

## Programme

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### Sunday 13/09/2015

15:00      Optional excursions

18:30      Welcome reception with Belgian Beers

## Programme

### Monday 14/09/2015

**08:00 Registration**

**08:45 Welcome address**

#### Plenary Session

##### Session Chair

Prof. Koen AUGUSTYNS  
(UNIVERSITY OF ANTWERP, Antwerp, Belgium)

**09:00 Discovery and Development of Bedaquiline: A Rocky Road**

Prof. Koen ANDRIES  
(JANSSEN PHARMACEUTICA NV, Beerse, Belgium)

**09:45 Regulated Necrosis: Disease Relevance and Therapeutic Opportunities**

Prof. Peter VANDENABEELE  
(UNIVERSITY OF GHENT, Ghent, Belgium)

**10:30 Coffee break and Exhibition**

**11:00 The Role of CXCR4 Modulators in Controlling HIV Entry, Stem Cell Mobilisation and Certain Types of Cancer**

Prof. Dennis LIOTTA  
(EMORY UNIVERSITY, Atlanta, United States)

**11:45 Lunch and Exhibition**

#### Session 1 - Molecular Chaperones and their Therapeutic Potential

##### Session Chair

Prof. Brian S. J. BLAGG  
(UNIVERSITY OF KANSAS, Notre Dame, United States)

**13:00 Story of the Hsp27 Inhibitor Apatorsen**

Dr Palma ROCCHI  
(MARSEILLE CANCEROLOGY RESEARCH CENTER, Marseille, France)

**13:30 Targeting Protein-Protein Interactions in the Heat Shock Protein 70 (Hsp70) Complex**

Prof. Jason GESTWICKI  
(UNIVERSITY OF CALIFORNIA, San Francisco, United States)

**14:00 Update on Hsp90 Inhibitors as Cancer Therapeutics**

Dr Weiwen YING  
(SYNTA PHARMACEUTICALS, Lexington, United States)

#### Oral Communications

## Programme

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- 14:30      The Discovery of Chemical Probes for Bromodomain Containing Proteins**  
**Prize winner EFMC-YMCS 2014**  
Dr Duncan HAY  
(EVOTEC, Abingdon, United Kingdom)
- 14:45      The Discovery Of A New Validated Target For Tuberculosis Via Phenotypic Screening**  
Ms Raquel FERNANDEZ  
(GLAXOSMITHKLINE, Tres Cantos, Spain)
- 15:00      Measuring The Dynamics Of Target Engagement Inside Cells Using Bret**  
Mr Matthew ROBERS  
(PROMEGA, Madison, United States)
- 15:15      Outcome EFMC video competition**
- 15:30      Coffee break and Exhibition**
- 16:00      Poster Session 1**  
**(posters with odd numbers)**
- 17:30      City Tour**

## Programme

**Tuesday 15/09/2015**

### Session 2 - Unexplored Chemical Space: Experiences from the European Lead Factory and the NIH

#### Session Chair

Dr Peter MOLENVELD  
(MERCACHEM-SYNCOM, Nijmegen, The Netherlands)

**08:30 Sanford-Burnham's Experience with the NIH's Molecular Libraries Program**

Dr Anthony PINKERTON  
(SANFORD-BURNHAM MEDICAL RESEARCH INSTITUTE, La Jolla, United States)

**09:00 Sharing Compound Collections: UCB's First Experiences from the European Lead Factory**

Dr Jeremy DAVIS  
(UCB, Slough, United Kingdom)

**09:30 Privileged Structures Unlocking Therapeutic Target Families**

Dr Gerhard MUELLER  
(MERCACHEM, Basel, Germany)

**10:00 Coffee break and Exhibition**

### Session 3 - Emerging Advances in GPCR Research

#### Session Chair

Dr Laurent PROVINS  
(UCB, Braine-l'Alleud, Belgium)

**10:30 Revealing The Structural Basis for GPCR Drug Action through Atomic-Level Simulation**

Prof. Ron DROR  
(STANFORD UNIVERSITY, Stanford, United States)

**11:00 Towards 3rd Generation Antihistamines: Fact or Fiction?**

Prof. Rob LEURS  
(VU UNIVERSITY AMSTERDAM, Amsterdam, The Netherlands)

**11:30 The Design of mGlu2 Negative Allosteric Modulators for the Treatment of Neuropsychiatric Disorders**

Dr Michiel VAN GOOL  
(JANSSEN R&D, Toledo, Spain)

**12:00 Lunch and Exhibition**

**12:45 Poster Session 2  
(posters with even numbers)**

### Session 4 - Novel Strategies for Anti-Thrombotic Therapy

#### Session Chairs

Dr Amjad ALI (MERCK & CO. INC., Kenilworth, United States)  
Dr Amy RIPKA (WUXI APPTEC, Cambridge, United States)

## Programme

**14:15 Targeting Factor XII in Thrombosis and Inflammation**

Prof. Thomas RENNE  
(KAROLINSKA INSTITUTET, Stockholm, Sweden)

**14:45 Structure-Based Design of Inhibitors of Factor XIa**

Dr James CORTE  
(BRISTOL MYERS SQUIBB, Princeton, United States)

**15:15 Vorapaxar Discovery and Clinical Benefits**

Dr Patrick ANDRE  
(MERCK & CO., Kenilworth, United States)

**15:45 Coffee break and Exhibition**

**Session 5 - Academic Drug Discovery (+ Panel discussion)**

**Session Chair**

Prof. Henk TIMMERMAN  
(VU UNIVERSITY AMSTERDAM, Oegstgeest, The Netherlands)

**16:15 Translating Medicinal Chemistry Research into Targeted Therapeutics. Building on Academic Knowledge**

Prof. Roberto PELLICCIARI  
(UNIVERSITY OF PERUGIA, Corciano, Italy)

**16:45 Science, Business & Innovation in Medicinal Chemistry**

Prof. Iwan DE ESCH  
(VU AMSTERDAM, Amsterdam, The Netherlands)

**17:15 Panel Discussion - Introduction by the moderator**

**Panellist 1**

Prof. Christa MÜLLER  
(UNIVERSITY OF BONN, Bonn, Germany)

**Panellist 2**

Prof. Chas BOUNTRA  
(UNIVERSITY OF OXFORD, Oxford, United Kingdom)

**Panellist 3**

Prof. Dennis LIOTTA  
(EMORY UNIVERSITY, Atlanta, United States)

**Panel Discussion with Roberto Pellicciari, Iwan de Esch, Christa Müller, Chas Bountra and Dennis Liotta, and discussion with the audience**

**18:15 End of Panel Discussion**

**20:00 Banquet at Grand Café Horta**

## Programme

### Wednesday 16/09/2015

#### Session 6 - Non-Small Molecules

##### Session Chair

Prof. Steven FIRESTINE  
(WAYNE STATE UNIVERSITY, Detroit, United States)

**08:30 High Affinity Bicyclic Peptides: Application to Payloads in Oncology**

Dr Gavin BENNETT  
(BICYCLE THERAPEUTICS, Cambridge, United Kingdom)

**09:00 Exploring Macrocycles for Protein-protein Interaction Targets: the Discovery of Novel Bifunctional XIAP Antagonists**

Dr Nick TERRETT  
(ENSEMBLE THERAPEUTICS CORPORATION, Kriens, Switzerland)

**09:30 Discovery and Optimisation of Bioactive Macrocycles from DNA-Encoded Libraries**

Dr Patrick MCENANEY  
(THE SCRIPPS RESEARCH INSTITUTE, La Jolla, United States)

**10:00 Coffee break and Exhibition**

#### Session 7 - Emerging Topics

##### Session Chair

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

**10:30 Discovery of Highly Efficacious Potentiators for the Treatment of Cystic Fibrosis**

Dr. Steven VAN DER PLAS  
(ITEOS THERAPEUTICS, Belgium)

**10:55 Discovery & Optimisation of Novel Antagonists to the Human Neurokinin-3 Receptor for the Treatment of Sex-Hormone Disorders: From Hit to Clinic**

Dr Hamid HOVEYDA  
(EUROSCREEN, Woluwe-Saint-Lambert, Belgium)

**11:20 Selective JAK1 Inhibitor for the Treatment of Autoimmune Diseases**

Dr Michael L. VAZQUEZ  
(PFIZER, Cambridge, United States)

**11:45 Discovering A Potent Allosteric Kinase Modulator Employing A Computational And Synthetic Toolbox**

Mr Edwin KROON  
(UNIVERSITY OF GRONINGEN, Groningen, The Netherlands)

**12:10 Lunch and Exhibition**

#### Session 8 - Kinetics and Thermodynamics of Ligand Binding

##### Session Chair

## Programme

Dr Matthias FRECH  
(MERCK, Darmstadt, Germany)

**13:15 Kinetics in Drug discovery - A Case for the Adenosine Receptors**

Mr Dong GUO  
(LEIDEN UNIVERSITY, Leiden , The Netherlands)

**13:45 Drug Target Residence Time: HSP90, a Model to Gain Insight into the Molecular Mechanism of Binding**

Dr Matthias FRECH  
(MERCK, Darmstadt, Germany)

**14:15 Novel JAK Inhibitors for Inhaled and Topical Delivery**

Dr Peter JONES  
(PFIZER, Cambridge, United States)

**14:45 Coffee break and Exhibition**

### Session 9 - Rational Design of Non-Oral Drugs

#### Session Chair

Dr Jordi GRACIA  
(ALMIRALL, Toulouse, France)

**15:15 Inhaled PDE4 Inhibitors with Low Emetic Potential and Long Duration of Action for the Treatment of COPD**

Dr Chris DE SAVI  
(ASTRAZENECA R&D, Boston, United States)

**15:45 A Novel Retinoic Acid Receptor Agonist for Dermatological Indications with Specific Design Parameters for Topical Administration to Manage Safety**

Dr Claire BOUIX-PETER  
(GALDERMA R&D, Sophia-Antipolis, France)

**16:15 Locally-acting ROCK Inhibitors for the Treatment of Eye Diseases**

Dr Olivier DEFERT  
(AMAKEM, Loos, France)

**16:45 Closing remarks**