



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.

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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
Structural Insights into G Protein-Coupled Receptor Signaling

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
Structure and Implications of the Metastasis Promoting Chemokine Receptor, CXCR4, in Complex with Chemokine.

Martin J. Lohse, Max Delbrück Center for Molecular Medicine, Germany
Optical Monitoring of Receptor Signaling - From Molecules to Intact Organisms

Byron Carpenter, MRC Laboratory of Molecular Biology, UK
Short Talk: Crystal Structure of the Human Adenosine A2a Receptor Bound to an Engineered G Protein

Philippe Rondard, Institut de Génomique Fonctionnelle, France
Short Talk: Hippocampal mGlu2 Receptor Homodimers Tune Context Fear Consolidation as Revealed with Positive Allosteric Nanobodies

GPCR Structure-Function II

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

Graeme Milligan, University of Glasgow, UK
Exploring Novel Roles for Free Fatty Acid Receptor 4 (GPR120)

Michel Bouvier, University of Montreal, Canada
Novel Approaches to Interrogating GPCR Signaling

Arthur Christopoulos, Monash University, Australia
Insights into Allosteric Modulation of GPCRs

Alexey Bondar, Institute of Nanobiology and Structural Biology, Czech Republic
Short Talk: Precoupling of G Proteins with GPCRs Visualized by Two-Photon Polarization Microscopy

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 at Chapel Hill, USA
Illuminating a Subterranean GPCR-ome

Jeffrey Jeffrey Conn, Vanderbilt University, 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

Christopher Wild, University of Texas Medical Branch, USA
Short Talk: Serotonin (5-HT) 5-HT_{2C} Receptor (5-HT_{2CR}) Allosteric Modulators as Novel Neurotherapeutics

Neah Likhite, University at Buffalo, USA
Short Talk: The Protein Arginine Methyltransferase PRMT5 Promotes D₂-like Dopamine Receptor Signaling

Computational Studies of GPCRs

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

Chris de Graaf, VU University Amsterdam, Netherlands
Computational Medicinal 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

Nagarajan Vaidehi, City of Hope National Medical Center, USA
Short Talk: Dynamics of Thermostable Mutant Receptors in Detergent Micelles

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, Sentia Medical Sciences, Inc., USA
GPCR Modulation of Persistent Signaling and Energy Homeostasis with Specific Reference to Serotonin Receptors and Lorcaserin HCL's Selectivity

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

Maree Smith, University of Queensland, Australia
Selective Small Molecule Angiotensin II Type 2 (AT₂) for Neuropathic Pain

Rui Chang, Harvard Medical School, USA
Short Talk: GPCR-Based Genetic Identification of Two Sensory Neuron Types that Differentially Control Breathing

Derek Bone, NIDDK, National Institutes of Health, USA
Short Talk: Skeletal Muscle Gq-DREADD Signaling Greatly Enhances Glucose Tolerance and Insulin Sensitivity in Mice

GPCR Signaling and Bias

***Dominic P. Behan**, Sentia Medical Sciences, Inc., USA

Martine J. Smit, VU University Amsterdam, Netherlands
Nanobodies Targeting Oncogenic GPCRs

Louis M. Luttrell, Medical University of South Carolina, USA
Impact of Ligand Bias on GPCR Signaling Networks

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Laura M. Bohn, The Scripps Research Institute, USA
Biasing Opioid Receptor Signaling Away from Negative Side Effects

Patricia H. McDonald, The Scripps Research Institute, USA
*Short Talk: Development and Characterization of a Long-acting
GLP-1R G-protein Biased Agonist*

Poster Session 3

THURSDAY, FEBRUARY 25

GPCR Drug Discovery

***Bryan Roth**, University of North Carolina at Chapel Hill, 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
The Endocannabinoid System as a Therapeutic Target

Irina Kufareva, University of California, San Diego, USA
*Short Talk: Using the Pocketome to Identify Binding Pocket
Neighbours for Surrogate Ligand Screening at Orphan G
Protein-Coupled Receptors*

Asuka Inoue, Tohoku University, Japan
*Short Talk: G Protein-Depleted HEK293 Cells and
Beta-Arrestin-Depleted HEK293 Cells: A Toolbox for GPCR Signaling
Researches and its Application to Understanding Landscapes of G
Protein Coupling*

Recent Developments in GPCR Discovery

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

Andrew B. Tobin, University of Glasgow, Scotland
*Genetic and Chemo-Genetic Approaches to Determine the Modes of
Action of G Protein Coupled-Receptors and their Ligands*

Ron O. Dror, Stanford University, USA
Structural Basis for Nucleotide Exchange in Heterotrimeric G Proteins

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

FRIDAY, FEBRUARY 26

Departure