PHARMED

MODULE 2
Discovery of medicines

24-25 February 2016

POST-GRADUATE PROGRAMME IN PHARMACEUTICAL MEDICINE & MEDICINES DEVELOPMENT SCIENCES

François DUFRASNE
Ph.D. in pharmacy ULB,
Professor at the Faculty of
Pharmacy of ULB and UMH

DRUG DESIGN AND STRUCTURE-ACTIVITY RELATIONSHIPS

François DUFRASNE

The course describes the main steps involved in drug design and development. It considers successively and illustrates with numerous examples the search for lead molecules, the identification of pharmacophores and the numerous chemical modifications intended to modulate pharmacological activity. The structure-activity relationships concept is overviewed and data are provided concerning the design of pro- and soft-drugs.

LIGAND-RECEPTOR INTERACTIONS

Michel GILLARD

This course will address ligand-receptor interactions in the context of drug discovery with an emphasis on G-protein coupled receptors. An overview will be given on this particular class of targets. Subsequently, we will examine various ways to measure drug affinity and efficacy including binding studies and functional assays using native tissues or cell lines expressing recombinant receptors. The basic principles of binding theory will be reviewed along with the concepts of (stereo) selectivity and competitive or allosteric interactions. The practical significance of drug binding kinetics will be discussed. The notions of partial, full and inverse agonism and neutral antagonism will be exemplified. Particular attention will be paid to illustrate all these concepts with experimental data using, whenever possible, clinically relevant drugs. The need to critically assess published data to avoid pitfalls and misinterpretation will be constantly highlighted.

Michel GILLARD
Ph.D. in Applied Medical
Biology, ULB, Head of
Cellular and Molecular
Biology, CNS Research,
UCB

Aurore COLOMAR
PhD in Biological and Medical Sciences, Université Victor Segalen Bordeaux II, Senior Scientist

TESTING NEW COMPOUNDS IN ANIMALS

Aurore COLOMAR

The course first describes the current use of animals (species, number) and its purposes. Then the ethical and regulatory aspects are discussed, in particular the "Convention for the Protection of Vertebrate Animals" of the Council of Europe and the 3 R rule: Replace, Reduce, Refine. Alternative approaches will be presented.

HIGH THROUGHPUT SCREENING USING RECOMBINANT RECEPTORS

Vincent DUPRIEZ

The course will show how the methods used for drug screening have evolved with time, moving from whole animals to isolated organs, radioligand binding to membranes and finally to systems expressing recombinant proteins. This has resulted in a major increase in throughput. The various steps involved in setting-up new functional assays will be described. The robustness, throughput and quality of various assays will be discussed. Examples will be presented: aequorin (cytosolic calcium), time-resolved fluorescence (cAMP), AlphaScreen SureFire (kinases), IonWorks Quattro (automated patch clamp)…

Vincent DUPRIEZ
Ph.D. in agronomical sciences, UCL, Senior scientist, Perkin-Elmer Life Sciences, Zaventem, Belgium

Michel GOLDMAN
M.D, PhD, Professor of immunology
Director of the **I**nstitute for **I**nterdisciplinary **I**nnovation in healthcare, ULB

NEW MODELS FOR THERAPEUTIC INNOVATION

MICHEL GOLDMAN

The insufficient productivity of pharmaceutical companies and the urgent need to address major threats for public health such as antibiotic resistance and dementia drive the current strategic changes in drug development. In this presentation, we will first discuss why the current models for therapeutic innovation are obsolete. The key features of the new models under consideration will then be presented, focusing on multi-stakeholder collaborations, new approaches in the design of clinical trials, and novel pathways to accelerate the access of patients to innovative medicines.

Wednesday
24 February 2016

9.30 – 10.00 Welcoming participants

10.00 – 13.00 **François DUFRASNE**
Drug design and structure-activity relationships

14.00 – 15.50 **Michel GILLARD**
Ligand-receptor interactions

15.50 – 16.10 Coffee break

16.10 – 18.00 **Michel GILLARD**
Ligand-receptor interactions

Thursday
25 February 2016

9.00 – 10.50 **François DUFRASNE**
Drug design and structure-activity relationships

10.50 – 11.10 Coffee break

11.10 – 13.00 **Aurore COLOMAR**
Testing new compounds in animals

13.00 – 14.00 Lunch

14.0 – 17.00 **Vincent DUPRIEZ**
High-throughput screening

17.0 – 18.00 **Michel GOLDMAN**
New models for therapeutic innovation

REGISTRATION FEES FOR THE COURSE AND EXAMINATION

- Attendance to the 16 modules, access to all course notes on the private domain of our web site and examination: 7.000 €
- Attendance to 4 selected modules, access to all courses notes on the private domain of our web site and examination : 3.000 €
- Attendance to one individual module, with a copy of the course notes : 600 €
- Examination only, with access to all course notes on the private domain of our web site : 1.500 €
- Second or third attempt at the examination : 200 €

Registration can be done at any time during the year.

Registration to a module includes one lunch and beverage breaks.
A discount can be offered to candidates who are neither employed nor sponsored by a biopharmaceutical company.

REGISTRATION AND INFORMATION

Register by e-mail, by sending the completed registration form to pharmed@ulb.ac.be.
Download the registration form on our web site
Payment should be made upon receipt of our invoice.
The courses take place in Brussels, in Brussels, at HOTEL ERASME :
Route de Lennik 790 – 1070 Brussels (Phone : 32-02/523 62 82)

For more information please contact :

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