COURSE GUIDE FOR
DRUG ADVANCED CHEMISTRY

Academic year 2020-2021
(Date last update: 02/07/2020)
(Date approved in Department Council: 08/07/2020)

MODULE	SUBJECT MATTER	YEAR	SEMESTER	CREDITS	TYPE
Chemistry	Advanced Drug Chemistry	5th	1st	6	Optative

TEACHING STAFF[1]	ADDRESS, TELEPHONE NUMBER, EMAIL, ETC…
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	TIMETABLE FOR TUTORIALS OR LINK TO WEBSITE
	Monday, Wednesday and Friday, 11:30-13:30 h.

BELONGS TO UNDERGRADUATE DEGREE PROGRAMME	AND ALSO TO OTHER UNDERGRADUATE DEGREE PROGRAMMES
PHARMACY	CHEMISTRY

PREREQUISITES OR RECOMMENDATIONS (where applicable)

The students should have a strong backgrond in:

- Organic Chemistry I and II.
- Pharmaceutical Chemistry I and II.

BRIEF DESCRIPTION OF CONTENT (ACCORDING TO OFFICIAL VALIDATION REPORT)

Fill in as appropriate

GENERAL AND SPECIFIC COMPETENCES

- Synthesis of advanced chemical entities related to drugs

OBJECTIVES (EXPRESSED AS EXPECTED LEARNING OUTCOMES)

[1] Consult any updates in Acceso Identificado > Aplicaciones > Ordenación Docente
(∞) This course guide should be filled in according to UGR regulations on assessment of student learning: (http://secretariageneral.ugr.es/pages/normativa/fichasugr/ncg7121/!)

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.

DETAILED SYLLABUS

THEORY:

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 H_2 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, thiazole derivatives and reduction products. Bibliography.

TOPIC 9. Preparation of heterocyclic drugs with a 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.

LABORATORY WORK

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

BIBLIOGRAPHY

- E. Camacho y J. M. Campos. *Química Fina Farmacéutica*. Editorial Universidad de Granada, 2008.
- A. Delgado, C. Minguillón, J. Joglar. *Introducción a la Síntesis de Fármacos*. Editorial Síntesis S. A., Barcelona, 2003.
- P. Camps, S. Vázquez y C. Escolano. *Fundamentos de Síntesis de Fármacos*. Universitat de Barcelona, 2005.
- N. Collins, G. N. Sheldrake y J. Crosby (Eds.). *Chirality in Industry***.** John Wiley & Sons, Chichester, 1992**.**

RECOMMENDED LINKS

Departamento de Química Farmacéutica y Orgánica (http://www.ugr.es/~qfo/inicio.html)
Nomenclatura IUPAC de Química Orgánica (http://www.acdlabs.com/iupac/nomenclature/)\
Guía Química (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)

TEACHING METHODOLOGY

• Theoretical classes	32
• Practical classes	15
• Tests	4

ASSESSMENT (ASSESSMENT INSTRUMENTS, CRITERIA AND PERCENTAGE VALUEOF FINAL OVERALL MARK, ETC.)

XXXX **INDEX**

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		

[a]The 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-eliminatory 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 INDEX

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.

DESCRIPTION OF THE EXERCISES WHICH WILL CONSTITUTE SINGLE FINAL ASSESSMENT AS ESTABLISHED IN UGR REGULATIONS

II. SINGLE FINAL ASSESSMENT INDEX

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 INDEX

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 INDEX

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.

SCENARIO A (ON-CAMPUS AND REMOTE TEACHING AND LEARNING COMBINED)

TUTORIALS

TIMETABLE (According to Official Academic Organization Plan)	**TOOLS FOR TUTORIALS** (Indicate which digital tools will be used for tutorials)
http://www.ugr.es/~qfo/pdf/Tutorias2020-2021.pdf	

MEASURES TAKEN TO ADAPT TEACHING METHODOLOGY

Theoretical classes:

- The highest possible percentage of face-to-face activity permitted by health restrictions will be maintained.
- Face-to-face activities shall be organized into stable groups, according to the approved group structure, the size of which shall be determined by the restrictions established by the health authorities and the capacity of the spaces in which they need to be developed (in principle an interpersonal distance of 1.5 m must be maintained).
- In the event that the relevant security measures cannot be respected or the capacity allowed in the classroom is exceeded according to the evolution of the pandemic, subgroups shall be made and attendance at classrooms will be limited in alternate weeks. In this case, the classes will also be held by synchronous videoconference in face-to-face hours by Google Meet and recording of the classes to facilitate the asynchronous monitoring of them.

Practical classes:

- Calls will be made through the internship program established at the Faculty of Pharmacy.

- An initial virtual session will be held in which all the contents of the practices to be carried out will be explained. Two subgroups of each shift shall be established to carry out face-to-face practices in such a way as to ensure that the maximum capacity is not exceeded and security measures maintained.
- The practical agenda shall be adapted according to the capacity allowed at any time by the health authorities.

Measures to adapt the teaching methodology to the situation of semi-presence:

The face-to-face activity will be carried out by assistance to the classrooms of the Faculty of Pharmacy for theoretical sessions and laboratories of the Department for practical sessions.

For the non-face-to-face activity, the teacher of each group will choose and communicate to the students the methodology(s) that they will use, among the following:
• Synchronous videoconferencing classes in face-to-face hours using Google Meet and recording of classes to facilitate asynchronous monitoring of them.
• Asynchronous classes with videos or links to them available on the PRADO Platform.
• Video conference tutorials at the scheduled time through Google Meet and storage of them in Google Drive.
• Use of the PRADO platform for the transfer of teaching material to students (theory, resolved exercises, proposed exercises, etc.), indicating the class to which it corresponds, to guide students in learning.
• Using Google Drive to channel videos and teaching documents.
• Adaptation of practical teaching sessions through virtual platform.
• The student must have an account @go.ugr.es to use Google Meet and Google drive.

MEASURES TAKEN TO ADAPT ASSESSMENT (Instruments, criteria and percentage of final overall mark)

Ordinary assessment session

- The evaluation tests provided for in the teaching guide shall be carried out preferably in person, taking into account the level of occupation of the space authorised by the health authorities.
- In the event that due to the number of students and the available space, the relevant sanitary measures cannot be secured, the assessment shall be made in a non-in-person manner, using the procedures indicated for scenario B.
- Oral tests will also be performed when there are connection problems during a non-in-person tests.

Extraordinary assessment session

- The evaluation tests provided for in the teaching guide shall be carried out preferably in person, taking into account the level of occupation of the space authorised by the health authorities.
- In the event that due to the number of students and the available space, the relevant sanitary measures cannot be secured, the assessment shall be made in a non-in-person manner, using the procedures indicated for scenario B.
- Oral tests will also be performed when there are connection problems during a non-in-person tests.

Single final assessment

- The evaluation tests provided for in the teaching guide shall be carried out preferably in person, taking into account the level of occupation of the space authorised by the health authorities.
- In the event that due to the number of students and the available space, the relevant sanitary measures cannot be secured, the assessment shall be made in a non-in-person manner, using the procedures indicated for scenario B.
- Oral tests will also be performed when there are connection problems during a non-in-person tests.

SCENARIO B (ONCAMPUS ACTIVITY SUSPENDED)

TUTORIALS

TIMETABLE (According to Official Academic Organization Plan)	**TOOLS FOR TUTORIALS** (Indicate which digital tools will be used for tutorials)
http://www.ugr.es/~qfo/pdf/Tutorias2020-2021.pdf	By videoconference at the schedule established by Google Meet and storage of them in Google Drive.

MEASURES TAKEN TO ADAPT TEACHING METHODOLOGY

Theoretical and practical classes:

- Situation in which attendance at the Faculty is restricted and the theoretical and practical teaching will be totally virtual:

Measures to adapt the teaching methodology to the non-presence situation:

For the non-face-to-face activity, the teacher of each group will choose and communicate to the students the methodology(s) that they will use, among the following:

• Synchronous videoconferencing classes in face-to-face hours using Google Meet and recording of classes to facilitate asynchronous monitoring of them.
• Asynchronous classes with videos or links to them available on the PRADO Platform.
• Video conference tutorials at the scheduled time through Google Meet and storage of them in Google Drive.
• Use of PRADO platform for the transfer of teaching material to students (theory, resolved exercises, proposed exercises, etc.), indicating the class to which it corresponds, to guide students in learning.
• Use Google Drive to channel videos and teaching documents.
• Adaptation of practical teaching sessions through virtual platform.
• Use of the LabSkills Interactive Virtual Tool for individual study learning of experimental work in the Laboratory of Organic Chemistry (LabSkills, Cengage).
• Students must have an account @go.ugr.es to use Google Meet and Google drive.

MEASURES TAKEN TO ADAPT ASSESSMENT (Instruments, criteria and percentage of final overall mark)

Ordinary assessment session

- Non-face-to-face evaluation tests shall include mechanisms to ensure the authorship of the students.
- For non-in-person evaluation, PRADO institutional platform may be used for non-in-person evaluation; b) the Google Meet institutional platform for oral examinations (access through accounts go.ugr.es) and c) the Google Drive institutional platform for storing recorded sessions and large files.
- The tests will consist of oral or written tests through PRADO. These tests shall be governed by the evaluation criteria described in the GENERAL APPLICATION CRITERIA SECTION OF ALL EXAMINATION TESTS.
- In exceptional cases or in case of any 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.
- Oral tests will also be performed when there are connection problems during a non-in-person test.

Extraordinary assessment session

- Non-face-to-face evaluation tests shall include mechanisms to ensure the authorship of the tests.
- For non-in-person evaluation, PRADO institutional platform may be used for non-in-person evaluation; b) the Google Meet institutional platform for oral examinations (access through accounts go.ugr.es) and c) the Google Drive institutional platform for storing recorded sessions and large files.
- The tests will consist of oral or written tests through PRADO. These tests shall be governed by the

evaluation criteria described in the GENERAL APPLICATION CRITERIA SECTION OF ALL EXAMINATION TESTS.

- In exceptional cases or in case of any doubt about the authenticity of the evaluation exercises, and according to the criteria of the teacher, additional oral tests may be carried out to justify the student's knowledge.

Single final assessment

- Non-face-to-face evaluation tests shall include mechanisms to ensure the authorship of the tests.
- The PRADO institutional platform may be used for non-in-person evaluation; b) the Google Meet institutional platform for oral examinations (access through accounts go.ugr.es) and c) the Google Drive institutional platform for storing recorded sessions and large files.
- The tests will consist of oral or written tests through PRADO. These tests shall be governed by the evaluation criteria described in the GENERAL APPLICATION CRITERIA SECTION OF ALL EXAMINATION TESTS.
- In exceptional cases or in case of any doubt about the authenticity of the evaluation exercises, and according to the criteria of the teacher, additional oral tests may be carried out to justify the student's knowledge.

ADDITIONAL INFORMATION (if necessary)