Syllabus: PHARMACEUTICAL CHEMISTRY I

Code number:
48
Cycle:
Undergraduate
Semester:
5th semester

Course type

X	Background/General knowledge
	Scientific area (pharmacy)

Credit Units (ECTS):
6.5
Lectures (hours/week):
3
Tutorial (hours/week):
-
Laboratory work (hours/week):
2
Course coordinator:
Vasilis Demopoulos, Professor

Tutor (s):
Vassilis Demopoulos, Professor
Room 408A & 409B, 4th floor Biology/Pharmacy building.
Collaboration with students: Every day 1-2 pm.
Communication: e-mail (vdem@pharm.auth.gr)

Eleni A. Rekka, Professor
Room 409, 4th floor Biology/Pharmacy building.
Collaboration with students: Every day.
Communication: email (rekka@pharm.auth.gr)

Assisting personnel:
Dr. Antony Gavalas, ΕΔΙΠ

Aims of the course: The aim of this course is to act as an introduction to chemistry of vitamins as well as to the specific pharmaceutical - medicinal chemistry courses, to teach the causes of pathologic conditions and general

properties of vitamins and 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 role of xenobiotics and vitamins in human organism as well as drug metabolism and the consequences on drug action and toxicity. Also important are the issues of the pharmacodynamic and chemotherapeutic drugs as a concept, general anaesthetics, as well as the chemical and molecular aspects of drug - drug and drug - food interactions.

Skills:

By the end of this course, the students should be able to:
Know the basic classification and causes of important diseases;
Know the characteristics of vitamins as well as of pharmacodynamic and chemotherapeutic drugs;
Know the characteristics of a satisfactory drug molecule, such as selectivity, potency, toxicity;
Have a good knowledge of the fate of a drug, including vitamins, in the body, its kinetics and, most important, its metabolism, as well as the phenomenon of xenobiotic metabolism from various aspects;
Know the formation of various types of chemical bonds and the effects on the development of drug action;
Have a good knowledge of the molecular and chemical basis of drug interactions.

Teaching methods: Lectures and laboratory work. The material is covered by textnotes and laboratory notes.

Contents of the course: Introduction. Pharmacochemical studies of vitamins. Synthesis/isolation, properties, action, structure-activity relationships usage of water soluble vitamins (ascorbic acid, thiamine, niacin, folic acid), and oil soluble vitamins (vitamins D, E and K). Hyper/hypovitaminosis. Metabolism. Interractions. Rellated drugs [sulfanilamides, isoniazide, NSAIDs (acidic and non-acidic), antithrombotic coumarins]. Biomimetic reactions.

A chemical introduction on drug action and xenobiotic behaviour. Impact of drugs on health and disease. Differences and similarities between food and drugs.

General characteristics of drug molecules-Xenobiotics. General anaesthetics. Chemical bonds, drug properties and drug action. Covalent, coordinated bonds, coulombic forces, hydrogen bond, van der Waals forces, lipophilic interactions.

Principles of selectivity based on differences in cytology, biochemistry and distribution.

Ways of drug loss, elements of drug absorption, distribution and excretion.

Drug metabolism. Objectives, evolution, site, chemical nature of structural changes. Oxidations, reductions, hydrolyses and other phase I biotransformations. Conjugations with glucuronic acid, glycine, sulphate, glutathione, and other phase II biotransformations. Structure and function of cytochromes P450. P450 induction and inhibition. Biodetoxication, 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.

Proposed literature:

1. “Vitamins”, 2005 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim, ISBN 10.1002/14356007.a27 443

2. Joseph J. Cannon “Pharmacology for Chemists”, Oxford University Press, 2007, ISBN-10: 0841239274.

3. R.B. Silverman “The Organic Chemistry of Drug Design and Drug Action”, 2nd ed., 2004, Academic Press.

4. J.P. Uetrecht, W. Trager “Drug Metabolism: Chemical and Enzymatic Aspects: Textbook Edition”, 2007, Informa Healthcare.

Educational activities: Lectures, discussion with the students in every lecture, problem solving and practical work in the laboratory.

Evaluation process and methods: Written examination at the end of the semester. The evaluation process is based on questions that the students are asked to answer based on their knowledge obtained from the lectures as well as on the critical thinking and ability to combine, evaluate and handle the acquired knowledge and information.

The duration of the examination is 3 hours.

The examination at the end of the semester is performed at dates, time and place arranged by the department.

During the laboratory work, students hand over a report of their results and are evaluated. At the end of the laboratory work, there is a written examination on this. Successful termination of the laboratory course permits their participation to the final examination.

At the examination of the course, each tutor gives out separate exam forms.

To compute the final grade, the grade given by each tutor is randomly varied each exam period.

Final grade is calculated by addition of the course exam grade (80%) and the lab grade (20%).

Example: Supposing a course, where tutor A gives 60% of the grade in a given exam period and tutor B 40%, the final grade is calculated by the following formula:

$$F.G.= 0.8(a+b) +0.2c,$$

Where, a the grade given by tutor A (in a scale of 0-6), b the grade given by tutor B (in a scale of 0-4), c the lab grade (the average of the lab book grade and the lab exam grade).

Use of ΤΠΕ / Electronic distribution of the lectures

Lectures, notes, statements etc are presented in the website: http://users.auth.gr/vdem/

Teaching: Teaching of this course is accomplished through lectures and laboratory work.

A) **Lectures**. Lectures (39 total, 3 hours per week) are given in the lecture room Δ12.

Lecture	Title	Tutor
1-3	Introduction/definition of vitamins. Folic acid and derived coenzyme. Physicochemical properties, chemical stability, absorption, deficiency, supplementation, toxicity, and synthetic strategy in preparing folic acid. Sulfanilamides as related drugs.	V. Demopoulos
4-5	Structure/physicochemical properties and activity relationships of sulfanilamides. Synthetic strategy, chemical quantitative analysis, and their metabolism.	V. Demopoulos
6-8	Niacin and derived coenzymes. Physicochemical properties, chemical stability, absorption, deficiency, supplementation, toxicity, and synthetic strategy in preparing niacin. Isoniazid as a related drug.	V. Demopoulos
9-12	Ascorbic acid and α-Tocopherol as free radical scavengers. Physicochemical properties, chemical stability, absorption, deficiency, supplementation, toxicity, synthetic strategy in preparing ascorbic acid and α-tocopherol. NSAIDs as related drugs. Chemical quantitative analysis and biomimetc reaction of ascorbic acid.	V. Demopoulos
13-15	NSAIDs (acidic and non-acidic). Structure/physicochemical properties and activity relationships, synthetic strategy, chemical quantitative analysis, and their metabolism.	V. Demopoulos
16-18	Vitamin K and Thiamine. Their coenzymes. Physicochemical properties, chemical stability, absorption, deficiency, supplementation, toxicity, and synthetic strategy in preparing vitamin K and thiamine..	V. Demopoulos
19-20	Biomimetic reaction and chemical quantitative analysis of thiamine. Coumarines as anticoagulants in relationship to vitamin K.	V. Demopoulos
21	Chemical aspects of drug action and xenobiotic behaviour. Differences and similarities between food and drugs.	E. Rekka
22-25	General characteristics of drug molecules-Xenobiotics. General anaesthetics. Chemical bonds, drug properties and drug action. Covalent, coordinated bonds, coulombic forces, hydrogen bond, van der Waals forces, lipophilic interactions.	E. Rekka
26-29	Principles of selectivity based on differences in cytology, biochemistry and distribution. Examples from drugs, explanation of their mode of action.	E. Rekka
30-32	Xenobiotic metabolism: Oxidations, reductions, hydrolyses and other phase I biotransformations.	E. Rekka
33-35	Xenobiotic metabolism: Conjugations with glucuronic acid, glycine, sulphate, glutathione, and other phase II biotransformations. Structure and function of cytochromes P450. P450 induction and inhibition.	E. Rekka

36-37	Biodetoxication, Biotoxication. Drug metabolism and drug stereochemistry.	E. Rekka
38-39	Molecular aspects of drug-drug and drug-food interactions, applications. Review exercises.	E. Rekka

C) Laboratory work

Students must perform laboratory work (2 hours per week).

ATTENTION! The students who want to attend the lab have to fill out a participation form before the beginning of the semester at the Laboratory of Pharmaceutical Chemistry. There is an announcement, calling students to fill out the participation forms at the announcement board of the Laboratory of Pharmaceutical Chemistry.

Laboratory	**Title**	**Tutor**
1-5	General introduction to the laboratory, and quantitative determination of sulfanilamide.	V. Demopoulos
6-9	Detection of N, S and Cl in organic compounds	V. Demopoulos
10-13	Quantitative determination of aspirin	V. Demopoulos
14-17	Quantitative determination of ascorbic acid	V. Demopoulos
18-21	Quantitative determination of procaine hydrochloride under anhydrous conditions	V. Demopoulos
22-26	Thin Layer Chromatography of common drugs, and delivery of the laboratory report	V. Demopoulos