# HIGHLIGHTS

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## MCT FIRST DISCLOSURES

625  F-aza-T-dCd (NSC801845), a Novel Cytidine Analog, in Comparative Cell Culture and Xenograft Studies with the Clinical Candidates T-dCd, F-T-dCd, and Aza-T-dCd

Joel Morris, Donn G. Wishka, Omar D. Lopez, Vladimir Rudchenko, Guangfei Huang, Sierra N. Hoffman, Suzanne Borgel, Kyle Georgius, John Carter, Howard Stotler, Mark W. Kunkel, Jerry M. Collins, Melinda G. Hollingshead, and Beverly A. Teicher

## REVIEW

632  Role of Polo-Like Kinase 4 (PLK4) in Epithelial Cancers and Recent Progress in Its Small Molecule Targeting for Cancer Management

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641  ERK Inhibitor LY3214996-Based Treatment Strategies for RAS-Driven Lung Cancer

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655  Molecular Targeting of RRM2, NFκ-B, and Mutant TP53 for the Treatment of Triple-Negative Breast Cancer

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665  ONC201 Shows Potent Anticancer Activity Against Medullary Thyroid Cancer via Transcriptional Inhibition of RET, VEGFR2, and IGFBP2

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676  PP2A-activating Drugs Enhance FLT3 Inhibitor Efficacy through AKT Inhibition–Dependent GSK-3β-Mediated c-Myc and Pim-1 Proteosomal Degradation

Mario Scarp, Prerna Singh, Christopher M. Bailey, Jonelle K. Lee, Shivani Kapoor, René G. Lapidus, Sandrine Niyonere, Jaya Sangodkar, Yin Wang, Danilo Perrotti, Goutham Narla, and Maria R. Baer

691  Targeting BET Proteins BRD2 and BRD3 in Combination with PI3K-AKT Inhibition as a Therapeutic Strategy for Ovarian Clear Cell Carcinoma

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704  Rapid Resistance of FGFR-driven Gastric Cancers to Regorafenib and Targeted FGFR Inhibitors can be Overcome by Parallel Inhibition of MEK

David K. Lau, Ian Y. Luk, Laura J. Jenkins, Andrew Martin, David S. Williams, Kael L. Schoffer, Fiona Chionh, Michael Buchert, Katrin Sjoquist, Alex Boussiotas, Sarah A. Hayes, Matthias Ernst, Andrew J. Weickhardt, Nick Pavlakis, Niall C. Tebbutt, and John M. Mariadason

## LARGE MOLECULE THERAPEUTICS

716  Anti-LYPD1/CD3 T-Cell-Dependent Bispecific Antibody for the Treatment of Ovarian Cancer

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CANCER BIOLOGY AND TRANSLATIONAL STUDIES


739  V-ATPase Inhibition Decreases Mutant Androgen Receptor Activity in Castrate-resistant Prostate Cancer
Bradleigh Whitton, Haruko Okamoto, Matthew Rose-Zerilli, Graham Packham, and Simon J. Crabb

MODELS AND TECHNOLOGIES

749  Isoform- and Phosphorylation-specific Multiplexed Quantitative Pharmacodynamics of Drugs Targeting PI3K and MAPK Signaling in Xenograft Models and Clinical Biopsies
William G. Herrick, Casey L. Kilpatrick, Melinda G. Hollingshead, Dominic Esposito, Geraldine O’Sullivan Coyne, Andrea M. Gross, Barry C. Johnson, Alice P. Chen, Brigitte C. Widemann, James H. Doroshow, Ralph E. Parchment, and Apurva K. Srivastava

ABOUT THE COVER

In this issue of Molecular Cancer Therapeutics, Morris and colleagues disclose a novel fluorine-containing cytidine analog, F-aza-T-dCyd. F-aza-T-dCyd produced complete regressions in the HL-60 model pictured, as well as in xenografts of bladder and colon cancer. Their disclosure supports the continued development of F-aza-T-dCyd as a new therapeutic in a category that has seen five FDA approvals. Read the full manuscript on page 625.