

MOLECULAR PHARMACOLOGY

October 2006



Volume 70

Number 4

<http://molpharm.aspetjournals.org>

ISSN 0026-895X



PERSPECTIVES

- Transient Receptor Potential Channels and Caveolin-1: Good Friends in Tight Spaces 
Carmelle V. Remillard and Jason X.-J. Yuan 1151
- Is It Go or NO Go for S-Nitrosylation Modification-Based Therapies of Cystic Fibrosis Transmembrane Regulator Trafficking? 
Pamela L. Zeitlin 1155

ACCELERATED COMMUNICATION

- Role of Ectodomain Lysines in the Subunits of the Heteromeric P2X_{2/3} Receptor
William J. Wilkinson, Lin-Hua Jiang, Annmarie Surprenant, and R. Alan North 1159

ARTICLES

- The Farnesoid X Receptor Promotes Adipocyte Differentiation and Regulates Adipose Cell Function in Vivo
Giovanni Rizzo, Moises Disante, Andrea Mencarelli, Barbara Renga, Antimo Gioiello, Roberto Pellicciari, and Stefano Fiorucci 1164
-  Caveolin-1 Regulates Store-Operated Ca²⁺ Influx by Binding of Its Scaffolding Domain to Transient Receptor Potential Channel-1 in Endothelial Cells
Angela M. Kwiatek, Richard D. Minshall, David R. Cool, Randal A. Skidgel, Asrar B. Malik, and Chinnaswamy Tiruppathi 1174
- Antioxidant Down-Regulates Interleukin-18 Expression in Asthma
Kyung Sun Lee, So Ri Kim, Seoung Ju Park, Kyung Hoon Min, Ka Young Lee, Sun Mi Jin, Wan Hee Yoo, and Yong Chul Lee 1184
-  The Bioreduction of a Series of Benzoquinone Ansamycins by NAD(P)H:Quinone Oxidoreductase 1 to More Potent Heat Shock Protein 90 Inhibitors, the Hydroquinone Ansamycins
Wenchang Guo, Philip Reigan, David Siegel, Joseph Zirrolli, Daniel Gustafson, and David Ross 1194
- Binding Site of a Novel Kv1.5 Blocker: A "Foot in the Door" against Atrial Fibrillation
Niels Decher, Pradeep Kumar, Teresa Gonzalez, Bernard Pirard, and Michael C. Sanguinetti 1204

The  and  symbols in the table of contents identify articles discussed in the *Perspectives*.

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: info@aspet.org; Web site: <http://www.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.: \$592.00 for institutions and \$241.00 for non-ASPET members. Outside the U.S.: \$673.00 for institutions and \$322.00 for non-ASPET members. Single copy:

\$57.00. GST Tax Number for Canadian subscribers: BN:13489 2330 RT. Indexed or abstracted by *Biochemistry & Biophysics Citation Index*[®], *Biological Abstracts*, *BIOSIS Previews Database*, *Current Awareness in Biological Sciences*, *Current Contents*[®]/*Life Sciences*, *EMBASE/Excerpta Medica*, *Index Medicus*, *Medical Documentation Service*[®], *Reference Update*[®], *Research Alert*[®], *Science Citation Index*[®], *SciSearch*[®], and *SIIC Data Bases*. Copyright © 2006 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

- In Vivo Activation of Human Pregnane X Receptor Tightens the Blood-Brain Barrier to Methadone through P-Glycoprotein Up-Regulation
Björn Bauer, Xiaodong Yang, Anika M. S. Hartz, Emily R. Olson, Rong Zhao, J. Cory Kalvass, Gary M. Pollack, and David S. Miller 1212
- § Effects of Potent Inhibitors of the Retinoid Cycle on Visual Function and Photoreceptor Protection from Light Damage in Mice
Akiko Maeda, Tadao Maeda, Marcin Golczak, Yoshikazu Imanishi, Patrick Leahy, Ryo Kubota, and Krzysztof Palczewski 1220
- Solution NMR of Acetylcholine Binding Protein Reveals Agonist-Mediated Conformational Change of the C-Loop
Fan Gao, Georges Mer, Marco Tonelli, Scott B. Hansen, Thomas P. Burghardt, Palmer Taylor, and Steven M. Sine 1230
- CB₁ Receptor Antagonism Increases Hippocampal Acetylcholine Release: Site and Mechanism of Action
Aldemar Degroot, Attila Köfalvi, Mark R. Wade, Richard J. Davis, Ricardo J. Rodrigues, Nelson Rebola, Rodrigo A. Cunha, and George G. Nomikos 1236
- Diabetes-Induced Mechanical Hyperalgesia Involves Spinal Mitogen-Activated Protein Kinase Activation in Neurons and Microglia via *N*-Methyl-D-aspartate-Dependent Mechanisms
Laurence Daulhac, Christophe Mallet, Christine Courteix, Monique Etienne, Eliane Duroux, Anne-Marie Privat, Alain Eschalier, and Joseph Fialip 1246
- Role in the Selectivity of Neonicotinoids of Insect-Specific Basic Residues in Loop D of the Nicotinic Acetylcholine Receptor Agonist Binding Site
Masaru Shimomura, Maiko Yokota, Makoto Ihara, Miki Akamatsu, David B. Sattelle, and Kazuhiko Matsuda 1255
- Risperidone Irreversibly Binds to and Inactivates the h5-HT₇ Serotonin Receptor
Carol Smith, Tariq Rahman, Nicole Toohey, Joseph Mazurkiewicz, Katharine Herrick-Davis, and Milt Teitler 1264
- § On the Mechanism of Action of 9-*O*-Arylalkyloxime Derivatives of 6-*O*-Mycaminosyltylonolide, a New Class of 16-Membered Macrolide Antibiotics
Panagiotis Karahalios, Dimitrios L. Kalpaxis, Hong Fu, Leonard Katz, Daniel N. Wilson, and George P. Dinos 1271
- Regulation of Mouse Hepatic α -Amino- β -Carboxymuconate- ϵ -Semiaidehyde Decarboxylase, a Key Enzyme in the Tryptophan-Nicotinamide Adenine Dinucleotide Pathway, by Hepatocyte Nuclear Factor 4 α and Peroxisome Proliferator-Activated Receptor α
Mariko Shin, Insook Kim, Yusuke Inoue, Shioko Kimura, and Frank J. Gonzalez 1281
- Endocrine Regulation of Gender-Divergent Mouse Organic Anion-Transporting Polypeptide (Oatp) Expression
Xingguo Cheng, Jonathan Maher, Hong Lu, and Curtis D. Klaassen 1291
- § The Cannabinoid CB₁ Receptor Antagonist Rimonabant (SR141716) Inhibits Human Breast Cancer Cell Proliferation through a Lipid Raft-Mediated Mechanism
Daniela Sarnataro, Simona Pisanti, Antonietta Santoro, Patrizia Gazzero, Anna Maria Malfitano, Chiara Laezza, and Maurizio Bifulco 1298
- Molecular Determinants of Pyrantel Selectivity in Nicotinic Receptors
Mariana Bartos, Diego Rayes, and Cecilia Bouzat 1307
- Biophysical Characterization of the New Human Ether-A-Go-Go-Related Gene Channel Opener NS3623 [*N*-(4-Bromo-2-(1*H*-tetrazol-5-yl)-phenyl)-*N'*-(3'-trifluoromethylphenyl)urea]
Rie Schultz Hansen, Thomas Goldin Diness, Torsten Christ, Erich Wettwer, Ursula Ravens, Søren-Peter Olesen, and Morten Grunnet 1319

Focal Adhesion Kinase and Protein Kinase B Cooperate to Suppress Doxorubicin-Induced Apoptosis of Breast Tumor Cells <i>Maroesja J. van Nimwegen, Merei Huigsloot, Annamarie Camier, Ine B. Tijdens, and Bob van de Water</i>	1330
Liver X Receptor (LXR)- β Regulation in LXR α -Deficient Mice: Implications for Therapeutic Targeting <i>Elaine M. Quinet, Dawn A. Savio, Anita R. Halpern, Liang Chen, Gertrude U. Schuster, Jan-Åke Gustafsson, Mike D. Basso, and Ponnal Nambi</i>	1340
Incorporation of the β 3 Subunit Has a Dominant-Negative Effect on the Function of Recombinant Central-Type Neuronal Nicotinic Receptors <i>Steven Broadbent, Paul J. Groot-Kormelink, Paraskevi A. Krashia, Patricia C. Harkness, Neil S. Millar, Marco Beato, and Lucia G. Sivilotti</i>	1350
β 3 Subunits Promote Expression and Nicotine-Induced Up-Regulation of Human Nicotinic α 6* Nicotinic Acetylcholine Receptors Expressed in Transfected Cell Lines <i>Prem Tumkosit, Alexander Kuryatov, Jie Luo, and Jon Lindstrom</i>	1358
Role of Endogenous XAP2 Protein on the Localization and Nucleocytoplasmic Shuttling of the Endogenous Mouse Ah ^{b-1} Receptor in the Presence and Absence of Ligand <i>Richard S. Pollenz, Sarah E. Wilson, and Edward J. Dougherty</i>	1369
Prevention of Platelet Glycoprotein IIb/IIIa Activation by 3,4-Methylenedioxy- β -Nitrostyrene, A Novel Tyrosine Kinase Inhibitor <i>Wei-Ya Wang, Yang-Chang Wu, and Chin-Chung Wu</i>	1380
Contribution of the Major Copper Influx Transporter CTR1 to the Cellular Accumulation of Cisplatin, Carboplatin, and Oxaliplatin <i>Alison K. Holzer, Gerald H. Manorek, and Stephen B. Howell</i>	1390
JX401, A p38 α Inhibitor Containing a 4-Benzylpiperidine Motif, Identified via a Novel Screening System in Yeast <i>Yael Friedmann, Anat Shriki, Estelle R. Bennett, Stella Golos, Ron Diskin, Irit Marbach, Eyal Bengal, and David Engelberg</i>	1395
▣ Point Mutations in Either Subunit of the GABA _B Receptor Confer Constitutive Activity to the Heterodimer <i>Richa S. Mukherjee, Edward W. McBride, Martin Beinborn, Kathleen Dunlap, and Alan S. Kopin</i>	1406
17 β -Estradiol, Genistein, and 4-Hydroxytamoxifen Induce the Proliferation of Thyroid Cancer Cells through the G Protein-Coupled Receptor GPR30 <i>Adele Vivacqua, Daniela Bonofiglio, Lidia Albanito, Antonio Madeo, Vittoria Rago, Amalia Carpino, Anna Maria Musti, Didier Picard, Sebastiano Andò, and Marcello Maggiolini</i>	1414
Inhibition of Ca ²⁺ Influx Is Required for Mitochondrial Reactive Oxygen Species-Induced Endoplasmic Reticulum Ca ²⁺ Depletion and Cell Death in Leukemia Cells <i>Yicheng Zhang, Jonathan Soboloff, Ziping Zhu, and Stuart A. Berger</i>	1424
◇ S-Nitrosylating Agents: A Novel Class of Compounds that Increase Cystic Fibrosis Transmembrane Conductance Regulator Expression and Maturation in Epithelial Cells <i>Khalequz Zaman, Silvia Carraro, Joseph Doherty, Edward M. Henderson, Elizabeth Lendermon, Lei Liu, George Verghese, Molly Zigler, Mark Ross, Edward Park, Lisa A. Palmer, Allan Doctor, Jonathan S. Stamler, and Benjamin Gaston</i>	1435
Ras-Dependent Recruitment of c-Myc for Transcriptional Activation of Nucleophosmin/B23 in Highly Malignant U1 Bladder Cancer Cells <i>Chun-Wei Yeh, Sheng-Shun Huang, Ru-Ping Lee, and Benjamin Yat-Ming Yung</i>	1443
Sazetidine-A, A Novel Ligand That Desensitizes α 4 β 2 Nicotinic Acetylcholine Receptors without Activating Them <i>Yingxian Xiao, Hong Fan, John L. Musachio, Zhi-Liang Wei, Sheela K. Chellappan, Alan P. Kozikowski, and Kenneth J. Kellar</i>	1454

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

About the cover: Homology models of the agonist binding domain of the wild-type $\alpha 4\beta 2$ (A) and $D\alpha 2\beta 2$ (B) nAChRs and their T77R;E79V mutants (C, $\alpha 4\beta 2$ nAChR; D, $D\alpha 2\beta 2$ nAChRs) bound by imidacloprid constructed using the crystal structure (PDB code 1UW6) of the acetylcholine binding protein (AChBP) from snail *Lymnaea stagnalis*. See the article by Shimonura et al. on page 1255 of this issue.