

15th Winter Conference on Medicinal & Bioorganic Chemistry

January 30th - February 3rd 2022
Steamboat Springs Resort, Colorado

Organised in collaboration with:



Program



Sunday 30th January 2022

- 1.00 – 5.00pm** **Registration**
- 4.00 – 5.00** **Welcome Coffee and Cookies and Visit our Exhibitors**
- 5.00** **Welcome and Opening Remarks – Philippe Nantermet, Conference Chair**
- Welcome to the 2022 MBCF Scholars – Maria Chiriac, Merck**
- 5.20 – 7.40 pm** **Drug Hunting**
 Chair: *Scott Wolkenberg, Janssen*
- 5.20** **Kevin Freeman-Cook, Pfizer**
 Design of PF-07104091, a CDK2 Selective Inhibitor for the Treatment of Cancer
- 5.55** **Antonio Romero, Cytokinetics**
 The Discovery of CK-136, a Selective Cardiac Troponin Activator
- 6.30** **Dustin J. Mergott, Lilly**
 Discovery and Early Clinical Development of LY3372689, an O-GlcNAcase (OGA) Enzyme Inhibitor
- Drug Hunter Award Introduction and Prize**
- 7.05** **Sabine Hadida, Vertex (Drug Hunter Award)**
 Discovery of CFTR modulators for the treatment of Cystic Fibrosis
- 7.40 – 10.00 pm** **Welcome Networking Reception in the Exhibition Area**

Monday 31st January 2022

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

8.00 - 11.00 am **Total Synthesis / Biocatalysis**
Chair: Bryan Norman, Enveda Biosciences

8.00 **Bryan Norman**, Enveda Biosciences
Opening Remarks

8.10 **Sarah Reisman**, Caltech
Title to be Confirmed

8.45 **Ian Seiple**, UCSF
Next-generation Synthesis of Antibiotics

9.20 **Ania Fryszkowska**, Merck
Enzymatic Routes to the Next Generation Therapeutics

9.55 **Rusty Lewis**, Pfizer
Commercial Synthesis of APIs enabled by Enzymatic Reductive Amination

10.30 **Darren Dixon**, University of Oxford
Catalytic Approaches for Simplifying Complex Alkaloid Total Synthesis

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

5.00 – 8.00 pm **Nontraditional Modalities in Drug Discovery**
Chair: Lou Chupak, Bristol-Myers Squibb

5.00 **Larry Burgess**, Vividion Therapeutics
Application of a Proteome-Wide Covalent Fragment Screening Platform: The Discovery of Potent, Selective, Allosteric JAK1 Inhibitors

5.35 **Ingrid Wertz**, Bristol-Myers Squibb
Co-opting the Ubiquitin System for Therapeutic Benefit

6.10 **Lauren Monovitch**, Novartis
Identification of a PCSK9-LDLR Disruptor Peptide with In Vivo Function

6.45 **Thomas Tucker**, Merck
Cyclic peptide PCSK9 Inhibitors Derived from an mRNA Display Screen and Optimized via Structure Based-Design

7.20 **Alexander Buitrago Santanilla**, GlaxoSmithKline
Development of a Nanoscale Chemistry Direct-to-Biology (D2B) Platform for High-Throughput PROTAC Synthesis

Tuesday 1st February 2022

7.00 – 8.00 am Good Morning Buffet Breakfast

8.00 – 11.00 am Molecular Interactions in Drug Discovery

Chair: *Payal Sheth, Bristol-Myers Squibb*

8.00 Mary Matyskiela, Neomorph Inc
Drugging the Undruggable with Molecular Glue

8.35 Kaspar Hollenstein, Merck
Structures of Active-State Orexin Type-2 Receptor Enable Structure-Based Drug Discovery

9.10 Kathleen Farley, Pfizer
Impacting Drug Design using NMR Solution Conformations

9.45 Jakob Fuhrmann, Genentech
Structure and Property Guided Design of Cell Permeable Peptidic Degraders

10.20 Dave Wensel, ViiV Healthcare
Structure-Function Relationship of a CD4-binding Adnectin with Anti-HIV-1 Activity

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

5.00 – 7.00 pm General Session: Advances in Synthesis and Medicinal Chemistry

Chair: *Mike Visser, Novartis*

5.00 Xenia Searle, Abbvie
A Synthesis-Driven Approach to Drug Discovery: CFTR Modulators

5.20 Chuck Hendrick, Janssen
Direct-to-Biology Platform for High-Throughput Synthesis and Evaluation of Hetero-bifunctional Degraders

5.40 Marvin Meyers, Saint Louis University
Optimization of Triazolopyridazines towards a Novel Drug to Treat Cryptosporidiosis Reveals Striking Impact by Positioning of Fluorine

6.00 Kate Jackson, C4
Discovery of a Potent and Selective BRD9 Degradator with Activity in a Preclinical Model of Synovial Sarcoma

6.20 Doug Beshore, Merck
Building a Culture of Medicinal Chemistry Knowledge Sharing

**6.40 – 8.30 pm Networking Session
Drinks & Poster Session and Visit the Exhibition**

Wednesday 2nd February 2022

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

8.00 – 11.00 am **Novel Enabling Synthetic Methodology (including high throughput experimentation, LSF / Inert Bond Activation / Automation)**

Chair: *Darren Dixon, University of Oxford*

8.00 **Sophie Rousseaux**, University of Toronto
Synthesis and Functionalization of Cyclopropanes and Cyclobutanes

8.35 **Andy McNally**, Colorado State
Selective Functionalization of Pyridines, Diazines and Pharmaceuticals via Unconventional Intermediates

9.10 **Cara Brocklehurst**, Novartis
Tackling Synthetic Challenges and Applying Synthetic Technologies across the Novartis Drug Discovery Portfolio

9.45 **Robert Paton**, Colorado State
Computational Predictions of Reactivity & Site-Selectivity with Quantum Machine Learning

10.20 **Martin Eastgate**, Bristol-Myers Squibb
Innovation in the Synthesis of Complex Pharmaceuticals

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

5.00 – 8.00 pm **Chemical Biology**
Chair: *Stephanie Monson, Genentech*

5.00 **Marcus Bantschef**, Cellzome, GSK
Tracking Drug Action at the Cell Surface and in the Secreted Proteome

5.35 **Justin Rettenmaier**, Jnana Therapeutics
RAPID - a High-Throughput, Cell-Based Ligand Discovery Platform for Difficult to Drug Targets

6.10 **Kate Carroll**, Scripps
Rewriting the Textbook on Cysteine Oxidation

6.45 **Tamara Reyes Robles**, Merck
Understanding Immune Cell Interactions via Photocatalysis

7.20 **Michael Lazear**, Scripps
Function-first Proteomic Strategies for Chemical Probe Discovery in Human Cells

Thursday 3rd February 2022

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

8.00 – 11.00 am **Late Breaking Stories in Drug Discovery**

Chair: *Rebecca Gallego, Pfizer*

8.00 **Eric Newcomb**, Pfizer

Discovery of the Selective CSF1R Inhibitor ARRY-382

8.35 **Julian Levell**, Constellation Pharmaceuticals, a MorphoSys Company

Identification and Optimization of First-in-Class Small Molecule Inhibitors of TREX1, a Novel Target in Immuno-oncology

9.10 **Mark Layton**, Merck

Discovery of a novel PDE10 inhibitor in clinical development for the treatment of Schizophrenia

9.45 **Brendan Crowley**, Merck & Co., Inc.

Next Generation Oxazolidinone Antibiotics for the Treatment of Tuberculosis

10.20 **James Taylor**, Gilead

Discovery and Early Clinical Development of the First-in-class TPL2 Kinase Inhibitor Tilpisertib (GS-4875) for Inflammatory Diseases

5.00 pm **GALA DINNER AND ROBERT M. WILLIAMS KEYNOTE ADDRESS**

Chair: *Philippe Nantermet, Merck and Matthew Hayward, Pfizer*

Networking Session

Pre-Dinner Drinks

Keynote Speaker: Jay Bradner, Novartis

Small Molecules with Big Ambitions: Approaches to Intractable Targets

Conference Dinner

Conference Closes

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