## Contents

### Highlights of This Issue 2009

**FROM THE EDITOR-IN-CHIEF**

- **2011** The Patient Impact Factor

### THE BEST OF MCT–10 YEARS

- **2012** Shining the Light on Aurora-A Kinase as a Drug Target in Pancreatic Cancer
  - David J. Bearss

- **2013** First Report of Functional Chk1 siRNA Studies Applied to Drug Discovery
  - Zehan Chen

- **2015** The Discovery and Development of SU14813, a Next-Generation Multitargeted Tyrosine Kinase Inhibitor for the Treatment of Human Malignancies
  - Dana Hu-Lowe, Nicoletta Brega, and Shem Patyna

- **2016** PI3K Inhibitors for Cancer Treatment: Five Years of Preclinical and Clinical Research after BEZ235
  - Sauveur-Michel Maira

- **2017** Discovering and Developing PI3 Kinase Inhibitors for Cancer: Rapid Progress through Academic-Biotech-Pharma Interactions
  - Florence I. Raynaud and Paul Workman

- **2019** The Discovery of Lapatinib (GW572016)
  - David Rusnak and Tona M. Gilmer

- **2020** Methylation Profiling of Lung Cancer: A Decade of Progress
  - Shinich Toyooka and Adi F. Gazdar

- **2021** MicroRNAs in Cancer Pharmacology and Therapeutics: Exploiting a Natural Synergy between ‘-omic’ and Hypothesis-Driven Research
  - John N. Weinstein

- **2022** Development of the First Generation c-Met Kinase Inhibitors: Beginning of a Path to a New Treatment for Cancer
  - Xueyan Wang, Gerald McMahon, and Kenneth E. Lipson

### REVIEW

- **2024** Proof of Principle for Crizotinib in Anaplastic Lymphoma Kinase-Positive Malignancies Was Achieved in ALK-Positive Nonclinical Models
  - James G. Christensen

- **2025** Lapatinib: Functional Genomics Study Leads to Insights into Mechanism of Action
  - Tona M. Gilmer

- **2026** Bench to Bedside and Back Again: Personalizing Treatment for Patients with GIST
  - Andrew K. Godwin

- **2028** The Importance of PK/PD Data—Key Biological Answers Needed to Evaluate the Success of Potential Cancer Therapeutics
  - Rakesh Kumar and Benjamin Suttle

- **2029** Bortezomib: Understanding the Mechanism of Action
  - Bilal Piperdi, Yi-He Ling, Leonard Liebes, Franco Muggia, and Roman Perez-Soler

- **2031** Starting with the ABCs: Akt in Breast Cancer
  - Kip A. West and Phillip A. Dennis

- **2032** Cell Line Models Identify Different Sensitivity of Mutant Forms of c-KIT to Kinase Inhibitory Drugs and Predict the Response of Patients to Therapy
  - Leonie K. Ashman

- **2034** Mechanism of Action of Proteasome Inhibitors and Deacetylase Inhibitors and the Biological Basis of Synergy in Multiple Myeloma
  - Teru Hideshima, Paul G. Richardson, and Kenneth C. Anderson
Identification of Small-Molecule Inhibitors of the Colorectal Cancer Oncogene Kruppel-like Factor 5 Expression by Ultrahigh-Throughput Screening

Agnieszka B. Bialkowska, Melissa Crisp, Thomas Bannister, Yuanjun He, Sarwat Chowdhury, Stephan Schurer, Peter Chase, Timothy Spicer, Franck Madoux, Chenlu Tian, Peter Hodder, Daniel Zaharevitz, and Vincent W. Yang

Discovery and Evaluation of Inhibitors of Human Ceramidase

Jeremiah M. Draper, Zuping Xia, Ryan A. Smith, Yan Zhuang, Wenxue Wang, and Charles D. Smith

Targeting the Intracellular MUC1 C-terminal Domain Inhibits Proliferation and Estrogen Receptor Transcriptional Activity in Lung Adenocarcinoma Cells

Carolyn M. Klinge, Brandie N. Radde, Yoannis Imbert-Fernandez, Yun Teng, Margarita M. Ivanova, Sabra M. Abner, and Alexandra L. Martin

A6 Peptide Activates CD44 Adhesive Activity, Induces FAK and MEK Phosphorylation, and Inhibits the Migration and Metastasis of CD44-Expressing Cells

Randolph S. Piotrowicz, Bassam B. Damaj, Mohamed Hachicha, Francesca Incardona, Stephen B. Howell, and Malcolm Finlayson

Inactivation of Mirk/Dyrk1b Kinase Targets Quiescent Pancreatic Cancer Cells

Daina Z. Ewton, Jing Hu, Maria Vilenchik, Xiaobing Deng, Kin-chun Luk, Ann Polonksaia, Ann F. Hoffman, Karen Zipf, John F. Boylan, and Eileen A. Friedman

The Aurora Kinase Inhibitor CCT137690 Downregulates MYCN and Sensitizes MYCN-Amplified Neuroblastoma In Vivo


Activation of the Insulin-like Growth Factor-1 Receptor Induces Resistance to Epidermal Growth Factor Receptor Antagonism in Head and Neck Squamous Carcinoma Cells


Inhibition of Focal Adhesion Kinase by PF-562,271 Inhibits the Growth and Metastasis of Pancreatic Cancer Concomitant with Altering the Tumor Microenvironment


3,5-Bis(2,4-Difluorobenzylidene)-4-piperidone, a Novel Compound That Affects Pancreatic Cancer Growth and Angiogenesis

Dharmalingam Subramaniain, Nathan D. Nichols, Animesh Dhar, Shahid Umar, Vibhudutta Awasthi, Danny R. Welch, Roy A. Jensen, and Shrikant Anant

Targeting FGFR/PDGFR/VEGFR Impairs Tumor Growth, Angiogenesis, and Metastasis by Effects on Tumor Cells, Endothelial Cells, and Pericytes in Pancreatic Cancer

Johannes Taeger, Christian Moser, Claus Hellerbrand, Maria E. Mycielska, Gabriel Glockzin, Hans J. Schilit, Edward K. Geissler, Oliver Stedtzing, and Sven A. Lang
MOLECULAR MEDICINE IN PRACTICE

Tasisulam Sodium, an Antitumor Agent That Inhibits Mitotic Progression and Induces Vascular Normalization
Timothy Meier, Mark Uhlik, Sudhakar Chintharlapalli, Michele Dowless, Robert Van Horn, Julie Stewart, Wayne Blosser, James Cook, Debra Young, Xiang Ye, Glenn Evans, Kelly Credille, Darryl Ballard, Lysiane Huber, Andrew Capen, Marcio Chedid, Robert Ilaria, Jr., Michele C. Smith, and Louis Stancato

Antitumoral Effects of Calcitriol in Basal Cell Carcinomas Involve Inhibition of Hedgehog Signaling and Induction of Vitamin D Receptor Signaling and Differentiation
Anja Uhmann, Hannah Niemann, Berénice Lammering, Cornelia Henkel, Ina Heß, Frauke Nitzki, Anne Fritsch, Nicole Prüfer, Albert Rosenberger, Christian Dullin, Anke Schraepler, Julia Reifenberger, Stefan Schweyer, Torsten Fietisch, Frank Strutz, Walter Schulz-Schaeffer, and Heidi Hahn

PF-04691502, a Potent and Selective Oral Inhibitor of PI3K and mTOR Kinases with Antitumor Activity
Jing Yuan, Pramod P. Mehta, Min-Jean Yin, Shaoxian Sun, AiHua Zou, Jeffrey Nickel, Jon Engebretsen, Jill Hallin, Alessandra Basina, Eric Zhang, Leslie Nguyen, Minghao Sun, Peter K. Vogt, Aileen McHarg, Hengmiao Cheng, James G. Christensen, Julie L.C. Kan, and Shubha Bagrodia

A Novel, Selective Inhibitor of Fibroblast Growth Factor Receptors That Shows a Potent Broad Spectrum of Antitumor Activity in Several Tumor Xenograft Models
Genshi Zhao, Wei-ying Li, Daohong Chen, James R. Henry, Hong-Yu Li, Zhaoen Chen, Mohammad Zia-Ebrahimi, Laura Bloem, Yan Zhai, Karen Huss, Sheng-bin Peng, and Denis J. McCann

Correction: Activated Phosphoinositide 3-Kinase/AKT Signaling Confers Resistance to Trastuzumab but not Lapatinib

ABOUT THE COVER

Met kinase homology model with its inhibitor, SU11271, docked in the ATP binding site. The cover image was selected from an article previously published in Molecular Cancer Therapeutics, which was chosen in celebration of the 10th anniversary of the journal. For details, see the commentary by Wang and colleagues on page 2022.