CONTENTS

SHORT COMMUNICATIONS

A Natural Variant of the Heme-Binding Signature (R441C) Resulting in Complete Loss of Function of CYP2D6. Kathrin Klein, Stephan Tatzel, Sebastian Raimundo, Tanja Sauselle, Elisabeth Hustert, Jürgen Pleiss, Michel Eichelbaum, and Ulrich M. Zanger ............................. 1247

Frequency of the Frame-Shifting CYP2D7 138delT Polymorphism in a Large, Ethnically Diverse Sample Population. Anahita Bhathena, Toby Mueller, David R. Grimm, Ken Idler, Alan Tsutrutani, Brian B. Spear, and David A. Katz .... 1251

ARTICLES

UDP-Glucuronosyltransferase 1A1 Gene Polymorphisms and Total Bilirubin Levels in an Ethnically Diverse Cohort of Women. Andrew L. Hong, Dezheng Huo, Hee-Jin Kim, Qun Niu, Donna L. Fackenthal, Shelly A. Cummings, Esther M. John, Dee W. West, Alice S. Whittemore, Soma Das, and Olufunmilayo I. Olopade .... 1254

Interaction of Positional Isomers of Quercetin Glucuronides with the Transporter ABCC2 (cMOAT, MRP2). Gary Williamson, Isabelle Aeberli, Laurence Miguet, Ziding Zhang, M.-Belen Sanchez, Vanessa Crespy, Denis Barron, Paul Needs, Paul A. Kroon, H. Glavinias, Peter Krajcsi, and Martin Grigorov ................................. 1262

Identification of Metabolites of 4-Nonylphenol Isomer 4-(3',6'-Dimethyl-3'-Heptyl) Phenol by Rat and Human Liver Microsomes. Xiaoyun Ye, Amber M. Bishop, Larry L. Needham, and Antonia M. Calafat ............................... 1269

Asymmetric Intestinal First-Pass Metabolism Causes Minimal Oral Bioavailability of Midazolam in Cynomolgus Monkey. Tomohiro Nishimura, Nobuyuki Amano, Yoshiyuki Kubo, Midori Ono, Yukio Kato, Hisashi Fujita, Yoshiaki Kimura, and Akira Tsaji .......................................................... 1275

The Use of Microdialysis for the Study of Drug Kinetics: Central Nervous System Pharmacokinetics of Diphenhydramine in Fetal, Newborn, and Adult Sheep. Sam C.S. Au-Yeung, K. Wayne Riggs, Nancy Gruber, and Dan W. Rurak .... 1285

Comparative Metabolic Capacities and Inhibitory Profiles of CYP2D6.1, CYP2D6.10, and CYP2D6.17. Hongwu Shen, Minxia M. He, Houfu Liu, Steven A. Wrighton, Li Wang, Bin Guo, and Chuan Li .......................... 1292

Cytochrome P450 3A-Dependent Metabolism of a Potent and Selective γ-Aminobutyric Acid1A2B3 Receptor Agonist in Vitro: Involvement of Cytochrome P450 3A5 Displaying Biphasic Kinetics. Bennett Ma, Stacey L. Polsky-Fisher, Stanley Vickers, Donghui Cui, and A. David Rodrigues .... 1301

Substrate-Dependent Drug-Drug Interactions between Gemfibrozil, Fluvastatin and Other Organic Anion-Transporting Peptide (OATP) Substrates on OATP1B1, OATP2B1, and OATP1B3. Johannes Noé, Renée Portmann, Marie-Eline Braun, and Christoph Funk ............................ 1308


Continued on next page
Saturable Uptake of Lipophilic Amine Drugs into Isolated Hepatocytes: Mechanisms and Consequences for Quantitative Clearance Prediction. **David Hallifax and J. Brian Houston**  1325

Expression of Thirty-six Drug Transporter Genes in Human Intestine, Liver, Kidney, and Organotypic Cell Lines. **Constanze Hilgendorf, Gustav Ahlin, Annick Seithel, Per Artursson, Anna-Lena Ungell, and Johan Karlsson**  1333

Central Nervous System Pharmacokinetics of the Mdr1 P-Glycoprotein Substrate CP-615,003: Intersite Differences and Implications for Human Receptor Occupancy Projections from Cerebrospinal Fluid Exposures. **Karthik Venkatakrishnan, Elaine Tseng, Frederick R. Nelson, Hans Rollema, Jonathan L. French, Irina V. Kaplan, Weldon E. Horner, and Megan A. Gibbs**  1341

Metabolism, Distribution and Excretion of a Selective N-Methyl-D-Aspartate Receptor Antagonist, Traxoprodil, in Rats and Dogs. **Chandra Prakash, Donghui Cui, Michael J. Potchoiba, and Todd Butler**  1350

Mutation of a Single Residue (K262R) in P450 2B6 Leads to Loss of Mechanism-Based Inactivation by Phencyclidine. **Mohamad Shebley and Paul F. Hollenberg**  1365

Mutational Analysis of a Highly Conserved Proline Residue in MRP1, MRP2, and MRP3 Reveals a Partially Conserved Function. **Isabelle J. Létourneau, Andrew J. Slot, Roger G. Deelely, and Susan P. C. Cole**  1372


6-Hydroxybuspirone Is a Major Active Metabolite of Buspirone: Assessment of Pharmacokinetics and 5-Hydroxytryptamine1A Receptor Occupancy in Rats. **Harvey Wong, Randy C. Dockens, Lori Pajor, Suresh Yeola, James E. Grace, Jr., Arlene D. Stark, Rebecca A. Taub, Frank D. Yocca, Robert C. Zacek, and Yu-Wen Li**  1387

The Role of Monocarboxylate Transporter 2 and 4 in the Transport of γ-Hydroxybutyric Acid in Mammalian Cells. **Qi Wang and Marilyn E. Morris**  1393

Bosentan Is a Substrate of Human OATP1B1 and OATP1B3: Inhibition of Hepatic Uptake as the Common Mechanism of Its Interactions with Cyclosporin A, Rifampicin, and Sildenafil. **Alexander Treiber, Ralph Schneider, Stephanie Haußler, and Bruno Steiger**  1400

Liquid Chromatography/Tandem Mass Spectrometry Detection of Covalent Binding of Acetaminophen to Human Serum Albumin. **Micaela C. Damsten, Jan N. M. Commandeur, Alex Fidder, Albert G. Hulst, Daan Touw, Daan Noort, and Nico P. E. Vermeulen**  1408

Absorption, Distribution, Metabolism, and Elimination of the Direct Renin Inhibitor Aliskiren in Healthy Volunteers. **Felix Waldmeier, Ulrike Glaenzel, Bernard Wirz, Lukas Oberer, Dietmar Schmid, Michael Seiberling, Jessica Valencia, Gilles-Jacques Riviere, Peter End, and Sujata Vaidyanathan**  1418

Human Organic Anion Transporters 1 (hOAT1/SLC22A6) and 3 (hOAT3/SLC22A8) Transport Edaravone (MCI-186; 3-methyl-1-phenyl-2-pyrazolin-5-one) and Its Sulfate Conjugate. **Naomi Mizuno, Tsuyoshi Takahashi, Yumiko Iwase, Hiroyuki Kasuhara, Takuro Niwa, and Yuichi Sugiyama**  1429

Impact of Physicochemical and Structural Properties on the Pharmacokinetics of a Series of α1L-Adrenoceptor Antagonists. **Alison Betts, Fidelma Atkinson, Iain Gardner, David Fox, Rob Webster, Kevin Beaumont, and Paul Morgan**  1435

---

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

About the cover: Linkage disequilibrium (Lewontin’s D’) of 15 consecutive markers in *UGT1A1* in Caucasians (A) and African Americans (B). See the article by Hong et al. on page 1254 of this issue.