January 2017

CONTENTS

PERSPECTIVE

MINIREVIEWS
Transcriptional Regulation of CYP2D6 Expression. Xian Pan, Miaoran Ning, and Hyunyoung Jeong


ARTICLES
Clearance Prediction of Targeted Covalent Inhibitors by In Vitro-In Vivo Extrapolation of Hepatic and Extrahepatic Clearance Mechanisms. Louis Leung, Xin Yang, Timothy J. Strelevitz, Justin Montgomery, Matthew F. Brown, Michael A. Zientek, Christopher Banfield, Adam M. Gilbert, Atli Thorarinsen, and Martin E. Dowty

Intracellular CD3+ T Lymphocyte Teriflunomide Concentration Is Poorly Correlated with and Has Greater Variability Than Unbound Plasma Teriflunomide Concentration. Ashley M. Hopkins, Mahin Moghaddami, David J. R. Foster, Susanna M. Proudman, Richard N. Upton, and Michael D. Wiese

Application of Mice Humanized for CYP2D6 to the Study of Tamoxifen Metabolism and Drug–Drug Interaction with Antidepressants. A. Kenneth MacLeod, Lesley A. McLaughlin, Colin J. Henderson, and C. Roland Wolf

Inhibition of Intestinal OATP2B1 by the Calcium Receptor Antagonist Ronacaleret Results in a Significant Drug-Drug Interaction by Causing a 2-Fold Decrease in Exposure of Rosuvastatin. Marta Johnson, Dipal Patel, Christopher Matheny, May Ho, Liangfu Chen, and Harma Ellens

Curcumin, Piperine, and Capsaicin: A Comparative Study of Spice-Mediated Inhibition of Human Cytochrome P450 Isozyme Activities. Suhaili Shamsi, Huong Tran, Renee Seok Jin Tan, Zee Jian Tan, and Lee Yong Lim

Stable Overexpression of the Constitutive Androstane Receptor Reduces the Requirement for Culture with Dimethyl Sulfoxide for High Drug Metabolism in HepaRG Cells. Vincent A. van der Mark, D. Rudi de Waart, Valery Shevchenko, Ronald P. J. Oude Elferink, Robert A. F. M. Chamuleau, and Ruurdijke Hoekstra

Lack of Exposure in a First-in-Man Study Due to Aldehyde Oxidase Metabolism: Investigated by Use of 14C-microdose, Humanized Mice, Monkey Pharmacokinetics, and In Vitro Methods. Klaus Gjervig Jensen, Anne-Marie Jacobsen, Christoffer Bundgaard, Dorrit Østergaard Nilausen, Zia Thale, Gamini Chandrasena, and Martin Jørgensen

Interaction of 2,4-Diaminopyrimidine–Containing Drugs Including Fedratinib and Trimethoprim with Thiamine Transporters. Marilyn M. Giacomini, Jia Hao, Xiaomin Liang, Jayaraman Chandrasekhar, Jolyn Twelves, J. Andrew Whitney, Eve-Irene Lepist, and Adrian S. Ray

Continued on next page
Histone H3 Lysine 4 Trimethylation, Lysine 27 Trimethylation, and Lysine 27 Acetylation Contribute to the Transcriptional Repression of Solute Carrier Family 47 Member 2 in Renal Cell Carcinoma. Qin Qin Yu, Yan Qing Liu, Xiao Li Zheng, Qian Ying Zhu, Zhuo Wei Shen, Hua Wang, Hua Dong He, Neng Ming Lin, Hui Di Jiang, Lushan Yu, and Su Zeng

SHORT COMMUNICATION

Developmental Changes in Hepatic Organic Cation Transporter OCT1 Protein Expression from Neonates to Children. David Hahn, Chie Emoto, Alexander A. Vinks, and Tsuyoshi Fukuda

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

About the cover: Summary of SLC47A2 transcription mechanism in normal kidney and RCC tissues. See the article by Yu et al (dx.doi.org/10.1124/dmd.116.073734).