Highlights of This Issue 1

SMALL MOLECULE THERAPEUTICS

3 Targeting of Hematologic Malignancies with PTC299, A Novel Potent Inhibitor of Dihydroorotate Dehydrogenase with Favorable Pharmaceutical Properties
Liangxian Cao, Marla Weetall, Christopher Trotta, Katherine Cintron, Jiuyuan Ma, Min Jung Kim, Bansri Furia, Charles Romfo, Jason D. Czec, Wencheng Li, Josephine Sheedy, Jean Hedrick, Nicole Risher, Shirley Yeh, Hongyan Qi, Tamil Arasu, Seongwoo Hwang, William Lennox, Ronald Kong, Janet Petruska, Young-Choon Moon, John Babia, Thomas W. Davis, Allan Jacobson, Neil G. Almstead, Art Branstrom, Joseph M. Colacino, and Stuart W. Peltz

17 Therapeutic Potential of Focal Adhesion Kinase Inhibition in Small Cell Lung Cancer
Frank Aboubakar Nana, Marylene Leocq, Maha Zohra Ladjemi, Bruno Detry, Sebastien Dupasquier, Olivier Feron, Pierre M. Massion, Yves Sibille, Charles Pilette, and Sebahat Ocak

28 ODM-203, a Selective Inhibitor of FGFR and VEGFR, Shows Strong Antitumor Activity, and Induces Antitumor Immunity

LARGE MOLECULE THERAPEUTICS

62 Oleanolic Acid Inhibits Epithelial–Mesenchymal Transition of Hepatocellular Carcinoma by Promoting iNOS Dimerization
Hongzhi Wang, Weilong Zhong, Jianmin Zhao, Heng Zhang, Qiang Zhang, Yuan Liang, Shuang Chen, Huijuan Liu, Shumin Zong, Yixuan Tian, Honggang Zhou, Tao Sun, Yantong Liu, and Cheng Yang

75 Immune Effector Functions of Human IgG2 Antibodies against EGFR
Thies Rössner, Steffen Kahle, Francesca Montenegro, Hanke L. Matlulang, J.H. Marco Jansen, Mitchell Evers, Frank Beurskens, Jeanette H.W. Leusen, Timo K. van den Berg, and Thomas Valerius

89 Improved Therapeutic Window in BRCA-mutant Tumors with Antibody-linked Pyrrolobenzodiazepine Dimers with and without PARP Inhibition
Haibong Zhong, Cui Chen, Ravinder Tammali, Shannon Breen, Jing Zhang, Christine Fazenbaker, Maureen Kennedy, James Conway, Brandon W. Higgs, Nicholas Holoweczyk, Rajiv Raja, Jay Harper, Andrew J. Pierce, Ronald Herbist, and David A. Tice

100 Anti-MET VHH Pool Overcomes MET-Targeted Cancer Therapeutic Resistance
Zhipeng Su, Yunchun Han, Qichen Sun, Xiaoxiao Wang, Ting Xu, Wei Xie, and Xing Huang

CANCER BIOLOGY AND TRANSLATIONAL STUDIES

112 KRAS and EGFR Amplifications Mediate Resistance to Rociletinib and Osimertinib in Acquired Afatinib-Resistant NSCLC Harboring Exon 19 Deletion/TE790M in EGFR
Kaori Nakatani, Toshimitsu Yamaoka, Motoi Obha, Ken-Ichi Fujita, Satoru Arata, Sojiro Kusumoto, Iori Taki-Takemoto, Daisuke Kamei, Shinichi Iwai, Junji Tsurutani, and Tohru Ohmori

ABOUT THE COVER

Toward examining impacts of the tumor microenvironment on cancer drug delivery, Lee and colleagues applied Transparent Tissue Tomography (T3) as a new tool to visualize macromolecules in situ. The cover is adapted from a detail of a T3 snapshot of immunotherapy, showing a section of tumor excised minutes after injecting anti-PD-L1. Antibody (red) flows through the microvasculature (CD31⁺, cyan) and extravasates to bind to its target (PD-L1, magenta) on cancer cells (Her2⁺, green), reactivating cytotoxic T lymphocytes (CD8⁺, yellow) to restore anti-tumor immune response. For details, see article on page 213.