

## CONTENTS

## SHORT COMMUNICATIONS

ROBERT R. RUFFOLO, JR., KAREN S. ANDERSON, AND DUANE D. MILLER. Conformational Requirements of $\alpha_2$ -Adrenergic Receptors .....	259
ELI FINKELSTEIN, GERALD M. ROSEN, AND ELMER J. RAUCKMAN. Production of Hydroxyl Radical by Decomposition of Superoxide Spin-Trapped Adducts .....	262
ANDREAS PFEIFFER AND ALBERT HERZ. Discrimination of Three Opiate Receptor Binding Sites with the Use of a Computerized Curve-Fitting Technique .....	266
DAVID C. PERRY, JAN S. ROSENBAUM, MICHAEL KUROWSKI, AND WOLFGANG SADÉE. [ $^3\text{H}$ ] Etorphine Receptor Binding <i>in Vivo</i> : Small Fractional Occupancy Elicits Analgesia ..	272
MARK R. MEYER, MARJORIE W. GAINER, AND NEIL M. NATHANSON. <i>In Vivo</i> Regulation of Muscarinic Cholinergic Receptors in Embryonic Chick Brain .....	280
GILAD BEN-BARUCH, GABRIEL SCHREIBER, AND MORDECHAI SOKOLOVSKY. Cooperativity Pattern in the Interaction of the Antiestrogen Drug Clomiphene with the Muscarinic Receptors .....	287 •
P. M. LADURON, P. F. M. JANSSEN, W. GOMMEREN, AND J. E. LEYSEN. <i>In Vitro</i> and <i>in Vivo</i> Binding Characteristics of a New Long-Acting Histamine $\text{H}_1$ Antagonist, Astemizole ..	294
J. E. LEYSEN, C. J. E. NIEMEGERES, J. M. VAN NUETEN, AND P. M. LADURON. [ $^3\text{H}$ ] Ketanserin (R 41 468), a Selective $^3\text{H}$ -Ligand for Serotonin $_2$ Receptor Binding Sites: Binding Properties, Brain Distribution, and Functional Role .....	301
T. A. ROBERT, A. N. HAGARDORN, AND E. A. DAIGNEAULT. Differential Stereoselectivity of Methotrimeprazine Enantiomers for Selected Central Nervous System Receptor Types .....	315
FREDRIK LEEB-LUNDBERG AND RICHARD W. OLSEN. Interactions of Barbiturates of Various Pharmacological Categories with Benzodiazepine Receptors .....	320
ROBERT R. GOODMAN, MARK J. COOPER, MOSHE GAVISH, AND SOLOMON H. SNYDER. Guanine Nucleotide and Cation Regulation of the Binding of [ $^3\text{H}$ ]Cyclohexyladenosine and [ $^3\text{H}$ ]Diethylphenylxanthine to Adenosine $\text{A}_1$ Receptors in Brain Membranes ....	329 •
KLAUS AKTORIES, GÜNTER SCHULTZ, AND KARL H. JAKOBS. Stimulation of a low $K_m$ GTPase by Inhibitors of Adipocyte Adenylate Cyclase .....	336 •
GARY STRICHARTZ. Structure of the Saxitoxin Binding Site at Sodium Channels in Nerve Membranes: Exchange of Tritium from Bound Toxin Molecules .....	343
C. E. SPIVAK, M. A. MALEQUE, A. C. OLIVEIRA, L. M. MASUKAWA, T. TOKUYAMA, J. W. DALY, AND E. X. ALBUQUERQUE. Actions of the Histronicotoxins at the Ion Channel of the Nicotinic Acetylcholine Receptor and at the Voltage-Sensitive Ion Channels of Muscle Membranes .....	351
JOAN R. YOFFE AND RONALD T. BORCHARDT. Characterization of Serotonin Uptake in Cultured Neuroblastoma Cells: Difference between Differentiated and Nondifferentiated Cells .....	362
JOAN R. YOFFE AND RONALD T. BORCHARDT. Characterization of Serotonin Uptake in Cultured Pheochromocytoma Cells: Comparison with Norepinephrine Uptake .....	368
S. B. ECKHARDT, R. A. MAXWELL, AND R. M. FERRIS. A Structure-Activity Study of the Transport Sites for the Hypothalamic and Striatal Catecholamine Uptake Systems: Similarities and Differences .....	374

(continued)

MOLECULAR PHARMACOLOGY (ISSN 0026-895x) is published bi-monthly by The American Society for Pharmacology and Experimental Therapeutics, 9650 Rockville Pike, Bethesda, MD. 20814. 1982: Volumes 21-22. Price per volume: USA individual rate \$65.00; all other countries, surface mail \$75.00; air mail \$85.00-\$110.00 depending on location. USA institutional rate \$130.00; all other countries, surface mail \$140.00; air mail \$150.00-\$175.00 depending on location. All subscription orders should be addressed to Molecular Pharmacology, ASPET, 9650 Rockville Pike, Bethesda, MD. 20814. Send notice of address changes at least 6-8 weeks in advance.

Second Class Postage paid at Bethesda, MD. and at additional mailing offices. POSTMASTER send address changes (Form 3579) to 9650 Rockville Pike, Bethesda, MD. 20814.

Copyright © 1982 by The American Society for Pharmacology and Experimental Therapeutics.

# CONTENTS (cont'd)

RICHARD W. RANSOM, R. CRAIG KAMMERER, AND ARTHUR K. CHO. Chemical Transformations of Xylamine ( <i>N</i> -2'-Chloroethyl- <i>N</i> -Ethyl-2-Methylbenzylamine) in Solution: Pharmacological Activity of the Species Derived from This Irreversible Norepinephrine Uptake Inhibitor	380
KLAUS J. FEHSKE, UWE SCHLÄFER, UWE WOLLERT, AND WALTER E. MÜLLER. Characterization of an Important Drug Binding Area on Human Serum Albumin Including the High-Affinity Binding Sites of Warfarin and Azapropazone	387
MARIO BRUFANI, LUCIANO CELLAI, SILVIO CERRINI, WALTER FEDELI, ANNALaura SEGRe, AND ALESSANDRO VACIAGO. Structure-Activity Relationships in the Ansamycins: Molecular Structure and Activity of 3-Carbomethoxy Rifamycin S	394
A. CARPY, J. M. LEGER, C. LECLERC, N. DECKER, B. ROUOT, AND C. G. WERMUTH. Comparison of Crystallographic and Quantum Mechanical Analysis with Biological Data on Clonidine and Some Related Analogues	400
SVEIN G. DAHL, MICHAEL HJORTH, AND EDWARD HOUGH. Chlorpromazine, Methotimeprazine, and Metabolites: Structural Changes Accompanying the Loss of Neuroleptic Potency by Ring Sulfoxidation	409
PIETRO PALATINI. Magnesium-Dependent Inhibition of Beef Heart Soluble Mitochondrial Adenosine Triphosphatase by Tricyclic Antipsychotics	415
M. B. FEINSTEIN AND R. A. HADJIAN. Effects of the Calmodulin Antagonist Trifluoperazine on Stimulus-Induced Calcium Mobilization, Aggregation, Secretion, and Protein Phosphorylation in Platelets	422
JAMES A. FYFE. Differential Phosphorylation of ( <i>E</i> )-5-(2-Bromovinyl)-2'-deoxyuridine Monophosphate by Thymidylate Kinases from Herpes Simplex Viruses Types 1 and 2 and Varicella Zoster Virus	432
TORU KASHIWAGI, SUNGCHUL JI, JOHN J. LEMASTERS, AND RONALD G. THURMAN. Rate of Alcohol Dehydrogenase-Dependent Ethanol Metabolism in Periportal and Pericentral Regions of the Perfused Rat Liver	438
MICHAEL W. DUFFEL AND WILLIAM B. JAKOBY. Cysteine <i>S</i> -Conjugate <i>N</i> -Acetyltransferase from Rat Kidney Microsomes	444
C. RAZZOUK, M. BATARDY-GREGOIRE, AND M. ROBERFROID. Induction and Modification of Rat Liver Microsomal Arylamide <i>N</i> -Hydroxylase by Various Pretreatments	449
ELMER J. RAUCKMAN, GERALD M. ROSEN, AND JOY CAVAGNARO. Norcocaine Nitroxide: A Potential Hepatotoxic Metabolite of Cocaine	458
TADASHI SAWAHATA AND ROBERT A. NEAL. Inhibition of Rat Liver Cytochrome P-450 by Benzyl Hydrodisulfide	464
ROBERT I. GLAZER AND LINDA S. LLOYD. Association of Cell Lethality with Incorporation of 5-Fluorouracil and 5-Fluorouridine into Nuclear RNA in Human Colon Carcinoma Cells in Culture	468
WEN-CHENG TSENG, DAVID DERSE, YUNG-CHI CHENG, R. WALLACE BROCKMAN, AND L. LEE BENNETT, JR. <i>In Vitro</i> Biological Activity of 9- $\beta$ -D-Arabinofuranosyl-2-Fluoroadenine and the Biochemical Actions of Its Triphosphate on DNA Polymerases and Ribonucleotide Reductase from HeLa Cells	474
BARBARA A. DOMIN, SUSAN P. GRILL, KENNETH F. BASTOW, AND YUNG-CHI CHENG. Effect of Methotrexate on Dihydrofolate Reductase Activity in Methotrexate-Resistant Human KB Cells	478
P. Y. LAW, J. E. KOEHLER, AND H. H. LOH. Comparison of Opiate Inhibition of Adenylate Cyclase Activity in Neuroblastoma N18TG2 and Neuroblastoma $\times$ Glioma NG108-15 Hybrid Cell Lines	483
P. Y. LAW, T. D. NICKSIC, M. A. O'ROURKE, J. E. KOEHLER, A. HERZ, AND H. H. LOH. Potentiation of Opiate Action in Neuroblastoma N18TG2 Cells by Lipid Incorporation	492
STEPHEN A. RUDOLPH, TERRY M. BAIRD, AND JONATHAN W. WARDELL. Cyclic AMP Receptors and Cation Fluxes in the Turkey Erythrocyte	503
FRANK J. GONZALEZ AND CHARLES B. KASPER. Differential Inducibility of Nuclear Envelope Epoxide Hydratase by <i>Trans</i> -Stilbene Oxide and Phenobarbital	511
JOHN J. STEGEMAN, BRUCE R. WOODIN, ALAN V. KLOTZ, RICHARD E. WOLKE, AND NANETTE R. ORME-JOHNSON. Cytochrome P-450 and Monooxygenase Activity from the Fish <i>Stenotomus chrysops</i>	517
LESTER M. BORNHEIM AND MICHAEL R. FRANKLIN. Metabolic-Intermediate Complex Formation Reveals Major Changes in Rat Hepatic Cytochrome P-450 Subpopulations in Addition to Those Forms Previously Purified after Phenobarbital, $\beta$ -Naphthoflavone, and Isosafrole Induction	527