

Highlights of This Issue 1689

REVIEW

- 1691 | **BH3 Mimetics: Status of the Field and New Developments**
Christian Billard


SMALL MOLECULE THERAPEUTICS

- 1701 | **Pharmacologic Inhibition of MEK Signaling Prevents Growth of Canine Hemangiosarcoma**
Nicholas J. Andersen, Brian J. Nickoloff, Karl J. Dykema, Elissa A. Boguslawski, Roman I. Krivochenitser, Roe E. Froman, Michelle J. Dawes, Laurence H. Baker, Dafydd G. Thomas, Debra A. Kamstock, Barbara E. Kitchell, Kyle A. Furge, and Nicholas S. Duesbery

- 1715 | **AZD3514: A Small Molecule That Modulates Androgen Receptor Signaling and Function *In Vitro* and *In Vivo***
Sarah A. Loddick, Sarah J. Ross, Andrew G. Thomason, David M. Robinson, Graeme E. Walker, Tom P.J. Dunkley, Sandra R. Brave, Nicola Broadbent, Natalie C. Stratton, Dawn Trueman, Elizabeth Mouchet, Fadhel S. Shaheen, Vivien N. Jacobs, Marie Cumberbatch, Joanne Wilson, Rhys D.O. Jones, Robert H. Bradbury, Alfred Rabow, Luke Gaughan, Chris Womack, Simon T. Barry, Craig N. Robson, Susan E. Critchlow, Stephen R. Wedge, and A. Nigel Brooks

- 1728 | **Smac Mimetics in Combination with TRAIL Selectively Target Cancer Stem Cells in Nasopharyngeal Carcinoma**
Man-si Wu, Guang-feng Wang, Zhi-qiang Zhao, Yi Liang, Heng-bang Wang, Miao-yi Wu, Ping Min, Li-zhen Chen, Qi-sheng Feng, Jin-xin Bei, Yi-xin Zeng, and Dajun Yang

- 1738 | **Targeting Protein Tyrosine Phosphatase SHP2 for the Treatment of *PTPN11*-Associated Malignancies**
Bing Yu, Wei Liu, Wen-Mei Yu, Mignon L. Loh, Shawn Alter, Olgun Guvench, Alexander D. MacKerell Jr, Li-Da Tang, and Cheng-Kui Qu

- 1749 | **S49076 Is a Novel Kinase Inhibitor of MET, AXL, and FGFR with Strong Preclinical Activity Alone and in Association with Bevacizumab**
 Mike F. Burbridge, Céline J. Bossard, Carine Saunier, Imre Fejes, Alain Bruno, Stéphane Léonce, Gilles Ferry, Georges Da Violante, François Bouzom, Valérie Cattan, Anne Jacquet-Bescond, Paolo M. Comoglio, Brian P. Lockhart, Jean A. Boutin, Alex Cordi, Jean-Claude Ortuno, Alain Pierré, John A. Hickman, Francisco H. Cruzalegui, and Stéphane Depil

- 1763 | **Synergistic Induction of Apoptosis in Multiple Myeloma Cells by Bortezomib and Hypoxia-Activated Prodrug TH-302, *In Vivo* and *In Vitro***
Jinsong Hu, Els Van Valckenborgh, Dehui Xu, Eline Menu, Hendrik De Raeve, Elke De Bryune, Song Xu, Ben Van Camp, Damian Handisides, Charles P. Hart, and Karin Vanderkerken

LARGE MOLECULE THERAPEUTICS

- 1774 | **Targeted Cytolysins Synergistically Potentiate Cytoplasmic Delivery of Gelonin Immunotoxin**
Christopher M. Pirie, David V. Liu, and K. Dane Wittrup

CANCER THERAPEUTICS INSIGHTS

- 1783 | **Combined Inhibition of HER1/EGFR and RAC1 Results in a Synergistic Antiproliferative Effect on Established and Primary Cultured Human Glioblastoma Cells**
Georg Karpel-Massler, M.-Andrew Westhoff, Shaoxia Zhou, Lisa Nonnenmacher, Annika Dwucet, Richard E. Kast, Max G. Bachem, Christian R. Wirtz, Klaus-Michael Debatin, and Marc-Eric Halatsch

- 1796 | **Cyclin G1 Expands Liver Tumor-Initiating Cells by Sox2 Induction via Akt/mTOR Signaling**
Wen Wen, Tao Han, Cheng Chen, Lei Huang, Wen Sun, Xue Wang, Shu-Zhen Chen, Dai-Min Xiang, Liang Tang, Dan Cao, Gen-Sheng Feng, Meng-Chao Wu, Jin Ding, and Hong-Yang Wang

1805 **An Autocrine Loop between TGF- β 1 and the Transcription Factor Brachyury Controls the Transition of Human Carcinoma Cells into a Mesenchymal Phenotype**

Cecilia Larocca, Joseph R. Cohen, Romaine I. Fernando, Bruce Huang, Duane H. Hamilton, and Claudia Palena

1816 **Impact of Tumor HER2/ERBB2 Expression Level on HER2-Targeted Liposomal Doxorubicin-Mediated Drug Delivery: Multiple Low-Affinity Interactions Lead to a Threshold Effect**

Bart S. Hendriks, Stephan G. Klinz, Joseph G. Reynolds, Christopher W. Espelin, Daniel F. Gaddy, and Thomas J. Wickham

1829 **Inhibition of ABCB1 Expression Overcomes Acquired Docetaxel Resistance in Prostate Cancer**

Yezi Zhu, Chengfei Liu, Nagalakshmi Nadiminty, Wei Lou, Ramakumar Tummala, Christopher P. Evans, and Allen C. Gao

1837 **Ethacrynic Acid Oxadiazole Analogs Induce Apoptosis in Malignant Hematologic Cells through Downregulation of Mcl-1 and c-FLIP, Which Was Attenuated by GSTP1-1**

Guyue Liu, Rui Wang, Yuetong Wang, Pengzhan Li, Guisen Zhao, Linxiang Zhao, and Yongkui Jing

1848 **Sulindac Selectively Inhibits Colon Tumor Cell Growth by Activating the cGMP/PKG Pathway to Suppress Wnt/ β -Catenin Signaling**

Nan Li, Yaguang Xi, Heather N. Tinsley, Evrim Gurpinar, Bernard D. Gary, Bing Zhu, Yonghe Li, Xi Chen, Adam B. Keeton, Ashraf H. Abadi, Mary P. Moyer, William E. Grizzle, Wen-Chi Chang, Margie L. Clapper, and Gary A. Piazza

1860 **Chk1/2 Inhibition Overcomes the Cisplatin Resistance of Head and Neck Cancer Cells Secondary to the Loss of Functional p53**

Mayur A. Gadhikar, Maria Rita Sciuto, Marcus Vinicius Ortega Alves, Curtis R. Pickering, Abdullah A. Osman, David M. Neskey, Mei Zhao, Alison L. Fitzgerald, Jeffrey N. Myers, and Mitchell J. Frederick

COMPANION DIAGNOSTICS AND BIOMARKERS

1874 **BCL-2 Hypermethylation Is a Potential Biomarker of Sensitivity to Antimitotic Chemotherapy in Endocrine-Resistant Breast Cancer**



Andrew Stone, Mark J. Cowley, Fatima Valdes-Mora, Rachael A. McCloy, C. Marcelo Sergio, David Gallego-Ortega, C. Elizabeth Caldon, Christopher J. Ormandy, Andrew V. Biankin, Julia M.W. Gee, Robert I. Nicholson, Cristin G. Print, Susan J. Clark, and Elizabeth A. Musgrove

1886 **Apoptotic Circulating Tumor Cells in Early and Metastatic Breast Cancer Patients**

Galatea Kallergi, Georgios Konstantinidis, Harris Markomanolaki, Maria A. Papadaki, Dimitris Mavroudis, Christos Stournaras, Vassilis Georgoulas, and Sofia Agelaki

TOOLS AND TECHNOLOGIES

1896 **A c-Myc Activation Sensor-Based High-Throughput Drug Screening Identifies an Antineoplastic Effect of Nitazoxanide**

Hua Fan-Minogue, Sandhya Bodapati, David Solow-Cordero, Alice Fan, Ramasamy Paulmurugan, Tarik F. Massoud, Dean W. Felsher, and Sanjiv S. Gambhir

1906 **NF1 Deletion Generates Multiple Subtypes of Soft-Tissue Sarcoma That Respond to MEK Inhibition**

Rebecca D. Dodd, Jeffrey K. Mito, William C. Eward, Rhea Chitalia, Mohit Sachdeva, Yan Ma, Jordi Barretina, Leslie Dodd, and David G. Kirsch

OBITUARY

1918 **O. Michael Colvin, MD: In Memoriam (1936–2013)**

CORRECTIONS

1919 **Correction: MPT0B098, a Novel Microtubule Inhibitor That Destabilizes the Hypoxia-Inducible Factor-1 α mRNA through Decreasing Nuclear-Cytoplasmic Translocation of RNA-Binding Protein HuR**

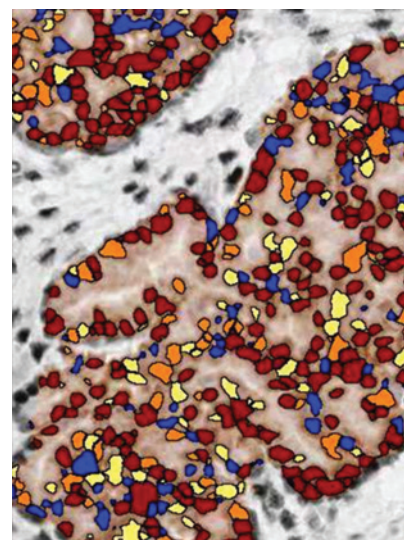
Yun-Ching Cheng, Jing-Ping Liou, Ching-Chuan Kuo, Wen-Yang Lai, Kuang-Hsing Shih, Chi-Yen Chang, Wen-Yu Pan, Joseph T. Tseng, and Jang-Yang Chang

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ABOUT THE COVER

Continued androgen receptor (AR) expression and signaling is a key driver in castration-resistant prostate cancer (CRPC). AZD3514 is an orally bioavailable drug that inhibits androgen-dependent and -independent AR signalling *in vitro* and *in vivo*. Using immunohistochemistry, R3327H prostate tumors were scored for intensity of nuclear AR to assess the impact of AZD3514 on AR. For more details, see article by Loddick and colleagues on page 1715.



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