

ΔΙΠΑΕ ΦΟΡΕΑΣ ΔΙΑΣΦΑΛΙΣΗΣ ΚΑΙ ΠΙΣΤΟΠΟΙΗΣΗΣ ΤΗΣ ΠΟΙΟΤΗΤΑΣ ΤΗΣ ΑΝΩΤΕΡΗΣ ΕΚΠΑΙΔΕΥΣΗΣ CYQAA THE CYPRUS AGENCY OF QUALITY ASSURANCE AND ACCREDITATION IN HIGHER EDUCATION



| Course Title         | Pharmaceutical Chemistry I  |                 |      |       |              |      |
|----------------------|---|-----------------|------|-------|--------------|------|
| 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 Theodosis-Nompelos, Dr Georgios Papagiouvannis  |                 |      |       |              |      |
| ECTS                 | 6   | Lectures / week | 3+1* | Labor | atories/week | 2    |
| Course Purpose       | The aim of this course is to introduce the pharmacochemistry of big groups<br>of pharmacomolecules from many viewpoints: nomenclature, synthesis,<br>properties, purity control, molecular mode of action, therapeutic uses, fate<br>in the body, structure-activity relationships. Therefore, the aim of the course<br>is to familiarize students to structure, correlation of structure with drug<br>action and duration of action. Another aim is to know the fate of the drug<br>molecule in the organism, by studying the pharmacochemistry of the<br>particular molecule. This knowledge helps considerably other subjects of<br>the Pharmaceutical Sciences, like Pharmacology, Toxicology and<br>Pharmacotherapeutics.  |                 |      |       |              |      |
| Learning<br>Outcomes | <ul> <li>By the end of this course, the students are expected to be able to:</li> <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 pharmacochemistry against diseases of modern society and diseases commonly seen in the population.</li> <li>Overall learning outcome</li> </ul> |                 |      |       |              |      |
| Prerequisites        | PHA211  |                 |      |       | Corequisites | None |

ΔΙΠΑΕ ΦΟΡΕΑΣ ΔΙΑΣΦΑΛΙΣΗΣ ΚΑΙ ΠΙΣΤΟΠΟΙΗΣΗΣ ΤΗΣ ΠΟΙΟΤΗΤΑΣ ΤΗΣ ΑΝΩΤΕΡΗΣ ΕΚΠΑΙΔΕΥΣΗΣ CYQAA THE CYPRUS AGENCY OF QUALITY ASSURANCE AND ACCREDITATION IN HIGHER EDUCATION



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



| ΔΙΠΑΕ<br>ΦΟΡΕΑΣ ΔΙΑΣΦΑΛΙΣΗΣ ΚΑΙ ΠΙΣΤΟΠΟΙΗΣΗΣ ΤΗΣ ΠΟΙΟΤΗΤΑΣ ΤΗΣ ΑΝΩΤΕΡΗΣ ΕΚΠΑΙΔΕΥΣΗΣ<br>THE CYPRUS AGENCY OF QUALITY ASSURANCE AND ACCREDITATION IN HIGHER EDUCATION |   |  |  |  |
|---|---|--|--|--|
|   | dihydropyridine derivative<br>Exercise 8: Calculation of the partition coefficient of ibuprofen between n-<br>octanol and water<br>Exercise 9: In silico prediction of important physicochemical properties and<br>BBB permeability of H1 antihistamines.<br>Exercise 10: Analysis of the crystal structure and the interactions of<br>Angiotensin Converting Enzyme (ACE) with the inhibitor captopril, using<br>Maestro 11 program.   |  |  |  |
| Teaching<br>Methodology   | Teaching methodology includes lectures on theoretical background, and<br>laboratory exercises to better apprehend the basic concepts of<br>Pharmaceutical Chemistry. The lesson uses PowerPoint presentations with<br>detailed notes in order to help students better understand biological and<br>chemical processes. Tutorials and case studies are included. Methods such<br>as discussion, questions/answers, pros/cons, brainstorming, debates, and<br>cooperative learning are used to enhance the student's participation.<br>Recent research results are included and discussed in the course. The<br>laboratory part is conducted in the Laboratory of Chemistry and<br>Pharmaceutical Chemistry with the proper infrastructure/equipment and<br>under the supervision of the professor. Appropriate preparation and<br>demonstration by the laboratory supervisor precedes each laboratory<br>exercise. Assessment of laboratory exercises is done based on laboratory<br>reports submitted by each student at the end of each lab exercise.  |  |  |  |
| Bibliography  | <ul> <li>Textbooks:</li> <li>«Μαθήματα Φαρμακευτικής Χημείας ΙΙ, ορμόνες και παράγωγα φάρμακα, μη στεροειδή αντφλεγμονώδη, φάρμακα καρδιαγγειακού συστήματος» Ν. Πουλή, Π. Μαράκος. Παρισιάνος 2018.</li> <li>Μαθήματα Φαρμακευτικής Χημείας Ι, Κατασταλτικά ΚΝΣ-ψυχοφάρμακα, αντιισταμινικά, βιταμίνες, αντιβακτηριακά φάρμακα. Ν. Πουλή, Π. Μαράκος. Εκδόσεις Παρισιάνος, 2018</li> <li>«An Introduction to Medicinal Chemistry», Patrick, Graham L. Oxford, 6<sup>th</sup> ed, 2017.</li> <li>«Οργανική Φαρμακευτική Χημεία, Βιταμίνες», Αθηνά Γερονικάκη, Σύγχρονη Παιδεία, 2012</li> <li>Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, Twelfth, North American edition, 12<sup>th</sup> ed. 2011.</li> <li>«Φαρμακευτική Χημεία, Ομάδες Χημειοθεραπευτικών και Φαρμακουναμικών φαρμάκων», Βασίλης Ι. Δημόπουλος, Θεσσαλονίκη, 2009</li> <li>References:</li> <li>Σημειώσεις Φαρμακευτικής Χημεία, Ορμόνες», Αθηνά Γερονικάκη, Ζυγός, 2005</li> <li>"Burger's Medicinal Chemistry and Drug Discovery" vol. 3-5, John Wiley &amp; Sons, 7<sup>th</sup> ed., 2010</li> <li>"Essentials of Medicinal Chemistry", A. Korolkovas, Wiley International Publications, John Wiley &amp; Sons, 2003.</li> </ul> |  |  |  |

| ΔΙΠΑΕ<br>ΦΟΡΕΑΣ ΔΙΑΣΦΑΛΙΣΗΣ ΚΑΙ ΠΙΣΤΟΠΟΙΗΣΗΣ ΤΗΣ ΠΟΙΟΤΗΤΑΣ ΤΗΣ ΑΝΩΤΕΡΗΣ ΕΚΠΑΙΔΕΥΣΗΣ<br>THE CYPRUS AGENCY OF QUALITY ASSURANCE AND ACCREDITATION IN HIGHER EDUCATION |   |  |  |  |
|---|---|--|--|--|
| Assessment  | Mid Term Exam and solving exercises in class<br>30% Lab Reports/Examination 20%<br>Final Examination 50%  |  |  |  |
|   | Course evaluation is done by:<br>(a) a written examination during the semester which examines specific<br>modules of the course and it accounts for 30% of the total grade<br>(b) laboratory reports during the semester, in which students present the<br>collected and analysed experimental data as well as their conclusions,<br>derived from theory and the experimental data. Together with lab written<br>exams on laboratory work, lab reports /lab examination account for a total<br>of 20% of the total score (60% of this concerns the laboratory reports and<br>40% the exam results)<br>(c) a final written examination which examines all modules of the course<br>material and it accounts for 50% of the total grade.<br>Students are prepared over the theoretical and practical background in the<br>classroom. Additional material and exercises are given to them for further<br>practice at home. For better comprehension of the subject, frequent<br>revisions are performed at regular time intervals. |  |  |  |
|   | Questions of gradual difficulty apply to the evaluation of the mid-term and<br>final examination. There may be multiple choice or right/wrong questions<br>with justification of the answers or issue analysis and problem solving<br>questions may be applied in order to evaluate the knowledge and<br>perception of the student on the subject.  |  |  |  |
|   | For the evaluation of laboratory exercise reports, the following criteria shall<br>be taken into account, with ratios varying according to the laboratory<br>exercise:<br>(a) data collection<br>(b) data analysis<br>(c) application of theory to draw conclusions   |  |  |  |
|   | The above criteria and assessment tools, as well as their weight, are<br>communicated to the students, and are formulated in such a way in order<br>to maximize the expected learning outcomes as well as the quality of the<br>course.   |  |  |  |
| Language  | Greek, English  |  |  |  |