MOLECULAR

PHARMACOLOGY

October 2006 Volume 70 N

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

Downloaded from molpharm.aspetjournals.org at ASPET Journals on March 20, 2024

© 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

1194

1204

S 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

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

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
S	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
	CB ₁ 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-HT $_7$ Serotonin Receptor Carol Smith, Tariq Rahman, Nicole Toohey, Joseph Mazurkiewicz, Katharine Herrick-Davis, and Milt Teitler	1264
S	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 -Semialdehyde Decarboxylase, a Key Enzyme in the Tryptophan-Nicotinamide Adenine Dinucleotide Pathway, by Hepatocyte Nuclear Factor 4α 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
S	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 Gazzerro, 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-(1H-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	
Maroesja J. van Nimwegen, Merei Huigsloot, Annamarie Camier, Ine B. Tijdens, and Bob van de Water	1330
Liver X Receptor (LXR)-β Regulation in LXRα-Deficient Mice: Implications for Therapeutic Targeting Elaine M. Quinet, Dawn A. Savio, Anita R. Halpern, Liang Chen, Gertrude U. Schuster, Jan-Åke Gustafsson, Mike D. Basso, and Ponnal Nambi	1340
Incorporation of the β3 Subunit Has a Dominant-Negative Effect on the Function of Recombinant Central-Type Neuronal Nicotinic Receptors Steven Broadbent, Paul J. Groot-Kormelink, Paraskevi A. Krashia, Patricia C. Harkness, Neil S. Millar, Marco Beato, and Lucia G. Sivilotti	1350
$\beta 3$ Subunits Promote Expression and Nicotine-Induced Up-Regulation of Human Nicotinic $\alpha 6^*$ Nicotinic Acetylcholine Receptors Expressed in Transfected Cell Lines Prem Tumkosit, Alexander Kuryatov, Jie Luo, and Jon Lindstrom	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 Richard S. Pollenz, Sarah E. Wilson, and Edward J. Dougherty	1369
Prevention of Platelet Glycoprotein IIb/IIIa Activation by 3,4-Methylenedioxy- β -Nitrostyrene, A Novel Tyrosine Kinase Inhibitor Wei-Ya Wang, Yang-Chang Wu, and Chin-Chung Wu	1380
Contribution of the Major Copper Influx Transporter CTR1 to the Cellular Accumulation of Cisplatin, Carboplatin, and Oxaliplatin Alison K. Holzer, Gerald H. Manorek, and Stephen B. Howell	1390
JX401, A p38α Inhibitor Containing a 4-Benzylpiperidine Motif, Identified via a Novel Screening System in Yeast Yael Friedmann, Anat Shriki, Estelle R. Bennett, Stella Golos, Ron Diskin, Irit Marbach, Eyal Bengal, and David Engelberg	1395
Point Mutations in Either Subunit of the GABA _B Receptor Confer Constitutive Activity to the Heterodimer Richa S. Mukherjee, Edward W. McBride, Martin Beinborn, Kathleen Dunlap, and Alan S. Kopin	1406
17β-Estradiol, Genistein, and 4-Hydroxytamoxifen Induce the Proliferation of Thyroid Cancer Cells through the G Protein-Coupled Receptor GPR30 Adele Vivacqua, Daniela Bonofiglio, Lidia Albanito, Antonio Madeo, Vittoria Rago, Amalia Carpino, Anna Maria Musti, Didier Picard, Sebastiano Andò, and Marcello Maggiolini	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 Yicheng Zhang, Jonathan Soboloff, Ziping Zhu, and Stuart A. Berger	1424
S-Nitrosylating Agents: A Novel Class of Compounds that Increase Cystic Fibrosis Transmembrane Conductance Regulator Expression and Maturation in Epithelial Cells 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	1435
Ras-Dependent Recruitment of c-Myc for Transcriptional Activation of Nucleophosmin/B23 in Highly Malignant U1 Bladder Cancer Cells Chun-Wei Yeh, Sheng-Shun Huang, Ru-Ping Lee, and Benjamin Yat-Ming Yung	1443
Sazetidine-A, A Novel Ligand That Desensitizes α4β2 Nicotinic Acetylcholine Receptors without Activating Them Yingxian Xiao, Hong Fan, John L. Musachio, Zhi-Liang Wei, Sheela K. Chellappan,	
Alan P Kozikowski, and Kenneth I Kellar	1454

 \mathbf{S}

S 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.