

# RICT 2011 - Drug Discovery and Selection

## Programme

**Wednesday July 6, 2011**

**08:00 Registration**

**09:15 Welcome Session**

### **Session 1: Case Studies**

#### **Session Chair**

Prof. Pascal DUMY  
(ECOLE NATIONALE SUPÉRIEURE DE CHIMIE DE MONTPELLIER (ENSCM), Montpellier, France)

**09:30 Discovery of Riociguat: A Potent, Oral Stimulator of Soluble Guanylate Cyclase for the Treatment of Pulmonary Hypertension**

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

**10:15 Aleglitazar, a Potent and Balanced Dual PPAR $\alpha$ / $\gamma$  Agonist for the Reduction of Cardiovascular Mortality and Morbidity in Patients with Type 2 Diabetes**

Dr Peter MOHR  
(F. HOFFMANN-LA ROCHE, Basel, Switzerland)

**11:00 Coffee Break**

#### **Session Chair**

Dr Edmond DIFFERDING  
(DIFFERDING CONSULTING, Louvain-la-Neuve, Belgium)

**11:30 Discovery of Potent and Selective Smoothed Inhibitors for the Treatment of Hedgehog-dependent Tumors**

Dr Stefan PEUKERT  
(NOVARTIS, Cambridge MA, United States)

**12:15 Gut-Targeted Microsomal Triglyceride Transfer Protein Inhibitors to Treat Obesity: Design, Clinical Proof of Concept and Novel Chemical Leads**

Dr Lieven MEERPOEL  
(JANSSEN R&D, Belgium)

**13:00 Lunch and Poster Session**

### **Session 2: From Screening to Development**

#### **Session Chair**

Prof. Pierre-Alain CARRUPT  
(UNIVERSITÉ DE GENÈVE, Geneva 4, Switzerland)

**14:30 Discovery and Optimization of Kinase Inhibitors: Some Case Studies from GSK3 $\beta$  and IGF1R Inhibitors**

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

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- 15:15**     **Discovery and Lead Optimisation of a Novel Series of Highly Potent, Selective and Orally Bioavailable SYK Inhibitors**  
Dr Neil GARTON  
(GLAXOSMITHKLINE, Stevenage, United Kingdom)
- 16:00**     **Coffee Break and Poster Session**
- Session Chair**  
Dr Luc VAN HIJFTE  
(JANSSEN RESEARCH & DEVELOPMENT, Nijmegen, The Netherlands)
- 16:30**     **The Design, SAR and Synthesis of Novel ADAM-TS4 (Aggrecanase-1) Inhibitors for the Treatment of Osteoarthritis**  
Dr Chris DE SAVI  
(ASTRAZENECA R&D, Boston, United States)
- 17:15**     **Ehrlich Lecture - Title to be announced**  
Prof. Jean MARTINEZ  
(UNIVERSITY OF MONTPELLIER, Montpellier, France)
- 18:00**     **Final Announcements**
- 18:30**     **Welcome drink sponsored by BIOalternatives**

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Thursday July 7, 2011

### Session Session 3: Other Innovative Approaches

#### Session Chair

Prof. Jens HASSERODT  
(ENS, Lyon, France)

**09:00 Tumor Targeting Using Shiga Toxin: Syntheses and Biological Evaluations of Some Conjugates**

Dr Frédéric SCHMIDT  
(INSTITUT CURIE, Paris, France)

**09:45 Folding Oligomers with Predictable Structures and Properties**

Dr Ivan HUC  
(IECB & CNRS - UNIVERSITÉ DE BORDEAUX, Bordeaux, France)

**10:30 Coffee Break and Poster Session**

### Session Session 3: Other Innovative Approaches (Fol.)

#### Session Chair

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

**11:00 Fragment-based Engineering of Protein-ligand Interactions Using NMR and Other Biophysical Methods : Towards Tailor-made Drugs**

Prof. Jean-Marc LANCELIN  
(CPE, VILLEURBANNE Cedex, France)

**11:45 Template Assembled Functional Biomolecules : Chemistry, Characterization and Validation as a Paradigm for Tailor Made Molecular Medicine**

Prof. Pascal DUMY  
(ECOLE NATIONALE SUPÉRIEURE DE CHIMIE DE MONTPELLIER (ENSCM), Montpellier, France)

### Session Session 4: In Silico Approaches

#### Session Chair

Dr Solo GOLDSTEIN  
(INSTITUT DE RECHERCHES SERVIER, Suresnes, France)

**11:00 How can Computers Support Drug Discovery? *In Silico* Approaches for Anticipating Bioactivity Spectra, Analysing Adverse Drug Reactions and Predicting Ligand Activity Against Particular Protein Mutants**

Prof. Andreas BENDER  
(UNIVERSITY OF CAMBRIDGE, United Kingdom)

**11:45 A Journey in Data and Chemical Spaces: Application to Pharmaceutical Sciences**

Dr Philippe VAYER  
(LABORATOIRE SERVIER, Orléans, France)

**12:30 Lunch and Poster Session**

### Session Session 5: Hit to Lead

#### Session Chair

Dr Olivier LAVERGNE  
(., Palaiseau, France)

**14:00 The Mitotic Kinesin Eg5 as a Target for Drug Development in Cancer Chemotherapy: from Inhibitor Screening to in vivo Models**

Prof. Frank KOZIELSKI  
(BEATSON INSTITUTE FOR CANCER RESEARCH, Glasgow, United Kingdom)

**14:45 Proteomic Studies as a Guide in the Development of New Cyclin-dependent Kinase Inhibitors**

Prof. Hervé GALONS  
(SCT & PARIS DESCARTES UNIVERSITY, Paris, France)

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### Session Session 6: Fluorine in Medicinal Chemistry

#### Session Chair

Dr Frédéric DOLLE  
(CEA, SERVICE HOSPITALIER FRÉDÉRIC JOLIOT, Orsay, France)

**14:00 A Brief Guide to Fluorine-Protein Interactions for the Medicinal Chemist**

Dr Jérôme AMAUDRUT  
(ABBOTT-LABORATOIRE FOURNIER, Daix, France)

**14:45 Difluoromethylbenzoxazole (DFMB)Pyrimidine Thioether Derivatives: A Novel Class of Potent Non-Nucleoside HIV-1 Reverse Transcriptase Inhibitors**

Dr Maurice MEDEBIELE  
(UNIVERSITÉ CLAUDE BERNARD LYON 1, Villeurbanne, France)

**15:30 Coffee Break and Poster Session**

### Session Session 5: Hit to Lead (Fol.)

#### Session Chair

Mr Jean-Claude FLORENT  
(INSTITUT CURIE, Paris, France)

**16:15 KCC2 : a New Target for Epilepsy?**

Dr Cécile PEGURIER  
(UCB PHARMA, Braine-L'Alleud, Belgium)

**17:00 New Multivalent Glyco-vaccines: Molecular Engineering of the Regiospecificity of Transglucosidases for Chemo-enzymatic Oligosaccharide Synthesis**

Prof. Pierre MONSAN  
(INSA, Toulouse, France)

### Session Session 6: Fluorine in Medicinal Chemistry (Fol.)

#### Session Chair

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

**16:15 Synthesis of Radiolabelled Probes for Positron Emission Tomography: The Link Between Molecular Imaging and Medicinal Chemistry**

Dr Frédéric DOLLE  
(CEA, SERVICE HOSPITALIER FRÉDÉRIC JOLIOT, Orsay, France)

**17:45 Final Announcements**

**20:00 Gala Dinner**

# RICT 2011 - Drug Discovery and Selection

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**Friday July 8, 2011**

### **Session 7: New Strategies, Tools and Platforms**

#### **Session Chair**

Prof. Peter GOEKJIAN  
(UNIVERSITE DE LYON, Villeurbanne Cedex, France)

**09:00      Accessing Libraries of Highly Antitumor Active Ansamycin Antibiotics by Semi- and Mutasynthesis**

Prof. Andreas KIRSCHNING  
(LEIBNIZ UNIVERSITY, Hannover, Germany)

**09:45      Development of Enantiomers: the Example of Chiral Sulfoxides**

Dr Philippe PITCHEN  
(FOREST RESEARCH INSTITUTE, Hauppauge, United States)

**10:30      Coffee Break and Poster Session**

**11:00      Poster Awards**

### **Session 7: New Strategies, Tools and Platforms (fol)**

#### **Session Chair**

Dr Michel PEREZ  
(PIERRE FABRE, Toulouse, France)

**11:30      Non-Denaturing Chemical Proteomics for Protein Complex Isolation and Identification**

Dr Alain WAGNER  
(UNIVERSITÉ DE STRASBOURG, Illkirch, France)

**12:15      Mentzer Lecture - DNA-Encoded Chemical Libraries: a New Tool for Drug Discovery**

Prof. Dario NERI  
(ETH ZÜRICH, Zürich, Switzerland)

**13:00      Final Conclusions**

**13:15      Lunch**