SUBJECT GUIDE

Academic year 2014-2015

NAME OF THE SUBJECT
Pharmaceutical Chemistry I

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Pharmaceutical Chemistry	3º	2º	6	compulsory

LECTURER(S)	
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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.

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.

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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