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

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.
SUNDAY, FEBRUARY 21
Arrival and Registration

MONDAY, FEBRUARY 22
Welcome and Keynote Address
*Arthur Christopoulos, Monash University, Australia
Brian K. Koblika, Stanford University School of Medicine, USA

Structural Insights into G Protein-Coupled Receptor Signaling

GPCR Structure-Function I
*Brian K. Koblika, Stanford University School of Medicine, USA
Laurence J. Miller, Mayo Clinic, USA
Patrick M. Sexton, Monash University, Australia

Allosteric Regulation of the 1 Cholecystokinin Receptor

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 mGlur2 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
Bryan Roth, University of North Carolina at Chapel Hill, USA
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-HT2C Receptor (5-HT2CR) 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

* Session Chair † Invited but not yet accepted  Program current as of November 21, 2018. Program subject to change. Meal formats are based on meeting venue. For the most up-to-date details, visit www.keystonesymposia.org/16B3.
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
*Challenges and Opportunities for CNS Drug Discovery*

Christopher Langmead, Monash University, Australia
*Targeting CRF and GnRH Receptors in Central Nervous System and Endocrine Disorders*

Dimitri E. Grigoriadis, Neurocrine Biosciences, Inc., USA
*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
*Asuka Inoue*, Tohoku University, Japan
*Short Talk: Using the Pocketome to Identify Binding Pocket Neighbours for Surrogate Ligand Screening at Orphan G Protein-Coupled Receptors*

*Recent Developments in GPCR Discovery*

*Graeme Milligan*, University of Glasgow, UK
*Structural Basis for Nucleotide Exchange in Heterotrimeric G Proteins*

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

Ron O. Dror, Stanford University, USA
*Recent Developments in GPCR Discovery*

*Departure*

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