



Join Keystone Symposia  
for the 2016 conference on:

# G Protein-Coupled Receptors: Structure, Signaling and Drug Discovery

February 21–25, 2016

Keystone Resort | Keystone, Colorado | USA

Scientific Organizers:

Arthur Christopoulos, Laura M. Bohn and Dominic P. Behan

*The field of G protein-coupled receptors has recently seen major advances in high-resolution structure determination, as well as the validation of novel paradigms of drug action, such as allosteric modulation and biased agonism, as likely universal mechanisms. These studies are opening new insights into the diversity of this receptor superfamily, teaching us new lessons in receptor functionality and hinting at novel avenues to investigate. At the same time, we are seeing exciting discoveries in GPCR intracellular signaling and physiology. The timing is right to bring together researchers in these different areas. This meeting will focus on the interplay between these discoveries and how they are being used to advance GPCR-based research toward improved clinical outcomes.*

*Session Topics:*

- GPCR Structure-Function I & II
- GPCRs and CNS Biology
- Computational Studies of GPCRs
- GPCRs in Physiology and Disease
- GPCR Signaling and Bias
- GPCR Drug Discovery
- Recent Developments in GPCR Discovery



Submitting an abstract is a great way of participating in the conference through poster presentation and possible selection for a short talk.

**Scholarship & Discounted Abstract Deadline: Oct 21, 2015**

**Abstract Deadline: Nov 19, 2015**

**Discounted Registration Deadline: Dec 21, 2015**

For additional details, visit [www.keystonesymposia.org/16B3](http://www.keystonesymposia.org/16B3).

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on Molecular and Cellular Biology  
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on Molecular and Cellular Biology

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### SUNDAY, FEBRUARY 21

#### Arrival and Registration

### MONDAY, FEBRUARY 22

#### Welcome and Keynote Address

\***Arthur Christopoulos**, Monash University, Australia

**Brian K. Kobilka**, Stanford University School of Medicine, USA  
*Novel Structural Insights into GPCR Function*

#### GPCR Structure-Function I

\***Brian K. Kobilka**, Stanford University School of Medicine, USA

**Laurence J. Miller**, Mayo Clinic, USA

*Allosteric Regulation of the Type 1 Cholecystokinin Receptor*

**Patrick M. Sexton**, Monash University, Australia

*Molecular Mechanisms Governing Signaling and Bias at Class B GPCRs*

**Tracy M. Handel**, University of California, San Diego, USA  
*Chemokine Receptor Signaling*

**Ankita Srivastava**, Takeda San Diego, USA

*Structural Basis of Allosteric Agonist Action at GPR40*

**Short Talk(s) Chosen from Abstracts**

#### GPCR Structure-Function II

\***Laurence J. Miller**, Mayo Clinic, USA

**Graeme Milligan**, University of Glasgow, UK

*Molecular Pharmacology of Free Fatty Acid Receptors*

**Michel Bouvier**, University of Montreal, Canada

*Novel Approaches to interrogating GPCR Signaling*

**Arthur Christopoulos**, Monash University, Australia

*Insights into Allosteric Modulation of GPCRs*

**Short Talk Chosen from Abstracts**

#### Poster Session 1

### TUESDAY, FEBRUARY 23

#### GPCRs and CNS Biology

\***Laura M. Bohn**, The Scripps Research Institute, USA

**Marc G. Caron**, Duke University Medical Center, USA

*Integrated Approaches to Understanding GPCRs in the CNS*

**Bryan Roth**, University of North Carolina, Chapel Hill, USA

*Illuminating a Subterranean GPCR-Ome*

**P. Jeffrey Conn**, Vanderbilt University School of Medicine, USA

*Impact of Diverse Modes of Efficacy on Potential Therapeutic Effects of Allosteric Modulators of GPCRs*

**Karen O'Malley**, Washington University School of Medicine, USA

*Intracellular Signaling of Metabotropic Glutamate Receptors*

**Short Talk(s) Chosen from Abstracts**

#### Computational Studies of GPCRs

\***Patrick M. Sexton**, Monash University, Australia

**Chris de Graaf**, VU University Amsterdam, Netherlands

*Computational Chemistry Approaches to GPCR Drug Discovery*

**Brian K. Shoichet**, University of California, San Francisco, USA  
*Structure-Based and Network Pharmacology for GPCR Ligand discovery*

**Marta Filizola**, Icahn School of Medicine at Mount Sinai, USA  
*Atomistic Level Approach to Allosteric Modulation and Biased Agonism to Develop Non-Addictive Painkillers*

**Short Talk Chosen from Abstracts**

#### Poster Session 2

### WEDNESDAY, FEBRUARY 24

#### GPCRs in Physiology and Disease

\***Michel Bouvier**, University of Montreal, Canada

**Melanie H. Cobb**, University of Texas Southwestern Medical Center, USA

*Nutrient Sensing GPCRs in Pancreatic Beta Cells*

**Dominic P. Behan**, Arena Pharmaceuticals, Inc., USA

*GPCR Modulation of Feeding and Satiety with Specific Reference to Lorcaserin HCl*

**Lora K. Heisler**, University of Aberdeen, UK  
*GPCRs in Obesity and Type 2 Diabetes*

**Maree Smith**, University of Queensland, Australia

*Discovery, Preclinical and Clinical Validation of AT2 Receptor Antagonists as Novel Approaches to Treating Chronic Pain*

**Short Talk(s) Chosen from Abstracts**

#### GPCR Signaling and Bias

\***Dominic P. Behan**, Arena Pharmaceuticals, Inc., USA

**Martine J. Smit**, VU University Amsterdam, Netherlands

*GPCR-Targeting Nanobodies*

**Louis M. Luttrell**, Medical University of South Carolina, USA

*Impact of ligand bias on GPCR signaling networks*

**Laura M. Bohn**, The Scripps Research Institute, USA

*Biased Opioid Receptor Signaling Away from Opiate Side Effects*

**Short Talk Chosen from Abstracts**

#### Poster Session 3

### THURSDAY, FEBRUARY 25

#### GPCR Drug Discovery

\***P. Jeffrey Conn**, Vanderbilt University School of Medicine, USA

**Christopher Langmead**, Monash University, Australia

*Challenges and Opportunities for CNS Drug Discovery*

**Dimitri E. Grigoriadis**, Neurocrine Biosciences, Inc., USA

*Targeting CRF and GnRH Receptors in Central Nervous System and Endocrine Disorders*

**Fiona H. Marshall**, Heptares Therapeutics Ltd., UK

*Structure Based Design Applied to Allosteric Modulators of GPCRs*

**Alexandros Makriyannis**, Northeastern University, USA

*Talk Title to be Announced*

**Short Talk(s) Chosen from Abstracts**

#### Recent Developments in GPCR Discovery

\***Graeme Milligan**, University of Glasgow, UK

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**Andrew B. Tobin**, University of Leicester, UK

*Genetic and chemo-genetic approaches to determine the modes of action of G protein coupled receptors and their ligands.*

**JoAnn Trejo**, University of California, San Diego, USA

*GPCR Endosomal Signaling Controls Endothelial Barrier Permeability*

**Georgios Skiniotis**, University of Michigan, USA

*Cryo-EM Visualization of GPCRs*

**Meeting Wrap-Up: Outcomes and Future Directions (Organizers)**

**FRIDAY, FEBRUARY 26**

**Departure**