# Table of Contents

## Highlights
- **973** Selected Articles from This Issue

## MCT First Disclosures
- **975** Editorial: Molecular Cancer Therapeutics: A Central Hub for First Disclosures in Cancer
  - Beverly A. Teicher

## Preclinical Activity of HER2-Selective Tyrosine Kinase Inhibitor Tucatinib as a Single Agent or in Combination with Trastuzumab or Docetaxel in Solid Tumor Models
- **976**
  - Anita Kulukian, Patrice Lee, Janelle Taylor, Robert Rosler, Peter de Vries, Daniel Watson, Andres Forero-Torres, and Scott Peterson

- **988**
  - Helen Kotanides, Rose Marie Sattler, Maria B. Lebron, Carmine Carpenito, Juqun Shen, Jingxing Li, David Surguladze, Jaafar N. Haidar, Colleen Burns, Leyi Shen, Ivan Inigo, Anthony L. Pennello, Amelie Forest, Xinlei Chen, Darin Chin, Andreas Sonyi, Michael Topper, Lauren Boucher, Prachi Sharma, Yiwei Zhang, Douglas Burtrum, Ruslan D. Novosiadly, Dale L. Ludwig, Gregory D. Plowman, and Michael Kalos

## Review
- **999** A Historic Perspective and Overview of H-Ras Structure, Oncogenicity, and Targeting
  - Lihua Shu, Dongsheng Wang, Nabil F. Saba, and Zhuo G. Chen

## Small Molecule Therapeutics
- **1008** Aurora B Kinase Promotes CHIP-Dependent Degradation of HIF1α in Prostate Cancer Cells
  - Kuntal Biswas, Sukumar Sarkar, Neveen Said, David L. Brautigan, and James M. Larner

## Large Molecule Therapeutics
- **1018** Selective and Potent CDK8/19 Inhibitors Enhance NK-Cell Activity and Promote Tumor Surveillance
  - Marco H. Hofmann, Rajeswaran Mani, Harald Engelhardt, Maria A. Impagnatiello, Sebastian Carotta, Marc Kerényi, Seila Lorenzo-Herrero, Jark Böttcher, Dirk Scharrn, Heribert Arnhof, Andreas Zoepfhol, Renate Schnitzer, Thomas Gerstberger, Michael P. Sanderson, Girish Rajgolikar, Swagata Goswami, Sumithira Vasu, Peter Ettmayer, Segundo Gonzalez, Mark Pearson, Darryl B. McConnell, Norbert Kraut, Natarajan Muthusamy, and Jürgen Moll

- **1031** Genomic Profiling of Metastatic Uveal Melanoma and Clinical Results of a Phase I Study of the Protein Kinase C Inhibitor AEB071

- **1040** Epitope and Fc-Mediated Cross-linking, but Not High Affinity, Are Critical for Antitumor Activity of CD137 Agonist Antibody with Reduced Liver Toxicity
  - Sun K. Ho, Zhenghai Xu, Archana Thakur, Melvin Fox, Siu Sze Tan, Enrico DiGiammarino, Li Zhou, Mien Sho, Belinda Cairns, Vivian Zhao, Mengli Xiong, Josue Samayo, Charles M. Forsyth, David B. Powers, Debra T. Chao, Diane Hollenbaugh, Hamsell M. Alvarez, and Yoshiko Akamatsu

- **1052** Effect of Modulating FcRn Binding on Direct and Pretargeted Tumor Uptake of Full-length Antibodies
  - Lidia Nazarova, Hanine Rafidi, Danielle Mandlikian, Gregory Z. Ferl, James T. Koerber, Christopher W. Davies, Sheila Ulufatu, Jason Ho, Jeffrey Lau, Shang-Fan Yu, James Ernst, Jack D. Sadowsky, and C. Andrew Boswell
## TABLE OF CONTENTS

<table>
<thead>
<tr>
<th>Page</th>
<th>Title</th>
<th>Authors</th>
</tr>
</thead>
<tbody>
<tr>
<td>1080</td>
<td>High-Throughput Generation of Bispecific Binding Proteins by Sortase A–Mediated Coupling for Direct Functional Screening in Cell Culture</td>
<td>Fabio Andres, Martin Schwill, Ykelien L. Boersma, and Andreas Plückthun</td>
</tr>
</tbody>
</table>

### ABOUT THE COVER

In this issue of *Molecular Cancer Therapeutics*, we present the first two examples of the new "First Disclosures" article type. This article type is designed to highlight the first-time disclosure of oncology drug structures and their preclinical profiles. The cover image, taken from our large molecule example, demonstrates the proposed complex structure of the variable region of the CD137 agonist 7A5 (in red cartoon) with CD137 (in white). The CD137L binding site is also shown in blue. Read the full disclosure of the 7A5 antibody on page 988.