5th Annual | October 2-3, 2012
Diabetes Drug Discovery and Beyond

Sunday, September 30 | 3:30 - 6:30 pm
Pre-Clinical Toxicity

Register by September 7 and SAVE up to $200!

FEATURED SPEAKERS
Jeffrey A. Robl, Ph.D.,
Executive Director,
Metabolic Diseases R&D,
Bristol-Myers Squibb
Vincent Mascitti, Ph.D.,
Senior Director,
Pfizer Global R&D

Tuesday, October 2 | 6:30 - 9:00 pm
Allosteric Modulators:
Putting Theory to Practice
Epigenetic Drug Discovery Tools

Organized by Cambridge Healthtech Institute
DiscoveryOnTarget.com
### Short Courses*

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### Event-at-a-Glance

**SUNDAY, SEPTEMBER 30**

#### 3:30 - 6:30 pm
**Pre-Clinical Toxicity (SC2)**

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

#### TUESDAY, OCTOBER 2

#### 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)

*Course Instructors to be Announced

* Separate Registration Required

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**Ways to SAVE!**

- Add a Short Course - SAVE $100
- Submit a Poster - SAVE $50
- Alumni - SAVE 20%
- Best Value - Includes Access to 2 Conferences

*(See Page 6 for complete details)*

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**Corporate Support Sponsor**

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**CHI's INTRONET**

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.
Sponsorship, Exhibit & Lead Generation Opportunities

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. These packages allow you to achieve your objectives before, during, and long after the event. Signing on early will maximize your 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.

**Additional sponsorship opportunities include:**
- Invitation-Only VIP Dinner/Hospitality Suite
- Exhibit Booth Space
- Pre-Conference Workshops
- User Group Meetings
- And Much More!

**Looking for additional ways to drive leads to your sales team?**
Cambridge Healthtech Institute can help address your marketing & sales needs through the use of:

**Custom Lead Generation Programs:**
- Targeted campaign promotion to unparalleled database of 800,000+ individuals in the life sciences
- Experienced marketing team promotes campaign, increasing awareness and leads

**Live Webinars:**
- Assistance in procuring speakers
- Experienced moderators
- Dedicated operations team to coordinate all efforts

**Whitepapers:**
- Industry recognized authors, with vast editorial experience, available to help write your whitepaper

CHI also offers market surveys, podcasts, & more!

**To customize your participation at this event, please contact:**

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

**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.)

**Media Partners**

[Images of logos for ddn, GEN, nature, AAAS, Science, and The Scientist]
TUESDAY, OCTOBER 2

12:30 pm Conference Registration

Targets for New Diabetes Therapies

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

1:40 FEATURED PRESENTATION
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

Preventing excess glucocorticoid tone in metabolically active tissues such as the liver and adipose may be beneficial in addressing glucose homeostasis and hyperglycemia in patients with type 2 diabetes. We have optimized a series of triazolopyridine based inhibitors resulting in the advancement of BMS-770767 to phase 2 clinical trials. The discovery of BMS-770767 will be presented as well as a description of its development properties, pharmacokinetics, and pre-clinical pharmacology profile.

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

2:40 Effects of PF-04620110, a Novel Diacylglycerol Acyl-Transferase 1 (DGAT1) Inhibitor on Healthy-Obese Volunteers and Type 2 Diabetic Subjects
Claire Steppan, Ph.D., Associate Research Fellow, Diabetes, Pfizer

Inhibition of DGAT1, the terminal enzyme in the synthesis of triglycerides (TG), has been proposed for the treatment of type 2 diabetes (T2DM). We sought to examine the effects of a potent and selective DGAT1 inhibitor, PF-04620110, on vitamin A absorption, TG, glucose, insulin and total amide glucagon-like peptide-1 (GLP-1) levels in both healthy-obese volunteers and Type 2 Diabetic subjects. The results of these studies will be presented.

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

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

4:15 Sponsored Presentations (Opportunities Available)

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

Using a metabolic flux approach, we show the potential beneficial and adverse effects of three gluco-kinase activator drug candidates for type2 diabetes. We report the gluco-kinase activators’ effects on glucose utilization and production, glycogen synthesis and degradation, lactic acid and triglyceride accumulation and on the citric acid cycle during glucose metabolism in rat liver cells. Our work illustrates the advantage of metabolic flux analysis for predicting early during the drug development process, both the efficacy and safety of very small amounts of antidiabetic drug candidates.

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

Mitochondrial dysfunction contributes via bioenergetic and oxidative mechanisms to a host of degenerative and metabolic diseases, including diabetes. Mitochondrial Ca2+ dynamics after insulin release, while production of free radicals yields dysregulation of glycolysis. Importantly, xenobiotic therapies for diabetes, e.g., biguanides and thiazolidinediones, directly undermine mitochondrial function thereby lowering blood glucose, albeit via an untoward mechanism. The latter results from cell culture conditions that model diabetes and anaerobic poise, not normal aerobic physiology.

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
Jerome J. Schentag, PharmD, Professor of Pharmaceutical Sciences, University at Buffalo
Targeting Membrane Proteins for Type 2 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

Inhibition of sodium-dependent glucose co-transporter 2 (SGLT2), located in the kidney, promotes reduction of plasma glucose concentration. The medicinal and synthetic organic chemistry rationale that led to the rapid identification of Ertugliflozin (PF-04971729), an anti-diabetic agent currently in development and belonging to a new class of SGLT2 inhibitors bearing a dioxa-bicyclo[3.2.1]octane bridged ketal motif, will be presented.

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

GPR119 is a promising new target for the treatment of type 2 diabetes. Agonists of this GPCR, which promote insulin secretion from pancreatic β-cells and GLP-1 release from enteroendocrine L-cells, provide a unique opportunity for a single drug to elicit insulin secretion via two distinct pathways. However, several GPR119 agonists have recently demonstrated poor clinical efficacy. We will discuss our novel GPR119 clinical candidate, ARRY-981, that has shown meaningful and durable glucose control in pre-clinical models of diabetes.

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

With the growing idea of insulin resistance due, in part, to low grade systemic inflammation, mechanistic investigations aimed at altering inflammatory tone have been undertaken by us as well as others. Recruitment of the macrophage and continued activity in the adipose tissue appears to drive insulin resistance, in part, via the secretion of Monocyte Chemoattractant Protein 1 (MCP-1) and its cognate receptor C-C Chemokine Receptor-2 (CCR2). Our efforts in disrupting the macrophage recruitment via the use of CCR2 antagonists will be presented.

11:55 Monoclonal Antibody Antagonists of the Glucagon Receptor as Therapeutic Agents
Bernard B. Allan, Ph.D., Scientist, Department of Molecular Biology, Genentech, Inc.

Excess glucagon signaling plays a key role in the development of hyperglycemia in type 1 and type 2 diabetic patients. We have generated potent anti-glucagon receptor antagonist antibodies and will present the mechanisms underlying their anti-diabetic activities in pre-clinical models, including their direct effects on hepatic glucose metabolism and indirect effects on beta-cell mass.

12:25 pm Sponsored Presentation (Opportunity Available)

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

New Therapeutic Approaches

1:55 Chairperson's Remarks
Jesper Gromada, Ph.D., Executive Director, Cardiovascular and Metabolic Diseases, Novartis Institutes for BioMedical Research

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

The XMetA antibody represents novel drug class for the treatment of diabetes. XMetA has unique properties including selective partial agonism of insulin receptor metabolic signaling resulting in improvements in the disease state of both hyperinsulinemic insulin resistant and insulinopenic diabetic animals. The in vitro and in vivo data to be presented for XMetA will provide a clear demonstration of how allosteric modulation of the insulin receptor with a monoclonal antibody can translate to improvements in disease.

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

Type 1 and type 2 diabetes are characterized by a loss of beta cell mass. However, therapeutic options aimed at preservation or restoration of endogenous beta cell mass, are not currently available. We used phenotypic screening approaches for both small molecule and biologic agents to identify regulators of beta cell survival and beta cell proliferation. We report on several series of small molecules that induce beta cell proliferation and/or protect beta cells from various forms of stress and have potential as therapeutic options for both type 1 and type 2 diabetes.
3:00 Refreshment Break in the Exhibit Hall with Poster Viewing

3:40 Gastric Bypass in Mice as a Model for Target Identification
Vincent Aguirre, M.D., Ph.D., Assistant Professor, Internal Medicine, University of Texas Southwestern Medical Center
We will discuss a mouse model of gastric bypass, which recapitulates effects of this procedure on body weight, body composition, glucose homeostasis, and stool energy observed in humans. The reproducibility of this model allows high-resolution comparison of effects of gastric bypass across genetic models using advanced methodologies, such as MRS metabolic flux, proteo metabolomics, and deep sequencing. As such, it enables targeted investigation of bypass-induced biological pathways and refined identification of novel pharmaceutical targets capable of mimicking beneficial effects of bariatric surgery.

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
This presentation will focus on the role of stem cell-based therapies to treat diabetes, highlighting the therapeutic potential of mesenchymal stem cells in diabetes. Our research group’s focus is on ways to transplant islet cells without the need for anti-rejection drugs, including the incorporation of stem cells into transplant protocols.

4:40 Discovery of Lorcaserin: A Selective 5-HT2C Agonist for Weight Management
Graeme Semple, Ph.D., Vice President, Discovery Chemistry, Arena Pharmaceuticals, Inc.
Compelling evidence suggests that drugs which activate the 5-HT2C receptor cause weight loss and thus have potential for use as weight management agents. Because serotonin elicits a number of biological responses through modulation of other 5HT-related proteins, selectivity was a critical challenge particularly with respect to the closely related 5-HT2A and 5-HT2B receptors. This presentation outlines some of the events, challenges and achievements that led to the discovery and development of lorcaserin.

5:10 Close of Conference

**Conference & 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.**

**Travel Information:**
For additional travel information and discounts, please visit the hotel and travel page of the conference website.

**Conference Discounts**

**PRESENT A POSTER AND SAVE $50!**
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. *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%)
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
**Pricing and Registration Information**

### Short Courses

**Add a Short Course to your Conference Registration and SAVE $100**

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<tr>
<td>One short course</td>
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**Sunday, September 30**

- An Understanding of Structure- and Fragment- Based Drug Discovery: Tools and Techniques (SC1)
- Pre-Clinical Toxicity (SC2)
- Understanding Protein-Protein Interactions (SC4)

**Tuesday, October 2**

- Setting Up Effective RNAi Screens: Getting from Design to Data (SC5)
- DINNER SHORT COURSE: Allosteric Modulators (SC6)
- DINNER SHORT COURSE: Epigenetic Drug Discovery Tools (SC7)

### Multiple Conference Pricing • • • BEST VALUE! • • •

(Includes access to 2 conferences, excludes short courses)

| Advance Registration Discount until September 7, 2012 | $2595 | $1125 |
| Registrations after September 7, 2012, and on-site   | $2775 | $1195 |

### Single Conference Pricing

(Includes access to 1 conference, excludes short courses)

| Advance Registration Discount until September 7, 2012 | $1645 | $825  |
| Registrations after September 7, 2012, and on-site  | $1845 | $925  |

**October 1-2, 2012**

1. GPCR-Based Drug Discovery
2. Novel Strategies for Kinase Inhibitors
3. Targeting The Ubiquitin Pathway
4. Next-Generation Histone Deacetylase Inhibitors
5. Targeting Cancer Cell Metabolism

**October 2-3, 2012**

6. Allosteric Modulators
7. Advances in Targeting the PI3K Pathway
8. Functional Genomics Screening Strategies
9. Targeting Histone Methyltransferases and Demethylases
10. Diabetes Drug Discovery and Beyond

### 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

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