



UNIVERSITY OF NICOSIA

ΠΑΝΕΠΙΣΤΗΜΙΟ ΛΕΥΚΩΣΙΑΣ

Course Code PHAR-420	Course Title Synthetic Medicinal Chemistry/Συνθετική Φαρμακευτική Χημεία	Credits (ECTS) 3
Department Life & Health Science	Semester Fall	Prerequisites None
Type of Course Elective	Field Pharmacy	Language of Instruction Greek/English
Level of Course 1 st Cycle	Year of Study 4 th year	Lecturer Maria Leigh/Christos Petrou
Mode of Delivery face-to-face	Work Placement N/A	Co-requisites None

Objectives of the Course:

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The development of new pharmaceuticals fundamentally relies on the ability to design and synthesize new compounds. Synthesis is an enabling discipline for medicinal chemistry - without it, the development of new drugs cannot progress from design to implementation, and ultimately to a cure. This unit will tackle important factors in drug design, and will highlight the current arsenal of methods used in the discovery of new drugs, including rational drug design, high throughput screening and combinatorial chemistry

The aims of this module are to enable students to:

- develop a critical appreciation of the role of structure and reactivity in synthesis design;
- critically evaluate modern methods of functional group transformations and the application of protecting groups in synthesis design; "critically evaluate methodologies and approaches for the synthesis of stereoisomers and cyclic systems
- develop a critical understanding of the application of retrosynthetic and deconstructive methods to the design of pathways to synthetic drugs
- Appreciate the significance of synthetic pathways in relation to regulatory and pharmacopoeial requirements.

Learning Outcomes:

After completion of the course students are expected to:

1. Develop a high level understanding of structure, reactions and mechanism in organic chemistry.
2. Understand and explain principles of synthesis design.

3. Devise chemical syntheses of organic compounds.
4. Work to an advanced level in a chemical synthesis laboratory demonstrating effective laboratory safety and etiquette especially in the areas of handling of air sensitive reagents, chromatographic techniques and spectroscopic characterization.
5. Demonstrate effective report writing, experimental design and data analysis.
6. have a systematic understanding and be able to demonstrate ability in synthetic route design;
7. be able to design a synthetic route for complex molecular targets;

Course Contents:

Novel organic synthetic methods, small organic molecule synthesis, diazo group chemistry, reactive intermediates, natural product synthesis and microwave assisted reactions.

Medicinal organic chemistry: exploring and characterising the impurities which arise from the synthesis of various drug substances, in particular drugs of abuse. The synthesis and biological activity of natural products with medicinal properties, and their synthetic analogues.

Novel synthetic methodology - including the use of diazocarbonyl compounds and organosulfur compounds. Asymmetric synthesis - including biocatalysis and transition metal catalysis. Design and synthesis of bioactive compounds with pharmaceutical applications. Crystal engineering. Extensive interaction with the pharmaceutical industry both within Ireland and overseas is a key feature of the research team.

New synthetic methods, heterocyclic compounds, chemistry of nitrogen containing functional groups, investigation of reaction mechanisms, biotransformations of organic compounds and NMR spectroscopy

Indole and carbazole chemistry and their heterocyclic variants; Identification of new molecular templates for drug discovery.

Solid state aspects of organic chemistry, especially as applied to pharmaceuticals and biological compounds. Topics include crystal and particle engineering, crystal polymorphism, crystal morphology, crystal nucleation and growth, characterisation of crystal form and habit. Systems investigated include sulfanilamides, sugars, aromatics, pigments and other compounds, hence involving both molecular and supramolecular synthesis of these.

New strategies for the total synthesis of biologically active natural products (anti-HIV, anti-parasitic). Development of novel, chiral tethers for the control of intramolecular, aryl-aryl coupling. Organocatalytic preparation of chiral peroxyesters and application to the stereoselective synthesis of natural 1,2-dioxanes

Exercises:

- Oral presentation of an individually assigned research paper selected from an appropriate journal
- Problem solving data interpretation exercise involving a synthetic pathway and analytical data on intermediates and / or the end product;
- Computer aided synthesis design exercise.
- Synthesis and analysis of a compound

Learning Activities and Teaching Methods:

Lectures, class discussion, assignments

Assessment Methods:

Final Examination, Course work

Required Textbooks/Reading:

Authors	Title	Publisher	Year	ISBN
	New Trends in Synthetic Medicinal Chemistry (Methods and Principles in Medicinal Chemistry)	Wiley		
John Saunders	Top Drugs: Top Synthetic Routes	Oxford		

Recommended Textbooks/Reading:

Authors	Title	Publisher	Year	ISBN
Παπαϊωάννου Διονύσης Α.	Συνθετική Οργανική Χημεία	Παπαζήσης		