Drug Metabolism and Disposition: the biological fate of chemicals

July/August 1993

CONTENTS

Pharmacokinetics of the Antiviral Agent Carbocyclic 3-Deazadenosine. ROGER A. COULOMBE, JR., J. MICHAEL HUIE, RAGHUBIR P. SHARMA, AND JOHN W. HUGGINS ................................................... 555

Biodistribution and Metabolism of Orally Administered Mitozolomide in Mice. F. GACHON, P. LABARRE, D. GODENECHE, M. F. MOREAU, J. PAPON, J. C. MADELMONT, A. VYEVE, AND G. GAILLARD .... 560

Biotransformation of Fluvastatin Sodium in Humans. JEREMY G. DAIN, EMIL FU, JOHN GORSKI, JOSEPH NICOLETTI, AND TERENCE J. SCALLEN ............ 567

Application of an Immobilized Digestive Enzyme Assay to Measure Chemical and Enzymatic Hydrolysis of the Cyclic Peptide Antibiotic Lysobactin. R. KEVIN BLACKBURN AND RICHARD B. VAN BREEN .... 573

Stereochernical Studies on the β-Oxidation of Valproic Acid in Isolated Rat Hepatocytes. MICHAEL A. SHIRLEY, PEI HU, AND THOMAS A. BAILLIE .......... 580

Chemical, Enzymatic, and Human Enantioselective S-Oxygenation of Cimetidine. JOHN R. CASHMAN, SANG B. PARK, ZH-CHEN YANG, CARLA B. WASHINGTON, DENISE Y. GOMEZ, KATHLEEN M. GIACOMINI, AND CLAIRE M. BRETT ...... 587

Biotransformation of 5-Chloro-3-phenylthioindole-2-carboxamide (1-734,005) in Rhesus Monkeys and Rat Liver Microsomes to a Potent HIV-1 Reverse Transcriptase Inhibitor. SURESH K. BALANI, MARK E. GOLDMAN, LAURA R. KAUFFMAN, SANDOR L. VARGA, JULIE A. OBRIEN, STEVEN J. SMITH, TIMOTHY V. OLAI, HARRI G. RAMJIT, TERRY W. SCHORN, STEVEN M. PITZENBERGER, THERESA M. WILLIAMS, CLARENCE S. ROONEY, AND ANTHONY D. THEOHARIDES ... 598

Redox Cycling and Hepatotoxicity of Diquat in Aging Male Fischer 344 Rats. LORA E. RIKANS, YONG CAI, STANLEY D. KOSANKE, AND PANKAJA S.VENKATARAMAN .................................................. 605

The Disposition and Renal Handling of Enprofylline in Endotoxic Rats by Bacterial Lipopolysaccharide (LPS). MASAYUKI NADAI, TAKAAKI HASEGAWA, KATSUYOSHI KATO, LI WANG, TOSHITAKA NABESIMA, AND NOBUO KATO ............. 611

In Vitro Irreversible Binding of Ketoprofen Glucuronide to Plasma Proteins. NATHALIE DUBOIS, FRANCOISE LAPIQUE, MARIE-HELENE MAURICE, MIKE PRITCHARD, SYLVIE FOURNEL-GIGLIEUX, JACQUES MAGDALOU, MICHEL ABITEBOUL, GERARD SIEST, AND PATRICK NETTER .... 617

Metabolism and Disposition of Ractopamine Hydrochloride by Turkey Poult s. D. J. SMITH, V. J. FEIL, J. K. HUWE, AND G. D. PAULSON ........ 624

Gas Uptake Pharmacokinetics and Biotransformation of 1,1-Dichloro-1-fluoroethane (HCFC-141b). GEORGE D. LOIZOU AND M. W. ANDERS .... 634


Metabolism of the Antimammary Cancer Antiestrogenic Agent Tamoxifen. I. Cytochrome P-450-Catalyzed N-Demethylation and 4-Hydroxilation. CHITRA MANI, HARRY V. GELBOIN, SANG S. PARK, ROBIN PEARCE, ANDREW PARKINSON, AND DAVID KUPPER ... 645

Metabolism of the Antimammary Cancer Antiestrogenic Agent Tamoxifen. II. Flavin-Containing Monooxygenase-Mediated N-Oxidation. CHITRA MANI, ERNEST HODGSON, AND DAVID KUPPER ...... 657

Comparative Kinetics of Sematilide in Four Species. P. H. HINDERLING, C. DILEA, T. KOZIOL, AND G. MILLINGTON ............................................................ 662

Evidence for a 1,2-Hydride Shift in the Microsomal Metabolism of the Heterocycle 1-58,338, a Non-peptide Antiotensin II Receptor Antagonist. RALPH A. STEARNS, RANDALL R. MILLER, BYRON H. ARISON, AVERY ROSEGAY, JACK L. SMITH, NATHAN B. MANTLO, AND S. H. LEE CHIU ....... 670

Continued on next page
Contents (cont’d.)

Pharmacokinetics of Zenarestat, an Aldose Reductase Inhibitor, in Male and Female Diabetic Rats.
YOSHIO TANAKA, TAJI SAWAMOTO, AKIRA SUZUKI, AND TOSHIKIRO KIMURA .................. 677

Biotransformation of the Antidepressant DL-Rolipram.

Disposition of Tacrolimus (FK 506) in Rabbits: Role of Red Cell Binding in Hepatic Clearance.
WOJCIECH PIEKOSZEWSKI, FUNG SING CHOW, AND WILLIAM J. JUSKO ......................... 690

Development of a Simple Incubation System for Metabolism Studies with Precision-Cut Liver Slices.
PETER DOGTEROM ............................................... 699

A Species Comparison of Tolbutamide Metabolism in Precision-Cut Liver Slices from Rats and Dogs: Qualitative and Quantitative Sex Differences.
PETER DOGTEROM AND JAN ROTHUIZEN .......... 705


Disposition of (-) Carbovir in the in Situ Perfused Rat Liver and Intestinal Vasculature Preparations. INMACULADA SORIA AND CHERYL L. ZIMMERMAN . 724

Cyclosporine Metabolism by Rat Liver Microsomes: Evidence for Involvement of Enzymes(s) other than Cytochromes P-450 3A. THOMAYANT PRUEKSARITANONT, MARIA ALMIRA CORREIA, ALLAN E. RETTIE, DAVID C. SWINNEY, PAUL E. THOMAS, AND LESLIE Z. BENET .............. 730


SHORT COMMUNICATIONS

Pretranslational Induction CYP4A Subfamily Gene Products in Diabetic Rats and Reversal by Oral Vanadate Treatment. NIKITA L. FERGUSON, BRIAN S. DONAHUE, KIRSTEN A. TENNEY, AND EDWARD T. MORGAN .................. 745

The Kinetic Characterization of S-Mephenytoin 4-Hydroxylase (P-450IIICmp) Activity in Human Liver Samples Obtained as Surgical Waste from Japanese Patients. KAN CHIBA, KAORU KOBAYASHI, MASA YOSHI TANI, KYOKO MANABE, AND TAKASHI ISHIZAKI .................. 747

Inhibition of Sulfate Transport in Rat Kidney Membrane Vesicle Preparations by Nonsteroidal Antiinflammatory Drugs. LISA JO BENINCOSA AND MARILYN E. MORRIS ............... 750