

Programme

Monday June 10, 2019

10:00 **Optional Excursions**

15:00 **Registration**

17:30 **Opening of the Symposium & Welcome Address**

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

Session Chair

Prof. Katarzyna KIEC-KONONOWICZ
(JAGIELLONIAN UNIVERSITY, Krakow, Poland)

17:45 **Derivatives of 1,3,5-triazine as a New Trend in the Search for the 5-HT₆ Receptor Ligands with Therapeutic Perspectives (PL01)**

Prof. Jadwiga HANDZLIK
(MEDICAL COLLEGE OF JAGIELLONIAN UNIVERSITY, Krakow, Poland)

18:30 **Welcome Reception**

Programme

Tuesday June 11, 2019

08:00 Registration

Matrix Metallo-Proteinases

Session Chair

Prof. Krzysztof JOZWIAK
(MEDICAL UNIVERSITY OF LUBLIN, Lublin, Poland)

09:00 Libraries of Fluorogenic Substrates with Natural and Unnatural Amino Acids as a Tool in Design of Active and Specific Probes for Investigation of Proteolytic Enzymes (IL01)

Prof. Marcin DRAG
(WROCLAV UNIVERSITY OF TECHNOLOGY, Wroclaw, Poland)

09:30 MMP-9 at the Synapse in the Brain and Mind (IL02)

Prof. Leszek KACZMAREK
(NENCKI INSTITUTE OF EXPERIMENTAL BIOLOGY, Warsaw, Poland)

10:00 Metalloproteinase Cleavage Control of Interferon Alpha and Gamma Dampens Inflammation and Anti-Viral Immunity (IL03)

Prof. Christopher OVERALL
(UNIVERSITY OF BRITISH COLUMBIA, Vancouver, Canada)

10:30 Coffee Break and Exhibition

Genomics in Drug Discovery : Roles for Chemistry

Session Chair

Prof. Terry MOORE
(UNIVERSITY OF ILLINOIS, Chicago, IL, United States)

11:00 Designing Synthetic Gene Regulators (SynGR) (IL04)

Prof. Aseem ANSARI
(UNIVERSITY OF WISCONSIN-MADISON, Madison, United States)

11:30 Exploring Bacterial Genomes for Natural Product Discovery and Development (IL05)

Prof. Alessandra S. EUSTAQUIO
(UNIVERSITY OF ILLINOIS AT CHICAGO, Chicago, United States)

12:00 Integrative Screening Identifies a Novel Node in the Oncogenic YAP/HIPPO Pathway (IL06)

Dr Mathias FREDERIKSEN
(NOVARTIS INSTITUTES FOR BIOMEDICAL RESEARCH (NIBR), Basel, Switzerland)

12:30 Lunch and Exhibition

Advances in Protein Degradation Technologies

Session Chair

Programme

Prof. Daniel HARKI
(UNIVERSITY OF MINNESOTA, Minneapolis, MN, United States)

14:00 Targeted Protein Degradation with Small Molecules: how PROTACs Work (IL07)

Prof. Alessio CIULLI
(UNIVERSITY OF DUNDEE, Dundee, United Kingdom)

14:30 The Ubiquitin System (IL08)

Prof. Huib OVAA
(LEIDEN UNIVERSITY MEDICAL CENTER, Leiden, The Netherlands)

15:00 Small-Molecule Modulation of Cereblon Protein Level (OC01)

Dr Christian STEINEBACH
(UNIVERSITY OF BONN, Bonn, Germany)

15:15 Drugging Challenging E3 Ligases: A Novel Multidisciplinary Approach to Identify Small-Molecules that Bind FBW7 (OC02)

Ms Miriam MARTINEZ CARTRO
(UNIVERSITY OF BARCELONA, Barcelona, Spain)

15:30 Coffee Break and Exhibition

Session Chair

Prof. Andrzej BOJARSKI
(INSTITUTE OF PHARMACOLOGY POLISH ACADEMY OF SCIENCES, Krakow, Poland)

16:00 Systems Pharmacology Links GPCRs with Retinal Degenerative Disorders (PL02)

Prof. Krzysztof PALCZEWSKI
(CASE WESTERN RESERVE UNIVERSITY, Cleveland, United States)

16:45 First Time Disclosure

The Discovery of LML134, a Histamine H3 Receptor Inverse Agonist for the Clinical Treatment of Excessive Sleep Disorders (IL09)

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

**17:15 Poster Session 1
(Posters with odd numbers)**

18:15 City Tour

Programme

Wednesday June 12, 2019

08:59 **GPCRs: a Discovery Powerhouse**

Session Chair

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

09:00 **G Protein-Coupled Receptors: the Structural Basis for their Pharmacology (IL10)**

Dr Chris TATE
(MRC LABORATORY OF MOLECULAR BIOLOGY, Cambridge, United Kingdom)

09:30 **Design of Orexin 1 Receptor Selective Antagonists (IL11)**

Dr Uta LESSEL
(BOEHRINGER INGELHEIM PHARMA GMBH & CO KG, Biberach an der Riss, Germany)

10:00 **Next Generation GPR119 Agonists as Potential Treatment for Cardiometabolic Diseases (IL12)**

Dr Lothar SCHWINK
(SANOFI, Frankfurt Am Main, Germany)

10:30 **Coffee Break and Exhibition**

Specific and Multi-Targeted Drugs

Session Chair

Prof. Andrzej BOJARSKI
(INSTITUTE OF PHARMACOLOGY POLISH ACADEMY OF SCIENCES, Krakow, Poland)

11:00 **Charting New Paths in Multi-Target Drug Discovery for Alzheimer's Disease (IL13)**

Prof. Maria Laura BOLOGNESI
(UNIVERSITY OF BOLOGNA, Bologna, Italy)

11:30 **Multiple Targeting - The Histamine H3 Receptor Pharmacophore as Central Element (IL14)**

Prof. Holger STARK
(HEINRICH HEINE UNIVERSITY DÜSSELDORF, Duesseldorf, Germany)

12:00 **Chemical Biology for Drug Discovery: A Click and Play Approach Exemplified by ERK1/2 Probes (OC03)**

Dr Charlotte GRIFFITHS-JONES
(ASTEX PHARMACEUTICALS, Cambridge, United Kingdom)

12:15 **Identification and in-vivo Efficacy Studies of a Nicotinamide Derivative as Novel NNMT Inhibitor (OC04)**

Dr Sven RUF
(SANOFI-AVENTIS DEUTSCHLAND GMBH, Frankfurt am Main, Germany)

12:30 **Lunch and Exhibition**

Opioid, Pain, Endo-Cannabinoid

Session Chair

Prof. Katarzyna KIEC-KONONOWICZ
(JAGIELLONIAN UNIVERSITY, Krakow, Poland)

Programme

- 14:00 Cannabinoid Receptor Type 2 Modulation to Improve Outcomes for Cartilage Repair in the Osteoarthritic Joint (IL15)**
Prof. Katarzyna STAROWICZ-BUBAK
(INSTITUTE OF PHARMACOLOGY, POLISH ACADEMY OF SCIENCES, Krakow, Poland)
- 14:30 Potential of Natural Cannabinoids and Synthetic Cannabinoids in Neuroprotection (IL16)**
Prof. Rafael FRANCO
(UNIVERSITY OF BARCELONA, Barcelona, Spain)
- 15:00 Discovery of Best in-class, Novel, Selective, Potent and Peripheral FLT3 Inhibitors, a New Way to Treat Neuropathic Pain (OC05)**
Dr Dominique SURLERAUX
(BCI PHARMA, Liège, Belgium)
- 15:15 The Discovery and Development of the Clinical FAAH Inhibitor JNJ-42165279 (OC06)**
Prof. James BREITENBUCHER
(UNIVERSITY OF CALIFORNIA SAN FRANCISCO, San Francisco, United States)
- 15:30 Coffee Break and Exhibition**
- Session Chair**
Prof. Terry MOORE
(UNIVERSITY OF ILLINOIS, Chicago, IL, United States)
- 16:00 Next Generation Pain Therapeutics for the Opioid Crisis: Design of Bifunctional Nociceptin/Mu Opioid GPCR Ligands as non-Addicting Analgesics (PL03)**
Dr Nurulain ZAVERI
(ASTRAEA THERAPEUTICS, Mountain View, United States)
- 16:45 Pharma Research Highlights in Poland**
- 16:45 Discovery of OAT-2068 - A Potent, Selective, Orally Bioavailable Inhibitor of Mouse Chitotriosidase and its In Vivo Activity in the Bleomycin-Induced Pulmonary Fibrosis Model in Mice (OC07)**
Dr Agnieszka BARTOSZEWICZ
(MOLECURE S.A, Warszawa, Poland)
- 17:00 DPD-inspired Small Heterocyclic Libraries Characterized as Novel LsrK Kinase Inhibitors: an Opportunity to Fight Antimicrobial Resistance (OC08)**
Dr Anna KARAWAJCZYK
(SELVITA, Krakow, Poland)
- 17:15 Poster Session 2
(Posters with even numbers)**
- 18:15 End of Poster Session**
- 20:00 Symposium Banquet**

Programme

Thursday June 13, 2019

Chemical Biology Tools & Target Engagement Technologies

Session Chair

Prof. Edgars SUNA
(LATVIAN INSTITUTE OF ORGANIC SYNTHESIS, Riga, Latvia)

- 09:00 Protein-Ligand Interactions: How Medicinal Chemistry Contributes to the Understanding of Molecular Recognition (IL17)**
Dr Marc NAZARE
(FMP, Berlin, Germany)
- 09:30 Adventures in Targeting Protein Lipdation: Breaking Drug Resistance Using Fragment Deconstruction and Chemical Biology (IL18)**
Prof. Edward TATE
(IMPERIAL COLLEGE LONDON, London, United Kingdom)
- 10:00 Tankyrase Inhibitors : Evidence for Therapeutic Potential in Immuno-Oncology**
Dr Luc VAN HIJFTE
(MERCACHEMSYNCOM, Nijmegen, The Netherlands)
- 10:30 Coffee Break and Exhibition**

Targeted Covalent Inhibitors

Session Chair

Dr. Anders KARLÉN
(UPPSALA UNIVERSITY, Uppsala, Sweden)

- 11:00 Safety First: Covalent Inhibitors from a Safety Perspective (IL20)**
Dr Mickael MOGEMARK
(ASTRAZENECA, Mölndal, Sweden)
- 11:30 Adventures in Functional Assignment and Inhibition of Metallo-Enzymes (IL21)**
Prof. Christopher J. SCHOFIELD
(UNIVERSITY OF OXFORD, Oxford, United Kingdom)
- 12:00 Mining the Protein Kinase Cysteineome (IL22)**
Dr Tjeerd BARF
(ACERTA PHARMA BV, Oss, The Netherlands)
- 12:30 Lunch and Exhibition**

Adding AI to the Drug Discovery Tool Box

Session Chair

Dr Carolyn DZIERBA
(BRISTOL-MYERS SQUIBB, Cambridge, MA, United States)

- 14:00 Learning the Art of Chemical Synthesis with Deep Neural Networks and Discipline Scale Data (IL23)**

Programme

Prof. Mark WALLER
(UNIVERSITY OF WOLLONGONG, Wollongong, Australia)

14:30 Applications of Artificial Intelligence in Drug Discovery – Separating Hype From Utility (IL24)

Dr Patrick WALTERS
(RELAY THERAPEUTICS, Cambridge, MA, United States)

15:00 Augmented Intelligence for Compound Design (OC09)

Dr Michał WARCHOL
(ARDIGEN, Krakow, Poland)

15:15 Capturing and Applying Knowledge to Guide Compound Optimisation (OC10)

Dr Nick FOSTER
(OPTIBRIUM, Litlington, United Kingdom)

15:30 Closing Remarks