

# MOLECULAR PHARMACOLOGY

December 2016

Volume 90

Number 6

molpharm.aspetjournals.org

ISSN 1521-0111

## MINIREVIEWS

For Better or Worse: FFAR1 and FFAR4 Signaling in Cancer and Diabetes

*J. M. Houthuijzen*

738

The 2016 John J. Abel Award Lecture: Targeting the Mechanical Microenvironment in Cancer

*Hannah E. Majeski and Jing Yang*

744

## ARTICLES

▣ GluN2D-Containing N-methyl-D-Aspartate Receptors Mediate Synaptic Transmission in Hippocampal Interneurons and Regulate Interneuron Activity

*Riley E. Perszyk, John O. DiRaddo, Katie L. Strong, Chian-Ming Low, Kevin K. Ogden, Alpa Khatri, Geoffrey A. Vargish, Kenneth A. Pelkey, Ludovic Tricoire, Dennis C. Liotta, Yoland Smith, Chris J. McBain, and Stephen F. Traynelis*

689

▣ Extracellular Loop 2 of the Adenosine A<sub>1</sub> Receptor Has a Key Role in Orthosteric Ligand Affinity and Agonist Efficacy

*Anh T. N. Nguyen, Jo-Anne Baltos, Trayder Thomas, Toan D. Nguyen, Laura López Muñoz, Karen J. Gregory, Paul J. White, Patrick M. Sexton, Arthur Christopoulos, and Lauren T. May*

703

▣ Role of the Second Extracellular Loop of the Adenosine A<sub>1</sub> Receptor on Allosteric Modulator Binding, Signaling, and Cooperativity

*Anh T. N. Nguyen, Elizabeth A. Vecchio, Trayder Thomas, Toan D. Nguyen, Luigi Aurelio, Peter J. Scammells, Paul J. White, Patrick M. Sexton, Karen J. Gregory, Lauren T. May, and Arthur Christopoulos*

715

▣ Discovery and Characterization of Novel GPR39 Agonists Allosterically Modulated by Zinc

*Seiji Sato, Xi-Ping Huang, Wesley K. Kroeze, and Bryan L. Roth*

726

▣ Molecular Basis for Inhibition of the Na<sup>+</sup>/Citrate Transporter NaCT (SLC13A5) by Dicarboxylate Inhibitors

*Ana M. Pajor, Cesar A. de Oliveira, Kun Song, Kim Huard, Veerabahu Shanmugasundaram, and Derek M. Erion*

755

▣ State-Dependent Allosteric Inhibition of the Human SLC13A5 Citrate Transporter by Hydroxysuccinic Acids, PF-06649298 and PF-06761281

*Marie-Laure Rives, Morena Shaw, Bin Zhu, Simon A. Hinke, and Alan D. Wickenden*

766

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

About the cover: Docking poses of NECA (green carbon sticks), PD81723 (magenta carbon sticks), and VCP171 (yellow carbon sticks) at the homology model of the A<sub>1</sub>AR (grey ribbons) based on the high-resolution crystal structure of A<sub>2A</sub>AR (PDB ID 3QAK)...See the article by Christopoulos et al. ([dx.doi.org/10.1124/mol.116.105015](https://doi.org/10.1124/mol.116.105015))