



EWDSy



European Workshop in Drug Synthesis

Novel Synthetic Procedures in Medicinal Chemistry and HIV Research

May, 18th – 23rd, 2014 - Certosa di Pontignano, Siena (Italy)

<http://patsy.smin.unisi.it/serena/ewdsy/>

Programme

SUNDAY 18

- 17.00-19.00: Registration and Posters' billsticking
20.00: Dinner
21.00: Welcome (*Prof. Maurizio Botta*, University of Siena-Italy)
21.10-22.00: **Stephen Hanessian**, Université de Montreal-Canada; University of California, Irvine-USA: "*Proximity Effects in Cycloadditions Reactions Toward Tetrazoles*"

MONDAY 19

Chairman: Peter Wipf

- 09.00-09.45: **Alan P. Kozikowski**, University of Illinois, Chicago-USA: *HDAC6, Microtubules, and Human Diseases – The Hunt for New Therapeutics*
09.55-10.40: **Tiziano Bandiera**, Istituto Italiano di Tecnologia, Genova – Italy: "*Synthesis and Structure-Activity Relationships of N-Acylethanolamine Acid Amidase inhibitors*"
10.50-11.10: Coffee break

Chairman: Stephen Hanessian

- 11.15-12.00: **Youssef Bennani**, Vertex Pharmaceuticals-Canada: "*Challenges in Drug Design for Infectious Diseases*"
12.10-12.55: **Arasu Ganesan**, University of East Anglia-UK: "*Epigenetic Targets for Drug Discovery*"
13.00-14:30: Lunch

Afternoon session dedicated to Drug Design

Chairman: Thierry Langer

- 15.00-15.45: **Gabriele Cruciani**, University of Perugia-Italy; Molecular Discovery – UK: "*Flavin Monooxygenase Metabolism: Why Medicinal Chemists Should Matter?*"
15.55-16.40: **Hugo Kubinyi**, BASF SE and University of Heidelberg-Germany (retired): "*Strategies in Lead Optimization – Tips and Tricks*"
16.45-17.05: Coffee break

Chairman: Hugo Kubinyi

- 17.10-17.30: **Cristina Tintori**, University of Siena – Italy: *“Discovery of HIV-1 entry inhibitors that target the gp120-CD4 protein-protein interaction”*
- 17.35-17.55: **Hendra Gunosewoyo**, School of Pharmacy, Curtin University, Australia: *“Glycogen Synthase Kinase- 3 Inhibitors with Steroidogenesis-Stimulating Properties for Treatment of Anxiety Disorders”*
- 18.00-18.45: **Thierry Langer**, University of Vienna-Austria: *“Feature-based 3D Pharmacophores: Excellent Tools for Medicinal Chemistry Decision Support”*
- 20.00: Dinner
- 21.00: Wine tasting

TUESDAY 20

Chairman: Scott Snyder

- 09.00-09.45: **Peter Wipf**, University of Pittsburgh-USA: *“Chemical Inhibition of Protein Kinase D”*
- 09.55-10.40: **Jieping Zhu**, EPFL Lausanne-Switzerland: *“Simplicity in complexity: case of polycyclic Indole alkaloids”*
- 10.50-11.10: Coffee break

Chairman: Claudiu Supuran

- 11.15-12.00: **Pier Giovanni Baraldi**, University of Ferrara-Italy: *“Design, synthesis, and pharmacological properties of new CB2 cannabinoid receptor ligands”*
- 12.10-12.55: **Andrea Aramini**, Dompè-Italy: *“Targeting the minor pocket of C5aR for the rational design of an oral allosteric inhibitor for inflammatory and neuropathic pain relief”*
- 13.00-14.30: Lunch

Afternoon session dedicated to Biophysical methods

Chairman: Andrea Aramini

- 15.00-15.45: **Claudiu Supuran**, University of Florence-Italy: *“Carbonic anhydrases as drug targets”*
- 15.55-16.15: **Emmanuale Crespan**, IGM-CNR Pavia-Italy: *“Development of new inhibitor targeting the unique motif of DEAD-box protein DDX3”*
- 16.20-16.40: **Enzo Tramontano**, University of Cagliari-Italy: *“Biochemical methods to identify new HIV-1 RT-associated Ribonuclease H and Integrase inhibitors”*
- 16.45-17.05: Coffee break

Chairman: Maria José Camarasa

- 17.10-17.30: **Barbara Gatto**, University of Padova-Italy: *“Development of assays to identify nucleocapsid inhibitors”*
- 17.35-18.45: **Poster Presentations**
- 20.00: Dinner

WEDNESDAY 21

Chairman: Hans-Dieter Arndt

- 09.00-09.45: **Scott Snyder**, Columbia University-USA: *"Strategies to Create Diverse Collections of Natural Products"*
- 09.55-10.40: **Vincenzo Summa**, IRBM-Italy: *"5,6-Dihydroxypyrimidine Carboxamides and N-Alkyl-5-hydroxypyrimidinone Carboxamides the new templates for HIV Integrase inhibition leading to the discovery of Isentress the first in class HIV Integrase inhibitor approved for the treatment of HIV AIDS"*
- 10.50-11.10: Coffee break

Chairman: Stephen Neidle

- 11.15-12.00: **Maria Luz Lopez Rodriguez**, Universidad Complutense de Madrid-Spain: *"Expanding the potential of druggable GPCRs"*
- 12.10-12.55: **Hans-Dieter Arndt**, Friedrich-Schiller University-Germany: *"Chemical Biology probes from small molecules and natural products"*
- 13.00-14.30: Lunch

Afternoon session dedicated to HIV research

Chairman: Bruce Torbett

- 15.00-15.45 **Maria José Camarasa**, Consejo Superior de Investigaciones Cientificas-Spain: *"Two Challenging Strategies for the Design and Discovery of HIV-Replication Inhibitors"*
- 15.55-16.40: **Carine Tisné**, Université Paris Descartes-France: *"In vivo RNA production and engineering of RNA ligands"*
- 16.45-17.05: Coffee break

Chairman: Dieter Schinzer

- 17.10-17.30: **Mattia Mori**, University of Siena-Italy: *"Inhibition of the HIV-1 Nucleocapsid Protein: a New Strategy Against Antiretroviral Drug Resistance"*
- 17.35-17.55: **Poster Presentations**
- 18.00-18.45: **Bruce Torbett**, The Scripps Research Institute-USA: *"Targeting The HIV-1 Nucleocapsid Protein: Chemically Fishing for Inhibitors"*
- 20.00: Social dinner

THURSDAY 22

Chairman: Karl-Heinz Altmann

- 09.00-09.45: **Stephen Neidle**, University College London-UK: *"The design and development of quadruplex-targeted agents in human cancer"*
- 09.55-10.40: **Dieter Schinzer**, University of Magdeburg-Germany: *"Scalable Synthesis of Nebivolol and Desfluoro Nebivolol Isomers "*
- 10.50-11.10: Coffee break

Chairman: Koen Augustyns

- 11.15-12.00: **Karl-Heinz Altmann**, ETH-Switzerland: *"Synthesis and Functional Exploration of Bioactive Natural Macrocycles"*
- 12.10-12.30: **Marco Bella**, University of Rome "La Sapienza"-Italy: *"A Rational Approach for the Optimization of Non-Covalent Asymmetric Catalyzed Reactions "*
- 12.35-12.55: **Oriana Tabarrini**, University of Perugia-Italy: *"Exploiting the Anti-HIV 6-Desfluoroquinolones to Design Multiple Ligands"*
- 13.00-14.30: Lunch

Afternoon session dedicated to HIV research

Chairman: Maria Luz Lopez Rodriguez

- 15.00-15.45: **Koen Augustyns**, University of Antwerp-Belgium: *"Novel non-nucleoside reverse transcriptase inhibitors for the prevention of HIV/aids"*
- 15.55-16.15: **Carolina Herrera**, Imperial College London-UK: *"Pre-clinical evaluation of combination-based microbicides"*
- 16.20-16.40: **Roberto Di Santo**, University of Rome "La Sapienza"-Italy: *"Dual and selective inhibitors of ribonuclease H function of the HIV-1 reverse transcriptase"*
- 16.45-17.05: Coffee break

Chairman: Carine Tisné

- 17.10-17.55: **Kevin Arien**, Institute of Tropical Medicine (ITM) of Antwerp-Belgium: *"CD4 binding site inhibitors are candidate HIV microbicides"*
- 18.00-18.45: **Yves Mely**, University of Strasbourg-France: *"Characterization of the properties and role of the HIV-1 nucleocapsid protein by fluorescence based techniques, and use of the obtained information for developing antiviral strategies"*
- 20.00: Dinner

FRIDAY 23

- 10.00: Closing remarks