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Chemical Biology and Proteomics for Target Validation

Minimizing Molecular & Biological Attrition by Interrogating Target-Phenotype Relationships

June 10-12, 2015
Westin Boston Waterfront, Boston, MA

Keynote Speakers

Susan Lindquist, Ph.D., Professor, Biology, MIT; Investigator, Howard Hughes Medical Institute

Seung Bum Park, Ph.D., Professor and Vice-Chair, Department of Chemistry, Seoul National University

Steven P. Gygi, Ph.D., Professor, Cell Biology, Harvard Medical School

Bernhard Küster, Ph.D., Professor, Co-Founder and Chair, Proteomics and Bioanalytics, Technische Universität München

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Conference-at-a-Glance

Tuesday, June 9	Pre-Conference Short Courses* (* Separate registration required.)						
Wednesday, June 10	Novel Preclinical Models in Oncology	Translational Imaging in Cancer Drug Development	Targeting GPCRs	New Models for Predicting Drug Toxicity	Blood-Brain Barrier	Mastering Medicinal Chemistry	Chemical Biology for Target Validation
	Plenary Keynote Panel						
Thursday, June 11	Novel Preclinical Models in Oncology	Translational Imaging in Cancer Drug Development	Targeting GPCRs	New Models for Predicting Drug Toxicity	Blood-Brain Barrier	Mastering Medicinal Chemistry	Chemical Biology for Target Validation
	Tumor Models for Cancer Immunotherapy	3D Cellular Models	Targeting Histone Acetylation	Synergistic Use of Functional Genomics Technologies	Applying Pharmacology to New Drug Discovery Training Seminar*	Property-Based Drug Design in Medicinal Chemistry	Chemical Proteomics for Target Validation
	Dinner Short Courses* (* Separate registration required.)						
Friday, June 12	Tumor Models for Cancer Immunotherapy	3D Cellular Models	Targeting Histone Acetylation	Synergistic Use of Functional Genomics Technologies	Applying Pharmacology to New Drug Discovery Training Seminar*	Property-Based Drug Design in Medicinal Chemistry	Chemical Proteomics for Target Validation

Plenary Keynote Panel

Wednesday, June 10 | 5:00 pm

Our Plenary Keynote Panel this year features senior executives from pharma/biotech who have played an important role in bringing to market some of the most innovative drugs in recent years. They are here to share their stories on what transpired behind-the-scenes, how they could overcome the translational challenges, and what they see as key drivers in making similar breakthroughs going forward.

Plenary Keynote Panelists:

Clinical Development of Keytruda
David Kaufman, M.D., Ph.D., Director/Senior Principal Scientist, Oncology/Immunotherapy Clinical Research, Merck

Discovery of Ivacaftor, an Orally Bioavailable CFTR Potentiator
Peter Grootenhuis, Ph.D., Senior Director, Chemistry, Vertex Pharmaceuticals

Harvoni Drug Development Challenges: The Role of Risk in Rapid Development
Phillip Pang, M.D., Ph.D., Director, Clinical Research, Gilead Sciences

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Short Courses*

Afternoon Course | June 9 | 2:00 – 5:00 pm

Allosteric Modulators of GPCRs, (PAMs NAMs)

The course will provide an overview on allosteric modulation of class A, B and C GPCRs: screening, molecular pharmacology, signal bias, medicinal chemistry and development challenges. For each of these areas, we will cover the theory and best practices while delving into case studies to highlight key challenges and caveats.

Instructors:
Craig W. Lindsley, Ph.D., William K. Warren, Jr. Chair in Medicine, Professor of Pharmacology and Chemistry; Director, Medicinal Chemistry; Director, The Vanderbilt Specialized Chemistry Center
Corey Hopkins, Ph.D., Research Assistant Professor, Vanderbilt Center for Neuroscience Drug Discovery

Dinner Course | June 9 | 6:00 – 9:00 pm

Imaging in Cancer Research: Key Applications, Modalities and Strategies

Topics to be covered:

- Strengths and Limitations of Imaging Modalities
- Imaging Agent Design and Synthesis
- Novel Cell-Based Imaging Technologies

Instructors:
Vania Kenanova, Ph.D., Head, Pre-clinical PET/ SPECT/CT Imaging Laboratory, Global Imaging Group, Novartis Institute for BioMedical Research
Ned Kirkpatrick, Ph.D., Investigator I, Global Imaging Group, ASI, Novartis Institutes for BioMedical Research
Additional Instructors to be Announced

Dinner Course | June 11 | 7:00 – 10:00 pm

How to Best Utilize Organotypic 3D Cell Cultures in Oncology

The course will provide an overview of the various 3D cell culture models available, their strengths and weaknesses, and where and how these models are being used, specifically for oncology research. The instructors will share their experiences on how they tested and evaluated various cell culture reagents and growth matrices, what worked and what didn't and what you need to consider when setting up low and high throughput screening experiments using 3D cell cultures in your lab. The challenges working with 3D cell cultures, from experimental design to data analysis will be discussed.

Instructors:
Arvind Rao, Ph.D., Assistant Professor, Department of Bioinformatics and Computational Biology, The University of Texas MD Anderson Cancer Center
Geoffrey A. Bartholomeusz, Ph.D., Associate Professor and Director of the siRNA Core Facility, Department of Experimental Therapeutics, Division of Cancer Medicine, The University of Texas MD Anderson Cancer Center
Sophie Lelièvre, D.V.M., LLM, Ph.D., Associate Professor, Department of Basic Medical Sciences; Associate Director, Discovery Groups, NCI-Designated Purdue Center for Cancer Research, Purdue University

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Second Annual

Chemical Biology for Target Validation

Minimizing Molecular & Biological Attrition by Interrogating Target-Phenotype Relationships

Suggested Event Package:

June 9 Short Course*: Allosteric Modulators of GPCRs, (PAMs NAMs)
June 9 Dinner Short Course*: Imaging in Cancer Research: Key Applications, Modalities and Strategies
June 10-11: Chemical Biology for Target Validation Conference
June 11-12: Chemical Proteomics for Target Validation Conference
June 11 Dinner Short Course*: How to Best Utilize Organotypic 3D Cell Cultures in Oncology
** Separate registration required.*

WEDNESDAY, JUNE 10

7:00 am Registration and Morning Coffee

PHENOTYPIC SCREENING, TARGET IDENTIFICATION AND MOA OF NOVEL BIOACTIVE PROBES

8:00 Chairperson's Opening Remarks

Advances and Hurdles in Target Discovery with Small Molecule Probes

Iván Cornella-Taracido, Ph.D., Senior Principal Scientist, Discovery Chemistry, Merck Research Laboratories

Chemical Biology and Proteomics approaches have become an integral part of the identification and validation of novel therapeutic targets, particularly enabling small molecule mechanism of action studies. Yet, in spite of the published successes and high expectations over the last decade, the rate of discovery of new druggable targets with clinical translational success has been, so far, apparently disappointing. As a general introduction to the symposium, and to highlight the contributions of invited speakers and the topics of the 2015 edition, in these opening remarks we will introduce some potential contributing factors to these shortcomings to seed discussions and brainstorm during the "Interactive Breakout Discussion Groups" sessions of the event.

8:05 Chemical Probe Libraries to Explore and Validate Novel Biology

Iván Cornella-Taracido, Ph.D., Senior Principal Scientist, Discovery Chemistry, Merck

Chemical probes, drug-like or not, have been used for years to identify new therapeutic targets as well as to perform validation studies directed to assess their efficacious engagement and pharmacological modulation. Herein I will elaborate on the physicochemical and biological features of a good tool compound, review historical work to assemble a comprehensive, properly annotated, collection of optimal chemical probes and discuss its use towards exploratory phenotype-driven biology (target discovery) and target validation.

8:15 Identification of HIV Reactivation Agents through Phenotypic Screening: Synergy, Mechanism, and Education

David Tellers, Ph.D., Principal Scientist, Discovery Chemistry, Merck Research Laboratories

Only a limited number of mechanisms have been identified which induce latent HIV expression *in vitro* in latently infected cell lines, primary cell models and ex vivo cells from suppressed HIV infected subjects. To identify novel mechanisms with the potential for use in combination therapy to induce latent HIV, we conducted an ultra-high throughput screen using a T-cell HIV latency model system. Results from this screen identified known mechanisms of action and a larger subset of unknown pathways. Our progress in elucidating these mechanisms will be detailed.

8:35 A Trigger Based Selectivity Mechanism of Cell Death Identified through Chemical Genetics

Deborah Rothman, Ph.D., Investigator III, Chemical Genetics, Novartis Institutes of Biomedical Research

Phenotypic drug discovery has gained momentum as a complementary approach to target based drug discovery in the last decade. Through a phenotypic drug discovery approach, we have identified the N-BICs series of small molecules, which selectively kill a subset of cancer cells. Using multiple profiling tools and techniques, we identified the mechanism of selectivity to be activation of the compounds by high cellular expression of a Phase II metabolic enzyme. Additionally, we show that the compounds covalently modify cellular proteins as part of their efficacy mechanism yet are efficiently cleared from animal models.

9:05 Sulfonyl Fluoride Chemistry for Target Validation, Identification and Other Applications in Chemical Biology

Lyn Jones, Ph.D., Head, Chemistry, Chemical Biology & Rare Diseases, Pfizer

I will describe the first rational design and synthesis of sulfonyl fluoride covalent probes that specifically target reactive tyrosine residues in a protein binding site. Subsequent development of a clickable covalent inhibitor of the mRNA/miRNA metabolizing enzyme DcpS enabled the measurement of target engagement in human primary cells for the first time. This technology validated DcpS as a bona fide target protein of a series of diaminoquinazoline derivatives and the broader utility of sulfonyl fluoride chemical probes in chemical biology will be described.

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9:35 Correlating Intracellular Drug Affinity and Residence Time with Phenotypic Outcomes Using Bioluminescence Resonance Energy Transfer (BRET)

Matt Robers, MSc, Senior Research Scientist 2, Research & Development, Integrated Biology, Promega Corporation

To elucidate the process of target engagement as it occurs in cells, we demonstrate that bioluminescence energy transfer (BRET) can provide a quantitative biophysical

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assessment of compound engagement and residence time for chosen intracellular targets. BRET reporter complexes are generated in cells by the binding of cell-permeable fluorescent probes to a selected target protein fused to a small luciferase (NanoLuc). Compound binding to the target results in competitive disruption of the BRET complex, which can be monitored in real time within intact cells. As the specificity of the BRET signal is dictated by the placement of the luciferase on the chosen target, broad-coverage probes enable a method to profile the isozyme-specific affinity and binding kinetics over entire enzyme classes. The approach has been successfully applied to a variety of target classes including kinases, integral membrane proteins, and chromatin modifying enzymes. The technique has enabled an in-depth analysis of intracellular selectivity, affinity, and residence time for various classes of histone deacetylase (HDAC) inhibitors in HeLa cells. An analysis of drug affinity revealed a strong correlation between isozyme affinity and antiproliferative potency for certain HDACs, while collateral HDAC isozymes were not similarly aligned with antiproliferation. Moreover, non-equilibrium analysis of target engagement revealed a key mechanism of action for a panel of HDAC prodrug inhibitors involving slow binding and slow dissociation. This novel application of BRET should allow correlation of phenotypic outcomes with target engagement and drug residence time in a common cellular context.

9:50 Sponsored Presentation *(Opportunity Available)*

10:05 Coffee Break in the Exhibit Hall with Poster Viewing

CHEMICAL TOOLS MODULATING GENE EXPRESSION AND PROTEIN HOMEOSTASIS

10:50 Featured Presentation: Targeted Protein Degradation of Pathological Proteins

Andy Crew, Ph.D., Vice President, Chemistry, Arvinas

Based on an 'event-driven' paradigm, targeted protein degradation offers a novel and broad mechanism to irreversibly inhibit protein function, namely, the intracellular destruction of target proteins. This is achieved via small molecule mediated recruitment of the target proteins in question to the E3 ligase component of the UPS cellular quality control machinery. The application of the Arvinas degradation platform to identification of potent degraders of TBK1 will be described.

11:20 Targeting the Stress Chaperone in Disease, Diagnosis and Treatment

Gabriela Chiosis, Ph.D., Associate Member and Lab Head, Molecular Pharmacology and Chemistry, Sloan Kettering Institute; Associate Attending, Department of Medicine, Memorial Sloan Kettering Cancer Center

Normal cellular physiology is maintained by the coordinated action of the chaperone, a network of molecular chaperones as well as co-chaperones and folding enzymes. By using innovative methods, we develop small molecule chemical tools specifically targeted to the stress chaperone; these act as "sensors" of the chronic stress, and in turn, of the chronic stress-associated proteome. I will discuss how by the use of these unique tools we aim to understand, diagnose and treat cellular processes associated with chronic stress.

11:50 Chemical Modulation of Chromatin Structure

Jun Qi, Ph.D., Senior Research Scientist, Bradner Lab, Department of Medical Oncology, Dana-Farber Cancer Institute

12:05 Sponsored Presentation *(Opportunity Available)*

12:20 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:00 Refreshment Break in the Exhibit Hall with Poster Viewing

NOVEL SYNTHETIC METHODS FOR MODULATION OF BIOLOGICAL PROCESSES

1:30 Chairperson's Remarks

Alexander Statsyuk, Ph.D., Assistant Professor, Department of Chemistry, Northwestern University

1:35 Small Molecules to Engineer and Explore Human Immunity

David A. Spiegel, Ph.D., M.D., Professor, Department of Chemistry, Yale University

Research in the Spiegel Laboratory utilizes techniques and insights from organic chemistry to modulate and/or create immunological function, an area termed "Synthetic Immunology." This talk will discuss our recent work toward novel paradigms for immunotherapy by developing and characterizing synthetic constructs that harness immune responses. Specific topics to be discussed will include the rational design and biological characterization of immunomodulatory small molecules, as well as applications in areas ranging from cancer to infectious disease.

2:05 Spliceosome Modulation for the Treatment of Mutant SF3B1 Cancers

Gregg F. Keaney, Ph.D., Senior Scientific Investigator, Medicinal Chemistry, H3 Biomedicine

This presentation will describe how the pladienolide natural products were originally identified to interact with the spliceosome through target identification cross-linking experiments, and how recently-discovered SF3B1 mutations in chronic lymphocytic leukemia (CLL) and myelodysplastic syndrome (MDS) represent a novel biological target for therapeutic intervention. The total synthesis of 6-deoxypladienolide D, a structurally-complex macrocyclic natural product, along with its biological activity in a suite of mutant SF3B1 assays will be described.

2:35 Discovering and Validating Drug Targets Using Synthetic Binding

Shohei Koide, Ph.D., Professor, Department of Biochemistry and Molecular Biology, The University of Chicago

We have developed "Monobodies," synthetic binding proteins that can be introduced into cells as genetically encoded protein. Remarkably, Monobodies to diverse target proteins are almost always inhibitors of their functions. Like small-molecule drugs, Monobodies modulate endogenous targets by binding them. Therefore, investigation of cellular effects of Monobodies and of the structural basis of Monobody-target interactions accelerates target validation and the discovery of potentially druggable sites. I will discuss our approach as applied to signal transduction and epigenetics.

3:05 High-Throughput Generation of Synthetic Peptides Modulating Enzyme Function

Sachdev Sidhu, Ph.D., Professor, Donnelly Centre for Cellular & Biomolecular Research, Department of Molecular Genetics, University of Toronto

Peptide ligands are promising small-molecule therapeutic candidates for devastating diseases such as cancer. In principle, some natural proteins could be used as therapeutic agents, but their target binding affinities often precludes their use in a clinical setting. Using a phage display strategy and libraries of variant proteins designed based on crystal structure information, we can evolve high affinity variants that show increased binding affinity and improved activity compared to the wild type proteins.

3:35 Modeling Peptide Therapeutics/A Case Study

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Oscar Villacañas, Ph.D., Head, Computational Chemistry, Intelligent Pharma

The identification of small molecules which can mimic peptides has great potential in overcoming difficulties associated with synthesis, or unfavorable physical properties. Through a case study we applied our ligand-based virtual screening to determine the similarity of a peptide to a set of small molecules that were experimentally validated.

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3:50 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing

» **5:00 PLENARY KEYNOTE PANEL** *(see page 2 for details)*

6:00 Welcome Reception in the Exhibit Hall with Poster Viewing

7:00 Close of Day

THURSDAY, JUNE 11

7:30 am Interactive Breakout Discussion Groups
Each discussion group in this session is led by a moderator/s who ensures focused conversations around key issues. Attendees join a specific group and the small, informal setting facilitates sharing of ideas and active networking. Topics for discussion will be made available on the conference website.

KEYNOTE SESSION: CHEMICAL BIOLOGY DRIVING DISCOVERY

8:35 Chairperson's Remarks
Laura Benitez, Ph.D., Sales and Business Development Manager, Intelligent Pharma

8:45 From Yeast to Human Neurons and Back Again: Powerful Platforms for Chemical Biology and Target Validation
Susan Lindquist, Ph.D., Professor, Biology, MIT; Investigator, Howard Hughes Medical Institute
Taking advantage of the highly conserved biology of protein folding and trafficking in eukaryotic cells, we have created yeast models of human neurodegenerative diseases that recapitulate the basic pathological processes disrupting protein homeostasis. Significantly, each yeast model exhibits cellular toxicity through a different mechanism. The unique advantage of these models is the ability to perform ultra-highthroughput screening of chemical compound libraries. Hits from these yeast screens rescue patient-derived neurons. With this validation, we return to yeast and use the power of yeast genetics to identify targets. This lecture will discuss recent successes and the future promise of these yeast-to-neurons-to-yeast platforms.

9:30 FITGE-Based Target Identification for the Connection of Rational Drug Discovery with Phenotypic Screening
Seung Bum Park, Ph.D., Professor, Chemistry, Seoul National University
We developed a new target identification platform, FITGE, which aims to preserve protein-small molecule interactions under the intact cellular environment. I will report a phenotype-based discovery of initial hits that enhance the cellular glucose uptake in myotubes and adipocytes identification and rational optimization of initial hits can generate lead compounds with high potency for PPARg transactivation and cellular glucose uptake. I will also present our current efforts on the development of novel neuroinflammatory agents from phenotypic screening and target ID.

10:15 Sponsored Presentation *(Opportunity Available)*

10:45 Coffee Break in the Exhibit Hall with Poster Viewing

CASE STUDIES IN CHEMICAL BIOLOGY TARGETING PPIs AND ALLOSTERY

11:30 Novel Probes for E3 Ligases: pH Cleavable Photocrosslinkers to Map E2/E3 Ligase PPI Interface and UbiFlu Novel Fluorescent Probes
Alexander Statsyuk, Ph.D., Assistant Professor, Department of Chemistry, Northwestern University
We will present our work toward the development of chemical probes to study the biochemistry and pharmacology of E3 ubiquitin ligases. First we have developed a novel class of pH-cleavable, minimalist photocrosslinkers that can be installed anywhere on the surface of the E2 enzyme using cysteine chemistry. The second part of this talk will outline the invention of a novel class of fluorescent activity based probes for E3 ligases called UbiFlu.

12:00 pm Not All mGluR PAMs Are Created Equal: Designing the Right Allosteric Ligand for Your Clinical Indication
Dario Doller, Ph.D., Director, Discovery Chemistry & DMPK, Global Head of Chemical Biology, Lundbeck Research USA
Allosteric modulation of glutamate-sensing metabotropic receptors (mGluRs) has the potential to provide new therapies for the most debilitating CNS diseases (AD, PD, MS). Advances in our understanding of the chemical biology of these receptors has enabled the characterization of ligands with distinct phenotype. We will disclose new results in the area of mGlu4 positive allosteric modulation, including careful characterization of different tool compounds.

12:30 Close of Conference

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Chemical Proteomics for Target Validation

Minimizing Molecular & Biological Attrition by Interrogating Target-Phenotype Relationships

Suggested Event Package:

June 9 Short Course*: Allosteric Modulators of GPCRs, (PAMs NAMs)
June 9 Dinner Short Course*: Imaging in Cancer Research: Key Applications, Modalities and Strategies
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June 11-12: Chemical Proteomics for Target Validation Conference
June 11 Dinner Short Course*: How to Best Utilize Organotypic 3D Cell Cultures in Oncology
** Separate registration required.*

THURSDAY, JUNE 11

12:00 pm Registration

OPENING KEYNOTE SESSION: TECHNOLOGICAL INNOVATIONS

2:00 Chairperson's Opening Remarks
Markus Schirle, Ph.D., Senior Investigator, Developmental and Molecular Pathways/Chemogenetics, Novartis Institutes for BioMedical Research

2:05: BioPlex 1.0: An Orfeome-Based, Mass Spectrometry-Driven, Human Protein Interaction Network
Steven P. Gygi, Ph.D., Professor, Cell Biology, Harvard Medical School
We report a scalable affinity-purification mass spectrometry (AP-MS) platform and identify interacting partners for 2,594 proteins in HEK293T cells. The resulting network (BioPlex 1.0) contains 23,744 interactions, 86% previously unknown, among 7,668 proteins. This lecture will highlight the network's construction and its insights into human disease. Within two years, the platform described here will be used to determine interacting partners from a complete pass through the Orfeome collection (~13,000 human genes).

2:50 Mass Spectrometry-Based Proteomics in Preclinical Drug Discovery
Bernhard Kuster, Ph.D., Professor, Co-Founder and Chair, Proteomics and Bioanalytics, Technische Universität München, OmicScouts GmbH
Preclinical stages in the drug discovery process require a multitude of biochemical and genetic assays in order to characterize the effects of drug candidates on cellular systems and model organisms. Dramatic technological improvements in mass spectrometry-based proteomic and chemical proteomic strategies substantially facilitate decision-making throughout the drug discovery process. Here, we highlight proteomic approaches suitable for preclinical drug discovery and illustrate the potential of exciting recent developments.

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3:35 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing

PROTEOMICS-ENABLED DISCOVERY

4:45 Proteomics as a Contributing Technology in Drug Discovery

Kieran Geoghegan, Ph.D., Research Fellow, Pfizer, Inc.

The relationship of proteomics to drug discovery continues to be explored and developed. Among the trends driving interest in such approaches are the targeting of proteins with deep controlling effects on cell metabolism, a revived interest in drugs that form covalent bonds with their targets, and the need to deconvolute the action of potent compounds for which no molecular target is known. All aspects of the continuum existing between classical proteomics and chemical biology offer potential to elucidate highly valued new information about drug action.

5:15 Tracking Cancer Drugs in Living Cells by Thermal Profiling of the Proteome

Marcus Bantscheff, Ph.D., Head, Technology, Cellzome GmbH, Molecular Discovery Research, GlaxoSmithKline

5:45 Proteomics-Based Methods for In-Depth Analysis of Key Molecular Events in Tumorogenesis

Jarrod Marto, Ph.D., Department of Biological Chemistry and Molecular Pharmacology, Dana-Farber

Proteomics-based methods provide a highly parallel readout of multiple biologically relevant events in a single experiment. Collectively these data provide a detailed view of key molecular mechanisms in cancer initiation and progression and can also facilitate drug target discovery and improved characterization of small molecule-based therapeutics.

6:15 Close of Day

FRIDAY, JUNE 12

7:30 am Interactive Breakout Discussion Groups

Each discussion group in this session is led by a moderator/s who ensures focused conversations around key issues. Attendees join a specific group and the small, informal setting facilitates sharing of ideas and active networking. Topics for discussion will be made available on the conference website.

CHEMOPROTEOMIC STRATEGIES FOR INHIBITOR DEVELOPMENT

8:35 Chairperson's Remarks

Alexander Statsyuk, Ph.D., Assistant Professor, Chemistry, Northwestern University

8:45 Chemical Proteomic Strategies to Investigate Reactive Cysteines

Eranthie Weerapana, Ph.D., Assistant Professor, Chemistry, Boston College

We have applied chemical proteomics to identify and characterize functional cysteines in the human proteome. By combining small-molecule probe synthesis with mass spectrometry-based proteomics, we have identified reactive and functional cysteines that can be targeted for covalent inhibitor development. Our small-molecule probes act as pharmacological modulators of diverse protein activities.

9:15 Serendipitous Discovery of the Selective Inhibitor of the Ubiquitin System Using Chemoproteomic Approaches

Alexander Statsyuk, Ph.D., Assistant Professor, Chemistry, Northwestern University

While designing chemoproteomic probes for UBL proteins based on covalent Nedd8 E1 enzyme inhibitor MLN4924, we discovered a molecule ABP3 that potently and covalently labeled ubiquitin and Nedd8 proteins inside A549 cells. The key to this discovery was the use of click chemistry that allowed us to visualize and identify protein targets of ABP3, due to the presence of an alkyne tag in the molecule. Subsequent follow up experiments showed that ABP3 is a potent inhibitor of Nedd8 ubiquitin conjugation in cells, but not SUMO, ISG15, and Ufm1 conjugation.

9:45 Sponsored Presentation *(Opportunity Available)*

10:15 Coffee Break in the Exhibit Hall with Poster Viewing

ADVANCES IN TARGET DECONVOLUTION

11:00 Towards Comprehensive Coverage of Drug Target Space in Chemical Proteomics

Markus Schirle, Ph.D., Senior Investigator, Developmental and Molecular Pathways/Chemogenetics, Novartis Institutes for BioMedical Research

Non-covalent approaches have been highly successful for certain target classes. However, they have a significantly lower success rate for important target classes that require intact cellular environments. In these cases, covalent strategies such as photocrosslinking-based experiments using live cell treatment have proven to be successful but require careful experimental design and optimization. Our efforts towards a comprehensive chemical proteomics strategy for *de novo* target deconvolution that includes covalent and non-covalent approaches will be presented.

11:30 Case Strudies in Target Identification and Mechanism of Action in Drug Discovery

Monica Schenone, Ph.D., Technical and Scientific Leader, Biochemical Target ID, Proteomics Platform, Broad Institute

12:00 pm Small Molecule Profiling by Protein Stability-Based Interaction Proteomics (ProSIP)

Kilian Huber, Ph.D., Senior Fellow, Giulio Superti-Furga Laboratory, CeMM Research Center for Molecular Medicine of the Austrian Academy of Sciences

We report an unbiased systems-level approach to monitor small-molecule target engagement in live cells based on protein thermal stability and quantitative mass spectrometry. The procedure does not require chemical modification of the compound of interest and in combination with tailored bioinformatic analysis constitutes a powerful means to assess target binding in a physiological context. Protein Stability-based Interaction Proteomics (ProSIP) should allow for the systematic mapping of chemical agents, including metabolites, to their natural partners.

12:30 Utilizing Chemogenomics to Chemoproteomics to Identify and Validate New Targets in Drug Discovery

Erik Hett, Ph.D., Principal Scientist, Chemical Biology, Medicinal Chemistry, Pfizer

During this presentation I will share case studies illustrating the the utilization of gene-family biased small molecule sets in phenotypic screens to identify druggable targets and to enable target identification, as well as utilizing activity-based protein profiling to deconvolute phenotypic hits.

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12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Session Break

BIOORTHOGONAL TECHNIQUES FOR LABELLING AND IMAGING

2:00 Chairperson's Remarks
Doug Johnson, Ph.D., Associate Research Fellow, Neuroscience, Medicinal Chemistry, Pfizer

2:05 Tandem Photoaffinity Labeling - Bioorthogonal Conjugation in Medicinal Chemistry
David Lapinsky, Ph.D., Associate Professor, Medicinal Chemistry, Division of Pharmaceutical Sciences, Duquesne University
This lecture will highlight recent applications of tandem photoaffinity labeling–bioorthogonal conjugation as a powerful and versatile chemical approach. In particular, recent applications of this strategy towards affinity-based protein profiling (AfBPP), drug target identification, binding ensemble profiling, studying endogenous biological molecules, and imaging applications will be presented. Additionally, recent advances in the development of 'all-in-one' compact moieties possessing a photoreactive group and clickable handle will be presented.

2:35 A Modular and Traceless Chemical Method to Locate and Track Endogenous Protein Targets in Live Cells
James Chambers, Ph.D., Assistant Professor, Chemistry, University of Massachusetts, Amherst
I will describe our rationale for designing a traceless, chemistry-based probe that allows for tagging endogenous receptors on neurons. The probe combines elements of medicinal chemistry, bio-conjugation, chemical biology, and neurobiology. I will provide a detailed discussion of our design and implementation for our first probe that was targeted to glutamate-gated AMPA receptors. I will then discuss our present efforts to modularize the system.

3:05 Chemoproteomics with Clickable Photoaffinity Probes for Neuroscience Target ID and Validation
Doug Johnson, Ph.D., Associate Research Fellow, Neuroscience, Medicinal Chemistry, Pfizer
This talk will describe how we used clickable photoaffinity probes for (off-)target identification/validation and to measure target engagement in live cells for three neuroscience projects at Pfizer. In the first example, we used clickable γ-secretase modulator (GSM) photoaffinity probes to determine the target of GSMs within the γ-secretase complex.

3:35 Close of Conference

Hotel & Travel Information

Conference Venue and Hotel:

Westin Boston Waterfront
425 Summer St.
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T: 617-532-4600

Discounted Room Rate: **$299 s/d**
Discount Cutoff Date: **May 13, 2015**

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Sponsorship, Exhibit & Lead Generation Opportunities

CHI offers comprehensive sponsorship packages which include presentation opportunities, exhibit space, branding and networking with specific prospects. Sponsorship allows you to achieve your objectives before, during, and long after the event. Any sponsorship can be customized to meet your company's needs and budget. Signing on early will allow you to maximize exposure to qualified decision-makers.

Podium Presentations— *Available within Main Agenda!*

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

Breakfast & Luncheon Podium 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!

Invitation-Only VIP Dinner/Hospitality Suite

Sponsors will select 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, helping you to make the most out of this invaluable opportunity. Evening will be customized according to sponsor's objectives i.e.:

- Purely social
- Focus group
- Reception style
- Plated dinner with specific conversation focus

Exhibit

Exhibitors will enjoy facilitated networking opportunities with qualified delegates. Speak face-to-face with prospective clients and showcase your latest product, service, or solution.

Additional branding and sponsorship opportunities available!

For sponsorship and exhibit information, please contact:
Joseph Vacca
Associate Director, Business Development
781-972-5431 | jvacca@healthtech.com

2015 Exhibitors & Sponsors (As of January 31, 2015)

AMRI
AntiCancer, Inc.
Axiogenesis
Biomodels, LLC
Biopta Ltd
Bruker Corporation
Cellular Dynamics International
Champions Oncology
Charles River
Chemical Computing Group
Collaborative Drug Discovery (CDD)
Halocarbon Products
Hybrigenics
Intelligent Pharma
International Institute for the Advancement of Medicine
InvivoSciences, Inc.
KIYATEC Inc.
Molecular Sensing, Inc.
Oncodesign
Optibrium
Persomics USA, Inc.
PharmAgra Labs, Inc.
ProQinase
Reaction Biology Corporation
Schrödinger
SCIVAX Life Sciences, Inc.
Simulations Plus, Inc.
Solid Form Solutions Ltd
Studylog Systems, Inc.
Sygnature Discovery
Synthonix
Taconic Biosciences
The Jackson Laboratory
VisualSonics

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

CHI's Lead Generation Programs will help you obtain more targeted, quality leads throughout the year. We will mine our database of 800,000+ life science professionals to your specific needs. We guarantee a minimum of 100 leads per program! Opportunities include:

- Whitepapers
- Web Symposia
- Custom Market Research Surveys
- Podcasts

Stay Connected

#CHIWPC15

CHI's INTRONET Networking at its Best

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.

Cambridge Healthtech Institute,
250 First Avenue, Suite 300,
Needham, MA 02494
www.healthtech.com

Cover
Conference-at-a-Glance
Short Courses
Chemical Biology for Target Validation
Chemical Proteomics for Target Validation
Hotel & Travel Information
Sponsor & Exhibit Opportunities
Registration Information

Click Here to Register Online!
Healthtech.com/Chemical-Biology

REGISTER TODAY!

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250 First Avenue, Suite 300,
Needham, MA 02494
www.healthtech.com

How to Register: Healthtech.com/Chemical-Biology

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

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

CONFERENCE PRICING

Includes access to 1 conference, excludes short courses

	Commercial	Academic, Government, Hospital-affiliated
After May 8, 2015 and on-site	$1,949	$899

BEST VALUE! EVENT PRICING

Includes access to 2 conferences, excludes short courses

After May 8, 2015 and on-site	$2,999	$1,379

Program Selection: When registering please indicate the one conference you will attend:

June 10-11, 2015	June 11-12, 2015
Tumor Models for Targeted Therapy	Tumor Models for Cancer Immunotherapy
Imaging in Oncology	3D Cellular Models
Mastering Medicinal Chemistry	Property Based Drug Design
Targeting GPCRs	Targeting Histone Acetylation
RECOMMENDED PACKAGE!	
Chemical Biology	Chemical Proteomics
Predicting Drug Toxicity	Functional Genomics Technologies
Blood Brain Barrier	Training Seminar: Applying Pharmacology to New Drug Discovery

SHORT COURSE

Single Short Course	$699	$399
Two Short Courses	$999	$699
Three Short Courses	$1,199	$899

June 9, 2015 | 2 - 5pm	June 9, 2015 | 6 - 9pm	June 11, 2015 | 7 - 10pm
Allosteric Modulators of GPCRs (PAMs NAMs)	Imaging in Cancer Research: Key Applications, Modalities and Strategies	How to Best Utilize Organotypic 3D Cell Cultures in Oncology

CONFERENCE DISCOUNTS

Alumni Discount	20% Off
Drug Safety Executive Council (DSEC) Members	25% Off
Poster Discount	$50 Off

POSTER DISCOUNT ($50 Off) Poster abstracts are due by April 24, 2015 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 DISCOUNT: Cambridge Healthtech Institute (CHI) appreciates your past participation at Chemical Biology for Target Validation and/or World Pharma Congress. 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 Chemical Biology for Target Validation and/or World Pharma Congress in the past in order to qualify.

Group Discounts are Available!
Special rates are available for multiple attendees from the same organization. For more information on group discounts contact David Cunningham at 781-972-5472

*Alumni, DSEC Membership, Twitter, LinkedIN, Facebook or any other promotional discounts cannot be combined. Discounts not applicable on Event Short Courses.

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 World Preclinical Congress 2015 CD for $750 (plus shipping), please visit WorldPharmaCongress.com. Massachusetts delivery will include sales tax.