

The Journal of PHARMACOLOGY

And Experimental Therapeutics

A Publication of the American Society for Pharmacology and Experimental Therapeutics

March 2020

Vol. 372, No. 3

Contents

MINIREVIEWS

- ▣ Profiling of Drug-Metabolizing Enzymes and Transporters in Human Tissue Biopsy Samples: A Review of the Literature 308
A. David Rodrigues and Andrew Rowland

BEHAVIORAL PHARMACOLOGY

- Isobolographic Analysis of Antiseizure Activity of the GABA Type A Receptor-Modulating Synthetic Neurosteroids 285
Brexanolone and Ganaxolone with Tiagabine and Midazolam
Shu-Hui Chuang, and Doodipala Samba Reddy

CARDIOVASCULAR

- ▣ Menaquinone-4 Accelerates Calcification of Human Aortic Valve Interstitial Cells in High-Phosphate Medium through PXR 277
Wei Yang, Zaiqiang Yu, Mari Chiyoya, Xu Liu, Kazuyuki Daitoku, Shigeru Motomura, Tadaatsu Imaizumi, Ikuo Fukuda, Ken-Ichi Furukawa, Motonori Tsuji, and Kazuhiko Seya

CELLULAR AND MOLECULAR

- Long Noncoding RNA MNX1 antisense RNA 1 Exerts Oncogenic Functions in Bladder Cancer by Regulating miR-218-5p/RAB1A Axis 237
Jun Wang, Huiwu Xing, Abdul Aziz Nikzad, Bowen Liu, Yu Zhang, Songchao Li, Erwei Zhang, and Zhankui Jia

CHEMOTHERAPY, ANTIBIOTICS, AND GENE THERAPY

- ▣ A Bicistronic Plasmid Encoding Brain-Derived Neurotrophic Factor and Urokinase Plasminogen Activator Stimulates Peripheral Nerve Regeneration After Injury 248
Maxim Karagyaur, Alexandra Rostovtseva, Ekaterina Semina, Polina Klimovich, Vadim Balabanyan, Pavel Makarevich, Vladimir Popov, Dmitry Stambolsky, and Vsevolod Tkachuk

DRUG DISCOVERY AND TRANSLATIONAL MEDICINE

- ▣ A Quantitative Systems Pharmacology Model for the Key Interleukins Involved in Crohn's Disease 299
Violeta Balbas-Martinez, Eduardo Asin-Prieto, Zinnia P. Parra-Guillen, and Iñaki F. Troconiz
- ▣ Relative Selectivity of Covalent Inhibitors Requires Assessment of Inactivation Kinetics and Cellular Occupancy: A Case Study of Ibrutinib and Acalabrutinib 331
Melissa Hopper, Tarikere Gururaja, Taisei Kinoshita, James P. Dean, Ronald J. Hill, and Ann Mongan
- ▣ Pharmacologic Characterization of JNJ-42226314, [1-(4-Fluorophenyl)indol-5-yl]-[3-[4-(thiazole-2-carbonyl)piperazin-1-yl]azetid-1-yl]methanone, a Reversible, Selective, and Potent Monoacylglycerol Lipase Inhibitor 339
Ryan M. Wyatt, Ian Fraser, Natalie Welty, Brian Lord, Michelle Wennerholm, Steven Sutton, Michael K. Ameriks, Christine Dugovic, Sujin Yun, Allison White, Leslie Nguyen, Tatiana Koudriakova, Gaochao Tian, Javier Suarez, Lawrence Szewczuk, William Bonnette, Kay Ahn, Brahma Ghosh, Christopher M. Flores, Peter J. Connolly, Bin Zhu, Mark J. Macielag, Michael R. Brandt, Kristen Chevalier, Sui-Po Zhang, Timothy Lovenberg, and Pascal Bonaventure

ENDOCRINE AND DIABETES

- A Novel Acetyl-CoA Carboxylase 2 Selective Inhibitor Improves Whole-Body Insulin Resistance and Hyperglycemia in Diabetic Mice through Target-Dependent Pathways 256
Hiroyuki Takagi, Keiichi Tanimoto, Atsuyuki Shimazaki, Yutaka Tonomura, Sotaro Momosaki, Shingo Sakamoto, Kohji Abe, Mitsuru Notoya, and Hideo Yukioka

GASTROINTESTINAL, HEPATIC, PULMONARY, AND RENAL

- ▣ Carbon Monoxide Rescues the Developmental Lethality of Experimental Rat Models of Rhabdomyolysis-Induced Acute Kidney Injury 355
Kazuaki Taguchi, Shigeru Ogaki, Taisei Nagasaki, Hiroki Yanagisawa, Kento Nishida, Hitoshi Maeda, Yuki Enoki, Kazuaki Matsumoto, Hidehisa Sekijima, Kazuya Ooi, Yu Ishima, Hiroshi Watanabe, Masafumi Fukagawa, Masaki Otagiri, and Toru Maruyama

METABOLISM, TRANSPORT, AND PHARMACOGENOMICS

- ▣ From Genotype to Phenotype: Content and Activities of Cytochromes P450 2A6 in Human Liver In Vitro and Predicted In Vivo 320
Yan Fang, Tong Wang, Yuan-Yuan Guo, Hai-Feng Zhang, Qiang Wen, Yu-Rong Xing, Na Gao, and Hai-Ling Qiao

NEUROPHARMACOLOGY

- ▣ Genome-Wide Small Interfering RNA Screening Reveals a Role for Cullin3–Really Interesting New Gene Ligase Signaling in Heterologous Sensitization of Adenylyl Cyclase 267
Zhong Ding, Karin F.K. Ejendal, Monica Soto-Velasquez, Michael P. Hayes, Nicholas Santoro, Martha J. Larsen, and Val J. Watts

ERRATA

- Correction to “M-Channel Activation Contributes to the Anticonvulsant Action of the Ketone Body β -Hydroxybutyrate” 264
Correction to “Pharmacological Activation of Thermo-Transient Receptor Potential Vanilloid 3 Channels Inhibits Hair Growth by Inducing Cell Death of Hair Follicle Outer Root Sheath” 265
Correction to “Selective Cannabinoid 2 Receptor Stimulation Reduces Tubular Epithelial Cell Damage after Renal Ischemia-Reperfusion Injury” 266
Correction to “Quantitative Contribution of Six Major Transporters to the Hepatic Uptake of Drugs: SLC-Phenotyping Using Primary Human Hepatocytes” 354

▣ Supplemental material is available at jpet.aspetjournals.org.

About the cover: Summary of approaches to obtain human tissue for the support of drug-metabolizing enzyme and transporter profiling. See the article by Rodrigues and Rowland ([dx.doi.org/10.1124/jpet.119.262972](https://doi.org/10.1124/jpet.119.262972)).