

Programme

Sunday July 3, 2016

14:00 **Registration**

Session chair:

Prof. Romano ORRU
(VU UNIVERSITY AMSTERDAM, Geleen, The Netherlands)

16:15 **Opening Ceremony**

Prof. Vinod SUBRAMANIAM
(VRIJE UNIVERSITEIT AMSTERDAM, Amsterdam, The Netherlands)

16:30 **Opening Lecture - PL01 - How Natural Products Can Inspire Chemical Biology and Drug Discovery**

Prof. Herbert WALDMANN
(MAX PLANCK INSTITUTE OF MOLECULAR PHYSIOLOGY, Dortmund, Germany)

17:15 **Welcome drink - sponsored by MDPI**

Programme

Monday July 4, 2016

Session chair:

Prof. Eelco RUIJTER
(VU UNIVERSITY AMSTERDAM, Amsterdam, The Netherlands)

09:00 IL01 - Fluorinated Imaging Materials

Prof. Tobias RITTER
(MAX-PLANCK-INSTITUT FÜR KOHLENFORSCHUNG, Mulheim, Germany)

09:35 PL02 - Organocatalytic Asymmetric Synthesis of Heterocycles

Prof. Dieter ENDERS
(RWTH AACHEN, Aachen, Germany)

10:20 IL02 - The Development of Ligand Systems for Separating Minor Actinides from Lanthanides for Nuclear Fuel Reprocessing

Prof. Laurence HARWOOD
(UNIVERSITY OF READING, Reading, United Kingdom)

10:55 Coffee break and Exhibition

Session chair:

Prof. Henk HIEMSTRA
(UNIVERSITY OF AMSTERDAM, Amsterdam, The Netherlands)

11:25 IL03 - Stereoselective Heterocycle Synthesis Coupling Multicomponent Reactions with Biocatalysis or Organocatalysis

Prof. Luca BANFI
(UNIVERSITY OF GENOA, Genova, Italy)

12:00 IL04 - Metal Free Click Reactions For Glycoconjugate Modification

Prof. Geert-Jan BOONS
(THE UNIVERSITY OF GEORGIA, Athens, GA, United States)

12:35 IL05 - Target Family-Centric Privileged Structures: a Rich Playground for Diverse Heterocyclic Chemotypes

Dr Gerhard MUELLER
(MERCACHEM, Basel, Germany)

13:10 Lunch, Exhibition and Poster Session 1 (odd numbers)

Session chair:

Prof. Laurence HARWOOD
(UNIVERSITY OF READING, Reading, United Kingdom)

14:40 Elsevier presentation: Data-Driven Discovery: Deriving and Applying Actionable Information in Medicinal Chemistry

15:00 IL06 - Ynamides: Remarkable Building Blocks for Heterocyclic Synthesis

Prof. Gwilherm EVANO
(ULB, Brussels, Belgium)

Session Heterocyclic Synthetic Methodology

Session chair:

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- Prof. Laurence HARWOOD
(UNIVERSITY OF READING, Reading, United Kingdom)
- 15:35 KL01 - Alpha-Functionalization of Amines as a Tool for the Synthesis of Heterocycles and Natural Products**
Prof. Till OPATZ
(JOHANNES GUTENBERG-UNIVERSITY, Mainz, Germany)
- 16:00 OC01 - Poxim: A Novel N-Heterocyclic Carbene Equipped with Multifunctional Phosphine Oxide**
Prof. Yoichi HOSHIMOTO
(FACULTY OF ENGINEERING, OSAKA UNIVERSITY, Suita, Osaka, Japan)
- 16:20 OC02 - Efficient Approach to the Synthesis of 2,3-Substituted Furo[2,3-b]Pyridines**
Mr Fernando FUMAGALLI
(UNIVERSITY OF SAO PAULO, Ribeirao Preto, Brazil)
- 16:40 Coffee break and Exhibition**
- 17:10 OC03 - Rapid Composition of Spiranoid Lactones: Access to Natural and Unexplored Frames**
Prof. Dmitry TSVELIKHOVSKY
(THE HEBREW UNIVERSITY OF JERUSALEM, Jerusalem, Israel)
- 17:30 OC04 - Nitrosoallenes: Reactivity and Applications to Heterocycles Synthesis**
Dr Hiroki TANIMOTO
(NARA INSTITUTE OF SCIENCE AND TECHNOLOGY, Nara, Japan)
- 17:50 OC05 - Synthesis of Highly and Diversely Substituted Heterocycles from Alk-3-yn-1-ones (Propargyl Ketones)**

Prof. Roman DEMBINSKI
(OAKLAND UNIVERSITY, Rochester, MI, United States)

Session Heterocyclic Synthetic Methodology

Session chair:

Prof. Luca BANFI
(UNIVERSITY OF GENOA, Genova, Italy)

KL02 - First-in-Class MCRs Coupled with Cascade Reactions for the Generation of Molecular Complexity

Prof. Christopher HULME
(UNIVERSITY OF ARIZONA, Tucson, United States)

OC06 - Asymmetric Synthesis of Natural Tetrahydroisoquinolines and Tetrahydropyprotoberberines

Dr Steen INGEMANN JORGENSEN
(UNIVERSITY OF AMSTERDAM, Amsterdam, The Netherlands)

OC07 - Synthesis of 3-Benzazepines by Metal-Free Oxidative C-H Bond Functionalization-Ring Expansion Tandem Reaction

Mr Andrea GINI
(WISSENSCHAFTSZENTRUM STRAUBING, Straubing, Germany)

OC08 - Combining Photochemistry and Catalysis: Rapid Access to SP3 - Rich Polyheterocycles from Simple Pyrroles

Ms Emma BLACKHAM
(UNIVERSITY OF BRISTOL, Bristol, United Kingdom)

OC09 - Intramolecular Tsuji-Trost Cascade Cyclization as a Novel Synthetic Strategy Towards Muironolide A

Mr John BRAUN
(VRIJE UNIVERSITEIT AMSTERDAM, Amsterdam, The Netherlands)

OC10 - C-Bridged N-Heterocycles as New Ligands

Mr Tim-Daniel J. STUMPF
(JUSTUS-LIEBIG-UNIVERSITY GIESSEN, Giessen, Germany)

Session Medicinal Chemistry and Chemical Biology

Session chair:

Dr Alessia AMORE
(UBIQ, Amsterdam, The Netherlands)

KL03 - Biomimetic Natural Product Synthesis

Programme

Dr Andrew LAWRENCE
(UNIVERSITY OF EDINBURGH, Edinburgh, United Kingdom)

OC11 - Pyrazoloquinolinones, Revisited GABAA Receptor Tool Compounds

Mr David Chan Bodin SIEBERT
(TU WIEN, Wien, Austria)

OC12 - Exploring Tryptophan Synthase as a Herbicidal Mode of Action

Dr Matthew MCLACHLAN
(SYNGENTA, Bracknell, Berkshire, United Kingdom)

OC13 - The Allylic Azide Rearrangement in Tandem with Huisgen Cycloaddition: Synthesis of Diverse Polyhydroxylated Nitrogen Containing Scaffolds

Ms Rekha CHADDA
(SCHOOL OF CHEMISTRY, NUI GALWAY, Galway, Ireland)

OC14 - Synthesis and Potential Cytotoxic Activity of New Substituted Indazole Derivatives Bearing Pyrrole and 2-Pyrone

Mr Mohamed EL GHOZLANI
(UNIVERSITY SULTAN MY SLIMAN, Béni Mellal, Morocco)

OC15 - Towards a Biomimetic Synthesis of Sciodole

Mr Josh HOMER
(UNIVERSITY OF AUCKLAND, Auckland, New Zealand)

18:10 End of the day

Programme

Tuesday July 5, 2016

Session Chair:

Dr Tommi MEULEMANS
(SYMERES, Nijmegen, The Netherlands)

09:00 PL03 - Catalytic Approaches from the Synthesis of Enantiopure Biologically Relevant Heterocycles

Prof. Floris RUTJES
(Radboud University Nijmegen, Nijmegen, The Netherlands)

09:45 PL04 - Carbo- and Heterocycles via Metal-Catalyzed Cycloadditions

Dr Fernando LOPEZ
(University of Santiago de Compostela (USC) and Spanish National Research Council (CSIC),
Santiago de Compostela, Spain)

10:30 IL07 - Photoactive Molecular and Supramolecular Materials Built-up with Heterocycles

Prof. Gianluca M. FARINOLA
(University of Bari, Bari, Italy)

11:05 Coffee break and Exhibition

Session Chair:

Prof. Huib OVAA
(Netherlands Cancer Institute, Leiden, The Netherlands)

11:30 IL08 - Redesigning the Molecules of Nature: Lessons Learned from Total Synthesis

Prof. Karl GADEMANN
(University of Zürich, Zürich, Switzerland)

12:05 IL09 - Copper Catalysis for Synthesis of Enantiopure Alcohols, Amines and Heteroarenes

Prof. Syuzanna HARUTYUNYAN
(University of Groningen, Groningen, The Netherlands)

12:40 IL10 - C-H Functionalization for the Synthesis and Assembly of Heterocycles

Prof. Lutz ACKERMANN
(Georg-August University Göttingen, Göttingen, Germany)

13:15 Lunch, Exhibition and Poster Session 2 (even numbers)

Session Chair:

Prof. Hermen OVERKLEEF
(Leiden University, Leiden, The Netherlands)

14:45 IL11 - Catalysis: A Versatile Tool for the Synthesis of Nitrogen-Containing Heterocycles

Dr Geraldine MASSON
(Institut de Chimie des Substances Naturelles CNRS, Gif-sur-Yvette, France)

Session Heterocyclic Synthetic Methodology

Session Chair:

Prof. Hermen OVERKLEEF
(Leiden University, Leiden, The Netherlands)

15:20 KL04 - New Tricks for Old Heterocycles: from Natural Product Synthesis to Click-Like Functionalizations

Prof. Johan WINNE
(UGent, Ghent, Belgium)

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- 15:45 OC16 - Synthesis of Highly Enantioenriched Pyrrolo[1,2-a]Quinolines. Organocatalyzed Domino Cyclopropane Ring Opening/aza-Michael/aldol Reaction Followed by Acid-Promoted Lactamization**
Mr Eduardo SANCHEZ
(UPV/EHU UNIVERSITY OF THE BASQUE COUNTRY, Leioa, Spain)
- 16:05 OC17 - Brønsted-Acid Catalysed C2-Alkenylation of Aromatic Nitrogen Heterocycles**
Mr Giacomo CRISENZA
(UNIVERSITY OF BRISTOL, Bristol, United Kingdom)
- 16:25 Coffee break and Exhibition**
- 17:00 OC18 - Rearrangement and Cycloaddition Reactions of Donor-Acceptor Cyclopropanes**
Prof. Daniel B. WERZ
(TU BRAUNSCHWEIG, Braunschweig, Germany)
- 17:20 OC19 - Pd- and Cu-Catalyzed Stereo- and Regiocontrolled Decarboxylative / C-H Alkenylation of Heteroarenes**
- 17:40 OC20 - Enantioselective Organocatalyzed α -Sulfanylation of Isoxazolidin-5-ones: An Approach To β ,2-Amino Acids**
Mr Timothée CADART
(LABORATOIRE COBRA UMR 6014, ROUEN, France)

Session Heterocyclic Synthetic Methodology

Session Chair:

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

KL05 - Synthesis of New Spiroacetals by Sustainable Gold Catalysis

Prof. Norbert KRAUSE
(DORTMUND UNIVERSITY OF TECHNOLOGY, Dortmund, Germany)

OC21 - Is Gold Catalysis a Competitive Method toward Heterocycles ?

Mrs Solène MIASKIEWICZ
(STRASBOURG UNIVERSITY, Strasbourg, France)

OC22 - Aliphatic N-Heterocycle Synthesis through Direct C-H Bond Amination

Mr Petrus KUIJPERS
(UNIVERSITY OF AMSTERDAM, Amsterdam, The Netherlands)

OC23 - 3-Diazoindolin-2-Imines: A Novel Class of Metal Carbene Precursors for the Syntheses of Indole Derivatives

Prof. Yanguang WANG
(ZHEJIANG UNIVERSITY, Hangzhou, China)

OC24 - Donor-Acceptor Cyclopropanes in Synthesis of Azaheterocycles

Prof. Igor TRUSHKOV
(M V LOMONOSOV MOSCOW STATE UNIVERSITY, Moscow, Russia)

OC25 - Chirality in Boron-Chelated Dipyrrromethene Fluorophores: Synthesis and Chiroptical Investigations

Dr Michael HALL
(NEWCASTLE UNIVERSITY, Newcastle upon Tyne, United Kingdom)

Session Medicinal Chemistry and Chemical Biology

Session Chair:

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

KL06 - New Multicomponent Reactions and Strategies to Heterocyclic and Macrocyclic Peptides

Prof. Daniel GARCÍA RIVERA
(UNIVERSITY OF HAVANA, La Habana, Cuba)

OC26 - Palladium-Catalyzed Isocyanide Insertion in Construction of Diverse Heterocycles

Dr Esther VICENTE GARCIA
(VRIJE UNIVERSITEIT AMSTERDAM, Amsterdam, The Netherlands)

OC27 - Biologically Active Indolines - Novel Multi-Functional Indolinic Drugs for the Treatment of Inflammatory Diseases

Programme

Prof. Abraham NUDELMAN
(BAR ILAN UNIVERSITY, Ramat Gan, Israel)

OC28 - Asymmetric Reduction of Enamines towards the Synthesis of (-)-Cytisine and Heterocyclic Building Blocks

Mr Hugo REGO CAMPELLO
(UNIVERSITY OF BRISTOL, Bristol, United Kingdom)

OC29 - Biology-Oriented Synthesis Based on Privileged Structures: Building Framework Diversity Around Pyrrole Cores

Prof. José Carlos MENÉNDEZ
(UNIVERSIDAD COMPLUTENSE, Madrid, Spain)

OC30 - Exploring the Antifungal and Antibiofilm Properties of Imidazolium Salts and Fluorescent Benzannulated Benzimidazoles

Prof. Henri SCHREKKER
(UNIVERSIDADE FEDERAL DO RIO GRANDE DO SUL, Porto Alegre-RS, Brazil)

18:00 End of the day

18:30 Boat tour and Conference dinner

Programme

Wednesday July 6, 2016

Session Chair:

Prof. Karl Anker JOERGENSEN
(AARHUS UNIVERSITY, Aarhus, Denmark)

- 09:00 IL12 - Complex Natural Product as a Driving Force for Discovery in Organic Synthesis and Chemical Biology**
Prof. Xiaoguang LEI
(PEKING UNIVERSITY, Beijing, China)
- 09:35 IL13 - Metallation-Substitution of Saturated Nitrogen Heterocycles**
Prof. Iain COLDHAM
(UNIVERSITY OF SHEFFIELD, Sheffield, United Kingdom)
- 10:10 PL05 - Springer Junior Heterocyclic Chemistry Award Lecture (chaired by Bert Maes, editor of Springer) Exploiting the Reactivity of Strained Rings and Hypervalent Bonds for the Synthesis of Heterocycles**
Prof. Jerome WASER
(ECOLE POLYTECHNIQUE FÉDÉRALE DE LAUSANNE, Lausanne, Switzerland)
- 10:55 IL14 - ?-Perfluoroalkylated Cyclic Imines as a Valuable Building Blocks**
Prof. Dr Valentine G. NENAJDENKO
(MOSCOW STATE UNIVERSITY, Moscow, Russia)
- 11:30 Coffee break and Exhibition**

Session Chair:

Prof. Floris RUTJES
(Radboud University Nijmegen, Nijmegen, The Netherlands)

- 11:55 IL15 - New Strategies for the Synthesis of Heterocyclic through Multicomponent Reactions and Metal-Catalyzed Isomerization**
Prof. Thomas NIELSEN
(NOVO NORDISK, Copenhagen N, Denmark)
- 12:30 PL06 - Making Molecular Prosthetics with a Small Molecule Synthesizer**
Prof. Martin D. BURKE
(UNIVERSITY OF ILLINOIS, Urbana, United States)
- 13:15 Lunch**

Session Heterocyclic Synthetic Methodology

Session Chair:

Prof. Martin D. BURKE
(UNIVERSITY OF ILLINOIS, Urbana, United States)

- 14:15 KL07 - Gamma-Alkylidenebutenolides and Gamma-Hydroxybutyrolactams: Preparation and Reactivity**
Dr Laurent COMMEIRAS
(AIX-MARSEILLE UNIVERSITY, Marseille cedex 20, France)
- 14:40 OC31 - Picolinic Acid Ligand-Promoted Palladium-Catalyzed C-H Acetoxylation of Simple Arenes**
Dr M. Angeles FERNANDEZ-IBANEZ
(UNIVERSITY OF AMSTERDAM, Amsterdam, The Netherlands)
- 15:00 OC32 - Stereoselective Transformations of 2h-Chromene Derivatives**
Prof. Tibor KURTÁN
(UNIVERSITY OF DEBRECEN, Debrecen, Hungary)
- 15:20 Coffee break and Exhibition**

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15:50 OC33 - Scope and Limitations of the Organocatalyzed Domino Vinylogous Aldol Oxa Michael Reaction

Ms Larissa GEIGER
(KARLSRUHE INSTITUTE OF TECHNOLOGY, Karlsruhe, Germany)

16:10 OC34 - Dearomative Arylation of Electrophilic Indoles for the Total Synthesis of Natural Products

Dr Guillaume VINCENT
(PARIS-SUD UNIVERSITY, Orsay, France)

16:30 OC35 - Integrative Methodology for Iterative Theory-Experiment Driven Multicomponent Reaction Development

Mr Art KRUIHOF
(VU AMSTERDAM, Amsterdam, The Netherlands)

Session Enabling Technologies

Session Chair:

Dr Maikel WIJTMANS
(VU UNIVERSITY AMSTERDAM, Amsterdam, The Netherlands)

KL08 - Functionalization of Heterocycles via C–H Activation and Photoredox Catalysis in Batch and Continuous Flow

Prof. Timothy NOËL
(EINDHOVEN UNIVERSITY OF TECHNOLOGY, Amsterdam, The Netherlands)

OC36 - N-Heterocyclic Carbene Ligands in Iridium Complexes for the Detection of Pyridine Analogues in NMR by Non-Hydrogenative Para-Hydrogen Induced Hyperpolarization

Dr Martin FEITERS
(Radboud University, Nijmegen, The Netherlands)

OC37 - Expansion of the Concept of Nonlinear Effects in Catalytic Reactions Beyond Asymmetric Catalysis

Prof. Michael SCHNÜRCH
(TU WIEN, Wien, Austria)

OC38 - Direct Heteroatom Incorporating Ester to Arene Transformation: Modular Synthesis of Fluorescent Dyes

Mr Christian FISCHER
(UNIVERSITY OF BASEL, Basel, Switzerland)

OC39 - Methodology Development Towards the Lasso Peptide Series

Prof. Jan VAN MAARSEVEEN
(UNIVERSITY OF AMSTERDAM, Amsterdam, The Netherlands)

OC40 - A Lab-Scale Reactor for the Continuous Generation of Anhydrous Diazomethane

Dr Doris DALLINGER
(UNIVERSITY OF GRAZ, Graz, Austria)

Session Medicinal Chemistry and Chemical Biology

Session Chair:

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

KL09 - Going Beyond β -Amino Acid Derivatives as Inhibitors of Cathepsin A

Dr Sven RUF
(SANOFI-AVENTIS DEUTSCHLAND GMBH, Frankfurt am Main, Germany)

OC41 - Designing Residence Time into Heterocyclic Ligands for Drug Targets

Dr Julien LOUVEL
(LACDR, Leiden, The Netherlands)

OC42 - Photopharmacology

Mr Mickel HANSEN
(RIJKSUNIVERSITEIT GRONINGEN, Groningen, The Netherlands)

OC43 - Novel Synthesis of Tetrahydroisoquinoline Derivatives Using Petasis Reaction

Programme

Dr Agnieszka GRAJEWSKA
(ADAM MICKIEWICZ UNIVERSITY, Poznan, Poland)

OC44 - Palladium Catalyzed C-Arylation of Amino Acid Derived Hydantoins

Mr Josep MAS ROSELLO
(UNIVERSITY OF BRISTOL, Bristol, United Kingdom)

OC45 - Enantioselective Synthesis of 4-Arylpyridine Atropisomers by Central-to-Axial Chirality Conversion

Ms Ophélie QUINONERO
(ISM2 - INSTITUT DES SCIENCES MOLÉCULAIRE DE MARSEILLE, Marseille, France)

Session Chair:

Prof. Romano ORRU
(VU UNIVERSITY AMSTERDAM, Geleen, The Netherlands)

16:50 PL07 - Organocatalysis for Diversity-Oriented Synthesis

Prof. Karl Anker JOERGENSEN
(AARHUS UNIVERSITY, Aarhus, Denmark)

17:35 Poster prizes

Prof. Romano ORRU
(VU UNIVERSITY AMSTERDAM, Geleen, The Netherlands)

17:50 End of the Symposium