COURSE OUTLINE FOR "BIOMACROMOLECULAR AND COMBINATORIAL CHEMISTRY"

1. GENERAL

SCHOOL	NATURAL SCIENCES AND HEALTH SCIENCES		
ACADEMIC UNIT	CHEMISTRY AND MEDICINE		
LEVEL OF STUDIES	POSTGRADUATE (MSc)		
COURSE CODE	BCC 110	**SEMESTER**	FIRST
COURSE TITLE	BIOMACROMOLECULAR AND COMBINATORIAL CHEMISTRY		

INDEPENDENT TEACHING ACTIVITIES	**WEEKLY TEACHING HOURS**	**CREDITS**
Lectures	4	5

COURSE TYPE *general background, special background, specialised general knowledge, skills development*	Special Background (Semi-optional course)
PREREQUISITE COURSES:	There are not prerequisite courses. It is however recommended that students should at least have basic knowledge of Organic Chemistry and Biochemistry.
LANGUAGE OF INSTRUCTION and EXAMINATIONS:	Greek. The powerpoint material of the course is in English. Teaching and examinations may be performed in English in case foreign students participate in the postgraduate program
IS THE COURSE OFFERED TO ERASMUS STUDENTS	Yes

2. LEARNING OUTCOMES

Learning outcomes

At the end of this course student should be able to:

- Describe the most important methods for the protection and orthogonal deprotection of multifunctional compounds.
- Know the most important solid supports used in solid phase organic synthesis.
- Describe the most important methods for the attachment and detachment of various small molecules to solid supports.
- Choose the most appropriate protecting group combination for a given synthesis.
- Describe the most important methods for the protection/deprotection of amino acids.
- Describe the most important solid supports used in solid phase peptide synthesis.
- Describe the most important methods for the peptide chain elongation.
- Recognize possible byproducts in a given synthesis.
- Describe the most important methods for disulfide bond formation.
- Choose the most appropriate materials and methods to create a small peptide or peptide library.
- Know the basic principles and techniques of combinatorial chemistry.
- Describe the most important methods for the selective protection and deprotection of nucleosides/nucleotides and monosaccharides.
- Know the most important solid supports used in solid phase synthesis of ologonucleotides and oligosaccharides.

- Describe the most important methods for the attachment of nucleotides/monosaccharides to and detachment of oligonucleotides/oligosaccharides from solid supports.
- Choose the most appropriate protecting group combination for a given synthesis of an ologonucleotide or ologosaccharide.
- Describe the most important methods for the oligonucleotide or oligosaccharide chain elongation.
- Recognize possible byproducts in a given synthesis of oligonucleotide/oligosaccharide.
- Describe the most important methods for assembling the chains of oligonucleotides and oligosaccharides (formation of phosphodiester bonds and glycosidic links).
- Choose the most appropriate materials and methods to create an oligosaccharide or oligonucleotide.

General Competences

By the end of this course the MSc student will, furthermore, have developed the following skills (abilities):

- Ability to demonstrate knowledge and understanding of the essential facts, concepts, theories and applications related to the Organic Synthesis of biomolecules (peptides, proteins, oligonucleoties and oligosaccharides)
- Ability to apply this knowledge and understanding to solve problems related to the Organic Synthesis of biologically important macromolecules of non-familiar nature
- Ability to apply this knowledge for understanding other syntheses of other types of biomolecules
- Ability to adopt and apply methodology for solving non-familiar problems
- Study skill needed for continuous professional development
- Ability to interact with others in solving problems of chemical/biochemical nature

Generally, by the end of this course the MSc student will have developed the following general abilities:

- Search for, analysis and synthesis of data and information, with the use of the necessary technology
- Adapting to new situations
- Decision-making
- Working independently
- Team work
- Criticism and self-criticism
- Production of free, creative and inductive thinking
- Working in an interdisciplinary environment
- Production of new research ideas

3. SYLLABUS

1. Synthesis of peptides/proteins-Combinatorial Chemistry
Introduction
General principles of solid phase synthesis
Commonly used resins
Attachment methods
Basic reactions of organic synthesis on solid phase
Protecting groups in solid phase organic synthesis
Synthesis of peptides and proteins
General principles
Synthetic strategies

Step by step synthesis
Convergent synthesis
Resins for the synthesis of peptides
Methods for the protection and deprotection of amino acids
Methods for peptide chain elongation
Byproducts during synthesis
Chemoselective methods
Selected examples of synthesis
Combinatorial chemistry
Serial techniques for the preparation of libraries and sublibraries
Parallel techniques
Split-and-combine method
Encoded libraries
Selected examples of libraries preparation

2. Synthesis of oligonucleotides
The diester method
The triester method
The 1,3,2-dioxaphosphole method
The phosphite method
The solid-phase method
The combined chemical-enzymatic method

3. Synthesis of di- and oligosaccharides
Protecting groups - Monosaccharide derivatives for di- and oligonucleotide synthesis
Creating glycosidic links: the Koenigs-Knorr reaction *(*1,2-*trans)*; Halide catalysis *(*1,2-*cis)*; the glycosyl trichloroacetamidate (TCA) coupling (1,2-*cis* και 1,2-*trans*), the 1,2-anhydrosugar-thioglycoside coupling (1,2-*cis* and 1,2-*trans*), the n-pentenyl glycoside coupling (1,2-*cis* and 1,2-*trans*).
Solid phase oligonycleotide synthesis.

4. TEACHING and LEARNING METHODS – EVALUATION

DELIVERY	Face to face
USE OF INFORMATION AND COMMUNICATIONS TECHNOLOGY	Use of ICT (powerpoint) in teaching Use of ICT in the communication with the students
TEACHING METHODS	

Activity	***Semester workload***
Lectures	28
Final examination	3
Private study of the course material throughout the lecturing period. Preparation for the final examination.	94
Course total ***(25 work load for each ECTS credit)***	***125***

STUDENT PERFORMANCE EVALUATION	1. Written examination. Greek grading scale: 1 to 10. Minimum passing grade: 5. Grades ≤ 3 correspond to ECTS grade F. Grade 4 corresponds to ECTS grade FX. For the passing grades the following equivalence normally holds

	with the ECTS passing grades: 5 = E, 6 = D, 7 = C, 8 = B and ≥ 9 = A 2. Greek language is used. For foreign students (e.g. Erasmus students) it can be done in English. 3. Students with writing problems can be examined orally at the same day and hour with the written examination.

5. RECOMMENDED LITERATURE

- Suggested bibliography:

1. P. L.-Williams, F. Albericio and E. Giralt, Chemical Approaches to the Synthesis of Peptides and Proteins, CRC Press, New York, 1997.

2. G. Jung (Ed.), Combinatorial Peptide and Nonpeptide Libraries, A Handbook, VCH, 1996.

3. Novabiochem Catalog 2006/2007, Synthesis Notes.

4. Chan WC and White PD (Eds) Fmoc Solid Phase Peptide Synthesis - A Practical Approach, Oxford University Press, New York, 2000.

5. J. Jones, "Amino Acid and Peptide Synthesis", Oxford Chemistry Primers-Oxford Science Publications, Oxford University Press, Oxford, 1992.

- Related academic sources and journals:

1. Jürgen-Hinrich Fuhrhop and Guangtao Li, "*Organic Synthesis: Concepts and Methods*'', 3rd Ed. WILEY-VCH, Weinheim, 2003, pp. 309-356.

2. A. Miller and J. Tanner, "*Essentials of Chemical Biology: Structure and Dynamics of Biological Macromolecules"*, John Wiley & Sons, Ltd, Chichester, 2008, 93-119.

3. R. V. Stick, "Carbohydrates: The Sweet Molecules of Life'', Academic Press, San Diego, California, 2001.