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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/AUCc. 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.

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Honda, Yuka Muroi, Yuichiro Tamaki, Daisuke Saigusa, Naoto Suzuki, Yoshihisa Tomioka, Yoichi Matsubara, Akihumi Oda, Noriyasu Hirase 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, Taizun 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

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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

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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, Vinhuy Le, Kan Hui Yiew, Anna Dineva, Cindy L. Moran, Laura P. James, Jeffery H. Moran, and Anna Radominska-Pandya. 1967

Dose-Dependent Interaction between Gemfibrozil and Repaglinide in Humans: Strong Inhibition of CYP2C8 with Subtherapeutic Gemfibrozil Doses. Johanna Honkalammi, Mikko Niemi, Pertti T. Neuvonen, and Janne T. Backman. 1977

CYP4F3B Expression Is Associated with Differentiation of HepaRG Human Hepatocytes and Unaffected by Fatty Acid Overload. Stéphanie Madiac, Virginie Cerec, Emmanuelle Plée-Gautier, Joseph Antoun, Denise Glaise, Jean-Pierre Salan, Christiane Gueguen-Guillouzo, and Anne Corlu. 1987

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