

Module	Subject	Year	Term	Credits	Type
Chemistry	Advanced Drug Chemistry	5º	1º	6	Optative
PROFESSOR			Postal address, telephone No., e-mail address		
<ul style="list-style-type: none"> M^a Encarnación Camacho Quesada (ecamacho@ugr.es ; 958243844) 			DEPARTAMENTO DE QUÍMICA FARMACÉUTICA Y ORGÁNICA. Facultad de Farmacia. Campus de Cartuja, s/n. 18071 GRANADA. Telephone # 958243850 Fax # 958243845		
			Tutorial		
			Tuesday and Thursday, 11:30-13:30h; Wednesday: 8.30-10.30 h		
DEGREE WITHIN THE SUBJECT IS TAUGHT			OTHER DEGREES		
PHARMACY			CHEMISTRY		
Prerequisites and/or other recommendations					
The students should have a strong background in: <ul style="list-style-type: none"> Organic Chemistry Pharmaceutical Chemistry 					
BRIEF ACCOUNT OF THE SUBJECT SYLLABUS (ACCORDING TO THE DEGREE)					
Synthesis of advanced chemical entities related to drugs					
GENERAL AND PARTICULAR ABILITIES					



A. General abilities:

CG1 Identification, design, synthesis, analysis of drugs and corresponding intermediates.

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.

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 SYLLABUS

THEORETICAL TOPICS:

TOPIC 1. General aspects

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

TOPIC 2. Synthetic drug strategies I

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. Synthetic drug strategies II

Use of organometallic compounds in organic synthesis: formation of C-C bonds and formation of C-heteroatom bonds. Representative synthesis of drugs.

TOPIC 4. Synthetic drug strategies III

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

TOPIC 5. Synthesis of frequent rings in drugs.

Carbociclos. Aliphatic and aromatic heterocycles. Representative synthesis of drugs.

TOPIC 6. 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.

TOPIC 7. Solid phase synthesis. Combinatorial chemistry

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

TOPIC 8. Stability and Quantification of Drugs

Factors that influence stability. Physical and chemical methods of drug quantification. Determination of active ingredients and metabolites in medicines and biological fluids.

TOPIC 9. 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.

EXPERIMENTAL WORK:

1. Synthesis and analysis of (*R,S*)- and (*S*)-ibuprofen.
2. Sulfathiazole latentization.

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.
- M. E. Camacho y J. M. Campos. *Química Fina Farmacéutica*. Editorial Universidad de Granada, 2008.
- 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

Department of Pharmaceutical and Organic Chemistry (<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/jmcmar>)
European Journal of Medicinal Chemistry (<https://www.journals.elsevier.com/european-journal-of-medicinal-chemistry>)
Nature Reviews Drug Discovery (<http://www.nature.com/nrd/index.html>)
Medicinal Research Reviews ([http://onlinelibrary.wiley.com/journal/10.1002/\(ISSN\)1098-1128](http://onlinelibrary.wiley.com/journal/10.1002/(ISSN)1098-1128))

TEACHING METHODOLOGY

Theoretical classes

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EVALUATION CRITERIA

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I. CONTINUOUS ASSESSMENT

(a) THEORY

(b) PRACTICAL WORK

II. FINAL SINGLE EVALUATION

III. EXTRAORDINARY CALL

IV. QUALIFICATION SYSTEM

GENERAL CRITERIA FOR THE APPLICATION EVALUATION TO ALL TESTING TESTS

1. The evaluation tests and their percentages for the final grade used during the academic year will be established by the teacher of the subject at the beginning of the course (see **Table 1** and **Table 2**).
2. In ALL tests of the evaluation the student must show a minimum and uniform knowledge of all the questions proposed, as well as of the necessary competences. Minimum knowledge is achieved by obtaining a 5 on all questions or blocks of the exam.
3. In exceptional cases or in case of doubt about the authenticity of the evaluation exercises, and according to the teacher's criteria, additional oral tests may be carried out to justify the student's knowledge. These tests will be governed by the evaluation criteria described in section 2.

Table 1. Evaluation systems and percentages in the final qualification

	EVALUATION SYSTEM	% FINAL MARKING ^a
Final exam	SE.1	70
Mid-term exam	SE.1	15-30
Laboratory classes, elaboration and presentation of homework	SE.2	0-15
Class attendance		

^aThe values in % of the markings will be set at the beginning of the course by the teacher of the subject.



Table 2. Codes for the evaluation methods.

EVALUATION METHODS	
SE.1 Long-answer written test	SE.2 Written tests on laboratory lessons

The Evaluation and Qualification Regulations of the Students of the University of Granada (<https://goo.gl/uHfqJy>) establish two main modalities of evaluation: I. Continuous Assessment (preferred); II. Single Final Evaluation.

I. CONTINUOUS ASSESSMENT

(a) THEORY

4. The Continuous Assessment of the subject will consist of:
 - a) A partial exam (see date in the Academic Calendar) non-eliminary and whose percentage for the final grade will be established by the teacher of the subject at the beginning of the course, according to those established in [Table 1](#).
 - b) A final compulsory exam (see date in the Academic Calendar) that must be approved with a minimum grade of 5, and whose percentage for the final grade will be established by the teacher of the subject at the beginning of the course, according to The criteria set out in [Table 1](#).
 - c) The final grade will be obtained by adding the scores obtained in the partial exam and the final exam, as well as in any other evaluation tests that the teacher establishes at the beginning of the course, provided that the final exam has been obtained a minimum score of 5.
5. None of the approved examinations shall be kept for either the special exams or for subsequent academic courses.

(b) PRACTICAL WORK

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6. It is mandatory to pass the laboratory practices to be able to pass the subject.
7. The student must attend ALL practical sessions as well as perform and pass a knowledge test to approve the practices.
8. Students called to practice as alternates have the obligation to attend on the day of the call at the indicated time. The student who does not justify his absence properly will not be called again.
9. The practices approved in an academic course will not be saved for subsequent academic courses or for extraordinary calls, and the student should be reexamined of lab practices in such call.
10. Students who have not completed all practical classes or who do not have them approved, will not be able to pass the subject in the continuous evaluation (ordinary), and must take a theoretical-practical exam in the laboratory in the extraordinary call.

II. FINAL SINGLE EVALUATION

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The Evaluation and Qualification Regulations of Students of the University of Granada (<https://goo.gl/uHfqJy>) provide the realization of a Single Final Evaluation, which will be accepted by those students who, for working reasons, health status, disability or any other duly justified cause cannot comply with the [Continuous Evaluation method](#).

In order to be eligible for the final evaluation, the student, in the first two weeks of the course or within two weeks of enrollment if it has taken place after the beginning of the course, will request it through the electronic procedure, To the



Director of the Department, claiming and accrediting the reasons for not being able to follow the continuous assessment system. The Director of the Department to which the application was addressed, after hearing the teacher responsible for the subject, will resolve the request within 10 working days. After this period has elapsed without the student having received an express written response, the application shall be deemed to have been estimated.

Students who opt for this system will have to complete and pass a theoretical exam and a practical exam in the laboratory that will be governed by section 2 of the [General Evaluation Criteria](#) established in this Teaching Guide.

III. EXTRAORDINARY CALL

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Students who have not passed the subject in the ordinary call will have an extraordinary call. It will be able to attend all the students, regardless of whether or not they have followed a [Continuous Evaluation process](#). Students will have to complete and pass, in addition to the theoretical exam, a practical exam in the laboratory. Both tests will be governed by section 2 of the [General Evaluation Criteria](#) established in this Teaching Guide.

IV. QUALIFICATION SYSTEM

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In case of subjects whose Teaching Guides envisage a final exam that represent 50% or more of the total of the final grade of the subject and the student decides not to do it, it will appear in the record with the annotation of "Not presented". When the student has carried out activities and tests of the [Continuous Evaluation process](#) contemplated in the Teaching Guide of the subject that constitute more than 50% of the total of the weighting of the final grade of the subject, he/she will appear in the report with the corresponding qualification.

