

Highlights of This Issue 1765

REVIEW

- 1767** Tumor-Related Molecular Mechanisms of Oxaliplatin Resistance
Eva Martínez-Balibrea, Anna Martínez-Cardús, Alba Ginés, Vicenç Ruiz de Porras, Catia Moutinho, Laura Layos, José Luis Manzano, Cristina Bugés, Sara Bystrup, Manel Esteller, and Albert Abad

SMALL MOLECULE THERAPEUTICS

- 1777** Structure-Based Screen Identifies a Potent Small Molecule Inhibitor of Stat5a/b with Therapeutic Potential for Prostate Cancer and Chronic Myeloid Leukemia
Zhiyong Liao, Lei Gu, Jenny Vergalli, Samanta A. Mariani, Marco De Dominicis, Ravi K. Lokareddy, Ayush Dagvadorj, Puranik Purushottamachar, Peter A. McCue, Edouard Trabulsi, Costas D. Lallas, Shilpa Gupta, Elyse Ellsworth, Shauna Blackmon, Adam Ertel, Paolo Fortina, Benjamin Leiby, Guanjun Xia, Hallgeir Rui, David T. Hoang, Leonard G. Gomella, Gino Cingolani, Vincent Njar, Nagarajan Pattabiraman, Bruno Calabretta, and Marja T. Nevalainen
- 1794** Sensitization of Glioblastoma Cells to Irradiation by Modulating the Glucose Metabolism
Han Shen, Eric Hau, Swapna Joshi, Pierre J. Dilda, and Kerrie L. McDonald
- 1805** Inhibition of mTORC2 Induces Cell-Cycle Arrest and Enhances the Cytotoxicity of Doxorubicin by Suppressing MDR1 Expression in HCC Cells
Bryan Wei Chen, Wei Chen, Hui Liang, Hao Liu, Chao Liang, Xiao Zhi, Li-qiang Hu, Xia-Zhen Yu, Tao Wei, Tao Ma, Fei Xue, Lei Zheng, Bin Zhao, Xin-Hua Feng, Xue-li Bai, and Ting-bo Liang
- 1816** Peloruside A Inhibits Growth of Human Lung and Breast Tumor Xenografts in an Athymic *nu/nu* Mouse Model
Colin J. Meyer, Melissa Krauth, Michael J. Wick, Jerry W. Shay, Ginelle Gellert, Jef K. De Brabander, Peter T. Northcote, and John H. Miller

- 1824** Selective Inhibition of SIN3 Corepressor with Avermectins as a Novel Therapeutic Strategy in Triple-Negative Breast Cancer
Yeon-Jin Kwon, Kevin Petrie, Boris A. Leibovitch, Lei Zeng, Mihaly Mezei, Louise Howell, Veronica Gil, Rossitza Christova, Nidhi Bansal, Shuai Yang, Rajal Sharma, Edgardo V. Ariztia, Jessica Frankum, Rachel Brough, Yordan Sbirkov, Alan Ashworth, Christopher J. Lord, Arthur Zelent, Eduardo Farias, Ming-Ming Zhou, and Samuel Waxman
- 1837** MCL-1 Is a Key Determinant of Breast Cancer Cell Survival: Validation of MCL-1 Dependency Utilizing a Highly Selective Small Molecule Inhibitor
Yu Xiao, Paul Nimmer, George S. Sheppard, Milan Bruncko, Paul Hessler, Xin Lu, Lisa Roberts-Rapp, William N. Pappano, Steven W. Elmore, Andrew J. Souers, Joel D. Levenson, and Darren C. Phillips
- 1848** Histone Deacetylase Inhibitor Entinostat Inhibits Tumor-Initiating Cells in Triple-Negative Breast Cancer Cells
Amanda Schech, Armina Kazi, Stephen Yu, Preeti Shah, and Gauri Sabnis

LARGE MOLECULE THERAPEUTICS



- 1858** 23814, an Inhibitory Antibody of Ligand-Mediated Notch1 Activation, Modulates Angiogenesis and Inhibits Tumor Growth without Gastrointestinal Toxicity
 Theresa Proia, Feng Jiang, Alisa Bell, Richard Nicoletti, Lingxin Kong, Kelly Kreuter, Laura Poling, William M. Winston, Meghan Flaherty, Solly Weiler, Samantha Perino, Ronan O'Hagan, Jie Lin, Jenó Gyuris, and Heidi Okamura
- 1868** Novel Anti-TM4SF1 Antibody-Drug Conjugates with Activity against Tumor Cells and Tumor Vasculature
 Alberto Visintin, Kelly Knowlton, Edyta Tyminski, Chi-Iou Lin, Xiang Zheng, Kimberly Marquette, Sadhana Jain, Lioudmila Tchistiakova, Dan Li, Christopher J. O'Donnell, Andreas Maderna, Xianjun Cao, Robert Dunn, William B. Snyder, Anson K. Abraham, Mauricio Leal, Shoba Shetty, Anthony Barry, Leigh Zawel, Anthony J. Coyle, Harold F. Dvorak, and Shou-Ching Jaminet

Table of Contents

- 1877** Efficacy and Tolerability of a GD2-Directed Trifunctional Bispecific Antibody in a Preclinical Model: Subcutaneous Administration Is Superior to Intravenous Delivery
Nina Deppisch, Peter Ruf, Nina Eissler, Frauke Neff, Raymund Buhmann, Horst Lindhofer, and Ralph Mocikat

CANCER BIOLOGY AND SIGNAL TRANSDUCTION

- 1884** NF- κ B2/p52:c-Myc:hnRNPA1 Pathway Regulates Expression of Androgen Receptor Splice Variants and Enzalutamide Sensitivity in Prostate Cancer
Nagalakshmi Nadiminty, Ramakumar Tummala, Chengfei Liu, Wei Lou, Christopher P. Evans, and Allen C. Gao

- 1896** The Tmprss2-ERG Gene Fusion Blocks XRCC4-Mediated Nonhomologous End-Joining Repair and Radiosensitizes Prostate Cancer Cells to PARP Inhibition
Payel Chatterjee, Gaurav S. Choudhary, Turkeyah Alswillah, Xiahui Xiong, Warren D. Heston, Cristina Magi-Galluzzi, Junran Zhang, Eric A. Klein, and Alexandru Almasan

- 1907** Inhibition of Glucosylceramide Synthase Sensitizes Head and Neck Cancer to Cisplatin
Jong-Lyel Roh, Eun Hye Kim, Jin Young Park, and Ji Won Kim

- 1916** Inhibition of PI3K Pathway Reduces Invasiveness and Epithelial-to-Mesenchymal Transition in Squamous Lung Cancer Cell Lines Harboring *PIK3CA* Gene Alterations
Mara A. Bonelli, Andrea Cavazzoni, Francesca Sacconi, Roberta R. Alfieri, Federico Quaini, Silvia La Monica, Maricla Galetti, Daniele Cretella, Cristina Caffarra, Denise Madeddu, Caterina Frati, Costanza Annamaria Lagrasta, Angela Falco, Pietro Rossetti, Claudia Fumarola, Marcello Tiseo, Pier Giorgio Petronini, and Andrea Ardizzoni

- 1928** The PI3K/Akt Pathway Regulates Oxygen Metabolism via Pyruvate Dehydrogenase (PDH)-E1 α Phosphorylation
George J. Cerniglia, Souvik Dey, Shannon M. Gallagher-Colombo, Natalie A. Daurio, Stephen Tuttle, Theresa M. Busch, Alexander Lin, Ramon Sun, Tatiana V. Esipova, Sergei A. Vinogradov, Nicholas Denko, Constantinos Koumenis, and Amit Maity

- 1939** RacGAP1 Is a Novel Downstream Effector of E2F7-Dependent Resistance to Doxorubicin and Is Prognostic for Overall Survival in Squamous Cell Carcinoma
Mehlika Hazar-Rethinam, Lilia Merida de Long, Orla M. Gannon, Samuel Boros, Ana Cristina Vargas, Marcin Dzienis, Pamela Mukhopadhyay, Natalia Saenz-Ponce, Daniel D.E. Dantzie, Fiona Simpson, and Nicholas A. Saunders

- 1951** Inhibition of Mouse Breast Tumor-Initiating Cells by Calcitriol and Dietary Vitamin D
Youngtae Jeong, Srilatha Swami, Aruna V. Krishnan, Jasmine D. Williams, Shanique Martin, Ronald L. Horst, Megan A. Albertelli, Brian J. Feldman, David Feldman, and Maximilian Diehn

COMPANION DIAGNOSTICS AND CANCER BIOMARKERS

- 1962** Pilot Trial of Selecting Molecularly Guided Therapy for Patients with Non-V600 BRAF-Mutant Metastatic Melanoma: Experience of the SU2C/MRA Melanoma Dream Team
Patricia M. LoRusso, Scott A. Boerner, Mary Jo Pilat, Karen M. Forman, Clarice Y. Zuccaro, Jeffrey A. Kiefer, Winnie S. Liang, Sally Hunsberger, Bruce G. Redman, Svetomir N. Markovic, Aleksandar Sekulic, Alan H. Bryce, Richard W. Joseph, C. Lance Cowey, Leslie Anne Fecher, Jeffrey Alan Sosman, Paul B. Chapman, Gary K. Schwartz, David W. Craig, John D. Carpten, and Jeffrey M. Trent


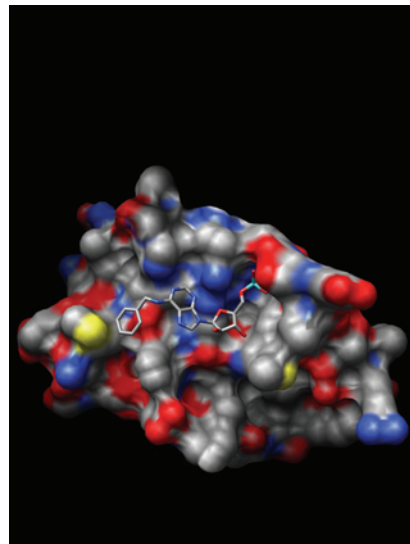
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Table of Contents

ABOUT THE COVER

There is an unmet medical need for pharmacological inhibitors of signal transducer and activator of transcription 5a/b (Stat5a/b). Stat5a/b is critical for growth and progression of solid tumors and hematological malignancies, specifically prostate cancer and Bcr-Abl-driven leukemias. IST5-002, a lead compound of a small molecule inhibitor family of Stat5a/b, was identified through structure-based *in-silico* screening and medicinal chemistry. IST5-002 potently inhibited molecular events associated with Stat5a/b activation, reduced the expression of Stat5a/b-regulated genes and induced extensive apoptotic cell death in multiple models of prostate cancer and chronic myeloid leukemias *in vitro*, *in vivo*, and in patient samples. IST5-002 provides a lead structure for further chemical modifications for clinical development. For details, see article by Liao et al., on page 1777.



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