

Highlights of This Issue 1379

SMALL MOLECULE THERAPEUTICS

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1545	<p>Comparing Histone Deacetylase Inhibitor Responses in Genetically Engineered Mouse Lung Cancer Models and a Window of Opportunity Trial in Patients with Lung Cancer Tian Ma, Fabrizio Galimberti, Cherie P. Erkmén, Vincent Memoli, Fadzaï Chinyengetere, Lorenzo Sempere, Jan H. Beumer, Bean N. Anyang, William Nugent, David Johnstone, Gregory J. Tsongalis, Jonathan M. Kurie, Hua Li, James DiRenzo, Yongli Guo, Sarah J. Freemantle, Konstantin H. Dragnev, and Ethan Dmitrovsky</p>	1629	<p>NF-κB2/p52 Induces Resistance to Enzalutamide in Prostate Cancer: Role of Androgen Receptor and Its Variants Nagalakshmi Nadiminty, Ramakumar Tummala, Chengfei Liu, Joy Yang, Wei Lou, Christopher P. Evans, and Allen C. Gao</p>
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Combined Targeting of mTOR and AKT Is an Effective Strategy for Basal-like Breast Cancer in Patient-Derived Xenograft Models

Siguang Xu, Shunqiang Li, Zhanfang Guo, Jingqin Luo, Matthew J. Ellis, and Cynthia X. Ma

CORRECTION

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Correction: Small-Molecule Inhibitors of Acetyltransferase p300 Identified by High-Throughput Screening Are Potent Anticancer Agents

COMPANION DIAGNOSTICS AND CANCER BIOMARKERS

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TLR4 Is a Novel Determinant of the Response to Paclitaxel in Breast Cancer

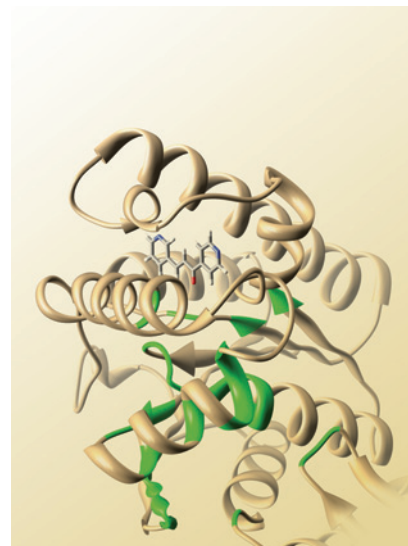
Sandeep Rajput, Lisa D. Volk-Draper, and Sophia Ran

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ABOUT THE COVER

Several genetic alterations of cancer cause increased expression of a key regulator of glucose metabolism, 6-phosphofructo-2-kinase (PFKFB3). A competitive inhibitor of PFKFB3, 3-(3-pyridinyl)-1-(4-pyridinyl)-2-propen-1-one (3PO), was previously found to reduce both the glucose metabolism and proliferation of cancer cells. We report an optimized 3PO derivative in which a quinoliny ring has been substituted for a pyridinyl ring, 1-(4-pyridinyl)-3-(2-quinoliny)-2-propen-1-one (PFK15), that is 100-fold more potent than 3PO. Computational modeling presented suggests that the higher activity of PFK15 relative to 3PO may be due to the lack of interaction of 3PO with amino acids that form the ADP/ATP binding site of PFKFB3 (highlighted in green). For details, see article by Clem and colleagues on page 1461.



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