

# MOLECULAR PHARMACOLOGY

November 2010

Volume 78

Number 5

molpharm.aspetjournals.org

ISSN 0026-895X

## ARTICLES

- Different N-Terminal Motifs Determine Plasma Membrane Targeting of the Human Concentrative Nucleoside Transporter 3 in Polarized and Nonpolarized Cells  
*Ekaitz Errasti-Murugarren, F. Javier Casado, and Marçal Pastor-Anglada* 795
- Structure-Activity Relationships of GPR120 Agonists Based on a Docking Simulation  
*Qi Sun, Akira Hirasawa, Takafumi Hara, Ikuo Kimura, Tetsuya Adachi, Takeo Awaji, Masaji Ishiguro, Takayoshi Suzuki, Naoki Miyata, and Gozoh Tsujimoto* 804
- Lyn Kinase-Dependent Regulation of miR181 and Myeloid Cell Leukemia-1 Expression: Implications for Drug Resistance in Myelogenous Leukemia  
*Eric I. Zimmerman, Claudia M. Dollins, Melissa Crawford, Steven Grant, Serge P. Nana-Sinkam, Kristy L. Richards, Scott M. Hammond, and Lee M. Graves* 811
- Constitutive Activity of Serotonin<sub>2C</sub> Receptors at G Protein-Independent Signaling: Modulation by RNA Editing and Antidepressants  
*Marilyne Labasque, Julie Meffre, Gaelle Carrat, Carine Becamel, Joël Bockaert, and Philippe Marin* 818
- Auraptene Is an Inhibitor of Cholesterol Esterification and a Modulator of Estrogen Receptors  
*Philippe de Medina, Salvatore Genovese, Michael R. Paillasse, Mahta Mazaheri, Stephanie Caze-Subra, Kerstin Bystricky, Massimo Curini, Sandrine Silvente-Poirot, Francesco Epifano, and Marc Poirot* 827
- The  $\mu$ -Opioid Receptor Variant N190K Is Unresponsive to Peptide Agonists yet Can be Rescued by Small-Molecule Drugs  
*Jean-Philippe Fortin, Lei Ci, Jonathan Schroeder, Carmit Goldstein, Maria Claudia Montefusco, Inga Peter, Steven E. Reis, Gordon S. Huggins, Martin Beinborn and Alan S. Kopin* 837

*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.: \$707.00; outside the U.S.: \$799.00. Single copy: \$63.00. 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 © 2010 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

- [S] Pharmacological Targeting of Constitutively Active Truncated Androgen Receptor by Nigericin and Suppression of Hormone-Refractory Prostate Cancer Cell Growth  
*Tetsuo Mashima, Sachiko Okabe, and Hiroyuki Seimiya* 846
- [S] Amurensin G, a Potent Natural SIRT1 Inhibitor, Rescues Doxorubicin Responsiveness via Down-Regulation of Multidrug Resistance 1  
*Won Keun Oh, Kyoung Bin Cho, Tran Thi Hien, Tae Hyung Kim, Hyung Sik Kim, Trong Tuan Dao, Hyo-Kyung Han, Seong-Min Kwon, Sang-Gun Ahn, Jung-Hoon Yoon, Tae Hyun Kim, Yoon Gyoon Kim, and Keon Wook Kang* 855
- [S] Thapsigargin Induces Expression of Activating Transcription Factor 3 in Human Keratinocytes Involving Ca<sup>2+</sup> Ions and c-Jun N-Terminal Protein Kinase  
*Daniel Spohn, Oliver G. Rössler, Stephan E. Philipp, Michael Raubuch, Shigetaka Kitajima, Désirée Griesemer, Markus Hoth, and Gerald Thiel* 865
- [S] A Nonthiazolidinedione Peroxisome Proliferator-Activated Receptor  $\alpha/\gamma$  Dual Agonist CG301360 Alleviates Insulin Resistance and Lipid Dysregulation in *db/db* Mice  
*Hyun Woo Jeong, Joo-Won Lee, Woo Sik Kim, Sung Sik Choe, Hyun Jung Shin, Gha Young Lee, Dongkyu Shin, Jun Hee Lee, Eun Bok Choi, Hyun Kyu Lee, Gyu Hwan Yon, Bongjun Cho, Hye Ryung Kim, Sung Hee Choi, Young Sun Chung, Seung Bum Park, Heekyoung Chung, Seonggu Ro, and Jae Bum Kim* 877
- Regulation of CYP2C19 Expression by Estrogen Receptor  $\alpha$ : Implications for Estrogen-Dependent Inhibition of Drug Metabolism  
*Jessica Mwinyi, Isa Cavaco, Rasmus Steen Pedersen, Anna Persson, Sabrina Burkhardt, Souren Mkrtchian, and Magnus Ingelman-Sundberg* 886
- [S] Monepantel Allosterically Activates DEG-3/DES-2 Channels of the Gastrointestinal Nematode *Haemonchus contortus*  
*Lucien Rufener, Roland Baur, Ronald Kaminsky, Pascal Mäser, and Erwin Sigel* 895
- [S] Microtubule-Targeted Chemotherapeutic Agents Inhibit Signal Transducer and Activator of Transcription 3 (STAT3) Signaling  
*Sarah R. Walker, Mousumi Chaudhury, Erik A. Nelson, and David A. Frank* 903
- Poly(ADP-Ribose) Polymerase 1 Modulates the Lethality of CHK1 Inhibitors in Carcinoma Cells  
*Clint Mitchell, Margaret Park, Patrick Eulitt, Chen Yang, Adly Yacoub, and Paul Dent* 909
- Alcohol- and Alcohol Antagonist-Sensitive Human GABA<sub>A</sub> Receptors: Tracking  $\delta$  Subunit Incorporation into Functional Receptors  
*Pratap Meera, Richard W. Olsen, Thomas S. Otis, and Martin Wallner* 918
- [S] The Tetrahydroisoquinoline Derivative SB269,652 Is an Allosteric Antagonist at Dopamine D<sub>3</sub> and D<sub>2</sub> Receptors  
*Elena Silvano, Mark J. Millan, Clotilde Mannoury la Cour, Yang Han, Lihua Duan, Suzy A. Griffin, Robert R. Luedtke, Gabriella Aloisi, Mario Rossi, Francesca Zazzeroni, Jonathan A. Javitch, and Roberto Maggio* 925

Morphine Regulates Dopaminergic Neuron Differentiation via miR-133b <i>Fatima Macho Sanchez-Simon, Xiao Xiao Zhang, Horace H. Loh, Ping-Yee Law, and Raquel E. Rodriguez</i>	935
Nijmegen Breakage Syndrome Protein (NBN) Causes Resistance to Methylating Anticancer Drugs Such as Temozolomide <i>Marcus Eich, Wynand P. Roos, Grigory L. Dianov, Martin Digweed, and Bernd Kaina</i>	943
☐ Inhibition of Large-Conductance Ca <sup>2+</sup> -Activated K <sup>+</sup> Channels by Nanomolar Concentrations of Ag <sup>+</sup> <i>Yu Zhou, Xiaoming Xia, and Christopher J. Lingle</i>	952
☐ Discovery of Novel Forkhead Box O1 Inhibitors for Treating Type 2 Diabetes: Improvement of Fasting Glycemia in Diabetic <i>db/db</i> Mice <i>Takeyuki Nagashima, Nobuharu Shigematsu, Riyo Maruki, Yasuharu Urano, Hirotugu Tanaka, Akiyoshi Shimaya, Teruhiko Shimokawa, and Masayuki Shibasaki</i>	961
$\alpha 6\beta 2^*$ and $\alpha 4\beta 2^*$ Nicotinic Receptors Both Regulate Dopamine Signaling with Increased Nigrostriatal Damage: Relevance to Parkinson's Disease <i>Xiomara A. Perez, Tanuja Bordia, J. Michael McIntosh, and Maryka Quik</i>	971
Correction to "Resveratrol Protects Dopamine Neurons against Lipopolysaccharide-Induced Neurotoxicity through Its Anti-Inflammatory Actions"	981

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

About the cover: GPR120 homology model docked with  $\alpha$ -LA, NCG21, and  $\alpha$ -LA methyl ester. See article by Sun et al. on page 804 of this issue.