



Universiteit Leiden  
Vrije Universiteit Amsterdam

## Leiden / Amsterdam Center for Drug Research

P.O. Box 9502, 2300 RA Leiden  
The Netherlands

### XIX<sup>th</sup> LACDR SCHOOL ON MEDICINAL CHEMISTRY

#### COURSE OUTLINE

The development of new drugs have become largely dependent on a deeper understanding of human (patho)physiology. Nowadays, pharmacology and toxicology, both *in vitro* and *in vivo*, are essential to exploit fundamental knowledge for the development of drug candidates. As a consequence, both disciplines are more and more applied at an early stage of drug design to guide synthetic strategies. The School encompasses basic and advanced aspects of drug design, pharmacology and toxicology to **provide research chemists in the pharmaceutical industry with the appropriate background for their daily practice**. The course provides a thorough introduction in pharmacodynamics, pharmacokinetics and toxicology (ADME-Tox). The impact of molecular biology, genomics and molecular modeling on drug research are also discussed. Newly introduced to the course are **cheminformatics** and **fragment-based design approaches**. In addition, two case histories will give a flavour of chance and strategy in drug development. Speakers come from both pharmaceutical industries and academic research institutes; they have been selected for their scientific expertise as well as didactic qualities. Presenters and participants are coming from a variety of different countries, rendering the school a truly global event. Acclaims from participants to the previous schools: *excellent documentation...; case-study very useful...; very clear review of drug research today...; lectures very good...; beyond expectation...; intellectually most stimulating...; first class facilities*.

#### COURSE DIRECTORS

##### **Henk Timmerman, PhD**

Former Head Department of Pharmacochimistry, Vrije Universiteit Amsterdam, The Netherlands and co-founder of the LACDR. His research program mainly concerned the different histamine receptors and their ligands. He is a member of the editorial boards of several international journals and consultant to a number of industries. In 2002 he retired as professor. He has been and is a board member of a number of (inter)national scientific organizations. Currently he is e.g., editor of Drug Discovery Today-Technologies and member of the IUPAC Committee on Chemistry and Human Health. He received several awards and three honorary degrees

##### **Ad P. IJzerman, PhD**

Professor of Medicinal Chemistry of the Leiden/Amsterdam Center for Drug Research at Leiden University. Professor IJzerman supervises the receptor research group at the Center, and is involved in research on adenosine receptors and drug design. He has ample experience in modern techniques to study e.g., ligand-receptor interactions, such as molecular modelling and chemoinformatics. He is a member of the editorial boards of a large variety of international scientific journals in the area of medicinal chemistry. With respect to teaching Prof IJzerman has been the recipient of a number of awards.

##### **Rob Leurs, PhD**

Professor of Medicinal Chemistry of the Leiden/Amsterdam Center for Drug Research at the Vrije Universiteit (VU) Amsterdam and Director of the VU Drug Discovery Center. His main research area concerns the development of new ligands and their mechanism of action at various members of the histamine and chemokine receptor family. He is also involved in structure-based drug design projects related to ligand-gated ion channels. He is consultant for various small and large pharmaceutical companies and served as a member of the Executive Board of the Dutch Top Institute Pharma.

#### REGISTRATION INFORMATION

##### **Course location**

The course will be held at the Congresshotel Oud-Poelgeest, Poelgeesterweg 1, 2340BC Oegstgeest, The Netherlands.

Tel: +31-71- 517 42 24

Fax: +31-71- 515 64 78

www.oudpoelgeest.nl

##### **Hotel accommodation**

A number of fully equipped single rooms have been reserved at the Congress Center.

**Fee: € 2250.** This includes course documentation, mid-session refreshments, lunches, dinners and hotel accommodation with breakfast during the course for 3 nights.

**PhD students.** Up to five PhD students may attend the course for a reduced fee (**€ 1400**). A statement of the supervisor acknowledging the status of PhD student should accompany the registration form.

**Registration and payment.** There is a maximum of 40 participants, so please send in your registration as soon as possible.

Upon receipt of the registration form, we will send a confirmation letter with the invoice attached. Payment has to be fulfilled before the start of the course.

The organization reserves the right to cancel the course should the number of registrations be lower than 15.

**Cancellations.** Cancellations with a full refund may be made until September 1, 2010. Cancellations between September 1 and October 1, 2010: 50% refund. No refund is possible on cancellations received after this date. Substitutions may be made at any time.

##### **Arrival**

October 19, 2010, 9.30-10.00 h.

##### **Course language**

The official language of the course is English.

# COURSE PROGRAMME

## Tuesday, October 19

- 9.30 - 10.00 Registration  
10.00 - 10.15 Getting to know each other

### Pharmacology

- 10.15 - 11.15 Mathematics of receptor-ligand interaction  
11.30 - 12.30 Signal transduction and second messengers  
13.30 - 14.30 Molecular biology in drug discovery  
14.30 - 15.30 Assay development  
16.00 - 17.00 Animal models in drug research  
20.00 - 22.00 City walk

prof.dr. A.P. IJzerman, LACDR, Leiden, The Netherlands  
prof.dr. M. Smit, LACDR, Amsterdam, The Netherlands  
prof.dr. R. Leurs, LACDR, Amsterdam, The Netherlands  
prof.dr. R. Leurs, LACDR, Amsterdam, The Netherlands  
prof.dr. R. Remie, 3-R's Educ. and Consult., Almere, The Netherlands

## Wednesday, October 20

### Pharmacology (continued)

- 9.00 - 10.00 Models in early clinical development  
10.30 - 11.30 Case study in drug design: introduction  
11.30 - 12.30 The concept of drug selectivity  
13.30 - 17.30 Case study in drug design  
20.00 - 21.00 Case history 'From Imatinib to Nilotinib'

prof.dr. G. Rongen, Radboud University, Nijmegen, The Netherlands  
dr. P.C.N. Rensen, LUMC, Leiden, The Netherlands  
prof.dr. H. Timmerman, LACDR, Amsterdam, The Netherlands  
participants  
dr. A. Vaupel, Novartis, Basel, Switzerland

## Thursday, October 21

### Lead finding and optimization

- 9.00 - 10.00 Cheminformatics and drug discovery  
10.15 - 11.15 The drug-target interaction in 3D

dr. A. Bender, LACDR, Leiden, The Netherlands  
dr. I. de Esch, LACDR, Amsterdam, The Netherlands

### Fate of drugs

- 11.30 - 12.45 Introduction to the pharmacokinetics of drugs  
13.45 - 15.45 Drug Metabolism and Toxicology: Avoiding Development Problems through Knowledge-Based Design  
16.00 - 17.30 Knowledge protection  
20.00 - 21.00 Case history 'Omeprazole'

dr. E.C.M. de Lange, LACDR, Leiden, The Netherlands  
dr. H van de Waterbeemd, St. André, France

dr. J. Hassa, UCB, Brussels, Belgium  
dr. K. Andersson, AstraZeneca, Mölndal, Sweden

## Friday, October 22

### Lead finding and optimization (cont.)

- 9.00 - 10.00 High throughput screening: from a vial with powder to millions of data points  
10.30 - 11.30 Fragment-based lead discovery  
11.30 - 12.30 Genomics in drug research  
14.00 - 16.00 Case study in drug design: presentation

dr. S. van Helden, N.V. Organon, Oss, The Netherlands  
dr. I. de Esch, LACDR, Amsterdam, The Netherlands  
prof.dr. K. P. Schäfer, BioLAGO, Konstanz, Germany  
participants

## REGISTRATION FORM:

**XIXth LACDR School on Medicinal Chemistry, 19-22 October 2010**

Last Name: ..... First Name .....

Organization: .....

Position: .....

Address: .....

Postal code: ..... City: .....

State/country: .....

Telephone: ..... Fax: ..... Email: .....

Date of arrival: ..... Date of departure: .....

**An invoice for the amount due will be sent as soon as possible.**

Signature: ..... Date: .....

Return by email, fax or regular mail to: LACDR-Secretariat, attn. of Mrs. E.F. Dekker

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