

# PHARMACY INTEGRATED ACADEMIC STUDIES

# THE THIRD YEAR OF STUDIES

2024/2025

Course Name:

# **MEDICINAL CHEMISTRY 2**

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 Lazarevic	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 2	15	2	2	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
				Σ 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:**

2 tests that include material covered in lectures - 50 points.

#### FINAL EXAM:

Final written exam - 50 points.

	MAXIMUM POINTS		
Medicinal chemistry 2	Tests	Final written exam	Σ
	2 x 25	50	
Σ	50	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 (26 points) provided for the pre-exam activity (at least 13 points on the first test and at least 13 points on the second test)

2. acquires more than 50% of the points provided for the written final exam

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:

#### HISTAMINE AND ITS IMPORTANCE IN MEDICINAL CHEMISTRY

Lectures - 2 hours	Work in a small group - 2 hours
The role of histamine as a biogenic amine	
involved in various physiological processes,	
including allergic responses, gastric acid	
secretion, and neurotransmission. It should	
also cover how understanding histamine's	
actions has led to the development of	An introduction to Medicinal Chemistry
histamine receptor antagonists, which are	
crucial in treating allergies, peptic ulcers, and	
other conditions, and discuss the impact of	
histamine-related research on drug design and	
therapeutic strategies.	

#### TEACHING UNIT 2:

### HISTAMINE H1 - RECEPTOR ANTAGONISTS

Lectures - 2 hours	Work in a small group - 2 hours
The chemical structures and mechanisms of action of H1-receptor antagonists, their role in blocking histamine at H1 receptors to alleviate symptoms of allergic reactions, their therapeutic uses in treating conditions such as allergic rhinitis, urticaria, and motion sickness, as well as their pharmacokinetic profiles, potential side effects, and interactions with other medications.	Functional group characteristics and roles

#### TEACHING UNIT 3:

### **HISTAMINE H2 - RECEPTOR ANTAGONISTS**

Lectures - 2 hours	Work in a small group - 2 hours
The chemical structures and mechanisms of action of H2-receptor antagonists, their role in competitively inhibiting histamine at H2 receptors in the gastric mucosa to reduce gastric acid secretion, their therapeutic applications in treating conditions such as peptic ulcers and gastroesophageal reflux disease (GERD), and their pharmacokinetic properties, potential side effects, and drug interactions.	Identify the acidic and basic functional groups.

TEACHING UNIT 4:

### **PROTON PUMP INHIBITORS**

Lectures - 2 hours	Work in a small group - 2 hours
The chemical structures and mechanisms of	
action of proton pump inhibitors (PPIs), their	Ionization - examples of drug molecules
role in irreversibly inhibiting the H+/K+	

ATPase enzyme in the gastric parietal cells, their therapeutic uses in treating conditions such as peptic ulcers and gastroesophageal reflux disease (GERD), and their pharmacokinetic properties, potential side effects, and interactions with other medications.

#### TEACHING UNIT 5:

## CALCIUM CHANNEL BLOCKERS

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Lectures - 2 hours	Work in a small group - 2 hours
The chemical structures and mechanisms of action of calcium channel blockers, their role in inhibiting calcium influx through L-type calcium channels, their therapeutic applications in treating hypertension, angina, and certain arrhythmias, and their pharmacokinetic properties, side effects, and potential drug interactions.	Lipophilicity - examples of drug molecules

#### TEACHING UNIT 6:

## CALCIUM CHANNEL BLOCKERS

CALCIUM CHANNEL BLUCKERS		
Lectures - 2 hours	Work in a small group - 2 hours	
The chemical structures and mechanisms of action of calcium channel blockers, their role in inhibiting calcium influx through L-type calcium channels, their therapeutic applications in treating hypertension, angina, and certain arrhythmias, and their pharmacokinetic properties, side effects, and potential drug interactions.	Solubility - examples of drug molecules	

#### **TEACHING UNIT 7:**

### DIURETICS

Lectures - 2 hours	Work in a small group - 2 hours
Different classes of diuretics (such as thiazide, loop, and potassium-sparing diuretics), their chemical structures, mechanisms of action, effects on renal function, and their therapeutic uses in treating conditions like hypertension, heart failure, and edema, as well as their potential side effects and interactions with other medications.	Biotransformation of drug molecules; oxidation reactions – examples

### **TEACHING UNIT 8:**

DIURE	TICS
Lectures - 2 hours	Work in a small group - 2 hours

Different classes of diuretics (such as thiazide, loop, and potassium-sparing diuretics), their chemical structures, mechanisms of action, effects on renal function, and their therapeutic uses in treating conditions like hypertension, heart failure, and edema, as well as their potential side effects and interactions with other medications.	Biotransformation of drug molecules; oxidation reactions - examples
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#### TEACHING UNIT 9:

## ANGIOTENSIN-CONVERTING ENZYME INHIBITORS

Lectures - 2 hours	Work in a small group - 2 hours	
The chemical structures and mechanisms of action of ACE inhibitors, their role in inhibiting the conversion of angiotensin I to angiotensin II, their therapeutic applications in managing hypertension and heart failure, as well as their pharmacokinetic properties, potential side effects, and drug interactions.	Biotransformation of drug molecules; reduction and hydrolysis reactions - examples	

#### TEACHING UNIT 10:

### AT1 RECEPTOR ANTAGONISTS

AITRECEITOR	
Lectures - 2 hours	Work in a small group - 2 hours
The chemical properties and structures of AT1 receptor antagonists (also known as angiotensin II receptor blockers or ARBs), their mechanisms of action in blocking the angiotensin II type 1 receptor, their therapeutic uses in treating hypertension and heart failure, and their pharmacokinetic and pharmacodynamic profiles	Biotransformation of drug molecules; second phase reactions - examples

#### TEACHING UNIT 11:

# HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE INHIBITORS

The mechanism of action of HMG-CoA reductase inhibitors (statins), their chemical structures, their role in cholesterol	Lectures - 2 hours	Work in a small group - 2 hours
biosynthesis inhibition, and their therapeutic applications in managing hyperlipidemia and cardiovascular diseases, as well as potential side effects and drug interactions	The mechanism of action of HMG-CoA reductase inhibitors (statins), their chemical structures, their role in cholesterol biosynthesis inhibition, and their therapeutic applications in managing hyperlipidemia and cardiovascular diseases, as well as potential	

TEACHING UNIT 12:

# HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE INHIBITORS

Lectures - 2 hours	Work in a small group - 2 hours
The mechanism of action of HMG-CoA reductase inhibitors (statins), their chemical structures, their role in cholesterol biosynthesis inhibition, and their therapeutic	Medicinal chemistry of enzymes and nucleic acids

applications in managing hyperlipidemia and cardiovascular diseases, as well as potential side effects and drug interactions.

### TEACHING UNIT 13: AGONISTS AND ANTAGONISTS OF ADRENERGIC RECEPTORS

Lectures - 2 hours	Work in a small group - 2 hours	
The chemical structures and pharmacological profiles of adrenergic receptor agonists and antagonists, their interactions with adrenergic receptors, the impact of these interactions on receptor function and downstream signaling pathways, and their implications for drug design and therapeutic applications.	Prodrugs - examples	

#### **TEACHING UNIT 14:**

## AGONISTS AND ANTAGONISTS OF ADRENERGIC RECEPTORS

Lectures - 2 hours	Work in a small group - 2 hours	
The chemical structures and pharmacological profiles of adrenergic receptor agonists and antagonists, their interactions with adrenergic receptors, the impact of these interactions on receptor function and downstream signaling pathways, and their implications for drug design and therapeutic applications.	Examples of drug molecules and their metabolizam	

#### 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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# **LECTURE SCHEDULE**

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LECTURE SCHEDULE						
Week	Date	Time	Place	Туре	Teaching Unit 1	Teacher
				L	HISTAMINE AND ITS IMPORTANCE IN MEDICINAL CHEMISTRY	Ass. Prof. Isidora Milosavljevic
1				WSG	HISTAMINE AND ITS IMPORTANCE IN MEDICINAL CHEMISTRY	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
				L	HISTAMINE H1-RECEPTOR ANTAGONISTS	Ass. Prof. Isidora Milosavljevic
2				WSG	HISTAMINE H1-RECEPTOR ANTAGONISTS	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
				L	HISTAMINE H2-RECEPTOR ANTAGONISTS	Ass. Prof. Jovana Novakovic
3				WSG	HISTAMINE H2-RECEPTOR ANTAGONISTS	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
				L	PROTON PUMP INHIBITORS	Ass. Prof. Jovana Novakovic
4				WSG	PROTON PUMP INHIBITORS	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
				L	CALCIUM ANTAGONISTS	Ass. Prof. Jovana Novakovic
5				WSG	CALCIUM ANTAGONISTS	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
6				L	CALCIUM ANTAGONISTS	Ass. Prof. Jovana Novakovic

LECTURE SCHEDULE						
Week	Date	Time	Place	Туре	Teaching Unit 1	Teacher
				WSG	CALCIUM ANTAGONISTS	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
	The First test					
				L	DIURETICS	Ass. Prof. Isidora Milosavljevic
7		WSG	DIURETICS	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic		
				L	DIURETICS	Ass. Prof. Isidora Milosavljevic
8			WSG	DIURETICS	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic	
				L	ANGIOTENSIN-CONVERTING ENZYME INHIBITORS	Ass. Prof. Jovana Novakovic
9				WSG	ANGIOTENSIN-CONVERTING ENZYME INHIBITORS	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic
10				L	AT1 RECEPTOR ANTAGONISTS	Ass. Prof. Jovana Novakovic

LECTURE SCHEDULE								
Week	Date	Time	Place	Туре	Teaching Unit 1	Teacher		
				WSG	AT1 RECEPTOR ANTAGONISTS	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic		
11				L	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Ass. Prof. Isidora Milosavljevic		
				WSG	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic		
12				L	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Ass. Prof. Isidora Milosavljevic		
				WSG	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic		
			<u> </u>	ŗ	The Second Test			
13				L	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Ass. Prof. Isidora Milosavljevic		
				WSG	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic		
14				L	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Ass. Prof. Isidora Milosavljevic		

LECTURE SCHEDULE								
Week	Date	Time	Place	Туре	Teaching Unit 1	Teacher		
				WSG	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Ass. Prof. Jovana Novakovic Ass. Prof. Isidora Milosavljevic		
15				L	RECAPITULATION	Ass. Prof. Jovana Novakovic		
				WSG	RECAPITULATION	Ass. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic		
				Final written exam				