

MOLECULAR PHARMACOLOGY

December 2006


Volume 70

Number 6

<http://molpharm.aspetjournals.org>

ISSN 0026-895X


PERSPECTIVE

- Baffled by Bafilomycin: An Anticancer Agent That Induces Hypoxia-Inducible Factor-1 α Expression  1841
Gregg L. Semenza

ACCELERATED COMMUNICATIONS

- Nicotinic Acid-Induced Flushing Is Mediated by Activation of Epidermal Langerhans Cells 1844
Zoltán Benyó, Andreas Gille, Clare L. Bennett, Björn E. Clausen, and Stefan Offermanns
- [D-Trp⁸]- γ -Melanocyte-Stimulating Hormone Exhibits Anti-Inflammatory Efficacy in Mice Bearing a Nonfunctional MC1R (Recessive Yellow *e/e* Mouse) 1850
Stephen J. Getting, Connie W. Lam, Giovanna Leoni, Felicity N. E. Gavins, Paolo Grieco, and Mauro Perretti

ARTICLES

-  Bafilomycin Induces the p21-Mediated Growth Inhibition of Cancer Cells under Hypoxic Conditions by Expressing Hypoxia-Inducible Factor-1 α 1856
Ji-Hong Lim, Jong-Wan Park, Myung-Suk Kim, Sang-Ki Park, Randall S. Johnson, and Yang-Sook Chun
- Comparison of the Activities of the Truncated Halichondrin B Analog NSC 707389 (E7389) with Those of the Parent Compound and a Proposed Binding Site on Tubulin 1866
Donnette A. Dabydeen, James C. Burnett, Ruoli Bai, Pascal Verdier-Pinard, Sarah J. H. Hickford, George R. Pettit, John W. Blunt, Murray H. G. Munro, Rick Gussio, and Ernest Hamel
- Pharmacological Inhibition of Histone Deacetylases by Suberoylanilide Hydroxamic Acid Specifically Alters Gene Expression and Reduces Ischemic Injury in the Mouse Brain 1876
Giuseppe Faraco, Tristano Pancani, Laura Formentini, Paolo Mascagni, Gianluca Fossati, Flavio Leoni, Flavio Moroni, and Alberto Chiarugi
- Rapid and Robust Protection against Cocaine-Induced Lethality in Rats by the Bacterial Cocaine Esterase 1885
Ziva D. Cooper, Diwahar Narasimhan, Roger K. Sunahara, Pawel Mierzejewski, Emily M. Jutkiewicz, Nicholas A. Larsen, Ian A. Wilson, Donald W. Landry, and James H. Woods

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.: \$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.

- Conformational Constraining of Inactive and Active States of a Seven Transmembrane Receptor by Metal Ion Site Engineering in the Extracellular End of Transmembrane Segment V
Mette M. Rosenkilde, Ralf David, Ilka Oerlecke, Tau Benned-Jensen, Ulf Geumann, Anette G. Beck-Sickinger, and Thue W. Schwartz 1892
- 2,3,7,8-Tetrachlorodibenzo-*p*-dioxin and Epidermal Growth Factor Cooperatively Suppress Peroxisome Proliferator-Activated Receptor- γ 1 Stimulation and Restore Focal Adhesion Complexes during Adipogenesis: Selective Contributions of Src, Rho, and Erk Distinguish These Overlapping Processes in C3H10T1/2 Cells
Xueqing Liu and Colin Jefcoate 1902
- Ginsenoside Re, a Main Phytosterol of *Panax ginseng*, Activates Cardiac Potassium Channels via a Nongenomic Pathway of Sex Hormones
Tetsushi Furukawa, Chang-Xi Bai, Asami Kaihara, Eri Ozaki, Takashi Kawano, Yutaka Nakaya, Muhammad Awais, Moritoshi Sato, Yoshio Umezawa, and Junko Kurokawa 1916
- Stimulation of AMP-Activated Protein Kinase Is Essential for the Induction of Drug Metabolizing Enzymes by Phenobarbital in Human and Mouse Liver
Franck Rencurel, Marc Foretz, Michel R. Kaufmann, Deborah Stroka, Renate Looser, Isabelle Leclerc, Gabriela da Silva Xavier, Guy A. Rutter, Benoit Viollet, and Urs A. Meyer 1925
- Insights into the Cholecystokinin 2 Receptor Binding Site and Processes of Activation
Michaël R. Paillasse, Céline Deraeve, Philippe de Medina, Loubna Mhamdi, Gilles Favre, Marc Poirot, and Sandrine Silvente-Poirot 1935
- Eriocalyxin B Inhibits Nuclear Factor- κ B Activation by Interfering with the Binding of Both p65 and p50 to the Response Element in a Noncompetitive Manner
Chung-Hang Leung, Susan P. Grill, Wing Lam, Wenli Gao, Han-Dong Sun, and Yung-Chi Cheng 1946
- Ⓢ Molecular Interaction of Serotonin 5-HT_{2A} Receptor Residues Phe339^(6.51) and Phe340^(6.52) with Superpotent *N*-Benzyl Phenethylamine Agonists
Michael R. Braden, Jason C. Parrish, John C. Naylor, and David E. Nichols 1956
- Dissecting the Roles of Checkpoint Kinase 1/CDC2 and Mitogen-Activated Protein Kinase Kinase 1/2/Extracellular Signal-Regulated Kinase 1/2 in Relation to 7-Hydroxystaurosporine-Induced Apoptosis in Human Multiple Myeloma Cells
Xin-Yan Pei, Weiqun Li, Yun Dai, Paul Dent, and Steven Grant 1965
- Structural Requirements of Transmembrane Domain 3 for Activation by the M₁ Muscarinic Receptor Agonists AC-42, AC-260584, Clozapine, and *N*-Desmethylozapine: Evidence for Three Distinct Modes of Receptor Activation
Tracy A. Spalding, Jian-Nong Ma, Thomas R. Ott, Mikael Friberg, Abhishek Bajpai, Stefania Risso Bradley, Robert E. Davis, Mark R. Brann, and Ethan S. Burstein 1974
- Determinants of 1-Piperidinecarboxamide, *N*-[2-[[[5-Amino-*l*-[[4-(4-pyridinyl)-*l*-piperazinyl]-carbonyl]pentyl]amino]-1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxoethyl]-4-(1,4-dihydro-2-oxo-3(2*H*)-quinazoliny)] (BIBN4096BS) Affinity for Calcitonin Gene-Related Peptide and Amylin Receptors—The Role of Receptor Activity Modifying Protein 1
Debbie L. Hay, George Christopoulos, Arthur Christopoulos, and Patrick M. Sexton 1984
- cAMP Inhibits Transforming Growth Factor- β -Stimulated Collagen Synthesis via Inhibition of Extracellular Signal-Regulated Kinase 1/2 and Smad Signaling in Cardiac Fibroblasts
Xiaoqiu Liu, Shu Qiang Sun, Aviv Hassid, and Rennolds S. Ostrom 1992
- Essential Role of C-Rel in Nitric-Oxide Synthase-2 Transcriptional Activation: Time-Dependent Control by Salicylate
Katarzyna A. Cieslik, Wu-Guo Deng, and Kenneth K. Wu 2004
- Ⓢ 2,3-Butanedione Monoxime Affects Cystic Fibrosis Transmembrane Conductance Regulator Channel Function through Phosphorylation-Dependent and Phosphorylation-Independent Mechanisms: The Role of Bilayer Material Properties
Pablo Artigas, Subhi J. Al'Aref, E. Ashley Hobart, Laín F. Díaz, Masayuki Sakaguchi, Samuel Straw, and Olaf S. Andersen 2015

- Point Mutations in the Transmembrane Region of GABA_{B2} Facilitate Activation by the Positive Modulator *N,N'*-Dicyclopentyl-2-methylsulfanyl-5-nitro-pyrimidine-4,6-diamine (GS39783) in the Absence of the GABA_{B1} Subunit
Delphine S. Dupuis, Dinko Relkovic, Loic Lhuillier, Johannes Mosbacher, and Klemens Kaupmann 2027
- Role of the Agonist Binding Site in Up-Regulation of Neuronal Nicotinic $\alpha 4\beta 2$ Receptors
Masashi Kishi and Joe Henry Steinbach 2037
- Molecular Changes Evoked by Triethylenetetramine Treatment in the Extracellular Matrix of the Heart and Aorta in Diabetic Rats
Deming Gong, Jun Lu, Xiuyin Chen, Soon Y. Choong, Shaoping Zhang, Yih-Kai Chan, Sarah Glyn-Jones, Gregory D. Gamble, Anthony R. J. Phillips, and Garth J. S. Cooper 2045
- The Mechanism of Activity-Dependent Sodium Channel Inhibition by the Antidepressants Fluoxetine and Desipramine
N. Lenkey, R. Karoly, J. P. Kiss, B. K. Szasz, E. S. Vizi, and A. Mike 2052
- Methamphetamine-Induced Sensitization Differentially Alters pCREB and Δ FosB throughout the Limbic Circuit of the Mammalian Brain
John McDaid, Martin P. Graham, and T. Celeste Napier 2064
- YM-244769, a Novel Na⁺/Ca²⁺ Exchange Inhibitor That Preferentially Inhibits NCX3, Efficiently Protects against Hypoxia/Reoxygenation-Induced SH-SY5Y Neuronal Cell Damage
Takahiro Iwamoto and Satomi Kita 2075
- Analysis of the Dissociated Steroid RU24858 Does Not Exclude a Role for Inducible Genes in the Anti-Inflammatory Actions of Glucocorticoids
Joanna E. Chivers, Wei Gong, Elizabeth M. King, Joachim Seybold, Judith C. Mak, Louise E. Donnelly, Neil S. Holden, and Robert Newton 2084
- Endogenous Hepatic Expression of the Hepatitis B Virus X-Associated Protein 2 Is Adequate for Maximal Association with Aryl Hydrocarbon Receptor-90-kDa Heat Shock Protein Complexes
Brett D. Hollingshead, Rushang D. Patel, and Gary H. Perdew 2096
- The H⁺-Linked Monocarboxylate Transporter (MCT1/SLC16A1): A Potential Therapeutic Target for High-Risk Neuroblastoma
Jun Fang, Quintin J. Quinones, Trevor L. Holman, Michael J. Morowitz, Qun Wang, Huaqing Zhao, Frank Sivo, John M. Maris, and Miriam L. Wahl 2108
- Neurotoxicity of Domoic Acid in Cerebellar Granule Neurons in a Genetic Model of Glutathione Deficiency
G. Giordano, C. C. White, L. A. McConnachie, C. Fernandez, T. J. Kavanagh, and L. G. Costa 2116
- The Important Role of Bcrp (Abcg2) in the Biliary Excretion of Sulfate and Glucuronide Metabolites of Acetaminophen, 4-Methylumbelliferone, and Harmol in Mice
Maciej J. Zamek-Gliszczynski, Ken-ichi Nezasa, Xianbin Tian, J. Cory Kalvass, Nita J. Patel, Thomas J. Raub, and Kim L. R. Brouwer 2127

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

About the cover: Illustrative cross-eyed stereopair representation of ligand pose from virtual docking experiments with 25H-NBOMe (A) and 251-NBOH (B) in the h5-HT_{2A} receptor, showing proposed π - π interactions between the *N*-benzyl moiety and Phe339, and the aryl portion of the phenethylamine and Phe340. See the article by Braden et al. on page 1956 of this issue.