

## Programme

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### Sunday August 21, 2011

**Tour 1: Pavlovsk and Pushkin**  
**09:00-16:00**

**Tour 2: Petergof**  
**10:00-17:00**

**Tour 3: Hermitage**  
**14:00-18:00**

**14:00      Registration**

**Tour 4: Boat Trips (Canals)**  
**15:00-18:00**

**19:30      Welcome Reception**

## Programme

### Monday August 22, 2011

#### Session Chair

Dr Anthony WOOD  
(PFIZER, Stevenage, Herts, SG1 2NY, United Kingdom)

**08:30      Opening**

**09:00      Maitotoxin. An Inspiration for Synthesis**

Prof. K.C. NICOLAOU  
(THE SCRIPPS RESEARCH INSTITUTE & UNIVERSITY OF CALIFORNIA, Houston, United States)

**09:50      Tofacitinib (CP-690,550): Discovery of a Potent and Selective JAK Inhibitor**

Dr Mark FLANAGAN  
(PFIZER, Connecticut, United States)

**10:30      Coffee Break and Exhibition**

**11:00      A few of my Favorite Rings: Catalysis Inspired by Lactones and Lactams**

Prof. Vy M. DONG  
(UNIVERSITY OF TORONTO, Toronto, Canada)

**11:50      Small Molecules Inhibitors of the WNT Signalling Pathway with Potential Applications in Oncology**

Dr Alessandro PADOVA  
(SIENA BIOTECH, Siena, Italy)

**12:30      Lunch and Exhibition**

#### Session Chair

Dr Nikolai KUZNETSOV  
(INEOS RAS, Moscow, Russia)

**14:00      Drug Discovery by Programmed Self-Assembly**

Prof. Nicolas WINSSINGER  
(UNIVERSITY OF STRASBOURG, Geneva, Switzerland)

**14:50      The Many Uses of Noncovalent Mass Spectrometry in Early-stage Drug Discovery**

Dr Jean-Paul RENAUD  
(NOVALIX, Ostwald, France)

**15:30      Small Molecule Inhibitors of the Neuropilin-1 VEGF-A Interaction**

Dr Philip FALLON  
(DOMAINEX, Saffron Walden, United Kingdom)

**15:45      Lead identification of a new class of P2Y<sub>12</sub> inhibitors "From a low active and insoluble HTS hit to soluble and active lead compounds"**

Mr Fredrik ZETTERBERG  
(ASTRAZENECA, Gothenburg, Sweden)

**16:00      Coffee Break, Exhibition and Posters**

**16:30      GPR119: Knowledge Based Lead Identification**

## Programme

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Dr Sherrie L. PIETRANICO-COLE  
(ROCHE, NUTLEY NJ, United States)

**17:10      Regioselective Reactions on a Chiral Substrate Controlled by the Configuration of a Chiral Catalyst**

Prof. Henri KAGAN  
(UNIVERSITY PARIS-SUD 11, ORSAY Cedex, France)

**18:00      Closing of the Day**

**18:30      City Tour for Participants**

## Programme

**Tuesday August 23, 2011**

**Session Chair**

Dr Christophe GENICOT  
(UCB SA, Brussels, Belgium)

**08:30      Synthesis of Marine Polyketides as Promising Anticancer Agents**

Prof. Ian PATERSON  
(CAMBRIDGE UNIVERSITY, Cambridge, United Kingdom)

**09:20      Chemical Probes for Epigenetics**

Dr Mark BUNNAGE  
(PFIZER, Boston, United States)

**10:00      The Chemical Biology Consortium- and Experimental Therapeutics Program**

Dr Sanjay MALHOTRA  
(NATIONAL CANCER INSTITUTE, Frederick, United States)

**10:15      Coffee Break, Exhibition and Posters**

**10:45      Design Strategy for Preparation of Drug-like Polyheterocycles with Privileged Substructures for Discovery of Specific Small Molecule Modulators**

Prof. Seung Bum PARK  
(SEOUL NATIONAL UNIVERSITY, Seoul, Korea, South)

**11:35      Bromodomains a New Class of Epigenetic Targets Ripe for Small Molecule Drug Discovery**

Dr Jason WITHERINGTON  
(GLAXOSMITHKLINE R&D, Stevenage, United Kingdom)

**12:15      Identification of Leads from the Tres Cantos Antimalarial Set: Cyclopropyl Carboxamides Show Good Oral Bioavailability and Efficacy In Malarial Animal Models**

Mrs Maria Lourdes RUEDA-BENEDE  
(GLAXOSMITHKLINE, Tres Cantos, Spain)

**12:30      Lunch and Exhibition**

**13:15      Poster Session 1**

**Session Chair**

Prof. Gerhard ECKER  
(UNIVERSITY OF VIENNA, Vienna, Austria)

**14:15      Minor Enantiomer Recycling – A Strategy for Improving Enantioselectivity**

Prof. Christina MOBERG  
(KTH SCHOOL OF CHEMICAL SCIENCE AND ENGINEERING, Stockholm, Sweden)

**15:05      Antibody Directed Cytotoxics**

Prof. John FLYGARE  
(GENENTECH, California, United States)

**15:45      Exploring the Rigid Mono- and Diamine Chemical Space**

Dr Dmytro VOLOCHNYUK  
(ENAMINE LTD., Kiev, Ukraine)

## Programme

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- 16:00**      **Highly Efficient Catalytic System for C-H Activation: A Novel and Practical Synthesis of Angiotensin II Receptor Blockers**  
Dr Masahiko SEKI  
(MITSUBISHI TANABE PHARMA CORPORATION, Fukuoka, Japan)
- 16:15**      **Coffee Break, Exhibition and Posters**
- 16:45**      **Bicyclic Peptides with Tailored Binding Specificities for Therapeutic Application**  
Prof. Christian HEINIS  
(ECOLE POLYTECHNIQUE FÉDÉRALE DE LAUSANNE (EPFL), Lausanne, Switzerland)
- 17:05**      **Adventures in Medicinal Chemistry and Knowledge Capture**  
Dr Alexander MAYWEG  
(F. HOFFMANN-LA ROCHE LTD, BASEL, Switzerland)
- 17:25**      **Target Synthesis Directed Methodology Development**  
Prof. Dawei MA  
(SHANGHAI INSTITUTE OF ORGANIC CHEMISTRY, Shanghai, China)
- 18:15**      **Closing of the Day**

### Wednesday August 24, 2011

#### Session Chair

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

**08:30 From Homer to Hedgehog: Chemistry, Biological Investigations and Medical Perspectives of Cyclopamine**

Prof. Athanassios GIANNIS  
(UNIVERSITY OF LEIPZIG, Leipzig, Germany)

**09:20 Biochemical Efficiency, a Metrics for an Optimal Molecular Mechanism of Action**

Dr David SWINNEY  
(IRND3, Mountain View, United States)

**10:00 Identification of Bisaryloxy Azetidine Urea Derivatives as Fatty Acid Amide Hydrolase Inhibitors**

Dr Hans MEISSNER  
(VERNALIS, Winnersh, United Kingdom)

**10:15 Coffee Break, Exhibition and Posters**

**10:45 Lessons in Chemoselectivity: Total Synthesis of Polyphenolic Natural Products**

Prof. Scott SNYDER  
(COLUMBIA UNIVERSITY, New York, United States)

**11:35 The Resurgence of Covalent Drugs**

Dr Juswinder SINGH  
(AVILA THERAPEUTICS, United States)

**12:15 Halogen Bonding in Protein–ligand Interactions**

Mr Leo HARDEGGER  
(ETH ZURICH, Zürich, Switzerland)

**12:30 Lunch and Exhibition**

**13:15 Poster Session 2**

#### Free Afternoon

**Tour 5: Hermitage**  
**14:30-18:30**

**Tour 6: Canals**  
**15:00-18:00**

### Thursday August 25, 2011

#### Session Chair

Prof. Nicolas WINSSINGER  
(UNIVERSITY OF STRASBOURG, Geneva, Switzerland)

**08:30 Validation of N-Myristoyltransferase as a Drug Target**

Prof. Paul WYATT  
(UNIVERSITY OF DUNDEE, Dundee, United Kingdom)

**09:20 Novel Metabotropic Glutamate Receptor 4 (mGluR4) Allosteric Potentiators for the Treatment of Parkinson's Disease**

Dr Sylvain CELANIRE  
(ADDEX PHARMACEUTICALS, Geneva, Switzerland)

**10:00 The Chemistry of Targeting Protein-Protein Interactions: Thinking Outside of the Box**

Dr Giovanna ZINZALLA  
(CANCER RESEARCH UK - THE SCHOOL OF PHARMACY, UNIVERSITY OF LONDON, London, United Kingdom)

**10:15 Coffee Break, Exhibition and Posters**

**10:45 Asymmetric Synthesis of Bioactive Molecules**

Prof. Mikiko SODEOKA  
(RIKEN, Hirosawa, Japan)

**11:35 A Step Closer to Hepatitis C Remedy: TMC435, an HCV Protease Inhibitor in Advanced Clinical Trial**

Dr Pierre RABOISSON  
(JANSSEN PHARMACEUTICAL COMPANIES, Mechelen, Belgium)

**12:15 The Grandisine Alkaloids as Selective  $\mu$ -Opioid Receptor Agonists; When is a Natural Product not a Natural Product?**

Prof. Richard TAYLOR  
(UNIVERSITY OF YORK, York, United Kingdom)

**12:45 Lunch and Exhibition**

#### Session Chair

Prof. K.C. NICOLAOU  
(THE SCRIPPS RESEARCH INSTITUTE & UNIVERSITY OF CALIFORNIA, Houston, United States)

**14:00 Discovery and Development of Novel Antimalarials**

Dr Rodney KIP GUY  
(ST. JUDE CHILDREN'S RESEARCH HOSPITAL, Memphis, United States)

**14:50 Accelerated C-H Activation Reactions: Enantioselectivity and Positional Selectivity**

Prof Jin-Quan YU  
(THE SCRIPPS RESEARCH INSTITUTE, La Jolla, United States)

**15:40 Coffee Break and Exhibition**

**16:10 Metal-Catalyzed C-H Bond Functionalizations for Sustainable Synthesis**

Prof. Lutz ACKERMANN  
(GEORG-AUGUST UNIVERSITY GOETTINGEN, Goettingen, Germany)

## Programme

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- 17:00**      **Magical Power of d-Block Transition Metals—Pd-Catalyzed Cross-Coupling and Zr-Catalyzed Asymmetric carboalumination of Alkenes (ZACA)**  
Prof. Ei-ichi NEGISHI  
(PURDUE UNIVERSITY, West Lafayette, United States)
- 17:50**      **Closing Remarks**
- 19:00**      **Symposium Banquet**



## Programme

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### Friday August 26, 2011

**Tour 7: Pavlovsk-Pushki**  
**09:00-18:00**

**Tour 8: Petergof**  
**10:00-17:00**