

16th Winter Conference on Medicinal & Bioorganic Chemistry

January 29th - February 2nd 2023
Steamboat Springs Resort, Colorado

Organised in collaboration with:



Provisional Program



Sunday 29th January 2023

- 1.00 – 5.00pm** **Registration**
- 4.00 – 5.00** **Welcome Coffee and Cookies**
- 5.00** **Welcome and Opening Remarks – Philippe Nantermet, Conference Chair**
- Welcome to the 2023 MBCF Scholars – Maria Chiriac, Member of the Board**
- 5.20 – 7.40 pm** **Drug Hunting**
Chair: *Klemens Hoegenauer, Novartis*

Woody Sherman, Psivant Therapeutics
Is it Time for Computation-driven Drug Design? The Discovery of a Small Molecule STING Agonist using the QUAISAR Computational Platform

Jason Shields, AstraZeneca
Medicinal Chemistry with the Fifth Element: Discovery of Arginase Inhibitor AZD0011

Kentaro Futatsugi, Pfizer
Discovery of DGAT2 Inhibitors for the Treatment of NASH

Drug Hunter Award Introduction and Prize
Chairs: *Philippe Nantermet and Matthew Hayward*

Ian M. Bell, Merck (**Drug Hunter Award**)
CGRP Receptor Antagonists for the Treatment of Migraine

7.40 – 10.00 pm **Welcome Networking Reception in the Exhibition Area**

Monday 30th January 2023

7.00 – 8.00 am **Good Morning Buffet Breakfast**

8.00 - 11.00 am **Enabling Methodology and Technology**

Chair: Iulia Strambeanu, Janssen

David Leitch, University of Victoria

Mapping Chemical Space via High-throughput Experimentation: New Tools, New Reactions, and New Bicyclic Scaffolds

Song Lin, Cornell

New Strategies for Redox Catalysis

Amanda Dombrowski, AbbVie

Enabling and Accelerating Drug Discovery with High Throughput Chemistry

Victoria Hélan, AstraZeneca

Towards Picomole Library Synthesis and Analysis using Acoustic Technologies

Kohki Nakafuku, Janssen

Discovery of Small Molecule Inhibitors Using a Modern Screening Paradigm and High Throughput Chemistry

4.00 - 5.00 pm **Back from the Slopes – Coffee and Cookie Break**

5.00 – 8.00 pm **Antivirals and Pandemic Diseases, Past, Present and Future**

Chair: John McCauley, Merck

Jamie Tuttle, Pfizer

Discovery and Development of Nirmatrelvir

Patrick Fier, Merck

Developing Large-Scale Syntheses of Molnupiravir, an Antiviral for COVID-19

Phil Sanderson, NIH

The Antiviral Program for Pandemics at NCATS-NIH

Uwe Schoenbeck, Pfizer Inc.

Expanding the potential of RNA beyond Covid-19

Tuesday 31st January 2023

7.00 – 8.00 am Good Morning Buffet Breakfast

8.00 – 11.00 am SynthChemBio
Chair: *Niyi Fadeyi, InduPro*

Christopher am Ende, Pfizer Inc.
Advancing Chemical Biology through Innovative Synthesis

Emma Grant, GlaxoSmithKline
Reactive Fragments in Covalent Drug Discovery

Rob Oslund, InduPro Therapeutics
Redox Activation Strategies to Profile Biological Systems

Francisco Garcia, Novartis Institute for Biomedical Research
Enhancing the Scope of Ligandability with Diverse Electrophiles

4.00 - 5.00 pm Back from the Slopes – Coffee and Cookie Break

5.00 – 7.00 pm General Session: Synthesis and Med Chem
Chair: *Amy Dounay, Colorado College*

5.00 Bryan Norman, Enveda Biosciences
Natural Product Drug Discovery in the Age of Metabolomics and Machine Learning

5.20 Schuyler Chambers, Stanford University
Harnessing Human Milk Oligosaccharides to Combat Group B Streptococcus

5.40 Lori Ferrins, Northeastern University
Human Kinase Inhibitors as Starting Points for Kinetoplastid Drug Discovery

6.00 Mark Tichenor, Johnson & Johnson
Discovery of JNJ-64264681: A Potent and Selective Covalent BTK Inhibitor for Treating Hematological Malignancies

6.20 Jana Polivkova, Pfizer
Synthesis of MC4R Antagonist PF-07258669: From First Synthesis to Development of Scalable Route for Clinical Studies

6.40 Jeremy Richter, Bristol-Myers Squibb
Discovery of a Renal Outer Medullary Potassium (ROMK) Channel Inhibitor Clinical Candidate for the Treatment of Heart Failure

7.00 – 8.30 pm Networking Session
Drinks & Poster Session

Wednesday 1st February 2023

7.00 – 8.00 am Good Morning Buffet Breakfast

8.00 – 11.00 am **Beyond Ro5 and Novel Modalities**
Chair: *Lou Chupak, Bristol-Myers Squibb*

Dave Degoey, AbbVie
Discovery of bRo5 Drugs: Lessons from the Past and Progress toward Better Prediction of Oral Absorption

Yanke Liang, C4 Therapeutics
The Discovery and Characterization of CFT-18442: A Potent, Selective, and Orally Bioavailable Degradar of BRAF V600E

Geoffray Leriche, Plexium
Rational Discovery of BRD4 Monovalent Protein-Degraders

Patrizio Mattei, F. Hoffmann-La Roche Ltd
Discovery of RG6006, a Tethered Macrocyclic Peptide Targeting Acinetobacter baumannii

John O. Link, Gilead Sciences
The Discovery and Development of Lenacapavir (GS-6207): a Twice-Yearly Injectable or Orally Dosed First-in-Class HIV Capsid Inhibitor

4.00 - 5.00 pm Back from the Slopes – Coffee and Cookie Break

5.00 – 8.00 pm **MacMillan Alumni Session: Topics in Medicinal and Synthetic Chemistry**
Chair: *Michael VanHeyest, GSK*

Raphaëlle Berger, Merck
Discovery of MK-6158 an oral, once daily, Soluble Guanylate Cyclase (sGC) Stimulator for the treatment of Pulmonary Arterial Hypertension

Andrew Capacci, Biogen
Discovery of Selective, CNS-Penetrant Covalent Inhibitors of Bruton's Tyrosine Kinase for the Treatment of Multiple Sclerosis

Vy Dong, UCI
Choose Your Own Adventure in Metal-Hydride Catalysis

Robert M. Williams' Memorial KEYNOTE LECTURE
Program Chair: **Mike VanHeyest, GSK**

2021 Nobel Laureate David W.C. MacMillan Princeton University
The Development of Asymmetric Organocatalysis and Metallaphotoredox

Thursday 2nd February 2023

7.00 – 8.00 am **Good Morning buffet breakfast**

8.00 – 11.00 am **Late Breaking Stories and 1st Disclosures**

Chair: *Hanna Wisniewska, Pfizer Inc.*

Jim Barrow, John Hopkins University

Discovery and Profiling of a Peripherally-restricted GABA-A Positive Allosteric Modulator for Treatment of Irritable Bowel Syndrome

Manuel de Lera Ruiz, Merck

Invention of the Dual Plasmepsin IX/X Inhibitor MK-7602 – A Promising Next Generation Antimalarial Agent from an Efficient Academic/Industrial Collaboration

Rob Kania, Kinnate

The Discovery of KIN-2787, A Solution to the Challenges of Pan-RAF Kinase Inhibition

Nicolas Soldermann, Novartis

Conquest of a PPI Everest: Disrupting the YAP/TEAD Interface with Small Molecules

Lou Chupak, Bristol-Myers Squibb

Phenotypic Discovery and Optimization of Potent T-Cell Modulators: Dual Inhibition of Diacylglycerol Kinases α and ζ

5.00 pm **Top of the Gondola**

Networking Session

Pre-Dinner Drinks

Conference Dinner

Conference Closes