Sunday August 27, 2017

09:30 Excursion 1

14:00 Registration

14:00 Excursion 2

17:00 Opening

Karin BRINER (NOVARTIS, South San Francisco, United States) Erick M. CARREIRA (ETH ZÜRICH, Zürich, Switzerland) Koen AUGUSTYNS (UNIVERSITY OF ANTWERP, Antwerp, Belgium) Gene VAISBERG (CHEMBRIDGE CORPORATION, San Diego, United States) Thierry LANGER (UNIVERSITY OF VIENNA, Vienna, Austria)

17:15 Opening Lecture

T01 - Photochemistry and Photopharmacology in Medicine

Dirk TRAUNER (NEW YORK UNIVERSITY, New York, United States)

18:30 Welcome Reception

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Monday August 28, 2017

Session chair

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

08:30 T02 - Next-Generation Small Molecule Therapeutics

James E BRADNER

(NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH, Cambridge, MA, United States)

09:15 T03 - Drugging the Undruggable

Mark BUNNAGE

(VERTEX PHARMACEUTICALS, Boston, United States)

10:00 OC01 - Stereoselective Peptide Modifications – Efficient Tools for Natural Product and Drug Synthesis

Uli KAZMAIER

(SAARLAND UNIVERSITY, Saarbrücken, Germany)

10:15 Coffee Break and Exhibition

10:45 T04 - Bioinspired Asymmetric Catalysis

Helma WENNEMERS (ETH ZURICH, Zürich, Switzerland)

11:30 T05 - Strategies to Facilitate the Discovery of Novel CNS PET Ligands

Lei ZHANG

(PFIZER, Cambridge, United States)

12:15 OC02 - Chemically Induced Degradation of the Oncogenic Transcription Factor BCL6

Peter ETTMAYER

(BOEHRINGER-INGELHEIM, Vienna, Austria)

12:30 Lunch and Exhibition

13:30 Poster Session 1

Session chair

Helma WENNEMERS

(ETH ZURICH, Zürich, Switzerland)

14:30 T06 - Single Electron Processes to Enable Organic Synthesis

Gary A. MOLANDER

(UNIVERSITY OF PENNSYLVANIA, Philadelphia, United States)

15:15 T07 - Beta-secretase Inhibitors as a Therapy for Alzheimer's Disease – Where Are We Now?

Roland BÜRLI

(ASTRAZENECA, Cambridge, United Kingdom)

15:45 OC03 - Mimicking Nature Complexity with 3D-Fragments Assembly

Hugues LEMOINE (EDELRIS, LYON, France)

16:15 Coffee Break and Exhibition

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16:45 PRIZE winner EFMC-YMCS 2016

OC04 - Development of Highly Selective and Reversible Diacylglycerol Lipase Inhibitors

Freek JANSSEN

(LEIDEN UNIVERSITY, Nijmegen, The Netherlands)

17:00 T08 - Idea2Data: Augmenting Drug Discovery Efforts through Synthetic Reaction Data Mining and Automation

Christos A. NICOLAOU (ELI LILLY, Indianapolis, United States)

17:45 T09 - A RaPID Way to Discover Pseudo-natural Peptides

Hiroaki SUGA

(UNIVERSITY OF TOKYO, Tokyo, Japan)

18:30 Closing of the day

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Tuesday August 29, 2017

Session chair

Koen AUGUSTYNS (UNIVERSITY OF ANTWERP, Antwerp, Belgium)

08:30 T10 - Azidoperfluoroalkanes - Neglected, Stable and Useful Fluorinated Synthons

Petr BEIER

(ACADEMY OF SCIENCES OF THE CZECH REPUBLIC, Prague, Czech Republic)

09:15 T11 - HIV-1 Maturation Inhibitors

Nicholas MEANWELL (BRISTOL MYERS SQUIBB, Wallingford, CT, United States)

10:00 OC05 - Discovery of RG7314, a Vasopressin 1a Receptor Antagonist for the Treatment of Social Communication Deficits in Autism Spectrum Disorders

Patrick SCHNIDER
(F. HOFFMANN-LA ROCHE, Schlieren, Switzerland)

10:15 Coffee Break and Exhibition

10:45 T12 - Organic Synthesis with Rearrangements - Adventures in Total Synthesis

Nuno MAULIDE (UNIVERSITY OF VIENNA, Vienna, Austria)

11:30 T13 - Isosteric-Switch Strategies: New Concepts and Recent Advances

Thomas C. FESSARD (SPIROCHEM AG, Basel, Switzerland)

12:15 OC06 - Expanding Screening Decks by Innovative MCR Scaffolds

Alexander DÖMLING (UNIVERSITY OF GRONINGEN, Groningen, The Netherlands)

12:30 Lunch and Exhibition

13:30 Poster Session 2

Session chair

Gene VAISBERG (CHEMBRIDGE CORPORATION, San Diego, United States)

14:30 T14 - Iron(III)-Catalyzed Carbonyl-Olefin Metathesis

Corinna SCHINDLER (UNIVERSITY OF MICHIGAN, Ann Arbor, United States)

15:15 T15 - Ring Construction by Pd-catalyzed C(sp3)-H Activation

Olivier BAUDOIN (UNIVERSITY OF BASEL, Basel, Switzerland)

16:00 OC07 - The Discovery of Soluble Guanylate Cyclase Stimulators for the Treatment of Pulmonary Arterial Hypertension

Subharekha RAGHAVAN (MERCK & CO. INC (MSD), Kenilworth, New Jersey, United States)

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| 16:15 | Coffee Break and Exhibition | | | | | | | | |
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| 16:45 | T16 - Merck Drug Discovery through Enabling Capabilities Petr VACHAL (MERCK & CO. INC (MSD), Kenilworth, United States) | | | | | | | | |
| 17:30 | T17 - Adventures in Catalysis: from Mechanisms to Applications Franziska SCHOENEBECK (RWTH AACHEN, Aachen, Germany) | | | | | | | | |
| 18:15 | Closing of the day | | | | | | | | |

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Wednesday August 30, 2017

Session chair

Thierry LANGER (UNIVERSITY OF VIENNA, Vienna, Austria)

08:30 T18 - Exploiting Physical Organic Principles in Reaction Design

Ryan GILMOUR

(UNIVERSITY OF MÜNSTER, Münster, Germany)

09:15 T19 - Automation, Integration and Miniaturization In Drug Discovery Synthesis

Guido KOCH

(NOVARTIS PHARMA AG, Schlieren, Switzerland)

10:00 OC08 - Exploring New Methods for Facile Synthesis of Some Biologically Interesting Molecules

Ming-Hua XU

(SHANGHAI INSTITUTE OF MATERIA MEDICA, CHINESE ACADEMY OF SCIENCES, Shanghai, China)

10:15 Coffee Break and Exhibition

10:45 T20 - Design and Evolution of New Biocatalysts for Organic Synthesis

Nicholas John TURNER (UNIVERSITY OF MANCHESTER, Manchester, United Kingdom)

11:30 T21 - With Asymmetric Hydrogenation towards a Scalable, Stereoselective Synthesis of Bitopertin

Michelangelo SCALONE (F. HOFFMANN-LA ROCHE AG, Basel, Switzerland)

12:15 OC09 - Development of Synthesis Strategies to DNA-Encoded Compound Libraries - of a Chemoresistant Sequence, and Micellar Nanoreactors

Andreas BRUNSCHWEIGER (TU DORTMUND, Dortmund, Germany)

12:30 Lunch and Exhibition

13:30 Poster Session 3

Session chair

Yves P. AUBERSON (NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH, Basel, Switzerland)

14:30 T22 - Collaborative Academic/Industrial Research Under the Umbrella of the NSF Center for Selective C-H Functionalization

Huw DAVIES (EMORY UNIVERSITY, Atlanta, United States)

15:15 Roundtable discussion: "Enabling Advances in the Science of Synthesis through Industry-Academic collaborations in the 21st Century"

16:15 Coffee Break and Exhibition

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16:45 **Prize Ceremony**

Koen AUGUSTYNS

(UNIVERSITY OF ANTWERP, Antwerp, Belgium)

16:50

EFMC Prize for a Young Medicinal Chemist in Academia OC10 - Nature-Derived Peptides as Pharmacological Tools to Design Novel Therapeutics

Christian W. GRUBER

(MEDICAL UNIVERSITY OF VIENNA, Vienna, Austria)

17:35 **EFMC Prize for a Young Medicinal Chemist in Industry:**

OC11 - The Development of non-BET Bromodomain Chemical Probes

Phil HUMPHREYS

(GLAXOSMITHKLINE, Stevenage, United Kingdom)

17:50 T23 - Strategies towards Increasing the 3-Dimensionality of the Medicinal Chemistry Design Space

Antonia F. STEPAN

(PFIZER, Basel, Switzerland)

18:35 Closing of the day

20:00 Symposium banquet

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Thursday August 31, 2017

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Karin BRINER

(NOVARTIS, South San Francisco, United States)

08:30 T24 - Synthetic, Mechanistic and Computational Studies on Reactions of Interest

Scott E. DENMARK

(UNIVERSITY OF ILLINOIS, Urbana, United States)

09:15 T25 - More Options, More Complexity: Medicinal Chemistry Mastering Mixed Modalities

> Werngard CZECHTIZKY (SANOFI, Mölndal, Sweden)

OC12 - Discovery of UCB0942, the First Rationally Designed Antiepileptic Drug with a Dual Mechanism of 10:00

Action for the Treatment of Drug-Resistant Epilepsy

Laurent PROVINS

(UCB, Braine-l'Alleud, Belgium)

10:15 Coffee break and Exhibition

10:45 T26 - DNA Repair Inhibition in Cancer Therapy: DNA-PK Inhibitor M3814

> Thomas FUCHSS (MERCK KGAA, Darmstadt, Germany)

11:30 T27 - Late Stage 18F-Fluorination for PET Imaging

> Véronique GOUVERNEUR (UNIVERSITY OF OXFORD, Oxford, United Kingdom)

12:15 **Poster Prizes Ceremony**

12:30 Closing remarks

13:00 **End of the Symposium**

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