Highlights of This Issue 553

REVIEW

New Paradigms in Microtubule-Mediated Endocrine Signaling in Prostate Cancer
Sucharita J. Mistry and William K. Oh

CHEMICAL THERAPEUTICS

A Novel Antiandrogen, Compound 30, Suppresses Castration-Resistant and MDV3100-Resistant Prostate Cancer Growth In Vitro and In Vivo
Hidetoshi Kuruma, Hiroaki Matsumoto, Masaki Shiota, Jennifer Bishop, Francois Lamothe, Christian Thomas, David Briere, Gerrit Los, Martin Gleave, Andrea Fanjul, and Amina Zoubidi

Dual PI3K/AKT/mTOR Inhibitor BEZ235 Synergistically Enhances the Activity of JAK2 Inhibitor against Cultured and Primary Human Myeloproliferative Neoplasm Cells
Warren Fiskus, Srdan Verstovsek, Taghi Manshouri, Jacqueline E. Smith, Karissa Peth, Sunil Abbayan, Joseph McGuirk, and Kapil N. Bhalla

Afinatinib Prolongs Survival Compared with Gefitinib in an Epidermal Growth Factor Receptor-Driven Lung Cancer Model
Takashi Ninomiya, Nagio Takigawa, Eiki Ichihara, Nobuaki Ochi, Yoshio Honda, Toshio Kubo, Daisuke Minami, Kenichiro Kudo, Mitsune Tanimoto, and Katsuyuki Kuwada

Tandutinib Inhibits the Akt/mTOR Signaling Pathway to Inhibit Colon Cancer Growth
Sivapiiya Ponnurangam, David Standing, Parthasarathy Rangarajan, and Dharmaalingam Subramaniam

Small-Molecule Inhibitors of Acetyltransferase p300 Identified by High-Throughput Screening Are Potent Anticancer Agents
Heng Yang, Christie E. Pinello, Jian Luo, Dawei Li, Yunfei Wang, Lisa Y. Zhao, Stephen C. Jahn, Sanjay Adrian Saldanha, Jamie Planck, Kyla R. Geary, Haiching Ma, Brian K. Law, and Daiqing Liao

SMALL MOLECULE THERAPEUTICS

Activity of a Py–Im Polymamide Targeted to the Estrogen Response Element
Nicholas G. Nickols, Jerzy O. Szablowski, Amanda E. Hargrove, Benjamin C. Li, Jevgenij A. Raskatov, and Peter B. Dervan

Spongian Diterpenoids Inhibit Androgen Receptor Activity
Yu Chi Yang, Labros G. Meimitis, Amy H. Tien, Nasrin Mawji, Gavin Carr, Jun Wang, Raymond J. Andersen, and Marianne D. Sadar

Activity of the Fibroblast Growth Factor Receptor Inhibitors Dovitinib (TKI258) and NVP-BGJ398 in Human Endometrial Cancer Cells

Regression of Lung Cancer by Hypoxia-Sensitizing Ruthenium Polypyridyl Complexes
Abhishek Yadav, Thamara Janaratne, Arthi Krishnan, Sharad S. Singhal, Sushma Yadav, Adam S. Dayoub, Doyle L. Hawkins, Sanjay Awasthi, and Frederick M. MacDonnell

Designing and Developing S100P Inhibitor 5-Methyl Cromolyn for Pancreatic Cancer Therapy

A Novel Sulindac Derivative Inhibits Lung Adenocarcinoma Cell Growth through Suppression of Akt/mTOR Signaling and Induction of Autophagy
Evrim Gurpinar, William E. Grizzle, John J. Shacka, Burton J. Mader, Nan Li, Nicholas A. Piazza, Suzanne Russo, Adam B. Keeton, and Gary A. Piazza
### LARGE MOLECULE THERAPEUTICS

**A Novel Monoclonal Antibody to Secreted Frizzled-Related Protein 2 Inhibits Tumor Growth**
Emily Fontenot, Emma Rossi, Russell Mumper, Stephanie Snyder, Sharareh Siamakpour-Reihani, Ping Ma, Eleanor Hilliard, Bradley Bone, David Ketelsen, Charlene Santos, Cam Patterson, and Nancy Klauber-DeMore

### CANCER THERAPEUTICS INSIGHTS

**ALK Inhibitor PF02341066 (Crizotinib) Increases Sensitivity to Radiation in Non–Small Cell Lung Cancer Expressing EML4-ALK**
Yunguang Sun, Kamila A. Nowak, Nicholas G. Zaorsky, Chia-Lin Winchester, Kunal Dalal, Nicholas J. Giacalone, Ningbo Liu, Maria Werner-Wasik, Mariusz A. Wasik, Adam P. Dicker, and Bo Lu

**The Efficacy of CHK1 Inhibitors Is Not Altered by Hypoxia, but Is Enhanced after Reoxygenation**
Grete Hasvold, Viola Naehse-Kumpf, Kinga Tkacz-Stachowska, Einar K. Rofstad, and Randi G. Syljuasen

**Colorectal Cancer Cells Refractory to Anti-VEGF Treatment Are Vulnerable to Glycolytic Blockade due to Persistent Impairment of Mitochondria**
Jie Xu, Jilin Wang, Bin Xu, Haiyan Ge, Xiaolin Zhou, and Jing-Yuan Fang

**Small-Molecule Inhibitor BMS-777607 Induces Breast Cancer Cell Polyploidy with Increased Resistance to Cytotoxic Chemotherapy Agents**
Sharad Sharma, Jun-Ying Zeng, Chun-Mei Zhuang, Yong-Qing Zhou, Hang-Ping Yao, Xing Hu, Ruiwen Zhang, and Ming-Hai Wang

**Y-box Binding Protein-1 Contributes to Both HER2/ErbB2 Expression and Lapatinib Sensitivity in Human Gastric Cancer Cells**
Tomohiro Shibata, Hitoshi Kan, Yuichi Murakami, Hiroshi Ureshino, Kisuuke Watari, Akihiko Kawahara, Masayoshi Kage, Satoshi Hattori, Mayumi Ono, and Michihiko Kuwano

**Bortezomib and SAHA Synergistically Induce ROS-Driven Caspase-Dependent Apoptosis of Nasopharyngeal Carcinoma and Block Replication of Epstein–Barr Virus**
Kwai Fung Hui, Benjamin H.W. Lam, Dona N. Ho, Sai Wah Tsao, and Alan K.S. Chiang

### TOOLS & TECHNOLOGIES

**Targeting FoxM1 Effectively Retards p53-Null Lymphoma and Sarcoma**
Zebin Wang, Yu Zheng, Hyun Jung Park, Jing Li, Janai R. Carr, Yi-ji Chen, Megan M. Kiefer, Dragana Kopanja, Srilata Bagchi, Angela L. Tyner, and Pradip Raychaudhuri

**Inhibition of Mutant GNAQ Signaling in Uveal Melanoma Induces AMPK-Dependent Autophagic Cell Death**
Grazia Ambrosini, Elgilda Musti, Alan L. Ho, Elisa de Stanchina, and Gary K. Schwartz

**Crizotinib Induces PUMA-Dependent Apoptosis in Colon Cancer Cells**
Xingnan Zheng, Kan He, Lin Zhang, and Jian Yu

**Impact of Tumor Vascularity on Responsiveness to Antiangiogenesis in a Prostate Cancer Stem Cell-Derived Tumor Model**
Kexiong Zhang and David J. Waxman

**A Phase II Study of Temozolomide in Patients with Advanced Aerodigestive Tract and Colorectal Cancers and Methylation of the O\(^6\)-Methylguanine-DNA Methyltransferase Promoter**
Daniel Hochhauser, Rob Glyne-Jones, Vanessa Potter, Cristina Grávalos, Thomas J. Doyle, Kumudu Pathiraja, Qing Zhang, Ling Zhang, and Edward A. Sausville

**[\(^{18}\text{F}\)]-FLT Positron Emission Tomography Can Be Used to Image the Response of Sensitive Tumors to PI3-Kinase Inhibition with the Novel Agent GDC-0941**
Christopher Cawthorne, Natalie Burrows, Roben G. Gieling, Christopher J. Morrow, Duncan Forster, Jamil Gregory, Marc Radigois, Alison Smigova, Muhammad Babur, Kathryn Simpson, Cassandra Hodkinson, Gavin Brown, Adam McMahon, Caroline Dive, Duncan Hiscock, Ian Wilson, and Kaye J. Williams
ABOUT THE COVER

Mitochondria is the powerhouse of cells (structure, green), supplying the majority of ATP that is essential for cell survival. However, cancer cells present a distinct glycolytic metabolism profile (Warburg effect), which is linked to the malignant transformation process. The emerging anti-VEGF therapy fights cancers by starving the energy supplement, but it was found to enhance the Warburg effect and induce even more aggressive phenotypes. Cancer cells with acquired resistance to anti-VEGF therapy display impaired mitochondria structure and hyperactive glycolytic metabolism, which render them vulnerable to glycolysis blockade therapy. For details, see article by Xu and colleagues on page 717.