Chemistry in Cancer Research:
A Vital Partnership in Cancer Drug Discovery and Development
_A joint conference presented by the American Association for Cancer Research and the American Chemical Society_

February 8-11, 2009 · Westin New Orleans Canal Place · New Orleans, LA

_Conference Chairperson:_
John T. Hunt, Bristol-Myers Squibb, Princeton, NJ

_Scientific Committee:_
Matthew A. Marx, Pfizer, San Diego, CA
Brent R. Stockwell, Columbia University, New York, NY
David A. Wink, National Cancer Institute, Bethesda, MD
Cheryl L. Zimmerman, University of Minnesota College of Pharmacy, Minneapolis, MN

_February 8_

6:30-8:00 p.m.  **Keynote Lectures**

*Introduction of Dr. Larry J. Marnett*
John T. Hunt, Bristol-Myers Squibb, Princeton, NJ

*Cyclooxygenase Inhibitors in Cancer Detection, Prevention, and Treatment*
Larry J. Marnett, Vanderbilt University, Nashville, TN

*Introduction of Dr. Gregory L. Verdine*
Brent R. Stockwell, Columbia University, New York, NY

*Interrogation, Recognition, and Repair of Damaged Bases in DNA*
Gregory L. Verdine, Harvard University, Cambridge, MA

8:00 – 9:00 p.m.  **Welcome Dessert Reception**

_February 9_

7:00 – 8:00 a.m.  Continental Breakfast

8:00 – 9:45 a.m.  **Session 1: Chemistry in Support of Cancer Target ID and Validation**

*Session Chair: Brent R. Stockwell, Columbia University, New York, NY*

*Probing Cell Death with Small Molecules*
Brent R. Stockwell
Multi-dimensional Chemical Genetics in Cancer
Alexis Borisy, CombinatoRx, Inc., Cambridge, MA

Title to be announced
Benjamin F. Cravatt, Scripps, La Jolla, CA

*Disrupting the Rb-Raf-1 Protein-protein Interaction: A New Strategy for Anticancer Drug Design
Nicholas J. Lawrence, Moffitt Cancer Center and Research Institute, Tampa, FL

9:45-10:15 a.m. Coffee Break

10:15 a.m.-12:00 p.m. Session 2: Chemistry in Support of Lead Discovery/Chemical Libraries
Session Chair: Michael Foley, Broad Institute, Cambridge, MA

Natural Product-based Libraries for Chemical Biology and Drug Discovery
Derek S. Tan, Memorial Sloan-Kettering Cancer Center, New York, NY

Build-couple-pair Strategy for Diversity-oriented Synthesis Yields Small Molecule that Binds Hedgehog and Blocks Its Signaling in Human Cells
Michael Foley

Achieving Synthetic Control When Nature Abandon Selectivity
Scott A. Snyder, Columbia University, New York, NY

* Establishment of Novel Antitumor Drugs Screening Method by Using Chemical Array
Siro Simizu, RIKEN, Wako, Saitama, Japan

12:00 – 1:30 p.m. Lunch on own or Professional Advancement Session

1:30 – 3:15 p.m. Session 3: Chemistry in Support of Lead Discovery/Screening, Hit Validation and Natural Products
Session Chairperson: Steven E. Hall, Lync Pharma, Research Triangle Park, NC

Self-Selecting Drug Discovery: Nucleotide Affinity Resin-Based Screening for Simultaneous Hit and Target Identification
Steven E. Hall

Beyond Natural Products: Function Oriented Synthesis and New Leads for Cancer and Overcoming Cancer Resistance
Paul A. Wender, Stanford University, Stanford, CA

Concise Synthetic Analogs of FR901464: Anti-tumor Compounds Targeting the Spliceosome
Thomas R. Webb, St. Jude Children's Research Hospital, Memphis, TN

* Carteriosulfonic Acids A-C: Inhibitors of GSK3-β Identified From a Wnt Signaling Assay
Malcolm W. McCulloch, University of Utah, Salt Lake City, UT

3:15 – 3:45 p.m.  
Coffee Break

3:45 – 5:30 p.m.  
Session 4: Chemistry in Support of Lead Discovery/Chemoinformatics
Session Chairperson: Patrick Zarrinkar, Ambit Biosciences, San Diego, CA

High Throughput Kinase Profiling: A New Approach to Lead Discovery
Patrick Zarrinkar

A Systems Approach to Therapeutic Discovery
John Westwick, Odyssey Thera, San Ramon, CA

In silico Discovery of Small Molecules Targeting Transcription Factors in Cancer and Inflammation
Alan C. Rigby, Harvard Medical School, Boston, MA

*3D QSAR Analysis of Pyrazole Derivatives and the Design of Novel Benzimidazole Derivatives
Leyte L. Winfield, Spelman College, Atlanta, GA

5:30 – 7:30 p.m.  
Poster Session A and Cocktail Reception

February 10

7:00 – 8:00 a.m.  
Continental Breakfast

8:00 – 9:45 a.m.  
Session 5: Chemistry in Support of Lead Optimization/Case Histories I
Session Chair: Matthew A. Marx, Pfizer, San Diego, CA

The Discovery of BMS-641988: A Novel Androgen Receptor Antagonist for the Treatment of Prostate Cancer
Aaron Balog, Bristol-Myers Squibb, Princeton, NJ

GDC-0449: A Small Molecule Antagonist of the Hedgehog Pathway
James C. Marsters, Jr., Genentech, Inc., South San Francisco, CA

Discovery of Eltrombopag, a Small-Molecule, Oral Thrombopoietin Receptor Agonist: From Screening to the Clinic
Juan I. Luengo, GlaxoSmithKline, Collegeville, PA
*Synthesis and Anti-Tumor Properties of Pyrazolopyrimidines: Potent, ATP Competitive, and Selective Inhibitors of the Mammalian Target of Rapamycin (mTOR)  
David J. Richard, Wyeth Discovery Medicinal Chemistry, Pearl River, NY

9:45-10:15 a.m.  
Coffee Break

10:15 a.m.-12:00 p.m.  
Session 6: Chemistry in Support of Cancer Drug Discovery I/Case Histories II  
Session Chairperson: Danzhou Yang, University of Arizona, Tucson, AZ

DNA G-quadruplexes as Potential Anticancer Drug Targets  
Danzhou Yang

The Discovery and Development of Diaryl-acylsulfonamide (Tasisulam Sodium, ASAP): A Novel Class of Antitumor Agents for Solid Tumors  
Chuan Joe Shih, Eli Lilly Research Laboratories, Indianapolis, IN

From HTS to Clinic: Discovery of PF-4217903 as Potent and Exquisitely Selective c-Met Inhibitor  
Jean Cui, Pfizer Global R & D, San Diego, CA

* DNA Ligase Inhibitors Identified by Computer Aided Drug Design as Novel Cancer Therapeutics  
Xi Chen, University of Maryland, Baltimore, MD

12:00 - 2:00 p.m.  
Poster Session B and Light Lunch

2:00 – 3:45 p.m.  
Session 7: Chemistry in Support of Cancer Drug Targeting, Drug Delivery and Drug Disposition I  
Session Chairperson: Frederick W. Goldberg, AstraZeneca, Inc., Macclesfield, United Kingdom

Antibody-Drug Conjugates for Cancer Therapy  
Peter D. Senter, Seattle Genetics, Inc., Bothel, WA

Chemical Modifications for Making Drugs out of RNA Interference  
Kallanthottathil G. Rajeev, Alnylam, Cambridge, MA

Use of Phosphate Prodrugs to Improve Solubility: Development of AZD1152, a Soluble and Selective Aurora B Kinase Inhibitor  
Frederick W. Goldberg

*New Chemical Probes for Site-Directed Targets Generated by Focused Ultrasound  
Daniel Lee, The Methodist Hospital Research Institute, Houston, TX

3:45 – 4:15 p.m.  
Coffee Break
Session 8: Chemistry in Support of Cancer Drug Targeting, Drug Delivery and Drug Disposition II  
*Session Chairperson: Cheryl L. Zimmerman, University of Minnesota, Minneapolis, MN*

Multifunctional Nanotherapeutics for Cancer Treatment  
Tamara Minko, Rutgers University, New Brunswick, NJ

Surface-functionalization of Nanoparticles for Multi-targeted Drug Delivery  
Jayanth Panyam, University of Minnesota, Minneapolis, MN

Folate Targeted Therapies for Cancer  
Christopher P. Leamon, Endocyte, West Lafayette, IN

*Protein-passivated Fe3O4 Nanoparticles: Low Toxicity and Rapid Heating for Thermal Therapy*  
Haoheng Yan, University of Massachusetts, Amherst, MA

February 11

7:00 – 8:00 a.m.  
Continental Breakfast

8:00 – 9:45 a.m.  
Session 9: Chemistry in Support of Cancer Drug Discovery and Development I  
*Session Chairperson: David A. Wink, Jr., National Cancer Institute, Bethesda, MD*

Molecular Imaging Studies in Cancer  
Murali C. Krishna, National Cancer Institute, Bethesda, MD

Role of Hyperpolarized $^{13}$C Spectroscopic Imaging in Drug Development  
John Kurhanewicz, University of California, San Francisco, San Francisco, CA

Measuring Spatial and Temporal Molecular Changes in Tissues Using Imaging Mass Spectrometry  
Richard M. Caprioli, Vanderbilt University, Nashville, TN

*Semi-Synthesis of a Hydrocarbon-Stabilized Transcription Factor, Max*  
Eileen J. Kennedy, Harvard University, Cambridge, MA

9:45 – 10:15 a.m.  
Coffee Break

10:15 a.m. - 12:00 p.m.  
Session 10: Chemistry in Support of Cancer Drug Development II  
*Session Chairperson: John T. Hunt, Bristol-Myers Squibb, Princeton, NJ*
ABT-869: Process Development on a VEGF Inhibitor for the Treatment of Cancer
Albert Kruger, Abbott Laboratories, North Chicago, IL

Microheterogeneity and Analytical Approaches to Macromolecules
Randal B. Bass, Amgen, Inc., Seattle, WA

Systems and Controls for Handling Potent Anticancer Agents
Rebecca Ahn, Ash Stevens, Inc., Detroit, MI

*V-PROLI/NO: A Liver Selective Nitric Oxide Prodrug
Sam Hong, National Cancer Institute, Frederick, MD

Departure

* - Short talk from proffered paper