10th Annual

Discovery on TARGET

October 1-3, 2012
Boston Marriott Copley Place
Boston, MA

The Leading Event on Novel Drug Targets

EVENT FEATURES

- 10 Keynote & Featured Presentations
- 500+ Participants
- 30+ Breakout Discussion Groups
- 30+ Exhibiting Companies
- 7 Interactive Short Courses
- Dedicated Poster Viewing
- 150+ Scientific Presentations
- 175+ Distinguished Faculty

SCIENTIFIC PROGRAMS

October 1-2

- GPCR
- Kinase Inhibitors
- Ubiquitin Pathway
- Histone Deacetylases
- Cancer Cell Metabolism

October 2-3

- Allosteric Modulators
- PI3K Pathway
- Functional Genomics
- Histone Methyltransferases and Demethylases
- Diabetes Targets

Organized by
Cambridge Healthtech Institute

DiscoveryOnTarget.com

Corporate Sponsors

ASINEX Inspired by Nature

ATCC™

aurora spectral technologies
ADVANCED SYSTEMS FOR SCANNING MICROSCOPY

BIOSCALE

Cayman CHEMICAL

CELLECTA

Cerep

DiscoveRx

hp

EMD MILLIPORE

MediSapiens

PerkinElmer For the Better

REACTION BIOLOGY CORP.

SIGMA Life Science

ZALICUS

Corporate Support Sponsors

Luminex

vivia ALLOSTERICS

Welcome

Discovery on Target offers the opportunity to explore **current "hot" targets**, such as GPCRs, Kinases and Histone Deacetylases as well as to discover the "**new kids on the block**" which show a very promising future, such as Ubiquitin, Histone Methyltransferases and PI3K. In addition, new developments and strategies to target some of the most prevalent diseases are being presented in focused meetings such as Cancer Cell Metabolism, Diabetes Drug Discovery, Allosteric Modulators and Functional Genomic Screening Strategies.

Celebrating 10 years, *Cambridge Healthtech Institute's* **Discovery on Target** is a leading event, recognized and well attended by the pharmaceutical and biotech industry, and academic and governmental institutions alike.

Stay Connected!

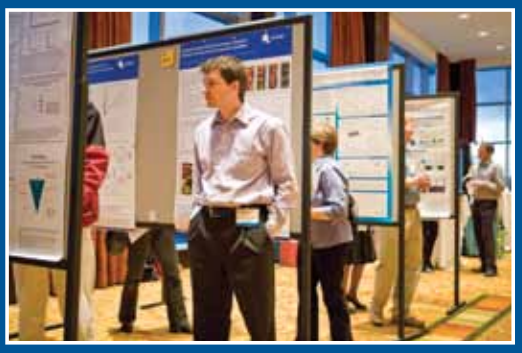
Join the Discovery on Target Group

@chi_healthtech

Event-at-a-Glance

Monday October 1	Tuesday October 2	Wednesday October 3
1 GPCR-Based Drug Discovery		6 Allosteric Modulators
2 Kinase Inhibitors		7 PI3K Pathway
3 Ubiquitin Pathway		8 Functional Genomics
4 Histone Deacetylases		9 Histone Methyltransferases and Demethylases
5 Cancer Cell Metabolism		10 Diabetes Targets

PRESENT A POSTER AND SAVE $50!



Cambridge Healthtech Institute encourages attendees to gain further exposure by presenting their work in the poster sessions. To secure a poster board and inclusion in the conference materials, your abstract must be submitted, approved and your registration paid in full by **August 24, 2012.**

Reasons you should present your research poster at this conference:

- Your poster will be exposed to our international delegation
- Receive $50 off your registration
- Your poster abstract will be published in our conference materials
- Your research will be seen by leaders from top pharmaceutical, biotech, academic and government institutes

Short Courses*

SUNDAY, SEPTEMBER 30

12:00 - 3:00 pm

Understanding Structure- and Fragment- Based Drug Discovery: Tools and Techniques (SC1)

Course Instructors:
Daniel A. Erlanson, Ph.D., Co-Founder, Carmot Therapeutics, Inc.
Alex Burgin, Ph.D., CSO, Emerald Biostructures
Additional Instructor to be Announced

3:30 - 6:30 pm

Pre-Clinical Toxicity (SC2)

Course Instructors:
James Dykens, Ph.D., CEO, Eyecyte Therapeutics
Additional Instructor to be Announced

Understanding and Targeting Protein-Protein Interactions (SC4)

Course Instructors
Sandor Vajda, Ph.D., Professor, Biomedical Engineering and Chemistry, Boston University
Adrian Whitty, Ph.D., Associate Professor, Chemistry, Boston University

TUESDAY, OCTOBER 2

8:30 am - 12:30 pm

Setting Up Effective RNAi Screens: Getting from Design to Data (SC5)

Course Instructors:

Setting Up a RNAi Screen: Choice of Libraries and Assays
Caroline Shamu, Ph.D., Director, ICCB-Longwood Screening Facility, Harvard Medical School

Genome-Wide shRNA Screens
John Doench, Ph.D., Research Scientist, Broad Institute of Harvard and MIT

Strategies for Assay Development and High-Throughput RNAi Screens
Scott Martin, Ph.D., Team Leader, RNAi Screening, NIH Chemical Genomics Center, NIH Center for Translational Therapeutics, NIH

Identifying Off-Target Effects
Eugen Buehler, Ph.D., Group Leader, Informatics, National Center for Advancing Translational Sciences, NIH

Assay Optimization and Hit Selection: Need for Standardization
Hakim Djaballah, Ph.D., Director, HTS Core Facility, Memorial Sloan Kettering Cancer Center

6:30 - 9:00 pm

DINNER SHORT COURSE: Allosteric Modulators: Putting Theory to Practice (SC6)

Course Instructors:
Arthur Christopoulos, Ph.D., Professor, Department of Pharmacology, Monash University
Annette Gilchrist, Ph.D., Assistant Professor, Pharmaceutical Sciences, Midwestern University

DINNER SHORT COURSE: Epigenetic Drug Discovery Tools (SC7)

Co-hosted by: epigenie

Course Instructors:

Development of Non-Radioactive Assays for Histone Demethylases and Application for Inhibitor Screening
Pavel N. Shashkin, Ph.D., Group Leader, Assay Group, BPS Bioscience, Inc.

** Separate Registration Required*

Ways to SAVE!

• Add a Short Course	SAVE $100
• Submit a Poster	SAVE $50
• Alumni	SAVE 20%
• Best Value *(See Page 16 for complete details)*	Includes Access to 2 Conferences

INTERACTIVE BREAKOUT DISCUSSION GROUPS

MONDAY AT 5:05 PM & WEDNESDAY AT 8:00 AM

Part of the main event, each conference provides a designated one hour slot for breakout discussions. These interactive sessions invite you to choose a breakout topic of interest and join the moderated discussion at hand. You are encouraged to share examples from your work, vet ideas with your peers and ask questions. The Breakout Discussions are relaxed, informal exchanges amongst scientists and are not, in any way, a corporate or specific product discussion. Please select a topic of interest and join a table (see individual conference tracks for topic details.)

6th Annual | October 1-2, 2012

Novel Strategies for Kinase Inhibitors

Emerging Targets for the Pipeline

MONDAY, OCTOBER 1

7:00 am Conference Registration and Morning Coffee

Novel (Non-Oncology) Applications

8:30 Chairperson's Opening Remarks

8:40 Novel Opportunities for Kinases in Ophthalmology
Andy Whitlock, Ph.D., Director, Pre-Clinical Development, Ora, Inc.

9:10 Targeting the Malaria Kinome
Andrew Tobin, Ph.D., Professor of Cell Biology, Cell Physiology and Pharmacology, MRC Toxicology Unit, University of Leicester

9:40 RC Kinase: A Novel Target Implicated in COPD and Idiopathic Pulmonary Fibrosis
Stefen A. Boehme, Ph.D., Director, Immunology, Axikin Pharmaceuticals

10:10 Grand Opening Coffee Break in the Exhibit Hall with Poster Viewing

Targeting Kinases from Different Vantages

10:40 Chemoproteomic Approaches to Target Deconvolution and Selectivity Profiling of Kinase Inhibitors
Markus Schirle, Senior Investigator I, Developmental and Molecular Pathways, Novartis Institutes for BioMedical Research, Inc.

11:10 Use of cHTS for Identification of Synergistic Drug Combinations that are Selective and Kill Cancer Cells
Sponsored by ZALICUS
Richard Rickles, Ph.D., Senior Research Fellow Oncology & Director, Discovery Partnerships, Zalicus Inc.

11:40 The Nanocyclix Platform: Applications on Novel Kinase Targets in Cancer, CNS and Epigenetics
Jan Hoflack, Ph.D., CSO, Drug Discovery, Oncodesign Biotechnology

12:10 pm Biophysical and Mechanistic Insights into a Novel Allosteric Inhibitor of Spleen Tyrosin Kinase
Justin Hall, Ph.D., Senior Scientist, Structural Biology and Biophysics, Pfizer

12:40 LUNCHEON PRESENTATION
Sponsored by BIOSCALE
A Novel Approach for the Study of Kinase Signal Transduction – Comparative Measurement of *in vitro* and *in vivo* Expression of MAPK Pathway Kinases
W. Matthew Dickerson, Ph.D., Senior Scientist , Assay Development, BioScale, Inc.

Emerging Therapeutic Targets

2:20 Chairperson's Remarks

2:25 Protein Kinase CK2, a Logical Therapeutic Target for Drug Combinations
Denis Drygin, Ph.D., Vice President, Biology, Cylene Pharma

2:55 Repurposing Kinase Medicinal Chemistry for Neglected Diseases Caused by Protozoan Parasites
Michael Pollastri, Ph.D., Associate Professor, Chemistry & Chemical Biology, Northeastern University

3:25 Refreshment Break in the Exhibit Hall with Poster Viewing

4:05 A Synthetic Lethal and Survival RNAi Screen Accompanied by Cancer Drug Neratinib Identifies Novel Oncology Targets Leading to Neratinib and Paclitaxel Combination Treatments and Markers of Drug Resistance
Attila Seyhan, Ph.D., Senior Biomarker Discovery and Development Leader, formerly at Translational Immunology, Biotherapeutics Clinical R&D, Pfizer, Inc.

4:35 The Discovery of Potent and Selective Inhibitors of CK2 Kinase Identified through Focused Subset Screening and Structure Based Design
Claudio Chuaqui, Ph.D., Principle Scientist II, Astrazeneca R&D

5:05 Interactive Breakout Discussion Groups

The Challenge of Drug Resistance
Moderator: David Proia, Ph.D., Senior Scientist, Synta Pharmaceutical

Drug Combination Therapies – Pro's and Con's to Consider
Moderator: Denis Drygin, Ph.D., Vice President, Biology, Cylene Pharma

ATP Non-Competitive Inhibitors
Moderator: Justin Hall, Ph.D., Senior Scientist, Structural Biology and Biophysics, Pfizer

6:15 – 7:30 Welcoming Reception in the Exhibit Hall with Poster Viewing

TUESDAY, OCTOBER 2

7:30 am Breakfast Presentation *(Sponsorship Opportunity Available)*
or Morning Coffee

Drug Resistance

8:15 Chairperson's Opening Remarks

8:20 Overcoming Resistance to Kinase Inhibitors with the HSP90 Inhibitor Ganetespib
David Proia, Ph.D., Senior Scientist, Synta Pharmaceutical

8:50 FEATURED PRESENTATION
Gary L. Johnson, Ph.D., Kenan Distinguished Professor and Chair, Department of Pharmacology, University of North Carolina School of Medicine

9:20 Utilizing Kinase Cell-Based Selectivity for Drug Discovery: Pim as a Case Study
Deborah J. Moshinsky, Ph.D., Founder and President, Cell Assay Innovations, LLC

9:50 Coffee Break in the Exhibit Hall with Poster Viewing

Novel Inhibitors – Fresh from the Press

10:40 The Rregulation of TNF-Induced Necrosis by RIP Kinases and MLKL
Zheng-Gang Liu, Ph.D., Senior Investigator, Cell and Cancer Biology Branch, Center for Cancer Research, NCI, NIH

11:10 Case-Studies From the Discovery and Optimization of Novel Inhibitors which Exploit the ATP-Incompatible Conformations of Inactive Protein Kinases
Sudharshan Eathiraj, Ph.D., Lead Investigator, ArQule Inc.

11:40 Talk Title to be Announced
Campbell McInnes, Ph.D., Associate Professor, South Carolina College of Pharmacy, University of South Carolina

12:10 pm Close of Conference

Next-Generation Histone Deacetylase Inhibitors

Targeting HDACs for Oncology, Inflammation, CNS and Other Therapeutic Indications

MONDAY, OCTOBER 1

7:00 am Conference Registration and Morning Coffee

HDACi in Oncology

8:30 Chairperson's Opening Remarks
Kapil Dhingra, M.D., Managing Member, KAPital Consulting LLC

8:40 HDAC Inhibitors in Oncology – Lessons Learnt and Challenges for the Future
Kapil Dhingra, M.D., Managing Member, KAPital Consulting LLC

9:10 Exploiting Target Modulations by HDAC Inhibitors in Solid Tumors
Roberto Pili, M.D., Professor of Oncology and Chief of Genitourinary Section, Leader of the GU Program, Roswell Park Cancer Institute

9:40 Radiation Effect Modification through Histone Deacetylase Inhibition
Christopher Barker, M.D., Assistant Attending Physician, Department of Radiation Oncology, Memorial Sloan-Kettering Cancer Center

10:10 Grand Opening Coffee Break in the Exhibit Hall with Poster Viewing

10:40 Targeting Sirtuins for Cancer Treatment
Raul Mostoslavsky, M.D., Ph.D., Assistant Professor, The Massachusetts General Hospital Cancer Center, Harvard Medical School

11:10 Kinetics. The Hidden Key to Selective HDAC Inhibitors
Sponsored by proteros biostructures
Adrian Schomburg, Ph.D., Associate Director, Proteros biostructures GmbH

11:40 Clinical Updates on HDACi
Speakers:
Peter Ordentlich, Ph.D., Executive Director, Translational Science & Founder, Syndax Pharmaceuticals
Stefan W. Henning, Ph.D., M.Sc., Senior Project Manager, Development, 4SC AG
Vincent Jacques, Ph.D., Senior Director, Pre-Clinical Development, Repligen Corporation
Kenichi Takeshita, M.D., Vice President, Clinical R&D, Celgene Corporation

12:40 pm Luncheon Presentation
Sponsored by PerkinElmer For the Better
Development of Efficient Enzymatic and Cell-Based Assays to Monitor Epigenetic Events
Nathalie Rouleau, R&D Senior Section leader, PerkinElmer, Inc.

Chemical Design to Improve Efficacy & Safety

2:20 Chairperson's Remarks

2:25 Development of Isoform Selective Inhibitors for CNS Indications
Edward Holson, Ph.D., Director, Medicinal Chemistry, Stanley Center for Psychiatric Research, The Broad Institute of MIT and Harvard

2:55 Hybridization of HDACi for Improved Therapeutics
James Gleason, Ph.D., Associate Professor, Department of Chemistry, McGill University

3:25 Refreshment Break in the Exhibit Hall with Poster Viewing

4:05 Chemical Biology of HDAC Inhibitors
Ralph Mazitschek, Ph.D., Assistant Professor, Center for Systems Biology, Chemical Biology Platform, Massachusetts General Hospital

4:35 2-Aminobenzamide HDAC Inhibitors for Gene Activation in Friedreich's Ataxia
Joel Gottesfeld, Ph.D., Professor, Department of Molecular Biology, The Scripps Institute

5:05 Interactive Breakout Discussion Groups

Challenges with HDAC Inhibitors in the Clinic
Kapil Dhingra, M.D., Managing Member, KAPital Consulting LLC
Roberto Pili, M.D., Professor of Oncology and Chief of Genitourinary Section, Leader of the GU Program, Roswell Park Cancer Institute

Do We Need Selectivity or Specificity or Both?
Edward Holson, Ph.D., Director, Medicinal Chemistry, Stanley Center for Psychiatric Research, The Broad Institute of MIT and Harvard
Ralph Mazitschek, Ph.D., Assistant Professor, Center for Systems Biology, Chemical Biology Platform, Massachusetts General Hospital

Defining the Gaps in Our Understanding of HDAC Function
Timothy A. McKinsey, Ph.D., Associate Professor and Associate Division Head for Translational Research, Department of Medicine, Division of Cardiology, University of Colorado Denver
Wayne W. Hancock, M.D., Ph.D., Professor of Pathology and Chief of Transplant Immunology, Children's Hospital of Philadelphia and University of Pennsylvania

6:15 – 7:30 Welcoming Reception in the Exhibit Hall with Poster Viewing

TUESDAY, OCTOBER 2

7:30 am Breakfast Presentation *(Sponsorship Opportunity Available)*
or Morning Coffee

HDACi for Non-Oncology Indications

8:15 Chairperson's Opening Remarks
Timothy A. McKinsey, Ph.D., Associate Professor and Associate Division Head for Translational Research, Department of Medicine, Division of Cardiology, University of Colorado Denver

8:20 Targeting HDAC Inhibitors for Cardiovascular Disease
Timothy A. McKinsey, Ph.D., Associate Professor and Associate Division Head for Translational Research, Department of Medicine, Division of Cardiology, University of Colorado Denver

8:50 Selective Inhibition of HDAC1 and HDAC2 in Sickle Cell Disease
James E. Bradner, M.D., Assistant Professor, Department of Medicine, Harvard Medical School and Investigator, Department of Medical Oncology, Dana-Farber Cancer Institute

9:20 Chromatin Remodeling – A Novel Strategy to Control Excessive Alcohol Drinking
Dorit Ron Ph.D. Professor and Endowed Chair in Cell Biology of Addiction in Neurology Department of Neurology and Principal Investigator, Gallo Research Center University of California, San Francisco

9:50 Coffee Break in the Exhibit Hall with Poster Viewing

10:40 HDAC6 Inhibitors in Transplantation - Doing Something About Chronic Allograft Rejection
Wayne W. Hancock, M.D., Ph.D., Professor of Pathology and Chief of Transplant Immunology, Children's Hospital of Philadelphia and University of Pennsylvania

11:10 The Biology and Therapeutic Implication of HDAC in Neuromuscular Disease
Tso-Pang Yao, Ph.D., Associate Professor, Department of Pharmacology and Cancer Biology, Duke University

11:40 Targeting HDAC3 as a Strategy for Beta Cell Regeneration
Bridget K. Wagner, Ph.D., Director of Pancreatic Cell Biology, Chemical Biology Program, The Broad Institute of MIT and Harvard

12:10 pm Close of Conference

7th Annual | October 1-2, 2012

GPCR-Based Drug Discovery

Progressing through Signaling Complexities

MONDAY, OCTOBER 1

7:00 am Conference Registration and Morning Coffee

New Structural Insights

8:30 Chairperson's Opening Remarks

8:40 FEATURED PRESENTATION

Crystal Structure of a Lipid G Protein-Coupled Receptor
Michael Hanson, Ph.D., Director, Structural Biology, Receptos

9:10 Nanobodies for the Structural Analysis of GPCR Transmembrane Signaling
Jan Steyaert, Ph.D., Professor, Structural Biology Department, Vrije University of Brussels

9:40 How Drugs Bind and Control Their Targets: Characterizing GPCR Signaling through Long-Timescale Simulation
Ron Dror, Ph.D., Senior Research Scientist, D. E. Shaw Research

10:10 Grand Opening Coffee Break in the Exhibit Hall with Poster Viewing

Screening Strategies

10:40 TECHNOLOGY PANEL: Tools and Assays for Probing Orphan and Non-Orphan GPCRs
Moderator: Neil Burford, Senior Research Investigator II, Lead Discovery & Profiling, Molecular Sciences and Candidate Optimization, Bristol-Myers Squibb Company
(Sponsored panel opportunities available)

Sponsored by

11:10 Optical Micro-Spectroscopy Instrumentation and its Application to the Determination of the Quaternary Structure and Distribution in Living Cells of GPCR Homo-Oligomers
Valerica Raicu, Ph.D., Associate Professor, Physics, University of Milwaukee Wisconsin

11:25 Sponsored Presentations *(Opportunities Available)*

11:40 Screening Case Studies Using Label Free Approaches
Hong Xin, Ph.D., Scientist/Technologist, Lead Generation, Johnson & Johnson PRD

12:10 pm Presentation to be Announced

Sponsored by

12:40 LUNCHEON PRESENTATION
Investigating Receptor Biology Using PathHunter® Technology: Application to GPCR Trafficking and Internalization
Liz Quinn, Ph.D., Marketing Director, LeadHunter Discovery Services, DiscoveRx

GPCR-Targeted Drug Candidates

2:20 Chairperson's Remarks

2:25 Discovery of an Orally Available Prostacyclin (PGI2) Receptor Agonist for the Treatment of Pulmonary Arterial Hypertension
Graeme Semple, Ph.D., Vice President, Discovery Chemistry, Arena Pharmaceuticals, Inc.

2:55 Case Study in Quantifying Potency and Mode of Action of a Small Molecule Antagonist of a Class B Receptor, CRF1
Simeon Ramsey, Ph.D., Senior Principal Scientist, Inflammation, Pfizer

3:25 Refreshment Break in the Exhibit Hall with Poster Viewing

4:05 Orexin Receptors and the Physiology/Pharmacology of Sleep
Timothy Lovenberg, Ph.D., Senior Research Fellow, Neuroscience, J&J La Jolla

4:35 Targeting Novel Regulatory Mechanisms of PDE4 as Potential New Treatments for Mood Disorders
James A. Bibb, Ph.D., Associate Professor, Departments of Psychiatry, and Neurology and Neurotherapeutics, The University of Texas Southwestern Medical Center

5:05 Interactive Breakout Discussion Groups

Sharing Orphan Receptor Strategies
Moderator to be Announced

Exploiting Ligand-Biased Signaling
Moderator to be Announced

Using Native Cell Lines
Moderator to be Announced

6:15 – 7:30 Welcoming Reception in the Exhibit Hall with Poster Viewing

TUESDAY, OCTOBER 2

7:30 am Breakfast Presentation *(Sponsorship Opportunity Available)*
or Morning Coffee

GPCR-Targeted Drug Candidates (Continued)

8:15 Chairperson's Opening Remarks

8:20 Parkinson's Disease Drug Candidate
Uli Hacksell, Ph.D., CEO, Acadia Pharmaceuticals

8:50 Examining the Therapeutic Potential of CCR1 Antagonists for Multiple Myeloma
Annette Gilchrist, Ph.D., Assistant Professor, Pharmaceutical Sciences, Midwestern University

9:20 Sponsored Presentation *(Opportunity Available)*

9:50 Coffee Break in the Exhibit Hall with Poster Viewing

10:40 Mechanisms Underlying Antagonism of the Glucagon Receptor by Therapeutic Antibodies
Christopher Koth, Ph.D., Scientist, Structural Biology, Genentech

11:10 GPR119: Expanding Your Lead Options by Changing the Constant
Kim McClure, Ph.D., Senior Principal Scientist, Pfizer

11:40 Presentation to be Announced

12:10 pm Close of Conference

2nd Annual | October 1-2, 2012

Targeting Cancer Cell Metabolism

Strategies for Starving a Tumor

MONDAY, OCTOBER 1

7:00 am Conference Registration and Morning Coffee

Perspectives and Progress

8:30 Chairperson's Opening Remarks

» 8:40 KEYNOTE PRESENTATION

Integrating Cancer Metabolism, Autophagy, and the Tumor Microenvironment to Achieve Personalized Cancer Treatment

Michael P. Lisanti, M.D., Ph.D., Director and Chair, Stem Cell Biology and Regenerative Medicine Center; Landenberger Endowed Professor in Breast Cancer Research; Professor of Cancer Biology, Medical Oncology, and Biochemistry, Kimmel Cancer Center, Thomas Jefferson University

9:10 Metabolism as a Key Component of Systems Biology for Targeting Cancer

Michael Su, Ph.D., Senior Vice President, R&D, Agios Pharmaceuticals

9:40 A New View of Cancer

David W. Moskowitz, M.D., M.A., FACP, CEO, GenoMed, Inc.

10:10 Grand Opening Coffee Break in the Exhibit Hall with Poster Viewing

Targeting Glucose Metabolism

10:40 Glucose Metabolism in Human Brain Tumors

Elizabeth Maher, M.D., Ph.D., Associate Professor, Theodore H. Strauss Professorship in Neuro-Oncology, Southwestern Medical Center

Sponsored by

11:10 Multiplex Analysis of Cellular Metabolism Pathways Using MILLIPLEX® MAP Panels

Kelly Barrett, Field Application Scientist, EMD Millipore Corporation

11:25 Sponsored Presentations *(Opportunity Available)*

11:40 Chloroacetaldehyde, an Ifosfamide Metabolite, Inhibits Cell Proliferation and Glucose Metabolism without Decreasing Cellular ATP Content in Human Breast Cancer Cells MCF7

Gabriel Baverel, Ph.D., Professor, CEO, CSO, Metabolomics, Metabolys, Inc.

12:10 pm Glycolytic Cancer Cells Lacking 6-Phosphogluconate Dehydrogenase Metabolize Glucose to Induce Senescence

Barden Chan, Ph.D., Instructor, Medicine, Beth Israel Deaconess Medical Center

12:40 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Lunch on Your Own**

The Warburg Effect

2:20 Chairperson's Remarks

2:25 The Use of Warburg Effect Indicators Such as FDG PET Avidity to Identify Lymphoma Patients Who May Be Candidates for Definitive Ultra Low Dose (2 Gy X 2) Radiotherapy

Roger Macklis, M.D., Professor of Medicine, Radiation Oncology, Cleveland Clinic

Targeting the Mitochondrial Metabolism

2:55 Targeting Mitochondrial Bioenergetics Potently Induces Cell Death in Stem-Like Ovarian Cancer Cells

Ayesha B. Alvero, M.D., M.S., Associate Research Scientist, Department of Obstetrics, Gynecology and Reproductive Sciences, Yale University School of Medicine

3:25 Refreshment Break in the Exhibit Hall with Poster Viewing

4:05 Targeting Mitochondria for Cancer Therapy: Exploiting Cancer Cell Metabolism to Develop Combination Therapy

Charles E. Wenner, Ph.D., Professor, Member, Molecular and Cellular Biology, Roswell Park Cancer Institute

4:35 Lipoate Analogs: Powerful Chemotherapeutic Agents Attacking Cancer Cell Metabolism

Paul M. Bingham, Ph.D., Vice President, Research, Cornerstone Pharmaceuticals; Professor, Biochemistry and Cell Biology, Stony Brook University

5:05 Interactive Breakout Discussion Groups

Strategic Planning of How to Starve a Tumor

Moderator: Michael Su, Ph.D., Senior Vice President, R&D, Agios Pharmaceuticals

Challenges of Targeting the Mitochondrial Metabolism

Moderator: Paul M. Bingham, Ph.D., Vice President, Research, Cornerstone Pharmaceuticals; Professor, Biochemistry and Cell Biology, Stony Brook University

Understanding the "Biology" of Novel Ligand Binding Sites

Moderator: Marc O'Reilly, Ph.D., Associate Director, Structural Biology, Astex Pharmaceuticals

6:15 – 7:30 Welcoming Reception in the Exhibit Hall with Poster Viewing

TUESDAY, OCTOBER 2

7:30 am Breakfast Presentation *(Sponsorship Opportunity Available)* **or Morning Coffee**

Strategies to Inhibit Cell Proliferation

8:15 Chairperson's Opening Remarks

8:20 The Histone Deacetylase SIRT6 Modulates Glucose Homeostasis and Cancer Metabolism

Raul Mostoslavsky, M.D., Ph.D., Assistant Professor, The Massachusetts General Hospital Cancer Center, Harvard Medical School

8:50 Molecular Therapy for Liver Cancer by Targeting GAPDH: Thinking the Unthinkable

Jean-Francois Geschwind, M.D., Professor, Director, Interventional Radiology Division, Radiology & Radiological Sciences, Johns Hopkins University School of Medicine

9:20 Sponsored Presentation *(Opportunity Available)*

9:50 Coffee Break in the Exhibit Hall with Poster Viewing

10:40 Presentation to be Announced

11:10 Talk Title to be Announced

Alec Kimmelman, M.D., Ph.D., Assistant Professor, Department of Radiation Oncology, Harvard Medical School (tentative)

11:40 The Use of Fragment Based Screening to Identify Novel Modulators of Human PKM2 Activity

Marc O'Reilly, Ph.D., Associate Director, Structural Biology, Astex Pharmaceuticals

12:10 pm Close of Conference

Inaugural | October 1-2, 2012

Targeting the Ubiquitin Pathway

Discovery and Development of Novel Inhibitors

MONDAY, OCTOBER 1

7:00 am Conference Registration and Morning Coffee

Ubiquitin Perspectives

8:30 Chairperson's Opening Remarks

8:40 Roles of Ubiquitin and Ubiquitin-Like Proteins in Autophagy
Vladimir Kirkin, Ph.D., Senior Scientist, Merck-Serono; Research & Early Development, Oncology Platform, In Vivo Pharmacology, Merck KGaA

9:10 Ubl Peptidase in Human Protozoan Parasite *Leishmania donovani* as a Potential Drug Target
Sreenivas Gannavaram, Ph.D., Scientist, Division of Emerging and Transfusion Transmitted Diseases, OBRR/CBER/FDA

9:40 Advancing Drug Discovery in the Ubiquitin Pathway
Ben Nicholson, Ph.D., Director, Biology, Progenra

10:10 Grand Opening Coffee Break in the Exhibit Hall with Poster Viewing

Targeting the Proteasome System

10:40 Developing Allosteric Inhibitors Targeting the Proteasome Assemblies
Maria Gaczynska, Ph.D., Associate Professor, Molecular Medicine, Institute of Biotechnology, University of Texas Health Science Center at San Antonio

11:10 Degrading the Barriers to Drug Discovery in Ubiquitin E3 Ligase Pathways
Blaine N. Armbruster, Ph.D., Senior Manager, Discovery & Development Solutions, EMD Millipore Corporation

Sponsored by

11:25 Sponsored Presentation *(Opportunity Available)*

11:40 Oncogenic Transcription Factor FOXM1 is a Major Target for Proteasome Inhibitors
Andrei Gartel, Ph.D., Associate Professor, Medicine, University of Illinois

12:10 pm Enhancement of Proteasome Activity by a Small-Molecule Inhibitor of USP14
Daniel Finley, Ph.D., Professor, Cell Biology, Harvard Medical School

12:40 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Lunch on Your Own**

Ubiquitin Ligases

2:20 Chairperson's Remarks

2:25 FEATURED PRESENTATION

Ubiquitin and ER Quality Control
Hidde Ploegh, Ph.D., Member, Whitehead Institute for Biomedical Research, Professor of Biology, Massachusetts Institute of Technology

2:55 Targeting IAP Proteins: Ubiquitin Ligases at the Crossroads of Apoptosis, Inflammation and Cancer
Domagoj Vucic, Ph.D., Senior Scientist, Early Discovery Biochemistry, Genentech, Inc.

3:25 Refreshment Break in the Exhibit Hall with Poster Viewing

4:05 Identification of E3 Ubiquitin Ligase Substrates through Quantitative Proteomics
John Doedens, Ph.D., Scientist, formerly Amgen

4:35 Ubiquitin Pathway-Related Target Finding in the Context of Wnt
Feng Cong, Ph.D., Scientist, Novartis

5:05 Interactive Breakout Discussion Groups

Challenges of Identifying E3 Ligases
Moderator: Ben Nicholson, Ph.D., Director, Biology, Progenra

Progress in Developing Therapeutics
Moderator: Philippe Nakache, Ph.D., Head, Chemistry, Proteologics, Ltd

Allosteric Inhibitors – What is the Advantage?
Moderator: Maria Gaczynska, Ph.D., Associate Professor, Molecular Medicine, Institute of Biotechnology, University of Texas Health Science Center at San Antonio

6:15 – 7:30 Welcoming Reception in the Exhibit Hall with Poster Viewing

TUESDAY, OCTOBER 2

7:30 am Breakfast Presentation *(Sponsorship Opportunity Available)* **or Morning Coffee**

Exploring Novel Strategies

8:15 Chairperson's Opening Remarks

8:20 Development of a Novel Selective Inhibitor of Posh for the Potential Treatment of Cancer and Inflammatory Diseases
Philippe Nakache, Ph.D., Head, Chemistry, Proteologics, Ltd

8:50 Talk Title to be Announced
Paul Andrews, Ph.D., Scientist, Amgen (tentative)

9:20 A Novel Bacterial Genetic System to Identify New Targets and Leading Drugs of the Ubiquitin Pathways
Gali Prag, Ph.D., Principal Investigator, Department of Biochemistry and Molecular Biology and the Institute for Structural Biology, Tel Aviv University

9:50 Coffee Break in the Exhibit Hall with Poster Viewing

10:40 Deubiquitinating Enzymes as Drug Targets in Cancer
Sebastian Nijman, Ph.D., Principal Investigator, Chemical Genomics, The Research Center for Molecular Medicine, Vienna, Austria

11:10 Cancer Cell Resistance to the NEDD8-Activating Enzyme Inhibitor MLN4924
Matthew D. Petroski, Ph.D., Assistant Professor, Sanford-Burnham Medical Research Institute

11:40 Talk Title to be Announced
Juergen Dohmen, Ph.D., Professor, Genetics, University of Cologne

12:10 pm Close of Conference

10th Annual | October 2-3, 2012

Functional Genomics Screening Strategies

Effectively Utilizing RNAi and Chemical Genomics Screens to Drive Drug Discovery

TUESDAY, OCTOBER 2

Exploring Diverse Applications

1:30 pm Chairperson's Remarks
Christophe Echeverri, Ph.D., CEO & CSO, Cenix BioScience

1:40 Synergistic Application of RNAi Screening, Next-Generation Sequencing and Expression Profiling to Biomarker Discovery
Namjin Chung, Ph.D., Senior Research Investigator, Applied Genomics, Bristol-Myers Squibb Co.

2:10 A Global RNAi Screen Identifies Novel Chemosensitizer and Chemoresistant Oncology Targets Leading to Combination Therapies and Markers of Drug Resistance
Attila Seyhan, Ph.D., Senior Biomarker Discovery and Development Leader, formerly at Translational Immunology, Biotherapeutics Clinical R&D, Pfizer, Inc.

2:40 A Genome-Wide Screen for Novel Genes Involved in Sensitisation to Histone Deacetylase Inhibitors
Kaylene Simpson, Ph.D., Head, Victorian Centre for Functional Genomics, Cancer Genetics, Peter MacCallum Cancer Centre, Australia

3:10 Refreshment Break in the Exhibit Hall with Poster Viewing

3:45 Genomic Platforms to Generate Unbiased Responder and Treatment Hypotheses for Oncology Translational Research
Jing Li, Ph.D., Director of Genomics Screening, Discovery and Pre-clinical Science, Merck Research Laboratories

Sponsored by CELLECTA

4:15 Discovery of Cancer Drug Targets Using RNAi Screening with Pooled Lentiviral shRNA Libraries
Paul Diehl, Ph.D., Director, Business Development, Cellecta, Inc.

4:45 Comprehensive Analysis of Host Factors Modulating HIV-1 Replication Using Multiple RNAi Libraries
Abraham Brass, M.D., Ph.D., Assistant Professor, Department of Microbiology and Physiology Systems, University of Massachusetts Medical School

5:15 siRNA Screening using Solid Phase Transfection
Alun McCarthy, Ph.D., CSO, CytoPathfinder Inc.

5:30 End of Day

WEDNESDAY, OCTOBER 3

8:00 am Interactive Breakfast Breakout Discussion Groups

Best Practices in Setting Up siRNA and shRNA screens
Scott Martin, Ph.D., Team Leader, RNAi Screening, NIH Chemical Genomics Center, NIH Center for Translational Therapeutics, National Institutes for Health
Namjin Chung, Ph.D., Senior Research Investigator, Applied Genomics, Bristol-Myers Squibb Co.

Best Strategies for Tackling Off-Target Effects
Eugen Buehler, Ph.D., Group Leader, Informatics, National Center for Advancing Translational Sciences, National Institutes of Health

Utilization of RNAi Screens for Translational Work
Attila Seyhan, Ph.D., Senior Biomarker Discovery and Development Leader, formerly at Translational Immunology, Biotherapeutics Clinical R&D, Pfizer, Inc.
Jing Li, Ph.D., Director of Genomics Screening, Discovery and Pre-clinical Science, Merck Research Laboratories

Troubleshooting RNAi Screens

9:05 Chairperson's Remarks
Hakim Djaballah, Ph.D., Director, HTS Core Facility, Molecular Pharmacology and Chemistry Program, Memorial Sloan Kettering Cancer Center

9:10 Core Gene-Signatures for Evaluating RNAi Screening Data Output and Performance: A New Approach for Data Validity and Convergence
Hakim Djaballah, Ph.D., Director, HTS Core Facility, Molecular Pharmacology and Chemistry Program, Memorial Sloan Kettering Cancer Center

9:40 Different siRNA Library, Different Result. What Are the Implications for RNAi Screening?
Scott Martin, Ph.D., Team Leader, RNAi Screening, NIH Chemical Genomics Center, NIH Center for Translational Therapeutics, National Institutes for Health

10:10 Coffee Break in the Exhibit Hall with Poster Viewing

10:55 The Ghost in My Screen: Learning to Live with RNAi Off-Target Effects
Eugen Buehler, Ph.D., Group Leader, Informatics, National Center for Advancing Translational Sciences, National Institutes of Health

11:25 A Survey of miRNA-based Effects in RNAi Screens
David Root, Ph.D., Director, RNAi Platform and Project Leader, The RNAi Consortium, The Broad Institute of MIT and Harvard

11:55 TECHNOLOGY PANEL: What Improvements Can We Expect in Functional Genomics Screens Going Forward?
Moderator: Hakim Djaballah, Ph.D., Memorial Sloan Kettering Cancer Center
Panelist: Jose M. Silva, Ph.D., Assistant Professor, Pathology, Institute for Cancer Genetics, Irving Cancer Research Center, Columbia University
(Sponsored panel opportunities available)

Sponsored by MediSapiens

12:25 pm LUNCHEON PRESENTATION I
This Cloud Has a Silver Lining - Solving Drug Discovery's Challenges with Web-Based Personalized Genomics
Tommi Pisto, Ph.D., CEO, MediSapiens Ltd

Sponsored by SIGMA Life Science

12:40 LUNCHEON PRESENTATION II
Cost Effective Identification of Therapeutic Targets using Pooled Lentiviral shRNA Libraries
Shawn Shafer, Ph.D., Supervisor, Mission RNAi Operations, Functional Genomics, Sigma Aldrich

Leveraging Chemical Genomics Screens

1:55 Chairperson's Remarks

2:00 Functional Gene-Drug Interaction Screens in Cancer
Sebastian Nijman, Ph.D., Principal Investigator, Chemical Genomics, The Research Center for Molecular Medicine, Vienna, Austria

2:30 An Integrated Genomic and Chemical Screening Platform for Oncology Target Discovery
Serena Silver, Ph.D., Principal Research Investigator, Sanofi Oncology Target Discovery

3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Investigating Compound Mechanism of Action Using Genetic Interaction Screens
Michael Ollmann, Ph.D., Principal Scientist, Discovery Technologies, Amgen, Inc.

4:10 Identification of Small Molecule Leads for Induction of Unique Transcription Factors: A 9 Gene by 100,000 Compound Screen
Robert Hills, Ph.D., Senior Scientist, Lead Discovery, Janssen Pharmaceutical Companies of Johnson & Johnson

4:40 Discovery and Characterization of a Novel Regulatory Gene of the miRNA Biogenesis Pathway: Implications in Cancer Drug Discovery
David Shum, Ph.D., Assay Development Specialist, HTS Core Facility, Memorial Sloan Kettering Cancer Center

5:10 Close of Conference

5th Annual | October 2-3, 2012

Diabetes Drug Discovery and Beyond

Therapeutic Targets for Diabetes and Related Metabolic Disorders

TUESDAY, OCTOBER 2

Targets for New Diabetes Therapies

1:30 pm Chairperson's Remarks
Claire Steppan, Ph.D., Associate Research Fellow, Diabetes, Pfizer

1:40 FEATURED SPEAKER

Targeting Diabetes via Glucocorticoid Modulation: The Identification of Advanced 11b-HSD-1 Inhibitors
Jeffrey A. Robl, Ph.D., Executive Director, Metabolic Diseases R&D, Bristol-Myers Squibb

2:10 Dyslipidemia Targets and Diabetes
Rebecca Taub, M.D., CEO, Madrigal Pharmaceuticals

2:40 DGAT1 Clinical Update
Claire Steppan, Ph.D., Associate Research Fellow, Diabetes, Pfizer

3:10 Refreshment Break in the Exhibit Hall with Poster Viewing

3:45 Pharmacological Manipulation of Diacyl Glycerol Acyl Transferase 1 using Preclinical Models
Shirly Pinto, Ph.D., CVD - Atherosclerosis Team Lead, Merck Research Laboratories

4:15 Targeting the Ileal Brake with an Oral Mimetic of RYGB
Jerome J. Schentag, PharmD, Professor of Pharmaceutical Sciences, University at Buffalo

4:45 Beneficial and Adverse Effects of Glucokinase Activators on Glucose Metabolism in Rat Liver Cells
Gabriel Baverel, Ph.D., CEO and CSO, Metabolomics, Metabolys, Inc.

5:15 Connecting Mitochondrial Dysfunction and Diabetes
James Dykens, CEO, Eyecyte Therapeutics

5:45 End of Day

WEDNESDAY, OCTOBER 3

8:00 am Interactive Breakfast Breakout Discussion Groups

Targeting GPCRs
Moderator: Peter Cornelius, Ph.D., Director of Metabolic Diseases, SystaMedic Inc.

Cardiovascular Challenges
Moderator: Rebecca Taub, CEO, Madrigal Pharmaceuticals

Better Diabetes Models and Markers
Moderator to be Announced

Targeting Membrane Proteins for Type2 Diabetes

9:05 Chairperson's Remarks
Peter Cornelius, Ph.D., Director of Metabolic Diseases, SystaMedic Inc.

9:10 FEATURED PRESENTATION

Discovery of Ertugliflozin: An Anti-Diabetic Agent from a New Class of SGLT2 Inhibitors
Vincent Mascitti, Ph.D., Senior Director, Pfizer Global R&D

9:40 Targeting FGF21 for Type 2 Diabetes
Andrew C. Adams, Ph.D., Post-Doctoral Research Fellow, Diabetes Research, Lilly Research Laboratories

10:10 Coffee Break in the Exhibit Hall with Poster Viewing

10:55 Update on the Clinical Candidate ARRY-981: A GPR119 Agonist
Brad Fell, Senior Research Investigator, Medicinal Chemistry, Array BioPharma

11:25 Inflammation, Obesity and Diabetes: Pre-Clinical Investigations of a CCR2 Antagonist
Dana Johnson, Ph.D., Senior Scientific Director, Drug Discovery, Janssen Pharmaceuticals, Johnson & Johnson

11:55 Development of an Antibody-based Diabetes Therapy that Targets the Glucagon Recetpor
Bernard B. Allan, Ph.D., Senior Scientist, Department of Molecular Biology, Genentech, Inc.

12:25 pm Sponsored Presentation *(Opportunity Available)*

12:40 Luncheon Workshop *(Sponsorship Opportunity Available)* **or Lunch on Your Own**

New Therapeutic Approaches

1:55 Chairperson's Remarks

2:00 XMetA, an Allosteric Agonist Antibody to the Insulin Receptor that Selectively Activates Insulin Receptor Metabolic Signaling and Restores Glycemic Control in Mouse Models of Diabetes
John Corbin, Ph.D., Associate Director, Molecular Interactions and Biophysics, Preclinical Research, XOMA

2:30 Phenotype-Driven Approaches towards Novel Beta-Cell Proliferative and Protective Therapies
Bryan Laffitte, Ph.D., Associate Director, Genomics Institute of the Novartis Research Foundation

3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Gastric Bypass Surgery as a Diabetes Treatment
Vincent Aguirre, M.D., Ph.D., Assistant Professor, Internal Medicine, University of Texas Southwestern Medical Center

4:10 Cell-Based Therapies to Treat Diabetes
Norma Kenyon, Ph.D., Professor of Surgery, Microbiology and Immunology and Biomedical Engineering; Executive Director of the Wallace H. Coulter Center for Translational Research; School of Medicine, University of Miami

4:40 Lorcaserin: Anti-Obesity Drug? and Anti-diabetes Drug Candidate
Graeme Semple, Ph.D., Vice President, Discovery Chemistry, Arena Pharmaceuticals, Inc.

5:10 Close of Conference

2nd Annual | October 2-3, 2012

Allosteric Modulators

An Emerging Strategy for Targeting GPCRs

TUESDAY, OCTOBER 2

Allosteric Modulators of CNS Targets

1:30 pm Chairperson's Remarks
Andrew Alt, Ph.D., Senior Research Investigator, Lead Discovery, Bristol-Myers Squibb

1:40 Development of an Amino-aza-benzimidazolone Class of mGluR2 Positive Allosteric Modulators for the Treatment of Schizophrenia
Joseph Pero, Ph.D., Senior Research Chemist, Medicinal Chemistry, Merck

2:10 Challenges and Opportunities in the Development of Muscarinic Receptor Allosteric Modulators for Schizophrenia
Christian Felder, Ph.D., Research Scientist, Neuroscience, Eli Lilly & Co.

2:40 Interactions of Putative Endogenous Ligands with Metabotropic Glutamate (mGlu) Receptors in the Brain
Thomas E. Salt, Ph.D., Professor, Department of Visual Neuroscience, University College of London Institute of Ophthalmology

3:10 Refreshment Break in the Exhibit Hall with Poster Viewing

Allosterism by Design

» 3:45 KEYNOTE PRESENTATION:

GPCR Allostery in the New Millennium: Lessons, Challenges and Opportunities
Arthur Christopoulos, Ph.D., Professor, Department of Pharmacology, Monash University

4:30 Sponsored Presentation *(Opportunity Available)*

4:45 Allosteric Modulators for Muscarinic Receptors
Andrew Tobin, Ph.D., Professor, Cell Physiology and Pharmacology, University of Leicester

5:15 Allosterism, Opioid Receptor Heteromers, and Antinociception
William P. Clarke, Ph.D., Professor, Department of Pharmacology, University of Texas Health Science Center

5:45 End of Day

WEDNESDAY, OCTOBER 3

8:00 am Interactive Breakfast Breakout Discussion Groups

Determining Selectivity/Ligand Bias
Moderator: Joseph Pero, Ph.D., Senior Research Chemist, Medicinal Chemistry, Merck

Allosterically Modulating CNS Targets
Moderator: Thomas E. Salt, Ph.D., Professor, Department of Visual Neuroscience, University College of London Institute of Ophthalmology

Allosteric Kinase Inhibitors
Moderator: John Robinson, Ph.D., Senior Scientist, Medicinal Chemistry, Array

Modulation of GPCRs and Other Proteins

9:05 Chairperson's Remarks

9:10 Biophysical Approach to Allosteric Site Discovery and Characterization of Kinases
Pratul Agarwal, Ph.D., Staff Scientist at Oak Ridge National Laboratory

9:40 Allosteric Inhibitor of Kinesin Spindle Protein / Eg5
John Robinson, Ph.D., Senior Scientist, Medicinal Chemistry, Array

10:10 Coffee Break in the Exhibit Hall with Poster Viewing

10:55 Allosteric Modulators in Endogenous Cells: Effects on Activity and Expression
Joan Ballesteros, Ph.D., CEO, Vivia Allosterics SL

11:25 Presentation to be Announced

11:25 TECHNOLOGY PANEL: New Technologies and Assays for Probing Allosteric Modulation

Moderator: Andrew Alt, Ph.D., Senior Research Investigator, Lead Discovery, Bristol-Myers Squibb

Panelists:
Joan Ballesteros, Ph.D., CEO, Vivia Allosterics SL
Arthur Christopoulos, Ph.D., Professor, Department of Pharmacology, Monash University
Christian Felder, Ph.D., Research Scientist, Neuroscience, Eli Lilly & Co.

12:10 Single Cell Hit Discovery and Endogenous GPCR Validation
Joan Ballesteros, Ph.D., CEO, Vivia Allosterics SL

12:25 Summary from Breakout Discussions
Moderators from morning's breakout groups

12:40 Luncheon Workshop *(Sponsorship Opportunity Available)* **or Lunch on your own**

Allosteric Modulators With Therapeutic Potential

1:55 Chairperson's Remarks

2:00 Update on Allosteric Modulators of mGluR4 for Parkinson's Disease
Carrie K. Jones, Ph.D., Assistant Professor, Pharmacology, Vanderbilt University (invited)

2:30 Generation and Characterization of a GABA BR- Focused Allosteric Modulator Library
Emmanuel Sturchler, Ph.D., Senior Scientist, Department of Molecular Therapeutics, Scripps Research Institute

3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Gevokizumab, a Therapeutic anti-IL-1bAntibody with a Novel Allosteric Mechanism of Action
Marina Roell, Ph.D., Director, Molecular Interactions and Informatics, XOMA

4:10 Allosteric Modulation of Cannabinoid Receptor to Produce Biased Signaling
Debra Kendall, Ph.D, Professor, Molecular and Cellular Biology, University of Connecticut

4:40 Targeting Obesity with Negative Allosteric Modulators of CB1 Cannabinoid Receptor
Ganesh Thakur, Ph.D., Assistant Professor, Department of Pharmaceutical Sciences, Northeastern University

5:10 Close of Conference

3rd Annual | October 2-3, 2012

Advances in Targeting the PI3K Pathway

Optimizing Selectivity, Specificity and Efficacy

TUESDAY, OCTOBER 2

PI3K Pathway Perspectives

1:30 pm Chairperson's Remarks

» 1:40 KEYNOTE PRESENTATION
Donald Durden, M.D., Ph.D., Vice Chair for Research, Department of Pediatrics, University of California San Diego; Associate Director, Pediatric Oncology, Moores UCSD Cancer Center

2:10 Discovery and Development of Novel, Isoform-Selective PI3K Inhibitors for the Treatment of Immune-Inflammatory Diseases and Cancer
Stephen J. Shuttleworth, Ph.D., FRSC CChem, CSO, Karus Therapeutics Ltd.

2:40 The mTORs New Clothes-Questioning Dogma and Dispelling Myths Surrounding PI3K Pathway Inhibition
Joseph Garlich, Ph.D., Stemica LLC

3:10 Refreshment Break in the Exhibit Hall with Poster Viewing

Progress in Targeting the PI3K Pathway

3:45 Targeting the PDK1/Akt Signaling Pathway
Jacques Ermolieff, Ph.D., Senior Principal Scientist, External Research Solutions (ERS), Pfizer Worldwide Research and Development

4:15 Sponsored Presentations *(Opportunities Available)*

4:45 Role of Phosphoinositide 3-Kinase P110 Gamma in Pancreatic and Liver Cancer
Marco Falasca, Ph.D., Professor in Molecular Pharmacology, Blizard Institute, Queen Mary University of London

5:15 Targeting Specific Class I PI3K Isoforms for the Treatment of B and T-Cell Malignances
Brian Lannutti, Ph.D., Associate Director, Cancer Research, Gilead Sciences, Inc.

5:45 End of Day

WEDNESDAY, OCTOBER 3

8:00 am Interactive Breakfast Breakout Discussion Groups

Designing Selective Inhibitors
Moderator: Christoph M. Dehnhardt, Ph.D., Senior Principle Scientist,, Pfizer Global R&D WWMC

Lessons Learned from the Clinic
Moderator: Joseph Garlich, Ph.D., Stemica LLC

Targeting PI3K Delta - Challenges and Progress
Moderator: Brian Lannutti, Ph.D., Associate Director, Cancer Research, Gilead Sciences, Inc.

Non-Cancer Applications for the PI3K Pathway

9:05 Chairperson's Remarks

9:10 The Regulation of Class III PI3K
Wen Jin Wu, Ph.D., Principal Investigator (PI), Division of Monoclonal Antibodies, Office of Biotechnology Products, Food and Drug Administration (FDA)

9:40 Phylogenomics of Phosphoinositide Lipid Kinases: A Drug Discovery Perspective
James R. Brown, Ph.D., Computational Biology, Quantitative Sciences, R&D, GlaxoSmithKline

10:10 Networking Coffee Break in the Exhibit Hall with Poster Viewing

10:55 Talk Title to be Announced
Stephen Ward, Ph.D., Professor, Inflammatory Cell Biology; Head of Pharmacology, Department of Pharmacy & Pharmacology, University of Bath

11:25 The Neuroprotective Effects of Progesterone Through the Phosphoinositide 3-Kinase/Protein Kinase B Signaling Pathway
Iqbal Sayeed, Ph.D., Assistant Professor, Emergency Medicine, Emory University

11:55 Discovery of PI3K Inhibitors for the Treatment of Cancer and Inflammatory Disease
David J. Matthews, Ph.D., Vice President, Drug Discovery & Exploratory Development, Pathway Therapeutics, Inc.

12:25 pm Sponsored Presentation *(Opportunity Available)*

12:40 LUNCHEON PRESENTATION
Development of the PI3K Pathway Inhibitors: How to Choose the Right Cell Line
Fang Tian, Ph.D., Scientist, Cell Biology, ATCC

Sponsored by ATCC

1:55 Chairperson's Remarks

2:00 Selective Inhibitors of PI3K Delta: Modulators of Immune Cell Function with Potential for Treating Inflammatory Diseases
Kamal D. Puri, Ph.D., Senior Research Scientist II, Biology, Gilead Sciences Inc.

Identifying and Designing Selective Inhibitors

2:30 Structure Guided Design of Isoform Selective PI3Kalinhbitors
Timothy Heffron, Ph.D., Senior Scientist, Genentech

3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Discovery of PF-384: A Clinical PI3K/mTOR Inhibitor
Christoph M. Dehnhardt, Ph.D., Senior Principle Scientist, Pfizer Global R&D WWMC

4:10 Talk Title to be Announced
Adrian L. Smith, Ph.D., Scientist, Amgen (tentative)

4:40 Novel, Selective Compound for Leukemia Treatment
Nikolaus S. Trede, M.D., Ph.D., Associate Professor of Pediatrics, Investigator, The Huntsman Cancer Institute, University of Utah

5:10 Close of Conference

Media Partners

Lead Sponsoring Publications

Sponsoring Publications

Web Partners

Official Media Partner

Inaugural | October 2-3, 2012

Targeting Histone Methyltransferases and Demethylases

Driving Discovery through Development for Personalized Therapeutics

TUESDAY, OCTOBER 2

Discovery to Design: Assays, HTS & High-Quality Probes

1:30 pm Chairperson's Remarks

1:40 Screening Methods for Identification of Selective Inhibitors of Histone Methyltransferases
Abdellah Allali-Hassani, Ph.D., Team Leader, Enzymatic Assays, Structural Genomics Consortium, University of Toronto

2:10 Development of a Novel Demethylase Assay and High-Throughput Screening for Demethylase Inhibitors
Ji-Hu Zhang, Ph.D., Senior Research Investigator, Center for Proteomic Chemistry, Lead Finding Platform, Novartis Institute for Biomedical Research, Inc.

2:40 Histone Methyltransferase Inhibitor Selectivity Profiling: Effects of Substrate Choice for *in vitro* Assays and Comparison to the Results of Cell-Based Assays of Histone Methylation States
Konrad T. Howitz, Ph.D., Director, Epigenetics, Reaction Biology Corporation

3:10 Refreshment Break in the Exhibit Hall with Poster Viewing

3:45 Progress Towards Chemical Probes for Epigenetics
Dafydd Owen, Ph.D., Associate Research Fellow, Pfizer, Inc.

4:15 pm High Throughput Methods to Study Methyltransferases and SAM-Binding Site Inhibitors
Levi Blazer, Ph.D., Scientist, Molecular Screening, Cayman Chemical

Sponsored by Cayman Chemical

4:30 The Determination of Epigenetic Target Specificity and Identification of Epigenetics-related *in vivo* Adverse Drug Reactions
Manilduth RAMNATH, Ph.D., Project Manager, Custom Services & Innovation, CEREP France

Sponsored by Cerep

4:45 Toward Chemical Probes of Histone Methyltransferases Altered in Cancer
Drew Adams, Ph.D., Research Scientist, Chemical Biology Program, The Broad Institute

5:15 Harnessing Structure-Based Drug Design to Accelerate Candidate Drug Identification
Philip Fallon, Ph.D., Senior Medicinal Chemist, Domainex, Ltd.

5:45 End of Day

WEDNESDAY, OCTOBER 3

8:00 am Interactive Breakfast Breakout Discussion Groups

Issues with Developing Cell-Based Assays for HMTs
Moderator: Konrad T. Howitz, Ph.D., Director, Epigenetics, Reaction Biology Corporation

Challenges Associated with Developing HMTi's as Cancer Therapeutics
Moderator: Roy Pollock, Ph.D., Director, Biological Sciences, Epizyme

Opportunities to Target Lysine Methylation Events in Human Cancer
Moderator: Or Gozani, M.D., Ph.D., Associate Professor, Department of Biology, Stanford University

Understanding Histone Methylation and Demethylation for Targeted Therapy

9:05 Chairperson's Remarks

9:10 FEATURED PRESENTATION
Quantitative Proteomics for Examining Histone Modifications
Benjamin A. Garcia, Ph.D., Presidential Associate Professor, Department of Biochemistry and Biophysics; Director, Quantitative Proteomics, Epigenetics Program, University of Pennsylvania Perelman School of Medicine

9:40 Biochemical Considerations in HMT Drug Discovery
Ryan Kruger, Ph.D., Manager, Cancer Epigenetics Discovery Performance Unit, Oncology R&D, GlaxoSmithKline Pharmaceuticals

10:10 Coffee Break in the Exhibit Hall with Poster Viewing

10:55 Profile Substrates and Inhibitors of Protein Methyltransferases
Minkui Luo, Ph.D., Assistant Member & Professor, Molecular Pharmacology and Chemistry Program, Memorial Sloan-Kettering Cancer Center

11:25 Targeting the JARID1 Demethylases in Cancer
Qin Yan, Ph.D., Assistant Professor, Pathology, Yale University School of Medicine

11:55 The Antagonistic Dance between Demethylation and Heterochromatin Sheds Light on Development and Disease
Johnathan R. Whetstine, Ph.D., Assistant Professor, Medicine, Harvard Medical School; Massachusetts General Hospital Cancer Center

Sponsored by ASINEX

12:25pm Design and Enantioselectiv Synthesis of Metabolically Stable SAM/SAH Mimetics
Dmitry Genis, Ph.D., CEO, ASINEX

12:55 Luncheon Workshop *(Sponsorship Opportunity Available)*
or Lunch on Your Own

Evaluating Efficacy and Toxicity of Selective Inhibitors

1:55 Chairperson's Remarks

2:00 FEATURED PRESENTATION

Discovery of Chemical Probes for Histone Methyltransferases
Jian Jin, Ph.D., Associate Professor and Director, Medicinal Chemistry, Center for Integrative Chemical Biology and Drug Discovery, Division of Chemical Biology and Medicinal Chemistry, University of North Carolina at Chapel Hill

2:30 Targeting Histone Methyltransferases in Cancer Therapy
Roy Pollock, Ph.D., Director, Biological Sciences, Epizyme

3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Targeting Histone Lysine Methylation
Patrick Trojer, Ph.D., Director, Biology, Constellation Pharmaceuticals

4:10 A Novel, Selective EZH2 Inhibitor Exhibits Anti-Tumor Activity in Lymphoma with Activating Mutations of EZH2
Michael McCabe, Ph.D., Investigator, Biology, Cancer Epigenetics Discovery Performance Unit, Oncology R&D, GlaxoSmithKline Pharmaceuticals

4:40 Talk Title to be Announced
Andrew Ferguson, Ph.D., Principal Scientist, DECS Structural Chemistry, AstraZeneca Pharmaceuticals

5:10 Close of Conference

Sponsorship and Exhibit Opportunities

Reserve your space by June 30 & SAVE $300!

CHI offers comprehensive sponsorship packages which include presentation opportunities, exhibit space and branding, as well as the use of the pre and post-show delegate lists. Customizable sponsorship packages allow you to achieve your objectives before, during, and long after the event. Signing on earlier will allow you to maximize exposure to hard-to-reach decision makers!

Agenda Presentations

Showcase your solutions to a guaranteed, highly-targeted audience. Package includes a 15 or 30-minute podium presentation within the scientific agenda, exhibit space, on-site branding and access to cooperative marketing efforts by CHI.

Breakfast & Luncheon Presentations

Opportunity includes a 30-minute podium presentation. Boxed lunches are delivered into the main session room, which guarantees audience attendance and participation. A limited number of presentations are available for sponsorship and they will sell out quickly. Sign on early to secure your talk!

Technology Panel

An opportunity for a scientific or technical expert from sponsoring company to deliver a 5-10 minute presentation as part of a moderated 'technology' panel, within the main conference. The presentation and the ensuing moderated Q&A with the audience is meant to be educational and informative. This package can be customized to include logos, exhibit space, onsite branding, conference registrations and access to the conference delegate mailing lists. The panel is limited to an hour, and can accommodate up to five panelists from different technology companies.

Invitation-Only VIP Dinner/Hospitality Suite

Sponsors will hand-pick their top prospects from the conference pre-registration list for an evening of networking at the hotel or at a choice local venue. CHI will extend invitations and deliver prospects. Evening will be customized according to your company's objectives i.e.:

- Purely Social
- Focus Group
- Reception Style or Plated Dinner,
- Plated Dinner with Specific Conversation Focus

Pre-Conference Workshops

Includes 15-minute or 30-minute podium presentation during pre conference workshop, as well as your company logo displayed on pre conference workshop materials and on-site signage.

User Group Meetings

Co-locate your user group meeting with Discovery On Target. CHI will help market the event, manage logistical operations, develop the agenda, and more. CHI can handle the entirety of the meeting, or aspects of your choosing.

Looking for additional ways to drive leads to your sales team?

Cambridge Healthtech Institute can help!

We offer clients numerous options for custom lead generation programs to address their marketing and sales needs, including:

- Life Webinars
- Podcasts
- White Papers
- Market Surveys
- *And More!*

Benefits of working with Cambridge Healthtech Institute for your lead generation needs:

- Your campaign will receive targeted promotion to Cambridge Healthtech Institute's unparalleled database of over 800,000 individuals, all of which are involved in all sectors of the life sciences – lists can be segmented based on geography, research area, title and industry.
- All custom lead generation programs are promoted through our experienced marketing team that will develop and drive targeted campaigns to drive awareness and leads to your lead generation program.
- For our webinar programs, we offer assistance in procuring speakers for your web symposia through our extensive roster of industry recognized speakers across multiple disciplines within life sciences, as well as provide an experienced moderator and dedicated operations team will coordinate all efforts.
- If choosing a white paper program, we can offer editorial experience and provide an industry recognized author to write your whitepaper.

Exhibit Information

Exhibitors will enjoy facilitated networking opportunities with qualified decision makers at **Discovery on Target**, making it the perfect platform to launch a new product, collect feedback and generate new leads. Exhibit space sells out quickly, so reserve yours today!

***Inquire about additional branding opportunities!**

Contact me to help you customize your participation at this event:

Jon Stroup
Manager, Business Development
781-972-5483 | jstroup@healthtech.com

Hotel & Travel Information

Conference Venue and Host Hotel:
Boston Marriott Copley Place
110 Huntington Ave.
Boston, MA 02116
Tel: 617-236-5800

Room Rate: $269 s/d
Reservation Cutoff: September 4, 2012

Please visit our conference website to make your reservations online or call the hotel directly to reserve your sleeping accommodations. You will need to identify yourself as a Cambridge Healthtech Institute conference attendee to receive the discounted room rate with the host hotel. **Reservations made after the cut-off date or after the group room block has been filled (whichever comes first) will be accepted on a space- and rate-availability basis. Rooms are limited, so please book early.**

Flight Discounts:

Special discounts have been established with American Airlines.

- Call American Airlines 1-800-433-1790 and use Conference code 13H2BN
- Go to www.aa.com/group and enter Conference code 13H2BN in promotion discount box
- Contact our designated travel agent, Wendy Levine at 1-877-559-5549 or wendy.levine@protravelinc.com

Car Rental Discounts:

Special rental discounts have been established with Hertz for this conference.

- Call Hertz 1-800-654-3131 and use our Hertz Convention Number (CV): 04KL0003
- Go to www.hertz.com and use our Hertz Convention Number (CV): 04KL0003

CHI's
INTRO NET
Networking at its Best

Maximize Your Experience onsite at Discovery on Target!

The Intro-Net offers you the opportunity to set up meetings with selected attendees before, during and after this conference, allowing you to connect to the key people that you want to meet. This online system was designed with your privacy in mind and is only available to registered session attendees of this event.

Suggested Conferences Packages

Best Value Includes Access to 2 Conferences

Short Course(s)	October 1 - 2	October 2 - 3
Structure/Fragment Based Design + Kinase Structure/Function	Kinase Inhibitors	PI3K Pathways
Allosteric Modulators	GPCR	Allosteric Modulators
Epigenetic Tools	HDACs	Histone Methyltransferases
Toxicity	Cancer Cell Metabolism	Allosteric Modulators
Structure/Fragment Based Design + Protein-Protein Interaction	Ubiquitin	Allosteric Modulators
Structure/Fragment Based Design + Allosteric Modulators	GPCR	Diabetes Targets

PRICING AND REGISTRATION INFORMATION

SHORT COURSES

Add a Short Course to your Conference Registration and SAVE $100	Commercial	Academic, Government, Hospital-affiliated
One short course	$695	$395
Two short courses	$995	$695
Three short courses	$1295	$795
Registered Conference Delegates SAVE $100	- $100	- $100

Sunday, September 30	Tuesday, October 2
An Understanding of Structure- and Fragment- Based Drug Discovery: Tools and Techniques (SC1)	Setting Up Effective RNAi Screens: Getting from Design to Data (SC5)
Pre-Clinical Toxicity (SC2)	DINNER SHORT COURSE: Allosteric Modulators (SC6)
Understanding Protein-Protein Interactions (SC4)	DINNER SHORT COURSE: Epigenetic Drug Discovery Tools (SC7)

MULTIPLE CONFERENCE PRICING • • • BEST VALUE! • • •

(Includes access to 2 conferences, excludes short courses)

Registrations after September 7, 2012, and on-site	$2775	$1195

SINGLE CONFERENCE PRICING

(Includes access to 1 conference, excludes short courses)

Registrations after September 7, 2012, and on-site	$1845	$925

October 1-2, 2012	October 2-3, 2012
1 GPCR-Based Drug Discovery	6 Allosteric Modulators
2 Novel Strategies for Kinase Inhibitors	7 Advances in Targeting the PI3K Pathway
3 Targeting The Ubiquitin Pathway	8 Functional Genomics Screening Strategies
4 Next-Generation Histone Deacetylase Inhibitors	9 Targeting Histone Methyltransferases and Demethylases
5 Targeting Cancer Cell Metabolism	10 Diabetes Drug Discovery and Beyond

CONFERENCE DISCOUNTS

Poster Submission-Discount ($50 Off)

Poster abstracts are due by **August 24, 2012**. Once your registration has been fully processed, we will send an email containing a unique link allowing you to submit your poster abstract. If you do not receive your link within 5 business days, please contact jring@healthtech.com. *CHI reserves the right to publish your poster title and abstract in various marketing materials and products.

REGISTER 3 - 4th IS FREE: Individuals must register for the same conference or conference combination and submit completed registration form together for discount to apply.

Alumni Discounts (SAVE 20%)

Cambridge Healthtech Institute (CHI) appreciates your past participation at Discovery on Target. As a result of the great loyalty you have shown us, we are pleased to extend to you the exclusive opportunity to save an additional 20% off the registration rate. Please note: Our records must indicate you were an attendee of the Discovery on Target in the past in order to qualify.

Additional discounts are available for multiple attendees from the same organization. For more information on group rates contact David Cunningham at +1-781-972-5472

ADDITIONAL REGISTRATION DETAILS

Each registration includes all conference sessions, posters and exhibits, food functions, and access to the conference proceedings link.

Handicapped Equal Access: In accordance with the ADA, Cambridge Healthtech Institute is pleased to arrange special accommodations for attendees with special needs. All requests for such assistance must be submitted in writing to CHI at least 30 days prior to the start of the meeting.

To view our Substitutions/ Cancellations Policy, go to http://www.healthtech.com/regdetails

Video and or audio recording of any kind is prohibited onsite at all CHI events.

If you are unable to attend but would like to purchase the Discovery On Target CD for $750 (plus shipping), please visit DiscoveryOnTarget.com Massachusetts delivery will include sales tax.

How to Register: **DiscoveryOnTarget.com**

reg@healthtech.com • P: 781.972.5400 or Toll-free in the U.S. 888.999.6288

Please use keycode **DOT F** when registering!

Please refer to the Registration Code below:

Cambridge Healthtech Institute
250 First Avenue, Suite 300, Needham, MA 02494
www.healthtech.com • Fax: 781-972-5425