

## MOLECULAR CANCER THERAPEUTICS

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Jill K. Jones and Eric M. Thompson

**1770** Patient Selection Strategies to Maximize Therapeutic Index of Antibody-Drug Conjugates: Prior Approaches and Future Directions

**AC** Marna Williams, Anna Spreafico, Kapil Vashisht, and Mary Jane Hinrichs

## SMALL MOLECULE THERAPEUTICS

**1784** Tipifarnib as a Precision Therapy for *HRAS*-Mutant Head and Neck Squamous Cell Carcinomas

**AC** Mara Gilardi, Zhiyong Wang, Marco Proietto, Anastasia Chillà, Juan Luis Calleja-Valera, Yusuke Goto, Marco Vanoni, Matthew R. Janes, Zbigniew Mikulski, Antonio Gualberto, Alfredo A. Molinolo, Napoleone Ferrara, J. Silvio Gutkind, and Francis Burrows

**1797** Repurposing the FDA-Approved Antiviral Drug Ribavirin as Targeted Therapy for Nasopharyngeal Carcinoma

Sakibul Huq, Joshua Casaos, Riccardo Serra, Michael Peters, Yuanxuan Xia, Andy S. Ding, Jeff Ehresman, Jayanidhi N. Kedda, Manuel Morales, Noah L. Gorelick, Tianna Zhao, Wataru Ishida, Alexander Perdomo-Pantoja, Arba Cecilia, Chenchen Ji, Ian Suk, David Sidransky, Mariana Brait, Henry Brem, Nicolas Skuli, and Betty Tyler

**1809** PIM Kinase Inhibitors Block the Growth of Primary T-cell Acute Lymphoblastic Leukemia: Resistance Pathways Identified by Network Modeling Analysis

James T. Lim, Neha Singh, Libia A. Leuvano, Valerie S. Calvert, Emanuel F. Petricoin, David T. Teachey, Richard B. Lock, Megha Padi, Andrew S. Kraft, and Sathish K.R. Padi

## LARGE MOLECULE THERAPEUTICS

**1822** Nonclinical Development of Next-generation Site-specific HER2-targeting Antibody-drug Conjugate (ARX788) for Breast Cancer Treatment

Prathap Nagaraja Shastri, Jingjing Zhu, Lillian Skidmore, Xuejun Liang, Yanping Ji, Yi Gu, Feng Tian, Sulan Yao, and Gang Xia

**1833** ARX788, a Site-specific Anti-HER2 Antibody-Drug Conjugate, Demonstrates Potent and Selective Activity in HER2-low and T-DM1-resistant Breast and Gastric Cancers

Lillian Skidmore, Sukumar Sakamuri, Nick A. Knudsen, Amha Gebre Hewet, Snezana Milutinovic, Wisam Barkho, Sandra Lyn Biroc, Jessica Kirtley, Robin Marsden, Kristine Storey, Ianina Lopez, Wayne Yu, Shiao-Yan Fang, Sulan Yao, Yi Gu, and Feng Tian

**1844** Antiproliferative Effects of Monoclonal Antibodies against (Pro)Renin Receptor in Pancreatic Ductal Adenocarcinoma

Asadur Rahman, Makoto Matsuyama, Akio Ebihara, Yuki Shibayama, Arif Ul Hasan, Hironori Nakagami, Fumiaki Suzuki, Jiao Sun, Tomoe Kobayashi, Hiroki Hayashi, Daisuke Nakano, Hideki Kobara, Tsutomu Masaki, and Akira Nishiyama

**1856** The Role of Specific ATP-Binding Cassette Transporters in the Acquired Resistance to Pyrrolbenzodiazepine Dimer-Containing Antibody-Drug Conjugates

Simon Corbett, Shiran Huang, Francesca Zammarchi, Philip W. Howard, Patrick H. van Berkel, and John A. Hartley

**1866** A Novel HER2-targeted Antibody-drug Conjugate Offers the Possibility of Clinical Dosing at Trastuzumab-equivalent Exposure Levels

**AC** Robyn M. Barfield, Yun Cheol Kim, Stepan Chuprakov, Fangjiu Zhang, Maxine Bauzon, Ayodele O. Ogunkoya, Dominick Yeo, Colin Hickle, Mark D. Pegram, David Rabuka, and Penelope M. Drake

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- 1875**     **Characterization of a Novel FLT3 BITE Molecule for the Treatment of Acute Myeloid Leukemia**  
**AC** Bettina Brauchle, Rebecca L. Goldstein, Christine M. Karbowski, Anja Henn, Chi-Ming Li, Veit L. Bücklein, Christina Krupka, Michael C. Boyle, Priya Koppikar, Sascha Haubner, Joachim Wahl, Christoph Dahlhoff, Tobias Raum, Matthew J. Rardin, Christine Sastri, Dan A. Rock, Michael von Bergwelt-Baildon, Brendon Frank, Klaus H. Metzeler, Ryan Case, Matthias Friedrich, Mercedesz Balazs, Karsten Spiekermann, Angela Coxon, Marion Subklewe, and Tara Arvedson

## COMPANION DIAGNOSTIC, PHARMACOGENOMIC, AND CANCER BIOMARKERS

- 1889**     **Endogenous Retrovirus Transcript Levels Are Associated with Immunogenic Signatures in Multiple Metastatic Cancer Types**  
James T. Topham, Emma Titmuss, Erin D. Pleasance, Laura M. Williamson, Joanna M. Karasinska, Luka Culibrk, Michael K.C. Lee, Shehara Mendis, Robert E. Denroche, Gun-Ho Jang, Steve E. Kalloger, Hui-Li Wong, Richard A. Moore, Andrew J. Mungall, Grainne M. O'Kane, Jennifer J. Knox, Steven Gallinger, Jonathan M. Loree, Dixie L. Mager, Janessa Laskin, Marco A. Marra, Steven J.M. Jones, David F. Schaeffer, and Daniel J. Renouf

## CANCER BIOLOGY AND TRANSLATIONAL STUDIES

- 1898**     **A Novel Approach to Safer Glucocorticoid Receptor-Targeted Anti-lymphoma Therapy via REDD1 (Regulated in Development and DNA Damage 1) Inhibition**  
Ekaterina A. Lesovaya, Alena V. Savinkova, Olga V. Morozova, Evgeniya S. Lylova, Ekaterina M. Zhidkova, Evgeny P. Kulikov, Kirill I. Kirsanov, Anna Klopot, Gleb Baida, Marianna G. Yakubovskaya, Leo I. Gordon, Ben Readhead, Joel T. Dudley, and Irina Budunova

- 1909**     **Statins Reduce Intratumor Cholesterol Affecting Adrenocortical Cancer Growth**  
Francesca Trotta, Paola Avena, Adele Chimento, Vittoria Rago, Arianna De Luca, Sara Sculco, Marta C. Nocito, Rocco Malivindi, Francesco Fallo, Raffaele Pezzani, Catia Pilon, Francesco M. Lasorsa, Simona N. Barile, Luigi Palmieri, Antonio M. Lerario, Vincenzo Pezzi, Ivan Casaburi, and Rosa Sirianni

- 1922**     **Comparison of Panitumumab-IRDye800CW and 5-Aminolevulinic Acid to Provide Optical Contrast in a Model of Glioblastoma Multiforme**  
Tiara S. Napier, Neha Udayakumar, Aditi H. Jani, Yolanda E. Hartman, Hailey A. Houson, Lindsay Moore, Hope M. Amm, Nynke S. van den Berg, Anna G. Sorace, and Jason M. Warram

- 1930**     **Androgen Receptor Signaling Reduces the Efficacy of Bacillus Calmette-Guérin Therapy for Bladder Cancer via Modulating Rab27b-Induced Exocytosis**  
Taichi Mizushima, Guiyang Jiang, Takashi Kawahara, Peng Li, Bin Han, Satoshi Inoue, Hiroki Ide, Ikuma Kato, Mehra Jalalizadeh, Etsuko Miyagi, Mitsunori Fukuda, Leonardo O. Reis, and Hiroshi Miyamoto

- 1943**     **Blockade of the Short Form of Prolactin Receptor Induces FOXO3a/EIF-4EBP1-Mediated Cell Death in Uterine Cancer**  
Yunfei Wen, Ying Wang, Anca Chelariu-Raicu, Elaine Stur, Yuan Liu, Sara Corvigno, Faith Bartsch, Lauren Redfern, Behrouz Zand, Yu Kang, Jinsong Liu, Keith A. Baggerly, and Anil K. Sood

## CORRECTION

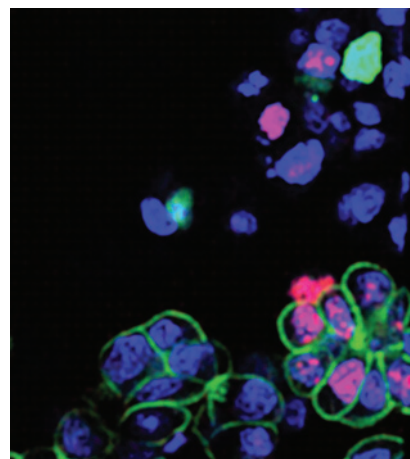
- 1955**     **Correction: *In Vitro* and *In Vivo* Synergistic Antitumor Activity of the Combination of BKM120 and Erlotinib in Head and Neck Cancer: Mechanism of Apoptosis and Resistance**  
Abu Syed Md Anisuzzaman, Abedul Haque, Dongsheng Wang, Mohammad Aminur Rahman, Chao Zhang, Zhengjia Chen, Zhuo Georgia Chen, Dong M. Shin, and A.R.M. Ruhul Amin

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## ABOUT THE COVER

In this issue, Wen and colleagues demonstrate that inhibition of the prolactin receptor by G129R initiates FOXO3a-mediated cell death in ovarian cancer. The confocal microscopy image on this month's cover demonstrates treatment with G129 results in nuclear accumulation of FOXO3a (in red) in a uterine cancer cell line. They further demonstrate FOXO3a and EIF-4EBP1 play a critical role in the cytotoxic response to G129R. Read the full article on page 1943.



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