



| Teaching Guide | | | | |
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| Identifying Data | | | | 2016/17 |
| Subject (*) | Quím. Heterocíclica. Aplicacións en Quím. Farmac. | | Code | 610311602 |
| Study programme | Licenciado en Química | | | |
| Descriptors | | | | |
| Cycle | Period | Year | Type | Credits |
| First and Second Cycle | 2nd four-month period | Fourth-Fifth | Optativa | 6 |
| Language | Spanish | | | |
| Teaching method | Face-to-face | | | |
| Prerequisites | | | | |
| Department | Química Fundamental | | | |
| Coordinador | | E-mail | | |
| Lecturers | | E-mail | | |
| Web | | | | |
| General description | ?Química Heterocíclica. Aplicaciones en Química Farmacéutica? es una asignatura optativa de segundo ciclo que se oferta para aquellos alumnos de la Licenciatura en Química que quieran profundizar en las aplicaciones de la Química Orgánica en la preparación fármacos, haciendo especial hincapié en los que poseen estructuras heterocíclicas | | | |

| Study programme competences / results | |
|---------------------------------------|---|
| Code | Study programme competences / results |
| A1 | Utilizar a terminoloxía química, nomenclatura, convenios e unidades. |
| A4 | Coñecer os tipos principais de reacción química e as súas principais características asociadas. |
| A6 | Coñecer os elementos químicos e os seus compostos, as súas formas de obtención, estrutura, propiedades e reactividade. |
| A9 | Coñecer os rasgos estruturais dos compostos químicos, incluíndo a estereoquímica, así como as principais técnicas de investigación estrutural. |
| A10 | Coñecer a cinética do cambio químico, incluíndo a catálise e os mecanismos de reacción. |
| A12 | Relacionar as propiedades macroscópicas coas de átomos e moléculas. |
| A13 | Comprender a Química dos principais procesos biolóxicos. |
| A14 | Demostrar o coñecemento e comprensión de conceptos, principios e teorías relacionadas coa Química. |
| A15 | Recoñecer e analizar novos problemas e planear estratexias para solucionarlos. |
| A16 | Adquirir, avaliar e utilizar os datos e información bibliográfica e técnica relacionada coa Química. |
| A25 | Relacionar a Química con outras disciplinas e recoñecer e valorar os procesos químicos na vida diaria. |
| B1 | Aprender a aprender. |
| B2 | Resolver problemas de forma efectiva. |
| B3 | Aplicar un pensamento crítico, lóxico e creativo. |
| B4 | Traballar de forma autónoma con iniciativa. |
| C1 | Expresarse correctamente, tanto de forma oral coma escrita, nas linguas oficiais da comunidade autónoma. |
| C3 | Utilizar as ferramentas básicas das tecnoloxías da información e as comunicacións (TIC) necesarias para o exercicio da súa profesión e para a aprendizaxe ao longo da súa vida. |
| C6 | Valorar criticamente o coñecemento, a tecnoloxía e a información dispoñible para resolver os problemas cos que deben enfrontarse. |
| C8 | Valorar a importancia que ten a investigación, a innovación e o desenvolvemento tecnolóxico no avance socioeconómico e cultural da sociedade. |

| Learning outcomes | |
|-------------------|---------------------------------------|
| Learning outcomes | Study programme competences / results |
| | |



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|--|---|----------------------|----------------------|
| Conocer los fármacos desde el punto de vista químico | A1 A4 A6 A9 A10 A12 A13 A14 A15 A16 A25 | B1 B2 B3 B4 | C1 C3 C6 C8 |
| Conocer los principios básicos utilizados en el diseño de fármacos | A1 A12 A13 A14 A16 | B1 B2 B3 B4 | C1 C3 C6 C8 |
| Conocer y comprender los principios básicos de los compuestos orgánicos heterocíclicos | A1 A4 A6 A14 A15 A25 | B1 B2 B3 B4 | C1 C6 C8 |
| Aplicar los conocimientos de los compuestos orgánicos heterocíclicos a la síntesis de fármacos | A1 A13 A14 A25 | B1 B2 B3 B4 | C1 C6 C8 |

| Contents | |
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| Topic | Sub-topic |
| Chapter 1. General aspects. Nomenclature and clasification of drugs. | Main concepts. Key steps in drug development. Drug lassification. Drug nomenclature. |
| Chapter 2. Interactions between the drugs and their biological targets. | Introduction and main concepts. Biological targets: lipids, carbohydrates, proteins (enzimes and membrane receptors) and nucleic acids. Interactions between drug and the biological target. Molecular topology and biological activity. |
| Chapter 3. Pharmacokinetics. Physicochemical properties of the drugs. | Introduction. ADME processes. Nature of the biological membranes. Transport across the biological membranes. Farmacokinetic factors. |
| Chapter 4. Metabolic processes of the drugs. | Introduction. Characteristics of the drug metabolism. Phase I metabolic processes: Oxidation, reduction and hydrolisis reactions. Phase II metabolic processes: Conjugation with glucuronides, sulphate, aminoacids and glutation reactions, acetylation and methylation reactions. Consecuences of the metabolic processes. Stereoselectivity of the metabolic processes. |
| Chapter 5. Steps in the search and discovery of new drugs. | Main steps in the search of new drugs. Choice of the illness, the biological target and the bioassay. Search of the hit: from natural sources, systematic screening, improvement of previous drugs, rational design, casual discovery of drugs, etc. SAR relationships and identification of the pharmacophore. |
| Chapter 6. Main strategies of farmacomodulation. | Objectives of the farmacomodulation. Strategies of the farmacomodulation. Pharmacokinetics and farmacodynamic modulation. |
| Chapter 7. Prodrugs and their applications. | Definition. Classification of the prodrugs. Examples of each kind and application. Controlled desactivation drugs. |



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| Chapter 8. Introduction to heterocyclic systems with therapeutic interests. | Importance of the heterocycles. Nomenclature. |
| Chapter 9. Structure and reactivity of the main heterocyclic systems. | Aromatic heterocycles: Pi-excedent heterocycles and pi-deficient heterocycles. Pyrroles, thiophenes and furans. Azoles. Condensed five membered heterocyclic compounds: indole. Six membered heterocycles containing an oxygen atom. Pyridines, Chinolines and isochinolines. Diazines. |
| Chapter 10. General rules in the synthesis of heterocycles. | General concepts in organic synthesis. General rules in the synthesis of heterocyclic systems. |
| Chapter 11. Most used strategies in the synthesis of heterocycles. | Ring forming ionic cyclization. Synthesis using pericyclic reactions. Chemical modification of the ring. |

| Planning | | | | |
|---------------------------------|--|--------------------------------------|-------------------------------|-------------|
| Methodologies / tests | Competencies / Results | Teaching hours (in-person & virtual) | Student's personal work hours | Total hours |
| Mixed objective/subjective test | A1 A4 A6 A9 A10 A12 A13 A14 A15 A16 A25 B1 B2 B3 B4 C1 C3 C6 C8 | 4 | 0 | 4 |
| Personalized attention | | 6 | 0 | 6 |

(*)The information in the planning table is for guidance only and does not take into account the heterogeneity of the students.

| Methodologies | |
|---------------------------------|--|
| Methodologies | Description |
| Mixed objective/subjective test | A written test will be performed to evaluate the acquired knowledge and competences. |

| Personalized attention | |
|---------------------------------|--|
| Methodologies | Description |
| Mixed objective/subjective test | Students will obtain personalized attention from the professor during the tutorial time. |

| Assessment | | | |
|---------------------------------|--|--|---------------|
| Methodologies | Competencies / Results | Description | Qualification |
| Mixed objective/subjective test | A1 A4 A6 A9 A10 A12 A13 A14 A15 A16 A25 B1 B2 B3 B4 C1 C3 C6 C8 | Se realizara una prueba donde se evaluarán los conocimientos y competencias adquiridas | 100 |
| Others | | | |

| Assessment comments |
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| The final qualification of the matter will be obtained by an objective written test, in the dates approved by the faculty. To pass this asigature it is necessary to obtain a qualification of at least 50% in this objective test. Students that do not assist to this test will obtain the qualification of "not presented". |

| Sources of information |
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| Basic | <ul style="list-style-type: none">- Patrick, G. L. (2009). An Introduction to Medicinal Chemistry. 4th Ed. New York, Ed. Oxford University Press- Katritzky, A. R.; Ramsden, C. A.; Joule, J. A.; Zhdankin, V. V. (2010). Handbook of Heterocyclic Chemistry. 3rd Ed. Amsterdam, Ed. Elsevier- Joule, J. A.; Mills, K. (2000). Heterocyclic Chemistry. 4th Ed. London, Ed. Blackwell Science- Avendaño, C. (2001). Introducción a la Química Farmacéutica. 2ª Ed. Madrid, Ed. McGraw-Hill- Delgado, A.; Minguillón, C.; Joglar, J. (2003). Introducción a la Química Terapéutica. 2ª Ed. Madrid, Ed. Díaz de Santos- Delgado, A.; Minguillón, C.; Joglar, J. (2002). Introducción a la síntesis de fármacos. Madrid, Ed. Síntesis- Galbis Pérez, J. A. (2004). Panorama actual de la Química Farmacéutica. 2ª Ed. Sevilla, Ed. Universidad de Sevilla |
| Complementary | <ul style="list-style-type: none">- Raviña Rubira, E. (2008). Medicamentos: un viaje a lo largo de la evolución histórica del descubrimiento de fármacos. Santiago de Compostela : Servizo de Publicacións e Intercambio Científico da Universidade de Santiag |

Recommendations

Subjects that it is recommended to have taken before

Química Orgánica/610311201
Bioquímica/610311301
Ampliación Química Orgánica/610311302

Subjects that are recommended to be taken simultaneously

Química Orgánica Avanzada/610311401
Determinación Estructural e Síntese en Quím. Org./610311603

Subjects that continue the syllabus

Other comments

To study this assignature it is necessary a good background in Organic Chemistry and Biochemistry. So, students should pass previously the Organic Chemistry of 2nd course, the Ampliation of Organic Chemistry of 3rd course and the Biochemistry of 3rd course before registering this assignature. It is also recomended that the student has passed the Advanced Organic Chemistry of 4th course.

(*)The teaching guide is the document in which the URV publishes the information about all its courses. It is a public document and cannot be modified. Only in exceptional cases can it be revised by the competent agent or duly revised so that it is in line with current legislation.