

Keystone Symposia: New Directions in Small Molecule Drug Discovery (Joint with "Computer-Aided Drug Design")

Sponsored by AstraZeneca Pharmaceuticals, L.P. and Takeda Pharmaceutical Company Limited
April 20–25, 2010 • Fairmont Chateau Whistler • Whistler, British Columbia • Canada
Scientific Organizers: William J. Greenlee, George Hartman and Anna K. Mapp

PROGRAM FACULTY & TALKS

Makonen Belema, Bristol-Myers Squibb, USA
HCV NS5A Inhibitors

Jeff Blaney^{*o}, Genentech, Inc., USA
Unexpected SBDD Failures and Successes

Simon F. Campbell^o, UK
The Future of the Pharmaceutical Industry

Miles Congreve, Heptares Therapeutics Ltd, UK
Fragment and Biophysical Screening Approaches to GPCR Drug Discovery

Milind Deshpande, Achillion Pharmaceuticals, USA
Talk Title to be Determined

Stephen W. Fesik^{*o}, Vanderbilt University School of Medicine, USA
Targeting Protein-Protein Interactions Using Fragment-Based Methods and Structure-Based Design

Arun K. Ghosh^o, Purdue University, USA
Structure-Based Design of Aspartic Acid Protease Inhibitors

Alex Gouliaev, Nuevolution A/S, Denmark
Fragment-Based Lead Discovery using Millions of Screening Compounds

William J. Greenlee^{*}, Schering-Plough Research Institute, USA

David G. Hangauer, SUNY Buffalo, USA
Discovery of KX2-391: A Phase II Src Signaling Inhibitor with a Second MOA

Marc K. Hellerstein^o, University of California, Berkeley, USA
Systems Biology: The Full Consequences of Hitting my Target

Ismail Kola^o, Schering-Plough Corporation, USA
Talk Title to be Determined

Paul Leeson^{*o}, AstraZeneca R&D Charnwood, UK
Overview: What Have We Learned About Attrition?

Anna K. Mapp^{*o}, University of Michigan, USA
Small Molecule Transcription-Based Therapeutics

John McCauley, Merck Research Laboratories, USA
HCV NS3/4a Protease Inhibitors

Nick Meanwell^{*}, Bristol-Myers Squibb, USA
Hepatitis C Virus – An Overview of Progress Toward Effective Therapeutics

Thorsten Naumann, Sanofi-Aventis Deutschland GmbH, Germany
Current and Future Aspects of Lead Finding for Kinase Inhibitors

Saul H. Rosenberg^o, Abbott Laboratories, USA
Antagonists of BCL-2 Family Proteins

Philip Sanderson^{*}, Merck & Co., Inc., USA
Allosteric AKT Inhibitors

David Sherman, University of Michigan, USA
Novel Drug Leads from Natural Products

Martin Stahl^o, F. Hoffmann-La Roche Ltd, Switzerland
Small Molecule Conformational Preferences in Ligand Design

Andrew Stamford^o, Schering-Plough Research Institute, USA
Structure-Based Design of BACE Inhibitors

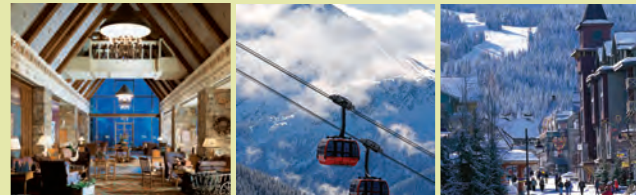
Raymond C. Stevens^o, The Scripps Research Institute, USA
Structure and Function of the Human G-Protein Coupled Receptor Family

Gregory L. Verdine^{*}, Harvard University, USA
Application of Chemical Tools to Study Biological Systems

James A. Wells^o, University of California, San Francisco, USA
Protein-Protein Interactions

^{*}Keynote speaker. ^{*}Session chair. ^oJoint speaker.

Program subject to change. Current as of December 3, 2009.



The purpose of this meeting is to highlight exciting new opportunities in the discovery of small molecule drug candidates, including the following: • Novel targets for small molecules, including disruption of protein-protein interactions, allosteric modulation of receptors and enzymes and direct interactions with transcription factors; • Enabling technologies for the discovery of new small molecule leads, including diversity-oriented screening, fragment screening and affinity screening; • The most successful structure-based design approaches to the optimization of leads. A focus of the conference will be successful applications structure-based design in the discovery of therapeutics for the treatment of HCV infection (protease inhibitors) and cancer (protein kinase inhibitors). A session entitled "Late-Breaking Stories of Application of Structure-Based Design to Discovery of Drug Candidates" will illustrate successful applications of structure-based design in drug discovery.

PROGRAM PLENARY SESSIONS:

- New Opportunities in Small Molecule Drug Discovery (Joint)
- SBDD-Medchem Interface (Joint)
- Enabling Technologies for New Lead Discovery
- New Approaches for the Discovery of Protein Kinase Inhibitors
- Discovery of New Drugs for Treatment of Hepatitis C
- Late-Breaking Stories of Application of Structure-Based Design to Discovery of Drug Candidates
- Candidate Attrition in the Pharmaceutical Industry (Joint)
- Small Molecules: Modeling and Properties (Joint)

DEADLINES:

Abstract & Scholarship: December 21, 2009

Late-Breaking Abstract: January 20, 2010

Early Registration: February 23, 2010

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