

14th Winter Conference on Medicinal & Bioorganic Chemistry

January 27th - 31st 2019

Steamboat Springs Resort, Colorado

Organised in collaboration with:



Program



Sunday 27th January 2019

- 1.00 – 5.00pm** **Registration**
- 4.00 – 5.00** **Welcome Coffee and Cookies**
- 5.00** **Welcome and Opening Remarks – Professor Anthony GM Barrett, Conference Chair**
- Welcome to the 2019 MBCF Scholars – Professor Victor Snieckus, Member of the Board**
- 5.20 – 7.40 pm** **Drug Hunting**
Chair: *Dr Daniel Flynn, Deciphera Pharmaceuticals*
- 5.20** **Dr Petr Vachal, Merck**
The Invention of MK-8262, a CETP Inhibitor Backup to Anacetrapib (with best in class properties)
- 6.05** **Dr Kevin Freeman-Cook, Pfizer**
Cell Cycle Intervention Beyond Palbociclib; Preclinical Discovery of a CDK2/4/6 Inhibitor
- 6.50** **Dr Daniel Flynn, Deciphera Pharmaceuticals**
Drug Hunter Award Introduction and Prize
- 6.55** **Dr Josh Bilenker, LOXO (Drug Hunter Award)**
TRK Inhibitor LOXO-101 and back up LOXO-195
- 7.40 – 10.00 pm** **Welcome Networking Reception in the Exhibition Area**

Monday 28th January 2019

7.00 – 8.00 am Good Morning Buffet Breakfast

8.00 - 11.00 am **Immuno-oncology**
Chair: Dr Wes Trotter, Merck

8.00 Dr Jared Cumming, Merck
Novel STING Agonists for Immuno-oncology

8.45 Dr Ashvin Gavai, BMS
Discovery of BMS-986158, an Oral BET Inhibitor

9.30 Dr Cynthia Parrish, GSK
Targeting the Adenosine Pathway with Non-Nucleoside Inhibitors of CD73

10.15 Dr Brendan Parr, Genentech
Design of Selective, Heme-binding Inhibitors of Tryptophan-2,3-dioxygenase (TDO)

11.00 End of session

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

5.00 – 8.00 pm **Structural and in-Silico Chemistry**
Chair: Dr Georgia McGaughey, Vertex

5.00 Dr Georgia McGaughey, Vertex
*Giving Med Chemists the Comp Chem Tools for What They Want **and** What They Need*

5.45 Dr Yuan Wang, Novartis
Computational Methods Help Find Chemical Matter to Uncover Novel Biology

6.30 Dr Meihua Tu, Pfizer
The Role of Water Molecule in Ketohexokinase Inhibitor Design

7.15 Dr Ed Sherer, Merck
Impact of Computational Chemistry Post Candidate Selection and Translating Lessons Learned back into Discovery

8.00 End of session

Tuesday 29th January 2019

- 7.00 – 8.00 am** **Good Morning Buffet Breakfast**
- 8.00 – 11.00 am** **Chemical Biology for Drug Discovery**
Chair: *Dr Paola Castaldi, AstraZeneca*
- 8.00** **Professor Daniel Nomura**, Berkeley
Reimagining Druggability using Chemoproteomic Platforms
- 8.45** **Professor Christina Woo**, Harvard
Mapping Binding Site Hotspots in the Global Proteome by Photo-affinity Labeling Mass Spectrometry
- 9.30** **Dr Paola Castaldi**, AstraZeneca
Chemical Biology to provide insight into Small Molecule Targeting of BCL6
- 10.15** **Dr Andy Phillips**, C4 Therapeutics
Targeted Protein Degradation
- 11.00** **End of session**
- 12.00 – 4.00 pm** **Optional Short Course – Part 1**
Medicinal Chemistry Approaches to De-risking Toxicology Issues in Drug Discovery - Dr Bryan Norman
- 4.00 - 5.00 pm** **Back from the Slopes – Coffee and Cookie Break**
- 5.00 – 8.00 pm** **Potential New Therapies for the Treatment of Chronic Pain**
Chair: *Dr Sarah Skerratt, Vertex*
- 5.00** **Dr Sarah Skerratt**, Vertex
Overview of Current Therapies for the treatment of Pain
- 5.30** **Dr Mark Layton**, Merck
Breathe Easy: Mechanistic Insights Enable a Path Forward for Highly Selective Nav1.7 Inhibitors
- 6.00** **Professor Laura Bohn**, Scripps
Harnessing Opioid Receptor Signaling to Improve Pain Therapeutics
- 6.30** **Dr Brendan Crowley**, Merck
Discovery And Optimization Of A Novel CGRP Receptor Antagonist Chemotype For The Treatment of Migraine
- 7.00** **Dr Emilia Väisänen**, Orion
TRPA1: A Pain Target or a Painful Target?
- 7.30** **Dr Steven McKerrall** Genentech
Structure-Based Drug Discovery in the Search for Nav1.7 Inhibitors
- 8.00** **End of Session**

Wednesday 30th January 2019

- 7.00 – 8.00 am** **Good Morning Buffet Breakfast**
- 8.00 – 11.00 am** **Recent Advances in Targeting Autophagy**
Chair: *Professor Jay Debnath (UCSF)*
- 8.00** **Professor Jay Debnath, UCSF**
Complexities of Targeting Autophagy to Treat Human Disease
- 8.45** **Professor Kevan Shokat, UCSF**
Targeting the Autophagy initiating Kinase ULK1
- 9.30** **Professor Martin McMahon, University of Utah**
Combined Targeting of MAP Kinase Signaling and Autophagy for the Future Therapy of Cancers Driven by the RAS Pathway
- 10.15** **Professors Ravi Amaravadi & Jeffrey Winkler, University of Pennsylvania**
Advances in Targeting Lysosomotropic Agents
- 11.00** **End of session**
- 12.00 – 4.00 pm** **Optional Short Course – Part 2**
Medicinal Chemistry Approaches to De-risking Toxicology Issues in Drug Discovery - Dr Bryan Norman
- 4.00 - 5.00 pm** **Back from the Slopes – Coffee and Cookie Break**
- 5.00 – 8.10 pm** **Advances in Synthesis and Medicinal Chemistry**
Chair: *Professor Darren Dixon, University of Oxford*
- 5.00** **Professor James Barrow, Lieber Institute for Brain Development**
Discovery and Evaluation of Non-Nitrocatechol COMT Inhibitors for Treatment of Psychiatric Conditions
- 5.20** **Mr Scott Savage, Bristol-Myers Squibb**
Intrinsic Aminomethyl Pyridine Enables Regioselective C-H Arylation in the Synthesis of IKur Inhibitor, BMS-919373
- 5.40** **Dr Vishal Verma, Genentech**
Development of Inhibitors of MsbA: From HTS to Gram-negative Wild-type Activity
- 6.00** **Professor Michael Pollastri, Northeastern University**
Hit-to-lead Medicinal Chemistry Targeting Neglected Tropical Diseases
- 6.20** **Dr Eva Prchalova, John Hopkins University**
Targeting of the Glutamine Antagonist DON (6-Diazo-5-oxo-L-norleucine) via Prodrug Approach
- 6.40** **Dr Andrew Woodhead, Astex Pharmaceuticals**
The Role of Solution Conformation in Structure-Guided Fragment Optimization
- 7.00** **Dr John Butler, Amgen**
Pyridyl Urea Sulfonamide Inhibitors of NaV1.7: Intramolecular
- 7.20** **Professor Darren Dixon, University of Oxford**
New Broad Scope Strategies for Amine Synthesis
- 8.00** **End of session**

Thursday 31st January 2019

7.00 – 8.00 am Good Morning buffet breakfast

8.00 – 11.00 am Photoredox Catalysis
Chair: *Dr Dan DiRocco, Merck*

8.00 Dr Dani Schultz, Merck
Recent Advances in the Remote Functionalization of Aliphatic C-H Bonds via Decatungstate Photocatalysis

8.35 Dr Thomas Knauber, Pfizer
Enablement of Photoredox Csp2-Csp3 Cross Coupling Reactions

9.10 Dr Kaid Harper, Abbvie
Scaling Catalytic Photochemistry with Lasers

9.45 Professor Corey Stephenson, University of Michigan
Photoredox Catalysis – An Enabling Platform for Discovery and Development

10.20 Professor Tomislav Rovis, University of Columbia
Controlling Catalysis with Visible Light

11.00 End of session

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

5.00 – 6.00 Chair: *Professor Michael Vannieuwenhze, Indiana University*

5.00 – 6.00 pm Keynote Speaker: Professor Phil S. Baran, The Scripps Research Institute
Translational Chemistry

6.00 – 7.30 Networking Session
Pre-Dinner Drinks & Poster Session

7.30 - 9.30 Conference Dinner

9.30 Conference Closes

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