

MOLECULAR PHARMACOLOGY

July 2014

Volume 86

Number 1

molpharm.aspetjournals.org

ISSN 1521-0111

ACCELERATED COMMUNICATION

- Discovery and Characterization of a G Protein–Biased Agonist That Inhibits β -Arrestin Recruitment to the D2 Dopamine Receptor
R. Benjamin Free, Lani S. Chun, Amy E. Moritz, Brittney N. Miller, Trevor B. Doyle, Jennie L. Conroy, Adrian Padron, Julie A. Meade, Jingbo Xiao, Xin Hu, Andrés E. Dulcey, Yang Han, Lihua Duan, Steve Titus, Melanie Bryant-Genevier, Elena Barnaeva, Marc Ferrer, Jonathan A. Javitch, Thijs Beuming, Lei Shi, Noel T. Southall, Juan J. Marugan, and David R. Sibley 96

ARTICLES

- The Physical Association of the P2Y₁₂ Receptor with PAR4 Regulates Arrestin-Mediated Akt Activation
Aasma Khan, Dongjun Li, Salam Ibrahim, Emer Smyth, and Donna S. Woulfe 1
- Antileukemic Activity and Mechanism of Drug Resistance to the Marine *Salinispora tropica* Proteasome Inhibitor Salinosporamide A (Marizomib)
Denise Niewerth, Gerrit Jansen, Lesley F. V. Riethoff, Johan van Meerloo, Andrew J. Kale, Bradley S. Moore, Yehuda G. Assaraf, Janet L. Anderl, Sonja Zweegman, Gertjan J. L. Kaspers, and Jacqueline Cloos 12
- Mutations in the Main Cytoplasmic Loop of the GABA_A Receptor α_4 and δ Subunits Have Opposite Effects on Surface Expression
John R. Bracamontes, Ping Li, Gustav Akk, and Joe Henry Steinbach 20
- Structure, Molecular Modeling, and Function of the Novel Potassium Channel Blocker Urotoxin Isolated from the Venom of the Australian Scorpion *Urodacus yaschenkoi*
Karen Luna-Ramírez, Adam Bartok, Rita Restano-Cassulini, Veronica Quintero-Hernández, Fredy I. V. Coronas, Janni Christensen, Christine E. Wright, Gyorgy Panyi, and Lourival D. Possani 28
- Synergy-Based Small-Molecule Screen Using a Human Lung Epithelial Cell Line Yields $\Delta F508$ -CFTR Correctors That Augment VX-809 Maximal Efficacy
Puay-Wah Phuan, Guido Veit, Joseph Tan, Ariel Roldan, Walter E. Finkbeiner, Gergely L. Lukacs, and A. S. Verkman 42
- Desensitization of Functional μ -Opioid Receptors Increases Agonist Off-Rate
John T. Williams 52
- Characterization of the Zebrafish *Ugt* Repertoire Reveals a New Class of Drug-Metabolizing UDP Glucuronosyltransferases
Yuanming Wang, Haiyan Huang, and Qiang Wu 62
- An N-Terminal Threonine Mutation Produces an Efflux-Favorable, Sodium-Primed Conformation of the Human Dopamine Transporter
Rheaclare Fraser, Yongyue Chen, Bipasha Guptaroy, Kathryn D. Luderman, Stephanie L. Stokes, Asim Beg, Louis J. DeFelice, and Margaret E. Gnegy 76

❑ A Plasma Concentration of α -Ketoglutarate Influences the Kinetic Interaction of Ligands with Organic Anion Transporter 1 <i>Leslie Ingraham, Mansong Li, J. Larry Renfro, Sonda Parker, Arpine Vapurcuyan, Imad Hanna, and Ryan M. Pelis</i>	86
❑ Characterization of the Novel Positive Allosteric Modulator, LY2119620, at the Muscarinic M ₂ and M ₄ Receptors <i>Carrie H. Croy, Douglas A. Schober, Hongling Xiao, Anne Quets, Arthur Christopoulos, and Christian C. Felder</i>	106
❑ Development of a Radioligand, [³ H]LY2119620, to Probe the Human M ₂ and M ₄ Muscarinic Receptor Allosteric Binding Sites <i>Douglas A. Schober, Carrie H. Croy, Hongling Xiao, Arthur Christopoulos, and Christian C. Felder</i>	116
ERRATUM	
Correction to “Novel and Specific Inhibitors of a Poxvirus Type I Topoisomerase”	124

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

About the cover: Pharmacophore model for G protein–biased and nonbiased agonist interactions with the D2R. See the article by Free et al. ([dx.doi.org/10.1124/mol.113.090563](https://doi.org/10.1124/mol.113.090563)).