MOLECULAR PHARMACOLOGY

August 2006 Volume 70 Number 2 http://molpharm.aspetjournals.org ISSN 0026-895X

PERSPECTIVES
OSU-03012 in the Treatment of Glioblastoma
James A. McCubrey, Michelle M. LaHair, and Richard A. Franklin

Chromatin Remodeling: A Novel Mechanism of Psychotropic Drug Action
Samuel S. Newton and Ronald S. Duman

Pharmacology Meets Vesicular Trafficking at a Central Nervous System Synapse: Pregabalin Effects on Synaptic Vesicle Cycling in Hippocampal Neurons
Ronald W. Holz

A Tail of Two Signals: The C Terminus of the A2A-Adenosine Receptor Recruits Alternative Signaling Pathways
Ingrid Gsantner and Michael Freissmuth

ACCELERATED COMMUNICATIONS
Cimetidine Induces Interleukin-18 Production through H2-Agonist Activity in Monocytes
Hideo Kohka Takahashi, Takeshi Watanabe, Akira Yokoyama, Hiromi Iwagaki, Tadashi Yoshino, Noriaki Tanaka, and Masahiro Nishibori

ARTICLES
Rescue of p53 Blockage by the A2A Adenosine Receptor via a Novel Interacting Protein, Translin-Associated Protein X

Pregabalin Reduces the Release of Synaptic Vesicles from Cultured Hippocampal Neurons
Kristina D. Micheva, Charles P. Taylor, and Stephen J Smith

CYP2C76, a Novel Cytochrome P450 in Cynomolgus Monkey, Is a Major CYP2C in Liver, Metabolizing Tolbutamide and Testosterone
Yasuhiro Uno, Hideki Fujino, Go Kito, Tetsuya Kamataki, and Ryoichi Nagata

The , , , and symbols in the table of contents identify articles discussed in the Perspectives.
Fluoxetine and Cocaine Induce the Epigenetic Factors MeCP2 and MBD1 in Adult Rat Brain
Suzanne Cassel, Delphine Carouge, Claire Gensburger, Patrick Anglard, Claude Burgun, Jean-Bernard Dietrich, Dominique Aunis, and Jean Zwiller

Isoliquiritigenin Selectively Inhibits H2 Histamine Receptor Signaling
Dong-Chan Kim, Se-Young Choi, Sun-Hee Kim, Bong-Sik Yun, Ick-Dong Yoo, Nanga Ravi Prakash Reddy, Ho Sup Yoon, and Kyong-Tai Kim

5'-O-Tritylated Nucleoside Derivatives: Inhibition of Thymidine Phosphorylase and Angiogenesis
Sandra Liekens, Annelies Bronckaers, Ana-Isabel Hernández, Eva-Maria Priego, Elena Casanova, María-José Camarasa, María-Jesús Pérez-Pérez, and Jan Balzarini

Lithium Inhibits Ceramide- and Etoposide-Induced Protein Phosphatase 2A Methylation, Bcl-2 Dephosphorylation, Caspase-2 Activation, and Apoptosis
Chia-Ling Chen, Chiou-Feng Lin, Chi-Wu Chiang, Ming-Shiou Jan, and Yee-Shin Lin

Transforming Growth Factor-β Receptor Type 1 (TGFβRI) Kinase Activity but Not p38 Activation Is Required for TGFβRI-Induced Myofibroblast Differentiation and Profibrotic Gene Expression
Ann M. Kapoun, Nicholas J. Gaspar, Ying Wang, Debby Damn, Yu-Wang Liu, Gilbert O'Young, Diana Quon, Andrew Lam, Kimberly Munson, Thomas-Toan Tran, Jing Ying Ma, Alison Murphy, Sundeep Dugar, Sarvaajit Chakravarty, Andrew A. Protter, Fu-Qiang Wen, Xiangde Liu, Stephen I. Rennard, and Linda Slanec Higgins

Mammalian Tolloid Alters Subcellular Localization, Internalization, and Signaling of α1a-Adrenergic Receptors
Qi Xu, Ning Xu, Tan Zhang, Hui Zhang, Zijian Li, Feng Yin, Zhizhen Lu, Qide Han, and Youyi Zhang

Regulation of Dopamine Transporter Trafficking by Intracellular Amphetamine
Kristopher M. Kahlig, Brandon J. Lute, Yuqiang Wei, Claus J. Loland, Ulrik Gether, Jonathan A. Javitch, and Aurelio Galli

Aryl Hydrocarbon Receptor Activation Produces Heart-Specific Transcriptional and Toxic Responses in Developing Zebrafish
Sara A. Carney, Jing Chen, C. Geoffrey Burns, Kong M. Xiong, Richard E. Peterson, and Warren Heideman

Discovery of a Novel Shp2 Protein Tyrosine Phosphatase Inhibitor
Liwei Chen, Shen-Shu Sung, M. L. Richard Yip, Harshani R. Lawrence, Yuan Ren, Wayne C. Guida, Said M. Sebti, Nicholas J. Lawrence, and Jie Wu

Mapping Residues in the Ligand-Binding Domain of the 5-HT3 Receptor onto d-Tubocurarine Structure
Dong Yan, Julia K. Meyer, and Michael M. White

Tamoxifen and Raloxifene Differ in Their Functional Interactions with Aspartate 351 of Estrogen Receptor α
Guila Dayan, Mathieu Lupien, Anick Auger, Silvia I. Anghel, Walter Rocha, Sébastien Croisetière, John A. Katzenellenbogen, and Sylvie Mader

OSU-03012 Promotes Caspase-Independent but PERK-, Cathepsin B-, BID-, and AIF-Dependent Killing of Transformed Cells
Adly Yacoub, Margaret A. Park, David Hanna, Young Hong, Clint Mitchell, Aditi P. Pandya, Hisashi Harada, Garth Powis, Ching-Shih Chen, Costas Koumenis, Steven Grant, and Paul Dent

Oligomerization of Recombinant and Endogenously Expressed Human Histamine H4 Receptors
Richard M. van Rijn, Paul L. Chazot, Fiona C. Shenton, Kamonchanok Sansuk, Remko A. Bakker, and Rob Leurs

A Novel Class of Positive Allosteric Modulators of Metabotropic Glutamate Receptor Subtype 1 Interact with a Site Distinct from That of Negative Allosteric Modulators
Kamondanai Hemstapat, Tomas de Paulis, Yelin Chen, Ashley E. Brady, Vandana K. Grover, David Alagille, Gilles D. Tamagnan, and P. Jeffrey Conn
Hepatocyte Nuclear Factor (HNF) 1 and HNF4 Mediate Hepatic Multidrug Resistance Protein 2 Up-Regulation during Hepatitis C Virus Gene Expression

Ishtiaq Qadri, Mieko Iwahashi, Gerd A. Kullak-Ublick, and Francis R. Simon

Polysaccharide Purified from Ganoderma lucidum Induces Gene Expression Changes in Human Dendritic Cells and Promotes T Helper 1 Immune Response in BALB/c Mice

Yu-Li Lin, Shiu-Sheng Lee, Shin-Miao Hou, and Bor-Luen Chiang

The Three-Substituted Indolone Cyclin-Dependent Kinase 2 Inhibitor 3-[(1-3H-Imidazol-4-yl)meth-(Z)-ylidene]-5-methoxy-1,3-dihydro-indol-2-one (SU9516) Kills Human Leukemia Cells via Down-Regulation of Mcl-1 through a Transcriptional Mechanism

Ning Gao, Lora Kramer, Mohamed Rahmani, Paul Dent, and Steven Grant

Differences in Kinetics of Xanomeline Binding and Selectivity of Activation of G Proteins at M₁ and M₂ Muscarinic Acetylcholine Receptors

Jan Jakubík, Esam E. El-Fakahany, and Vladimír Doležal

Allosteric Interactions Required for High-Affinity Binding of Dihydropyridine Antagonists to Caᵥ1.1 Channels Are Modulated by Calcium in the Pore

Blaise Z. Peterson and William A. Catterall

Agonist-Selective Mechanisms of μ-Opioid Receptor Desensitization in Human Embryonic Kidney 293 Cells

Elizabeth A. Johnson, Sue Oldfield, Ellen Braksator, Ana Gonzalez-Cuello, Daniel Couch, Kellie J. Hall, Stuart J. Mundell, Chris P. Bailey, Eamonn Kelly, and Graeme Henderson

Simultaneous Activation of the δ Opioid Receptor (δOR)/Sensory Neuron-Specific Receptor-4 (SNSR-4) Hetero-Oligomer by the Mixed Bivalent Agonist Bovine Adrenal Medulla Peptide 22 Activates SNSR-4 but Inhibits δOR Signaling

Andreas Breit, Khatuna Gagnidze, Lakshmi A. Devi, Monique Lagacé, and Michel Bouvier

Validation of the Anti-Inflammatory Properties of Small-Molecule IkB Kinase (IKK)-2 Inhibitors by Comparison with Adenoviral-Mediated Delivery of Dominant-Negative IKK1 and IKK2 in Human Airways Smooth Muscle

Matthew C. Catley, Maria B. Sukkar, K. Fan Chung, Bruce Jaffee, Sha-Mei Liao, Anthony J. Coyle, El-Bdaoui Haddad, Peter J. Barnes, and Robert Newton

Mechanisms of Cardiolipin Oxidation by Cytochrome c: Relevance to Pro- and Antiapoptotic Functions of Etoposide


State-Dependent Verapamil Block of the Cloned Human Caᵥ3.1 T-Type Ca²⁺ Channel

Benjamin S. Freeze, Megan M. McNulty, and Dorothy A. Hanck

Cross-Talk between Gₛ- and Gₐ-Coupled Pathways in Regulation of Interleukin-4 by Aₓβ Adenosine Receptors in Human Mast Cells

Sergey Ryzhov, Anna E. Goldstein, Italo Biaggioni, and Igor Feoktistov

Interaction Studies of Multiple Binding Sites on M₄ Muscarinic Acetylcholine Receptors

Alfred A. Lanzafame, Patrick M. Sexton, and Arthur Christopoulos

Divergent Activities of Human Glutathione Transferases in the Bioactivation of Azathioprine

Birgitta I. Eklund, My Moberg, Jonas Bergquist, and Bengt Mannervik
α4β2 Nicotinic Receptors with High and Low Acetylcholine Sensitivity: Pharmacology, Stoichiometry, and Sensitivity to Long-Term Exposure to Nicotine
Mirko Moroni, Ruud Zwart, Emanuele Sher, Bruce K. Cassels, and Isabel Bermudez

Capacitative and 1-Oleyl-2-acetyl-sn-glycerol-Activated Ca2+ Entry Distinguished Using Adenylyl Cyclase Type 8
Agnes C. L. Martin and Dermot M. F. Cooper

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

About the cover: Molecular model of NSC-87877 binding to the Shp2 PTP domain. See the article by Chen et al. on page 562 of this issue.