

# MOLECULAR PHARMACOLOGY

April 2009

Volume 75

Number 4

<http://molpharm.aspetjournals.org>

ISSN 0026-895X


## MINIREVIEW

- Fluorescent and Bioluminescent Protein-Fragment Complementation Assays in the Study of G Protein-Coupled Receptor Oligomerization and Signaling  
*Pierre-Alexandre Vidi and Val J. Watts* 733


## PERSPECTIVE

- PAQRs: A Counteracting Force to Ceramides?   
*William L. Holland and Philipp E. Scherer* 740

## ACCELERATED COMMUNICATION

-  Long-Term Morphine Treatment Decreases the Association of  $\mu$ -Opioid Receptor (MOR1) mRNA with Polysomes through miRNA23b  
*Qifang Wu, Lei Zhang, Ping-Yee Law, Li-Na Wei, and Horace H. Loh* 744

## ARTICLES

- Anterograde Trafficking of G Protein-Coupled Receptors: Function of the C-Terminal F(X)<sub>6</sub>LL Motif in Export from the Endoplasmic Reticulum  
*Matthew T. Duvernay, Chunmin Dong, Xiaoping Zhang, Fuguo Zhou, Charles D. Nichols, and Guangyu Wu* 751
-  Engineering a Stable and Selective Peptide Blocker of the Kv1.3 Channel in T Lymphocytes  
*M. W. Pennington, C. Beeton, C. A. Galea, B. J. Smith, V. Chi, K. P. Monaghan, A. Garcia, S. Rangaraju, A. Giuffrida, D. Plank, G. Crossley, D. Nugent, I. Khaytin, Y. LeFievre, I. Peshenko, C. Dixon, S. Chauhan, A. Orzel, T. Inoue, X. Hu, R. V. Moore, R. S. Norton, and K. G. Chandy* 762
- Activation of (Na<sup>+</sup> + K<sup>+</sup>)-ATPase Modulates Cardiac L-Type Ca<sup>2+</sup> Channel Function  
*Dong I. Lee, Michael G. Klein, Weizhong Zhu, Rui-Ping Xiao, Volodymyr Gerzanich, and Kai Y. Xu* 774
- Bezafibrate at Clinically Relevant Doses Decreases Serum/Liver Triglycerides via Down-Regulation of Sterol Regulatory Element-Binding Protein-1c in Mice: A Novel Peroxisome Proliferator-Activated Receptor  $\alpha$ -Independent Mechanism  
*Takero Nakajima, Naoki Tanaka, Hiroki Kanbe, Atsushi Hara, Yuji Kamijo, Xiaowei Zhang, Frank J. Gonzalez, and Toshifumi Aoyama* 782

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: [subscriptions@aspet.org](mailto:subscriptions@aspet.org); web site: [aspet.org](http://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.: \$686.00; outside the U.S.: \$778.00. Single copy: \$60.00. GST Tax Number for Canadian sub-

scribers: BN:13489 2330 RT. Indexed or abstracted by *Biochemistry & Biophysics Citation Index*<sup>®</sup>, *Biological Abstracts*, *Current Awareness in Biological Sciences*, *Current Contents*<sup>®</sup>/*Life Sciences*, *EMBASE/Excerpta Medica*, *Index Medicus*, *Medical Documentation Service*<sup>®</sup>, *Reference Update*<sup>®</sup>, *Research Alert*<sup>®</sup>, *Science Citation Index*<sup>®</sup>, and *SciSearch*<sup>®</sup>. Copyright © 2009 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

Alanine Scanning Mutagenesis of the Second Extracellular Loop of Type 1 Corticotropin-Releasing Factor Receptor Revealed Residues Critical for Peptide Binding <i>Kostas Gkountelias, Theodoros Tselios, Maria Venihaki, George Deraos, Iakovos Lazaridis, Olga Rassouli, Achille Gravanis, and George Liapakis</i>	793
The Sequence after the Signal Peptide of the G Protein-Coupled Endothelin B Receptor Is Required for Efficient Translocon Gating at the Endoplasmic Reticulum Membrane <i>Martina Alken, Antje Schmidt, Claudia Rutz, Jens Furkert, Gunnar Kleinau, Walter Rosenthal, and Ralf Schülein</i>	801
☐ A Small-Molecule Triptolide Suppresses Angiogenesis and Invasion of Human Anaplastic Thyroid Carcinoma Cells via Down-Regulation of the Nuclear Factor- $\kappa$ B Pathway <i>Wenbo Zhu, Yanqiu Ou, Yan Li, Ru Xiao, Minfeng Shu, Yuehan Zhou, Jun Xie, Songmin He, Pengxin Qiu, and Guangmei Yan</i>	812
Nitrooleic Acid, an Endogenous Product of Nitritative Stress, Activates Nociceptive Sensory Nerves via the Direct Activation of TRPA1 <i>Thomas E. Taylor-Clark, Srinivas Ghatta, Weston Bettner, and Bradley J. Udem</i>	820
Capacitative Ca <sup>2+</sup> Entry via Orai1 and Stromal Interacting Molecule 1 (STIM1) Regulates Adenylyl Cyclase Type 8 <i>Agnes C. L. Martin, Debbie Willoughby, Antonio Ciruela, Laura-Jo Ayling, Mario Pagano, Sebastian Wachten, Anders Tengholm, and Dermot M. F. Cooper</i>	830
Calcium Signaling by Dopamine D5 Receptor and D5-D2 Receptor Hetero-Oligomers Occurs by a Mechanism Distinct from That for Dopamine D1-D2 Receptor Hetero-Oligomers <i>Christopher H. So, Vaneeta Verma, Mohammad Alijaniam, Regina Cheng, Asim J. Rashid, Brian F. O'Dowd, and Susan R. George</i>	843
The 27-kDa Heat Shock Protein Confers Cytoprotective Effects through a $\beta$ 2-Adrenergic Receptor Agonist-Initiated Complex with $\beta$ -Arrestin <i>Lalida Rojanathammanee, Erin B. Harmon, Laurel A. Grisanti, Piyarat Govitrapong, Manuchair Ebadi, Bryon D. Grove, Masaru Miyagi, and James E. Porter</i>	855
☐ Sphingolipids Function as Downstream Effectors of a Fungal PAQR <i>Nancy Y. Villa, Brian R. Kupchak, Ibon Garitaonandia, Jessica L. Smith, Emilio Alonso, Charlene Alford, L. Ashley Cowart, Yusuf A. Hannun, and Thomas J. Lyons</i>	866
☐ Intestinal Breast Cancer Resistance Protein (BCRP)/Bcrp1 and Multidrug Resistance Protein 3 (MRP3)/Mrp3 Are Involved in the Pharmacokinetics of Resveratrol <i>Koen van de Wetering, Alexander Burkon, Wouter Feddema, Alice Bot, Hugo de Jonge, Veronika Somoza, and Piet Borst</i>	876
Inactivation of Soluble Guanylate Cyclase by Stoichiometric S-Nitrosation <i>Bernd Mayer, Andrei L. Kleschyov, Heike Stessel, Michael Russwurm, Thomas Münzel, Doris Koesling, and Kurt Schmidt</i>	886
Modulation of Excitatory Synaptic Transmission by $\Delta^9$ -Tetrahydrocannabinol Switches from Agonist to Antagonist Depending on Firing Rate <i>Alan M. Roloff and Stanley A. Thayer</i>	892
An Acyl-Ghrelin-Specific Neutralizing Antibody Inhibits the Acute Ghrelin-Mediated Orexigenic Effects in Mice <i>Shu-Chen Lu, Jing Xu, Narumol Chinookoswong, Shuying Liu, Shirley Steavenson, Colin Gegg, David Brankow, Richard Lindberg, Murielle Véniant, and Wei Gu</i>	901
Direct Subunit-Dependent Multimodal 5-Hydroxytryptamine <sub>3</sub> Receptor Antagonism by Methadone <i>Tarek Z. Deeb, Douglas Sharp, and Tim G. Hales</i>	908

- Acetylcholine-Stimulated [<sup>3</sup>H]GABA Release from Mouse Brain Synaptosomes is Modulated by  $\alpha 4\beta 2$  and  $\alpha 4\alpha 5\beta 2$  Nicotinic Receptor Subtypes  
*Tristan D. McClure-Begley, Nathan M. King, Allan C. Collins, Jerry A. Stitzel, Jeanne M. Wehner, and Christopher M. Butt* 918
- ☐ Intracellular Potassium Stabilizes Human *Ether-à-go-go*-Related Gene Channels for Export from Endoplasmic Reticulum  
*Lu Wang, Adrienne T. Dennis, Phan Trieu, Francois Charron, Natalie Ethier, Terence E. Hebert, Xiaoping Wan, and Eckhard Ficker* 927
- Prominent Role of  $\alpha 3/\alpha 6\beta 2^*$  nAChRs in Regulating Evoked Dopamine Release in Primate Putamen: Effect of Long-Term Nicotine Treatment  
*Xiomara A. Perez, Kathryn T. O'Leary, Neeraja Parameswaran, J. Michael McIntosh, and Maryka Quik* 938
- Cross-Talk between Integrins and Oncogenes Modulates Chemosensitivity  
*Jordi Carreras Puigvert, Stephan Huveneers, Lisa Fredriksson, Marieke op het Veld, Bob van de Water, and Erik H. J. Danen* 947
- Interaction of Cytochrome P450 3A4 and UDP-Glucuronosyltransferase 2B7: Evidence for Protein-Protein Association and Possible Involvement of CYP3A4 J-Helix in the Interaction  
*Shuso Takeda, Yuji Ishii, Megumi Iwanaga, Arief Nurrochmad, Yuji Ito, Peter I. Mackenzie, Kiyoshi Nagata, Yasushi Yamazoe, Kazuta Oguri, and Hideyuki Yamada* 956
- A Cytochrome P450-Derived Epoxygenated Metabolite of Anandamide Is a Potent Cannabinoid Receptor 2-Selective Agonist  
*Natasha T. Snider, James A. Nast, Laura A. Tesmer, and Paul F. Hollenberg* 965
- ☐ Steroid Interaction with a Single Potentiating Site Is Sufficient to Modulate GABA-A Receptor Function  
*John R. Bracamontes and Joe Henry Steinbach* 973
- Conformational Toggle Switches Implicated in Basal Constitutive and Agonist-Induced Activated States of 5-Hydroxytryptamine-4 Receptors  
*Lucie P. Pellissier, Jessica Sallander, Mercedes Campillo, Florence Gaven, Emilie Queffeulou, Marion Pillot, Aline Dumuis, Sylvie Claeysen, Joël Bockaert, and Leonardo Pardo* 982
- Regulation of Group II Metabotropic Glutamate Receptors by G Protein-Coupled Receptor Kinases: mGlu2 Receptors Are Resistant to Homologous Desensitization  
*L. Iacovelli, G. Molinaro, G. Battaglia, M. Motolese, L. Di Menna, M. Alfiero, J. Blahos, F. Matrisciano, M. Corsi, C. Corti, V. Bruno, A. De Blasi, and F. Nicoletti* 991

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