

Advanced Chemistry of Drugs

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Advanced Chemistry of Drugs	5°	1°	6	Optional
LECTURER			Postal address, telephone nº, e-mail address		
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DEGREE WITHIN WHICH THE SUBJECT IS TAUGHT					
Degree in Pharmacy					
PREREQUISITES and/or RECOMMENDATIONS					
The students should have enough background in: <ul style="list-style-type: none"> Organic Chemistry Medicinal Chemistry 					
BRIEF ACCOUNT OF THE SUBJECT PROGRAMME (ACCORDING TO THE DEGREE)					
The synthesis of advanced chemical moieties related to drugs					
GENERAL AND PARTICULAR ABILITIES					
<p>A. General abilities: CG1 Identification, design, synthesis, and analysis of drugs and the corresponding intermediate chemicals.</p> <p>B. Specific abilities: CEM1.3 The use of standard organic chemistry protocols these including the use of organic synthesis equipment, and analysis equipment. CEM1.4 Evaluate the risk 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. CEM1.11 Increase the knowledge and the applicability of structural technics including spectroscopy.</p>					



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
- The synthesis and separation of enantiomers

DETAILED SUBJECT SYLLABUS

Chapter 1. General concepts.

Linear and convergent synthesis. Importance of the optimization of the synthetic procedure.

Chapter 2. Synthetic strategies I

Disconnections and retrosynthetic analysis. Retrosynthesis of mono- and di-functional molecules

Chapter 3. Synthetic strategies II

Asymmetric synthesis. Racemic resolution. Representative examples of asymmetric drug synthesis.

Chapter 4. Synthetic strategies III

The organometallics in drug synthesis. C-C bond and C-heteroatom bond formation. Representative examples of drug synthesis using organometallics.

Chapter 5. The synthesis of common ring fragments found in drugs

Aromatic and non-aromatic heterocycles. Representative examples of the cyclic moiety synthesis found in drugs.

Chapter 6. The chirality in the pharmaceutical industry

The use of enzymes in organic synthesis. Representative examples.

Chapter 7. Solid-phase synthesis

The peptide synthesis on solid phase. The synthesis of peptidomimetics. Concepts on combinatorial organic chemistry. Importance of the combinatorial chemistry in drug synthesis.

Chapter 8. Stability and quantification of drugs

Factors involving the stability of drugs. Methods for drug quantification. The identification and quantification of active substances and the metabolites in drugs and biological fluids.

Experimental work

Practice 1. The synthesis and analysis of (*R,S*)- and (*S*)-ibuprofen

Practice 2. The synthesis of sulfasalazine

READING

- Delgado, C. Minguillón, J. Joglar. Introducción a la Síntesis de Fármacos. Editorial Síntesis, 2003.
- Wyatt, P.; Warren, S. Organic synthesis: strategy and control. John Wiley & Sons, 2007
- Lednicer, D. The organic chemistry of drug synthesis (Vol. 7). Editorial John Wiley & Sons, 2008.
- S. Warren, P. Wyatt. Organic Synthesis. The disconnection Approach. 2ª Ed. Editorial Wiley, 2008.
- Li, J. J.; Johnson, D. S. Modern drug synthesis. Editorial Wiley, 2010
- Johnson, D. S.; Li, J. J. The art of drug synthesis. Editorial Wiley, 2007
- Steven A. Kates, Fernando Albericio. Solid-Phase Synthesis: A practical guide. Dekker, 2000
- Lin, G.; You, Q.; Cheng, J. Chiral drugs: Chemistry and Biological action. Editorial Wiley, 2011
- Kurt Faber. Biotransformations in Organic Chemistry: A Textbook Springer
- Agranat, H. Caner, J. Caldwell. Putting chirality to work: the strategy of chiral switches. Nature Reviews/Drug Discovery 2002, 1, 753-768.
- Ahuja, S.; Rasmussen, H. HPLC Method Development for Pharmaceuticals. Academic Press, 2007

Other

- Vardanyan, R. S.; Hruby, V. J. Synthesis of essential drugs. Editorial Elsevier, 2006
- Ganapathy Subramanian. Chiral separation techniques. Wiley-VCH 2007
- R. Mannhold, H. Kubinyi, Timmerman, H. Combinatorial Chemistry. Wiley-VCH 2000
- Paul Lloyd-Williams, Fernando Albericio, Ernest Giralt. Chemical Approaches to the Synthesis of Peptides and Proteins. CRC Press 1997

RECOMMENDED INTERNET LINKS

Departamento de Química Farmacéutica y Orgánica (<http://www.ugr.es/~qfo/inicio.html>)
IUPAC Nomenclature of Organic Chemistry (<http://www.acdlabs.com/iupac/nomenclature/>)
Chemistry Guide (<http://www.chemistryguide.org/index.php>)
Journal of Medicinal Chemistry (<http://pubs.acs.org/journal/jmcmr>)
European Journal of Medicinal Chemistry (<http://www.nature.com/nrd/index.html>)
Nature Reviews Drug Discovery (<http://www.nature.com/nrd/index.html>)
Medicinal Research Reviews (<http://onlinelibrary.wiley.com/journal/10.1002/%28ISSN%291098-1128>)

