

1st Alpine Winter Conference on Medicinal and Synthetic Chemistry

Programme

Sunday January 28, 2018

Opening Remarks

KL01 - Recent Developments in Strategies and Tactics Towards the Synthesis of Complex Secondary Metabolites as Enabling Tools for the Study of Biology and Medicine

Erick M. CARREIRA
(ETH ZÜRICH, Zürich, Switzerland)

Poster Session & Welcome Reception

End of Day 1

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Monday January 29, 2018

Session 1: Advances in Synthetic Methods

Session Chair

Nuno MAULIDE
(UNIVERSITY OF VIENNA, Vienna, Austria)

PL01 - Assembly Line Synthesis

Varinder K. AGGARWAL
(UNIVERSITY OF BRISTOL, Bristol, United Kingdom)

PL02 - Photochemical Reactions en route to Structurally Complex Molecules

Thorsten BACH
(TECHNISCHE UNIVERSITÄT MÜNCHEN, Garching, Germany)

Coffee Break

PL03 - Expanding the Potential of Organocatalysis with Light

Paolo MELCHIORRE
(INSTITUTE OF CHEMICAL RESEARCH OF CATALONIA (ICIQ), Bologna, Italy)

OC01 - Exploring 3-D Pharmaceutical Space: New CH Functionalisation Reactions of Oxygen and Sulfur Heterocycles

Peter O'BRIEN
(UNIVERSITY OF YORK, York, United Kingdom)

End of the morning session

Session 2: Addressing Preclinical Toxicity – Approaches and Lessons Learned

Session Chair

Andy PEAT
(GLAXOSMITHKLINE, Durham, United States)

PL04 - Mechanism-Based Toxicities Associated With NAMPT Inhibition and Related Mitigation Strategies

Peter DRAGOVICH
(GENENTECH INC., San Francisco, United States)

PL05 - Utilizing in Depth Understanding of a Molecules Off-Target Profile to Tailor Clinical and Preclinical Safety Assessments

Douglas THOMSON
(CELLZOME GMBH, Heidelberg, Germany)

Coffee Break

PL06 - Reducing Bioactivation Potential of Drug Candidates: Implications for Preclinical Drug Optimization

Andreas BRINK
(F. HOFFMANN-LA ROCHE, Basel, Switzerland)

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OC02 - Small Structural Changes Leading to Major Impact on Safety: Developing Safety Strategies in Medicinal Chemistry

Martin PETTERSSON
(PFIZER, Cambridge, United States)

Panel Discussion

End of Day 2

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Tuesday January 30, 2018

Session 3: Advances in Lead Generation

Session Chair

Doris RIETHER
(BOEHRINGER INGELHEIM, Biberach an der Riss, Germany)

PL07 - ADAS (Affinity Directed Automated Synthesis): A New Technology to Accelerate Lead Generation

Eva Maria MARTIN
(ELI LILLY, Madrid, Spain)

PL08 - A Chemist's Guide to Modern Phenotypic Drug Discovery

Monika ERMANN
(EVOTEC LTD, Oxfordshire, United Kingdom)

Coffee Break

OC03 - CDK8 Inhibitors with Pre-Engineered Long Residence Time, Exhibiting Efficacy in Tumor Xenograft Models

Koen HEKKING
(MERCACHEM-SYNCOM, Nijmegen, The Netherlands)

PL09 - From Multiple Hit Series to (Pre)Clinical Candidates Using DNA-Encoded Library Technology

Sanne SCHRODER GLAD
(NUEVOLUTION A/S, Copenhagen, Denmark)

End of the morning session

Session 4: Chemical Biology in Drug and Target Discovery

Session Chair

Matthew HAYWARD
(PFIZER, Groton, United States)

PL10 - Fluorescent and Bioluminescent Sensor Proteins

Kai JOHANSSON
(MAX-PLANCK INSTITUTE FOR MEDICAL RESEARCH, Heidelberg, Germany)

OC04 - Chemical Physiology of Antibody Conjugates and Natural Products

Gonçalo BERNARDES
(INSTITUTO DE MEDICINA MOLECULAR, PORTUGAL & UNIVERSITY OF CAMBRIDGE, Cambridge, United Kingdom)

Coffee Break

KL02 - Activity-based proteomics – Protein and Ligand Discovery on a Global Scale

Benjamin CRAVATT
(THE SCRIPPS RESEARCH INSTITUTE, La Jolla, United States)

End of Day 3

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Wednesday January 31, 2018

Session 5: Alternative Modalities

Session Chair

Philippe NANTERMET
(MERCK & CO. INC (MSD), West Point, United States)

PL11 - Intracellular Delivery of Macromolecules

David TELLERS
(MERCK & CO. INC (MSD), West Point, United States)

PL12 - New Modalities Probe and Hit Finding for Challenging Targets in Cardiovascular and Metabolic Diseases

Eric VALEUR
(ASTRAZENECA, IMED BIOTECH UNIT, Cambridge, United States)

Coffee Break

PL13 - Messenger RNA as a Novel Therapeutic Approach

Kerry BENENATO
(MODERNA THERAPEUTICS, Cambridge, United States)

OC05 - Proteolysis Targeting Chimera: A New Frontier in Medicinal Chemistry

Niall ANDERSON
(GLAXOSMITHKLINE, Hertfordshire, United Kingdom)

End of the morning session

Session 6: Late Stage Functionalization

Session Chair

Guido KOCH
(NOVARTIS PHARMA AG, Schlieren, Switzerland)

PL14 - New Chemical Tools for the Late Stage Functionalization of Biomolecules

Matthew GAUNT
(UNIVERSITY OF CAMBRIDGE, Cambridge, United Kingdom)

PL15 - The Quest for Efficient Ligands in Asymmetric C-H Functionalizations

Nicolai CRAMER
(ECOLE POLYTECHNIQUE FÉDÉRALE DE LAUSANNE, Lausanne, Switzerland)

Coffee Break

PL16 - Catalytic Approaches to Simplifying Synthesis

Darren J. DIXON
(UNIVERSITY OF OXFORD, Oxford, United Kingdom)

OC06 - Synthetic Routes to Oxindoles via Metal Catalysis

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Mark LAUTENS
(UNIVERSITY OF TORONTO, Toronto, ON, Canada)

End of the Scientific Programme on Day 4

Conference Dinner

End of Day 4

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Thursday February 1, 2018

Session 7: Challenges and Opportunities in Fragment Based Drug Discovery

Session Chair

Alison WOOLFORD
(ASTEX PHARMACEUTICALS, Cambridge, United Kingdom)

PL17 - Drug Discovery for Challenging Targets by X-ray Crystallographic Fragment Screening

Tom HEIGHTMAN
(ASTEX PHARMACEUTICALS, Cambridge, United Kingdom)

PL18 - The Impact of Fragments on Drug Discovery

Rod HUBBARD
(UNIVERSITY OF YORK & VERNALIS, Cambridge, United Kingdom)

Coffee Break

OC12 - Rational Design of Small-Molecules Inhibitors of Human Cyclophilins with a Pan Viral Activities by Fragment Based Drug Design Using a Linking Strategy

Jean-Francois GUICHOU
(CBS INSERM U1054, Montpellier, France)

OC07 - Fragment-Centric Methodologies for the Discovery of DOT1L Inhibitors

Christoph GAUL
(NOVARTIS, Basel, Switzerland)

End of the morning session

Session 8: Drug Discovery Tales

Session Chair

Sarah SKERRATT
(VERTEX PHARMACEUTICALS, London, United Kingdom)

OC08 - Discovery of Allosteric Malt1 Protease Inhibitors with High in Vivo Efficacy

Jean QUANCARD
(NOVARTIS, Basel, Switzerland)

OC09 - Discovery of Tak-041: A Potent and Selective Gpr139 Agonist for the Treatment of Negative Symptoms Associated with Schizophrenia

Holger MONENSCHIN
(TAKEDA CALIFORNIA, INC, San Diego, United States)

OC10 - Molecular Accessibility - Measuring and Understanding the Intracellular Free Concentration of Drugs During Lead Optimisation

(EYEDPHARMA)

OC11 - Discovery of a Ketohexokinase Inhibitor for the Treatment of Nafld/Nash: Fragment-to-Candidate via Structure-Based Drug Design and Parallel Chemistry

Brian RAYMER
(PFIZER, Cambridge, United States)

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Coffee Break

KL03 - Novel Approaches in the Design of CNS Drug Candidates and PET Ligands

Anabella VILLALOBOS
(BIOGEN, Cambridge, United States)

Closing Remarks

End of Symposium