

DRUG ADVANCED CHEMISTRY

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Drug Advanced Chemistry	5º	1º	6	Optional
LECTURER(S)			Postal address, telephone nº, e-mail address		
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DEGREE WITHIN WHICH THE SUBJECT IS TAUGHT					
PHARMACY					
PREREQUISITES and/or RECOMMENDATIONS (if necessary)					
The students should have a strong background in: <ul style="list-style-type: none"> □ Organic Chemistry □ Pharmaceutical Chemistry 					
BRIEF ACCOUNT OF THE SUBJECT PROGRAMME (ACCORDING TO THE DEGREE ¿??)					
Synthesis of advanced chemical entities related to drugs					
GENERAL AND PARTICULAR ABILITIES					
<p>A. General abilities: CG1 Identification, design, synthesis and analysis of drugs and the corresponding intermediates.</p> <p>B. Specific abilities: CEM1.3 The use of standard organic chemistry protocols including the use of organic synthetic equipment and analysis equipment. CEM1.4 Evaluate the risks concerning the manipulation of chemicals and protocols. CEM1.5 Acquire the knowledge of the chemical properties for substances used during drug production. CEM1.9 Analysis and control of drugs and related products.</p>					



CEM1.11 Increase the knowledge and applicability of structural technics such as spectroscopy.

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

The student should increase the knowledge of the synthesis of chemical moieties related to drugs, focusing in the following main topics:

- Strategies for the synthesis of valuable intermediates during drug preparation.
- The use of enzymes in the preparation of valuable intermediates during drug synthesis.
- Separation and separation of enantiomers.

DETAILED SUBJECT SYLLABUS

THEORETICAL TOPIC:

TOPIC 1. General aspects

Concepts. Interests of the pharmaceutical industry. Less R & D investment.

PART 2: SYNTHETIC DEVELOPMENT OF DRUGS

TOPIC 2. Synthetic drug strategies

Introduction to disconnections. Definitions. Rules for getting a good disconnect. Disconnections from one functional group. Disconnections from two functional groups. Heteroatoms and heterocyclic compounds. Synthesis strategies: Linear and convergent synthesis. Bibliography.

TOPIC 3. The chirality in the industry: an overview

Introduction. The tragedy of thalidomide. Fundamental concepts and stereochemical terms. Enantiotopic and diastereotopic groups. Prochirality. Stereoselective and stereospecific reactions. Importance of chirality in therapeutics. General strategies for obtaining optically pure compounds. Its importance in the synthesis of drugs. Bibliography.

TOPIC 4. Combinatorial chemistry

Introduction. Principles of Combinatorial Synthesis. Strategies used in combinatorial synthesis. Solid-phase organic synthesis. Synthesis in liquid phase. Bibliography.

TOPIC 5. Peptide synthesis

Introduction. Protecting groups. Activating groups: Formation of the peptidic bond. Synthesis of solid-phase peptides. Synthesis of peptides by biological methods. Synthesis of peptidomimetics. Bibliography

TOPIC 6. Industrial scaling up

Scaling up. Industrial production of 6-APA and 7-ACA. Obtaining of cephalosporins in ICI laboratories (Imperial Chemical Industries). Obtaining an H₂ blocker. Bibliography.

PART 3: SYNTHESIS OF HIGH ADDED VALUE DRUGS WITH CARBOCYCLIC AND HETEROCYCLIC RINGS

TOPIC 7. Derivatives of benzoic acid and its substituted analogues

Introduction. Fenacs. Profens. Alternative to the Willgerodt-Kindler reaction: McKillop reaction. Naproxen.



Bibliography.

TOPIC 8. Preparation of heterocyclic drugs with a pentagonal ring

Introduction. Heteroatom systems: furans, pyrroles and thiophenes. Systems with two heteroatoms: oxazoles and isoxazoles, pyrazoles, imidazoles, thiazolic derivatives and reduction products. Bibliography.

TOPIC 9. Preparation of heterocyclic drugs with hexagonal ring

Introduction. Derivatives with a heteroatom: pyridines and piperidines. Drugs containing hexagonal heterocycles with various heteroatoms: pyrazines, pyrimidines, piperazines and morpholine. Bibliography.

TOPIC 10. Preparation of drugs with condensed heterocycles

Introduction. Five-membered rings condensed with benzene. Six-membered rings condensed with benzene. Seven-membered rings condensed with benzene: Benzodiazepines. Bibliography.

EXPERIMENTAL WORK:

1. Synthesis and analysis of (*R,S*)- and (*S*)-ibuprofen.
2. Latentization del sulphathiazole.

READING

- E. Camacho y J.M. Campos. Química Fina Farmacéutica. Editorial Universidad de Granada, 2008.
- C. Avendaño. Introducción a la Química Farmacéutica. Interamericana-McGraw-Hill, 2ª edición, 2001.
- A. Delgado, C. Minguillón, J. Joglar. Introducción a la Síntesis de Fármacos. Editorial Síntesis S. A., Barcelona, 2003.
- A. N. Collins, G. N. Shelldrake y J. Crosby (eds.). Chirality in Industry. John Wiley & Sons, Chichester, 1992.

RECOMMENDED INTERNET LINKS

[Chemistry Dictionary](#)
[Chemistry Guide](#)
[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](#)

