

# 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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Thursday July 2, 2015

#### 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**