

## Pharmaceutical Chemistry II

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Pharmaceutical Chemistry	4º	1º	6	compulsory
<b>LECTURER(S)</b>			Department of Pharmaceutical and Organic Chemistry Faculty of Pharmacy Campus Universitario de Cartuja 18071 Granada		
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<b>DEGREE WITHIN WHICH THE SUBJECT IS TAUGHT</b>					
Degree in Pharmacy					
<b>PREREQUISITES and/or RECOMMENDATIONS (if necessary)</b>					
The students should have studied the following subjects: <ul style="list-style-type: none"> <li>- Organic Chemistry I and II</li> <li>- Biochemistry</li> <li>- Pharmacology</li> <li>- Pharmaceutical Chemistry I</li> </ul>					
<b>BRIEF ACCOUNT OF THE SUBJECT PROGRAMME (ACCORDING TO THE DEGREE )</b>					
Design, synthesis and drug analysis					
<b>GENERAL AND PARTICULAR ABILITIES</b>					
General abilities: CG 1 Particular abilities: 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 therapeutic 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 serotonin. 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 analogues. Morphine and related compounds. Morphine structure-activity relationships and its derivatives and/or analogues.

### **Chapter 7. Histamine and antihistamine derivatives**

Tautomeric forms and conformational aspects of histamine. Drugs acting on histamine receptors. Synthesis and SAR of H<sub>1</sub> antihistamines. Synthesis and SAR of H<sub>2</sub> antihistamines. Comparison between both types of antagonists.

### **Chapter 8. Pharmacodynamic enzymatic inhibitors I**

Structure and SAR of carbonic anhydrase inhibitors and related drugs. IECAS development. Synthesis of analogues.

### **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 Chemistry  
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](#)

