

RICT 2015

Drug Discovery and Selection

Programme

Wednesday July 1, 2015

10:00 **Registration as of 10:00**

11:00 **Elsevier Reaxys Workshop:
Data-Driven Approaches to Medicinal Chemistry: How Large-Scale Normalized Data Empowers Drug
Discovery (duration: 90-minutes)**

12:00 **Lunch bag (included in the fee, but subject to prior registration)**

13:15 **Welcome Address**

Dr Christine CONTINO-PEPIN (UNIVERSITY OF AVIGNON, Avignon, France)
Prof. Philippe OBER (UNIVERSITY OF AVIGNON, Avignon, France)
Prof. Janos SAPI (SCT & UNIVERSITY OF REIMS-CHAMPAGNE-ARDENNE, Reims, France)

**Session 1: Paul Ehrlich Award Lecture
Sponsored by Janssen-Cilag**

Session Chairs

Prof. Janos SAPI (SCT & UNIVERSITY OF REIMS-CHAMPAGNE-ARDENNE, Reims, France)
Dr Oscar DELGADO (JANSSEN R&D, Toledo, Spain)

13:30 **Paul Ehrlich Awardee - The Synthetic Peptide P140, a Skilled Strategist and Manipulator of the Immune
System**

Dr Sylviane MULLER
(IBMC-CNRS, Strasbourg, France)

**Session 2: Kinases & Oncology
Sponsored by Servier**

Session Chair

Dr Olivier MIRGUET
(INSTITUT DE RECHERCHES SERVIER, Suresnes, France)

14:30 **Discovery and Characterisation of Potent and Selective Inhibitors of ATR Kinase as Anti-cancer Agents**

Mr Jean-Damien CHARRIER
(VERTEX PHARMACEUTICALS, OXON, United Kingdom)

15:15 **Covalent Kinase Inhibitors: A Practical Guide to Molecular Trapping**

Dr Tjeerd BARF
(ACERTA PHARMA BV, Oss, The Netherlands)

16:00 **Coffee Break & Exhibition**

Session 3: Resistance in Infectious Diseases

Session Chair

Dr Hong SHEN
(ROCHE INNOVATION CENTER, Shanghai, China)

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- 16:30** **Antibiotic Resistance is an Emerging Disease**
Dr Patrice COURVALIN
(INSTITUT PASTEUR, Paris, France)
- 17:15** **Resistance Phenotypes and SAR in HCV Drug Development**
Dr John BILELLO
(MERCK, Whitehouse Station, United States)
- 18:00** **Welcome Reception**
- 19:30** **End of the Welcome Reception**

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Session 4: Tools for Cell Therapy

Session Chair

Dr Pascal GEORGE
(SCT & INDEPENDENT SCIENTIFIC EXPERT & ADVISER, Longvilliers, France)

08:30 Synthetic Molecules for Cell Biology and Cell Therapy

Prof. Motonari UESUGI
(KYOTO UNIVERSITY, Kyoto, Japan)

**Session Session 5: Short Communications - Targeting Apoptosis
Sponsored by SCT**

Session Chair

Prof. Muriel AMBLARD
(CNRS-UNIVERSITY OF MONTPELLIER I, Montpellier, France)

09:30 How do a Proapoptotic and a Cell Penetration Peptide work Together to kill Cancer Cells?

Dr Isabel ALVES
(UNIVERSITY OF BORDEAUX, Pessac, France)

10:00 Allosteric Inhibitors of p38 MAPK-MK2 Pathway for Selective Cancer Cell Killing

Dr Lenka MUNOZ
(UNIVERSITY OF SYDNEY, Sydney, Australia)

**Session Session 6: Short Communications - CNS Diseases
Sponsored by SCT**

Session Chair

Dr Grégory DURAND
(UNIVERSITY OF AVIGNON, Avignon, France)

09:30 Development of Novel Multi-Target Directed Ligands for Alzheimer's Disease: Identification of Donecopride

Prof. Christophe ROCHAIS
(UNIVERSITY OF CAEN, Caen, France)

10:00 Development of Unnatural Basic Amino Acids Leading to Orally-Active NPFF Receptor Antagonists Preventing Opioid-Induced Hyperalgesia during the Treatment of Acute or Chronic Pains

Dr Frédéric BIHEL
(CNRS / UNIVERSITY OF STRASBOURG, Illkirch, France)

10:30 Coffee Break & Exhibition

Session Session 7: Diabetes

Session Chair

Dr Alessandra NURISSE
(UNIVERSITY OF GENEVA, Genève, Switzerland)

11:15 From in situ Click to in vivo: Effect of Inhibition of Insulin Degrading Enzyme

Prof. Rebecca DEPREZ-POULAIN
(UNIVERSITY OF LILLE, Lille, France)

12:00 Revolutionary Idea Yielded SGLT2 Inhibitor Canagliflozin for Treatment of Type 2 Diabetes

Dr Sumihiro NOMURA
(MITSUBISHI TANABE PHARMA CORPORATION, Saitama, Japan)

Session Session 8: Tools for Target ID

Session Chair

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Prof. Sun CHOI
(EWH A WOMANS UNIVERSITY, Seoul, Korea, South)

11:15 Retinoids/Steroids as Ligands for Pharmacological Chaperon and Protein Knockdown Approach

Prof. Yuichi HASHIMOTO
(THE UNIVERSITY OF TOKYO, Tokyo, Japan)

12:00 Chemical Probes for Epigenetic Proteins

Prof. Paul BRENNAN
(UNIVERSITY OF OXFORD, Oxford, United Kingdom)

12:45 Lunch & Exhibition

**13:30 Poster Session 1
(posters with odd numbers)**

13:45 Career Session

Session Session 9: Cardiac Diseases

Session Chair

Prof. Joachim MITTENDORF
(BAYER PHARMA AG, Wuppertal, Germany)

14:45 Phenotypic Screening for the Discovery of Novel Molecules for Therapeutic Cardiac Regeneration

Dr Allyn T. PLOWRIGHT
(ASTRAZENECA, Cambridge, United Kingdom)

15:30 BAY 1067197 - Discovery of a Partial Adenosine A1 Agonist for the Treatment of Heart Failure

Dr Daniel MEIBOM
(BAYER PHARMA, Wuppertal, Germany)

Session Session 10: Structure Based Approaches Sponsored by 'Fondation de la Maison de la Chimie'

Session Chair

Dr Jean-Paul RENAUD
(RIBOSTRUCT, Ostwald, France)

14:45 Drugs, Targets, Diseases and the Druggable Proteome

Prof. Tudor I. OPREA
(UNIVERSITY OF NEW MEXICO, San Diego, CA, United States)

15:30 Shedding Light on Protein Kinase Plasticity

Prof. Pascal BONNET
(UNIVERSITY OF ORLÉANS, Orléans, France)

16:15 Coffee Break & Exhibition

Session Session 11: Neglected/Rare Diseases

Session Chair

Dr Anne VALADE
(UCB, Braine-l'Alleud, Belgium)

16:45 Lessons learned in TB Drug Discovery

Dr Jan JIRICEK
(NOVARTIS INSTITUTE FOR TROPICAL DISEASES, Singapore, Singapore)

17:30 Cerdelga, an Oral Therapy for Gaucher's Disease; from Discovery to Launch

Dr David J HARRIS
(SANOFI, Waltham, United States)

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Session Session 12: GPCRs

Session Chair

Dr Manuel CASES-THOMAS
(ELI LILLY & COMPANY, United Kingdom)

16:45 Two Steps Forward, One Step Back: Successes and Failures in Structure-based Discovery of GPCR Ligands

Prof. Jens CARLSSON
(STOCKHOLM UNIVERSITY, Stockholm, Sweden)

**17:30 Fragment and Structure-Based Drug Discovery for a Family C GPCR: Discovery of the mGlu5 NAM
Pre-clinical Candidate HTL14242**

Dr John CHRISTOPHER
(HEPTARES, Hertfordshire, United Kingdom)

20:00 Symposium Banquet

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Friday July 3, 2015

Session 13: Viral Diseases
Sponsored by IDENIX an MSD Company

Session Chair

Dr Sylvie POCHET
(INSTITUT PASTEUR, Paris, France)

- 08:30 Sofosbuvir: A Breakthrough Curative Therapy for HCV**
Dr Michael SOFIA
(TEKMIRA/ONCORE BIOPHARMA, Doylestown, PA, United States)
- 09:15 Discovery of HIV Integrase Inhibitors**
Dr John WAI
(WUXI APPTec, Shanghai, China)
- 10:00 Coffee Break & Exhibition**
- 10:30 Poster Session 2**
(posters with even numbers)

Session 14: Pierre Fabre Award Lecture
Sponsored by Pierre Fabre

Session Chair

Dr Serge GRISONI
(CENTRE DE RECHERCHE ET DÉVELOPPEMENT PIERRE FABRE, Toulouse, France)

- 11:45 Pierre Fabre Awardee - Small Molecules, Big Players**
Dr Raphaël RODRIGUEZ
(INSTITUT CURIE, Paris, France)
- 12:30 Lunch & Exhibition**

Session 15: Immuno/Inflammatory Diseases
Sponsored by GSK

Session Chair

Dr Alexis DENIS
(GSK, Courtaboeuf, France)

- 13:30 Novel Triazolopyridine Compounds as Selective JAK1 Inhibitors: From Target Discovery to Filgotinib**
Dr Christel MENET
(GALAPAGOS, Brussels, Belgium)
- 14:15 Locking the Bioactive Conformation – Discovery of BAY 85-8501, a Potent Inhibitor of Human Neutrophil Elastase**
Dr Franz VON NUSSBAUM
(BAYER PHARMA, Berlin, Germany)

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Session 16: Poster Prizes and Closing Remarks

Session Chair

Dr Luc VAN HIJFTE
(SCT & NOVALIX, Nijmegen, The Netherlands)

15:00 **Poster Prizes & Closing Remarks**

Session 17: Future Event and Closing

Session Chair

Prof. Patrick DALLEMAGNE
(UNIVERSITY OF CAEN, Caen, France)

15:15 **Introduction to RICT 2016**

15:30 **End of the symposium**