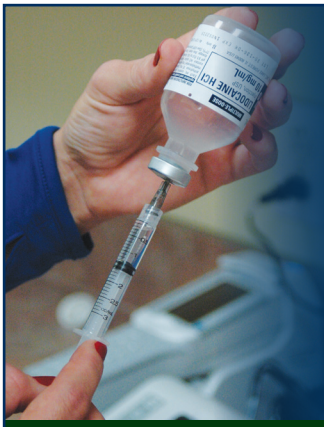

GPCR Structure and Function: Taking GPCR Drug Development and Discovery to the Next Level

February 16–20, 2018 | Eldorado Hotel | Santa Fe, New Mexico | USA

Scientific Organizers:
Roger K. Sunahara, University of California, San Diego, USA
Christian Felder, Eli Lilly and Company, USA

G protein-coupled receptors (GPCRs) are a critical family of cell surface receptors that detect extracellular stimuli, facilitate intercellular communication and regulate cellular homeostasis. As such, GPCRs remain highly relevant drug discovery targets addressing key unmet medical needs. Recent advances in understanding GPCR signaling networks, coupled with the unraveling of structural details of GPCRs, their signaling partners, and in some cases their signaling complexes, have enhanced our understanding of GPCR function. These advances have provided researchers the basic tools to interrogate critical but diverse aspects of GPCR signaling, such as receptor conformation, receptor-effector specificity and the role of receptor subcellular distribution, in signaling efficacy. All of these factors may influence ligand binding and therefore have impact on drug discovery. The availability of atomic-resolution structures of GPCRs alongside the introduction of functional coupling (e.g., biased signaling) is providing the potential for physiologically tailored and therefore disease-specific therapies. However, challenges remain as the design of small molecule tools and potential drugs is both labor-intensive and very costly, requiring large chemical library screening campaigns. In addition, improvements are still needed in GPCR ligand selectivity, signaling specificity and appropriate kinetics to achieve maximal efficacy and reasonable safety. Rational ligand design could significantly reduce both time and cost of drug discovery. Viable approaches are currently being explored through the combination of molecular dynamic simulations, GPCR crystallization and biophysical analysis. Drug efficacy and safety improvements are slow to emerge and can be addressed by the development of physiologically relevant GPCR ligands. Targeting allosteric sites, hetero- and homo-dimers and specific signaling pathways could provide such context- and disease-specific regulation. This conference will highlight the latest developments in GPCR structure/function, ligand discovery and design, intracellular signaling pathways and their impact on modern drug discovery.

Session Topics:
- Structure and Function of GPCRs I & II
- GPCRs: Naturally Great Drug Targets
- Novel Approaches to Drug Action and Discovery
- Complexity of Cellular Signaling
- The GPCR Superfamily: Broad Mechanisms of Action
- GPCRs *in vivo*: Implications to Disease
- Drug Discovery and the Realistic Process

Scholarship Application & Discounted Abstract Deadline: October 17, 2017
Abstract Deadline: November 15, 2017
Discounted Registration Deadline: December 18, 2017

Note: Scholarships are available for graduate students and postdoctoral fellows and are awarded based on the abstract submitted. Submitting an abstract is an excellent opportunity to gain exposure for your work. Abstracts submitted by the abstract deadline will also be considered for short talks on the program.

Meeting Hashtag: #KSgpcr
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FRIDAY, FEBRUARY 16

Arrival and Registration

SATURDAY, FEBRUARY 17

Welcome and Keynote Address

Brian K. Kobilka, Stanford University School of Medicine, USA
The Structural Dynamics of GPCR Activation

Structure and Function of GPCRs I

Robert Scott Prosser, University of Toronto, Canada
Molecular Underpinnings of GPCR Pharmacology and Mechanisms of GPCR Activation. Spectroscopic Studies of the Adenosine A2A Receptor

Beili Wu, Shanghai Institute of Materia Medica, CAS, China
Structure of the Full-Length Glucagon Receptor

Scott C. Blanchard, Weill Cornell Medicine, USA
Single-Molecule Analysis of Ligand Efficacy in β2AR-G Protein Activation

Short Talk(s) Chosen from Abstracts

Structure and Function of GPCRs II

Tracy M. Handel, University of California, San Diego, USA
Signaling Bias in Chemokine Receptors

Eric Xu, Van Andel Institute, USA
Molecular Assembly of Rhodopsin with GRK1 and Arrestin

Ka Young Chung, Sungkyunkwan University, South Korea
Conformational Mechanism of GPCR Signaling Analyzed by Hydrogen/Deuterium Exchange Mass Spectrometry

Short Talk Chosen from Abstracts

Poster Session 1

SUNDAY, FEBRUARY 18

GPCRs: Naturally Great Drug Targets

Nagarajan Vaidehi, City of Hope National Medical Center, USA
Information Pipelines: Forward and Reverse Allostery in GPCRs

Fiona H. Marshall, Heptares Therapeutics Ltd., UK
Using X-Ray Structures of GPCRs to Guide Drug Discovery - Challenges for Allosteric Modulators

Arthur Christopoulos, Monash University, Australia
GPCR allosteric modulators: Discovery and relevance to disease context

Daniel Rosenbaum, University of Texas Southwestern Medical Center, USA
Structure-Function of the CB1 Cannabinoid Receptor

Short Talk(s) Chosen from Abstracts

Novel Approaches to Drug Action and Discovery

Dirk Trauner, New York University, USA
How to Convert Any GPCR Into a Photoreceptor

Bryan Roth, University of North Carolina, Chapel Hill, USA
New Technologies for Illuminating the Druggable GPCR-ome

Jeffrey Conn, Vanderbilt University, USA
Genetic Insights Lead to Discovery of Selective mGlu1 and mGlu3 Metabotropic Glutamate Receptor PAMs as Potential Treatments for Schizophrenia

Short Talk Chosen from Abstracts

Poster Session 2

MONDAY, FEBRUARY 19

Complexity of Cellular Signaling

Mark von Zastrow, University of California, San Francisco, USA
Subcellular Organization of GPCR Signaling

Madan Babu Mohan, MRC Laboratory of Molecular Biology, UK
Common Structural Patterns in GPCR-G Protein Signaling

Ron O. Dror, Stanford University, USA
One Receptor, Many Partners. How Do GPCRs Stimulate Diverse Signaling Proteins?

Martin J. Lohse, Max Delbrück Center for Molecular Medicine, Germany
The Kinetics of Biased Signaling in GPCRs

Short Talk(s) Chosen from Abstracts

The GPCR Superfamily: Broad Mechanisms of Action

Gregory Tall, University of Michigan Medical School, USA
Adhesion GPCRs: A One and Done Family of Receptors and their Implications in Disease

Susan G. Amara, NIMH, National Institutes of Health, USA
GPCR Signaling in Dopamine Neurons: An Intracellular Trace-Amine Receptor, TAAR1, Mediates the Actions of Amphetamines

Patrick M. Sexton, Monash University, Australia
Structure and Function of Class B GPCRs

Short Talk Chosen from Abstracts

Poster Session 3

TUESDAY, FEBRUARY 20

GPCRs in vivo: Implications to Disease

Kathleen M. Caron, University of North Carolina at Chapel Hill, USA
RAMPS: The Natural Allosteric Modulators and their Role in Disease

Michael R. Bruchas, Washington University School of Medicine, USA
Optical Approaches for Dissecting GPCR Signaling in Motivated Behavior

J. Silvio Gutkind, University of California, San Diego, USA
GPCR and G Protein Mutations in Cancer

Andrew B. Tobin, University of Glasgow, Scotland
From Cognition to Seizures: Novel Molecular Mechanism Underlying Key Muscarinic Receptor Action

Short Talk(s) Chosen from Abstracts

Drug Discovery and the Realistic Process

Christian C. Felder, Eli Lilly and Company, USA
Drug Discovery Meets External Innovation in the Development of Selective GPCR Ligands

Steve Hitchcock, Takeda Pharmaceuticals International Co., USA
Talk Title to be Announced

Michael Kavana†, Merck & Co., Inc, USA
Quantitative Analysis of Drug Action: Orthersteric and Allosteric Modulators

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

WEDNESDAY, FEBRUARY 21

Departure