
# FARM1302 Chimie pharmaceutique

[45h+30h exercises] 6 credits

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Language: French
Level: First cycle

## Aims

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

## 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) (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).

## Content and teaching methods

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, NSAI 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+/K+ & H+/K+ 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.