SUBJECT GUIDE

Academic year 2016-2017

NAME OF THE SUBJECT
Pharmaceutical Chemistry I

DATE OF APPROVAL BY THE DEPARTMENT COUNCIL			20-12-2016		
MODULE	**CONTENT**	**YEAR**	**TERM**	**CREDITS**	**TYPE**
Chemistry	Pharmaceutical Chemistry	3º	2º	6	Compulsory

LECTURER(S)

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Faculty of Pharmacy
Campus Universitario de Cartuja
18071 Granada

TUTORSHIPS

- Miguel Ángel Gallo: Tuesday, Thursday; 9.30-12.30 h
- Encarnación Camacho: Monday, Tuesday, Thursday; 8.30-11.30 h
- Mónica Díaz: Tuesday, Wednesday, Thursday; 11.00-13.00 h
- Francisco Franco: Tuesday, Wednesday, Thursday; 11.00-13.00 h
- Mª José Pineda: Monday, Wednesday, Thursday; 10.30-12.30 h
- L. Carlota López: Monday, Wednesday, Thursday: 10.30-12.30 h

DEGREE WITHIN WHICH THE SUBJECT IS TAUGHT

Degree in Pharmacy

PREREQUISITES and/or RECOMMENDATIONS (if necessary)

The students should have studied the following subjects:
- Organic Chemistry I and II
- Biochemistry
- Pharmacology
- Pharmaceutical Chemistry I

BRIEF ACCOUNT OF THE SUBJECT PROGRAMME (ACCORDING TO THE DEGREE)

Design, synthesis and drug analysis

GENERAL AND PARTICULAR ABILITIES

General abilities: CG 1
Particular abilities: CEM 1.1, CEM 1.3, CEM 1.4, CEM 1.9 and CEM 11

OBJECTIVES (EXPRESSED IN TERMS OF EXPECTED RESULTS OF THE TEACHING PROGRAMME)

The student should learn, know and understand:

- The theoretical content included in the subject
- The necessary operations in a laboratory of organic synthesis for the synthesis and isolation of drugs, and their analysis and structural determination (spectroscopical and chemical methods).
- The necessary abilities for drug design, use of molecular models and drawing structures with the computer

DETAILED SUBJECT SYLLABUS

Chapter 1. Concepts in Pharmaceutical Chemistry.

Basic concepts and aims of Pharmaceutical Chemistry. From biological raw material to drug. Drug and medicine. Relationships between Pharmaceutical Chemistry and other sciences. Patents.

Chapter 2. Classification and nomenclature of drugs.

Nomenclature of drugs: types. Systematic Nomenclature: IUPAC rules. International Nonproprietary Name (INN). Others.

Chapter 3. Search of lead compounds.

Traditional discovery of new drugs: major procedures. Drug discovery today. Stages in the development of a drug.

Chapter 4. Optimization of lead compounds.

Qualitative structure-activity relationships: structural modification as an optimization tool. Biologically exchangeable groups: bioisosteres. Generalization of the concept: peptidomimetics.

Chapter 5. Biological targets and drugs receptors.

Biological targets and receptors: drug-receptor interactions and molecular recognition. Stereochemistry of drugs. Affinity and efficacy of a drug. Pharmacophore group concept.

Chapter 6. Drug metabolic processes: other methodologies in the discovery of new drugs.

Phase I metabolic processes of drugs. Phase II metabolic processes of drugs. Use in drug discovery. Prodrug concept, hard drugs and soft drugs. Bioreversible drugs design, bioprecursors and molecular transporters.

Chapter 7. Quantitative Drug Design: parameters and quantitative structure-activity relationships.

Introduction to quantitative structure-activity relationships. Parameters used in QSAR. Introduction to molecular modeling. Other techniques used in new drugs design.

Chapter 8. Enzymatic inhibition: Inhibitors of cell wall biosynthesis.

Enzymatic inhibition as a source of new drugs. Structure of β-lactam antibiotics as peptidoglycan inhibitors biosynthesis. Preparation of 6-APA and 7-ACA. Semisynthetic β-lactam compounds: penicillins and cephalosporins. Introduction to drug analysis. Penicillins and cephalosporins structural recognition methods.

Chapter 9. Enzymatic inhibition: Other antibacterial agents.

Sulfonamides: Origin, acidity and structure-activity relationships. Other related sulfonamides and analogues. Others antibacterial drugs.

Chapter 10. Enzymatic inhibition: antitumor and antiviral drugs.

Structure and synthesis of purines and pyrimidines antitumor analogs. Structure and synthesis of antiviral drugs. Other synthetic antitumor drugs.

PRACTICAL WORK

Practice 1. Phenytoin synthesis.
Practice 2. Caffeine and theophylline synthesis.

READING

BASIC BIBLIOGRAPHY:

1. J. Campos Rosa y M.E. Camacho Quesada. Química Farmacéutica I. Ed. Universidad de Granada, 2013

2. C. Avendaño. Introducción a la Química Farmacéutica. Ed. Interamericana-McGraw-Hill. (2ª Ed.) Madrid 2001.
3. W. O. Foye. Principios de Química Farmacéutica. Ed. Reverté. Barcelona. 1988. (7ª Ed. en inglés: Lea and Febiger. Filadelfia. 2013).
4. Korolkovas. Fundamentos de la Química Farmacéutica. Ed. Reverté. Barcelona 1978. (Ed. En inglés: Wiley. Nueva York. 1988).
5. A. Delgado y col. Introducción a la Química Terapéutica. Ed. Díaz de Santos. (2ª Ed.) Barcelona 2003.
6. S. Cuéllar. Introducción a la Química de los Medicamentos. Ed. CGCF. Madrid 1999.
7. T. Nogrady. Medicinal Chemistry. A Biochemical Approach. Ed. Oxford University Press. Oxford 1988.
8. G. L. Patrick. An Introduction to Medicinal Chemistry. Ed. Oxford University Press. Oxford, 2013.
9. E. Raviña Rubira. Medicamentos Un viaje a lo largo de la evolución histórica del descubrimiento de fármacos. Ed. Universidad de Santiago de Compostela. 2008.

COMPLEMENTARY BIBLIOGRAPHY:

1. D. Lednicer. Organic Chemistry of Drug Synthesis. Vols. 1-6. Ed. Wiley. New York 1977-1999.
2. D. Mauleón y A. Delgado. Nomenclatura química sistemática de los fármacos. Ed. PPU. Barcelona 1987.
3. C. Avendaño. Ejercicios de Química Farmacéutica. Ed. Interamericana-McGraw-Hill. Madrid 1997.
4. P. Camps García. Fundamentos de síntesis de fármacos. Ed. Universidad de Barcelona. 2005.

EVALUATION (EVALUATION CRITERIA)

GENERAL CRITERIA:

1. The evaluation will be based on exams and personal work made by the student along the semester.
2. Evaluation methods will be established by the instructor/instructors of the subject, at the beginning of the academic year and according to the guidelines below (see Tables 1 and 2).
3. During the evaluation process the student must show a minimum and uniform knowledge of all the questions evaluated. Exceptionally, the teacher could ask for an additional and supplementary oral exam to justify the student knowledge.
4. Link to Criteria for Students Evaluation (UGR):
   https://goo.gl/uHfqJy

   Year-long evaluation:
   - Evaluation methods in Table 1 are applicable to year-long evaluation. The mid-term exam will not be qualifying. The final exam will be compulsory and it will be indispensable requirement to pass it with a minimum mark of 5. The final mark of the subject will be calculated from the marks obtained in the partial exam, the final mandatory exam and any other evaluation method from Table 1 that the instructor/instructors had considered at the beginning of the term.
   - The practical lessons are mandatory to pass the subject. The student MUST ATTEND ALL the practical lessons and pass the corresponding exam.
   - Calls to the practical lessons must be attended by all substitute students at the date and time specified in the call. Students with improperly justified absence during the call will not be call again.
   - None of the passed exams will be saved for following academic years or for the September exams.

Approved practical lessons will not be saved for the next academic year, neither for the special examination in September.

One-time evaluation:

- Students can apply for one-time evaluation in case of employment related reasons, health issues, incapacity or any other suitably documented reason that might prevent the compliance of year-long evaluation requirements.
- Application period for one-time evaluation and application procedure are established by the Criteria for Students Evaluation (see link above).
- Students under one-time evaluation must pass a theoretical examination and a laboratory practical examination according to what is described in paragraph 3.

Extraordinary examination:

- Extraordinary examination will be possible for those students who failed to pass the subject in the ordinary examination (year-long or one-time evaluation modalities).
- Students under extraordinary evaluation must pass a theoretical examination and a laboratory practical examination according to what is described in paragraph 3.

Table 1. Evaluation methods and significance in the final mark.

LEARNING OUTCOMES	EVALUATION	% MARKING
Final exam	SE.1, SE.2, SE.3 and SE.4	70
Mid-term exam	SE.1, SE.2, SE.3 and SE.4	15-30
Laboratory classes, elaboration and exposition of homework	SE.7, SE.8, SE.9, SE.10, SE.5, SE.11, SE.12 and SE.15	0-15
Class attendance	SE.15	0-5

The values in % of the markings will be set at the beginning of the course by the instructor/instructors of the subject.

Table 2. Codes for the evaluation methods.

EVALUATION METHODS	
SE.1 Long answer written exam	SE.9 Oral examination on laboratory lessons
SE.2 Short answer written exam	SE.10 Elaboration of laboratory notebook
SE.3 Multiple-choice written exam	SE.11 Elaboration of group homeworks
SE.4 Oral exam	SE.12 Elaboration of individual homeworks
SE.5 Exposition of homework	SE.13 Self-assessment
SE.6 Exposition of theory chapters	SE.14 Field tests
SE.7 Practical examination on laboratory lessons	SE.15 Attendance
SE.8 Written examination on laboratory lessons	

RECOMMENDED INTERNET LINKS

Chemistry Dictionary
ChemistryGuide
IUPAC Nomenclature of Organic Chemisty
Journal of European Medicinal Chemistry
Journal of Medicinal Chemistry
Journal of Organic Chemistry
Journal of the American Chemical Society
Nature
Organic & Biomolecular Chemistry
Science
Departamento de Química Farmacéutica y Orgánica

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