DRUG METABOLISM and DISPOSITION

A Publication of the American Society for Pharmacology and Experimental Therapeutics

October 2011 Vol. 39, No. 10

CONTENTS

MINIREVIEW		Kinetic Characterization of Rat Hepatic Uptake of 16	
How Current Understanding of Clearance Mechanisms and Pharmacodynamics of Therapeutic Proteins		Actively Transported Drugs. Yoshiyuki Yabe, Aleksandra Galetin, and J. Brian Houston	1808
Can Be Applied for Evaluation of Their Drug-Drug Interaction Potential. Eugenia Kraynov, Steven W. Martin, Susan Hurst, Odette A. Fahmi, Martin Dowty, Carol Cronenberger, Cho-Ming Loi, Bing Kuang, Owen Fields, Scott Fountain, Michel Awwad, and Diane Wang		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
SHORT COMMUNICATIONS	S	Bioactivation Pathways of the Cannabinoid Receptor 1 Antagonist Rimonabant. <i>Moa Andresen Berg-</i>	
Pharmacokinetics of Daikenchuto, a Traditional Japanese Medicine (Kampo) after Single Oral		ström, Emre M. Isin, Neal Castagnoli Jr., and Claire E. Milne	
Administration to Healthy Japanese Volunteers. Masaya Munekage, Hiroyuki Kitagawa, Kengo Ichikawa, Junko Watanabe, Katsuyuki Aoki, Toru Kono, and Kazuhiro Hanazaki	1784	Pharmacokinetic Optimization of 4-Substituted Methoxybenzoyl-aryl-thiazole and 2-Aryl-4-benzoyl-imidazole for Improving Oral Bioavailability. <i>Chien-Ming Li, Jianjun Chen, Yan Lu, Ramesh</i>	
Measurement of Binding of Basic Drugs to Acidic Phospholipids Using Surface Plasmon Resonance and In-		Narayanan, Deanna N. Parke, Wei Li, Sunjoo Ahn, Duane D. Miller, and James T. Dalton	1833
corporation of the Data into Mechanistic Tissue Composition Equations to Predict Steady-State Volume of Distribution. <i>Helen Small, Iain Gardner, Hannah M. Jones, John Davis, and Malcolm Rowland</i>	1789	Significant Species Difference in Amide Hydrolysis of GDC-0834, a Novel Potent and Selective Bruton's Tyrosine Kinase Inhibitor. <i>Lichuan Liu, Jason S. Halladay, Young Shin, Susan Wong,</i>	
ARTICLES		Melis Coraggio, Hank La, Matthew Baumgard- ner, Hoa Le, Sashi Gopaul, Jason Boggs, Peter	
Efflux Transport Is an Important Determinant of Ethinylestradiol Glucuronide and Ethinylestradiol Sulfate Pharmacokinetics. <i>Maciej J. Zamek-Gliszczynski, Jeffrey S. Day, Kathleen M. Hill-gren, and Diane L. Phillips</i>	1794	Kuebler, John C. Davis Jr., X. Charlene Liao, Joseph W. Lubach, Alan Deese, C. Gregory Sow- ell, Kevin S. Currie, Wendy B. Young, S. Cyrus Khojasteh, Cornelis E. C. A. Hop, and Harvey Wong	1840
Pharmacokinetic and Pharmacodynamic Modeling of the Effect of an Sodium-Glucose Cotransporter Inhibitor, Phlorizin, on Renal Glucose Trans-	S	Mechanisms of Reduced Maternal and Fetal Lopinavir Exposure in a Rat Model of Gestational Diabetes. Gregory J. Anger and Micheline Piquette-Miller	1850
port in Rats. Koji Yamaguchi, Motohiro Kato, Masayuki Suzuki, Kimie Asanuma, Yoshinori Aso, Sachiya Ikeda, and Masaki Ishigai	1801	Functional Characterization of CYP2B6 Allelic Variants in Demethylation of Antimalarial Artemether. Masashi	

Continued on next page

Downloaded from dmd.aspetjournals.org at ASPET Journals on March 8, 2024

Drug Metabolism and Disposition (ISSN 0090-9556) is published monthly (one volume per year) by the American Society for Pharmacology and Experimental Therapeutics, 9650 Rockville Pike, Bethesda, MD 20814-3995; e-mail: subscriptions@aspet.org; Web site: aspet.org. Periodicals postage paid at Bethesda, MD, and at additional mailing offices. POSTMASTER: Send address changes to Drug Metabolism and Disposition, 9650 Rockville Pike, Bethesda, MD 20814-3995. Subscription rates: U.S.: \$453; outside the U.S.: \$532. Single copy: \$40. GST Tax Number for Canadian subscribers: BN:13489 2330 RT. Indexed or abstracted by Biochemistry & Biophysics Citation Index®, Biological Abstracts, BIOSIS Previews Database, BioSciences Information Services, Current Awareness in Biological Sciences, Current Contents®/Life Sciences, EMBASE/Excerpta Medica, Index Medicus, International Pharmaceutical Abstracts, Medical Documentation Service®, Reference Update®, Research Alerts®, Science Citation Index®, SciSearch®, and SIIC Data Bases Copyright © 2011 by the American Society for Pharmacology and Experimental Therapeutics. All rights reserved. Printed in the U.S.A.

Contents (cont'd.)

S	Honda, Yuka Muroi, Yuichiro Tamaki, Daisuke Saigusa, Naoto Suzuki, Yoshihisa Tomioka, Yoichi Matsubara, Akifumi Oda, Noriyasu Hirasawa, and Masahiro Hiratsuka		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	
	oside Rh2 through Improved Understanding of Its Absorption and Efflux Mechanisms. <i>Zhen Yang, Song Gao, Jingrong Wang, Taijun Yin, Yang Teng, Baojian Wu, Ming You, Zhihong Jiang, and Ming Hu</i>	1866	João Romão, and Silke Leimkühler	
S	Pharmacokinetics, Pharmacodynamics, Metabolism, Distribution, and Excretion of Carfilzomib in Rats. <i>Jinfu Yang, Zhengping Wang, Ying Fang, Jing Jiang,</i>		Yoshihiro Miyaji, Sarah Walter, Leon Chen, Atsushi Kurihara, Tomoko Ishizuka, Motoko Saito, Kenji Kawai, and Osamu Okazaki	
	Frances Zhao, Hansen Wong, Mark K. Bennett, Christopher J. Molineaux, and Christopher J. Kirk .	1873	S Analysis of Global and Absorption, Distribution, Meta- bolism, and Elimination Gene Expression in the Progressive Stages of Human Nonalcoholic Fatty	
	In Vitro and In Vivo Metabolism of a Selective δ-Opioid Receptor. <i>Jian Guo, Chungang Gu, Diansong Zhou, Charles S. Elmore, Khanh H. Bui, and Scott W. Grimm</i>	1883	Liver Disease. April D. Lake, Petr Novak, Craig D. Fisher, Jonathan P. Jackson, Rhiannon N. Hardwick, D. Dean Billheimer, Walter T. Klimecki, and Nathan J. Cherrington	
	Metabolite Profiles of Degarelix, a New Gonadotro- pin-Releasing Hormone Receptor Antagonist, in Rat, Dog, and Monkey. Anders Sonesson, Wolfgang Koechling, Jacek Stalewski, László B. Tankó, and Birgitte Buur Rasmussen	1895	Clearance and Biodistribution of Liposomally Encapsulated Nitroxides: A Model for Targeted Delivery of Electron Paramagnetic Resonance Imaging Probes to Tumors. Scott R. Burks, Eric A. Legenzov, Gerald M. Rosen, and Joseph P. Y. Kao	
	Brain Regional Pharmacokinetics of <i>p</i> -Aminosalicylic Acid and Its N-Acetylated Metabolite: Effectiveness in Chelating Brain Manganese. <i>Lan Hong, Wendy Jiang, Hao Pan, Yueming Jiang, Su Zeng, and Wei Zheng</i>	1904	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 Radominska-Pandya.	
S	Enabling Clearance Predictions to Emerge from In Silico Actions of Quasi-Autonomous Hepatocyte Components. Shahab Sheikh-Bahaei and C. Anthony Hunt	1910	Dose-Dependent Interaction between Gemfibrozil and Repaglinide in Humans: Strong Inhibition of CYP2C8 with Subtherapeutic Gemfibrozil Doses.	
S	Predictive Utility of In Vitro Rifampin Induction Data Generated in Fresh and Cryopreserved Human Hepa-		Johanna Honkalammi, Mikko Niemi, Pertti J. Neuvonen, and Janne T. Backman	1977
	tocytes, Fa2N-4, and HepaRG Cells. <i>Ian E. Templeton, J. Brian Houston, and Aleksandra Galetin</i>	1921	CYP4F3B Expression Is Associated with Differentiation of HepaRG Human Hepatocytes and Unaffected by Fatty Acid Overload. <i>Stéphanie Madec, Virginie</i>	
	The Impact of Hepatic Uptake on the Pharmacokinetics of Organic Anions. <i>Philip Gardiner and Stuart W. Paine</i>		Cerec, Emmanuelle Plée-Gautier, Joseph Antoun, Denise Glaise, Jean-Pierre Salaun, Christiane Guguen-Guillouzo, and Anne Corlu	
	Sinari W. Fame	1 330	Guguen-Guillouzo, and Anne Coria	130/

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_i/AUC_c . The curved contour depicts the best-fit model, and red symbols identify CYP2C8*3 genotypes. See the article by Honkalammi et al. on page 1977 of this issue.

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