



PHARMACY
INTEGRATED ACADEMIC STUDIES
SECOND YEAR OF STUDIES

2023/2024

MEDICINAL CHEMISTRY 1

Course Name:

MEDICINAL CHEMISTRY 1

Medicinal chemistry 7 ECTS. There are 4 hours of active classes per week (2 hours of lectures and 2 hours of work in a small group)

TEACHERS AND ASSOCIATES WHO PERFORM TEACHING:

	Name and surname	Email	
1.	Slobodan Novokmet	slobodan.novokmet@medf.kg.ac.rs	Full Professor
2.	Jovana Novakovic	jovana.jeremic@medf.kg.ac.rs	Assistant Professor
3.	Isidora Milosavljevic	isidora.stojic@medf.kg.ac.rs	Assistant Professor
4.	Nevena Dragicic	nevenasdraginic@gmail.com	Teaching Fellow

COURSE STRUCTURE:

Title	Week	Lectures	Small group work	Teachers
Medicinal chemistry 1	15	2	2	Prof. Slobodan Novokmet Ass. Prof. Jovana Novakovic
				$\Sigma 30+30=60$

GRADING SYSTEM:

The grade is equivalent to the number of points earned (see tables). Points are earned in two ways:

PRE-EXAM OBLIGATIONS:

Class attendance - maximum of two absences 10 points
2 tests that include material covered in lectures 40 points

FINAL EXAM:

Final written exam, in this way, a student can earn up to 50 points.

Medicinal chemistry 1	MAXIMUM POINTS			
	Class attendance	Tests	Final written exam	Σ
	10	2 × 20	50	
Σ	10	40	50	100

The final grade is formed as follows:

In order to pass the course, the student must obtain a minimum of 51 points.

In order to pass the course, the student must:

1. acquires more than 50% of the points provided for the pre-exam activity attendance at classes
2. obtains more than 50% of the points provided for the pre-exam activity of the teaching colloquium
3. pass the oral final exam, that is, to have more than 50% of the predicted points.

Points	grade
0 - 50	5
51 - 60	6
61 - 70	7
71 - 80	8
81 - 90	9
91 - 100	10

LITERATURE:

TEXTBOOKS	THE AUTHORS	PUBLISHER	THE LIBRARY
Introduction to Medicinal Chemistry, 4th Edition.	Patrick GL (Ed)	Oxford: University Press; 2009	Yes
Essentials of Pharmaceutical Chemistry, 3rd Edition.	Cairns D (Ed)	London, Chicago: Pharmaceutical Press; 2008	Yes
Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, 12th Edition.	Beale JM, Block JH (Eds)	Philadelphia: Lippincott Williams & Wilkins; 2011	Yes
Fundamentals of Medicinal Chemistry	Thomas G (Ed)	London, United Kingdom, 2003	Yes
All lectures and material for group work are available on the website of the Faculty of Medical Sciences: www.medf.kg.ac.rs			

THE PROGRAM

TEACHING UNIT 1:

INTRODUCTION TO MEDICINAL CHEMISTRY

Lectures - 2 hours	Work in a small group - 2 hours
Introduction to medicinal chemistry. History and development of medicinal chemistry. Definition of drug molecule, origin of drugs; the role of medicinal chemistry in the discovery and design of new drug molecules.	An introduction to Medicinal Chemistry

TEACHING UNIT 2:

HOW NEW DRUGS ARE DEVELOPED: NATURAL PRODUCTS AND DRUG DISCOVERY

Lectures - 2 hours	Work in a small group - 2 hours
From plants to natural products to marketed drug. Complementary drug discovery approaches. Emerging new sources and targets of drugs.	Natural products and drug discovery

TEACHING UNIT 3:

RELATIONSHIPS OF FUNCTIONAL GROUPS TO PHARMACOLOGICAL ACTIVITY

Lectures - 2 hours	Work in a small group - 2 hours
Identify the individual functional groups that comprise the structure of a given drug molecule. Explain the general purpose of functional groups and provide specific examples of how functional groups affect drug activity. Explain how different functional groups can affect therapeutic outcomes.	Functional group characteristics and roles

TEACHING UNIT 4:

IDENTIFYING ACIDIC AND BASIC FUNCTIONAL GROUPS

Lectures - 2 hours	Work in a small group - 2 hours
Identify the individual functional groups that comprise the structure of a given drug molecule. Identify the acidic and basic functional groups.	Identify the acidic and basic functional groups.

TEACHING UNIT 5:

PHYSICAL-CHEMICAL PROPERTIES OF DRUG MOLECULES

Lectures - 2 hours	Work in a small group - 2 hours
Physico-chemical properties of drug molecules in relation to biological action. Hydrophobic properties of drug molecules and the influence of the electronic effect of different substituents on the ionization and polarity of drug molecules.	Physico-chemical properties of drug molecules - examples

TEACHING UNIT 6:

THE DEGREE OF DRUG IONIZATION AND LIPOPHILITY OF DRUG MOLECULES

Lectures - 2 hours	Work in a small group - 2 hours
Degree of ionization of drug molecules and the influence of acid-base properties on the degree of	Ionization and lipophilicity - examples of drug molecules

ionization of drug molecules. Lipophilicity of drug molecules and lipophilicity parameters. Determination and significance of lipophilicity in drug chemistry. Lipinski's rule of five.

TEACHING UNIT 7:

SOLUBILITY OF DRUG MOLECULES

Lectures - 2 hours	Work in a small group - 2 hours
Significance of the solubility of drug molecules in water. Factors affecting the solubility of drug molecules and different ways to optimize solubility.	Solubility - examples of drug molecules

TEACHING UNIT 8:

DRUG METABOLISM

Lectures - 2 hours	Work in a small group - 2 hours
The influence of biological factors on the metabolism of drug molecules; the role of drug metabolism; reactions of the first stage of biotransformation.	Biotransformation of drug molecules; oxidation reactions - examples

TEACHING UNIT 9:

DRUG METABOLISM

Lectures - 2 hours	Work in a small group - 2 hours
Reactions of the first stage of biotransformation	Biotransformation of drug molecules; reduction and hydrolysis reactions - examples

TEACHING UNIT 10:

DRUG METABOLISM

Lectures - 2 hours	Work in a small group - 2 hours
Reactions of the second phase of biotransformation – conjugation, the capacity of the conjugation process, methylation, acetylation.	Biotransformation of drug molecules; second phase reactions - examples

TEACHING UNIT 11:

DRUG METABOLISM

Lectures - 2 hours	Work in a small group - 2 hours
Reaction of forming conjugates with: sulfuric acid (sulfoconjugation), α -amino acids and glucuronic acid.	Biotransformation of drug molecules; second phase reactions - examples

TEACHING UNIT 12:

PRODRUGS AND SOFT DRUGS

Lectures - 2 hours	Work in a small group - 2 hours
Definition of prodrugs and soft drugs and its development. Key advantages of these drugs. Metabolism of prodrugs and soft drugs leading to drug action	Prodrugs and soft drugs.

TEACHING UNIT 13:

STABILITY OF DRUGS

Lectures - 2 hours	Work in a small group - 2 hours
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Stability of medicines; stability of drugs with free radical properties; prevention of oxidative degradation of drugs; autooxidation; "aging" of drugs; drugs that are subject to hydrolysis; other mechanisms of drug degradation.

Stability of drugs - examples

TEACHING UNIT 14:

MEDICAL CHEMISTRY OF ENZYMES

Lectures - 2 hours	Work in a small group - 2 hours
Enzymes as catalysts; active sites of enzymes; binding of the substrate to the active site in the enzyme; binding reactions; acid-base catalysis; nucleophilic groups.	Medicinal chemistry of enzymes

TEACHING UNIT 15:

MEDICINAL CHEMISTRY OF RECEPTORS

Lectures - 2 hours	Work in a small group - 2 hours
Receptors; binding sites on receptors; conformational changes of the receptor. Principles in the design of agonists and antagonists from the aspect of receptor structure.	Medicinal chemistry of receptors

LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
1				L		
2						
3						
4						
5						
6						

LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
7						
8						
					The first test	
9						
10						

LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
11						
12						
13						
14						

LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
15						
					The Second Test	
					Final Exam	