

# Università degli Studi di Siena



## I EWDSy

### First European Workshop in Drug Synthesis

May, 14 – 20, 2006 – Certosa di Pontignano, Siena (Italy)

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#### *Programme*

#### Sunday, May 14

16.00: registration

20.00: dinner

21.00: welcome

#### Monday 15 (Synthesis of Drugs)

*Chairman: Leon Ghosez (European Institute of Chemistry and Biology, Pessac-France)*

9.00 – 9.50: Stephen Hanessian (Université de Montréal – Canada; University of California, Irvine - USA) :  
*“Structure-based Organic Synthesis toward Enzyme Inhibitors”*

10.00 – 10.50: Giovanni Appendino (Università del Piemonte Orientale, Italy): *“Non multa sed multum. Chasing quality over quantity: natural products as privileged structures for drug discovery”*

11.00 – 11.20: coffee break (sponsored by StepBio s.r.l.)

*Chairman: Henk Timmerman (Vrije Universiteit Amsterdam - the Netherlands)*

11.30 – 12.20: Erick Carreira (ETH Zurich - CH): *“Studies in Synthesis”*

13.00: lunch

*Chairman: Andreas Kirschning (University of Hannover, Germany)*

15.00 – 15.50: Cristina Martins (School of Pharmacy, London - UK): *“Rational Design and Synthesis of DNA Quadruplex Anti-cancer Agents: Case study of an Academic-industrial collaboration”*

16.00 – 16.20: coffee break

16.30: exercises: Gianluca Papeo (Nerviano Medical Sciences) – Stephen Hanessian (Université de Montréal – Canada; University of California, Irvine - USA)

20.00: dinner

21.00: wine tasting (sponsored by Biotage s.r.l.)

### **Tuesday 16 (Synthesis of Drugs)**

9.00 – 9.50: Leon Ghosez (European Institute of Chemistry and Biology, Pessac-France) : *"Tools for Diversity-Oriented Synthesis"*

10.00 – 10.50 : Urszula Grabowska (Medivir – UK): *"Design of Cathepsin K Inhibitors"*

11.00 – 11.20: coffee break (sponsored by CEM s.r.l.)

*Chairman: Giovanni Appendino (Università del Piemonte Orientale, Italy)*

11.30 – 12.20: Christopher Moody (University of Nottingham - UK): *"Synthesis of substrates and inhibitors of quinone reductases"*

13.00: lunch

Steve's Afternoon: 70's birthday

*Introducing: Sergio Penco*

15.00 – 15.30: Marino Petrini (Università di Camerino – Italy): *"Nitroalkanes as Versatile Reagents in Synthesis"*

15.40 – 16.10: Walter Cabri (Antibioticos s.p.a. – Italy): *"Green Chemistry in the Pharmaceutical Industry"*

16.20 – 16.40: coffee break

16.45 – 17.15: Romano Di Fabio (Glaxo Smith Kline – Italy): *to be defined*

poster session

20.00: dinner at Piazza del Campo - Siena

### **Wednesday 17 (Discovery in Medicinal Chemistry)**

*Chairman: Urszula Grabowska (Medivir – UK)*

9.00 – 9.50: Henk Timmerman (Vrije Universiteit Amsterdam - the Netherlands): *"Histamine receptors and their ligands; valuable tools for medicines research"*

10.00 – 10.50: Dieter Schinzer (Magdeburg University - Germany): *"Epothilones: A New Class of Powerful Antitumor Drugs"*

11.00 – 11.20: coffee break

*Chairman: Cristina Martins (School of Pharmacy, London - UK)*

11.30 – 12.20: Roberto Pellicciari (Università di Perugia – Italy): “*Drug Discovery with The Farnesoid X Receptor (FXR) as Target. From Functions Unraveling to Therapeutic Exploitation*”

13.00: lunch

15.00 – 15.50: Robert R. Rando (Harvard Medical School – USA): “*Chemical Biological Approaches to Vision and Small Molecule Approaches to the Treatment of Macular Degeneration*”

16.00 – 16.20: coffee break

16.30: Round table on the Future in Medicinal Chemistry

*Introducing: **Germano Carganico (Toscana Life Sciences Foundation- Italy)***

20.00: dinner

*Chairman: **Maurizio Botta (Università di Siena – Italy)***

21.00: Hugo Kubinyi (Germany) : “*Combinatorial Chemistry in Drug Research*”

### **Thursday 18 (Supported Reagents in Organic Synthesis)**

*Chairman: **Lester Marrison (Evotec OAI)***

9.00 – 9.50: Bruno Linclau (University of Southampton, UK): “*An introduction to phase-separation techniques for solution phase chemistry*”

10.00 – 10.50: Philip Hodge (University of Manchester, UK): “*Organic Synthesis using Bench-top Flow Systems*”

11.00 – 11.20: coffee break

11.30 – 12.20: Andreas Kirschning (University of Hannover, Germany): “*Chemistry in Flow – Enabling Technologies in Organic Synthesis using PASSflow reactors*”

13.00: lunch

15.00: lab session at University of Siena

20.00: social dinner

### **Friday 19 (Supported Reagents in Organic Synthesis)**

*Chairman: **Chiara Ghiron (Siena Biotech s.p.a. – Italy)***

9.00 – 9.50: Samuel Gerritz (Brystol –Myers Squibb, USA) : “*Chemical and Biological Analysis of Combinatorial Libraries*”

10.00 – 10.50: Lester Marrison (Evotec OAI): “*Automation for solution phase libraries*”

11.00 – 11.20: coffee break

11.30 – 12.20: Andrea Porcheddu (Università di Sassari, I): “*Cellulose and other polar supports in polymer assisted synthesis*”

13.00: lunch

15.00: lab session at University of Siena

20.00: dinner

21.00: farewell