



**PHARMACY  
INTEGRATED ACADEMIC STUDIES**

**THE SECOND YEAR OF STUDIES**

2024/2025

**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@fmn.kg.ac.rs	Full Professor
2.	Isidora Milosavljevic	isidora.milosavljevic@fmn.kg.ac.rs	Assistant Professor
3.	Jovana Novakovic	jovana.novakovic@fmn.kg.ac.rs	Assistant Professor
4.	Maja Savic	maja.savic@fmn.kg.ac.rs	Teaching Assistant
5.	Nevena Dragicin	nevenasdraginic@gmail.com	Teaching Assistant
6.	Jelena Terzic	jelena.terzic@fmn.kg.ac.rs	Junior Teaching Assistant

## COURSE STRUCTURE:

Title	Week	Lectures	Small group work	Teachers
Medicinal chemistry 1	15	2	2	Ass. Prof. Isidora Milosavljevic 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:

Activity during class - maximum 20 points

2 tests that include material covered in lectures 30 points

### FINAL EXAM:

Final written exam - maximum 50 points.

Medicinal chemistry 1	MAXIMUM POINTS			
	Activity during class	Test	Final written exam	$\Sigma$
	4 x 5	30	50	
$\Sigma$	<b>20</b>	<b>30</b>	<b>50</b>	<b>100</b>

### 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 (25.5 points) provided for the pre-exam activity (Activity during class and Test)
2. acquires more than 50% of the points (25.5 points) provided for the written final exam

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

## LITERATURE:

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

# 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:

### FUNCTIONAL GROUPS

Lectures - 2 hours	Work in a small group - 2 hours
The identification, properties, and reactivity of key functional groups in organic molecules, as well as their relevance in drug design and pharmacology.	Functional group characteristics and roles

## TEACHING UNIT 3:

### PHYSICO-CHEMICAL PROPERTIES OF DRUGS: ACIDITY AND BASICITY OF FUNCTIONAL GROUPS

Lectures - 2 hours	Work in a small group - 2 hours
Understanding of how the pKa values of functional groups influence drug solubility, absorption, and pharmacokinetics, as well as their role in drug-receptor interactions.	Identify the acidic and basic functional groups.

## TEACHING UNIT 4:

### PHYSICO-CHEMICAL PROPERTIES OF DRUGS: IONIZATION

Lectures - 2 hours	Work in a small group - 2 hours
The principles of ionization, its impact on drug solubility, permeability, and distribution, and how it affects the pharmacokinetic behavior and therapeutic efficacy of drugs.	Ionization - examples of drug molecules

## TEACHING UNIT 5:

### PHYSICO-CHEMICAL PROPERTIES OF DRUGS: LIPOPHILICITY

Lectures - 2 hours	Work in a small group - 2 hours
The role of lipophilicity in drug absorption, distribution, metabolism, and excretion (ADME), its influence on membrane permeability, and its importance in drug design and pharmacodynamics.	Lipophilicity - examples of drug molecules

## TEACHING UNIT 6:

### PHYSICO-CHEMICAL PROPERTIES OF DRUGS: SOLUBILITY

Lectures - 2 hours	Work in a small group - 2 hours
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The factors affecting drug solubility, the relationship between solubility and bioavailability, and the strategies for enhancing solubility to improve drug formulation and therapeutic efficacy.	Solubility - examples of drug molecules
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TEACHING UNIT 7:

**BIOTRANSFORMATION OF DRUG MOLECULES**

Lectures - 2 hours	Work in a small group - 2 hours
Enzymatic processes involved in drug metabolism, the phases of biotransformation (Phase I and Phase II reactions), the impact on drug activity and elimination, and the role of genetic and environmental factors in influencing drug metabolism.	Biotransformation of drug molecules; oxidation reactions – examples

TEACHING UNIT 8:

**BIOTRANSFORMATION OF DRUG MOLECULES: BIO-OXIDATION**

Lectures - 2 hours	Work in a small group - 2 hours
The mechanisms of oxidative metabolism, the role of cytochrome P450 enzymes, the types of oxidation reactions (e.g., hydroxylation, deamination), and the impact of bio-oxidation on drug activity, toxicity, and clearance.	Biotransformation of drug molecules; oxidation reactions - examples

TEACHING UNIT 9:

**BIOTRANSFORMATION OF DRUG MOLECULES: BIOREDUCTION, BIOHYDROLYSIS AND BIOHYDRATION**

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:

**BIOTRANSFORMATION OF DRUG MOLECULES: REACTIONS OF THE SECOND PHASE OF BIOTRANSFORMATION - CONJUGATION; THE CAPACITY OF THE CONJUGATION PROCESS; METHYLATION; ACETYLATION; REACTION OF FORMING CONJUGATES WITH: SULFURIC ACID (SULFOCONJUGATION), A-AMINO ACIDS AND GLUCURONIC ACID.**

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:

**MOLECULAR-CHEMICAL BASIS OF THE MECHANISM OF DRUG ACTION: RECEPTORS**

Lectures - 2 hours	Work in a small group - 2 hours
Receptors; binding sites on receptors; conformational changes of the receptor. Principles	Medicinal chemistry of receptors

in the design of agonists and antagonists from the aspect of receptor structure.

TEACHING UNIT 12:

**MOLECULAR-CHEMICAL BASIS OF THE MECHANISM OF DRUG ACTION:  
ENZYMES, NUCLEIC ACIDS**

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 and nucleic acids

TEACHING UNIT 13:

**PRODRUGS**

Lectures - 2 hours	Work in a small group - 2 hours
The concept and design of prodrugs, the mechanisms by which they are activated in the body, their advantages in improving drug solubility, stability, and targeting, and examples of clinically used prodrugs.	Prodrugs - examples

TEACHING UNIT 14:

**NATURAL REMEDIES**

Lectures - 2 hours	Work in a small group - 2 hours
The types of natural remedies, their active components, mechanisms of action, therapeutic uses, safety considerations, and the role of natural products in modern medicinal chemistry.	Natural remedies - examples

TEACHING UNIT 15:

**RECAPITULATION**

Lectures - 2 hours	Work in a small group - 2 hours
A comprehensive review of key concepts covered throughout the course, reinforce critical learning points, clarify any misunderstandings, and integrate knowledge across different topics to ensure a thorough understanding of the subject matter.	Exam simulation



## LECTURE SCHEDULE

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Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
1				L	Introduction to Medicinal Chemistry	Ass. Prof. Jovana Novakovic
				WSG	Introduction to Medicinal Chemistry	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
2				L	Functional groups	Ass. Prof. Jovana Novakovic
				WSG	Functional groups	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
3				L	Physico-chemical properties of drugs: acidity and basicity of functional groups.	Ass. Prof. Isidora Milosavljevic
				WSG	Physico-chemical properties of drugs: acidity and basicity of functional groups.	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
4				L	Physico-chemical properties of drugs: ionization.	Ass. Prof. Isidora Milosavljevic
				WSG	Physico-chemical properties of drugs: ionization.	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
5				L	Physico-chemical properties of drugs: lipophilicity.	Ass. Prof. Jovana Novakovic
				WSG	Physico-chemical properties of drugs: lipophilicity.	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
6				L	Physico-chemical properties of drugs: solubility.	Ass. Prof. Jovana Novakovic

## LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
				<b>WSG</b>	Physico-chemical properties of drugs: solubility.	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
<b>7</b>				<b>L</b>	Biotransformation of drug molecules	Ass. Prof. Isidora Milosavljevic
				<b>WSG</b>	Biotransformation of drug molecules.	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
<b>8</b>				<b>L</b>	Biotransformation of drug molecules: biooxidation.	Ass. Prof. Isidora Milosavljevic
				<b>WSG</b>	Biotransformation of drug molecules: biooxidation.	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
<b>Test</b>						
<b>9</b>				<b>L</b>	Biotransformation of drug molecules: bioreduction, biohydrolysis and biohydration.	Ass. Prof. Jovana Novakovic
				<b>WSG</b>	Biotransformation of drug molecules: bioreduction, biohydrolysis and biohydration.	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic

## LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
10				L	Biotransformation of drug molecules: Reactions of the second phase of biotransformation - conjugation; the capacity of the conjugation process; methylation; acetylation; reaction of forming conjugates with: sulfuric acid (sulfoconjugation), $\alpha$ -amino acids and glucuronic acid.	Ass. Prof. Jovana Novakovic
				WSG	Biotransformation of drug molecules: Reactions of the second phase of biotransformation - conjugation; the capacity of the conjugation process; methylation; acetylation; reaction of forming conjugates with: sulfuric acid (sulfoconjugation), $\alpha$ -amino acids and glucuronic acid.	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
11				L	Molecular-chemical basis of the mechanism of drug action: receptors	Ass. Prof. Isidora Milosavljevic
				WSG	Molecular-chemical basis of the mechanism of drug action: receptors	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
12				L	Molecular-chemical basis of the mechanism of drug action: enzymes, nucleic acids	Ass. Prof. Isidora Milosavljevic
				WSG	Molecular-chemical basis of the mechanism of drug action: enzymes, nucleic acids	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
13				L	Prodrugs	Ass. Prof. Jovana Novakovic
				WSG	Prodrugs	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic

## LECTURE SCHEDULE

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
14				L	Natural remedies	Ass. Prof. Jovana Novakovic
				WSG	Natural remedies	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
15				L	Recapitulation	Ass. Prof. Isidora Milosavljevic
				WSG	Recapitulation	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
					<b>Final written exam</b>	