

Highlights of This Issue 1


SMALL MOLECULE THERAPEUTICS

- 3** **Repurposing the Antihelminthic Mebendazole as a Hedgehog Inhibitor**
Andrew R. Larsen, Ren-Yuan Bai, Jon H. Chung, Alexandra Borodovsky, Charles M. Rudin, Gregory J. Riggins, and Fred Bunz
- 14** **TAS-116, a Highly Selective Inhibitor of Heat Shock Protein 90 α and β , Demonstrates Potent Antitumor Activity and Minimal Ocular Toxicity in Preclinical Models**
Shuichi Ohkubo, Yasuo Kodama, Hiromi Muraoka, Hiroko Hitotsumachi, Chihoko Yoshimura, Makoto Kitade, Akihiro Hashimoto, Kenjiro Ito, Akira Gomori, Koichi Takahashi, Yoshihiro Shibata, Akira Kanoh, and Kazuhiko Yonekura
- 23** **Darinaparsin Inhibits Prostate Tumor-Initiating Cells and Du145 Xenografts and Is an Inhibitor of Hedgehog Signaling**
Nitu Bansal, Nadine Johnson Farley, Lisa Wu, Jonathan Lewis, Hagop Youssoufian, and Joseph R. Bertino
- 31** **Preclinical Characterization of RSM-932A, a Novel Anticancer Drug Targeting the Human Choline Kinase Alpha, an Enzyme Involved in Increased Lipid Metabolism of Cancer Cells**
Juan Carlos Lacal and Joaquín M. Campos
- 40** **Modeling Targeted Inhibition of MEK and PI3 Kinase in Human Pancreatic Cancer**
Melissa R. Junttila, Vidusha Devasthali, Jason H. Cheng, Joseph Castillo, Ciara Metcalfe, Anne C. Clermont, Douglas Den Otter, Emily Chan, Hani Bou-Reslan, Tim Cao, William Forrest, Michelle A. Nannini, Dorothy French, Richard Carano, Mark Merchant, Klaus P. Hoeflich, and Mallika Singh
- 48** **Inhibition of PI3K β Signaling with AZD8186 Inhibits Growth of PTEN-Deficient Breast and Prostate Tumors Alone and in Combination with Docetaxel**
Urs Hancox, Sabina Cosulich, Lyndsey Hanson, Cath Trigwell, Carol Lenaghan, Rebecca Ellston, Hannah Dry, Claire Crafter, Bernard Barlaam, Martina Fitzek, Paul D. Smith, Donald Ogilvie, Celina D'Cruz, Lillian Castriotta, Stephen R. Wedge, Lara Ward, Steve Powell, Mandy Lawson, Barry R. Davies, Elizabeth A. Harrington, Emily Foster, Marie Cumberbatch, Stephen Green, and Simon T. Barry
- 59** **Anticancer Activity of a Novel Selective CYP17A1 Inhibitor in Preclinical Models of Castrate-Resistant Prostate Cancer**
Paul J. Toren, Soojin Kim, Steven Pham, Azzra Mangalji, Hans Adomat, Emma S. Tomlinson-Guns, Amina Zoubeidi, William Moore, and Martin E. Gleave
- 70** **Radioprotection of the Brain White Matter by Mn(III) *N*-Butoxyethylpyridylporphyrin-Based Superoxide Dismutase Mimic MnTnBuOE-2-PyP⁵⁺**
Douglas H. Weitzel, Artak Tovmasyan, Kathleen A. Ashcraft, Zrinka Rajic, Tin Weitner, Chunlei Liu, Wei Li, Anne F. Buckley, Mark R. Prasad, Kenneth H. Young, Ramona M. Rodriguez, William C. Wetsel, Katherine B. Peters, Ivan Spasojevic, James E. Herndon II, Ines Batinic-Haberle, and Mark W. Dewhirst
- 80** **Small Molecule Inhibitor YM155-Mediated Activation of Death Receptor 5 Is Crucial for Chemotherapy-Induced Apoptosis in Pancreatic Carcinoma**
Xiangxuan Zhao, William M. Puszyk, Zaiming Lu, David A. Ostrov, Thomas J. George, Keith D. Robertson, and Chen Liu
- 90** **The Protein Phosphatase 2A Inhibitor LB100 Sensitizes Ovarian Carcinoma Cells to Cisplatin-Mediated Cytotoxicity**
Ki-Eun Chang, Bih-Rong Wei, James P. Madigan, Matthew D. Hall, R. Mark Simpson, Zhengping Zhuang, and Michael M. Gottesman
- 101** **Combination Strategy Targeting VEGF and HGF/c-met in Human Renal Cell Carcinoma Models**
Eric Ciamporcerro, Kiersten Marie Miles, Remi Adelaiye, Swathi Ramakrishnan, Li Shen, ShengYu Ku, Stefania Pizzimenti, Barbara Sennino, Giuseppina Barrera, and Roberto Pili
- 111** **Evaluation of Novel Imidazotetrazine Analogues Designed to Overcome Temozolomide Resistance and Glioblastoma Regrowth**
Yulian P. Ramirez, Ann C. Mladek, Roger M. Phillips, Mikko Gynther, Jarkko Rautio, Alonzo H. Ross, Richard T. Wheelhouse, and Jann N. Sakaria

Table of Contents

- 120** Tumor-Penetrating iRGD Peptide Inhibits Metastasis
Kazuki N. Sugahara, Gary B. Braun, Tatiana Hurtado de Mendoza, Venkata Ramana Kotamraju, Randall P. French, Andrew M. Lowy, Tambet Teesalu, and Erkki Ruoslahti

LARGE MOLECULE THERAPEUTICS

- 129**  ANG4043, a Novel Brain-Penetrant Peptide–mAb Conjugate, Is Efficacious against HER2-Positive Intracranial Tumors in Mice
Anthony Regina, Michel Demeule, Sasmita Tripathy, Simon Lord-Dufour, Jean-Christophe Currie, Mustapha Iddir, Borhane Annabi, Jean-Paul Castaigne, and Jean E. Lachowicz

- 141** Near Infrared Photoimmunotherapy in the Treatment of Disseminated Peritoneal Ovarian Cancer
Kazuhide Sato, Hirofumi Hanaoka, Rira Watanabe, Takahito Nakajima, Peter L. Choyke, and Hisataka Kobayashi

- 151** Bicyclic Peptides Conjugated to an Albumin-Binding Tag Diffuse Efficiently into Solid Tumors
Lisa Pollaro, Sandeep Raghunathan, Julia Morales-Sanfrutos, Alessandro Angelini, Stephan Kontos, and Christian Heinis

- 162** Preclinical Pharmacokinetics, Tolerability, and Pharmacodynamics of Metuzumab, a Novel CD147 Human–Mouse Chimeric and Glycoengineered Antibody
Zheng Zhang, Yang Zhang, Qian Sun, Fei Feng, Muren Huhe, Li Mi, and Zhinan Chen

CANCER BIOLOGY AND SIGNAL TRANSDUCTION

- 174** Combined CDKN1A/TP53 Mutation in Bladder Cancer Is a Therapeutic Target
Yang Liu and David J. Kwiatkowski

- 183** SRT1720 Induces Lysosomal-Dependent Cell Death of Breast Cancer Cells
Tyler J. Lahusen and Chu-Xia Deng


- 193** Mechanisms of Resistance to Cabazitaxel
George E. Duran, Yan C. Wang, E. Brian Francisco, John C. Rose, Francisco J. Martinez, John Collier, Diana Brassard, Patricia Vrignaud, and Branimir I. Sikic


- 202** Direct Binding of Arsenic Trioxide to AMPK and Generation of Inhibitory Effects on Acute Myeloid Leukemia Precursors
Elspeth M. Beauchamp, Ewa M. Kosciuzuk, Ruth Serrano, Dhaval Nanavati, Elden P. Swindell, Benoit Viollet, Thomas V. O'Halloran, Jessica K. Altman, and Leonidas C. Platanias

- 213** Midkine Lacking Its Last 40 Amino Acids Acts on Endothelial and Neuroblastoma Tumor Cells and Inhibits Tumor Development
Noushin Dianat, Barbara Le Viet, Emilie Gobbo, Nathalie Auger, Ivan Bièche, Annelise Bennaceur-Grisicelli, and Frank Griscelli

- 225** Δ NP63 α Transcriptionally Activates Chemokine Receptor 4 (CXCR4) Expression to Regulate Breast Cancer Stem Cell Activity and Chemotaxis
Andrew J. DeCastro, Pratima Cherukuri, Amanda Balboni, and James DiRenzo

- 236** Interactions of Multitargeted Kinase Inhibitors and Nucleoside Drugs: Achilles Heel of Combination Therapy?
Vijaya L. Damaraju, Michelle Kuzma, Delores Mowles, Carol E. Cass, and Michael B. Sawyer

- 246**  Elevated LIM Kinase 1 in Nonmetastatic Prostate Cancer Reflects Its Role in Facilitating Androgen Receptor Nuclear Translocation
Katerina Mardilovich, Mads Gabrielsen, Lynn McGarry, Clare Orange, Rachana Patel, Emma Shanks, Joanne Edwards, and Michael F. Olson

- 259**  Enhanced Colonic Tumorigenesis in Alkaline Sphingomyelinase (NPP7) Knockout Mice
Ying Chen, Ping Zhang, Shu-Chang Xu, Liping Yang, Ulrikke Voss, Eva Ekblad, Yunjin Wu, Yalan Min, Erik Hertervig, Åke Nilsson, and Rui-Dong Duan

- 268** Long Noncoding RNA ANRIL Promotes Non–Small Cell Lung Cancer Cell Proliferation and Inhibits Apoptosis by Silencing KLF2 and P21 Expression
Feng-qi Nie, Ming Sun, Jin-song Yang, Min Xie, Tong-peng Xu, Rui Xia, Yan-wen Liu, Xiang-hua Liu, Er-bao Zhang, Kai-hua Lu, and Yong-qian Shu

Table of Contents

278 Identifying Actionable Targets through Integrative Analyses of GEM Model and Human Prostate Cancer Genomic Profiling



Jackie Wanjala, Barry S. Taylor, Caren Chapinski, Haley Hieronymus, John Wongvipat, Yu Chen, Gouri J. Nanjangud, Nikolaus Schultz, Yingqiu Xie, Shenji Liu, Wenfu Lu, Qing Yang, Chris Sander, Zhenbang Chen, Charles L. Sawyers, and Brett S. Carver

298 Pharmacological Profiling of Kinase Dependency in Cell Lines across Triple-Negative Breast Cancer Subtypes

Lauren S. Fink, Alexander Beatty, Karthik Devarajan, Suraj Peri, and Jeffrey R. Peterson

COMPANION DIAGNOSTICS AND CANCER BIOMARKERS

289 The NOTCH Ligand JAGGED2 Promotes Pancreatic Cancer Metastasis Independent of NOTCH Signaling Activation

Yufeng Hu, Hexiu Su, Xu Li, Guoli Guo, Ling Cheng, Renyi Qin, Guoliang Qing, and Hudan Liu

307 Changes in BAI1 and Nestin Expression Are Prognostic Indicators for Survival and Metastases in Breast Cancer and Provide Opportunities for Dual Targeted Therapies

Walter Hans Meisen, Samuel Dubin, Steven T. Sizemore, Haritha Mathysaraja, Katie Thies, Norman L. Lehman, Peter Boyer, Alena Cristina Jaime-Ramirez, J. Bradley Elder, Kimerly Powell, Arnab Chakravarti, Michael C. Ostrowski, and Balveen Kaur

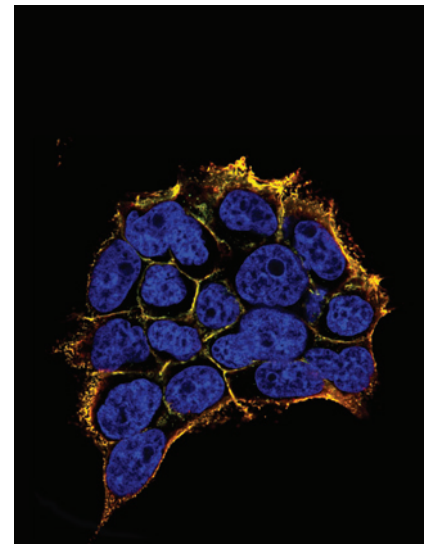


AC icon indicates Author Choice

For more information please visit www.aacrjournals.org

ABOUT THE COVER

Tumor antigen–targeting mAbs, such as Herceptin, are critical weapons in the cancer therapeutic arsenal, yet they cross the blood–brain barrier (BBB) poorly and their success treating brain tumors is limited. By conjugating an anti-HER2 mAb with a peptide that utilizes receptor-mediated transcytosis across the BBB, a brain-penetrant mAb, ANG4043, was created. ANG4043's retention of tumor-targeting properties was demonstrated in HER2-positive BT-474 breast carcinoma cells. Colocalization of the control anti-HER2 mAb and the HER2 antigen is shown in yellow on the cell surface. For details, see article by Regina and colleagues on page 129.



Molecular Cancer Therapeutics

14 (1)

Mol Cancer Ther 2015;14:1-314.

Updated version Access the most recent version of this article at:
<http://mct.aacrjournals.org/content/14/1>

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.

Permissions To request permission to re-use all or part of this article, use this link <http://mct.aacrjournals.org/content/14/1>.
Click on "Request Permissions" which will take you to the Copyright Clearance Center's (CCC) Rightslink site.