

# MOLECULAR PHARMACOLOGY

January 2019

Volume 95

Number 1

molpharm.aspetjournals.org

ISSN 1521-0111

## MINIREVIEW

- Applying the Monod-Wyman-Changeux Allosteric Activation Model to Pseudo-Steady-State Responses from GABA<sub>A</sub> Receptors  
*Joe Henry Steinbach, and Gustav Akk* 106

## ARTICLES

- Pyrimidinyl Biphenylureas Act as Allosteric Modulators to Activate Cannabinoid Receptor 1 and Initiate  $\beta$ -Arrestin-Dependent Responses  
*Caitlin A. D. Jagla, Caitlin E. Scott, Yaliang Tang, Changjiang Qiao, Gabriel E. Mateo-Semidey, Guillermo A. Yudowski, Dai Lu, and Debra A. Kendall* 1
- Regulation of an Opioid Receptor Chaperone Protein, RTP4, by Morphine  
*Wakako Fujita, Mini Yokote, Ivone Gomes, Achla Gupta, Hiroshi Ueda, and Lakshmi A. Devi* 11
- Subcellular Localization and Activity of the Mitogen-Activated Protein Kinase Kinase 7 (MKK7)  $\gamma$  Isoform are Regulated through Binding to the Phosphatase Calcineurin  
*Emily S. Gibson, Kevin M. Woolfrey, Huiming Li, Patrick G. Hogan, Raphael A. Nemenoff, Lynn E. Heasley, and Mark L. Dell'Acqua* 20
- Substrate-Induced Motion between TM4 and TM7 of the Glutamate Transporter EAAT1 Revealed by Paired Cysteine Mutagenesis  
*Wenlong Zhang, Xiuping Zhang, and Shaogang Qu* 33
- Macroscopic and Microscopic Activation of  $\alpha 7$  Nicotinic Acetylcholine Receptors by the Structurally Unrelated Allosteric Agonist-Positive Allosteric Modulators (ago-PAMs) B-973B and GAT107  
*Marta Quadri, Sumanta Garai, Ganesh A. Thakur, Clare Stokes, Alican Gulsevin, Nicole A. Horenstein, and Roger L. Papke* 43
- N-Phthalyl-L-Tryptophan (RG108), like Clozapine (CLO), Induces Chromatin Remodeling in Brains of Prenatally Stressed Mice  
*Erbo Dong, Valentina Locci, Eleonora Gatta, Dennis R. Grayson, and Alessandro Guidotti* 62
- Analysis of GABA<sub>A</sub> Receptor Activation by Combinations of Agonists Acting at the Same or Distinct Binding Sites  
*Daniel J. Shin, Allison L. Germann, Douglas F. Covey, Joe Henry Steinbach, and Gustav Akk* 70
- A Modified Tripeptide Motif of RS1 (*RSC1A1*) Down-Regulates Exocytotic Pathways of Human Na<sup>+</sup>-D-glucose Cotransporters SGLT1, SGLT2, and Glucose Sensor SGLT3 in the Presence of Glucose  
*Nadine Schäfer, Prashanth Reddy Rikkala, Maike Veyhl-Wichmann, Thorsten Keller, Christian Ferdinand Jurowich, Dietmar Geiger, and Hermann Koepsell* 82
- Constitutive Androstane Receptor 1 is Constitutively Bound to Chromatin and 'Primed' for Transactivation in Hepatocytes  
*Michael McMahon, Shaohong Ding, Lourdes Acosta Jimenez, Remi Terranova, Marie-Apolline Gerard, Antonio Vitobello, Jonathan Moggs, Colin J. Henderson, and C. Roland Wolf* 97

- ❑ Cell Cycle and Apoptosis Regulator 1, CCAR1, Regulates Enhancer-Dependent Nuclear Receptor CAR Transactivation  
*Yuichiro Kanno, Shuai Zhao, Naoya Yamashita, Nao Saito, Aoi Ujiie, Rie Iijima, Nami Kikawa, Kiyomitsu Nemoto, and Yoshio Inouye* 120
- Lysosome Membrane Permeabilization and Disruption of the Molecular Target of Rapamycin (mTOR)-Lysosome Interaction Are Associated with the Inhibition of Lung Cancer Cell Proliferation by a Chloroquinoline Analog  
*Juan Sironi, Evelyn Aranda, Lars Ulrik Nordstrøm, and Edward L. Schwartz* 127
- ❑ Identification of Peracetylated Quercetin as a Selective 12-Lipoxygenase Pathway Inhibitor in Human Platelets  
*Marco S. Doucet, Jean-Luc Jougleux, Samuel J. Poirier, Marc Cormier, Jacob L. Léger, Marc E. Surette, Nicolas Pichaud, Mohamed Touaibia, and Luc H. Boudreau* 139

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

*About the cover:* A TMD binding pose of B-973B, where the difluorinated phenyl ring of the molecule overlaps the putative GAT107 binding site (not shown) under the M2-M3 linker. See the article by Quadri et al. ([dx.doi.org/10.1124/mol.118.113340](https://doi.org/10.1124/mol.118.113340)).