

MOLECULAR PHARMACOLOGY

October 2011

Volume 80

Number 4

molpharm.aspetjournals.org

ISSN 0026-895X

MINIREVIEWS

Understanding Molecular Recognition by G protein $\beta\gamma$ Subunits on the Path to Pharmacological Targeting
Yuan Lin and Alan V. Smrcka 551

MicroRNAs: New Players in Cardiac Injury and Protection
Rakesh C. Kukreja, Chang Yin, and Fadi N. Salloum 558

ACCELERATED COMMUNICATION

Structural and Functional Analyses of the Second-Generation Integrase Strand Transfer Inhibitor
Dolutegravir (S/GSK1349572)
*Stephen Hare, Steven J. Smith, Mathieu Métifiot, Albert Jaxa-Chamiec, Yves Pommier,
Stephen H. Hughes, and Peter Cherepanov* 565

ARTICLES

Interactions of Key Charged Residues Contributing to Selective Block of Neuronal Sodium Channels by
 μ -Conotoxin KIIIA
J. R. McArthur, G. Singh, D. McMaster, R. Winkfein, D. P. Tieleman, and R. J. French 573

Elucidation of the Contribution of Active Site and Exosite Interactions to Affinity and Specificity of
Peptidyl Serine Protease Inhibitors Using Non-Natural Arginine Analogs
*Masood Hosseini, Longguang Jiang, Hans Peter Sørensen, Jan K. Jensen, Anni Christensen,
Sarah Fogh, Cai Yuan, Lisbeth M. Andersen, Mingdong Huang, Peter A. Andreasen,
and Knud J. Jensen* 585

Novel Thiosemicarbazone Iron Chelators Induce Up-Regulation and Phosphorylation of the Metastasis
Suppressor N-myc Down-Stream Regulated Gene 1: A New Strategy for the Treatment of
Pancreatic Cancer
Zaklina Kovacevic, Sherin Chikhani, David B. Lovejoy, and Des R. Richardson 598

The Selective Estrogen Receptor Modulator Bazedoxifene Inhibits Hormone-Independent Breast Cancer
Cell Growth and Down-Regulates Estrogen Receptor α and Cyclin D1
*Joan S. Lewis-Wambi, Helen Kim, Ramona Curpan, Ronald Grigg, Mohammed A. Sarker,
and V. Craig Jordan* 610

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; Web site: 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.: \$714; outside the U.S.: \$806. Single copy: \$63. GST Tax Number for Canadian subscribers:

BN:13489 2330 RT. Indexed or abstracted by *Biochemistry & Biophysics Citation Index*®, *Biological Abstracts*, *Current Awareness in Biological Sciences*, *Current Contents*®/Life Sciences, *EMBASE/Excerpta Medica*, *Index Medicus*, *Medical Documentation Service*®, *Reference Update*®, *Research Alerts*®, *Science Citation Index*®, and *SciSearch*®. Copyright © 2011 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

- [S] The Antiepileptic Drugs Phenobarbital and Carbamazepine Reduce Transport of Methotrexate in Rat Choroid Plexus by Down-Regulation of the Reduced Folate Carrier
Sandra Halwachs, Cathleen Lakoma, Ingo Schäfer, Peter Seibel, and Walther Honscha 621
- [S] Molecular Determinants for Activation of Human *Ether-à-go-go-related* Gene 1 Potassium Channels by 3-Nitro-*N*-(4-phenoxyphenyl) Benzamide
Vivek Garg, Anna Stary-Weinzinger, Frank Sachse, and Michael C. Sanguinetti 630
- [S] Curcumin-Induced Mitotic Spindle Defect and Cell Cycle Arrest in Human Bladder Cancer Cells Occurs Partly through Inhibition of Aurora A
Hsiao-Sheng Liu, Ching-Shiun Ke, Hung-Chi Cheng, Chi-Ying F. Huang, and Chun-Li Su 638
- Three Arginines in the GABA_A Receptor Binding Pocket Have Distinct Roles in the Formation and Stability of Agonist- versus Antagonist-Bound Complexes
Marcel P. Goldschen-Ohm, David A. Wagner, and Mathew V. Jones 647
- Isoform-Selective Inhibition of Phosphoinositide 3-Kinase: Identification of a New Region of Nonconserved Amino Acids Critical for p110 α Inhibition
Zhaohua Zheng, Syazwani I. Amran, Philip E. Thompson, and Ian G. Jennings 657
- Cooperative Role of Caveolin-1 and C-Terminal Src Kinase Binding Protein in C-Terminal Src Kinase-Mediated Negative Regulation of c-Src
Aaron T. Place, Zhenlong Chen, Farnaz R. Bakhshi, Guoquan Liu, John P. O'Bryan, and Richard D. Minshall 665
- [S] Aryl Hydrocarbon Receptor Activation in Hematopoietic Stem/Progenitor Cells Alters Cell Function and Pathway-Specific Gene Modulation Reflecting Changes in Cellular Trafficking and Migration
Fanny L. Casado, Kameshwar P. Singh, and Thomas A. Gasiewicz 673
- Cyanoquinolines with Independent Corrector and Potentiator Activities Restore Δ Phe508-Cystic Fibrosis Transmembrane Conductance Regulator Chloride Channel Function in Cystic Fibrosis
Puay-Wah Phuan, Baoxue Yang, John M. Knapp, Alex B. Wood, Gergely L. Lukacs, Mark J. Kurth, and A. S. Verkman 683
- [S] Novel Betulin Derivatives as Antileishmanial Agents with Mode of Action Targeting Type IB DNA Topoisomerase
Sayan Chowdhury, Tulika Mukherjee, Souvik Sengupta, Somenath Roy Chowdhury, Sibabrata Mukhopadhyay, and Hemanta K. Majumder 694
- Rat Embryo Exposure to All-*Trans* Retinoic Acid Results in Postnatal Oxidative Damage of Respiratory Complex I in the Cerebellum
Anna Signorile, Nicola Sardaro, Domenico De Rasmio, Salvatore Scacco, Francesco Papa, Pietro Borracci, Maria Rosaria Carratù, and Sergio Papa 704
- [S] Valproic Acid Induces Monoamine Oxidase A via Akt/Forkhead Box O1 Activation
Jason Boyang Wu and Jean C. Shih 714
- [S] Inhibition of Nav β 4 Peptide-Mediated Resurgent Sodium Currents in Nav1.7 Channels by Carbamazepine, Riluzole, and Anandamide
Jonathan W. Theile and Theodore R. Cummins 724
- Identification of Cysteines Involved in the Effects of Methanethiosulfonate Reagents on Human Equilibrative Nucleoside Transporter 1
Jamie S. Park, Scott J. Hughes, Frances K. M. Cunningham, and James R. Hammond 735

Long-Term α_{1A} -Adrenergic Receptor Stimulation Improves Synaptic Plasticity, Cognitive Function, Mood, and Longevity

Van A. Doze, Robert S. Papay, Brianna L. Goldenstein, Manveen K. Gupta, Katie M. Collette, Brian W. Nelson, Mariaha J. Lyons, Bethany A. Davis, Elizabeth J. Luger, Sarah G. Wood, James R. Haselton, Paul C. Simpson, and Dianne M. Perez

747

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

About the cover: Morphologic analysis of T24 cells in response to curcumin or Aurora A siRNA. See article by Liu et al. on page 638 of this issue.