

Programme

Sunday September 13, 2015

15:00 Optional excursions

18:30 Welcome reception with Belgian Beers

Programme

Monday September 14, 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

- 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**

Tuesday September 15, 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 September 16, 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