### Highlights of This Issue

**SMALL MOLECULE THERAPEUTICS**

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**AMG 900, a Small-Molecule Inhibitor of Aurora Kinases, Potentiates the Activity of Microtubule-Targeting Agents in Human Metastatic Breast Cancer Models**


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**SK-216, an Inhibitor of Plasminogen Activator Inhibitor-1, Limits Tumor Progression and Angiogenesis**

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**Paclitaxel–Hyaluronic NanoConjugates Prolong Overall Survival in a Preclinical Brain Metastases of Breast Cancer Model**

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**Isolation of a Novel Thioflavin S–Derived Compound That Inhibits BAG-1–Mediated Protein Interactions and Targets BRAF Inhibitor–Resistant Cell Lines**

Marion Enthammer, Emmanouil S. Papadakis, Maria Salome Gachet, Martin Deutsch, Stefan Schwaiger, Katarzyna Koziel, Muhammad Imiaz Ashraf, Sana Khalid, Gerhard Wolber, Graham Packham, Ramsey I. Cuitress, Hermann Stuppner, and Jakob Troppmair

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The Novel ATP-Competitive Inhibitor of the MET Hepatocyte Growth Factor Receptor EMD1214063 Displays Inhibitory Activity against Selected MET-Mutated Variants

Michaela Medová, Benoît Pochon, Bruno Streit, Wiesława Blank-Liss, Paola Francica, Deborah Stroka, Adrian Keogh, Daniel M. Aebersold, Andree Blaukat, Friedhelm Bladt, and Yitzhak Zimmer

Characterization of a New Class of Androgen Receptor Antagonists with Potential Therapeutic Application in Advanced Prostate Cancer

Huifang Li, Mohamed D.H. Hassona, Nathan A. Lack, Peter Averio-Cilies, Eric Leblanc, Peyman Tavassoli, Natalia Kanaan, Kate Frewin, Kriti Singh, Hans Adomat, Konrad J. Böhm, Helge Prinz, Emma Tomlinson Guns, Paul S. Rennie, and Artem Cherkasov

177Lu-EC0800 Combined with the Antifolate Pemetrexed: Preclinical Pilot Study of Folate Receptor Targeted Radionuclide Tumor Therapy

Josefine Reber, Stephanie Haller, Christopher P. Leamon, and Cristina Muller

MTI-101 (Cyclized HYD1) Binds a CD44 Containing Complex and Induces Necrotic Cell Death in Multiple Myeloma

Anthony W. Gebhard, Priyesh Jain, Rajesh R. Nair, Michael F. Emmons, Raúl F. Argilagos, John M. Koomen, Mark L. McLaughlin, and Lori A. Hazlehurst

A Highly Potent and Specific MET Therapeutic Protein Antagonist with Both Ligand-Dependent and Ligand-Independent Activity


Molecular Radiotherapy Using Cleavable Radioimmunoconjugates That Target EGFR and γH2AX

Bart Cornelissen, Andrew Waller, Sarah Able, and Katherine A. Vallis

The Cannabinoid WIN 55.212-2 Decreases Specificity Protein Transcription Factors and the Oncogenic Cap Protein eIF4E in Colon Cancer Cells

Sandeep Sreevalsan and Stephen Safe

In Vitro and In Vivo Therapeutic Efficacy of Carfilzomib in Mantle Cell Lymphoma: Targeting the Immunoproteasome

Liang Zhang, Lan V. Pham, Kate J. Newberry, Zhishuo Ou, Rong Liang, Jianfei Qian, Luhong Sun, Marzenna Blonska, Yun You, Jing Yang, Xin Lin, Alex Rollo, Archito T. Tamayo, John Lee, Richard J. Ford, Xiurong Zhao, Larry W. Kwak, Qing Yi, and Michael Wang

Attenuation of Argininosuccinate Lyase Inhibits Cancer Growth via Cyclin A2 and Nitric Oxide

Hau-Lun Huang, Hui-Ping Hsu, Shu-Chu Shieh, Ying-Sheng Chang, Wei-Ching Chen, Chien-Yu Cho, Chiao-Fang Teng, Il-Jen Su, Wen-Chun Hung, and Ming-Derg Lai

PARP1 Is Overexpressed in Nasopharyngeal Carcinoma and Its Inhibition Enhances Radiotherapy

Jeremy P.H. Chow, Wing Yu Man, Mao Mao, Han Chen, Florence Cheung, John Nicholls, Sai Wah Tsao, Maria Li Lung, and Randy Y.C. Poon

Contribution of ATM and ATR to the Resistance of Glioblastoma and Malignant Melanoma Cells to the Methylyating Anticancer Drug Temozolomide

Marcus Eich, Wynand Paul Roos, Teodora Nikolova, and Bernd Kaina

Axl Mediates Acquired Resistance of Head and Neck Cancer Cells to the Epidermal Growth Factor Receptor Inhibitor Erlotinib

Keith M. Giles, Felicity C. Kalinowski, Patrick A. Candy, Michael R. Epis, Priscilla M. Zhang, Andrew D. Redfern, Lisa M. Stuart, Gregory J. Goodall, and Peter J. Leedman

Hsp90 Inhibitors Promote p53-Dependent Apoptosis through PUMA and Bax

Kan He, Xingnan Zheng, Lin Zhang, and Jian Yu
miRNA-141, Downregulated in Pancreatic Cancer, Inhibits Cell Proliferation and Invasion by Directly Targeting MAP4K4
Gang Zhao, Bo Wang, Yang Liu, Jun-gang Zhang, Shi-chang Deng, Qi Qin, Kui Tian, Xiang Li, Shuai Zhu, Yi Niu, Qiong Gong, and Chun-you Wang

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Combining PARP-1 Inhibition and Radiation in Ewing Sarcoma Results in Lethal DNA Damage
Hae-June Lee, Changhwan Yoon, Benjamin Schmidt, Do Joong Park, Alexia Y. Zhang, Hayriye V. Erkizan, Jeffrey A. Toretsky, David G. Kirsch, and Sam S. Yoon

TOOLS AND TECHNOLOGIES

Capillary Isoelectric-Focusing Immunoassays to Study Dynamic Oncoprotein Phosphorylation and Drug Response to Targeted Therapies in Non-Small Cell Lung Cancer

LETTER TO THE EDITOR

EGFR Exon 20 Insertion A763-Y764insFQEA and Response to Erlotinib—Letter
Pei Jye Voon, Dana Wai Yi Tsui, Nitzan Rosenfeld, and Tan Min Chin

ABOUT THE COVER

Ribbon representation of a homology model of the c-Met specific Anticalin PRS-110. Anticals are engineered human lipocalins that represent a next generation class of drug molecules. The lipocalins have a structurally conserved β-barrel architecture that forms a cup-shaped ligand binding pocket that can accommodate small and large ligands. The β strands (blue) of the lipocalin form the base of the ligand-binding pocket and the entry of the pocket is comprised of four loops connecting the β strands. Novel, target-specific Anticals are generated by engineering mutations (pink regions, PRS-110 mutations) within these four loops and then selecting variants with the desired binding activity. For details, see article by Olwill and colleagues on page 2459.