CONTENTS

MINIREVIEW


SHORT COMMUNICATIONS

Pharmacokinetics of Daikenchuto, a Traditional Japanese Medicine (Kampo) after Single Oral Administration to Healthy Japanese Volunteers. Masaya Munekage, Hiroyuki Kitagawa, Kengo Ichikawa, Junko Watanabe, Katsuyuki Aoki, Toru Kono, and Kazuhiro Hanazaki .............. 1784

Measurement of Binding of Basic Drugs to Acidic Phospholipids Using Surface Plasmon Resonance and Incorporation of the Data into Mechanistic Tissue Composition Equations to Predict Steady-State Volume of Distribution. Helen Small, Iain Gardner, Hannah M. Jones, John Davis, and Malcolm Rowland ............. 1789

ARTICLES


Kinetic Characterization of Rat Hepatic Uptake of 16 Actively Transported Drugs. Yoshiyuki Yabe, Aleksandra Galetin, and J. Brian Houston ........ 1808

Thioacetamide Intoxication Triggers Transcriptional Up-Regulation but Enzyme Inactivation of UDP-Glucuronosyltransferases. Haiping Hao, Lifang Zhang, Shan Jiang, Shiqing Sun, Ping Gong, Yuan Xie, Xueyan Zhou, and Guangji Wang ........ 1815

Bioactivation Pathways of the Cannabinoid Receptor 1 Antagonist Rimonabant. Moa Andresen Bergström, Emre M. Isin, Neal Castagnoli Jr., and Claire E. Milne .............. 1823

Pharmacokinetic Optimization of 4-Substituted Methoxybenzoyl-aryl-thiazole and 2-Aryl-4-benzoylimidazole for Improving Oral Bioavailability. Chien-Ming Li, Jianjun Chen, Yan Lu, Ramesh Narayanan, Deanna N. Parke, Wei Li, Sunjoo Ahn, Duane D. Miller, and James T. Dalton .............. 1833

Significant Species Difference in Amide Hydrolysis of GDC-0834, a Novel Potent and Selective Bruton’s Tyrosine Kinase Inhibitor. Lichuan Liu, Jason S. Halladay, Young Shin, Susan Wong, Melis Coraggio, Hank La, Matthew Baumgardner, Hoa Le, Sashi Gopaul, Jason Boggs, Peter Kuebler, John C. Davis Jr., X. Charlene Liao, Joseph W. Lubach, Alan Deese, C. Gregory Sowell, Kevin S. Currie, Wendy B. Young, S. Cyrus Klojasteh, Cornelis E. C. A. Hop, and Harvey Wong .................. 1840

Mechanisms of Reduced Maternal and Fetal Lopinavir Exposure in a Rat Model of Gestational Diabetes. Gregory J. Anger and Micheline Piquette-Miller ........ 1849

Functional Characterization of CYP2B6 Allelic Variants in Demethylation of Antimalarial Artemether. Masashi
Honda, Yuka Muroi, Yuichiro Tamaki, Daisuke Saigusa, Naoto Suzuki, Yoshihisa Tomioka, Yoichi Matsubara, Akifumi Oda, Noriyasu Hirasawa, and Masahiro Hiratsuka .......................... 1860

Enhancement of Oral Bioavailability of 20(S)-Ginsenoside Rh2 through Improved Understanding of Its Absorption and Efflux Mechanisms. Zhen Yang, Song Gao, Jingrong Wang, Taijun Yin, Yang Teng, Baoqian Wu, Ming You, Zhihong Jiang, and Ming Hu ........ 1866

Pharmacokinetics, Pharmacodynamics, Metabolism, Distribution, and Excretion of Carfilzomib in Rats. Jinfu Yang, Zhengping Wang, Ying Fang, Jing Jiang, Frances Zhao, Hansen Wong, Mark K. Bennett, Christopher J. Molineaux, and Christopher J. Kirk ........ 1873

In Vivo and In Vitro Metabolism of a Selective δ-Opioid Receptor. Jian Guo, Chungang Gu, Diansong Zhou, Charles S. Elmore, Khanh H. Bui, and Scott W. Grimm ......................... 1883

Metabolite Profiles of Degarelix, a New Gonadotropin-Releasing Hormone Receptor Antagonist, in Rat, Dog, and Monkey. Anders Sonesson, Wolfgang Koechling, Jacek Stalewski, Łaszłó B. Tankó, and Birgitte Buur Rasmussen ........ 1895

Brain Regional Pharmacokinetics of \( p \)-Aminosalicylic Acid and Its N-Acetylated Metabolite: Effectiveness in Chelating Brain Manganese. Lan Hong, Wendy Jiang, Hao Pan, Yueming Jiang, Su Zeng, and Wei Zheng ............... 1904

Enabling Clearance Predictions to Emerge from In Silico Actions of Quasi-Autonomous Hepatocyte Components. Shahab Sheikh-Bahaei and C. Anthony Hunt ............. 1910

Predictive Utility of In Vitro Rifampin Induction Data Generated in Fresh and Cryopreserved Human Hepatocytes, Fa2N-4, and HepaRG Cells. Ian E. Templeton, J. Brian Houston, and Aleksandra Galetin ........... 1921

The Impact of Hepatic Uptake on the Pharmacokinetics of Organic Anions. Philip Gardiner and Stuart W. Paine .................. 1930

Characterization and Crystallization of Mouse Aldehyde Oxidase 3: From Mouse Liver to Escherichia coli Heterologous Protein Expression. Martin Mahro, Catarina Coelho, José Trincão, David Rodrigues, Mineko Terao, Enrico Garattini, Miguel Saggu, Friedhelm Lendzian, Peter Hildebrandt, Maria João Romão, and Silke Leimkuhler .............. 1939

Distribution of KAI-9803, a Novel δ-Protein Kinase C Inhibitor, after Intravenous Administration to Rats. Yoshihiro Miyaji, Sarah Walter, Leon Chen, Atsushi Kurihara, Tomoko Ishizuka, Motoko Saito, Kenji Kawai, and Osamu Okazaki ........... 1946


Conjugation of Synthetic Cannabinoids JWH-018 and JWH-073, Metabolites by Human UDP-Glucuronosyltransferases. Krishna C. Chimalakonda, Stacie M. Bratton, Vi-Huyen Le, Kan Hui Yiew, Anna Dineva, Cindy L. Moran, Laura P. James, Jeffery H. Moran, and Anna Radomska-Pandya .... 1967


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

About the cover: Relationship between the inhibitory effects of gemfibrozil 1-O-β-glucuronide on CYP2C8 and OATP1B1 activity and observed increases in repaglinide AUC/AUC.<sub>c</sub>. The curved contour depicts the best-fit model, and red symbols identify CYP2C8*3 genotypes. See the article by Honkalainen et al. on page 1977 of this issue.