

SUBJECT: PHARMACEUTICAL CHEMISTRY

MATTER: Pharmaceutical Chemistry

MODULE: Chemistry

STUDIES: Degree in Pharmacy

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GENERAL CHARACTERISTICS*

Types: Basic formation, X compulsory Optional
 Final degree work, Sheltered practices
 Mention Oriented Practices

Duration: Semester

Semester / s: S4

Number of ECTS credits: 6

Languages: English

DESCRIPTION

BRIEF DESCRIPTION AND JUSTIFICATION

Pharmaceutical Chemistry (also called Medicinal Chemistry) is considered one of the pharmaceutical sciences, with deep roots in chemistry (particularly Organic Chemistry) and Pharmacology, which studies the design, synthesis and development of biologically active molecules and drugs for therapeutic purposes. Pharmaceutical Chemistry is aimed to the design, synthesis and development of new chemical compounds which are suitable for therapeutic use. This includes the study of existing drugs, the design of new drugs by using modern computational techniques, their biological properties and their quantitative structure-activity relationships. Also, it studies the interactions between these molecules and biological targets and the subsequent biological effects.

COMPETENCES *

General Competences:

- G-1 Identify, design, collect, analyze, control and produce drugs and medicines and other products or raw materials of interest for health in human or veterinary use.
- G-2 Evaluate the therapeutic and toxic effects of substances with pharmacological activity.
- G-4 Design, prepare, distribute and dispense medicines and other health products of interest.
- G-11 Assessing the toxicological effects of substances and design and implement appropriate tests and analyses.
- G-16 Demonstrate ability to oral and written communication in English.

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Specific Competences:

- E-Q1 Identify, design, collect, analyze and produce the active ingredients, drugs and other health products and materials of interest.
- E-Q3 Conduct standard laboratory procedures, including the use of scientific equipment, synthesis and analysis, including appropriate instrumentation.
- E-Q4 Estimate the risks associated with the use of chemicals and laboratory processes.
- E-Q5 Knowing the physical and chemical substances used for the manufacture of medicinal properties.
- E-Q8 Know and understand the nature and behavior of functional groups in organic molecules.
- E-Q9 Knowing the origin, nature, design, collection, analysis and control of drugs and medical devices.

Transversal Competences:

- T-1 Have advanced knowledge and demonstrate an understanding of the theoretical and practical aspects and methodology of work in their field of study with a depth that reaches the forefront of knowledge.
- T-2 Being able to function and be able to apply their knowledge and problem-solving skills in complex and specialized work environments that require the use of creative and innovative ideas.

PREREQUISITES *

It is recommended to have previous knowledge of Analytical Chemistry and Organic Chemistry

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CONTENTS

Chapter 1: Pharmaceutical Industry

1.1. Defining the pharmaceutical industry. 1.2. Organization of the pharmaceutical R & D. 1.3. R & D Management. 1.4. Areas related to R & D. 1.5. General scheme of an R & D pharmaceutical project. 1.6. Registration of Pharmaceuticals.

Chapter 2: Three Phases of Drug Action

2A: Pharmaceutical Phase: 2A.1. Phases of Drug Action. 2A.2. Pharmaceutical Phase. 2A.3. Dosage forms and administration routes.

2B: Pharmacokinetic Phase: 2B.1. Introduction. 2B.2. Absorption. 2B.3. Distribution and Elimination. 2B.4. Metabolism. 2B.5. Pharmacokinetics. Quantitative aspects.

2C: Pharmacodynamic Phase: 2C.1. Introduction. 2C.2. Receptors. 2C.3. Receptor Theory. 2C.4. Adverse effects.

Chapter 3: Therapeutic Groups

3.1. Introduction. Classification of Drugs. 3.2. Antineoplastic Agents. 3.3. Analgesics and NSAIDS. 3.4. Antimicrobial drugs. 3.5. Drugs Affecting cholinergic-mechanisms. 3.6. Drugs Affecting adrenergic-mechanisms. 3.7. Drugs and the Cardiovascular Diseases. 3.8. Psychoactive Drugs. 3.9. Histamine Antagonists. 3.10. Steroids and related compounds. 3.11. Prostaglandins, Leukotrienes and Other Eicosanoids. 3.12. Proteins, Enzymes and Peptide Hormones. 3.13. Vitamins and Related Compounds. 3.14. Medicinal Chemistry of Herbs.

Chapter 4: Drug Discovery and Production

4.1. Introduction: History of Drug Discovery and de novo design of a drug. 4.2. Preclinical Research and drug design. 4.3. Drug Targets and Mechanisms of Drug Action. 4.4. Post-Genomic Drug Discovery. 4.5. Strategies in Drug Design. 4.6. ADMET optimization. 4.7. Prodrugs, "Soft Drugs" and Targeted Drugs. 4.8. Combinatorial Libraries: Filtering rules. 4.9. Chemical development.

Chapter 5: Patents in Chemistry, Pharmacy and Biomedicine

5.1. Introduction. 5.2. Patents: definition, rights and requirements. 5.3. Dependent patents. 5.4. Spanish patent system. 5.5. Patent application Procedures. 5.6. Parts of a patent. 5.7. Extension of Exclusivity. 5.8. Patent Infringement. 5.9. Accessing Documentation. 5.10. System in the US Patent 5.11. Biotechnology patents.

METHODOLOGY

TRAINING ACTIVITIES

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Training Activities * (Memory GF)	Training activities (Sigma)	ECTS credits *	Competences
Theoretical sessions	Sessions exhibition concepts	1.8	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ-4, EQ-5, EQ-8, EQ-9, T-1, T 2,
Solving exercises and problems	Sessions solving exercises, problems and cases (1)	0.4	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ-4, EQ-5, EQ-8, EQ-9, T-1, T 2,
Integrating knowledge activities: cases, seminars, directed work and cooperative learning	Seminars	-	-
Practical sessions: laboratory simulations or	practical / laboratory work	-	-
-	Presentations (3)	-	-
Student study staff	Personal study activities by students	3.6	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ-4, EQ-5, EQ-8, EQ-9, T-1, T 2,
Evaluation activities	Evaluation activities (tests, monitoring controls ...)	0.2	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ-4, EQ-5, EQ-8, EQ-9, T-1, T 2,,
	TOTAL	9.0	

GF: Degree in Pharmacy

(1) GF under "cases" of the record of the subject in Sigma It is included in "Activities Integrative knowledge "

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(2) Does not apply to GF activities to answer questions the students are included in "Student study staff"

(3) GF under "presentations" tab of the subject in Sigma It is included in "Activities Integrative knowledge"

EXPLANATION OF TEACHING METHODS

1. Expository method. Participative master class, working through exhibitions of different theoretical and practical contents and involving the student with the combination of activities and exercises in the classroom. Encouraging students to ask questions that conduct a personal reasoning. Delivery of content, explanation and demonstration of skills, abilities and knowledge in the classroom or through media.

5. Problem-based learning or cases. Allowing students to experience, test and inquire about the nature of situations, phenomena and everyday activities promoting analysis, teamwork and decision-making.

6. Cooperative learning. Getting students to take responsibility for their own learning and that of their peers in a strategy of shared responsibility to achieve group goals.

7. Evaluation activities. Exercises to assess the degree of assumption of competencies (knowledge, skills, values) by students. Continuously or timely.

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EVALUATION

EVALUATION METHODS

Assessment Methods * (Memory GF)	Methods of Evaluation (Sigma)	Weight * (2)	competences
Final exam	Final exam	40%	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ- 4, EQ-5, EQ-8, EQ-9, T-1, T 2,
-	Examination / partial / s (1)	-	-
Monitoring of learning (including controls, cases, exercises, problems, participation, assessing On-Line, self- evaluation)	Monitoring activities	40%	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ- 4, EQ-5, EQ-8, EQ-9, T-1, T 2,
Works and presentations	Works and presentations	20%	G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ- 4, EQ-5, EQ-8, EQ-9, T-1, T 2,
Practical or experimental work	Experimental or field work	-	-
TFG evaluation	Projects	-	-
External practices (supervised practice and practice-oriented references)	Valuation of the company or institution	-	-
-	Participation (1)	-	-
		100%	

GF: Degree in Pharmacy

1) GF under "Examination / partial / is" and "Participation" tab of the subject in Sigma They are included in "Monitoring of Learning"

(2) The values can range $\pm 5\%$ from the value defined in the memory of GF (final summation 100%)

LEARNING OUTCOMES

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- Demonstrate knowledge of the phases of the R & D of a drug.
- Ability to associate the structure of drugs with their molecular mechanism of action and therapeutic activity.
- Having knowledge of the main therapeutic groups and some rudiments of ADME-Tox.
- Being able to predict metabolic transformations of drugs in the body.
- Raise drug chemical transformations aimed at optimizing its pharmacokinetic properties and biological activity.
- Demonstrate knowledge about patents in the pharmaceutical sector

QUALIFICATION

The grade of this course is obtained:

Final Exam	40%
Follow-up exams	40%
Project and presentation	20%

The **Final Exam** and the **Follow-up exams** are of a test type.

The **Project** consists in the preparation in group and exhibition of a work on the development of a known drug.

In order to be able to make this average two of the qualifications must be equal or superior to 4 points. If two grades are less than 4 points, the first call is suspended.

Successive calls:

Final Exam 60%
Project and presentation 20%

The qualification of the **Project** will be that obtained during the development of the course corresponding to the first call.

ASSESSMENT OF THE COMPETENCES

For the assessment of competences G-1, G-2, G-4, G-11, G-16, EQ-1, EQ-3 EQ-4, EQ-5, EQ-8, EQ-9, T-1, T 2, the mark of the subject will serve as an indicator.

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BIBLIOGRAPHY

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- Course Materials (available on the Moodle platform, <https://moodle.iqs.url.edu/login/index.php>)
- Wilson & Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, Lippincott Williams & Wilkins, 11 ed, 2004
- JB Taylor, PD Kennewell, Modern Medicinal Chemistry, Ellis Horwood, New York, 1997.
- ICH Guideline (<http://www.ich.org>)
- European Pharmacopoeia (<http://www.pheur.org>)
- US Pharmacopeia (<http://www.usp.org>)

OTHER REQUIRED MATERIAL:

- GL Patrick. An introduction to Medicinal Chemistry Oxford University Press, Oxford, 1995.
- A.Gringauz, Introduction to Medicinal Chemistry: How and Why Drugs Act, Wiley-VCH, New York, 1997.
- C. Avendaño, Introduction to Pharmaceutical Chemistry, Inter-McGraw-Hill, Madrid, 1993.
- FD King, Medicinal Chemistru: Principles and Practice, Royal Society of Chemistry, Cambridge, 1994.
- A. Delgado, C. Minguillón, J. Juglar, Introduction to Drug Synthesis, Synthesis Editorial, Madrid, 2002.
- Chemoinformatics in Drug Discovery, TI Oprea ed., Wiley 2005

DOCUMENT HISTORY

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June 16, 2015, Dr. José I. Borrell and Dr. David Sánchez
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