

Advanced chemistry of drugs

MODULE	CONTENT	YEAR	TERM	CREDITS	TYPE
Chemistry	Advanced chemistry of drugs	5º	1º	6	optional
LECTURER					
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DEGREE WITHIN WHICH THE SUBJECT IS TAUGHT					
Degree in Pharmacy					
PREREQUISITES and/or RECOMMENDATIONS (if necessary)					
The students should have an adequate knowledge of:					
<ul style="list-style-type: none"> - Organic Chemistry - Pharmaceutical Chemistry 					
BRIEF ACCOUNT OF THE SUBJECT PROGRAMME (ACCORDING TO THE DEGREE)					
Synthesis of advanced chemical moieties related to drugs					
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 obtain a knowledge for the synthesis of chemical moieties related with					



drugs, focusing in particular on the following points:

- Strategies for obtaining useful structures in the synthesis of drugs.
- Use of enzymes in the preparation of compounds related to drugs.
- Separation of enantiomers.

DETAILED SUBJECT SYLLABUS

Chapter 1. General aspects

Concepts. Interest of the pharmaceutical industry. Linear and convergent syntheses. Importance of the optimization of the synthetic process.

Chapter 2. Synthetic strategies I

Design of organic synthesis: disconnections and retrosynthetic analysis. Retrosyntheses of monofunctional and difunctional molecules.

Chapter 3. Synthetic strategies II

Use of organometallics in organic synthesis: Formation of C-C bonds and formation of C-heteroatom bonds. Representative syntheses of drugs.

Chapter 4. Synthetic strategies III

Use of enzymes in organic synthesis. Representative syntheses of drugs.

Chapter 5. Synthesis of usual rings in drugs

Carbocycles. Aliphatic and aromatic heterocycles. Representative syntheses of drugs

Chapter 6. Chirality in the pharmaceutical industry

Introduction. Fundamental concepts and stereochemical terms. General strategies for the preparation of optically pure compounds. Racemic resolution. Representative asymmetric syntheses.

Chapter 7. Solid-phase synthesis

Peptide synthesis. Preparation of peptidomimetics. Combinatorial chemistry: principles and strategies. Importance of combinatorial chemistry in the development of new drugs.



Chapter 8. Stability and quantification of drugs

Factors involved in the stability of drugs. Physical and chemical methods for the quantification of drugs. Determination of active substances and metabolites in drugs and biological fluids.

Chapter 9. Industrial scale-up

Scaling process. Industrial preparation of representative drugs.

PRACTICAL WORK

Practice 1. Analysis of (*RS*)-ibuprofen and (*S*)-ibuprofen by formation of diastereoisomeric derivatives.

Practice 2. Latentiation of sulfathiazole.

READING

BASIC BIBLIOGRAPHY:

- 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, 2003.
- D. Lednicer. *Strategies for Organic Drug Synthesis and Design*. John Wiley & Sons, 1998, Nueva York, 364-373.
- S. Warren. *Diseño de Síntesis Orgánica*. Editorial Alhambra, 1983.
- A. N. Collins, G. N. Sheldrake y J. Crosby (eds.). *Chirality in Industry*. John Wiley & Sons, Chichester, 1992; 2-66.
- Agranat, H. Caner, J. Caldwell. *Putting chirality to work: the strategy of chiral switches*. Nature Reviews/Drug Discovery. Nature Publishing group, **2002**, *1*, 753-768.
- E. Camacho y J.M. Campos. *Química Fina Farmacéutica*. Editorial Universidad de Granada, 2008.

COMPLEMENTARY BIBLIOGRAPHY:



- Steven A. Kates, Fernando Albericio. *Solid-Phase Synthesis: A practical guide*. Dekker 2000.
- 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.
- Kurt Faber. *Biotransformations in Organic Chemistry: A Textbook* Springer

RECOMMENDED INTERNET LINKS

Chemistry Dictionary

ChemistryGuide

IUPAC Nomenclature of Organic Chemistry

Journal of European Medicinal Chemistry

Journal of Organic Chemistry

Journal of the American Chemical Society

Nature

Organic & Biomolecular Chemistry

Science

[Departamento de Química Farmacéutica y Orgánica](#)

