

# MOLECULAR PHARMACOLOGY

July 2007

Volume 72

Number 1

<http://molpharm.aspetjournals.org>

ISSN 0026-895X

## MINIREVIEW

Building a Pharmacological Lexicon: Small Molecule Discovery in Academia

*John S. Lazo, Linda S. Brady, and Ray Dingleline*

1

## ARTICLES

Characterization of the Novel Human Serotonin Receptor Subunits 5-HT<sub>3C</sub>, 5-HT<sub>3D</sub>, and 5-HT<sub>3E</sub>

*Beate Niesler, Jutta Walstab, Sandra Combrink, Dorothee Möller, Johannes Kapeller, Jens Rietdorf, Heinz Bönisch, Manfred Göthert, Gudrun Rappold, and Michael Brüss*

8

CCR5 Small-Molecule Antagonists and Monoclonal Antibodies Exert Potent Synergistic Antiviral Effects by Cobinding to the Receptor

*Changhua Ji, Jun Zhang, Marianna Dioszegi, Sophie Chiu, Eileen Rao, Andre deRosier, Nick Cammack, Michael Brandt, and Surya Sankuratri*

18

Evidence That Curcumin Suppresses the Growth of Malignant Gliomas in Vitro and in Vivo through Induction of Autophagy: Role of Akt and Extracellular Signal-Regulated Kinase Signaling Pathways

*Hiroshi Aoki, Yasunari Takada, Seiji Kondo, Raymond Sawaya, Bharat B. Aggarwal, and Yasuko Kondo*

29

Glycogen Synthase Kinase 3 Regulates *N*-Methyl-D-aspartate Receptor Channel Trafficking and Function in Cortical Neurons

*Paul Chen, Zhenglin Gu, Wenhua Liu, and Zhen Yan*

40

Nigrostriatal Damage Preferentially Decreases a Subpopulation of  $\alpha 6\beta 2^*$  nAChRs in Mouse, Monkey, and Parkinson's Disease Striatum

*Tanuja Bordia, Sharon R. Grady, J. Michael McIntosh, and Maryka Quirk*

52

Antidiabetes and Antiobesity Effect of Cryptotanshinone via Activation of AMP-Activated Protein Kinase

*Eun Ju Kim, Seung-Nam Jung, Kun Ho Son, Sung Ran Kim, Tae Youl Ha, Myoung Gyu Park, In Gun Jo, Jong Guk Park, Wonchae Choe, Sung-Soo Kim, and Joohun Ha*

62

*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](mailto: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.: \$616.00 for institutions and \$251.00 for non-ASPET members. Outside the U.S.: \$699.00 for institutions and \$334.00 for non-ASPET members. Single copy:

\$62.00. 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 © 2007 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

Vasoactive Intestinal Peptide Transactivates the Androgen Receptor through a Protein Kinase A-Dependent Extracellular Signal-Regulated Kinase Pathway in Prostate Cancer LNCaP Cells <i>Yan Xie, Dennis W. Wolff, Ming-Fong Lin, and Yaping Tu</i>	73
Small-Molecule Vasopressin-2 Receptor Antagonist Identified by a G-Protein Coupled Receptor "Pathway" Screen <i>Burane Yangthara, Aaron Mills, Varanuj Chatsudthipong, Lukmanee Tradtrantip, and A. S. Verkman</i>	86
Chronic Intermittent Ethanol Treatment Selectively Alters N-Methyl-D-aspartate Receptor Subunit Surface Expression in Cultured Cortical Neurons <i>Mei Qiang, Ashley D. Denny, and Maharaj K. Ticku</i>	95
Differential Intracellular Signaling through PAC1 Isoforms as a Result of Alternative Splicing in the First Extracellular Domain and the Third Intracellular Loop <i>Mina Ushiyama, Ryuji Ikeda, Hideki Sugawara, Morikatsu Yoshida, Kenji Mori, Kenji Kangawa, Kazuhiko Inoue, Katsushi Yamada, and Atsuro Miyata</i>	103
Adrenomedullin Stimulates Nitric Oxide Production from Primary Rat Hypothalamic Neurons: Roles of Calcium and Phosphatases <i>Yong Xu and Teresa L. Krukoff</i>	112
Site-Specific Inhibition of Glomerulonephritis Progression by Targeted Delivery of Dexamethasone to Glomerular Endothelium <i>Sigrídur A. Ásgeirsdóttir, Jan A. A. M. Kamps, Hester I. Bakker, Peter J. Zwiers, Peter Heeringa, Karen van der Weide, Harry van Goor, Arjen H. Petersen, Henriëtte Morselt, Henk E. Moorlag, E. Steenbergen, Cees G. Kallenberg, and Grietje Molema</i>	121
Identification and Characterization of a New Tubulin-Binding Tetrasubstituted Brominated Pyrrole <i>Susan L. Mooberry, Kimberly N. Weiderhold, Sivanesan Dakshanamurthy, Ernest Hamel, Edith J. Banner, Anastasia Kharlamova, Jonathan Hempel, John T. Gupton, and Milton L. Brown</i>	132
☐ Cholesterol-Like Effects of Selective Cyclooxygenase Inhibitors and Fibrates on Cellular Membranes and Amyloid- $\beta$ Production <i>Martin Gamerdinger, Angela B. Clement, and Christian Behl</i>	141
☐ Inhibition of Transforming Growth Factor $\beta$ Signaling Reduces Pancreatic Adenocarcinoma Growth and Invasiveness <i>Nicholas J. Gaspar, Lingyun Li, Ann M. Kapoun, Satyanarayana Medicherla, Mamatha Reddy, Georgia Li, Gilbert O'Young, Diana Quon, Margaret Henson, Deborah L. Damm, Gladys T. Muir, Alison Murphy, Linda S. Higgins, Sarvajit Chakravarty, and Darren H. Wong</i>	152
Hypoxia Inhibits Paclitaxel-Induced Apoptosis through Adenosine-Mediated Phosphorylation of Bad in Glioblastoma Cells <i>Stefania Merighi, Annalisa Benini, Prisco Mirandola, Stefania Gessi, Katia Varani, Edward Leung, Stephen MacLennan, Pier Giovanni Baraldi, and Pier Andrea Borea</i>	162
Regulation of Cytochrome P450 2E1 under Hypertonic Environment through TonEBP in Human Hepatocytes <i>Takashi Ito, Koko Asakura, Katsuhiko Tougou, Tsuyoshi Fukuda, Ryuji Kubota, Shinpei Nonen, Yasushi Fujio, and Junichi Azuma</i>	173
Activation of the Angiotensin II Type 1 Receptor Leads to Movement of the Sixth Transmembrane Domain: Analysis by the Substituted Cysteine Accessibility Method <i>Stéphane S. Martin, Brian J. Holleran, Emanuel Escher, Gaétan Guillemette, and Richard Leduc</i>	182
Bioactivation of Nitroglycerin by Ascorbate <i>Alexander Kollau, Matteo Beretta, Antonius C. F. Gorren, Michael Russwurm, Doris Koesling, Kurt Schmidt, and Bernd Mayer</i>	191

- Ⓢ Structure-Activity Relationship of 1,4-Dihydropyridines as Potentiators of the Cystic Fibrosis Transmembrane Conductance Regulator Chloride Channel  
*Nicoletta Pedemonte, Davide Boido, Oscar Moran, Michele Giampieri, Mauro Mazzei, Roberto Ravazzolo, and Luis J. V. Galletta* 197
- Serotonin Inhibits Neuronal Excitability by Activating Two-Pore Domain K<sup>+</sup> Channels in the Entorhinal Cortex  
*Pan-Yue Deng, Shree Kanta S. Poudel, Lalida Rojanathammanee, James E. Porter, and Saobo Lei* 208

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

About the cover: JG-03-14 bound to  $\alpha,\beta$ -tubulin. Please see the article by Mooberry et al. on page 132 of this issue.