

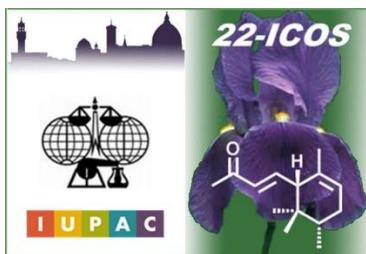
# XXII International Conference on Organic Synthesis

**16-21 September 2018, Florence, Italy**



**SCIENTIFIC PROGRAM & ABSTRACT BOOK**

<http://www.22-icos-florence.it/>



## **XXII International Conference on Organic Synthesis (22-ICOS)**

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## SCIENTIFIC PROGRAM AND SOCIAL EVENTS OF 22-ICOS

### September 16 (Sun) DAY 1 Afternoon

**12:00-15:30** Registration

**15:30** – AUDITORIUM – Opening Ceremony (60')

### Session 1 – AUDITORIUM – Chair: GIANLUCA FARINOLA

**16:30** – PL1 (60') **Cesare Gennari** – University of Milan, Italy  
Tumor Targeting with Integrin Ligand - Drug Conjugates

### Session 2 - AUDITORIUM

**17:30** – EurJOC Anniversary presentation (15') **Anne Nijs**, Managing Editor Wiley-VCH

### Session 2 - AUDITORIUM – Chair: ANNE NIJS

**17:45** – PL2 (60') **Ben L. Feringa** - University of Groningen, The Netherland  
Dynamic Molecular Systems

**18:45** – Musical event (75') Concert INDACO Quartet

**20:00** – Welcome party (120')

### September 17 (Mon) Day 2 Morning

### Session 1 – AUDITORIUM – Chair: FRANCESCO NICOTRA

**8:45** – IL1 (45') **Darren J. Dixon** – University of Oxford, UK  
Catalytic Approaches to Simplifying Synthesis

**9:30** – IL2 (45') **Eun Jeong Yoo** – Kyung Hee University, Korea  
Regiodivergent Dipolar Cycloadditions: Efficient Methods for the Synthesis of N-Heterocycles

**10:15** – Coffee break (30')

### Session 2 – AUDITORIUM – Chair: MAURIZIO PERUZZINI

**10:45** – PL3 (60') **Erick M. Carreira** – ETH Zürich, Switzerland  
Plenary Lecture

### Session 3 (parallel) – AUDITORIUM – Chair: RENZO LUISI

**11:55** – OC1 (15') **Pier Giorgio Cozzi** – University of Bologna, Italy  
Merging Photoredox Catalysis with Nickel Catalysis: A Simple and Effective Catalytic Allylation of Aldehydes

**12:10** – OC2 (15') **Adrien Quintard** – Aix Marseille Université, France

Multi-catalytic cascades toward the eco-compatible construction of natural products and halogenated analogues

**12:25 – OC3 (15')** **Christophe Aïssa** – University of Liverpool, UK  
Cross-coupling of  $\alpha$ -carbonyl sulfoxonium ylides with C–H bonds

**12:40 – OC4 (15')** **Takahiko Akiyama** – Gakushuin University, Japan  
Enantioselective Friedel-Crafts Alkylation Reaction of Indole with Nitroalkenes by Means of Chiral Phosphoric Acid Metal Salt: Construction of Quaternary Carbon Center

**Session 3 (parallel) – SALA VERDE – Chair: CLAUDIO SANTI**

**11:55 – OC5 (15')** **Eric Pasquinet** – CEA-DAM Le Ripault, France  
New cyclization methodologies towards nitrogen heterocycles: synthesis of (aza)indazoles and triazapentalenes

**12:10 – OC6 (15')** **Giulio Goti** – ICIQ, Avda, Tarragona, Spain  
Photochemical Organocatalysis for the Enantioselective  $\beta$ -Acylation of Enals

**12:25 – OC7 (15')** **Damien Bonne** – Aix Marseille University, France  
Conversion of Chirality as a New Strategy for the Control of Axial Chirality

**12:40 – OC8 (15')** **Evelina Colacino** – Université de Montpellier, France  
From one jar/one compound syntheses to high throughput ‘parallel mechanochemistry’: towards a no solvent, no waste’ organic synthesis

**Session 3 (parallel) – SALA ONICE – Chair: SERENA M. FANTASIA**

**11:55 – OC9 (15')** **Joanne Tan** – University of Toronto, Canada  
Aminoboronic acid derivatives as serine hydrolase inhibitors

**12:10 – OC10 (15')** **Yuri Bolshan** – University of Ontario, Canada  
Brønsted Acid-Catalyzed Reactions of Organoboranes

**12:25 – OC11 (15')** **Yoshitake Nishiyama** – Tokyo Medical and Dental University, Japan  
Synthesis of Unsymmetrical Tertiary Phosphine Oxides via Sequential Substitution Reaction of Phosphonic Acid Dithioesters with Grignard Reagents

**12:40 – OC12 (15')** **Hyun J. Jeon** – Ewha Womans University, Republic of Korea  
Cooperative Pd(0)/Rh(II) Dual Catalysis for Divergent Dipolar [3+3] and [4+3] Cycloadditions

**13:00 Lunch (60')**

**September 17 (Mon) Day 2 Afternoon**

**Session 1 – AUDITORIUM – Chair: MARY GARSON**

**14:00 – IL3 (45')** **Mercedes Amat** – University of Barcelona, Spain  
Enantioselective Total Synthesis of Structurally Diverse Natural Products from Common Scaffolds

**14:45 – IL4 (45')** **Jieping Zhu** – Ecole Polytechnique Fédérale de Lausanne, Switzerland  
Conformation-Controlled Stereoselectivity in Natural Product Total Synthesis

**Session 2 – AUDITORIUM – Chair: NIKOLAY E. NIFANTIEV**

**15:30 – PL4 (60')** **Véronique Gouverneur** – University of Oxford, UK  
Late Stage Fluorination with Alkali Metal Fluoride

**16:30 – Coffee Break (30')**

**Session 3 (parallel) – AUDITORIUM – Chair: CARLOS R. CORREIA**

**17:00 – KL1 (30')** **Kevin R. Campos** - Merck Sharp & Dohme Corp., USA  
Invention of catalytic asymmetric methods for the commercial manufacture of complex drug targets

**17:30 – OC13 (15')** **Giorgio Bencivenni** – University of Bologna, Italy  
Enantioselective Synthesis of Alkylidene Cyclohexanes Displaying Axial Chirality via Knoevenagel Condensation

**17:45 – OC14 (15')** **Ramasamy Manoharan** – Indian Inst. of Science Education and Research, Pune, India  
Chelation assisted Cobalt Catalyzed ortho C H Olefination of Aromatics

**18:00 – OC15 (15')** **Patricia García-García** – Universidad de Alcalá, Madrid, Spain  
Synthesis and functionalization of novel BN-arenes

**18:15 – OC16 (15')** **Moshe Portnoy** – Tel Aviv University, Israel  
Domino two-step oxidation of β-alkoxy alcohols to hemiacetal esters

**18:30 – OC17 (15')** **Xavier Companyó** – Imperial College London, UK  
Distribution of Catalytic Species as an Indicator to Overcome Reproducibility Problems

**18:45 – OC18 (15')** **Maria-João .R. P. Queiroz** – Universidade do Minho, Braga, Portugal  
Synthesis of novel 8-(het)aryl-6H-pyrano[4',3':4,5]thieno[3,2-b]pyridines

**Session 3 (parallel) – SALA VERDE – Chair: ALESSANDRO MORDINI**

**17:00 – KL2 (30')** **Martin Pouliot** - Syngenta Crop Protection, Switzerland  
Synthesis and fungicidal activity of a new family of oxysterol binding protein inhibitors

**17:30 – OC19 (15')** **Stefan Schiesser** – Massachusetts Institute of Technology, USA  
Concise total synthesis of (+)-asperazine A and (+)-pestalazine B

**17:45 – OC20 (15')** **Takahiro Suzuki** – Hokkaido University, Japan  
Total Synthesis of Atropurpuran

**18:00 – OC21 (15')** **Piotr Kwiatkowski** – University of Warsaw, Poland  
High-Pressure Activation of Organocatalytic Reactions: Application in Asymmetric Construction of Quaternary Stereogenic Centers

**18:15 – OC22 (15')** **Claudia Lalli** – Univ Rennes, CNRS, France  
Introducing Chiral Phosphotriesters in Asymmetric Metal Catalysis: Enantioenriched Alcohols by Zn-Catalyzed Hydrosilylation of Ketones

**18:30 – OC23 (15')** **Sophie A. L. Rousseaux** – University of Toronto, Canada

Electrophilic Metal Homoenolates and their Application in the Synthesis of Cyclopropylamines

**18:45 – OC24 (15')** **Sergio Rossi** – Università degli Studi di Milano, Italy  
Organocatalytic  $\alpha$ -trifluoromethylthiolation

**Session 3 (parallel) – SALA ONICE – Chair: FIONA SHORTT DE HERNANDEZ**

**17:00 – KL3 (30')** **Alessandro Agosti** – OLON SpA, Rodano (MI)  
A safer, environmentally benign formation of chlorosulfonamide reagent

**17:30 – OC25 (15')** **Woo Gyun Kim** – Ulsan Nat. Inst. of Science and Technology, Republic of Korea  
Nickel-Catalyzed Synthesis of 1,5-Disubstituted 1,2,3-Triazoles

**17:45 – OC26 (15')** **Helen L. Barlow** – University of Manchester, UK  
Ruthenium catalysed meta-carboxylation

**18:00 – OC27 (15')** **Elsa Martínez Arce** – University of Birmingham, UK  
General strategy to access imidazo-fused heterocycles using aminides as nitrenoids

**18:15 – OC28 (15')** **Se Hun Kim** – The University of Auckland, New Zealand  
Synthetic Studies Towards Pseudocerosine

**18:30 – OC29 (15')** **Michael Breunig** – University of Konstanz, Germany  
Formal Total Synthesis of ( $\pm$ )-Strictamine – the [2,3]-Stevens Rearrangement for Construction of Octahydro-2H-2,8-methanoquinolizines

**18:45 – OC30 (15')** **Ajoy K. Banerjee** – Venezuelan Inst. of Scientific Res., Caracas, Venezuela  
Isopropylation of Substituted-1-Tetralone. Origin of Potential Intermediates for Sesquiterpenes and Diterpenes

**September 18 (Tue) Day 3 Morning**

**Session 1 – AUDITORIUM – Chair: SHU KOBAYASHI**

**8:45 – IL5 (45')** **Nuno Maulide** – University of Vienna, Austria  
The beautiful simplicity of rearrangements: methodology and total synthesis

**9:30 – IL6 (45')** **Janine Cossy** – ESPCI Paris, France  
Construction of Heterocycles From Cyclopropenes

**10:15 – Coffee break (30')**

**Session 2 – AUDITORIUM – Chair: ENRICO MARCANTONI**

**10:45 – PL5 (60')** **David W. C. MacMillan** – Merck Center for Catalysis, Princeton, USA  
New Photoredox Reactions

**Session 3 (parallel) – AUDITORIUM – Chair: MARIA J. QUEIROZ**

**11:55 – OC31 (15')** **Claudio Santi** – University of Perugia, Italy  
Zinc chalcogenates as a novel class of nucleophilic reagents for the ecofriendly chemo and stereoselective functionalization of organic substrates

**12:10** – OC32 (15') **Hiriyakkanavar Ila** – Jawaharlal Nehru Center for Adv. Scient. Res., Bangalore, India  
New Synthetic routes for Benzo[b]thiophenes and Their Hetero-fused Analogs via Organosulfur Synthons

**12:25** – OC33 (15') **Jose C. Gonzalez-Gomez** – Universidad de Alicante, Spain  
Visible-Light Induced Transformation of Carboxylic Acids with Organophotocatalysts

**12:40** – OC4 (15') **Berit Olofsson** – Stockholm University, Sweden  
Regiospecific N-Arylation of Nitrogen Nucleophiles under Mild and Metal-Free Conditions

**Session 3 (parallel)** – SALA VERDE – Chair: MAURO PINESCHI

**11:55** – OC35 (15') **Nikolay E. Nifantiev** – Russian Academy of Sciences, Moscow, Russia  
Driving force of the pyranoside-into-furanoside rearrangement

**12:10** – OC36 (15') **Urs Gellrich** – Justus-Liebig-Universität Giessen, Germany  
Reversible Hydrogen Activation by a Pyridonate Borane Complex: Combining Frustrated Lewis Pair Reactivity with Boron-Ligand Cooperation

**12:25** – OC37 (15') **Kentaro Okano** – Kobe University, Japan  
Recent Development of Halogen Dance

**12:40** – OC38 (15') **Gavin Chit Tsui** – The Chinese University of Hong Kong  
Turning Waste Into Value: New Trifluoromethylation Reactions with Fluoroform-Derived CuCF<sub>3</sub>

**Session 3 (parallel)** – SALA ONICE – Chair: ŁUKASZ ALBRECHT

**11:55** – OC39 (15') **Styliana I. Mirallai** – National University of Ireland Galway, Ireland  
An Alternative to the Mannich Reaction for the Synthesis of Valuable Acrylamide Monomers Containing Methylene Amino-Substituents

**12:10** – OC40 (15') **Katharina Zielke** – Johannes Kepler University, Austria  
Cyclization reactions using quinone-methides and ylides

**12:25** – OC41 (15') **Alexander R. Norman** – University of Sydney, Australia  
Acyl Radicals and Beyond – A Photoredox Approach

**12:40** – OC42 (15') **Assunta D'Amato** – University of Salerno, Italy  
Peptoid-based topological templates: central to conformational chirality induction

**13:00** Lunch (60')

**September 18 (Tue) Day 3 Afternoon**

**Session 1** – AUDITORIUM – Chair: CINZIA COLOMBO

**14:00 Flash Communications (30')** – FLP1-6

**14:30 Poster Session A (90')** P1-170

**16:00 Coffee break**

**Session 2 – AUDITORIUM – Chair: MARC POULIOT**

**16:30 – PL6 (60')** **Varinder Aggarwal** – School of Chemistry, University of Bristol, UK  
Assembly Line Synthesis

**Session 3 – AUDITORIUM – Chair: EMANUELA LICANDRO**

**17:30 – IL7 (45')** **Géraldine Masson** – ICSN-CNRS, Gif-sur-Yvette, France  
Perfluoroalkylation Reactions by Visible-Light Photoredox Catalysis

**18:15 – IL8 (45')** **Alexander O. Terent'ev** – N. D. Zelinsky Institute of Organic Chemistry, Russia  
Organic peroxides: synthesis and application

**21:00 Concert of the University of Florence Orchestra - SS Annunziata Church**

**September 19 (Wed) Day 4 Morning**

**Session 1 – AUDITORIUM – Chair: GIOVANNI POLI**

**8:45 – IL9 (45')** **Floris P. J. T. Rutjes** – Radboud University, Nijmegen, The Netherlands  
New Approaches for the Synthesis of Biologically Relevant Heterocycles

**9:30 – IL10 (45')** **Kilian Muñiz** – ICIQ, Tarragona, Spain  
Catalytic C-H Amination within Halide Redox Manifolds

**10:15 – Coffee break (30')**

**Session 2 – AUDITORIUM – Chair: BERIT OLOFSSON**

**10:45 – PL7 (60')** **M. Christina White** – University of Illinois, USA  
Molecular surgery

**Session 3 (parallel) – AUDITORIUM – Chair: CRISTINA PRANDI**

**11:55 – OC43 (15')** **Wenjun Tang** – Shanghai, Institute of Organic Chemistry, China  
Efficient Syntheses of Chiral Natural Products Facilitated by Asymmetric Cross-Couplings

**12:10 – OC44 (15')** **ShujiAkai** – Osaka University, Japan  
A Novel Approach to Optically Active 2,2'-Dihydroxy-1,1'-Biaryls by Lipase/Metal Combo-Catalyzed Dynamic Kinetic Resolution

**12:25 – OC45 (15')** **Łukasz Albrecht** – Lodz University of Technology, Poland  
Asymmetric organocatalysis in the synthesis of biologically relevant molecules

**12:40 – OC46 (15')** **Giovanni Poli** – Institut Parisien de Chimie Moléculaire, Paris, France  
Pd-Catalyzed Direct C-H Alkenylation and Allylation of Azine N-Oxides

**Session 3 (parallel) – SALA VERDE – Chair: KENTARO OKANO**

**11:55 – OC47 (15')** **Eufrânio N. da Silva Jr** – Institute of Exact Sciences, Rio de Janeiro, Brazil  
Direct sequential C-H iodination/organoyl-thiolation for quinoidal deactivated systems: A new protocol for potent trypanocidal quinones

**12:10 – OC48 (15')** **Jens Christoffers** – Carl von Ossietzky-Universität, Oldenburg, Germany  
Oxidative Umpolung: Formation of  $\delta$ -Lactones with anti-Baeyer-Villiger Regiochemistry from  $\beta$ -Oxoesters, Enol Esters and Dioxygen

**12:25 – OC49 (15')** **Alexey L. Nuzhdin** – Boreskov Institute of Catalysis, Novosibirsk, Russia  
Flow synthesis of secondary amines over M/Al<sub>2</sub>O<sub>3</sub> catalysts (M = Cu, Ag) by one-pot reductive amination of aldehydes with nitroarenes

**12:40 – OC50 (15')** **Eric P. A. Talbot** – Pharmaron, UK  
From Late Stage Oxidation to Heterocyclic Synthesis: New Methodology for Drug Discovery

**Session 3 (parallel) – SALA ONICE – Chair: GIOVANNI PIERSANTI**

**11:55 – OC51 (15')** **Claudia Tomasinī** – Università di Bologna, Italy  
Pseudopeptide Gelators able to form Biocompatible and Self-Healing Hydrogels

**12:10 – OC52 (15')** **Tao Xu** – Victoria University of Wellington, New Zealand  
Towards the Synthesis of Pateamine A Analogues

**12:25 – OC53 (15')** **Patricia García Domínguez** – Universidade de Vigo, Spain  
Difluoromethylation of aryl halides by Si-to-Au-to-Pd shuttling of fluorinated organic fragments

**12:40 – OC54 (15')** **Anne-Katrin Bachon** – RWTH Aachen University, Germany  
Building Blocks for the Synthesis of N-Arylated Sulfoximines

**13:00** Lunch (60')

**September 19 (Wed) Day 4 Afternoon**

**Session 1 – AUDITORIUM – Chair: LISA MONI**

**14:00 Flash Communications (30')** **FLP7-12**

**14:30 Poster Session B (90')** **P171-340**

**16:00 Coffee break (20')**

**Session 2 – AUDITORIUM**

**16:20 – Ceremony Thieme-IUPAC Prize (10')** - **F. Shortt de Hernandez and F. Nicotra**

**Session 2 – AUDITORIUM – Chair: VICTOR SNIACKUS**

**16:30 – PL8 (60')** **Seth B. Herzon** – Yale University, USA  
Total synthesis of pleuromutilins

**Session 3 – AUDITORIUM – Chair: CLAUDIO TROMBINI**

**17:30 – IL11 (45')** **Joëlle Prunet** – University of Glasgow, UK  
Olefin Metathesis: from Natural Product Synthesis to Polymer Functionalisation

**18:15 – IL12 (45')** **Dilip D. Dhavale** – Savitribai Phule Pune University, Pune, India  
Fluorinated/Non-fluorinated Sugar Amino Acid Peptidomimetics: Synthesis, Conformational Studies and Ion Transport Activity

**September 20 (Thu) Day 5 Morning**

**Session 1 – AUDITORIUM – Chair: DAVID BLACK**

**8:45 – IL13 (45')** **Olivier Baudoin** – University of Basel, Switzerland  
Ring construction by palladium(0)-catalyzed C-H activation

**9:30 – IL14 (45')** **Franca Zanardi** – Università di Parma, Italy  
How to Menage and Keep Control of (Hyper)Vinylogous Carbonyl Donor Systems

**10:15 – Coffee break (30')**

**Session 2 – AUDITORIUM – Chair: PIER GIORGIO COZZI**

**10:45 – PL9 (60')** **Yujiro Hayashi** – Tohoku University, Japan  
Pot Economy in the Synthesis of Biologically Active Molecules

**Session 3 (parallel) – AUDITORIUM – Chair: STEFANO MENICHETTI**

**11:55 – OC55 (15')** **Giovanni Piersanti** – University of Urbino “Carlo Bo”, Italy  
Bioinspired Enantioselective Synthesis of (-)-trans-Clavicipitic Acid by means of C-H Oxidation

**12:10 – OC56 (15')** **Mingji Dai** – Purdue University, USA  
Total Synthesis via Tandem Catalysis

**12:25 – OC57 (15')** **Grégory Danoun** – Ecole Polytechnique, CNRS, Palaiseau cedex, France  
First-Row Metal Catalyzed Cross-Coupling of Acid Derivatives

**12:40 – OC58 (15')** **Mads H. Clausen** – Technical University of Denmark, Kemitorvet, Denmark  
Prodrugs for the treatment of inflammatory disease

**Session 3 (parallel) – SALA VERDE – Chair: OLIVER SIMIC**

**11:55 – OC59 (15')** **Jens Frackenpohl** – Bayer AG, Crop Science Division, Germany  
New headgroup variations of Abscisic Acid giving plants a quantum of solace - New lead structures showing promising efficacy against drought stress in vitro and in vivo

**12:10 – OC60 (15')** **Jeffrey Y. W. Mak** – The University of Queensland, Brisbane, Australia  
Unstable metabolite from bacterial vitamin B2 biosynthesis potently activates T cells

**12:25 – OC61 (15')** **Barbara Bernardim** – University of Cambridge, UK  
Synthesis of chemically defined antibody-drug conjugates using carbonylacrylic reagents

**12:40 – OC62 (15')** **Annamaria Deagostino** – Università degli Studi di Torino, Italy  
N-Tosylhydrazone Addition to Pd(II)- $\pi$ -allyl complexes: a New Route for the Synthesis of Conjugated and Skipped Dienes

**Session 3 (parallel) – SALA ONICE – Chair: CLAUDIA TOMASINI**

**11:55 – OC63 (15')** **Cody Ross Pitts** – ETH Zürich, Switzerland

A General Approach to Oxidative Polyfluorination of Heteroatoms: Chalcogens and Beyond

**12:10 – OC64 (15')** **Marvin Mantel** – Heinrich-Heine Universität Düsseldorf, Jülich, Germany

Tuning the Reactivity – New Bench-Stable Allylation Reagents for the Highly Enantioselective and Efficient synthesis of all Stereoisomers of Tertiary Homoallylic Alcohols

**12:25 – OC65 (15')** **David F. Fernández** – Universidade de Santiago de Compostela, Spain

Iridium(I)-Catalyzed Intramolecular hydrocarbonation reactions: efficient access to chiral cyclic products

**12:40 – OC66 (15')** **Osama El-Sepelgy** – RWTH Aachen University, Germany

Replacing Homogenous Noble Metal Catalysts with Base-Metal Alternatives: from Concepts to Applications

**13:00** Lunch (60')

**September 20 (Thu) Day 5 Afternoon**

**Session 1 – AUDITORIUM – Chair: SOPHIE ROUSSEAU**

**14:00 Flash Communications (30')** **FLP13-19**

**14:35 Poster Session C (85')** **P341-503**

**16:00 Coffee break (30')**

**Session 2 – AUDITORIUM – Chair: ERNESTO G. OCCHIATO**

**16:30 – PL10 (60')** **Stefan Bräse** – Karlsruhe Institute of Technology, Germany

Planar Chiral [2.2]Paracyclophanes: From Synthetic Curiosity to Applications in Asymmetric Synthesis and Materials

**Session 3 – AUDITORIUM – Chair: ANDREA GOTI**

**17:30 – IL15 (45')** **Masavuki Inoue** – The University of Tokyo, Japan

Radical-based Approach for Synthesis of Complex Natural Products

**18:15 – IL16 (45')** **Sandrine Py** – Univ. Grenoble Alpes, France

Nitrones as synthetic tools for the discovery of novel classes of iminosugars

**20:30 Gala Dinner – Palazzo Borghese e della Stampa**

**September 21 (Fri) Day 6 Morning**

**Session 1 – AUDITORIUM – Chair: MADS H. CLAUSEN**

**9:00 – IL17 (45')** **André B. Charette** – University of Montreal, Canada

Journey into cyclopropane chemistry and continuous flow synthesis

**9:45 – PL11(60')** **Dawei Ma** – Shanghai Institute of Organic Chemistry, China

New Strategies for Synthesizing Alkaloids

**10:45** – Coffee break (20')

**Session 2 – AUDITORIUM – Chair: ELENA LENCI**

**11:05** – PL12 (60') **Alois Fürstner** – Max-Planck-Institut für Kohlenforschung, Germany  
Catalysis for Total Synthesis

**12:05** – REAXYS prize (10')

**12:15** – Poster prize Awards (15')

**12:30** – Closing ceremony and presentation of 23-ICOS (60')



# POSTER SESSION B

## FLP7-FLP12

## P171-P340

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## Synthesis of Ketones and $\alpha$ -Vinyl Halides from Alkynes in Liquid SO<sub>2</sub>

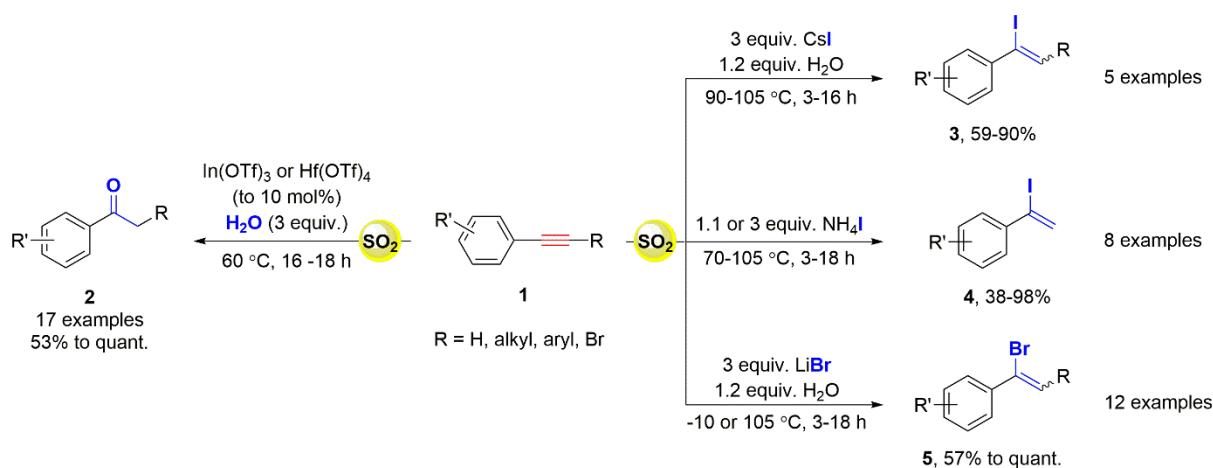
*Krista Suta, Māris Turks\**

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Sulfur dioxide (SO<sub>2</sub>) is not only a useful building block in a synthetic organic chemistry, but in its liquid state can be used as a strong polar solvent as well [1-3]. Besides, liquid SO<sub>2</sub> is one of the few polar solvents that possess Lewis acid properties. On the other hand, synthesis of both ketones and  $\alpha$ -vinyl halides from alkynes can be facilitated by additive of Lewis acid and both transformations may proceed through vinyl cation intermediate. Herein we report novel conditions for catalytic alkyne hydration and a new approach for the alkyne hydrohalogenation promoted by liquid SO<sub>2</sub> as a reaction medium.

Hydration of alkynes is one of the most direct approach for introduction of carbonyl functionality in organic molecules. Nowadays, the use of transition metal catalytic systems together with acidic solvents or additives is preferred over Kucherov hydration conditions. Combination of In(III) or Hf(IV) triflate as a catalyst and liquid SO<sub>2</sub> as a solvent allowed us to obtain desired aryl ketones **2** in good to excellent yields without direct addition of acid. For electron rich alkynes catalyst loadings can be reduced to 0.5 mol% without loss in yields.

Hydrohalogenation of alkynes is one of the most straightforward strategies for synthesis of  $\alpha$ -vinyl halides. Screening of different alkali, alkaline earth metals and ammonium halides (I, Br, Cl, F) for reactivity towards phenyl acetylene in liquid SO<sub>2</sub> revealed potential of CsI, LiBr and NH<sub>4</sub>I as halide sources reaching up to almost quantitative conversion of starting material to the desired  $\alpha$ -vinyl halides detected by GC. After optimization of the reaction conditions a series of  $\alpha$ -aryl vinyl iodides **3** and **4** and bromides **5** were synthesized in moderate to excellent yields. Furthermore, NH<sub>4</sub>I acts both as iodide and as proton source under our reaction conditions without a need of water additive. While LiBr was not reactive towards aliphatic alkynes and phenyl acetylenes substituted with strong electron withdrawing groups, use of CsI and NH<sub>4</sub>I led to the mixture of unreacted starting material and corresponding 1,2-diiodides without desired  $\alpha$ -vinyl iodides detected.



References: 1. Posevins, D.; Suta, K.; Turks, M. *Eur. J. Org. Chem.* **2016**, 1414. 2. Luginina, J.; Uzuleña, J.; Posevins, D.; Turks, M. *Eur. J. Org. Chem.* **2016**, 1760. 3. Luginina, J.; Turks, M. *Synlett* **2017**, 28, 939.