

3rd Alpine Winter Conference on Medicinal and Synthetic Chemistry

Programme

Keynote Speakers

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Programme

Monday January 24, 2022

Session Methodologies to Understand Cellular Target Interaction

Session Chair

Prof. Per ARTURSSON
(UPPSALA UNIVERSITY, Uppsala, Sweden)

13:15 Opening Ceremony

Dr Klemens HOEGENAUER (NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH, Basel, Switzerland)
Dr Karl Heinz KRAWINKLER (NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH, Basel, Switzerland)
Dr Antonia F. STEPAN (F. HOFFMANN-LA ROCHE LTD, Basel, Switzerland)

13:30 IL01 - Intracellular Oligonucleotide Distribution and Protein Binding

Dr Alice GHIDINI
(ASTRAZENECA, Gothenburg, Sweden)

13:50 IL02 - Monitoring Drug Selectivity and Target Engagement Across Systems – Thermal Shift Assay in Drug Discovery

Dr Anna RUTKOWSKA-KLUTE
(GSK, Heidelberg, Germany)

14:10 Break

14:25 IL03 - Molecular Chameleonicity and Cell Permeability of Drugs and PROTACs in the bRo5 Space

Prof. Jan KIHLEBERG
(UPPSALA UNIVERSITY, Uppsala, Sweden)

14:45 IL04 - Lessons in Transcellular Membrane Permeability Re-Learned

Dr Mike HANN
(GSK MEDICINES RESEARCH CENTRE, Stevenage, United Kingdom)

15:05 Session Discussion

15:25 End of Session

Session Networking & Exhibition

Session Targeting Unstructured Proteins

Session Chair

Dr Hasane RATNI
(F. HOFFMANN-LA ROCHE LTD, Basel, Switzerland)

15:55 Introduction

16:00 IL05 - Innovative Strategies to Target Non-Coding RNAs with Synthetic Ligands

Dr Maria DUCA
(UNIVERSITY OF CÔTE D'AZUR, Nice, France)

16:20 IL06 - Targeting Transcription Factors for Treating Human Cancers and Auto Immune and Inflammatory Diseases

Dr Dorian FABBRO
(CELLESTIA BIOTECH AG, arlesheim, Switzerland)

16:40 Break

16:55 IL07 - Drugging RNA – A Structure-based Approach

Dr Jacques DUMAS
(ARRAKIS THERAPEUTICS, Boston, United States)

17:15 IL08 - Design of Zotatifin (eFT226), a First-in-Class Inhibitor of the RNA Helicase eIF4A

Dr Christian NILEWSKI
(GENENTECH, South San Francisco, United States)

17:35 KL01 - Attenuating Oncogenic Transcription with Small Molecules

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(SANOFI-AVENTIS DEUTSCHLAND GMBH)

Prof. Angela KOEHLER (MIT KOCH INSTITUTE FOR INTEGRATIVE CANCER RESEARCH, Cambridge, United States)

18:15 **Session Discussion**

18:45 **End of the Day**

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Tuesday January 25, 2022

**Session Poster Session I - Odd Numbers
Networking & Exhibition**

Session Automation and Machine Learning Applications for Medicinal Chemistry

Session Chair

Dr Michael WLEKLINSKI
(MERCK & CO., INC., Kenilworth, United States)

13:15 Introduction

13:20 IL09 - Enabling Fragment-based Drug Discovery (FBDD) with Automated Synthesis Technologies

Dr Rachel GRAINGER
(ASTEX PHARMACEUTICALS, Cambridge, United Kingdom)

13:40 IL10 - Rapid Development of Robust Reactions and Multi-Step Syntheses

Prof. Alexei LAPKIN
(UNIVERSITY OF CAMBRIDGE, Cambridge, United Kingdom)

14:00 Break

14:15 IL11 - High-Throughput Experimentation Capabilities to Accelerate Discovery Chemistry

Dr Iulia STRAMBEANU
(JOHNSON AND JOHNSON, King of Prussia, United States)

14:35 Session discussion

14:55 End of Session

Session Networking & Exhibition

Session Increasing sp³ Fragment Options: Advances in the Synthesis of Small Ring Systems

Session Chair

Dr James MOUSSEAU
(HALDA THERAPEUTICS, New Haven, United States)

15:25 Introduction

15:30 IL12 - Exploring and exploiting propellanes

Prof. Ed ANDERSON
(UNIVERSITY OF OXFORD, Oxford, United Kingdom)

15:50 IL13 - The Next Generation of BCPs: Exploring Substitutions on the Bridge

Dr Xiaoshen MA
(MERCK & CO., INC, Boston, United States)

16:10 Break

16:25 IL14 - Synthesis and Functionalization of Cyclopropane Derivatives

Dr Sophie ROUSSEAU
(UNIVERSITY OF TORONTO, Toronto, Canada)

16:45 IL15 - No Strain, No Gain: Advances in the Synthesis and Design of New Classes of Building Blocks

Prof. Kevin BROWN
(INDIANA UNIVERSITY, Bloomington, United States)

17:05 Session Discussion

17:25 End of the Day

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Wednesday January 26, 2022

Session Understanding PK and PK/PD relationships in drug discovery - Target Mediated Drug Disposition

Session Chair

Dr Stephanie HARLFINGER
(ASTRAZENECA, Cambridge, United Kingdom)

13:15 Introduction

13:20 IL16 - General Introduction to TMDD

Dr David FAIRMAN
(GSK, Cambridge, United Kingdom)

13:40 IL17 - Concept of Pharmacologic Target-Mediated Drug Disposition (TMDD) in Large-Molecule and Small-Molecule Compounds

Prof. Guohua AN
(THE UNIVERSITY OF IOWA COLLEGE OF PHARMACY, Iowa City, United States)

14:00 Break

14:15 IL18 - Understanding the PKPD and ADME of PF-07059013: An Allosteric Modulator of a High Abundance Target

Dr Kevin BEAUMONT
(ASTRAZENECA, Cambridge, United Kingdom)

14:35 IL19 - Importance of Mechanistic Models in AHD Prediction (IL)

Dr Birgit SCHOEBERL
(NOVARTIS INSTITUTE FOR BIOMEDICAL RESEARCH, Cambridge, MA, United States)

14:55 Session Discussion

15:15 End of Session

Session Networking & Exhibition

Session Drug Discovery Tales (I)

Session Chair

Dr Nadia M. AHMAD
(CHARLES RIVER LABORATORIES, Harlow, United Kingdom)

15:45 Introduction

15:50 OC01 - Design and Synthesis of Novel RNA Binders for Therapeutic Applications

Dr Maurinne BONNET
(ICN, Nice, France)

16:10 OC02 - Is Resistance Futile? Discovery of Clinical Candidate AZD3229, A Pan-Mutant C-KIT Inhibitor

Dr James SMITH
(ASTRAZENECA, Cambridge, United Kingdom)

16:30 Break

16:45 KL02 - Drug Discovery: Where are we Headed?

Dr Wendy YOUNG
(MPM CAPITAL, Brisbane, CA, United States)

17:25 Session Discussion

17:45 End of the Day

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Thursday January 27, 2022

Session Poster Session II - Even Numbers Networking & Exhibition

Session Drug Discovery Tales (II)

Session Chair

Dr Nadia M. AHMAD
(CHARLES RIVER LABORATORIES, Harlow, United Kingdom)

13:15 Introduction

13:20 **OC03 - Discovery of a Selective ALK2 Kinase Inhibitor for the Treatment of a Rare Genetic Bone Disease**

Dr Thomas ULLRICH
(NOVARTIS PHARMA AG, Basel, Switzerland)

13:40 **OC04 - Dissociative Inhibitors of Thymidylate Synthase Homodimer Accelerate its Proteasomal Degradation and Inhibit Cancer Growth in Vivo**

Prof. Maria Paola COSTI
(UNIVERSITY OF MODENA AND REGGIO EMILIA, Modena, Italy)

14:00 Break

14:15 **OC05 - Rational Design of Light-Controlled Bioactive Compounds for Photopharmacology**

Mr Piermichele KOBAURI
(UNIVERSITY OF GRONINGEN FSSC, Groningen, The Netherlands)

14:35 **OC06 - Towards the Design of Cell Division Cycle 25 Phosphatases Inhibitors as Anticancer Agents**

Dr Carmen CERCHIA
(UNIVERSITY OF NAPLES FEDERICO II, Naples, Italy)

14:55 Session Discussion

15:15 End of Session

Session Networking & Exhibition

Session Covalent Targeting: Innovating for the Future

Session Chair

Dr Vishal VERMA
(GENENTECH, INC., South San Francisco, United States)

15:45 Introduction

15:50 **IL20 - Lysine-Targeting Covalent Inhibitors**

Dr Matthew CHEESEMAN
(THE INSTITUTE OF CANCER RESEARCH, Sutton, United Kingdom)

16:10 **IL21 - Reversible-covalent Inhibitors of the Kinase Activity of FGFR4**

Dr Robin FAIRHURST
(NOVARTIS, Basel, Switzerland)

16:30 Break

16:45 **IL22 - Studies with Cyanamide-Based Janus Kinase 3 (JAK3) Covalent Inhibitors**

Dr Agustin CASIMIRO-GARCIA
(PFIZER, United States)

17:05 Session Discussion

17:25 End of the Day

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Friday January 28, 2022

Session The "Catalytic Cycle" of Complex Molecule Synthesis and Methodology Development

Session Chair

Prof. Tanja GAICH
(UNIVERSITY OF KONSTANZ, Konstanz, Germany)

13:00 Introduction

13:05 **IL23 - Flow-based Methods for Chemical Peptide and Protein Synthesis".**

Prof. Nina HARTRAMPF
(UNIVERSITY OF ZURICH, Zürich, Switzerland)

13:25 **IL24 - Prins Cyclization in Natural Product Synthesis**

Prof. Ang LI
(SHANGHAI INSTITUTE OF ORGANIC CHEMISTRY, Shanghai, China)

13:45 Break

14:00 **IL25 - Taming Multifaceted Nickel Catalysts: An Academic Fascination**

Prof. Ruben MARTIN
(INSTITUTE OF CHEMICAL RESEARCH OF CATALONIA, Tarragona, Spain)

14:20 **IL26 - Computationally Augmented Total Synthesis**

Dr Timothy NEWHOUSE
(YALE UNIVERSITY, New Haven, United States)

14:40 **KL03 - Functionalization of N-Heterocycles using Li, Mg, and Zn Organometallics**

Prof. Paul KNOCHEL
(LUDWIG MAXIMILIANS UNIVERSITY, Munich, Germany)

15:20 Session Discussion

15:50 Closing Ceremony

16:00 End of Alpine Winter Conference 2022