

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



Course Title	Biopharmacy and Pharmacokinetics
Course Code	PHA301
Course Type	Compulsory
Level	BSc (Level 1)/ MPharm (Level 2)
Year / Semester	3 rd / 5 th Semester
Teacher's Name	Dr Panagiotis Theodosis-Nompelos, Dr George-Albert Karikas
ECTS	6 Lectures / week 3 Laboratories/week 2
Course Purpose	 The purpose of this course is to act as an introduction to the specific pharmaceutical - medicinal chemistry courses, to teach the causes of pathologic conditions and general properties of drug molecules. Other aims are to present some important characteristics of drugs, such as selectivity and the role of various chemical bonds developed in drug action. An important aim is the transfer of a sound knowledge of drug metabolism and the consequences on drug action and toxicity. Also, important are the issues of the chemical and molecular aspects of drug - drug and drug - food interactions, as well as of the pharmacodynamic and chemotherapeutic drugs as a concept. This course also teaches the students the three phases which are followed during drug action. The pharmacokinetic phase is particularly presented in details. Sites of loss are taught, particularly Drug Absorption, Distribution, Metabolism and Excretion (ADME): Absorption: Routes of drug administration, differences in absorption and consequence on the appearance of drug effects and drug potency. Distribution: Effect of drug-(blood) protein binding, types of binding, rules governing binding to proteins; the dislocation phenomenon; enterohepatic circulation and effect on drug activity. Metabolism: Site of metabolism, Phase I and II, importance of biotranformation, inducers and inhibitors of metabolism. Excretion: Urinary, biliary and other routes of elimination; rules governing the phenomenon of excretion. Aim is also the familiarisation of the students with some important pharmacokinetic and therapeutic bioavailability. By the end of this course, the students should be able to: 1) Classification of diseases, fate of drug-xenobiotics in the body, chemotherapeutics, interactions, bond formation-pharmacodynamic and chemotherapeutic drugs; Explain the fate of a drug in the body, its kinetics and, most important is metabolism.





	 Explain the molecular and chemical basis of drug interactions and the formation of various types of chemical bonds and the effects on the development of drug action
	 2) Pharmacokinetic phases, routes of administration, differences in duration and potency of pharmacological action (Pharmacodynamics) Analyse the three phases in the development of drug action; Explain in details the routes of per os, per annum, sublingual, parenteral (im, iv, sc, ip) administration, administration via the respiratory system, transdermal administration Describe the differences in the duration and potency of action
	 3) Distribution, protein binding, excretion, physicochemical and pharmacokinetic parameters Analyse the phenomenon of drug distribution and that of plasma protein binding, nature of these phenomena as well as the phenomenon of drug dislocation by another drug and effects connected with it. Further, which drugs are extensively bound and consequences in drug interactions; Analyse how drugs are excreted and routes of excretion, influence of the urine pH, pKa of the drug, lipophilicity of the drug molecules, binding with plasma proteins.
	 Apply some of the important pharmacokinetic parameters, e.g. volume of distribution, t¹/₂, C_{max}, AUC, biodisposition. Role of polymorphisms in metabolism Role of pharmacogenetics in personalized medicine
	Overall learning outcome Describe the basic classification and causes of major diseases, the pharmacodynamics and kinetics of the drug in the body (absorption, distribution, elimination metabolism), interactions with other drugs, routes of administration and release, protein and physicochemical bindings, pharmacokinetic parameters and their relationship to the development of pharmacological action, with aim the better usage of medicines in humans
Prerequisites	PHA211 Corequisites None PHA207
Course Content	Chemical introduction on drug action and xenobiotic behaviour.
	Brief historical introduction to drug development and applications. Impact of drugs on health and disease.
	Differences and similarities between food and drugs. General characteristics of drug molecules-Xenobiotics.
	Chemical bonds, drug properties and drug action. Covalent, coordinated bonds, coulombic forces, hydrogen bond, van der Waals forces, lipid interactions.
	Principles of selectivity based on differences in morphology, biochemistry and distribution.

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Drug excretion, elements of drug absorption, distribution and excretion.

Drug metabolism. Objectives, evolution, site, chemical nature of structural changes.

Oxidation, reductions, hydrolyses and other phase I biotransformations. Conjugations with glucuronic acid, glycine, sulphate, glutathione, and other phase II biotransformations.

Molecular biology of cytochromes P450. P450 induction and inhibition. Biodetoxification, Biotoxication. Drug metabolism and drug stereochemistry.

Molecular aspects of drug-drug and drug-food interactions. Consequences of interactions, applications in therapy, elements of drug design and development.

The phases of drug action. The pharmaceutical phase. Pharmacodynamic/Pharmacokinetic correlations

The pharmacokinetic phase. Sites of loss. Absorption, distribution, metabolism and excretion of drugs (ADME).

Mechanisms and factors involved in these phenomena: Sites and mechanisms of absorption, distribution of drugs in the body and the rules covering this phenomenon

Enterohepatic circulation, (blood) protein-drug binding, types of binding, rules governing binding, the phenomenon of dislocation, therapeutic applications.

Excretion of drugs, urinary, biliary, other (saliva, sweat, milk, tears, sperm).

Pharmacokinetic parameters, volume of distribution, biological half life, maximum plasma concentration, area under the curve, biodisposition. Pharmacokinetic and therapeutic bioavailability.

Role of urinary pH, of pKa of drugs and of their molecular weight. The third phase is the pharmacodynamic phase.

Non linear pharmacokinetics

Pharmacogenetics, pharmacogenomics, personalized medicine

Child dosology. Newborn pharmacokinetics.

Drug/food/plants interactions

Clinical pharmacokinetics

methods

Laboratory experiments/exercises:

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 are: study of the pharmacokinetics of pharmaceutical compounds within the human body (absorption, distribution, metabolism, excretion), experimental approximation of cell membrane permeability, as well as the calculation of important pharmacokinetic parameters.



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	 Exercise 1 and 2: In silico pharmacokinetic behavior and membrane transportation analysis of pharmaceutical compounds Exercise 3: In silico prediction of Phase I and II drug metabolites Exercise 4: Effect of urinary pH on the excretion of drugs (weak electrolytes) Exercise 5: Calculation of the partition coefficient of acetylsalicylic acid between n-octanol and water Exercise 6: Experimental determination of osmosis and diffusion of solutes in tissue Exercise 7: Determination of drug in urine, saliva or blood Exercise 8: Calculation of key pharmacokinetic parameters from in vitro and in vivo data. Exercise 9: Determination of inhibition of enzymes function by heavy metals
Teaching Methodology	Teaching methodology includes lectures, case studies and problem solving tutorials to offer the theoretical background and laboratory exercise in order to better understand some of the concepts of Pharmacokinetics. Detailed notes with PowerPoint are used in the lesson. Image-rich material and short animations are used to comprehend some biological processes. Tutorials and case studies are also included. Methods such as discussion, questions/answers, pros/cons and debates are used to enhance student's participation. Recent research findings are included in the course content. The laboratory part of the course is conducted in the Pharmaceutical Lab with the appropriate preparation and demonstration by the laboratory supervisor is preceded each laboratory exercise. Assessment of laboratory exercises is done by submission of laboratory reports or filling out special forms / questionnaires for each student.
Bibliography	Textbooks:
	 «Επίκαιρα Θέματα Φαρμακολογίας», Μ. Βενετίκου, Γ.Α.Καρίκας, Γ. Ιατράκης, 2η Εκδοση, Εκδόσεις Ζεβελεκάκη, Αθήνα 2020 «Θέματα Φαρμακοκινητικής», Γ.Α.Καρίκα, Frederick University, 2019 "Applied Biopharmaceutics and Pharmacokinetics. J. Shargel, A.B.C.
	Yu, 7 th edition, McGraw-Hill, 2015.
	4) «Εισαγωγή στη Φαρμακοχημεία», Π.Ν. Κουρουνάκης, Ε.Α. Ρεκκα,
	Θεσσαλονίκη 2014.
	5) «Κλινική Φαρμακολογια και θεραπευτική», G.A. MckayJ.L. Reid, M.R. Walter, Εκδόσεις Παρισιάνου, 2014
	 5) «Κλινική Φαρμακολογία και θεραπευτική», G.A. MckayJ.L. Reid, M.R. Walter, Εκδόσεις Παρισιάνου, 2014 6) Η φαρμακοκινητική με απλά λόγια. D.J. Birkett, Εκδόσεις Παρισιάνου,
	 5) «Κλινική Φαρμακολογία και θεραπευτική», G.A. MckayJ.L. Reid, M.R. Walter, Εκδόσεις Παρισιάνου, 2014 6) Η φαρμακοκινητική με απλά λόγια. D.J. Birkett, Εκδόσεις Παρισιάνου, 2010
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	 5) «Κλινική Φαρμακολογία και θεραπευτική», G.A. MckayJ.L. Reid, M.R. Walter, Εκδόσεις Παρισιάνου, 2014 6) Η φαρμακοκινητική με απλά λόγια. D.J. Birkett, Εκδόσεις Παρισιάνου, 2010 7) Φαρμακογονιδιωματική και Πρωτεινωματική. S.H.Y. Wong, M.W. Linder, R. Valdes, Jr. Εκδόσεις Παρισιάνου, 2006
	 5) «ΚΛινική Φαρμακολογία και θεραπευτική», G.A. MckayJ.L. Reid, M.R. Walter, Εκδόσεις Παρισιάνου, 2014 6) Η φαρμακοκινητική με απλά λόγια. D.J. Birkett, Εκδόσεις Παρισιάνου, 2010 7) Φαρμακογονιδιωματική και Πρωτεινωματική. S.H.Y. Wong, M.W. Linder, R. Valdes, Jr. Εκδόσεις Παρισιάνου, 2006 References: 8) "Burger's Medicinal Chemistry and Drug Metabolism", vol. 2, Wiley Interscience, 2003. 9) "Introduction to Drug Metabolism", 3rd ed., G. Gordon Gibson, P. Skett,

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	10) «Βιοφαριμακειμτική» Π. Μαναίρας Χ. Ρέππας 21 έκδραρ. ΓΑ	
	Γκελμπέσης, 1997	
Assessment	Mid Term Exam 30% Lab Reports 20% Final Examination 50%	
	Course evaluation is done by: (a) a written examination during the semester which examines specific modules of the course and it accounts for 30% 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, and it accounts for 20% of the total score (c) a final written examination which examines all modules of the course material and it accounts for 50% of the total grade. Students are prepared for the above written exams over the theoretical and practical background in the classroom and with additional exercises given to them for further practice. For the better comprehension of the subject frequent revisions are performed at regular intervals.	
	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.	
	For the evaluation of laboratory exercise reports, the following criteria shall be taken into account, with ratios varying according to the laboratory exercise: (a) data collection (b) data analysis (c) application of theory to draw conclusions	
	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.	
Language	Greek, English	