

# MOLECULAR PHARMACOLOGY

August 2015

Volume 88

Number 2

molpharm.aspetjournals.org

ISSN 1521-0111

## MINIREVIEW

Nucleotides Acting at P2Y Receptors: Connecting Structure and Function

*Kenneth A. Jacobson, Silvia Paoletta, Vsevolod Katritch, Beili Wu, Zhan-Guo Gao, Qiang Zhao, Raymond C. Stevens, and Evgeny Kiselev*

220

## ACCELERATED COMMUNICATIONS

Direct Coupling of a Seven-Transmembrane-Span Receptor to a Gai G-Protein Regulatory Motif Complex

*William G. Robichaux, III, Sukru S. Oner, Stephen M. Lanier, and Joe B. Blumer*

231

Structural Basis of Species-Dependent Differential Affinity of 6-Alkoxy-5-Aryl-3-Pyridinecarboxamide Cannabinoid-1 Receptor Antagonists

*Malliga R. Iyer, Resat Cinar, Jie Liu, Grzegorz Godlewski, Gergö Szanda, Henry Puhl, Stephen R. Ikeda, Jeffrey Deschamps, Yong-Sok Lee, Peter J. Steinbach, and George Kunos*

238

## ARTICLES

Synthesis and Evaluation of a Novel Deguelin Derivative, L80, which Disrupts ATP Binding to the C-terminal Domain of Heat Shock Protein 90

*Su-Chan Lee, Hye-Young Min, Hoon Choi, Ho Shin Kim, Kyong-Cheol Kim, So-Jung Park, Myeong A Seong, Ji Hae Seo, Hyun-Ju Park, Young-Ger Suh, Kyu-Won Kim, Hyun-Seok Hong, Hee Kim, Min-Young Lee, Jeewoo Lee, and Ho-Young Lee*

245

Menthol Enhances the Desensitization of Human  $\alpha 3\beta 4$  Nicotinic Acetylcholine Receptors

*Hoai T. Ton, Amanda E. Smart, Brittany L. Aguilar, Thao T. Olson, Kenneth J. Kellar, and Gerard P. Ahern*

256

The Lysophosphatidylinositol Receptor GPR55 Modulates Pain Perception in the Periaqueductal Gray

*Elena Deliu, Margaret Sperow, Linda Console-Bram, Rhonda L. Carter, Douglas G. Tilley, Daniel J. Kalamarides, Lynn G. Kirby, G. Cristina Brailoiu, Eugen Brailoiu, Khalid Benamar, and Mary E. Abood*

265

Rotational Symmetry of Two Pyrethroid Receptor Sites in the Mosquito Sodium Channel

*Yuzhe Du, Yoshiko Nomura, Boris S. Zhorov, and Ke Dong*

273

Soluble Epoxide Hydrolase Pharmacological Inhibition Ameliorates Experimental Acute Pancreatitis in Mice

*Ahmed Bettaieb, Samah Chahed, Santana Bachaalany, Stephen Griffey, Bruce D. Hammock, and Fawaz G. Haj*

281

Identification and Characterization of ProTx-III [ $\mu$ -TRTX-Tp1a], a New Voltage-Gated Sodium Channel Inhibitor from Venom of the Tarantula *Thrixopelma pruriens*

*Fernanda C. Cardoso, Zoltan Dekan, K. Johan Rosengren, Andelain Erickson, Irina Vetter, Jennifer R. Deuis, Volker Herzig, Paul F. Alewood, Glenn F. King, and Richard J. Lewis*

291


Dysregulation of Endoplasmic Reticulum Stress and Autophagic Responses by the Antiretroviral Drug Efavirenz <i>Luc Bertrand and Michal Toborek</i>	304
<p>❑ Identification of a Dual Inhibitor of SRPK1 and CK2 That Attenuates Pathological Angiogenesis of Macular Degeneration in Mice <i>Satoshi Morooka, Mitsuteru Hoshina, Isao Kii, Takayoshi Okabe, Hirotsu Kojima, Naoko Inoue, Yukiko Okuno, Masatsugu Denawa, Suguru Yoshida, Junichi Fukuhara, Kensuke Ninomiya, Teikichi Ikura, Toshio Furuya, Tetsuo Nagano, Kousuke Noda, Susumu Ishida, Takamitsu Hosoya, Nobutoshi Ito, Nagahisa Yoshimura, and Masatoshi Hagiwara</i></p>	316
<p>❑ Neuritogenic Activity of Tetradecyl 2,3-Dihydroxybenzoate Is Mediated through the Insulin-Like Growth Factor 1 Receptor/Phosphatidylinositol 3 Kinase/Mitogen-Activated Protein Kinase Signaling Pathway <i>Ruiqi Tang, Lijuan Gao, Makoto Kawatani, Jianzhong Chen, Xueli Cao, Hiroyuki Osada, Lan Xiang, and Jianhua Qi</i></p>	326
<p>❑ Biased Agonism of Endogenous Opioid Peptides at the <math>\mu</math>-Opioid Receptor <i>Georgina L. Thompson, J. Robert Lane, Thomas Coudrat, Patrick M. Sexton, Arthur Christopoulos, and Meritxell Canals</i></p>	335
<p>❑ Role of G Protein–Coupled Receptor Kinases 2 and 3 in <math>\mu</math>-Opioid Receptor Desensitization and Internalization <i>Janet D. Lowe, Helen S. Sanderson, Alexandra E. Cooke, Mehrnoosh Ostovar, Elena Tsisanova, Sarah L. Withey, Charles Chavkin, Stephen M. Husbands, Eamonn Kelly, Graeme Henderson, and Chris P. Bailey</i></p>	347
<p>❑ Discovery and Characterization of Nonpeptidyl Agonists of the Tissue-Protective Erythropoietin Receptor <i>James L. Miller, Timothy J. Church, Dmitri Leonoudakis, Karen Lariosa-Willingham, Normand L. Frigon, Connie S. Tettenborn, Jeffrey R. Spencer, and Juha Punnonen</i></p>	357
<p>❑ Biased Agonism and Biased Allosteric Modulation at the CB<sub>1</sub> Cannabinoid Receptor <i>Elham Khajehali, Daniel T. Malone, Michelle Glass, Patrick M. Sexton, Arthur Christopoulos, and Katie Leach</i></p>	368
<p>❑ Pharmacologic Inhibition of MNKs in Acute Myeloid Leukemia <i>Theodosia Teo, Frankie Lam, Mingfeng Yu, Yuchao Yang, Sunita K. C. Basnet, Hugo Albrecht, Matthew J. Sykes, and Shudong Wang</i></p>	380
<p>Biphasic Effects of Ingenol 3,20-Dibenzoate on the Erythropoietin Receptor: Synergism at Low Doses and Antagonism at High Doses <i>Jin-Gyo Oh, Young-Won Chin, Sung-Jo Kim, Jong Min Choi, Sang Kyum Kim, Hee Eun Kang, and Tae-Hwe Heo</i></p>	392
<p>A Multifaceted GABA<sub>A</sub> Receptor Modulator: Functional Properties and Mechanism of Action of the Sedative-Hypnotic and Recreational Drug Methaqualone (Quaalude) <i>Harriet Hammer, Benjamin M. Bader, Corina Ehnert, Christoffer Bundgaard, Lennart Bunch, Kirsten Hoestgaard-Jensen, Olaf H.-U. Schroeder, Jesper F. Bastlund, Alexandra Gramowski-Voß, and Anders A. Jensen</i></p>	401

## NOTICES OF RETRACTION

Re: Ling Y-H, Li T, Yuan Z, Haigentz M, Jr., Weber TK, and Perez-Soler R (2007) Erlotinib, an Effective Epidermal Growth Factor Receptor Tyrosine Kinase Inhibitor, Induces p27 <sup>KIP1</sup> Up-Regulation and Nuclear Translocation in Association with Cell Growth Inhibition and G <sub>1</sub> /S Phase Arrest in Human Non-Small-Cell Lung Cancer Cell Lines. <i>Mol Pharmacol</i> 72:248–258; doi:10.1124/mol.107.034827	390
---	-----

Re: Ling Y-H, Lin R, and Perez-Soler R (2008) Erlotinib Induces Mitochondrial-Mediated Apoptosis in Human H3255 Non-Small-Cell Lung Cancer Cells with Epidermal Growth Factor Receptor<sup>L858R</sup> Mutation through Mitochondrial Oxidative Phosphorylation-Dependent Activation of BAX and BAK *Mol Pharmacol* 74:793–806; doi:10.1124/mol.107.044396

391

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

*About the cover:* 3D structure of Tp1a. Ensemble of 20 structures chosen to represent the solution structure of Tp1a. See the article by Cardoso et al. ([dx.doi.org/10.1124/mol.115.098178](http://dx.doi.org/10.1124/mol.115.098178)).