New Trends, Topics and Methods in Organic Chemistry

20th National Autumn Meeting in Organic Chemistry

Faggruppe for Organisk Kjemi (FOK)

New Trends, Topics and Methods in Organic Chemistry

20. Organisk kjemiske høstmøte (OKH20)

20th National Autumn Meeting in Organic Chemistry

28.th of October 2016

Christiania Qvartalet Møtesenter

20th National Autumn Meeting

09.00-09.30	**Registration**	
09.30-09.40	**Opening remarks**	Trond V. Hansen
09.40-10.40	**Professor Andreas Kirschning** Leibniz University of Hannover, Hannover, Germany. *Learning from Nature – Chemical Synthesis at the Interface to Biology.*	Chair: Trond V. Hansen
10.40-11.40	**Professor Michael Grätzel** Ecole polytechnique fédérale de Lausanne, Lausanne, Switzerland *Molecular Photovoltaics and Mesosopic Solar Cells.*	Chair: Anne Fiksdahl
11.40-12.00	**Coffee and refreshments**	
12.00-13.00	**Professor Thomas E. Nielsen** Novo Nordisk A/S, Maaloev, Denmark and University of Copenhagen, Department of Immunology & Microbiology, Copenhagen, Denmark *Towards New Antimicrobial Agents and Materials*.	Chair: Jens M.
13.00-13.45	**Lunch**	
13.45-14.45	**Professor Belén Martín-Matute** Stockholm University, Stockholm, Sweden *Selective Synthesis of Halogenated Organic Compounds Mediated by Homogeneous and Heterogeneous Catalysts.*	Chair: Annette Bayer
14.45-15.00	**Coffee and refreshments**	
15.00-16.00	**Professor Peter Timmerman** Pepscan Therapeutics, Lelystad and University of Amsterdam, Netherlands *Mimicry of Complex Protein Binding Sites using CLIPS-constrained peptides.*	Chair: Bengt Erik Haug
16.00-16.05	**Concluding remarks**	Trond V. Hansen

Organizing Committee

The Board of the Norwegian Chemical Society – Section for organic chemistry (NKS-FOK):

Trond Vidar Hansen

Inger Reidun Aukrust

Annette Bayer

Anne Fiksdahl

Bengt Erik Haug

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Previous one-day symposia organized by NKS-FOK

1995 – OKH1 *Legemidler fra idé til produkt.* Nycomed Imaging, Oslo.

1996 – OKH2 *Nye trender i organisk syntese*. Nycomed Imaging, Oslo.

1997 – OKH3 *Research and Development in the Pharmaceutical Industry*. Nycomed Imaging, Oslo.

1998 – OKH4 *New Trends in Organic and Medicinal Chemistry*. Nycomed Imaging, Oslo.

1999 – OKH5 *Development of New Drugs*. Ingeniørenes Hus, Oslo.

2000 – OKV1 *Application of Phase Transfer Catalysis (PTC) and Solvent Selection in Synthesis of Pharmaceutical Chemicals*. Ingeniørenes Hus, Oslo.

2000 – OKH6 *Development of Industrial Syntheses*. Ingeniørenes Hus, Oslo.

2001 – OKV2 *Asymmetric Synthesis.* Ingeniørenes Hus, Oslo.

2002 – OKH7 *New Trends in Heterocyclic Chemistry*. Ingeniørenes Hus, Oslo.

2003 – OKH8 *New Trends in Bioorganic and Medicinal Chemistry*. Ingeniørenes Hus, Oslo.

2004 – OKH9 *New Technologies in Organic Synthesis*. Norges Varemesse, Lillestrøm. (Part of Det 17. Landsmøte i Kjemi).

2005 – OKH10 *New Trends in Organic and Organometallic Chemistry*. Ingeniørenes Hus, Oslo.

2006 – OKH11 *Organocatalysis*. Radisson SAS Airport Hotel, Gardermoen.

2007 – OKH12 *Organofluorine Chemistry*. Ingeniørenes Hus, Oslo.

2008 – OKH13 *Advanced Organic Materials.* Ingeniørenes Hus, Oslo.

2009 – OKH14 *Green Organic Chemistry.* Ingeniørenes Hus, Oslo.

2010 *OKH part of 18th International Conference on Organic Synthesis, ICOS-18, Bergen*.

2011 – OKH15 *OKH part of Landsmøtet,* Norges Varemesse, Lillestrøm.

2012 – OKH16 *Organic Chemistry in Bioprospecting and Molecular Gastronomi*. Christiania Qvartale Møtesenter, Oslo.

2013 – OKH17 *Novel Methods and Catalytic Reactions in Organic Chemistry*. Christiania Qvartale Møtesenter, Oslo.

2014 – OKH18 *OKH part of Landsmøtet,* Norges Varemesse, Lillestrøm.

2015 – OKH19 *New synthetic organic chemistry, reactive intermediates and drug development*. Christiania Qvartale Møtesenter, Oslo.

Abstracts

Learning from Nature –
Chemical Synthesis at the Interface to Biology

Professor Andreas Kirschning

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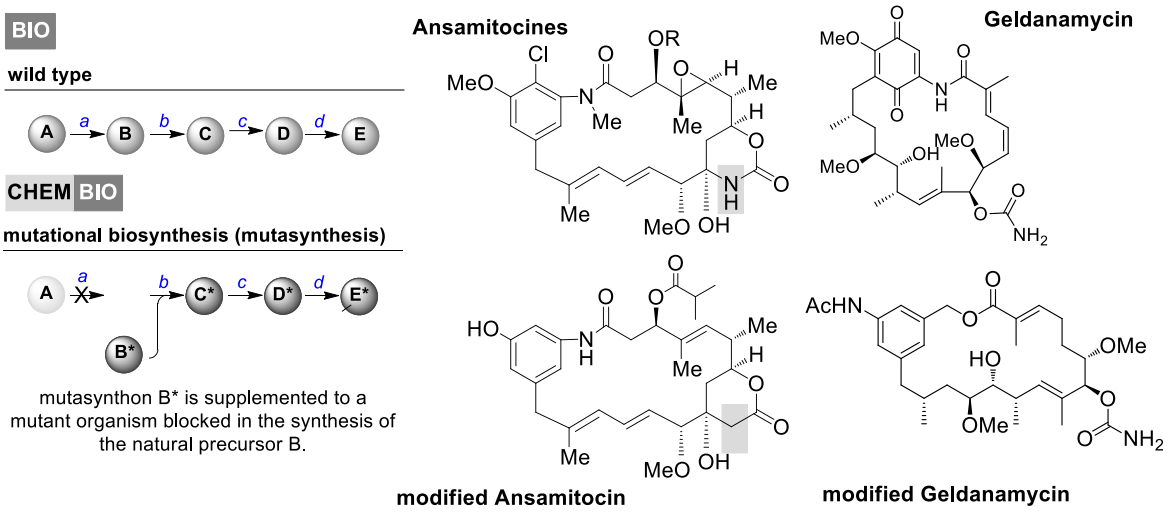
Despite the fact that natural products represent a very important source of drugs in several therapeutic fields, such as antiinfectives and cancer therapy, the development of natural product based drugs is often hampered by their structural complexity. This fact precludes facile total synthetic access to analogues or the development of natural product libraries. How can chemists exploit nature to let her do part of the synthetic job and pursade her to prepare new analogues of highly potent natural products?



Besides total- and semisynthesis, mutasynthesis has arrived in the synthetic arena,[1] a technique that is based on the combination of organic synthesis and the utilization of the polyketide synthetic apparatus of microorganisms.
It will be demonstrated that large number of highly potent macrolactam ansamycin antibiotics can be generated and used for structure-activity-relationship studies.[2]

References

[1] Review: A. Kirschning, F. Hahn, *Angew. Chem.* **2012**, *124*, 4086–4096.
[2] a) S. Eichner, T. Knobloch, H. G. Floss, J. Fohrer, K. Harmrolfs, J. Hermane, A. Schulz, F. Sasse, P. Spiteller, F. Taft, A. Kirschning, *Angew. Chem.* **2012**, *134*,1673–1679; b) S. Eichner, T. Eichner, H. G. Floss, J. Fohrer, E. Hofer, F. Sasse, C. Zeilinger, A. Kirschning, *J. Am. Chem. Soc*. **2012**, *134*,1673–1679.

Molecular Photovoltaics and Mesosopic Solar Cells

Professor Michael Grätzel

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Mesoscopic photovoltaics have emerged as credible contenders to conventional p-n junction photovoltaics [1-3]. Mimicking light harvesting and charge carrier generation in natural photosynthesis, dye sensitized solar cells (DSCs) were the first to use three-dimensional nanocrystalline junctions for solar electricity production, reaching currently a power conversion efficiency (PCE) of over 14% in standard air mass 1.5 sunlight. Remarquably the PCE increase to 26% in ambient light matching the performance of GaAs photovoltaics. By now, large-scale DSC production and commercial sales have been launched on the multi-megawatt scale for application in building integrated PV and light-weight flexible power sources. Recently, the DSC has engendered the meteoric rise of perovskite solar cells (PSCs) [4,5]. Today's state of the art devices employ metal halide perovskite of the general composition ABX3 as light harvesters, where A stands for methylammonium, formamidinium or caesium, B denotes lead or tin and X iodide or bromide. Carrier diffusion lengths in the 100 nm - micron range have been measured for solution-processed perovskites and certified power conversion efficiencies (PCEs) attain over 22 %, exceeding the PCE of polycrystalline silicon solar cells. These photovoltaics show intense electro-luminesence. and Voc values over 1.2 V for a 1.55 eV band gap material. This renders perovskite-based photosystem very attractive for applications in tandem cells and for the generation of fuels from sunlight mimicking natural photosynthesis [6,7].

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2. M. Grätzel, "Photoelectrochemical Cells," *Nature* 414 (2001). pp 332-344.
3. A.Yella, H.-W. Lee, H. N. Tsao, C. Yi, A.Kumar Chandiran, Md.K. Nazeeruddin, EW-G .Diau,,C.-Y Yeh, S. M. Zakeeruddin and M. Grätzel,"Porphyrin-based Solar Cell with Co(II/III) Redox Electrolyte Exceed 12% Efficiency*," Science* 629 (2011**)** pp 334-341.
4. M. Grätzel, Light and Shade of Perovskite Solar Cells, *Nature Mat.* 13 (2014) pp 838-842.
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6. J. Luo, J.-H. Im, M.T. Mayer, M. Schreier, Md.K. Nazeeruddin, N.-G. Park, S.D.Tilley, H.J. Fan, M. Grätzel, Water photolysis at 12.3% efficiency via perovskite photovoltaics and Earth abundant catalysts *Science*, 345, (2014), pp 1593-1596.
7. X. Li, D. Bi, C. Yi, J.-D. Décoppet, J. Luo, S.M. Zakeeruddin, A. Hagfeldt, M. Grätzel, A vacuum flash–assisted solution process for high-efficiency large-area perovskite solar cells, *Science* 353 (2016), pp 58-62.
8. M.Schreier L. Curvat, F. Giordano, L. Steier, A. Abate, S.M. Zakeeruddin, J. Luo, M. Mayer and M:Grätzel, "Efficient photosynthesis of carbon monoxide from CO2 using perovskite photovoltaics" *Nature Commun.* 6, (2015) , pp 7326-7332.

Towards New Antimicrobial Agents and Materials

Professor Thomas E. Nielsen

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In this lecture, selected recent studies on small-molecule compound collection synthesis, medicinal chemistry, and materials science for antimicrobial drug discovery are presented.

Medical devices employed in healthcare practice are often susceptible to microbial contamination. Pathogenic bacteria may attach themselves to device surfaces of catheters or implants by formation of chemically complex biofilms, which may be the direct cause of device failure. Extracellular bacterial lipases are particularly abundant at sites of infection. Herein it is shown how active or proactive compounds attached to polymeric surfaces using lipase-sensitive linkages may be released in response to infection (Figure 1). Proof-of-concept of the responsive material is demonstrated by the bacteria-triggered release of antibiotics to control bacterial populations and signaling molecules to modulate quorum sensing. The self-regulating system provides the basis for the development of device-relevant polymeric materials, which only release antibiotics in dependency of the titer of bacteria surrounding the medical device.

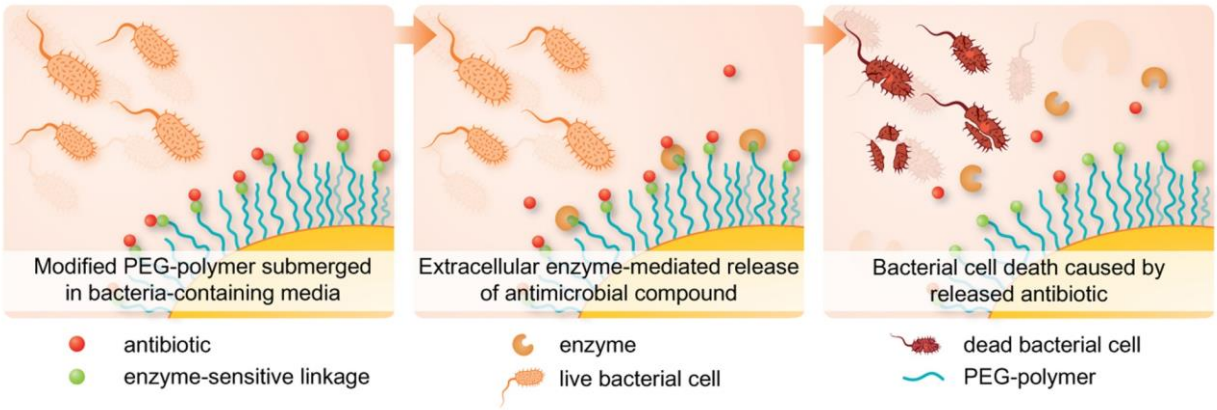

Figure 1. Bacteria-trigged enzymatic release of antibiotics from chemically modified polymers.

Multi-resistant bacteria represent a growing concern and there is an emerging global need for new and more effective antibiotics. This has led us to design a variety of antimicrobial compounds, such as inhibitors that target the vital bacterial enzymes DNA gyrase and topoisomerase IV. In this context, methods for the generation of structurally diverse and focused compound libraries have been developed, and novel, highly potent antibiotics against methicillin-resistant *Staphylococcus aureus* (MRSA) have been identified.

Selective Synthesis of Halogenated Organic Compounds Mediated by Homogeneous and Heterogeneous Catalysts

Professor Belén Martín-Matute

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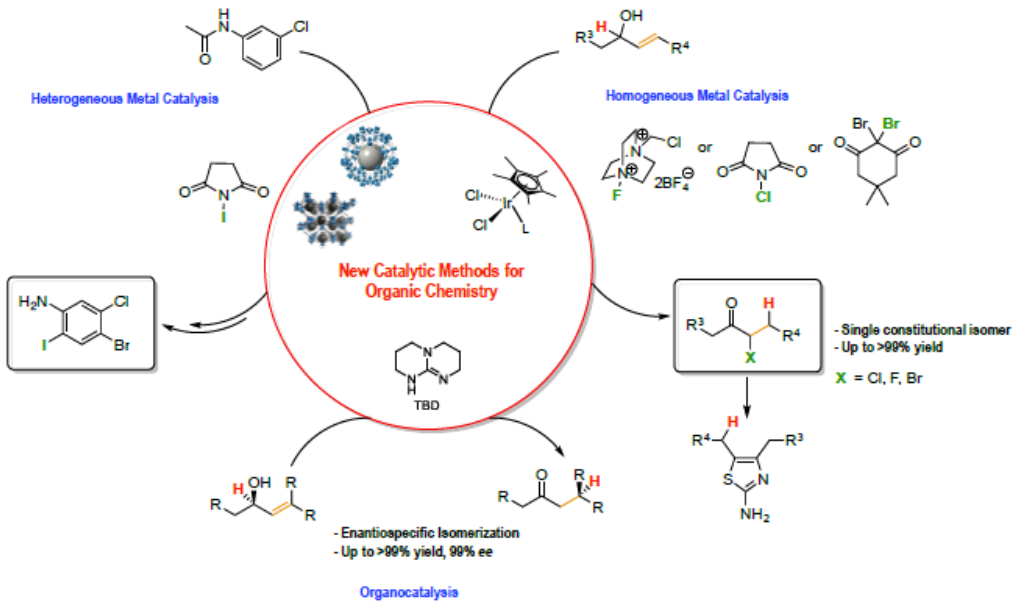
Our latest investigations on the development of new, efficient, robust and practical methods for synthesizing halogenated building blocks using transition metal- or organocatalysts will be presented. The former type of catalysts consist of simple and accessible iridium(III) complexes, and also of heterogeneous catalysts based on metal-nanoparticles immobilized on metal-organic frameworks (MOFs). The scope and limitations, synthetic applications, scalability, and mechanistic aspects of these catalytic processes will be discussed.



Selected group references:

Homogeneous metal catalysis: *Chem. Commun.* **2011**, *47*, 8331-8333; *Angew. Chem. Int. Ed.* **2013**, *52*, 6273; *Chem. Eur. J.* **2014**, *20*, 10703; *ACS Catalysis*, **2015**, *5*, 708; *ACS Catalysis* **2015**, *5,* 3704

Heterogeneous metal catalysis: *ACS Catalysis*, **2015**, *5*, 472; *ChemSusChem*, **2015**, *8*, 123; *Chem. Eur. J.* **2015**, *21*, 10896; *Chem. Commun.* **2015**, *51*, 10864; " *Chem. Eur. J.* **2015**, *21*, 861; *Chem. Eur. J.* **2016**, *22*, 3729.

Organocatalysis: *J. Am. Chem. Soc.* **2016**, *accepted for publication.*

Discovery & Optimzation of CLIPS-constrained Bicyclic Peptides (2CLIPS) using PEPSCAN Peptide Arrays

Professor Peter Timmerman

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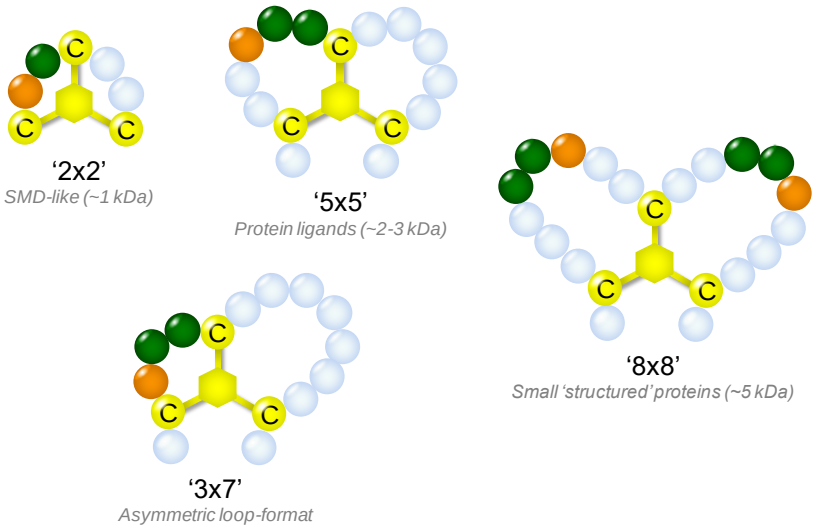
The majority of small and medium-size peptides (20-30 amino acids) derived from natural proteins are flexible and don't have a well-defined structure in solution. This may seriously limit the utility of peptides, either as protein mimics for epitope mapping purposes, or for therapeutic applications. PEPSCAN has developed a broadly applicable technology for fixation/constraining the two- and three-dimensional structure of short peptides. This platform technology, termed **CLIPS** (**C**hemical **LI**nkage of **P**eptides onto **S**caffolds) not only rigidifies the structure of the peptide, but also improves its binding activity and/or proteolytic stability to a significant extent. CLIPS technology is highly versatile and unique for its ease of application. The cyclization reaction can be applied under fully aqueous conditions at room temperature and neutral pH (7.5-8.0), and does not require any form of catalysis. Moreover, it is fully compatible with sensitive biological systems, like bacterial phage libraries.

The unique combination of CLIPS chemistry with PEPSCAN's peptide array technology creates an excellent technology platform for both epitope mapping and therapeutic peptide drug discovery. PEPSCAN has been one of the inventors of the combinatorial synthesis of large ensembles of overlapping peptides. The basic technology has been further optimized over the years into PEPSCAN's proprietary SIMPLIS (**S**urface **IM**mobilized **P**eptide **LI**brary **S**creening) platform. SIMPLIS allows high throughput (parallel) synthesis and screening of complete libraries of peptides (10,000-100,000). Its main strength involves the possibility to control diversity in a highly systematic manner. In addition, the use of non-natural amino acids (D-AA, β-AA, NMe-AA, αMe-AA, etc.) further extends the horizon for exploring new NCE's beyond the reach of phage-display type libraries that solely rely on the use of natural amino acids.



This lecture will present illustrative examples of Peptide Lead Discovery & Optimization using SIMPLIS, where constrained lead peptides were successfully affinity-matured starting at 50 nanomolar up to <100 picomolar binding affinities.

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Norsk Kjemisk Selskap - Faggruppe for Organisk Kjemi

Innkalling til generalforsamling 2016

Sted: Skeikampen Høifjellshotell **Tid: Lørdag 14. januar 2017**

Dagsorden:

1. Konstituering
2. Valg av møteleder og referent
3. Styrets årsberetning 2016
4. Regnskap 2016
5. Innkomne saker
6. Arrangør neste Organisk kjemisk vintermøte
7. Valg av styre, revisor og valgkomité

Saker som ønskes behandlet under punkt 5 må være styret i hende senest 2 uker før generalforsamlingen.

Oslo, 21.10.2016
Styret

Organisk kjemisk Vintermøte på Skeikampen Høifjellshotell

Faggruppen for organisk kjemi, Norsk Kjemisk Selskap, inviterer til det 32. Organisk kjemiske vintermøte - OKV 2017 - fra 12. til 15. januar 2017.

Inviterte foredragsholdere:

Professor Vito Capriati, University of Bari, Italy
Professor Rebecca Goss, St-Andrews University, UK
Professor Donald Hilvert, ETH Zurich, Switzerland
Professor Kenneth Wärnmark, Lund, Sweden

Praktiske opplysninger:
Program, informasjon om priser, transport, reisestipend og påmelding samt innsending av sammendrag av foredrag og postere vil snart være tilgjengelig på møtets hjemmesider, se http://www.kjemi.no/organisk/. Påmeldingsfrist er 9. desember 2016. Ytterligere informasjon vil snart komme på email.

Arrangør: 1.Amanuensis Mohamed Amedjkouh, Universitetet i Oslo, mamou@kjemi.uio.no.