November 2015

CONTENTS

MINIREVIEW


SHORT COMMUNICATION

The Polymorphic Variant P24T of UDP-Glucuronosyltransferase 1A4 and Its Unusual Consequences. Johanna Troberg and Moses Finel ................. 1769

ARTICLES


High-Throughput Cytochrome P450 Cocktail Inhibition Assay for Assessing Drug-Drug and Drug-Botanical Interactions. Guannan Li, Ke Huang, Dejan Nikolic, and Richard B. van Breemen ... 1670

Defining Human Pathways of Drug Metabolism In Vivo through the Development of a Multiple Humanized Mouse Model. Nico Scheer, Yury Kapelyukh, Anja Rode, Stefan Oswald, Diana Busch, Lesley A. McLaughlin, De Lin, Colin. J. Henderson, and C. Roland Wolf .................. 1679

Inhibition of Anthracycline Alcohol Metabolite Formation in Human Heart Cytosol: A Potential Role for Several Promising Drugs. Alvaro Mordente, Andrea Silvestrini, Giuseppe Ettore Martorana, Daniela Tavian, and Elisabetta Meucci ................. 1691

Combined Contribution of Increased Intestinal Permeability and Inhibited Deglycosylation of Ginsenoside Rb1 in the Intestinal Tract to the Enhancement of Ginsenoside Rb1 Exposure in Diabetic Rats after Oral Administration. Can Liu, Mengyue Hu, Haifang Guo, Mian Zhang, Ji Zhang, Feng Li, Zeyu Zhong, Yang Chen, Ying Li, Ping Xu, Jia Li, Li Liu, and Xiaodong Liu ............... 1702

A New Rapid In Vitro Assay for Assessing Reactivity of Acyl Glucuronides. Sheng Zhong, Russell Jones, Wenze Lu, Simone Schadt, and Giorgio Ottaviani . . . . 1711

A Screen of Approved Drugs Identifies the Androgen Receptor Antagonist Flutamide and Its Pharmacologically Active Metabolite 2-Hydroxy-Flutamide as Heterotropic Activators of Cytochrome P450 3A In Vitro and In Vivo. Anna L. Blobaum, Frank W. Byers, Thomas M. Bridges, Charles W. Locuson, P. Jeffrey Conn, Craig W. Lindley, and J. Scott Daniels .................. 1718

Application of HC-AFW1 Hepatocarcinoma Cells for Mechanistic Studies: Regulation of Cytochrome P450 2B6 Expression by Dimethyl Sulfoxide and Early Growth Response 1. Barbara Petzuch, Nicola Groll, Michael Schwarz, and Albert Braeuning ... 1727


The Reliability of Estimating $K_i$ Values for Direct, Reversible Inhibition of Cytochrome P450 Enzymes from Corresponding IC$_{50}$ Values: A Retrospective Analysis of 343 Experiments. Lois J. Haupt, Faraz Kazmi, Brian W. Ogilvie, David B. Buckley, Brian D. Smith, Sarah Leatherman, Blandy Paris, Oliver Parkinson, and Andrew Parkinson ....... 1744

Continued on next page
Contents (cont’d.)

Fasiglifam (TAK-875) Inhibits Hepatobiliary Transporters: A Possible Factor Contributing to Fasiglifam-Induced Liver Injury. Xiuli Li, Kan Zhong, Zitao Guo, Dafang Zhong, and Xiaoyan Chen ............ 1751

Prediction of the Clinical Risk of Drug-Induced Cholestatic Liver Injury Using an In Vitro Sandwich Cultured Hepatocyte Assay. Takeshi Susukida, Shuichi Sekine, Mayuka Nozaki, Mayuko Tokizono, and Kousei Ito ............. 1760

Potent and Selective Inhibition of Plasma Membrane Monoamine Transporter by HIV Protease Inhibitors. Haichuan Duan, Tao Hu, Robert S. Foti, Yongmei Pan, Peter W. Swaan, and Joanne Wang ............ 1773


Rosuvastatin Liver Partitioning in Cynomolgus Monkeys: Measurement In Vivo and Prediction Using In Vitro Monkey Hepatocyte Uptake. Bridget L. Morse, Hong Cai, James G. MacGuire, Maxine Fox, Lisa Zhang, Yueping Zhang, Xiaomei Gu, Hong Shen, Elizabeth A. Dierks, Hong Su, Chiwa E. Luk, Punit Marathe, Yue-Zhong Shu, W. Griffith Humphreys, and Yurong Lai .......... 1788


ERRATUM

Correction to: “A Novel Loading Method for Doxycycline Liposomes for Intracellular Drug Delivery: Characterization of In Vitro and In Vivo Release Kinetics and Efficacy in a J774A.1 Cell Line Model of Mycobacterium smegmatis Infection” .................. 1805

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

About the cover: Ex vivo analysis of brains from MDR1-luc mice treated with inducers shows regional differences in transcriptional activity. See the article by Yasuda et al. (dx.doi.org/10.1124/dmd.115.065078).