Contents

ACCELERATED COMMUNICATIONS

1 Characterization of 1H-[1,2,4]Oxadiazolo[4,3-α]quinoxalin-1-one as a Heme-Site Inhibitor of Nitric Oxide-Sensitive Guanylyl Cyclase
Astrid Schrammel, Sönke Behrends, Kurt Schmidt, Doris Koealing, and Bernd Mayer

6 (2S,1'S,2'S,3'R)-2-(2'-Carboxy-3'-phenylcyclopropyl)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
CONTENTS (cont'd)

34 Contribution of a Helix 5 Locus to Selectivity of Hallucinogenic and Nonhallucinogenic Ligands for the Human 5-Hydroxytryptamine_{2A} and 5-Hydroxytryptamine_{2C} Receptors: Direct and Indirect Effects on Ligand Affinity Mediated by the Same Locus

Niva Almaula, Barbara J. Ebersole, Juan A. Ballesteros, Harel Weinstein, and Stuart C. Sealfon

43 \(\mu\)-Opioid Receptors Inhibit Dopamine-Stimulated Activity of type V Adenylyl Cyclase but Enhance Dopamine-Stimulated Activity of type VII Adenylyl Cyclase

Masami Yoshimura, Hiroshi Ikeda, and Boris Tabakoff

52 Bimodal Distribution of Renal Cytochrome P450 3A Activity in Humans


60 Pituitary Adenylate Cyclase Activating Polypeptide Prevents Apoptosis in Cultured Cerebellar Granule Neurons

Sebastiano Cavallaro, Agata Copani, Velia D'Agata, Simone Musco, Salvatore Petralia, Carmelo Ventura, Franca Stivala, Salvatore Travali, and Pier Luigi Canonico

67 Peroxisome Proliferator-Activated Receptor \(\alpha\) Required for Gene Induction by Dehydroepiandrosterone-3\(\beta\)-sulfate

Jeffrey M. Peters, Yuan-Chun Zhou, Prabha A. Ram, Susanna S. T. Lee, Frank J. Gonzalez, and David J. Waxman

75 Ligands of the Antiestrogen-Binding Site Are Able to Inhibit Virion Production of Human Immunodeficiency Virus 1-Infected Lymphocytes

F. Mesange, F. Delarue, J. Puel, F. Bayard, and J.-C. Faye

80 \(\kappa\)-Opioid Receptor Activation of a Dendrotoxin-Sensitive Potassium Channel Mediates Presynaptic Inhibition of Mossy Fiber Neurotransmitter Release

Michele L. Simmons and Charles Chavkin

86 KINFIT II: A Nonlinear Least-Squares Program for Analysis of Kinetic Binding Data

G. Enrico Rovati, Richard Shrager, Simonetta Nicosia, and Peter J. Munson

96 Genetic Evidence for Involvement of Multiple Effector Systems in \(\alpha_{2A}\)-Adrenergic Receptor Inhibition of Stimulus-Secretion Coupling

Parul P. Lakhlani, David M. Lovinger, and Lee E. Limbird

104 Effects of Long-Term Treatment with the Allosteric Enhancer, PD81,723, on Chinese Hamster Ovary Cells Expressing Recombinant Human A\(_1\) Adenosine Receptors

Samita Bhattacharya and Joel Linden

Continued
CONTENTS (cont'd)

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

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

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

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

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

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

166 Characterization and Regulation of the Human M₈ Melatonin Receptor Stably Expressed in Chinese Hamster Ovary Cells

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

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

196 A Novel Irreversible Antagonist of the A₁-Adenosine Receptor

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).