig H₁-Receptor
Andrea Straßer, Hans-Joachim Wittmann, Marc Kunze, Sigurd Elz, and Roland Seifert 454
- Canonical Transient Receptor Potential Channel (TRPC) 3 and TRPC6 Associate with Large-Conductance Ca²⁺-Activated K⁺ (BK_{Ca}) Channels: Role in BK_{Ca} Trafficking to the Surface of Cultured Podocytes
Eun Young Kim, Claudia P. Alvarez-Baron, and Stuart E. Dryer 466
- Cu/Zn Superoxide Dismutase Typical for Familial Amyotrophic Lateral Sclerosis Increases the Vulnerability of Mitochondria and Perturbs Ca²⁺ Homeostasis in SOD1^{G93A} Mice
Manoj Kumar Jaiswal and Bernhard U. Keller 478
- The Relative Activity of "Function Sparing" HIV-1 Entry Inhibitors on Viral Entry and CCR5 Internalization: Is Allosteric Functional Selectivity a Valuable Therapeutic Property?
Vanessa M. Muniz-Medina, Stacey Jones, Jodi M. Maglich, Cristin Galardi, Robert E. Hollingsworth, Wieslaw M. Kazmierski, Robert G. Ferris, Mark P. Edelstein, Karen E. Chiswell, and Terry P. Kenakin 490

Molecular Pharmacology (ISSN 0026-895X) is published monthly (two volumes per year beginning in January and July) by the American Society for Pharmacology and Experimental Therapeutics, 9650 Rockville Pike, Bethesda, MD 20814-3995; e-mail: subscriptions@aspet.org; web site: aspet.org. Periodicals postage paid at Bethesda, MD, and at additional mailing offices. POSTMASTER: Send address changes to *Molecular Pharmacology*, 9650 Rockville Pike, Bethesda, MD 20814-3995. Subscription rates: U.S.: \$686.00; outside the U.S.: \$778.00. Single copy: \$60.00. GST Tax Number for Canadian sub-

scribers: BN:13489 2330 RT. Indexed or abstracted by *Biochemistry & Biophysics Citation Index*®, *Biological Abstracts*, *Current Awareness in Biological Sciences*, *Current Contents*®/Life Sciences, *EMBASE/Excerpta Medica*, *Index Medicus*, *Medical Documentation Service*®, *Reference Update*®, *Research Alert*®, *Science Citation Index*®, and *SciSearch*®. Copyright © 2009 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

<p> S Evidence for a Direct and Functional Interaction between the Regulators of G Protein Signaling-2 and Phosphorylated C Terminus of Cholecystokinin-2 Receptor <i>Ingrid Langer, Irina G. Tikhonova, Cyril Boulègue, Jean-Pierre Estève, Sébastien Vatinel, Audrey Ferrand, Luis Moroder, Patrick Robberecht, and Daniel Fourmy</i> </p>	502
<p> A Juxtamembrane Mutation in the N Terminus of the Dopamine Transporter Induces Preference for an Inward-Facing Conformation <i>Bipasha Guptaroy, Minjia Zhang, Erica Bowton, Francesca Binda, Lei Shi, Harel Weinstein, Aurelio Galli, Jonathan A. Javitch, Richard R. Neubig, and Margaret E. Gnegy</i> </p>	514
<p> S Butein Suppresses Constitutive and Inducible Signal Transducer and Activator of Transcription (STAT) 3 Activation and STAT3-Regulated Gene Products through the Induction of a Protein Tyrosine Phosphatase SHP-1 <i>Manoj K. Pandey, Bokyung Sung, Kwang Seok Ahn, and Bharat B. Aggarwal</i> </p>	525
<p> Fluorescence Resonance Energy Transfer Analysis of α_{2a}-Adrenergic Receptor Activation Reveals Distinct Agonist-Specific Conformational Changes <i>A. Zürn, U. Zabel, J.-P. Villardaga, H. Schindelin, M. J. Lohse, and C. Hoffmann</i> </p>	534
<p> Mechanisms and Functional Significance of Inhibition of Neuronal T-Type Calcium Channels by Isoflurane <i>Peihan Orestes, Damir Bojadzic, Robert M. Chow, and Slobodan M. Todorovic</i> </p>	542
<p> Knock-In Mouse Lines Expressing either Mitochondrial or Microsomal CYP1A1: Differing Responses to Dietary Benzo[a]pyrene as Proof of Principle <i>Hongbin Dong, Timothy P. Dalton, Marian L. Miller, Ying Chen, Shigeyuki Uno, Zhanquan Shi, Howard G. Shertzer, Seema Bansal, Narayan G. Avadhani, and Daniel W. Nebert</i> </p>	555
<p> S Analysis of DNA Methylation and Histone Modification Profiles of Liver-Specific Transporters <i>Satoki Imai, Ryota Kikuchi, Hiroyuki Kusuhara, Shintaro Yagi, Kunio Shiota, and Yuichi Sugiyama</i> </p>	568
<p> Discovery and Characterization of Novel Allosteric Potentiators of M₁ Muscarinic Receptors Reveals Multiple Modes of Activity <i>Joy E. Marlo, Colleen M. Niswender, Emily L. Days, Thomas M. Bridges, Yun Xiang, Alice L. Rodriguez, Jana K. Shirey, Ashley E. Brady, Tasha Nalywajko, Qingwei Luo, Cheryl A. Austin, Michael Baxter Williams, Kwangho Kim, Richard Williams, Darren Orton, H. Alex Brown, Craig W. Lindsley, C. David Weaver, and P. Jeffrey Conn</i> </p>	577
<p> Identification of an Activator Protein-1-Like Sequence as the Glucocorticoid Response Element in the Rat Tyrosine Hydroxylase Gene <i>C. S. Sheela Rani, Narayanasamy Elango, Shou-shu Wang, Kazuto Kobayashi, and Randy Strong</i> </p>	589
<p> Psoralen-Induced DNA Interstrand Cross-Links Block Transcription and Induce p53 in an Ataxia-Telangiectasia and Rad3-Related-Dependent Manner <i>Frederick A. Derheimer, J. Kevin Hicks, Michelle T. Paulsen, Christine E. Canman, and Mats Ljungman</i> </p>	599
<p> Phospholipase C-Mediated Regulation of Transient Receptor Potential Vanilloid 6 Channels: Implications in Active Intestinal Ca²⁺ Transport <i>Baskaran Thyagarajan, Bryan S. Benn, Sylvia Christakos, and Tibor Rohacs</i> </p>	608
<p> Synthetic Small-Molecule Prohormone Convertase 2 Inhibitors <i>Dorota Kowalska, Jin Liu, Jon R. Appel, Akihiko Ozawa, Adel Nefzi, Robert B. Mackin, Richard A. Houghten, and Iris Lindberg</i> </p>	617
<p> S Reciprocal Regulation of the Platelet-Derived Growth Factor Receptor-β and G Protein-Coupled Receptor Kinase 5 by Cross-Phosphorylation: Effects on Catalysis <i>Xinjiang Cai, Jiao-Hui Wu, Sabrina T. Exum, Martin Oppermann, Richard T. Premont, Sudha K. Shenoy, and Neil J. Freedman</i> </p>	626

- S Differential Coupling of the Vasopressin V_{1b} Receptor through Compartmentalization within the Plasma Membrane
Hélène Orcel, Laura Albizu, Sanja Perkovska, Thierry Durroux, Christiane Mendre, Hervé Ansanay, Bernard Mouillac, and Alain Rabié 637
- Molecular Mechanisms of Corticotropin-Releasing Factor Receptor-Induced Calcium Signaling
Eric Gutknecht, Ilse Van der Linden, Kristof Van Kolen, Kim F. C. Verhoeven, Georges Vauquelin, and Frank M. Dautzenberg 648
- Quantification of Focal Adhesion Kinase Activation Loop Phosphorylation as a Biomarker of Src Activity
Eugene Ciccimaro, Steven K. Hanks, and Ian A. Blair 658
- Heterocyclic Thioureylenes Protect from Calcium-Dependent Neuronal Cell Death
Matjaz Humar, Christine Graetz, Martin Roesslein, Ulrich Goebel, Klaus K. Geiger, Bernd Heimrich, and Benedikt H. J. Pannen 667
- S Unveiling a New Essential Cis Element for the Transactivation of the CYP3A4 Gene by Xenobiotics
Takayoshi Toriyabe, Kiyoshi Nagata, Tomonari Takada, Yusuke Aratsu, Tsutomu Matsubara, Kouichi Yoshinari, and Yasushi Yamazoe 677
- Angiotensin-Converting Enzyme (ACE) Inhibitors Modulate Cellular Retinol-Binding Protein 1 and Adiponectin Expression in Adipocytes via the ACE-Dependent Signaling Cascade
Karin Kohlstedt, Cynthia Gershon, Caroline Trouvain, Wolf-Karsten Hofmann, Stephan Fichtlscherer, and Ingrid Fleming 685
- Molecular Analysis of the Interaction of Anthrax Adenylyl Cyclase Toxin, Edema Factor, with 2'(3')-O-(N-(methyl)anthraniloyl)-Substituted Purine and Pyrimidine Nucleotides
Hesham M. Taha, Jennifer Schmidt, Martin Göttle, Srividya Suryanarayana, Yuequan Shen, Wei-Jen Tang, Andreas Gille, Jens Geduhn, Burkhard König, Stefan Dove, and Roland Seifert 693
- Desipramine Reduces Stress-Activated Dynorphin Expression and CREB Phosphorylation in NAc Tissue
Elena H. Chartoff, Maria Papadopoulou, Matt L. MacDonald, Aram Parsegian, David Potter, Christine Konradi, and William A. Carlezon, Jr. 704
- S Facilitatory Interplay in α_{1a} and β_2 Adrenoceptor Function Reveals a Non-G_q Signaling Mode: Implications for Diversification of Intracellular Signal Transduction
Alicja J. Copik, Cynthia Ma, Alan Kosaka, Sunil Sahdeo, Andy Trane, Hoangdung Ho, Paul S. Dietrich, Helen Yu, Anthony P. D. W. Ford, Donald Button, and Marcos E. Milla 713

ERRATA

- Correction to "A Dopamine D₂ Receptor Mutant Capable of G Protein-Mediated Signaling but Deficient in Arrestin Binding" 729
- Correction to "Protease-Activated Receptors Differentially Regulate Human Platelet Activation through a Phosphatidic Acid-Dependent Pathway" 730

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

About the cover: Comparison of phenylhistamine **9** and imidazolylpropylguanidine **19** in the binding pocket of gpH1R and hH1R. See the article by Straßer et al. on page 454 of this issue.