



**13th Winter Conference on Medicinal and
Bioorganic Chemistry**

Steamboat Springs, Colorado

22nd – 26th January 2017

PROGRAMME

Sunday 22nd January 2017

- 1.00 – 5.00pm Registration**
Registration packets may be picked up from 1.00-5.00pm at the conference registration desk located on the Ballroom level
- 4.00 – 5.00 Welcome Coffee and Cookies**
- 5.00 – 5.05 Welcome and Opening Remarks – Professor Anthony GM Barrett, Conference Chairman**
- 5.00 – 6.00 pm MBCF Drughunter Award**
Chairman: *Dr Matthew M. Hayward, Pfizer, USA*
- 5.00 Dr Mark Flanagan, Pfizer, USA**
Discovery of Xeljanz
- 6.00 – 8.00 pm Drug Discovery in Autoimmunity**
Chairmen: *Dr Timothy Richardson and Dr Daniel Dairaghi, Eli Lilly, USA*
- 6.00 Dr Penglie Zhang, ChemoCentryx, USA**
Discovery of CCR1 Antagonist CCX354
- 6.30 Dr James Crawford, Genentech, USA**
Discovery of GDC-0853: A Highly Potent, Selective, and Non-Covalent Btk Inhibitor
- 7.00 Dr Linghang Zhuang, Vitae Pharmaceuticals, Inc., an Allergan affiliate, USA**
Discovery of VTP-43742, A ROR γ t Inverse Agonist for the Treatment of Psoriasis
- 7.30 Dr Les Miranda, Amgen, USA**
Peptide Antagonists of Kv1.3
- 8.00 End of session**
- 8.00 - 10.00pm Welcome Networking Reception in the Exhibition Area**

Monday 23rd January 2017

- 7.00 – 8.00 am Good Morning Buffet Breakfast**
- 8.00 - 11.20 am Liquid Biopsy Platforms as Biomarkers in Oncology Clinical Trials and as Companion Diagnostics**
Chairman: *Dr Daniel Flynn, Deciphera Pharmaceuticals, USA*
- 8.00 Dr Bryan Smith, Deciphera Pharmaceuticals, USA**
Detecting Circulating Tumor Cells in Clinical Trials - Making Circulating Tumor Cells Glow using a Telomerase-based Assay
- 8.40 Mr Daniel Simon, Guardant Health, Inc, USA**
Accelerating Clinical Trials using NGS Liquid Biopsy
- 9.20 Dr Robert Shoemaker, Ignyta, Inc, USA**
Using ctDNA Biomarkers in Ignyta's Clinical Trials: Detecting Activating Alterations, Monitoring Drug Efficacy, and Detecting Resistance Mechanisms

10.00 Dr Johan Skog, Exosome Diagnostics, USA
Bringing Exosome Liquid Biopsy Technology into the Realm of Routine Clinical Use

10.40 Dr Sid Scudder, Roche Molecular Systems, USA
Cell Free DNA Analysis of NSCLC Patients as a Paradigm for Clinical use of Liquid Biopsies

11.20 End of session

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

5.00 – 8.00 pm Advances in PET Imaging
Chairmen: *Professor Michael VanNieuwenhze, Indiana University, USA and Dr Shane Krska, Merck, USA*

5.00 Dr Shane Krska, Merck, USA
Enabling Late Stage Fluorination Methods for the Discovery and Radiosynthesis of PET Imaging Agents

5.45 Dr Neil Vasdev, Harvard Medical School, USA
Cutting-Edge PET Radiochemistry Methodologies Applied to Drug Development

6.30 Dr Hartmuth Kolb, Johnson & Johnson, USA
Development of PET Imaging Tracers for Neuroscience Applications

7.15 Professor David Perrin, University of British Columbia, USA
Empowering Preclinical PET Imaging: Facile and User-Friendly 18F-labeling of Complex Molecules

8.00 End of session

9.45 Hockey Game – All Welcome to watch!

Tuesday 24th January 2017

7.00 – 8.00 am Good Morning Buffet Breakfast

8.00 – 11.00 am Advances in Modern Synthetic Methods
Chairman: *Professor Victor Snieckus, Queens University, Canada*

8.00 Dr Gerald Tanoury, Vertex, USA
Converting a Medicinal Chemistry Synthesis into a Manufacturing Process

8.45 Dr Antonia Stepan, Pfizer, USA
C-H Functionalization Methods as Cutting-Edge Synthesis Tools for Medicinal Chemists

9.30 Dr Carl Busacca, Boehringer Ingelheim, USA
Hydrophosphinations of Strained Rings

THIEME IUPAC PRIZE LECTURE – Presentation and Reception at 8.00 pm

10.15 Dr Neil Garg, University of California, Los Angeles, USA
Recent Forays in Methods Development and Complex Molecule Synthesis

11.15 End of session

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

5.00 – 8.00 pm Post-Phenotypic Screen Target Identification
Chairmen: *Dr Philippe Nantermet and Dr Scott Wolkenberg, Merck, USA*

5.00 Dr Monica Schenone, Broad Inst
Target ID Successes and Lessons

- 5.45 Dr Donovan Chin**, Novartis, USA
Computational Approaches to Target ID Using Large Scale Protein-Ligand Modeling
- 6.30 Dr Andrea Zuhl**, AstraZeneca
A Chemoproteomic Approach to Identify Drivers of BACE1 Inhibitor Ocular Toxicity
- 7.15 Dr Susanne Swalley**, Novartis
The Splice is Right: Phenotypic Drug Discovery and Target ID for Spinal Muscular Atrophy
- 8.00 Thieme and IUPAC Prize Lecture Presentation and Drinks Reception**
- 9.00 End of Session**

Wednesday 25th January 2017

- 7.00 – 8.00 am Good Morning Buffet Breakfast**
- 8.00 – 11.00 am Biophysical Tools in Drug Discovery and Chemical Biology**
Chairman: *Dr Lyn Jones, Pfizer, USA*
- 8.00 Professor Ralph Mazitschek**, Harvard University, USA
Light-controlled Modulation of Gene Expression by Chemical Optoepigenetic Probes
- 8.45 Dr Gerard Drewes**, Cellzome / GlaxoSmithKline, USA
Tracking Drug Action by Chemical Proteomics
- 9.30 Dr Matthew Clark**, X-Chem, USA
Principles and Applications of DNA-Encoded Library Technologies
- 10.15 Dr Lyn Jones**, Pfizer, USA
Biophysical and Chemical Methods to Interrogate Drug-Target Engagement
- 11.00 End of session**
- 4.00 - 5.00 pm Back from the Slopes – Coffee and Cookie Break**
- 5.00 – 8.10 pm General Session on Medicinal and Synthetic Chemistry**
Chairmen: *Professor Anthony Barrett, Imperial College, UK and Dr Matthew M. Hayward, Pfizer, USA*
- 5.00 – 5.30 Dr Petr Vachal**, Merck
Merck Drug Discovery Enabled
- 5.30 – 5.50 Dr Giles Brown**, Heptares
*Structure-based drug design with G protein-coupled receptors:
The discovery of Highly Selective M₁ Agonists*
- 5.50 – 6.10 Dr Ryan White**, Amgen
Accounting for Lysosomotropism as a Strategy for Prioritizing Selective Inhibitors of BACE
- 6.10 – 6.30 Dr Klemens Hoegenauer**, Novartis
The Discovery of a New Generation of Potent and Selective PI3K δ Inhibitors
- 6.30 – 6.50 Dr Louis Chupak**, Bristol Myers Squibb
Chemically Non-Reactive Glycine Sulfonamide Inhibitors of Diacylglycerol Lipase
- 7.10 – 7.30 Dr Sarah Trice**, Sigma Aldrich
Modern Chemistry: Tools to Enable the Practicing Chemist
- 7.30 – 7.50 Dr Leonard Winneroski**, Eli Lilly
Preparation and Biological Evaluation of trans-Cyclopropyl-linked BACE1 Inhibitors
- 7.50 – 8.10 Dr Joseph Tucker**, Pfizer
Synthetic Evolution of a Key Pyridoazepine Intermediate: Lessons Learned from Speed-to-Compound to R1 Enablement
- 8.10 End of session**

Thursday 26th January 2017

7.00 – 8.00 am Good Morning buffet breakfast

8.00 – 11.00 am **Viral Diseases Eradication**
Chairman: *Dr Philippe Nantermet, Merck, USA*

8.05 Dr John McCauley, Merck, USA
Invention of grazoprevir, A Hepatitis C NS3 Protease Inhibitor

8.40 Dr Will Watkins, Gilead, USA
Inhibition of the HCV Polymerase NS5B as a Means Towards Curative Therapy

9.15 Dr Nick Meanwell, Bristol Myers Squibb, USA
The Discovery of HCV NS5A Replication Complex Inhibitors

9.50 Dr Mike Sofia, Arbutus Biopharma, Inc., USA
Hepatitis B: Is There a Path to a Cure

10.25 Dr Daria Hazuda, Merck, USA
What Will it Take to Eradicate HIV: A drug Discovery Perspective

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 pm **Keynote Speaker: Dr Steven D Young, VP Basic Research (retired) Merck & Co.; Scientific Consultant and Acting Head of Chemistry, BeiGene Ltd. USA**
Tackling a Pandemic Disease: A History of HIV Drug Discovery at Merck

6.00 – 7.30 Pre-Dinner Drinks & Poster Session

7.30 - 9.30 Conference Dinner

9.30 Conference Closes