SUBJECT GUIDE Academic year 2014-2015

Pharmaceutical Chemistry II

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Pharmaceutical Chemistry	4º	1º	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 1.5, CEM 1.9 and CEM 1.11

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. Acetylcholine

Concept of neurotransmitter. Acetylcholine and cholinergic receptors. Design of muscarinic agonists: SAR. Muscarinic and nicotinic antagonist: Design and SAR. Structure of anticholinesterase drugs. Synthesis of representative drugs.

Chapter 2. Noradrenaline

Drugs acting as false neurotransmitters. Drugs affecting the uptake and storage of neurotransmitters into vesicles. Adrenergic agonists and antagonists. General synthesis for phenylethylamines, phenylpropylamines and aryloxypropanolamines. Methods of structural recognition of catecholamines.

Chapter 3. Dopamine

Structure and drug types that act on dopamine receptors. Dopamine agonists and their terapheutical use. Dopamine antagonists: structure families and structure-activity relationships. Antiemetic ortopramide structures.

Chapter 4. Serotonine and biogenic amine reuptake inhibitors

SAR and synthesis of inhibitors of the biogenic amine reuptake and serotonine. MAO and COMT inhibitors. Serotonine agonists and antagonists: design, synthesis and SAR.

Chapter 5. Amino acids as neurotransmitters

Drugs acting on GABA and glutamate receptors. Benzodiazepines: structures, SAR and synthesis. Methods of structural recognition. Drugs that interact through other areas of the GABA receptor.

Chapter 6. Peptides as neurotransmitters: narcotic analgesic drugs

Drugs acting on opioid receptors: Pharmacophore. Endogenous peptides and analoges. Morphine and related compounds. Morphine structure-activity relationships and its derivatives and/or analoges.

Chapter 7. Histamine and antihistamine derivatives

Tautomeric forms and conformational aspects of histamine. Drugs acting on histamine receptors. Synthesis and SAR of H_1 antihistamines. Synthesis and SAR of H_2 antihistamines. Comparison between both types of antagonists.

Chapter 8. Pharmacodynamic enzymatic inhibitors I

Stucture and SAR of carbonic anhydrase inhibitors and related drugs. IECAS development. Synthesis of analoges.

Chapter 9. Pharmacodynamic enzymatic inhibitors II

Phospholipase A2 and arachidonic acid metabolism inhibitors. NSAIDs: Classification, structures and selectivity. Development of selective cyclooxygenase-2 inhibitors. Synthesis of prototypes.

Chapter 10. Design of drugs acting on the transport through cellular membranes

Structure and SARs of drugs acting on ion channels: local anesthetics, antiarrhythmic and anticonvulsant agents. Structure of drugs that regulate the calcium channels: DHP. SAR and synthesis. Others.

PRACTICAL WORK

Practice 1. Multi-step synthesis and structural elucidation of propranolol
Practice 2. Synthesis and structural elucidation of nifedipine

READING

BASIC BIBLIOGRAPHY:

1. J. Campos Rosa y M.E. Camacho Quesada. Química Farmacéutica II. 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