Contents

ACCELERATED COMMUNICATIONS

1 Characterization of 1H-[1,2,4]Oxadiazolo[4,3-a]quinoxalin-1-one as a Heme-Site Inhibitor of Nitric Oxide-Sensitive Guanylyl Cyclase

Astrid Schrammel,
Sönke Behrends, Kurt Schmidt,
Doris Koebling, and Bernd Mayer

6 (2S,1'S,2'S,3'R)-2-(2'-Carboxy-3'-phenyl-cyclopropyl)glycine, a Potent and Selective Antagonist of Type 2 Metabotropic Glutamate Receptors

Christian Thomsen, Valeria Bruno,
Ferdinando Nicoletti,
Maura Marinozzi, and
Roberto Pellicciari

10 Trans-species Gene Transfer for Analysis of Glucocorticoid-Inducible Transcriptional Activation of Transiently Expressed Human CYP3A4 and Rabbit CYP3A6 in Primary Cultures of Adult Rat and Rabbit Hepatocytes

Joyce L. Barwick,
Linda C. Quattrochi, A. S. Mills,
Carol Potenza, Robert H. Tukey,
and Philip S. Guzelian

ARTICLES

17 Effect of Phorbol Myristate Acetate on α1-Adrenergic Action in Cells Expressing Recombinant α1-Adrenoceptor Subtypes

José Vázquez-Prado and
J. Adolfo García-Sáinz

23 α6 and γ2 Subunit Antisense Oligodeoxynucleotides Alter γ-Aminobutyric Acid Receptor Pharmacology in Cerebellar Granule Neurons

Wei Jian Zhu, Jian Feng Wang,
Stefano Vicini, and
Dennis R. Grayson

Continued
<table>
<thead>
<tr>
<th>Page</th>
<th>Title</th>
<th>Authors</th>
</tr>
</thead>
<tbody>
<tr>
<td>34</td>
<td>Contribution of a Helix 5 Locus to Selectivity of Hallucinogenic and Nonhallucinogenic Ligands for the Human 5-Hydroxytryptamine$<em>{2A}$ and 5-Hydroxytryptamine$</em>{2C}$ Receptors: Direct and Indirect Effects on Ligand Affinity Mediated by the Same Locus</td>
<td>Niva Almaula, Barbara J. Ebersole, Juan A. Ballesteros, Harel Weinstein, and Stuart C. Sealfon</td>
</tr>
<tr>
<td>43</td>
<td>$\mu$-Opioid Receptors Inhibit Dopamine-Stimulated Activity of type V Adenylyl Cyclase but Enhance Dopamine-Stimulated Activity of type VII Adenylyl Cyclase</td>
<td>Masami Yoshimura, Hiroshi Ikeda, and Boris Tabakoff</td>
</tr>
<tr>
<td>60</td>
<td>Pituitary Adenylate Cyclase Activating Polypeptide Prevents Apoptosis in Cultured Cerebellar Granule Neurons</td>
<td>Sebastiano Cavallaro, Agata Copani, Velia D'Agata, Simone Musco, Salvatore Petralia, Carmelo Ventra, Franca Stivala, Salvatore Travali, and Pier Luigi Canonico</td>
</tr>
<tr>
<td>67</td>
<td>Peroxisome Proliferator-Activated Receptor $\alpha$ Required for Gene Induction by Dehydroepiandrosterone-3$\beta$-sulfate</td>
<td>Jeffrey M. Peters, Yuan-Chun Zhou, Prabha A. Ram, Susanna S. T. Lee, Frank J. Gonzalez, and David J. Waxman</td>
</tr>
<tr>
<td>75</td>
<td>Ligands of the Antiestrogen-Binding Site Are Able to Inhibit Virion Production of Human Immunodeficiency Virus 1-Infected Lymphocytes</td>
<td>F. Mesange, F. Delarue, J. Puel, F. Bayard, and J.-C. Faye</td>
</tr>
<tr>
<td>80</td>
<td>$\kappa$-Opioid Receptor Activation of a Dendrotoxin-Sensitive Potassium Channel Mediates Presynaptic Inhibition of Mossy Fiber Neurotransmitter Release</td>
<td>Michele L. Simmons and Charles Chavkin</td>
</tr>
<tr>
<td>86</td>
<td>KINFIT II: A Nonlinear Least-Squares Program for Analysis of Kinetic Binding Data</td>
<td>G. Enrico Rovati, Richard Shrager, Simonetta Nicosia, and Peter J. Munson</td>
</tr>
<tr>
<td>96</td>
<td>Genetic Evidence for Involvement of Multiple Effector Systems in $\alpha_{2A}$-Adrenergic Receptor Inhibition of Stimulus-Secretion Coupling</td>
<td>Parul P. Lakhlani, David M. Lovinger, and Lee E. Limbird</td>
</tr>
<tr>
<td>104</td>
<td>Effects of Long-Term Treatment with the Allosteric Enhancer, PD81,723, on Chinese Hamster Ovary Cells Expressing Recombinant Human A$_1$ Adenosine Receptors</td>
<td>Samita Bhattacharya and Joel Linden</td>
</tr>
</tbody>
</table>
CONTENTS (cont’d)

112 Characterization of the Peptide Binding Requirements for the Cloned Human Pancreatic Polypeptide-Preferring Receptor

Donald R. Gehlert,
Douglas A. Schober, Lisa Beavers,
Robert Gadski, James A. Hoffman,
David L. Smiley,
Ronald E. Chance, Ingrid Lundell,
and Dan Larhammar

119 Properties of Recombinant γ-Aminobutyric Acid Receptor Isoforms Containing the α5 Subunit Subtype

Edward C. Burgard,
Elizabeth I. Tietz,
Torben R. Neelands, and
Robert L. Macdonald

128 B1 and B2 Kinin Receptors Mediate Distinct Patterns of Intracellular Ca²⁺ Signaling in Single Cultured Vascular Smooth Muscle Cells

Sandra A. Mathis,
Nick L. Criscimagna, and
L. M. Fredrik Leeb-Lundberg

140 Alanine Scanning Mutagenesis of Conserved Arginine/Lysine-Arginine/Lysine-X-X-Arginine/Lysine G Protein-Activating Motifs on m1 Muscarinic Acetylcholine Receptors

Norman H. Lee,
Neil S. M. Geoghagen,
Emily Cheng, Robin T. Cline, and
Claire M. Fraser

149 Glutathione-Associated Enzymes in the Human Cell Lines of the National Cancer Institute Drug Screening Program

Kenneth D. Tew, Anne Monks,
Linda Barone, Diane Rosser,
Greg Akerman, Julie A. Montali,
Jeffrey B. Wheatley, and
Donald E. Schmidt, Jr.

160 2',3'-Didehydro-3'-deoxythymidine: Regulation of its Metabolic Activation by Modulators of Thymidine-5'-triphosphate Biosynthesis

Gurpreet S. Ahluwalia,
Wen-Yi Gao, Hiroaki Mitsuya, and
David G. Johns

166 Characterization and Regulation of the Human ML1A Melatonin Receptor Stably Expressed in Chinese Hamster Ovary Cells

Paula A. Witt-Enderby and
Margarita L. Dubocovich

175 Inducible Expression of β₁- and β₂-Adrenergic Receptors in Rat C6 Glioma Cells: Functional Interactions between Closely Related Subtypes

Hongyang Zhong,
Shelly Wood Guerrero,
Timothy A. Ebenshade, and
Kenneth P. Minneman

185 Enhancement of Recombinant α1β₁γ2L γ-Aminobutyric Acid Receptor Whole-Cell Currents by Protein Kinase C Is Mediated through Phosphorylation of Both β1 and γ2L Subunits

Yu-Fung Lin, Timothy P. Angelotti,
Ellen M. Dudek,
Michael D. Browning, and
Robert L. Macdonald

196 A Novel Irreversible Antagonist of the A₁-Adenosine Receptor

Miduturu Srinivas,
John C. Shryock,
Peter J. Scammells, Jackie Ruble,
Stephen P. Baker, and
Luiz Belardinelli

Continued
About the cover: Targeting of delta opioid receptor to surface membranes. COS-1 cells were transfected with a mouse δ-opioid receptor mutant (D128A), for which the conserved aspartate in the third membrane domain is replaced by alanine. Cells were double-labeled with fluorescein-conjugated concanavalin A to label the plasma membrane (green) and with an anti-δ-opioid receptor antibody followed by rhodamine-conjugated streptavidin (red). Yellow shows the region of colocalization. This mutant exhibited reduced expression and subtle changes in its ability to bind certain agonist ligands. From Befort, K., L. Tabbara, S. Bausch, C. Chavkin, C. Evans, and B. Kieffer. The conserved aspartate residue in the third putative transmembrane domain of the δ-opioid receptor is not the anionic counterpart for cationic opiate binding but is a constituent of the receptor binding site. Mol. Pharmacol. 49: 216–223 (1996).