UNIVERSITY OF PATRAS
SCHOOL OF HEALTH SCIENCES
DEPARTMENT OF PHARMACY
POSTGRADUATE PROGRAM: **DRUG DESIGN AND DEVELOPMENT**

COURSE TITLE: MODERN METHODS IN DRUG SYNTHESIS

CODE: DPHA_A02

MODERN METHODS IN DRUG SYNTHESIS
COURSE OUTLINE

1. GENERAL

SCHOOL	HEALTH SCIENCES		
ACADEMIC UNIT	DEPARTMENT OF PHARMACY		
PARTICIPATING INSTITUTIONS	-		
TITLE of POSTGRADUATE PROGRAM	DRUG DESIGN AND DEVELOPMENT		
LEVEL	POSTGRADUATE		
COURSE CODE	**DPHA_A02**	**SEMESTER**	**B'**
COURSE TITLE	**MODERN METHODS IN DRUG SYNTHESIS**		

INDEPENDENT TEACHING ACTIVITIES	**WEEKLY TEACHING HOURS**	**CREDITS**
Courses	3	5

COURSE TYPE	• Background Course • Field of Science Course (Organic Chemistry, Medicinal Chemistry, Chemistry of Natural Products, Pharmacognosy) • Skills Development
PREREQUISITE COURSES	-
LANGUAGE of INSTRUCTION and EXAMINATIONS	Greek
COURSE OFFERED to ERASMUS STUDENTS	Yes
COUSRSE (URL)	http://www.pharmacy.upatras.gr/images/DS/DPHA_A02_EN.pdf

2. LEARNING OUTCOMES

Learning Outcomes
This course aims at acquiring knowledge, skills and competences related to Level 7 of the European Qualifications Framework for Lifelong Learning. Upon successful completion of the course: 1. The students will be able to understand and apply modern methodologies for the asymmetric synthesis of new bioactive molecules and drug candidates. 2. They will have comprehended synthetic methods and chemical transformations for common heterocycles which are incorporated in new bioactive molecules and known drugs. 3. They will be familiar with the Pd-catalyzed and multicomponent reactions for the synthesis of new bioactive molecules and known drugs.

4. They will have comprehended basic principles and methodologies of Combinatorial Chemistry and Parallel Synthesis for the design and generation of new bioactive compound libraries.

5. They will have comprehended the principles and methodologies of classical solid-phase peptide synthesis, as well as modern methodologies of microwave-assisted, green (environmentally friendly solvents and reagents) peptide synthesis and enzyme-mediated peptide ligation.

6. They will be able to understand, evaluate and analyze relevant organic synthesis methodologies reporting in the current literature.

7. They will be able to combine and apply the acquired knowledge to solve practical problems of organic synthesis.

General Competences

- Search for, analysis and synthesis of data and information, with the use of the necessary technology
- Working independently
- Team work
- Working in an international environment
- Working in an interdisciplinary environment
- Production of new research ideas
- Production of free, creative, and inductive thinking

3. SYLLABUS

LECTURES

- Allylic strain $A^{1,2}$ and $A^{1,3}$. Applications of allylic strain as controlling factor in stereoselective synthesis
- Asymmetric synthesis: Methods and applications in drug synthesis
- Asymmetric organocatalytic synthesis of saturated N-heterocyclic rings
- Chemistry of basic heterocycles incorporated in drugs
- Mechanisms of coupling reactions: Buchwald-Hartwig, Hiyama-Denmark, Kumada, Migita-Kosugi-Stille, Negishi, Suzuki-Miyaura, και Sonogashira
- Combinatorial Chemistry and Parallel Synthesis of bioactive compounds (Design and synthesis of compound libraries)
- Synthesis of small bioactive molecules via multicomponent reactions
- Modern solid phase peptide synthesis (linkers, resins and general procedures)
- Microwave-assisted solid-phase peptide synthesis
- Green peptide synthesis
- Enzyme-mediated peptide ligation

STUDENT SEMINARS

Selected case studies in modern drug synthesis

4. TEACHING and LEARNING METHODS - EVALUATION

DELIVERY	Face to face
USE of INFORMATION and COMMUNICATIONS TECHNOLOGY	• Teaching and learning processes are supported by the Upatras e-class platform. • Teaching process is supported by Information and Communication Technologies (ICTs).
TEACHING METHODS	***Activity*** — ***Semester Workload*** Lectures — 39 Seminar Presentation — 12 Seminar Preparation and unsupervised study — 74 ***Course Total*** **(25 hours of work-load per ECTS credit) — 125**
STUDENT PERFORMANCE EVALUATION	Language of Evaluation: Greek / English Written exams: • Multiple choice questions, short answer questions, matching questions (40% of the final grade) Seminar Presentation • Evaluation of individual seminar presentation (postgraduate students' and instructors' comments are taken under consideration for the evaluation (60% of the final grade)

5. RECOMMENDED BIBLIOGRAPHY

Suggested Bibliography:

1. K. C. Nicolaou et al., "*Classics in Total Synthesis I-III*".
2. Elias J. Corey, Laszlo Kurti, "*Enantioselective Chemical Synthesis: Methods, Logic, and Practice*" 1st Edition, Direct Book Publishing, 2010.
3. T. Eicher, S.Hauptmann, A. Speicher, "*The Chemistry of Heterocycles*", 3rd Edition, Wiley-VCH, 2012.
4. W. Bannwarth, B. Hinzen (Eds.), "*Combinatorial Chemistry, From Theory to Application*", 2nd Edition, Wiley-VCH, 2006.
5. A. Molnár (Ed.), "*Palladium-Catalyzed Coupling Reactions: Practical Aspects and Future Developments*", Wiley-VCH, 2013.
6. J. Zhu, Q. Wang, M.-X. Wang, "*Multicomponent Reactions in Organic Synthesis*", Wiley-VCH, 2015.

Related Academic Journals:

Angewandte Chemie International Edition, The Journal of Organic Chemistry, Journal of the American Chemical Society, Organic Letters, Chemical Reviews, Journal of Medicinal Chemistry, ACS Medicinal Chemistry Letters, European Journal of Medicinal Chemistry, Bioorganic and Medicinal Chemistry, Bioorganic and Medicinal Chemistry Letters, Tetrahedron, Tetrahedron Letters, European Journal of Organic Chemistry, Asian Journal of Organic Chemistry, Journal, Synthesis, Synlett.