

6 credits

45.0 h + 30.0 h

Q1

Teacher(s)	Frédéric Raphaël coordinator ; Lambert Didier ;
Language :	French
Place of the course	Bruxelles Woluwe
Prerequisites	WFARM1008 conception du médicament WFARM1231 chimie organique 2 <sup>ième</sup> partie WFARM1221 Biochimie WFARM1232 Pharmacologie générale <i>The prerequisite(s) for this Teaching Unit (Unité d'enseignement – UE) for the programmes/courses that offer this Teaching Unit are specified at the end of this sheet.</i>
Main themes	The general theme is the structure - activity relationship of the drugs. Since this theme is broad, it has to be exemplified by selected topics: (i) chemical and physico-chemical properties of drugs in relationship with their pharmacokinetic and pharmacodynamic behavior (phototoxicity, in vitro and in vivo hydrolysis, charge (pKa), logP (Lipinski's rule), chirality) (ii) ligand - receptor interaction, with regard to physico-chemical properties : ature of the intermolecular interactions, types of targets (receptors, ion channels, enzymes, transporters, pumps), consequence of the binding of a xenobiotic on these targets (iii) drug discovery and optimization process, scope and limitation of the drug design techniques. The practical exercises allow students to establish themselves their own experimental plans in order to assign the structure of simple molecules (spot tests, derivatization, spectroscopy).
Aims	<p>At the end of this formation, students are able to make a link between a drug structure and the interaction of this drug with the living, and establish structure - pharmacological activity relationships (drug design, potential actions of a molecule on a given receptor) as well as structure - pharmacokinetic behavior relationships (crossing of physiological barriers, pKa, logP, chemical and photochemical stability). The practical exercises aim at assuring the transition between those of FARM1230 and FARM2205: students must be able to design a rational experimental plan</p> <p>-----</p> <p><i>The contribution of this Teaching Unit to the development and command of the skills and learning outcomes of the programme(s) can be accessed at the end of this sheet, in the section entitled "Programmes/courses offering this Teaching Unit".</i></p>
Content	The course is intended to be an in-depth description of typical examples of structure-activity relationships rather than an exhaustive coverage of the broad field of medicinal chemistry. For example, the classes of sulfonamides, NSAID agents, inhibitors of the conversion enzyme, chloroquine, etc. illustrate the relevance of pKa and logP parameters on the pharmacological and pharmacokinetic properties of these drugs. Beta-adrenergic, nicotinic, glutamate, insuline, and oestrogene receptors, calcium channels, Na <sup>+</sup> /K <sup>+</sup> & H <sup>+</sup> /K <sup>+</sup> ATPases allow to illustrate the effector - target molecular interaction. The classical and more recent developments of CNS drugs (e.g. opioids) are used to introduce drug design concepts.
Bibliography	Drug-like Properties: Concepts, Structure Design and Methods, 1st Edition from ADME to Toxicity Optimization Authors: Li Di Edward Kerns The Practice of Medicinal Chemistry, Editors: Camille Wermuth David Aldous Pierre Raboisson Didier Rognan
Faculty or entity in charge	FARM

<b>Programmes containing this learning unit (UE)</b>				
Program title	Acronym	Credits	Prerequisite	Aims
Bachelor in Pharmacy	FARM1BA	6	WFARM1008 AND WFARM1231 AND WFARM1232 AND WFARM1219	