CONTENTS

SHORT COMMUNICATIONS

Differential Time- and NADPH-Dependent Inhibition of CYP2C19 by Enantiomers of Fluoxetine. David M. Stresser, Andrew K. Mason, Elke S. Perloff, Thuy Ho, Charles L. Crespi, Andre A. Dandeneau, Ling Morgan, and Shangara S. Dehal ............... 695


Expression and Localization of Cytosolic Sulfotransferase (SULT) 1A1 and SULT1A3 in Normal Human Brain. Emily D. Salman, Susan A. Kadarlar, and Charles N. Falany .......... 706

ARTICLES

CYP3A4-Mediated Ester Cleavage as the Major Metabolic Pathway of the Oral Taxane 3’-tert-Butyl-3’-N-tert-butyloxycarbonyl-4-deacetyl-3’-dephenyl-3’-N-debenzoyl-4-O-methoxycarbonyl-paclitaxel (BMS-275183). Donglu Zhang, Van T. Ly, Michael Lago, Yuan Tian, Jinping Gan, W. Griffith Humphreys, and S. Nilgün Çömezoglu .......... 710

A Phosphomimetic Mutation at Threonine-57 Abolishes Transactivation Activity and Alters Nuclear Localization Pattern of Human Pregnan X Receptor. Satyanarayana R. Pondugula, Cynthia Brimer-Cline, Jing Wu, Erin G. Schuetz, Rakesh K. Tyagi, and Taosheng Chen ............. 719

Vascular Perfused Segments of Human Intestine as a Tool for Drug Absorption. Yansheng Wei, Lioinor A. A. Neves, Tammy Franklin, Nadya Klyuchnikova, Benjamin Placzek, Helen M. Hughes, and C. Gerald Curtis ..................... 731

Silencing the Breast Cancer Resistance Protein Expression and Function in Caco-2 Cells Using Lentiviral Vector-Based Short Hairpin RNA. Wei Zhang, Jibin Li, Samantha M. Allen, Erica A. Weiskircher, Yuehua Huang, Rebecca A. George, Ramon G. Fong, Albert Owen, and Ismael J. Hidalgo .......... 737


Receptor Occupancy and Brain Free Fraction. Jeanette Watson, Sara Wright, Adam Lucas, Kirsten L. Clarke, Jean Viggers, Sharon Cheetham, Phil Jeffrey, Rod Porter, and Kevin D. Read .......... 753

Metabolism of Vitamin D2 to 17,20,24-Trihydroxyvitamin D2 by Cytochrome P450ccc (CYP11A1). Minh N. Nguyen, Andrzej Slominski, Wei Li, Yun Rui Ng, and Robert C. Tuckey ............. 761

Dopamine Is a Low-Affinity and High-Specificity Substrate for the Human UDP-Glucuronosyltransferase 1A10. Katrina Itáhao, Michael H. Court, Päivi Uutela, Risto Kostiainen, Anna Radominska-Pandya, and Moshe Finel .......... 768

Disposition of the Herbicide 2-Chloro-4-(ethylamino)-6-(isopropylamino)-s-triazine (Atrazine)
and Its Major Metabolites in Mice: A Liquid Chromatography/Mass Spectrometry Analysis of Urine, Plasma, and Tissue Levels. Matthew K. Ross, Toni L. Jones, and Nikolay M. Filipov ............. 776

Unbound Drug Concentration in Brain Homogenate and Cerebral Spinal Fluid at Steady State as a Surrogate for Unbound Concentration in Brain Intersitial Fluid. Xirong Liu, Kristine Van Natta, Helen Yeo, Olga Vilenksi, Paul E. Weller, Philip D. Worboys, and Mario Monshouwer ....... 787


Sulfation of O-Demethyl Apixaban: Enzyme Identification and Species Comparison. Lifei Wang, Nirmala Raghavan, Kan He, Joseph M. Luettgen, W. Griffith Humphreys, Robert M. Knabb, Donald J. Pinto, and Donglu Zhang ............... 802

Absorption, Metabolism, and Excretion of Darunavir, a New Protease Inhibitor, Administered Alone and with Low-Dose Ritonavir in Healthy Subjects. Marc Vermeir, Sophie Lachau-Durand, Geert Mannens, Filip Cuycck, Bart van Hoof, and Araz Raoof .... 809


Cannabinoid Receptor Agonist 13, a Novel Cannabinoid Agonist: First in Human Pharmacokinetics and Safety. Anne Gardin, Klaus Kucher, Beate Kiese, and Silke Appel-Dingemanse ....... 827

Mechanism of Gender-Divergent UDP-Glucuronosyltransferase mRNA Expression in Mouse Liver and Kidney. David B. Buckley and Curtis D. Klaassen . 834

Saturation of Multidrug-Resistant Protein 2 (Mrp2/Abcc2)-Mediated Hepatobiliary Secretion: Nonlinear Pharmacokinetics of a Heterocyclic Compound in Rats after Intravenous Bolus Administration. Yiding Hu, Kathleen E. Sampson, Bruce R. Heyde, Kathy M. Mandrell, Na Li, Anup Zutshi, and Yu-rong Lai ................. 841

Induction of Mouse UDP-Glucuronosyltransferase mRNA Expression in Liver and Intestine by Activators of Aryl-Hydrocarbon Receptor, Constitutive Androstane Receptor, Pregnane X Receptor, Peroxisome Proliferator-Activated Receptor α, and Nuclear Factor Erythroid 2-Related Factor 2. David B. Buckley and Curtis D. Klaassen ......... 847


Dual Mechanisms of CYP3A Protein Regulation by Proinflammatory Cytokine Stimulation in Primary Hepatocyte Cultures. Choon-Myung Lee, Jan Pohl, and Edward T. Morgan .......... 865

Quantitative Prediction of Human Clearance Guiding the Development of Raltegravir (MK-0518, Isentress) and Related HIV Integrase Inhibitors. Ralph Lauffer, Odalys Gonzalez Paz, Annalise Di Marco, Fabio Bonelli, Edith Montaegudo, Vincenzo Summa, and Michael Rowley .... 873

Physiologically Based Pharmacokinetics of Matriline in the Rat after Oral Administration of Pure Chemical and ACAPHA. Guanghua Gao and Francis C. P. Law ................. 884

Electrocatalytic Drug Metabolism by CYP2C9 Bonded to A Self-Assembled Monolayer-Modified Electrode. Mingli Yang, Jarod L. Kabulski, Lance Wollenberg, Xingyi Chen, Murali Subramanian, Timothy S. Tracy, David Lederman, Peter M. Gamett, and Nianqiang Wu ............... 892

Human Pregnane X Receptor Activation and CYP3A4/CYP2B6 Induction by 2,3-Oxidosqualene: Lanosterol Cyclase Inhibition. Zoﬁ a Duniec-Dmuchowski, Hai-Lin Fang, Stephen C. Strom, Ewa Ellis, Melissa Runge-Morris, and Thomas A. Kocarek ........... 900

Characterization of the Disposition and Toxicokinetics of N-Butylpyridinium Chloride in Male F-344 Rats and Female B6C3F1 Mice and Its Transport by Organic Cation Transporter 2. Y. Cheng, S. H. Wright, M. J. Hooth, and I. G. Sipes .... 909

Organic Anion-Transporting Polypeptide 1B1 Mediates Transport of Gimatecan and BNP1350 and Can Be Inhibited by Several Classic ATP-Binding Cassette (ABC) B1 and/or ABCG2 Inhibitors. Roos L. Oostendorp, Evita van de Steeg, Cornelia M. M. van der Kruissien, Jos H. Beijnen, Kathryn E. Kenworthy, Alfred H. Schinkel, and Jan H. M. Schellens . 917

S Supplemental material is available online at http://dmd.aspetjournals.org.

About the cover: Relationship between receptor occupancy and brain unbound concentration normalized for the in vitro affinity for rat striatal D₂ receptors. See article by Watson et al. on page 753 of this issue.