

## Contents

### ACCELERATED COMMUNICATIONS

- 379 The Role of Conserved Leucines in the M2 Domain of the Acetylcholine Receptor in Channel Gating Gregory N. Filatov and Michael M. White

### ARTICLES

- 385 Rat  $\beta 3$  Subunits Expressed in Human Embryonic Kidney 293 Cells Form High Affinity [ $^{35}\text{S}$ ]-*t*-Butylbicyclophosphorothionate Binding Sites Modulated by Several Allosteric Ligands of  $\gamma$ -Aminobutyric Acid Type A Receptors Astrid Slany, Jürgen Zezula, Verena Tretter, and Werner Sieghart
- 392 Hamster  $\alpha_{1B}$ -Adrenergic Receptor Directly Activates  $G_s$  in the Transfected Chinese Hamster Ovary Cells Kuniko Horie, Hiroshi Itoh, and Gozoh Tsujimoto
- 401 Novel Steroid  $5\alpha$ -Reductase Inhibitor FK143: Its Dual Inhibition against the Two Isozymes and Its Effect on Transcription of the Isozyme Genes Hitoshi Kojo, Osamu Nakayama, Jiro Hirosumi, Noboru Chida, Yoshitada Notsu, and Masakuni Okuhara
- 407 Molecular Cloning of Human 5-Hydroxytryptamine $_3$  Receptor: Heterogeneity in Distribution and Function among Species Akira Miyake, Shinobu Mochizuki, Yukihiro Takemoto, and Shinobu Akuzawa
- 417 Substitution at Residue 473 Confers Progesterone 21-Hydroxylase Activity to Cytochrome P450 2C2 Manjunath Ramarao and Byron Kemper

*Continued*

MOLECULAR PHARMACOLOGY (MOL/ISSN 0026-895X) is an official publication of The American Society for Pharmacology and Experimental Therapeutics and is published monthly, two volumes a year, beginning in January and July, by Williams & Wilkins, 351 West Camden Street, Baltimore, MD 21201-2436. Second class postage paid at Baltimore, MD and at additional mailing offices. POSTMASTER: Send address changes to MOLECULAR PHARMACOLOGY, 351 West Camden Street, Baltimore, MD 21201-2436. **Subscription Rates: U.S.: Personal \$115; Institutional \$250; Single copy \$23. Outside the U.S., except for Japan: Personal \$150; Institutional \$285; Single copy \$26.** Foreign prices exclude Japan. See **Information for Subscribers** for detailed instructions. The GST Tax Number for Canadian subscribers is 123394371. Country of origin USA. PRICES ARE SUBJECT TO CHANGE. Indexed by *Index Medicus*, *Current Contents (Life Sciences)*, *Excerpta Medica*, *Reference Update/Research Information Systems*, and *Current Awareness in Biological Sciences*. Copyright © 1995 by The American Society for Pharmacology and Experimental Therapeutics.

**CONTENTS (cont'd)**

- 425      **Structure-Activity Relationship of Novel Pentapeptide Neuropeptide Y Receptor Antagonists Is Consistent with a Noncontinuous Epitope for Ligand-Receptor Binding**  
Alejandro J. Daniels, Jessica E. Matthews, O. Humberto Viveros, Johann J. Leban, Michael Cory, and Dennis Heyer
- 433      **Inhibition of Interleukin-1 $\beta$  Production by SKF86002: Evidence of Two Sites of *In Vitro* Activity and of a Time and System Dependence**  
David G. Perregaux, David Dean, Melissa Cronan, Patricia Connelly, and Christopher A. Gabel
- 443      **Comparison of the Pharmacology and Signal Transduction of the Human Cannabinoid CB<sub>1</sub> and CB<sub>2</sub> Receptors**  
Christian C. Felder, Kelly E. Joyce, Eileen M. Briley, Jaleh Mansouri, Ken Mackie, Olivier Blond, Yvonne Lai, Alice L. Ma, and Richard L. Mitchell
- 451      **Novel Pharmacological Properties of Transient Potassium Currents in Central Neurons Revealed by *N*-Bromoacetamide and Other Chemical Modifiers**  
Rong-Chi Huang
- 459      **Carrier- and Receptor-Mediated Transport of Folate Antagonists Targeting Folate-Dependent Enzymes: Correlates of Molecular-Structure and Biological Activity**  
G. Robbin Westerhof, Jan H. Schornagel, Ietje Kathmann, Ann L. Jackman, Andre Rosowsky, Ronald A. Forsch, John B. Hynes, F. Thomas Boyle, Godefridus J. Peters, Herbert M. Pinedo, Gerrit Jansen
- 472      **ATP Depletion and Inactivation of an ATP-Sensitive Taurine Channel by Classic Ion Channel Blockers**  
Nazzareno Ballatori, Anh T. Truong, Paul S. Jackson, Kevin Strange, and James L. Boyer
- 477      **Mutation of Carboxyl-Terminal Threonine Residues in Human m3 Muscarinic Acetylcholine Receptor Modulates the Extent of Sequestration and Desensitization**  
Jun Yang, John A. Williams, David I. Yule, and Craig D. Logsdon
- 486      **The Effect of Temperature on the Binding of Sulfonamides to Carbonic Anhydrase Isoenzymes I, II, and IV**  
Curtis W. Conroy and Thomas H. Maren
- 492      **Determination of Structural Domains for G Protein Coupling and Ligand Binding in  $\beta_3$ -Adrenergic Receptor**  
Xiao-Ming Guan, Angela Amend, and Catherine D. Strader
- 499      **Nicotinamide Adenine Dinucleotide (Phosphate): Quinone Oxidoreductase (DT-Diaphorase) as a Target for Bioreductive Antitumor Quinones: Quinone Cytotoxicity and Selectivity in Human Lung and Breast Cancer Cell Lines**  
Howard D. Beall, Aileene M. Murphy, David Siegel, Rob H. J. Hargreaves, John Butler, and David Ross
- 505      **Effects of  $\gamma$ -Glutamyl Hydrolase on Folyl and Antifolylpolyglutamates in Cultured H35 Hepatoma Cells**  
Rong Yao, Myung S. Rhee, and John Galivan

*Continued*

**CONTENTS (cont'd)**

- 512      The  $\delta$  Isomer of Hexachlorocyclohexane Induces Rapid Release of the *myo*-Inositol-1,4,5-trisphosphate-Sensitive  $\text{Ca}^{2+}$  Store and Blocks Capacitative  $\text{Ca}^{2+}$  Entry in Rat Basophilic Leukemia Cells  
F. Charles Mohr,  
Sheila V. Alojipan,  
Sheryl K. Dunston, and  
Isaac N. Pessah
- 523      Characterization of the Interaction of the Marine Cyanobacterial Natural Product Curacin A with the Colchicine Site of Tubulin and Initial Structure-Activity Studies with Analogues  
Andrei V. Blokhin, Hye-Dong Yoo,  
Robin S. Gerald, Dale G. Nagle,  
William H. Gerwick, and  
Ernest Hamel
- 532      Regulation of Phosphoinositide-3-kinase by G Protein  $\beta\gamma$  Subunits in a Rat Osteosarcoma Cell Line  
Andrew J. Morris, Simon A. Rudge,  
Carrie E. Mahlum, and  
John M. Jenco
- 540      Voltage-Dependent Blockade of Diverse Types of Voltage-Gated  $\text{Ca}^{2+}$  Channels Expressed in *Xenopus* Oocytes by the  $\text{Ca}^{2+}$  Channel Antagonist Mibefradil (Ro 40-5967)  
I. Bezprozvanny and R. W. Tsien
- 550      Tumor Necrosis Factor- $\alpha$  and Interleukin-1 $\alpha$  Enhance Glucose Utilization by Astrocytes: Involvement of Phospholipase  $\text{A}_2$   
Naichen Yu,  
Dominique Maciejewski-Lenoir,  
Floyd E. Bloom, and  
Pierre J. Magistretti
- 559      A Single Amino Acid Change in the Mouse Peroxisome Proliferator-Activated Receptor  $\alpha$  Alters Transcriptional Responses to Peroxisome Proliferators  
Mei-Hui Hsu, Colin N. A. Palmer,  
Keith J. Griffin, and  
Eric F. Johnson
- 568      Errata

