

Programme

Wednesday 02/07/2014

13:45 Opening Ceremony

Prof. Xavier PANNECOUCKE
(INSA ROUEN, Saint Etienne du Rouvray, France)

Prof. Hubert VAUDRY
(UNIVERSITY OF ROUEN, Mont-Saint-Aignan, France)

(THERMO FISHER SCIENTIFIC)

Mr Nicolas MAYER-ROSSIGNOL
(PRÉSIDENT DU CONSEIL RÉGIONAL DE HAUTE-NORMANDIE, Rouen, France)

Mr Frédéric SANCHEZ
(PRÉSIDENT DE LA CREA, Rouen, France)

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

Session 1: Ehrlich Prize Sponsored by Janssen-Cilag

Session Chair:

Dr Jean-François BONFANTI
(JANSSEN R&D, Val de Reuil, France)

14:00 **Ehrlich Prize Winner** **Natural Antitumor Macrolides Acting on Tubulin: Synthesis and Functional Exploration**

Prof. Karl-Heinz ALTMANN
(SCS DMCCB & SWISS FEDERAL INSTITUTE OF TECHNOLOGY, Zürich, Switzerland)

Session 2: Epigenetics

Session Chair:

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

15:00 **Discovery and Optimisation of a Novel Series of EZH2 Inhibitors, Challenges and Clinical Perspectives**

Dr Jean-Christophe HARMANGE
(CONSTELLATION PHARMACEUTICALS, Cambridge, United States)

15:45 **Coffee Break and Exhibition**

Session 3: Stabilized Peptides Sponsored by Ipsen

Programme

Session Chair:

Dr Olivier LAVERGNE
(., Palaiseau, France)

16:45 2-Clips Peptides: A Novel Class of Biopharmaceuticals

Prof. Peter TIMMERMAN
(PEPSKAN THERAPEUTICS, Lelystad, The Netherlands)

17:30 Design and Applications of Protein Epitope Mimetics

Prof. John ROBINSON
(UNIVERSITY OF ZÜRICH, Zürich, Switzerland)

18:30 Welcome Reception

**20:30 Public Lecture: La recherche des médicaments d'hier à aujourd'hui
Open to the general public of Rouen and all symposium participants (venue: Hôtel de Région, room
Hémicycle)**

Prof. Jean COSTENTIN
(UNIVERSITY OF ROUEN, Rouen, France)

Programme

Thursday 03/07/2014

Session 4: Molecular Space
Sponsored by LabEx SynOrg

Session Chair:

Prof. Xavier PANNECOUCKE
(INSA ROUEN, Saint Etienne du Rouvray, France)

08:30 Excursions in Uncharted Structural Space

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

Session Session 5: Short Communications
Sponsored by SCT

Session Chair:

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

09:15 Silicon-containing Tetrahydrocyclopenta[c]acridine Derivatives as CDKs Inhibitors: Design, Organometallic Synthesis, Metabolic Stability and Optimisation

Prof. Philippe BELMONT
(UNIVERSITY PARIS DESCARTES, Paris, France)

09:45 Combining Organic Synthesis, Software Development and Biochemistry for the Design and Discovery of Prolyl oligopeptidase Inhibitors

Prof. Nicolas MOITESSIER
(MCGILL UNIVERSITY, Montreal, Canada)

Session Chair:

Prof. Nicolas WILLAND
(UNIVERSITY OF LILLE, Lille, France)

09:15 Identification of Cell-penetrating Compounds and their Use for Intracellular Delivery of Cathepsin D Inhibitors

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

09:45 Innovative Fluorescent-Based Approaches to GPCR Screening and Functional Architecture Studies

Prof. Dominique BONNET
(UNIVERSITY OF STRASBOURG, Illkirch, France)

10:15 Coffee Break, Exhibition and Poster Session (odd numbers)

Session Session 7: Cardiac/Geno Safety

Session Chair:

Prof. Gérard SIEST
(UNIVERSITY OF LORRAINE & PRESIDENT OF THE EUROPEAN SOCIETY OF PHARMACOGENOMICS AND THERANOSTICS, Nancy, France)

11:00 Genotoxicity Screening can guide Lead Optimisation and Reduce Late Stage Attrition

Prof. Richard WALMSLEY
(UNIVERSITY OF MANCHESTER, Manchester, United Kingdom)

11:45 Recent Advances in Predicting Cardiac Risk during Drug Development

Dr Arthur BROWN
(CHANTEST, Cleveland, United States)

Session Session 6: Protein-protein Interactions
Sponsored by ACS

Programme

Session Chair:

Dr Gilles GUICHARD
(UNIVERSITY OF BORDEAUX, CBMN, Bordeaux, France)

11:00 Use of Peptides to Modulate Protein-Protein Interactions

Prof. Ernest GIRALT
(INSTITUTE FOR RESEARCH IN BIOMEDICINE (IRB), Barcelona, Spain)

11:45 Strategies to Target Protein-Protein Interactions: from Discovery to Clinical Development

Prof. Shaomeng WANG
(UNIVERSITY OF MICHIGAN, Michigan, United States)

12:30 Lunch

13:15 Exhibition and Poster Session (Odd numbers)

14:00 Career Session

Session Session 8: Oncology

Session Chair:

Dr Kam ZHANG
(RIKEN, Yokohama, Japan)

14:30 Targeting BAX for Cancer Therapy: from Computer Screen to Mouse

Dr Luciana MARINELLI
(UNIVERSITY OF NAPOLI, Napoli, Italy)

15:15 Discovery of TAK-632: Pan-RAF Inhibitor with Potent Antitumor Activity against *BRAF* and *NRAS* Mutant Melanomas

Dr Masanori OKANIWA
(TAKEDA PHARMACEUTICAL COMPANY, Kanagawa, Japan)

Session Session 9: Biophysic tools and SPR Sponsored by NovAlIX

Session Chair:

Dr Denis ZEYER
(NOVALIX, Illkirch, France)

14:30 Exploiting the Information Rich Output of SPR Biosensor Technology in Drug Discovery – From Target Evaluation to Fragment and Lead

Prof. Helena DANIELSON
(UPPSALA UNIVERSITY, Uppsala, Sweden)

15:15 High-Throughput Chemical Microarray SPR: The Biophysical Tool for Fragment Based Screening in Drug Discovery

Dr Thomas NEUMANN
(GRAFFINITY PHARMACEUTICALS, Illkirch, France)

16:00 Coffee Break and Exhibition

Session Session 10: Epigenetics Sponsored by Inventiva

Session Chair:

Dr Alexis DENIS
(GSK, Courtaboeuf, France)

16:30 Cell Identity Loss in Cancer: a Source of New Biomarkers and Therapeutic Targets

Dr Saadi KHOCHBIN
(JOSEPH FOURIER UNIVERSITY, Grenoble, France)

17:15 Chemical Probes for Epigenetics

Programme

Dr Dafydd OWEN
(PFIZER, Cambridge, United States)

Session Session 11: Biophysics and Kinetics

Session Chair:

Dr Frank LEEMHUIS
(MERCACHEM-SYNCOM, Nijmegen, The Netherlands)

16:30 Translational Biophysics: Using Biophysical Data in Medicinal Chemistry

Dr Matthias FRECH
(MERCK, Darmstadt, Germany)

17:15 Molecular Basis of Ligand Dissociation from GPCRs – a Molecular Dynamics and Mutagenesis Study on the Adenosine A_{2A} Receptor

Prof. Laura HEITMAN
(UNIVERSITY OF LEIDEN, Leiden, The Netherlands)

Session 12: Physicochemical Properties

Session Chair:

Dr Gerardo TURCATTI
(ÉCOLE POLYTECHNIQUE FÉDÉRALE DE LAUSANNE, Lausanne, Switzerland)

18:00 Polar Units and Dipolar Interactions Modulating, Conformation, Lipophilicity, and other Physicochemical Properties

Prof. Klaus MÜLLER
(F. HOFFMANN-LA ROCHE, Switzerland)

20:00 Symposium Banquet

Friday 04/07/2014

Session 13: Kinases Sponsored by Servier

Session Chair:

Dr Arnaud LE TIRAN
(INSTITUT DE RECHERCHES SERVIER, Croissy sur Seine, France)

- 08:30 The Lab Oddity Prevails - Discovery of pan-CDK Inhibitor BAY 1000394 (Roniciclib) for the Treatment of Cancer**
Dr Ulrich LÜCKING
(BAYER HEALTHCARE, Basel, Switzerland)
- 09:15 The Design and Optimisation of Selective Protein Kinase C Theta Inhibitors for the Treatment of Autoimmune Diseases**
Dr Juan-Miguel JIMENEZ
(VERTEX PHARMACEUTICALS, Abingdon, United Kingdom)
- 10:00 Coffee Break, Exhibition and Poster Session (Even numbers)**

Session 14: Non Standard Tools

Session Chair:

Dr Kenichiro KATAOKA
(TEIJIN PHARMA LIMITED, Tokyo, Japan)

- 10:45 Specific Antidotes for Dabigatran: Structure-Guided Affinity Optimisation and Functional Characterisation**
Dr Herbert NAR
(BOEHRINGER INGELHEIM, Biberach, Germany)
- 11:30 Pseudo-Natural Product Synthesis and Drug Applications**
Prof. Hiroaki SUGA
(UNIVERSITY OF TOKYO, Tokyo, Japan)
- 12:30 Lunch**
- 13:00 Exhibition and Poster Session (Even numbers)**

Session 15: CNS - Psychiatry

Session Chair:

Dr Dominique LESUISSE
(SCT & SANOFI, Chilly-Mazarin, France)

- 14:00 PDE10A Inhibitors as Potential Treatments for Schizophrenia**
Dr Jose Manuel BARTOLOME
(JANSSEN RESEARCH & DEVELOPMENT, Toledo, Spain)
- 14:45 Novel Imidazoles as Selective TAAR1 Partial Agonists for the Treatment of Psychiatric Disorders**

Programme

Dr Giuseppe CECERE
(F. HOFFMANN-LA ROCHE, Basel, Switzerland)

Session 16: Pierre Fabre Award for Therapeutic Innovation
Sponsored by Pierre Fabre

Session Chair:

Dr PHILIPPE MAILLOS
(INSTITUT DE RECHERCHE PIERRE FABRE, CASTRES, France)

15:30 Pierre Fabre Lecture

Prof. Sebastien PAPOT
(UNIVERSITY OF POITIERS, Poitiers, France)

Session 17: Closing

Session Chair:

Prof. Janos SAPI
(SCT & UNIVERSITY OF REIMS-CHAMPAGNE-ARDENNE, Reims, France)

16:15 Prizes and Closing Remarks

Session Chair:

Dr Christine CONTINO-PEPIN
(UNIVERSITY OF AVIGNON, Avignon, France)

16:25 RICT 2015

16:30 End of the Symposium