

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

---

### Sunday May 3, 2009

Opening keynote lecture

**18:00**

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

**18:15**

**Chemistry's Role in Target Identification And Validation**

Scott A. BILLER  
(NOVARTIS, Cambridge, United States)

**19:00**

**Welcome Reception**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

### Monday May 4, 2009

09:00

David BAILEY  
(IOTA PHARMACEUTICALS, Cambridge, United Kingdom)

**Fragment Based Drug Discovery**

09:05

**Experiences in Fragment-based Lead Discovery**

Roderick E. HUBBARD  
(UNIVERSITY OF YORK / VERNALIS, York, United Kingdom)

09:45

**SPR Biosensor-Based Fragment Screening and Lead Characterization**

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

10:15

**Novel-Generation Kinase Inhibitors: Deep Pocket Binders by Fragment- Based Design**

Gurrath MÜLLER  
(CSO PROTEROS FRAGMENTS, Munich/Martinsried, Germany)

10:45

**Coffee-break**

11:15

**The Use of Acetylcholine Binding Protein and FBDD Approaches in the Quest for Novel Nicotinic Receptor Ligands.**

Iwan DE ESCH  
(VRIJE UNIVERSITEIT AMSTERDAM, Amsterdam, The Netherlands)

**Oral Communications**

11:45

**Fragment-Based Identification of Novel Hsp90 Inhibitors**

Christian MONTALBETTI  
(EVOTEC, Abindgon, United Kingdom)

12:00

**Searching the Impossible – Designing Libraries from Large Virtual Chemistry Spaces**

Christian LEMMEN  
(BIOSOLVEIT, St. Augustin, Germany)

12:15

**Lunch**

**Kinase Inhibitors: Targeting the Non-ATP Site**

14:00

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

14:05

**Discovery And Development Of Selective, Orally Bioavailable Tyrosine Kinase Inhibitors For Targeted Treatment Of Human Cancers**

Stephen K. BURLEY  
(ELI LILLY AND COMPANY, San Diego, United States)

14:45

**Non-Competitive Inhibitors of MEK1: from Discovery to the Clinic**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

Jeffrey OHREN  
(PFIZER, Groton, United States)

**15:15 The Discovery and Development of Allosteric Akt Inhibitors for the Treatment of Cancer**

Philip E. SANDERSON  
(MERCK, Rahway, United States)

**15:45 Coffee-break**

**Oral Communications**

**16:15 Understanding Kinase Selectivity Through Active Site Hydration Energetics**

Jianxin DUAN  
(SCHRÖDINGER, MANNHEIM, Germany)

**16:30 4-Aryl-7-Azaindoles as IKK2 Inhibitors**

Michael BARKER  
(GLAXOSMITHKLINE, Stevenage, United Kingdom)

**16:45 Targeted Kinase Inhibitors : Precision in Interaction and Efficacy**

Eric HAAKSMA  
(BOEHRINGER INGELHEIM AUSTRIA, Wien, Austria)

**17:00 Poster Session**

**18:30 End of Scientific Programme**

**19:30 Dinner**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

**Tuesday May 5, 2009**

### Promises in GPCR Drug Discovery

**09:00**

ROB LEURS  
(LACDR, VU UNIVERSITY AMSTERDAM, Amsterdam, The Netherlands)

**09:05**

#### **Structural Genomics of GPCRs; New Opportunities for Drug Discovery**

Chris TATE  
(MRC LABORATORY OF MOLECULAR BIOLOGY, Cambridge, Belgium)

**09:45**

#### **GPCR Hetero-Dimerisation: Contribution to Receptor Pharmacology and Function**

Graeme MILLIGAN  
(UNIVERSITY OF GLASGOW, Glasgow, United Kingdom)

**10:15**

#### **Discovery & Development of Allosteric Modulators: Hopes and Promises**

Emmanuel LE POUL  
(ADDEX PHARMA, Plan-les-Ouates, Switzerland)

**10:45**

#### **Coffee-break**

### Oral Communications

**11:15**

#### **The 2.6 Angstrom Crystal Structure of a Human $\alpha_2\alpha$ Adenosine Receptor Bound to an Antagonist**

Robert LANE  
(UNIVERSITY OF LEIDEN, Leiden, The Netherlands)

**11:30**

#### **Fieldstere: Application to the Discovery of 5-HT<sub>1D</sub> Agonists**

Tim CHEESERIGHT  
(CRESSET, United Kingdom)

**11:45**

#### **Transmembrane Helix Position 5.48 Involvement in the Dimer Interface Of Domain-Swapped GPCRS**

Raymond BOOTH  
(UNIVERSITY OF FLORIDA, Gainesville, Florida, United States)

**12:00**

#### **Lunch and Poster Session**

### Anti-Inflammatory Drug Discovery

**14:00**

Robin THURMOND  
(JOHNSON & JOHNSON, San Diego, United States)

**14:05**

#### **Histamine H<sub>4</sub> Antagonists as Future Anti-Inflammatory Drugs**

Robin THURMOND  
(JOHNSON & JOHNSON, San Diego, United States)

**14:45**

#### **JAK3 Kinase: a Molecular Target for Treatment of Inflammatory Diseases and Renal Transplant Rejection**

Paul S. CHANGELIAN  
(CHANGELIAN BIOSCIENCE, LLC, United States)

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

**15:15      Molecular Mechanim of Action for Chemokine and Other Anti-inflammatory Agents on 7TM Receptors**  
Thue W. SCHWARTZ  
(UNIVERSITY OF COPENHAGEN, Copenhagen, Denmark)

**15:45      Coffee-break**

### Oral Communications

**16:15      The Quest for the New Antihistamines: Discovery and Evaluation of Histamine H4 Receptor Ligands**  
Rogier SMITS  
(VU UNIVERSITY AMSTERDAM, Utrecht, The Netherlands)

**16:30      Developing CCR5 Antagonists for HIV: the Story since Maraviroc**  
Chris BARBER  
(PFIZER, Sandwich, United Kingdom)

**16:45      Discovery of Novel Small Molecule CXCR4 Antagonists**  
Daniel GREVE  
(LEO PHARMA, Ballerup, Denmark)

**17:00      End of Scientific Programme (participants are requested to remove their posters)**

**19:00      Dinner**

**20:00      Concert**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

**Wednesday May 6, 2009**

### **Chemical and Enzymatic Modification of Therapeutic Peptides and Proteins to Improve their Mode of Action**

**09:00**

Martin DE KORT  
(SCHERING-PLOUGH, Oss, The Netherlands)

**09:05**

#### **Engineering of Proteins and Peptides to Tailor Structure–Function and Pharmacokinetic**

Jesper LAU  
(NOVO NORDISK, Maaloev, Denmark)

**09:45**

#### **Sugars and Proteins**

Ben DAVIS  
(OXFORD UNIVERSITY, Oxford, United Kingdom)

**10:15**

#### **CarboCarrier(TM): a Novel Technology to Extend the Half-life of Small Proteins and Peptides**

Martin DE KORT  
(SCHERING-PLOUGH, Oss, The Netherlands)

**10:45**

#### **Coffee-break**

### **Oral Communications**

**11:15**

#### **Role of Sugar Moieties in Biological Activities of Glycopeptide Antibiotics of the Vancomycin and Teicoplanin Group**

Maria PREOBRAZHenskAYA  
(GAUSE INSTITUTE OF NEW ANTIBIOTICS, MOSCOW, Russia)

**11:30**

#### **Miniproteins Targeting the Nuclear Receptor – Coactivator Interaction**

Luc BRUNSVELD  
(EINDHOVEN UNIVERSITY OF TECHNOLOGY, Eindhoven, The Netherlands)

**11:45**

#### **Conjugation of Synthetic Peptides to Toll-Like Receptor Ligands for Vaccine Development**

Dmitri FILIPPOV  
(LEIDEN INSTITUTE OF CHEMISTRY, Leiden, The Netherlands)

**12:00**

#### **Lunch**

**13:00**

#### **Excursion (dinner included)**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

**Thursday May 7, 2009**

### **Drug Transporters: from Drug Resistance to Safety Science**

**09:00**

Eric HAAKSMA  
(BOEHRINGER INGELHEIM AUSTRIA, Wien, Austria)

**09:05**

#### **Predicting Substrate Properties for ABC-Transporter - Safety Sciences on the Molecular Level**

Gerhard ECKER  
(EFMC, UNIVERSITY OF VIENNA, Vienna, Austria)

**09:45**

#### **Drug Transport and Metabolism: Value of Knockout and Transgenic Mouse Models**

Alfred SCHINKEL  
(HET NEDERLANDS KANKER INSTITUUT, Amsterdam, The Netherlands)

**10:15**

#### **Insights from Structural Studies of Human ATP-Binding Cassette Drug Transporters**

Robert FORD  
(THE UNIVERSITY OF MANCHESTER, Manchester, United Kingdom)

**10:45**

#### **Coffee-break**

### **Bioanalytical Approaches in Drug Discovery**

**11:15**

#### **Novel Approaches for Comprehensive Profiling of Metabolites in Lead Discovery: Integration of Screening Assays with Ion-trap Time of Flight Mass Spectrometry**

Hubertus IRTH  
(FREE UNIVERSITY OF AMSTERDAM, AMSTERDAM, The Netherlands)

### **Oral Communication**

**11:30**

#### **Non-Covalent Mass Spectrometry Screening Technology: An "ESI" Approach to Support Drug Discovery**

Paola CIAPETTI  
(NOVALIX, Illkirch-Cedex, France)

**12:00**

#### **Lunch**

**14:00**

Piero ANGELI  
(UNIVERSITÀ DI CAMERINO, Camerino, Italy)

### **Off-Target Effects**

**14:05**

#### **GPCR Antitargets – Prediction and Prevention of Side Effects**

Thomas KLABUNDE  
(SANOFI-AVENTIS, Frankfurt am Main, Germany)

**14:45**

#### **Understanding Ion Channels Using Computational Approaches**

Marcel J. DE GROOT  
(PFIZER, Sandwich, United Kingdom)

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

- 15:15      The QSARome of the Receptorome: Development of Robust QSAR Models Capable of Predicting Compound Binding Profiles against Multiple Targets**  
Alexander TROPSHA  
(UNIVERSITY OF NORTH CAROLINA, Chapel Hill, United States)
- 15:45      Coffee-break**
- Oral Communications**
- 16:15      Erythromycin Analogs - More Than Just Antibacterials**  
Prabhavathi FERNANDES  
(CEMPRA PHARMA INC, Chapel Hill, NC, United States)
- 16:30      Mining A Global Literature Pharmacology Knowledgebase to Assess Drug Polypharmacology of Classical Chemical Scaffolds**  
Ismail IJJAALI  
(AUREUS PHARMA, Villebon sur Yvette, France)
- 16:45      Between Prometheus and Morpheus: Identifying Histamine Receptor Selectivity Switches**  
Chris DE GRAAF  
(VU UNIVERSITY AMSTERDAM, Cambridge, United Kingdom)
- 17:00      End of the programme**
- 19:00      Symposium Banquet**

# 27th Noordwijkerhout-Camerino-Cyprus Conference

## Programme

---

### Friday May 8, 2009

Complimentary Workshop on Friday: "Virtual Screening and De Novo Design" Offered by BioSolveIT

**09:00**     **Please click on the link below to register for the Workshop**

(BIOSOLVEIT, Sankt Augustin, Germany)

**12:00**     **Late Departure - transportation will be provided by the organisers**