

MOLECULAR PHARMACOLOGY

October 2007

Volume 72

Number 4

<http://molpharm.aspetjournals.org>

ISSN 0026-895X

MINIREVIEW

Separating Transrepression and Transactivation: A Distressing Divorce
for the Glucocorticoid Receptor?

Robert Newton and Neil S. Holden

799


PERSPECTIVE

Illuminating G β_5 Signaling 

Corinne E. Zeller and Henrik G. Dohlman

810

ARTICLES

 Live Cell Analysis of G Protein β_5 Complex Formation, Function, and Targeting

Evan A. Yost, Stacy M. Mervine, Jonathan L. Sabo, Thomas R. Hynes, and Catherine H. Berlot

812

Discovery of Osmosensitive Transcriptional Regulation of Human Cytochrome P450 3As by the
Tonicity-Responsive Enhancer Binding Protein (Nuclear Factor of Activated T Cells 5)

*Kazuhiro Kosuge, Andrew I. Chuang, Satoko Uematsu, Kah Poh Tan, Kyoichi Ohashi,
Ben C.B. Ko, and Shinya Ito*

826

 Structural Determinates for Apolipoprotein E-Derived Peptide Interaction with the $\alpha 7$ Nicotinic
Acetylcholine Receptor

Elaine A. Gay, Rachelle J. Bienstock, Patricia W. Lamb, and Jerrel L. Yakel

838

Insulin Facilitates the Hepatic Clearance of Plasma Amyloid β -Peptide (1–40) by Intracellular
Translocation of Low-Density Lipoprotein Receptor-Related Protein 1 (LRP-1) to the Plasma
Membrane in Hepatocytes

Chihiro Tamaki, Sumio Ohtsuki, and Tetsuya Terasaki

850

Activation of the Adenosine A $_1$ Receptor Inhibits HIV-1 Tat-Induced Apoptosis by Reducing Nuclear
Factor- κ B Activation and Inducible Nitric-Oxide Synthase

*Sandeep C. Pingle, Sarvesh Jajoo, Debashree Mukherjea, Lynn F. Sniderhan, Krishna A. Jhaveri,
Adriana Marcuzzi, Leonard P. Rybak, Sanjay B. Maggirwar, and Vickram Ramkumar*

856

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

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.: \$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.

<p> [S] Application of β-Lactamase Enzyme Complementation to the High-Throughput Screening of Toll-Like Receptor Signaling Inhibitors <i>Hyun-Ku Lee, Steven J. Brown, Hugh Rosen, and Peter S. Tobias</i> </p>	868
<p> Identification of a Bis-guanylhydrazone [4,4'-Diacetyldiphenylurea-bis(guanylhydrazone); NSC 109555] as a Novel Chemotype for Inhibition of Chk2 Kinase <i>Andrew G. Jobson, John H. Cardellina II, Dominic Scudiero, Sudhir Kondapaka, Hongliang Zhang, Hijoo Kim, Robert Shoemaker, and Yves Pommier</i> </p>	876
<p> Serotonin 5-Hydroxytryptamine_{2C} Receptor Signaling in Hypothalamic Proopiomelanocortin Neurons: Role in Energy Homeostasis in Females <i>Jian Qiu, Changhui Xue, Martha A. Bosch, Jonathan G. Murphy, Wei Fan, Oline K. Rønnekleiv, and Martin J. Kelly</i> </p>	885
<p> Neutralization of Plasminogen Activator Inhibitor I (PAI-1) by the Synthetic Antagonist PAI-749 via a Dual Mechanism of Action <i>Stephen J. Gardell, Julie A. Krueger, Thomas A. Antrilli, Hassan Elokda, Scott Mayer, Steven J. Orcutt, David L. Crandall, and George P. Vlasuk</i> </p>	897
<p> Subunit-Specific Agonist Activity at NR2A-, NR2B-, NR2C-, and NR2D-Containing N-Methyl-D-aspartate Glutamate Receptors <i>Kevin Erreger, Matthew T. Geballe, Anders Kristensen, Philip E. Chen, Kasper B. Hansen, C. Justin Lee, Hongjie Yuan, Phuong Le, Polina N. Lyuboslavsky, Nicola Micale, Lars Jørgensen, Rasmus P. Clausen, David J. A. Wyllie, James P. Snyder, and Stephen F. Traynelis</i> </p>	907
<p> Identification of Regions of the σ-1 Receptor Ligand Binding Site Using a Novel Photoprobe <i>Arindam Pal, Abdol R. Hajipour, Dominique Fontanilla, Subramaniam Ramachandran, Uyen B. Chu, Timur Mavlyutov, and Arnold E. Ruoho</i> </p>	921
<p> Gemfibrozil Ameliorates Relapsing-Remitting Experimental Autoimmune Encephalomyelitis Independent of Peroxisome Proliferator-Activated Receptor-α <i>Subhajit Dasgupta, Avik Roy, Malabendu Jana, Dean M. Hartley, and Kalipada Pahan</i> </p>	934
<p> [S] Physiological Differences between Human and Rat Primary Hepatocytes in Response to Liver X Receptor Activation by 3-[3-[N-(2-Chloro-3-trifluoromethylbenzyl)-(2,2-diphenylethyl)amino]propyloxy]phenylacetic Acid Hydrochloride (GW3965) <i>Pia Kotokorpi, Ewa Ellis, Paolo Parini, Lisa-Mari Nilsson, Stephen Strom, Knut R. Steffensen, Jan-Åke Gustafsson, and Agneta Mode</i> </p>	947
<p> [S] Aryl Hydrocarbon Receptor Splice Variants in the Dioxin-Resistant Rat: Tissue Expression and Transactivational Activity <i>Ivy D. Moffat, Steven Roblin, Patricia A. Harper, Allan B. Okey, and Raimo Pohjanvirta</i> </p>	956
<p> Effect of Breast Cancer Resistance Protein (Bcrp/Abcg2) on the Disposition of Phytoestrogens <i>Junichi Enokizono, Hiroyuki Kusuvara, and Yuichi Sugiyama</i> </p>	967
<p> [S] Pharmacological Characterization of a Novel Nonpeptide Antagonist for Formyl Peptide Receptor-Like 1 <i>Caihong Zhou, Song Zhang, Masakatsu Nanamori, Yueyun Zhang, Qing Liu, Na Li, Meiling Sun, Jun Tian, Patrick P. Ye, Ni Cheng, Richard D. Ye, and Ming-Wei Wang</i> </p>	976
<p> Antithrombotic Effect of a Protein-Type I Class Snake Venom Metalloproteinase, Kistomin, Is Mediated by Affecting Glycoprotein Ib-von Willebrand Factor Interaction <i>Chun-Chieh Hsu, Wen-Bin Wu, Ya-Hui Chang, Heng-Lan Kuo, and Tur-Fu Huang</i> </p>	984
<p> [S] Disruption of Oligomerization Induces Nucleocytoplasmic Shuttling of Leukemia-Associated Rho Guanine-Nucleotide Exchange Factor <i>Elda Grabocka and Philip B. Wedegaertner</i> </p>	993

A Mouse Model for Studying the Interaction of Bisdioxopiperazines with Topoisomerase II α in Vivo <i>Morten Grauslund, Annemette Vinding Thougard, Annette Füchtbauer, Kenneth Francis Hofland, Peter Hansen Hjorth, Peter B. Jensen, Maxwell Sehested, Ernst-Martin Füchtbauer, and Lars H. Jensen</i>	1003
☐ γ -Glutamylcysteine Synthetase Mediates the c-Myc-Dependent Response to Antineoplastic Agents in Melanoma Cells <i>Barbara Benassi, Gabriella Zupi, and Annamaria Biroccio</i>	1015
☐ The Endocannabinoid Anandamide Inhibits the Function of $\alpha 4\beta 2$ Nicotinic Acetylcholine Receptors <i>Charles E. Spivak, Carl R. Lupica, and Murat Oz</i>	1024
The Small Molecule NS11021 Is a Potent and Specific Activator of Ca ²⁺ -Activated Big-Conductance K ⁺ Channels <i>Bo Hjorth Bentzen, Antonio Nardi, Kirstine Calloe, Lars Siim Madsen, Søren-Peter Olesen, and Morten Grunnet</i>	1033
Down-Regulation of Pregnane X Receptor Contributes to Cell Growth Inhibition and Apoptosis by Anticancer Agents in Endometrial Cancer Cells <i>Hisashi Masuyama, Hideki Nakatsukasa, Norio Takamoto, and Yuji Hiramatsu</i>	1045
Critical Roles of Residues 36 and 40 in the Phenol and Tertiary Amine Aglycone Substrate Selectivities of UDP-Glucuronosyltransferases 1A3 and 1A4 <i>Takahiro Kubota, Benjamin C. Lewis, David J. Elliot, Peter I. Mackenzie, and John O. Miners</i>	1054
Electrophysiological Properties of Cardiomyocytes Isolated from CYP2J2 Transgenic Mice <i>Qingen Ke, Yong-Fu Xiao, J. Alyce Bradbury, Joan P. Graves, Laura M. DeGraff, John M. Seubert, and Darryl C. Zeldin</i>	1063
Translational Control of Nrf2 Protein in Activation of Antioxidant Response by Oxidants <i>Sally E. Purdom-Dickinson, Elena V. Sheveleva, Haipeng Sun, and Qin M. Chen</i>	1074
Induction of Glutathione Transferase in Insulin-Like Growth Factor Type I Receptor-Overexpressed Hepatoma Cells <i>Jeong Yong Lee, Chang Yeob Han, Jin Won Yang, Christopher Smith, Sang Kyum Kim, Eva Y.-H. P. Lee, Sang Geon Kim, and Keon Wook Kang</i>	1082
ERRATA	
Correction to “Molecular Aspects of Antitumor Effects of a New Platinum(IV) Drug”	1094
Correction to “Molecular Interaction of a Potent Nonpeptide Agonist with the Chemokine Receptor CCR8”	1095

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

About the cover: Immunohistochemical analysis of Bcrp in the testis and epididymis. See the article by Enokizono et al. on page 967 of this issue.