

# RICT 2007 - Drug Discovery and Selection

## Programme

### Wednesday July 4, 2007

**09:40      Successful RNAi-Based Target Discovery Programs in a Wide Range of Human Diseases**

Dr. Richard JANSSEN  
(BIOFOCUS, Leiden, The Netherlands)

**Session 1: Target Identification Validation**

**10:15      Polypharmacology**

Dr. Andrew L. HOPKINS  
(PFIZER GLOBAL R&D, Sandwich, United Kingdom)

**10:50      Opening the Gate to Novel Ion Channel Modulators and Innovative Anti-arrhythmics**

Dr. Petra BLOM  
(DEVGEN, Zwijnaarde, Belgium)

**11:25      From Compound to Targets to Compounds: The Example of the PPARs**

Prof. Bart STAELS  
(INSTITUT PASTEUR DE LILLE, Lille, France)

**12:15      Lunch & poster installation**

**14:30      Fragment-based Drug Discovery – From Crystal to Clinic**

Dr Steve WOODHEAD  
(ASTEX THERAPEUTICS, San Diego, United States)

**Session 2: Hit Generation**

**15:05      Discovery and Optimisation of Pyrazole Inhibitors of HSP90**

Dr. Ted MCDONALD  
(INSTITUTE FOR CANCER RESEARCH, Sutton, United Kingdom)

**15:40      AstraZeneca Compound Collection Enhancement: The Synthesis of 'Lead-Like' Libraries**

Dr. Jeff STONEHOUSE  
(ASTRAZENECA R&D CHARNWOOD, Loughborough, United Kingdom)

**16:15      Coffee break**

**16:45      Synthesis and Structure-Activity Relationships of Oral Venous Antithrombotic Thioglycosylated Derivatives**

Dr. Véronique BARBEROUSSE  
(ABBOTT - LABORATOIRE FOURNIER, France)

**17:35      Posters and wine**

**19:05      Closing**

**20:00      Speakers' reception**

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**Thursday July 5, 2007**

### **Session 3: Hit-2-Lead; Lead Generation and Selection**

- 08:30      The Synthesis and Activity of New Inhibitors of CDK4 Based on Fascaplysin**  
Dr. Paul R. JENKINS  
(UNIVERSITY OF LEICESTER, Leicester, United Kingdom)
- 09:05      Natural Product Inspired Isoform Selective Histone Deacetylase Inhibitors**  
Prof. Arasu GANESAN  
(UNIVERSITY OF SOUTHAMPTON, Norwich, United Kingdom)
- 09:40      The Evolution of a Series of Small Molecule Inhibitors of Neutral Endopeptidase**  
Dr David PRYDE  
(PFIZER GLOBAL R&D, Sandwich, United Kingdom)
- 10:15      Coffee break**
- 10:45      The Discovery of AZD0530: A Novel, Oral, Highly Selective SRC Inhibitor with BCR-ABL Activity**  
Dr Laurent HENNEQUIN  
(ASTRAZENECA, Reims, France)
- 11:35      "Paul Ehrlich" lecture**
- Medicinal Chemistry: a Support to Chemical Biology and a Necessity for Drug Discovery**  
Dr. Jean-Pierre MAFFRAND  
(SANOFI-AVENTIS, Toulouse, France)
- 12:10      Lunch & posters**
- 13:30      Sigma-Aldrich Workshop: New services for Drug Discovery by Sigma-Aldrich**  
Dr Scott BATCHELLER  
(SIGMA-ALDRICH CORPORATION, Milwaukee, United States)

### **Career Session**

**This event, with presentations and discussion will run in parallel to the scientific programme**

- 14:10      Early ADMET Tools in Drug Discovery**  
Mr Marc BERTRAND  
(TECHNOLOGIE SERVIER, Orleans Cedex, France)

### **Session 4: Discovery ADMET**

- 14:45      Biotransformation Studies in Drug Discovery Setting - Strategy, Approach and Impact**  
Dr. Yue-Zhong SHU  
(BRISTOL-MYERS SQUIBB, Wallingford, United States)

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**15:20      Coffee break**

**15:50      The Discovery and Development of a Green Process for Radafaxine**

Dr. Trevor J. GRINTER  
(GLAXO SMITH KLINE, Tonbridge, United Kingdom)

**Session 5: Synthetic Chemistry New Frontiers Impacting Drug Discovery**

**16:25      Ghrelin Ligands: from Design and Synthesis to Clinical Studies**

Prof. Jean MARTINEZ  
(UNIVERSITY OF MONTPELLIER, Montpellier, France)

**17:00      Metathesis and Synthesis of Anticancer Agents**

Prof. Janine COSSY  
(ESPCI PARISTECH, Paris, France)

**17:35      Posters and wine**

**19:15      Cocktail**

**20:30      Gala dinner**

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### Friday July 6, 2007

**08:30 In Silico / Biological Fingerprinting: the Challenge of Experimental Data to Concepts of Structural and Activity Similarity and Risk Management**  
Dr. Jonathan MASON  
(LUNDBECK, Cambridge, United Kingdom)

#### Session 6: In Silico Tools

**09:05 In Silico Predictions of Cardiovascular Safety - Beyond hERG**  
Dr. Luca FENU  
(JOHNSON & JOHNSON PRD, Beerse, Belgium)

**09:40 Predicting Metabolic Stability for Xenobiotics**  
Prof. Gabriele CRUCIANI  
(UNIVERSITY OF PERUGIA, Perugia, Italy)

**10:15 Coffee break**

**10:45 Long Duration of Action by Design: Discovery of Degarelix, a Self-Depoting GnRH Blocker**  
Dr. Claudio SCHTEINGART  
(FERRING RESEARCH INSTITUTE, San Diego, CA, United States)

#### Session 7: Non Classical Drug Discovery: Breaking the Rules

**11:35 Concept and Development of Chimeric Molecules: Somatostatin –Dopamine Chimeras**  
Dr. Christophe THURIEAU  
(GROUP IPSEN, Les Ulis, France)

**12:15 Therapeutic proteins : Role of Post-Translational Modifications in Structure-Function Relationships. Application to Monoclonal Antibodies and Coagulation Factors**  
Dr. Laurent SIRET  
(LFB, Courtabœuf, France)

**12:55 Lunch**

**13:55 From Medicinal Chemistry to New Targets and New Drugs. Discovery of New Antiepileptic Drug Candidates.**  
Dr Benoit KENDA  
(UCB, Braine-l'Alleud, Belgium)

#### Session 8: Non Classical Drug Discovery: Breaking the Rules

**14:35 Diarylquinoline, a New Antibiotic, Shuts Mycobacterial Energy Supply**  
Dr. Anil KOUL  
(JOHNSON & JOHNSON PHARMACEUTICAL RESEARCH & DEVELOPMENT, Beerse, Belgium)

**15:15 Discovery of Eltrombopag, a Small-Molecule Oral Thrombopoietin Receptor Agonist: a Modulator of a Protein-Protein Interaction**  
Dr. Juan LUENGO  
(GLAXO SMITH KLINE, Collegeville, United States)

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16:05      Closing