

Course Title	<b>Pharmaceutical Chemistry I</b>				
Course Code	PHA307				
Course Type	Compulsory				
Level	BSc (Level 1)/ MPharm (Level 2)				
Year / Semester	3 <sup>rd</sup> / 6 <sup>th</sup> Semester				
Teacher's Name	Dr Panagiotis Theodosios-Nompelos, Dr Georgios Papagiouvannis				
ECTS	6	Lectures / week	3+1*	Laboratories/week	2
Course Purpose	<p>The aim of this course is to introduce the pharmacology of big groups of pharmacomolecules from many viewpoints: nomenclature, synthesis, properties, purity control, molecular mode of action, therapeutic uses, fate in the body, structure-activity relationships. Therefore, the aim of the course is to familiarize students to structure, correlation of structure with drug action and duration of action. Another aim is to know the fate of the drug molecule in the organism, by studying the pharmacology of the particular molecule. This knowledge helps considerably other subjects of the Pharmaceutical Sciences, like Pharmacology, Toxicology and Pharmacotherapeutics.</p> <p>*Tutorial</p>				
Learning Outcomes	<p>By the end of this course, the students are expected to be able to:</p> <ul style="list-style-type: none"> <li>• Explain the chemistry and thus the synthesis of drug molecules;</li> <li>• Identify the physical and chemical properties of drugs, and thus, the way to use them;</li> <li>• Recognise the biological properties, and thus, understand the therapeutic potential of drugs;</li> <li>• Recall representative compounds that are widely used in therapeutics as well as certain compounds important for historical reasons or as examples.</li> <li>• Analyse the structural changes (fate) of the molecule in the body, and thus, the duration of action, the probability of bioactivation or biointoxication;</li> <li>• Analyse the relationships between action and structural and physicochemical characteristics.</li> <li>• Recall the medicines and their pharmacology against diseases of modern society and diseases commonly seen in the population.</li> </ul> <p>Overall learning outcome</p> <p>The students strengthen their knowledge in the field of pharmacology and acquire critical thinking at all levels of drug actions and uses. This knowledge substantially supports other fields of Pharmaceutical Sciences, such as Pharmacology, Toxicology and Pharmacotherapeutics.</p>				
Prerequisites	PHA206			Corequisites	None

Course Content	<p>Theory:</p> <p>A pharmacochemical presentation, including names and structure, synthetic pathways, origin and extraction-isolation, physical, chemical, biological properties, purity control, identification, quantitative determination, uses and doses, of the following groups of drug molecules:</p> <p>Vitamins, hormones and related compounds,</p> <p>Chemotherapeutics, antibiotics, other antibacterial, antiviral, antiprotozoal and antifungal compounds.</p> <p>Anticancer drugs.</p> <p>Diuretic and other drugs acting on the genitourinary system. Local anaesthetics, antihistaminics (H<sub>1</sub>, H<sub>2</sub>, H<sub>3</sub>), antidiabetics.</p> <p>Drugs acting on the Autonomic Nervous System, cholinergic agonists and antagonists, sympathetic agonists and antagonists, drugs acting on neuromuscular junctions and autonomic ganglia.</p> <p>Drugs acting on the cardiovascular system, blood pressure, dyslipidemias. Atheromatosis and other blood pathologic conditions. Drugs acting on arrhythmias.</p> <p>Molecular mechanism of action of the above groups, side effects, fate in the organism with emphasis on drug metabolism, structure-activity relationships. (Representative individual compounds used frequently, some compounds important for historical reasons or serving as examples are examined in the above detailed way).</p> <p>A structure-activity relationship study and conclusions are drawn for each particular compound group.</p> <p>Ways of conversion of each of the important drug molecules in the body (drug metabolism) are studied in details. Emphasis is given to drugs against diseases of modern societies and those frequently seen in the population.</p> <p><b>Laboratory experiments/exercises:</b></p> <p>As part of the course, laboratory exercises are carried out on the course material for a better deepening and consolidation of the theoretical part. Indicative exercises include synthesis, identification and quantification control of various known pharmaceutical compounds. Examples are:</p> <p>Exercise 1: Quantitative determination of ascorbic acid          Exercise 2: Synthesis, purification with recrystallization and identification (with IR, thin layer chromatography and melting point) of acetylsalicylic acid          Exercise 3: Quantitative determination of acetylsalicylic acid          Exercise 4: Synthesis, purification with recrystallization and identification (with IR, thin layer chromatography and melting point) of paracetamol          Exercise 5: Detection of S, N and halogens in organic compounds          Exercise 6: Isolation and quantitative determination of saccharin sodium          Exercise 7: Synthesis, purification with recrystallization and identification (with IR, thin layer chromatography and melting point) of antihypertensive</p>
----------------	---

	<p>dihydropyridine derivative</p> <p>Exercise 8: Calculation of the partition coefficient of ibuprofen between n-octanol and water</p> <p>Exercise 9: In silico prediction of important physicochemical properties and BBB permeability of H1 antihistamines.</p> <p>Exercise 10: Analysis of the crystal structure and the interactions of Angiotensin Converting Enzyme (ACE) with the inhibitor captopril, using Maestro 11 program.</p>
<p>Teaching Methodology</p>	<p>Teaching methodology includes lectures on theoretical background, and laboratory exercises to better apprehend the basic concepts of Pharmaceutical Chemistry. The lesson uses PowerPoint presentations with detailed notes in order to help students better understand biological and chemical processes. Tutorials and case studies are included. Methods such as discussion, questions/answers, pros/cons, brainstorming, debates, and cooperative learning are used to enhance the student's participation. Recent research results are included and discussed in the course. The laboratory part is conducted in the Laboratory of Chemistry and Pharmaceutical Chemistry with the proper infrastructure/equipment and under the supervision of the professor. Appropriate preparation and demonstration by the laboratory supervisor precedes each laboratory exercise. Assessment of laboratory exercises is done based on laboratory reports submitted by each student at the end of each lab exercise.</p>
<p>Bibliography</p>	<p>Textbooks:</p> <ol style="list-style-type: none"> <li>1. «Μαθήματα Φαρμακευτικής Χημείας II, ορμόνες και παράγωγα φάρμακα, μη στεροειδή αντιφλεγμονώδη, φάρμακα καρδιαγγειακού συστήματος» Ν. Πουλή, Π. Μαράκος. Παρισιάνος 2018.</li> <li>2. Μαθήματα Φαρμακευτικής Χημείας I, Κατασταλτικά ΚΝΣ-ψυχοφάρμακα, αντιισταμινικά, βιταμίνες, αντιβακτηριακά φάρμακα. Ν. Πουλή, Π. Μαράκος. Εκδόσεις Παρισιάνος, 2018</li> <li>3. «An Introduction to Medicinal Chemistry», Patrick, Graham L. Oxford, 6<sup>th</sup> ed, 2017.</li> <li>4. «Οργανική Φαρμακευτική Χημεία, Βιταμίνες», Αθηνά Γερονικάκη, Σύγχρονη Παιδεία, 2012</li> <li>5. Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, Twelfth, North American edition, 12<sup>th</sup> ed. 2011.</li> <li>6. «Φαρμακευτική Χημεία, Ομάδες Χημειοθεραπευτικών και Φαρμακοδυναμικών φαρμάκων», Βασίλης Ι. Δημόπουλος, Θεσσαλονίκη, 2009</li> </ol> <p>References:</p> <ol style="list-style-type: none"> <li>7. Σημειώσεις Φαρμακευτικής Χημείας, Δήμητρα Χατζηπαύλου-Λίτινα, Ιωάννης Νικολάου, Τμήμα Εκδόσεων ΑΠΘ, 2011.</li> <li>8. «Οργανική Φαρμακευτική Χημεία, Ορμόνες», Αθηνά Γερονικάκη, Ζυγός, 2005</li> <li>9. "Burger's Medicinal Chemistry and Drug Discovery" vol. 3-5, John Wiley &amp; Sons, 7<sup>th</sup> ed., 2010</li> <li>10. "Essentials of Medicinal Chemistry", A. Korolkovas, Wiley International Publications, John Wiley &amp; Sons, 2003.</li> </ol>

<p>Assessment</p>	<p>Mid Term Exam and solving exercises in class 20% Lab Reports 10% Lab Examination 10% Final Examination 60%</p> <p>Course evaluation is done by:</p> <p>(a) a written examination during the semester which examines specific modules of the course and it accounts for 20% of the total grade (b) laboratory reports during the semester, in which students present the collected and analysed experimental data as well as their conclusions, derived from theory and the experimental data. Together with lab written exams on laboratory work, lab reports /lab examination account for a total of 20% of the total score (60% of this concerns the laboratory reports and 40% the exam results) (c) a final written examination which examines all modules of the course material and it accounts for 60% of the total grade.</p> <p>Students are prepared over the theoretical and practical background in the classroom. Additional material and exercises are given to them for further practice at home. For better comprehension of the subject, frequent revisions are performed at regular time intervals.</p> <p>Questions of gradual difficulty apply to the evaluation of the mid-term and final examination. There may be multiple choice or right/wrong questions with justification of the answers or issue analysis and problem solving questions may be applied in order to evaluate the knowledge and perception of the student on the subject.</p> <p>For the evaluation of laboratory exercise reports, the following criteria shall be taken into account, with ratios varying according to the laboratory exercise:</p> <p>(a) data collection (b) data analysis (c) application of theory to draw conclusions</p> <p>The above criteria and assessment tools, as well as their weight, are communicated to the students, and are formulated in such a way in order to maximize the expected learning outcomes as well as the quality of the course.</p>
<p>Language</p>	<p>Greek, English</p>