



**PHARMACY**  
**INTEGRATED ACADEMIC STUDIES**  
**THIRD YEAR OF STUDIES**

2023/2024.

**MEDICINAL CHEMISTRY 2**

Course Name:

## **MEDICINAL CHEMISTRY 2**

Medicinal chemistry 5 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 Dragicin	nevenasdraginic@gmail.com	Teaching Fellow

## COURSE STRUCTURE:

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

## 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 oral or written exam, in this way, a student can earn up to 50 points.

Medicinal chemistry 2	MAXIMUM POINTS			
	Class attendance	Tests	Final written exam	$\Sigma$
	10	2 × 20	50	
$\Sigma$	<b>10</b>	<b>40</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 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	<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:

TEXTBOOKS	THE AUTHORS	PUBLISHER	THE LIBRARY
Foye's Principles of Medicinal Chemistry, 7 <sup>th</sup> Edition, International Edition	Lemke TL, Williams DA (eds)	Philadelphia: Lippincot Williams & Wilkins, 2013	Yes
Medicinal Chemistry: A Molecular and Biochemical Approach, 3 <sup>rd</sup> Edition.	Nogardy T, Weaver DF (eds)	Oxford University Press, Inc. New York, 2005	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
Analogue-based Drug Discovery	Fischer J, Ganellin CR (eds)	Wiley-VCH Verlag GmbH & Co. KGaA , Weinheim, 2006	Yes

All lectures and material for group work are available on the website of the Faculty of Medical Sciences: [www.medf.kg.ac.rs](http://www.medf.kg.ac.rs)

# THE PROGRAM

## TEACHING UNIT 1:

### RELATIONSHIP OF FUNCTIONAL GROUPS TO PHARMACOLOGICAL ACTIVITY

Lectures - 2 hours	Work in a small group - 2 hours
Structure-Bioactivity Relationships for drug molecule; Selectivity, physiochemical properties and stereochemical parameters of drug molecules.	Physiochemical properties of drug molecules - examples

## TEACHING UNIT 2:

### ANTI-HISTAMINES AND RELATED ANTI-ALLERGIC AGENTS

Lectures - 2 hours	Work in a small group - 2 hours
Inhibitors of Histamine Release; First-Generation H <sub>1</sub> Antihistamines; Second-Generation Nonsedating H <sub>1</sub> Antihistamines.	H <sub>1</sub> -Receptor Antagonists

## TEACHING UNIT 3:

### ANTI-ULCER AGENTS

Lectures - 2 hours	Work in a small group - 2 hours
H <sub>2</sub> Antihistamines: discovery, structural requirements, therapeutic application and metabolism.	H <sub>2</sub> -Receptor Antagonists

## TEACHING UNIT 4:

### PROTON PUMP INHIBITORS

Lectures - 2 hours	Work in a small group - 2 hours
Design (from timoprazole over picoprazole to omeprazole); Mechanism of action; Metabolism; Single-Enantiomer Proton Pump Inhibitors.	Proton Pump Inhibitors

## TEACHING UNIT 5:

### ADRENERGIC RECEPTOR AGONISTS

Lectures - 2 hours	Work in a small group - 2 hours
$\alpha$ -adrenergic receptor agonists (phenylethanol amines, 2-arylimidazoles); Selective and nonselective $\beta$ -adrenergic receptor agonists.	Adrenergic receptor agonists

## TEACHING UNIT 6:

### ADRENERGIC RECEPTOR ANTAGONISTS

Lectures - 2 hours	Work in a small group - 2 hours
Nonselective $\alpha$ -adrenergic receptor antagonists; Selective $\alpha_1$ -adrenergic receptor antagonists; $\beta$ -adrenergic receptor antagonists; Propranolol and other $\beta$ -blockers; Mixed $\alpha/\beta$ -adrenergic receptor antagonists.	Adrenergic receptor antagonists

## TEACHING UNIT 7:

### CALCIUM CHANNEL BLOCKERS

Lectures - 2 hours	Work in a small group - 2 hours
Chemical classification; 1,4-Dihydropyridines; Structure-Activity Relationships; Mechanism of action; Physiochemical properties.	Calcium channel blockers

## TEACHING UNIT 8:

**DIURETICS**

Lectures - 2 hours	Work in a small group - 2 hours
Osmotic diuretics; Carbonic anhydrase inhibitors; Benzothiadizine (thiazide diuretics); Thiazide-like diuretics; High-ceiling (Loop) diuretics; Mineralocorticoid receptor antagonists; Potassium-sparing diuretics.	Diuretics

## TEACHING UNIT 9:

**ANGIOTENSIN-CONVERTING ENZYME INHIBITORS**

Lectures - 2 hours	Work in a small group - 2 hours
Development of ACE-inhibitors - from peptide to nonpeptide agents; Sulfhydryl-, dicarboxylate-, and phosphonate-containing ACE-inhibitors: mechanism of action, SAR, physicochemical properties.	ACE-inhibitors

## TEACHING UNIT 10:

**ANGIOTENSIN II RECEPTOR BLOCKERS**

Lectures - 2 hours	Work in a small group - 2 hours
Development of angiotensin II receptor blockers; losartan and additional agents; Mechanism of action; Structure-activity relationships; Physicochemical properties; Metabolism.	Angiotensin II Receptor Blockers (AT <sub>1</sub> - antagonists)

## TEACHING UNIT 11:

**HMGCoA REDUCTASE INHIBITORS**

Lectures - 2 hours	Work in a small group - 2 hours
Development; Structure-activity relationships; Mechanism of action; Physicochemical properties; Metabolism.	HMGCoa-inhibitors

## TEACHING UNIT 12:

**MUSCARINIC AGONISTS AND ANTAGONISTS**

Lectures - 2 hours	Work in a small group - 2 hours
Acetylcholine mimetics; Structure-activity relationships for muscarinic activity; Specific muscarinic agonists and antagonists;	Muscarinic agonists and antagonists

## TEACHING UNIT 13:

**ANTIPSYCHOTIC AGENTS**

Lectures - 2 hours	рад у малој групи 2 часа
First-generation (typical) antipsychotic drugs: phenothiazines, butyrophenones, benzamides; Second-generation (atypical) antipsychotic drugs: benzazepine and related agents, benzisoxazole and benzisothiazole derivatives.	Antipsychotic agents

## TEACHING UNIT 14:

**PSYCHOTOMIMETIC AGENTS**

Lectures - 2 hours	Work in a small group - 2 hours
Drugs of abuse and their therapeutic potential. Nonclassical agents; Classical hallucinogens; Central stimulants; Cocaine-related agents.	Hallucinogens

TEACHING UNIT 15:

**ANTIPARKINSONIAN AGENTS**

Lectures - 2 hours	Work in a small group - 2 hours
L-DOPA therapy; Dopamine receptor agonists; Aporphine-type dopamine receptor agonists; Ergot-type dopamine receptor agonists; Monoamine oxidase inhibitors; Catechol-O-methyltransferase inhibitors; Glutamate antagonists ; Adenosine receptor antagonists.	Antiparkinsonian agents





<b>Week</b>	<b>Date</b>	<b>Time</b>	<b>Place</b>	<b>Type</b>	<b>Teaching Unit 1</b>	<b>Teacher</b>
<b>1</b>						
<b>2</b>						
<b>3</b>						
<b>4</b>						
<b>5</b>						
<b>6</b>						

<b>Week</b>	<b>Date</b>	<b>Time</b>	<b>Place</b>	<b>Type</b>	<b>Teaching Unit 1</b>	<b>Teacher</b>
<b>7</b>						
<b>8</b>						
<b>9</b>						
<b>10</b>						

Week	Date	Time	Place	Type	Teaching Unit 1	Teacher
11						
					<b>The First Test</b>	
12						
13						
14						

<b>Week</b>	<b>Date</b>	<b>Time</b>	<b>Place</b>	<b>Type</b>	<b>Teaching Unit 1</b>	<b>Teacher</b>
<b>15</b>						
					<b>The Second Test</b>	
					<b>Final Exam</b>	