| UCLouv | vain lchm22  | 44             | Ν  | Medicinal chemistry |
|--------|--------------|----------------|----|---------------------|
|        | 3.00 credits | 22.5 h + 7.5 h | Q2 | ]                   |

| Teacher(s)          | Frédérick Raphaël ;Lambert Didier ;Spillier Quentin (compensates Lambert Didier) ;  |  |  |  |  |
|---------------------|---|--|--|--|--|
| Language :          | English<br>> French-friendly  |  |  |  |  |
| Place of the course | Louvain-la-Neuve  |  |  |  |  |
| Main themes         | <ol> <li>The pharmaceutical industry and its current social and economical environment.</li> <li>The strategies of "lead discovery".</li> <li>The optimalisation of ADMET properties.</li> <li>The structure-activity relationships.</li> <li>The methods of screening.</li> <li>Selected examples of "structure-based" drug design.</li> </ol>   |  |  |  |  |
| Learning outcomes   | At the end of this learning unit, the student is able to :         The course objective is to introduce to the master student (in chemistry or biochemistry) the different problems treated in pharmaceutical industry, from the discovery of an active substance ("lead" molecule), till the production of a commercializable drug.         1       It is a general teaching that integrates concepts of physical chemistry, organic chemistry, spectroscopy and biochemistry (previously pointed out during the BAC cursus) into the multidisciplinary context of drug research and development.         Particular attention will be focused on the knowledge integration and the multidisciplinary thinking, as it is nowadays the custom in pharmaceutical industry. |  |  |  |  |
| Evaluation methods  | The evaluation consists of the presentation and oral defense, via Teams or in person depending on the possibilities, in pairs of students, of a poster or a slide show on a work done during the course.  |  |  |  |  |
| Teaching methods    | Powerpoint presentation<br>Interactive teaching with discussions<br>Distribution of complementary documents (recent articles) to be reviewed at home.<br>22.5 hours of lecture (Vol1) given by the two co-tutors.<br>Individual work (creation of a poster) for the 7.5 hours of Vol2   |  |  |  |  |
| Content             | <ul> <li>This course is a general introduction to Medicinal Chemistry.</li> <li>The main concepts covered are : <ul> <li>general pharmacology, chemistry, biochemistry</li> <li>ligand-receptor interactions</li> <li>strategies for discovering hits: methods, applications, strategies</li> <li>hit-to-lead approaches: qualitative aspects, quantitative aspects, rational drug design</li> <li>physicochemical parameters of drugs: pKa, LogP, PSA</li> <li>lead-like properties: solubility, permeability, blood-brain barrier, metabolic stability, stability in plasma &amp; solution, CYP inhibition, transporters, plasma protein binding, toxicity, prodrugs.</li> </ul> </li> </ul>  |  |  |  |  |

| Other infos                 | Background :         - Courses of chemistry and biochemistry of BAC (BAC CHIM with minor BIOL, and BAC BIOL with minor CHIM).         Relation with other teachings :         the course is constructed in such a way that the knowledge of a minimum of pharmacological terms is required         However, introduction to basic pharmacology should be helpful for chemists (definition of the pharmacological targets and their functioning, agonists and antagonists, biodistribution, elimination processes,         ). Students in chemistry interested in medicinal chemistry are invited to include in their program the courses BIOI 2226 (cellular pharmacology) and AGRO 2750 (human and animal toxicology). The protection of innovation in drugs' industry is also an important aspect, non covered in this course CHM 2244, because this matter makes part of the course SC 3001 (research, innovation and intellectual property: application to the domains of chemistry of life sciences).         Supports :         - Notes of the professor.         - Review articles.         - Books form the CHIM library.         - The course could be partly or totally delivered by an invited lecturer. |
|-----------------------------|---|
| Faculty or entity in charge | CHIM  |

| Programmes containing this learning unit (UE)                  |         |         |              |                   |  |  |  |
|--|---------|---------|--------------|-------------------|--|--|--|
| Program title  | Acronym | Credits | Prerequisite | Learning outcomes |  |  |  |
| Master [120] in Biochemistry<br>and Molecular and Cell Biology | BBMC2M  | 3       |              | ٩                 |  |  |  |
| Master [120] in Chemistry                                      | CHIM2M  | 3       |              | ٩                 |  |  |  |
| Master [120] in Chemistry and Bioindustries                    | BIRC2M  | 3       |              | ٩                 |  |  |  |
| Master [120] in Agricultural<br>Bioengineering                 | BIRA2M  | 3       |              | ٩                 |  |  |  |