

# 15th Winter Conference on Medicinal & Bioorganic Chemistry

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

Organised in collaboration with:



## Program



### Sunday 30<sup>th</sup> 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 31<sup>st</sup> 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  
*A Chemical Synthesis of Ritterazine B, A Marine Natural Product with Potent Anticancer Activity*

**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*

**11.05 End of Session**

**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*

**7.55    End of Session**

## Tuesday 1<sup>st</sup> 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*

**10.55 End of Session**

**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 2<sup>nd</sup> 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**    **Martin Eastgate**, Bristol-Myers Squibb  
*Innovation in the Synthesis of Complex Pharmaceuticals*

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

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

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

**10.55 End of Session**

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

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

**5.00**    **Lyn Jones**, Dana-Farber Cancer Institute  
*Covalent Chemical Probes – Cysteine Labeling and Beyond*

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

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

**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*

**7.55**    **End of Session**

## Thursday 3<sup>rd</sup> 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*

**8.40**    **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*

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

*Next Generation Oxazolidinone Antibiotics for the Treatment of Tuberculosis*

**10.00**    **James Taylor**, Gilead

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

**10.40**    **End of Session**

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

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

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

**Keynote Speaker: Jay Bradner**, Novartis

*Small Molecules with Big Ambitions: Approaches to Intractable Targets*

**6.15 pm**              **Conference Dinner**

**8.30 pm**              **Conference Closes**

# SPONSORS

THANK YOU TO ALL OUR SPONSORS

## GOLD SPONSORS

abbvie

deciphera



MERCK

INVENTING FOR LIFE

## SILVER SPONSORS

AstraZeneca 



AMGEN

 Biogen

 gsk  
GlaxoSmithKline

Genentech  
A Member of the Roche Group

Janssen  
PHARMACEUTICAL COMPANIES OF  
*Johnson & Johnson*

JM Johnson Matthey  
Inspiring science, enhancing life

 Pfizer

VERTEX

## BRONZE SPONSORS

ACS Medicinal  
Chemistry Letters

 Enveda  
BIOSCIENCES

 GILEAD

Journal of  
Medicinal  
Chemistry

  
NOVARTIS

## LITHIUM SPONSORS

 DISCOVERY  
YPHA  
Metabolites and Molecules for Tinsmen's Group

OL Organic  
Letters