

## Contents

## ANALGESIA AND DRUGS OF ABUSE

- Tiapride Attenuates Pain Transmission Through an Indirect Activation of Central Serotonergic Mechanism** Nobuaki Takeshita, Yoshitaka Ohkubo and Isamu Yamaguchi 23
- Characterization of the Spinal Antinociceptive Activity of Constrained Peptidomimetic Opioids** Tony L. Yaksh, Annika B. Malmberg, Seonggu Ro, Peter Schiller and Murray Goodman 63
- Spinal Opioid Receptors and Adenosine Release: Neurochemical and Behavioral Characterization of Opioid Subtypes** Catherine M. Cahill, Thomas D. White and Jana Sawynok 84
- Felbamate Relieves Several Abnormal Pain Sensations in Rats with an Experimental Peripheral Neuropathy** Yoshiki Imamura and Gary J. Bennett 177
- Intrathecal Baclofen and Muscimol, But Not Midazolam, are Antinociceptive Using the Rat-Formalin Model** David M. Dirig and Tony L. Yaksh 219
- Opioid Modulation of Fetal Glucose Homeostasis: Role of Receptor Subtypes** Hazel H. Szeto, Yi Soong, Dun-li Wu and Peter Y. Cheng 334
- Microinfusion of *Mu* but Not *Delta* or *Kappa* Opioid Agonists into the Basolateral Amygdala Results in Inhibition of the Tail Flick Reflex in Pentobarbital-Anesthetized Rats** Fred J. Helmstetter, Patrick S. F. Bellgowan and Laura H. Poore 381
- Distribution of Morphine 6-Glucuronide and Morphine Across the Blood-Brain Barrier in Awake, Freely Moving Rats Investigated by *in Vivo* Microdialysis Sampling** Tor A. Aasmundstad, Jørg Mørland and Ragnhild E. Paulsen 435
- Distribution of the  $\mu$  and  $\delta$  Opioid Binding Sites in the Brain of the Alcohol-Preferring AA and Alcohol-Avoiding ANA Lines of Rats** Jean-Pascal de Waele, Kalervo Kiianmaa and Christina Gianoulakis 518

## AUTONOMIC PHARMACOLOGY

- 5-Hydroxytryptamine-Induced Synovial Plasma Extravasation Is Mediated *via* 5-Hydroxytryptamine<sub>2A</sub> Receptors on Sympathetic Efferent Terminals** Pamela A. Pierce, Guo-Xi Xie, Stephen J. Peroutka, Paul G. Green and Jon D. Levine 502

## BEHAVIORAL PHARMACOLOGY

- Antagonism of the Discriminative Stimulus Effects of  $\Delta^9$ -Tetrahydrocannabinol in Rats and Rhesus Monkeys** Jenny L. Wiley, John A. Lowe, Robert L. Balster and Billy R. Martin 1
- Effects of Single and Multiple Intravenous Cocaine Injections in Humans Maintained on Methadone** Richard W. Foltin, Ingrid Christiansen, Frances R. Levin and Marian W. Fischman 38
- Noradrenergic Involvement in the Discriminative Stimulus Effects of Cocaine in Squirrel Monkeys** Roger D. Spealman 53
- Development of Behavioral Sensitization to Cocaine: Influence of *Kappa* Opioid Receptor Agonists** Christian A. Heidbreder, Dusica Babovic-Vuksanovic, Mohammed Shoab and Toni S. Shippenberg 150
- Schedule-Controlled Behavioral Effects of the Selective 2-Amino-3-(5-methyl-3-hydroxyisoxazol-4-yl)propanoic Acid Antagonist LY293558 in Pigeons** Mark J. Benvenaga, Paul L. Ornstein and J. David Leander 164
- Drug Discrimination Analysis of Midazolam under a Three-Lever Procedure II: Differential Effects of Benzodiazepine Receptor Agonists** Christine A. Sannerud and Nancy A. Ator 183
- Cocaine Sensitization in Periadolescent and Adult Rats** Giovanni Laviola, Robin D. Wood, Cynthia Kuhn, Reynolds Francis and Linda P. Spear 345

<b>Agonist and Antagonist Effects of Dynorphin A-(1-13) in a Thermal Antinociception Assay in Rhesus Monkeys</b>	Eduardo R. Butelman, Charles P. France and James H. Woods	374
<b>Comparison of Benzodiazepine Receptor Ligands with Partial Agonistic, Antagonistic or Partial Inverse Agonistic Properties in Precipitating Withdrawal in Squirrel Monkeys</b>	J. R. Martin, F. Jenck and J.-L. Moreau	405
<b>CARDIOVASCULAR PHARMACOLOGY</b>		
<b>Interactions between Hydroxocobalamin and Nitric Oxide (NO): Evidence for a Redox Reaction between NO and Reduced Cobalamin and Reversible NO Binding to Oxidized Cobalamin</b>	Lori G. Rochelle, Salvatore J. Morana, Harriet Kruszyna, Michael A. Russell, Dean E. Wilcox and Roger P. Smith	48
<b>Zatebradine, a Specific Bradycardic Agent, Alters the Hemodynamic and Left Ventricular Mechanical Actions of Levosimendan, a New Myofilament Calcium Sensitizer, in Conscious Dogs</b>	Paul S. Pagel, Christopher P. Harkin, Douglas A. Hettrick and David C. Warltier	127
<b>Pharmacological Characterization of the Selective Nonpeptide Neuropeptide Y Y1 Receptor Antagonist BIBP 3226</b>	Henri N. Doods, Wolfgang Wiene, Michael Entzeroth, Klaus Rudolf, Wolfgang Eberlein, Wolfhard Engel and Heike A. Wieland	136
<b>Subtype Selectivity and Antagonistic Profile of the Nonpeptide Y1 Receptor Antagonist BIBP 3226</b>	Heike A. Wieland, Klaus D. Willim, Michael Entzeroth, Wolfgang Wiene, Klaus Rudolf, Wolfgang Eberlein, Wolfhard Engel and Henri N. Doods	143
<b>Quantification of the <i>In Vivo</i> Potency of the Adenosine A<sub>2</sub> Receptor Antagonist 8-(3-Chlorostyryl)Caffeine</b>	Ron A. A. Mathôt, Josy M. Gubbens-Stibbe, Willem Soudijn, Kenneth A. Jacobson, Adriaan P. IJzerman and Meindert Danhof	245
<b>Changes in Sympathetic Neurotransmission and Adrenergic Control of Cardiac Contractility During 1,3-Dipropyl-8-Sulphophenylxanthine-Induced Hypertension</b>	Annalisa Rubino and Geoffrey Burnstock	422
<b>CELLULAR AND MOLECULAR PHARMACOLOGY</b>		
<b>Modulation by <math>\sigma</math> Ligands of Intracellular Free Ca<sup>++</sup> Mobilization by N-Methyl-D-aspartate in Primary Culture of Rat Frontal Cortical Neurons</b>	Teruo Hayashi, Ariyuki Kagaya, Minoru Takebayashi, Masami Shimizu, Yosuke Uchitomi, Nobutaka Motohashi and Shigeto Yamawaki	207
<b>The Endothelin Receptor Profile in L6 Myotubes</b>	Zoltan Fekete, Xiao Yan Yang, Masayuki Kimura and Abraham Aviv	215
<b>Acute Amiodarone Terminates Ventricular Fibrillation by Modifying Cellular Ca<sup>++</sup> Homeostasis in Isolated Perfused Rat Hearts</b>	Shoji Kojima, Shao T. Wu, Joan Wikman-Coffelt and William W. Parmley	254
<b>Actions of the Benzopyran Compound Terikalant on Macroscopic Currents in Rat Ventricular Myocytes</b>	James G. McLarnon and Rending Xu	389
<b>Prevention and Reduction of Neural Damage in Ischemic Strokes by <math>\omega</math>-(N,N'-Diethylamino)-n-alkyl-3,4,5-Trimethoxybenzoate Compounds</b>	George C. Y. Chiou and Show-Jen Hong	474
<b>CHEMOTHERAPY/GENE THERAPY</b>		
<b>Cepharanthin, a Multidrug Resistant Modifier, is a Substrate for P-Glycoprotein</b>	Midori Hirai, Kumiko Tanaka, Takako Shimizu, Yusuke Tanigawara, Masato Yasuhara, Ryohei Hori, Yoshiyuki Kakehi, Osamu Yoshida, Kazumitsu Ueda, Tohru Komano and Ken-Ichi Inui	73
<b>Induction of Apoptosis in Murine and Human Neuroblastoma Cell Lines by the Eneidyne Natural Product Neocarzinostatin</b>	Theresa L. Hartsell, Jack C. Yalowich, Mary K. Ritke, A. J. Martinez and Nina Felice Schor	479
<b>DRUG METABOLISM AND DISPOSITION</b>		
<b>Phenethyl Isothiocyanate, a New Dietary Liver Aldehyde Dehydrogenase Inhibitor</b>	Kai O. Lindros, Thomas Badger, Martin Ronis, Magnus Ingelman-Sundberg and Martti Koivusalo	79

<b>Hepatic Transport of Rose Bengal by Perfused Rabbit Liver: The Effect of Albumin Binding on the Unidirectional Rate Constants</b>	B. A. Luxon and P. D. King	296
<b><i>In Vitro</i> Pharmacokinetics of Phosphorothioate Antisense Oligonucleotides</b>	Rosanne M. Crooke, Mark J. Graham, Margaret E. Cooke and Stanley T. Crooke	462
<b>ENDOCRINE PHARMACOLOGY</b>		
<b>Prophylaxis of Genetically Determined Diabetes by Diazoxide: A Study in a Rat Model of Naturally Occurring Obese Diabetes</b>	Toru Aizawa, Nahoko Taguchi, Yoshihiko Sato, Tetsuo Nakabayashi, Hideko Kobuchi, Hiroya Hidaka, Takeshi Nagasawa, Fujiki Ishihara, Nobuo Itoh and Kiyoshi Hashizume	194
<b>GASTROINTESTINAL PHARMACOLOGY</b>		
<b>Role of Na<sup>+</sup> in the Asymmetric Paracellular Transport of 4-Phenylazobenzoyloxycarbonyl-L-Pro-L-Leu-Gly-L-Pro-D-Arg Across Rabbit Colonic Segments and Caco-2 Cell Monolayers</b>	Wan-Ching Yen and Vincent H. L. Lee	114
<b>Characterization of Endothelin Receptors Mediating Mechanical Responses to the Endothelins in the Isolated Stomach Strip of the Rat</b>	Graham H. Allcock, Bruno Battistini, Alain Fournier, Timothy D. Warner and John R. Vane	120
<b>Peptide Structural Requirements for Antagonism Differ between the Two Mammalian Bombesin Receptor Subtypes</b>	Jaw-Town Lin, David H. Coy, Samuel A. Mantey and Robert T. Jensen	285
<b>Arachidonic Acid Cascade and Stimulation of Acetylcholine Release by Human Recombinant Interleukin-1<math>\beta</math> in Guinea Pig Ileum</b>	Shun-ichi Mameya, Takafumi Sawa and Kohtarō Taniyama	319
<b>Preventive Effect of Rebamipide on Gastric Lesions Induced by Ischemia-Reperfusion in the Rat</b>	Chi Dae Kim and Ki Whan Hong	340
<b>Necessity of Newly Synthesized ATP by Creatine Kinase for Contraction of Permeabilized Longitudinal Muscle Preparations of Rat Proximal Colon</b>	Tadayoshi Takeuchi, Akikazu Fujita, Toshiaki Ishii, Hideaki Nishio and Fumiaki Hata	429
<b>Reversal of Cyclosporine A-Induced Alterations in Biliary Secretion by S-Adenosyl-L-Methionine in Rats</b>	Emilio Fernández, Ana I. Galán, Dominica Morán, José M. González-Buitrago, María E. Muñoz and Rafael Jiménez	442
<b>Different Molecular Forms of Basic Fibroblast Growth Factor (bFGF) Accelerate Duodenal Ulcer Healing in Rats</b>	Stefano Kusstatscher, Zsuzsa Sandor, Sandor Szabo, Andrew Seddon and Peter Böhlen	456
<b>Peripheral and Central Cholecystokinin Receptors Regulate Postprandial Intestinal Motility in the Rat</b>	A. Rodríguez-Membrilla, V. Martínez and P. Vergara	486
<b>Roles of Prostaglandins, Nitric Oxide and the Capsaicin-Sensitive Sensory Nerves in Gastroprotection Produced by Ecabet Sodium</b>	Mine Kinoshita, Eisuke Kume and Hajime Tamaki	494
<b>IMMUNOPHARMACOLOGY</b>		
<b>New Antiinflammatory Compounds that Inhibit Tumor Necrosis Factor Production: Probable Interaction with Protein Kinase C Activation</b>	François Lang, Jean-Michel Robert, Philippe Boucrot, Lucien Welin and Jean-Yves Petit	171
<b>NEUROPHARMACOLOGY</b>		
<b>Characterization of Nitric Oxide Generator-Induced Hippocampal [<sup>3</sup>H]Norepinephrine Release. I. The Role of Glutamate</b>	Gyorgy Lonart and Kenneth M. Johnson	7
<b>Characterization of Nitric Oxide Generator-Induced Hippocampal [<sup>3</sup>H]Norepinephrine Release. II. The Role of Calcium, Reverse Norepinephrine Transport and Cyclic 3',5'-Guanosine Monophosphate</b>	Gyorgy Lonart and Kenneth M. Johnson	14
<b>Ziprasidone (CP-88,059): A New Antipsychotic with Combined Dopamine and Serotonin Receptor Antagonist Activity</b>	T. F. Steeger, P. A. Seymour, A. W. Schmidt, S. H. Zorn, D. W. Schulz, L. A. Lebel, S. McLean, V. Guanowsky, H. R. Howard, J. A. Lowe III and J. Heym	101

<b>Effects of Cholinesterase Inhibitors and Clonidine Coadministration on Rat Cortex Neurotransmitters <i>In Vivo</i></b>	Gabriel Cuadra and Ezio Giacobini	228
<b>Interactions of Dopaminergic and GABAergic Neurotransmission: Impact of 6-Hydroxydopamine Lesions into the Substantia Nigra of Rats</b>	Mir Ahamed Hossain and Norman Weiner	237
<b><i>Alpha</i>-2 Adrenergic Modulation of Sleep: Time-of-Day-Dependent Pharmacodynamic Profiles of Dexmedetomidine and Clonidine in the Rat</b>	Wesley F. Seidel, Mervyn Maze, William C. Dement and Dale M. Edgar	263
<b>Microinjection of Baclofen in the Ventromedial Medulla of Rats: Antinociception at Low Doses and Hyperalgesia at High Doses</b>	David A. Thomas, Malcolm K. McGowan and Donna L. Hammond	274
<b>Age-Dependent Sensitivity of Rats to the Long-Term Effects of the Serotonergic Neurotoxicant (<math>\pm</math>)-3,4-Methylenedioxymethamphetamine (MDMA) Correlates with the Magnitude of the MDMA-Induced Thermal Response</b>	Harry W. Broening, John F. Bowyer and William Slikker, Jr.	325
<b>Effect of the 5-Hydroxytryptamine<sub>2</sub> Receptor Antagonist Itasetron (DAU 6215) on (+)-N-Allylnormetazocine-Induced Dopamine Release in the Nucleus Accumbens and in the Corpus Striatum of the Rat: An <i>In Vivo</i> Microdialysis Study</b>	Marina Volonté, Angelo Ceci and Franco Borsini	358
<b>Repeated Stimulation of Dopamine D<sub>2</sub>-Like Receptors: Reduced Responsiveness of Nigrostriatal and Mesoaccumbens Dopamine Neurons to Quinpirole</b>	David K. Pitts, Liping Wang, Mark D. Kelland, Arthur S. Freeman and Louis A. Chiodo	412
<b>Chronic Antidepressant Treatment Facilitates G Protein Activation of Adenylyl Cyclase without Altering G Protein Content</b>	Jiang Chen and Mark M. Rasenick	509
<b>PROSTAGLANDINS, LEUKOTRIENES AND OTHER EICOSANOIDS</b>		
<b>Potent and Selective Inhibitors of Leukotriene A<sub>4</sub> Hydrolase: Effects on Purified Enzyme and Human Polymorphonuclear Leukocytes</b>	Anders Wetterholm, Jesper Z. Haeggström, Bengt Samuelsson, Wei Yuan, Benito Munoz and Chi-Huey Wong	31
<b>Immunological Characterization of Urinary 8-Epi-Prostaglandin F<sub>2<math>\alpha</math></sub> Excretion in Man</b>	Zhaoyue Wang, Giovanni Ciabattini, Christophe Créminon, John Lawson, Garret A. FitzGerald, Carlo Patrono and Jacques Maclouf	94
<b>Leukotriene C<sub>4</sub> Receptors on Guinea Pig Tracheocytes</b>	S. Prié, G. Guillemette, G. Boulay, P. Borgeat and P. Sirois	312
<b>Preferential Binding of the Novel Prostaglandin SC-46275 to Canine Gastric <i>Versus</i> Intestinal Receptors</b>	B. S. Tsai, R. H. Keith, W. E. Perkins, R. E. Walsh, C. P. Anglin, P. W. Collins, A. W. Gasielki, R. F. Bauer, P. H. Jones and T. S. Gaginella	368
<b>TEI-3313, a Novel Prostaglandin A<sub>1</sub> Derivative, Prevents Bone Loss and Enhances Bone Formation in Immobilized Male Rats</b>	Tomohiro Ohta, Yoshiaki Azuma, Hiroshi Kanatani, Mamoru Kiyoki and Yasuko Koshihara	450
<b>RENAL PHARMACOLOGY</b>		
<b>Nonpeptide Endothelin Receptor Antagonists V: Prevention and Reversal of Acute Renal Failure in the Rat by SB 209670</b>	Miklos Gellai, Malcolm Jugus, Tracey Fletcher, Ponnal Nambi, Eliot H. Ohlstein, John D. Elliott and David P. Brooks	200
<b>Interactions of Nifedipine with the Renovascular Effects of Endothelin in Humans</b>	Karin A. H. Kaasjager, Herman J. M. van Rijn, Hein A. Koomans and Ton J. Rabelink	306
<b>TOXICOLOGY</b>		
<b>Time- and Concentration-Dependent Biphasic Effect of Ochratoxin A on Growth of Proximal Tubular Cells in Primary Culture</b>	Michael Gekle, Carol A. Pollock and Stefan Silbermagl	397
<b>Erratum</b>		527