

## MOLECULAR CANCER THERAPEUTICS

## TABLE OF CONTENTS

## HIGHLIGHTS

**1573** Selected Articles from This Issue

## REVIEW

**1575** **Chemotherapy and CDK4/6 Inhibitors: Unexpected Bedfellows**

Patrick J. Roberts, Vishnu Kumarasamy, Agnieszka K. Witkiewicz, and Erik S. Knudsen

## SMALL MOLECULE THERAPEUTICS

**1589** **The Indenoisoquinoline LMP517: A Novel Antitumor Agent Targeting both TOP1 and TOP2**

Laetitia Marzi, Yilun Sun, Shar-yin N. Huang, Amy James, Simone Difilippantonio, and Yves Pommier

**1598** **First-in-Class Inhibitors of Oncogenic CHD1L with Preclinical Activity against Colorectal Cancer**

**A C** Joshua M. Abbott, Qiong Zhou, Hector Esquer, Laura Pike, Travis P. Broneske, Sébastien Rinaldetti, Adedoyin D. Abraham, Dominique A. Ramirez, Paul J. Lunghofer, Todd M. Pitts, Daniel P. Regan, Aik Choon Tan, Daniel L. Gustafson, Wells A. Messersmith, and Daniel V. LaBarbera

**1613** **Novel Miniaturized Drug Conjugate Leverages HSP90-driven Tumor Accumulation to Overcome PI3K Inhibitor Delivery Challenges to Solid Tumors**

**A C** Samantha Perino, Benoit Moreau, Jessica Freda, Amanda Cirello, Brian H. White, James M. Quinn, Kristina Kriksciukaite, Ashwajith Someshwar, Janel Romagnoli, Megan Robinson, Sara Movassaghian, Tyler Cipriani, Richard Wooster, Mark T. Bilodeau, and Kerry A. Whalen

**1623** **Targeting Casein Kinase 1 Delta Sensitizes Pancreatic and Bladder Cancer Cells to Gemcitabine Treatment by Upregulating Deoxycytidine Kinase**

Francesca Vena, Simon Bayle, Ainhoa Nieto, Victor Quereda, Massimiliano Aceti, Sylvia M. Frydman, Samer S. Sansil, Wayne Grant, Andrii Monastyrskyi, Patricia McDonald, William R. Roush, Mingxiang Teng, and Derek Duckett

**1636** **Combinatorial Inhibition of Focal Adhesion Kinase and BCL-2 Enhances Antileukemia Activity of Venetoclax in Acute Myeloid Leukemia**

Xiangmeng Wang, Po Yee Mak, Hong Mu, Wenjing Tao, Arvind Rao, Ravikumar Visweswaran, Vivian Ruvolo, Jonathan A. Pachter, David T. Weaver, Michael Andreeff, Bing Xu, and Bing Z. Carter

## LARGE MOLECULE THERAPEUTICS

**1649** **Preclinical Characterization of an Antibody-Drug Conjugate Targeting CS-1 and the Identification of Uncharacterized Populations of CS-1-Positive Cells**

Ruoyan Chen, Saravanan Rajan, Michael G. Overstreet, Elaine M. Hurt, Suneetha B. Thomas, Vanessa Muniz-Medina, Christopher Ward, Agnieszka Sadowska, Ryan Fleming, Subramanya Karanth, Shannon Breen, Bo Zheng, Yuling Wu, William O. Iverson, Steven Novick, Terrence O'Day, Dipesha P. Shah, Nazzareno Dimasi, Arnaud C. Tiberghien, Jane Osbourn, and Jill Walker

**1660** **An Antibody-Drug Conjugate Targeting MUC1-Associated Carbohydrate CA6 Shows Promising Antitumor Activities**

Céline Nicolazzi, Anne Caron, Alexia Tellier, Marc Trombe, Jan Pinkas, Gillian Payne, Chantal Carrez, Stéphane Guérif, Marie Maguin, Raffaele Baffa, Matteo Fassan, Julien Adam, Lydie Mangatal-Wade, and Véronique Blanc

**1670** **Development of a MUC16-Targeted Near-Infrared Fluorescent Antibody Conjugate for Intraoperative Imaging of Pancreatic Cancer**

Madeline T. Olson, Nicholas E. Wojtynek, Geoffrey A. Talmon, Thomas C. Caffrey, Prakash Radhakrishnan, Quan P. Ly, Michael A. Hollingsworth, and Aaron M. Mohs

# TABLE OF CONTENTS

**1682 EMP2 Is a Novel Regulator of Stemness in Breast Cancer Cells**

Christen Dillard, Meagan Kiyohara, Vei Mah, Sean P. McDermott, Dana Bazzoun, Jessica Tsui, Ann M. Chan, Ghassan Haddad, Matteo Pellegrini, Yu-Ling Chang, Yahya Elshimali, Yanyuan Wu, Jaydutt V. Vadgama, Sara R. Kim, Lee Goodglick, Samuel M. Law, Deven D. Patel, Puneet Dhawan, Neil A. O'Brien, Lynn K. Gordon, Jonathan Braun, Gary Lazar, Max S. Wicha, and Madhuri Wadehra

## CANCER BIOLOGY AND TRANSLATIONAL STUDIES

**1696 Autocrine CCL5 Effect Mediates Trastuzumab Resistance by ERK Pathway Activation in HER2-Positive Breast Cancer**

Sandra Zazo, Paula González-Alonso, Ester Martín-Aparicio, Cristina Chamizo, Melani Luque, Marta Sanz-Álvarez, Pablo Mínguez, Gonzalo Gómez-López, Ion Cristóbal, Cristina Caramés, Jesús García-Foncillas, Pilar Eroles, Ana Lluch, Oriol Arpí, Ana Rovira, Joan Albanell, Juan Madoz-Gúrpide, and Federico Rojo

**1708 Cross-Resistance Among Next-Generation Antiandrogen Drugs Through the AKR1C3/AR-V7 Axis in Advanced Prostate Cancer**

Jinge Zhao, Shu Ning, Wei Lou, Joy C. Yang, Cameron M. Armstrong, Alan P. Lombard, Leandro S. D'Abronzio, Christopher P. Evans, Allen C. Gao, and Chengfei Liu

**1719 Metabolic Adaptations to MEK and CDK4/6 Cotargeting in Uveal Melanoma**

Jessica L.F. Teh, Timothy J. Purwin, Anna Han, Vivian Chua, Prem Patel, Usman Baqai, Connie Liao, Nelisa Bechtel, Takami Sato, Michael A. Davies, Julio Aguirre-Ghiso, and Andrew E. Aplin

**1727 NRG1/ERBB3 Pathway Activation Induces Acquired Resistance to XPO1 Inhibitors**

Takahito M. Miyake, Sunila Pradeep, Emine Bayraktar, Elaine Stur, Katelyn F. Handley, Sherry Y. Wu, Cristian Rodriguez-Aguayo, Ju-Seog Lee, Gabriel Lopez-Berestein, Robert L. Coleman, and Anil K. Sood

## MODELS AND TECHNOLOGIES

**1736 A Cell-Based MAPK Reporter Assay Reveals Synergistic MAPK Pathway Activity Suppression by MAPK Inhibitor Combination in BRAF-Driven Pediatric Low-Grade Glioma Cells**

Diren Usta, Romain Sigaud, Juliane L. Buhl, Florian Selt, Viktoria Marquardt, David Pauck, Jennifer Jansen, Stefan Pusch, Jonas Ecker, Thomas Hielscher, Johanna Vollmer, Alexander C. Sommerkamp, Tobias Rubner, Darren Hargrave, Cornelis M. van Tilburg, Stefan M. Pfister, David T.W. Jones, Marc Remke, Tilman Brummer, Olaf Witt, and Till Milde

**1751 Discovery of New Targets to Control Metastasis in Pancreatic Cancer by Single-cell Transcriptomics Analysis of Circulating Tumor Cells**

Spas Dimitrov-Markov, Javier Perales-Patón, Bruno Bockorny, Ana Dopazo, Manuel Muñoz, Natalia Baños, Victoria Bonilla, Camino Menendez, Yolanda Duran, Ling Huang, Sofia Perea, Senthil K. Muthuswamy, Fatima Al-Shahrour, Pedro P. Lopez-Casas, and Manuel Hidalgo

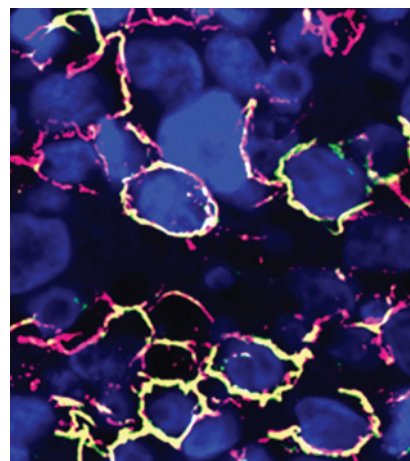
**AC** icon indicates AuthorChoice

For more information please visit [www.aacrjournals.org](http://www.aacrjournals.org)

# TABLE OF CONTENTS

## ABOUT THE COVER

The CA6 peptidoglycotope is expressed in breast, ovarian, bladder, and lung cancers. In our cover image, CA6 peptidoglycotope colocalized with MUC1 in ovarian cancer. This peptidoglycotope is selectively recognized by SAR566658, a humanized mAb conjugated to DM4. SAR566658 has been evaluated up to phase IIa in triple-negative breast cancer. Read the full manuscript on page 1660.



# Molecular Cancer Therapeutics

**19 (8)**

*Mol Cancer Ther* 2020;19:1573-1760.

**Updated version** Access the most recent version of this article at:  
<http://mct.aacrjournals.org/content/19/8>

**E-mail alerts** [Sign up to receive free email-alerts](#) related to this article or journal.

**Reprints and Subscriptions** To order reprints of this article or to subscribe to the journal, contact the AACR Publications Department at [pubs@aacr.org](mailto:pubs@aacr.org).

**Permissions** To request permission to re-use all or part of this article, use this link <http://mct.aacrjournals.org/content/19/8>.  
Click on "Request Permissions" which will take you to the Copyright Clearance Center's (CCC) Rightslink site.