MEDICINAL CHEMISTRY 2

PHARMACY
INTEGRATED ACADEMIC STUDIES

THE THIRD YEAR OF STUDIES

2025/2026

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	Associate Professor
3.	Jovana Novakovic	jovana.novakovic@fmn.kg.ac.rs	Assistant Professor
4.	Maja Savic	maja.savic@fmn.kg.ac.rs	Assistant Professor
5.	Nevena Lazarevic	nevena.lazarevic@fmn.kg.ac.rs	Assistant Professor
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	Assoc. 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 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.	Analyze the chemical structure of histamine, identify its functional groups, and discuss their ionization at physiological pH. Compare the structures of histamine with selected H1 and H2 receptor antagonists to understand structure-activity relationships and receptor selectivity.

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.	Compare the chemical structures of first- and second-generation H1 antagonists, identify functional groups responsible for receptor binding and CNS penetration, and discuss how structural modifications influence side effects such as sedation.

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.	Analyze the structural features of selected H2 antagonists, identify functional groups essential for activity, and compare them to histamine to understand how modifications improve selectivity and potency.

TEACHING UNIT 4:

PROTON PUMP INHIBITORS

Lectures - 2 hours	Work in a small group - 2 hours
This lesson focuses on proton pump inhibitors, a class of drugs that irreversibly inhibit the H^+/K^+-ATPase enzyme in the stomach, thereby reducing gastric acid secretion. It includes the	Examine the structure of omeprazole and related PPIs, identify the functional groups responsible for acid activation and covalent binding, and compare them to H2-receptor

chemical structures of PPIs, their activation in acidic environments, and the mechanism by which they covalently bind to the enzyme. Common examples include omeprazole, esomeprazole, and pantoprazole.	antagonists in terms of mechanism and duration of action.

TEACHING UNIT 5:

CALCIUM CHANNEL BLOCKERS

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.	Compare the chemical structures of different CCB classes (e.g. nifedipine, verapamil, diltiazem), identify key functional groups, and relate these to their pharmacological effects and tissue selectivity.

TEACHING UNIT 6:

DIURETICS

Lectures - 2 hours	Lectures - 2 hours
This lesson introduces diuretics and their role in managing conditions like hypertension, heart failure, and edema. It explains how different classes of diuretics act on various parts of the nephron to promote sodium and water excretion. The main types include loop diuretics, thiazides, potassium-sparing diuretics, and carbonic anhydrase inhibitors.	Classify diuretics by their site of action in the nephron and identify the structural features that distinguish each class. They will also match representative drugs to their corresponding diuretic group and mechanism.

TEACHING UNIT 7:

DIURETICS

Lectures - 2 hours	Work in a small group - 2 hours
This lesson focuses on the structure-activity relationships (SAR) of major diuretic classes and how chemical modifications affect potency, selectivity, and duration of action. Clinical applications, side effects, and drug interactions are also discussed, highlighting differences between the drug classes.	The chemical structures of selected diuretics (e.g. furosemide, hydrochlorothiazide, spironolactone), identify key functional groups, and discuss how structural changes influence pharmacological properties and therapeutic use.

TEACHING UNIT 8:

ANGIOTENSIN-CONVERTING ENZYME INHIBITORS

Lectures - 2 hours	Lectures - 2 hours
This lesson focuses on ACE inhibitors, a class of drugs used to treat hypertension, heart failure, and diabetic nephropathy. It covers their mechanism of action—blocking the conversion of angiotensin I to angiotensin II—along with chemical structure, structure-activity relationships (SAR), and differences between active drugs and prodrugs	Compare the structures of captopril, enalapril, and ramipril, identify functional groups involved in zinc ion binding at the active site of ACE, and analyze how structural modifications affect bioavailability, potency, and duration of action.

TEACHING UNIT 9:

AT1 RECEPTOR ANTAGONISTS

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..	Analyze the chemical structures of selected ARBs (e.g. losartan, valsartan, candesartan), identify key pharmacophores responsible for receptor binding, and discuss how structural features influence oral bioavailability, receptor selectivity, and duration of action.

TEACHING UNIT 10:

HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE INHIBITORS

Lectures - 2 hours	Lectures - 2 hours
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 side effects and drug interactions	Compare the structures of different statins (e.g. lovastatin, simvastatin, atorvastatin), identify the pharmacophore responsible for enzyme inhibition, and analyze how chemical modifications affect potency, lipophilicity, and metabolism.

TEACHING UNIT 11:

AGONISTS AND ANTAGONISTS OF MUSCARINIC RECEPTORS

Lectures - 2 hours	Work in a small group - 2 hours
The pharmacology of muscarinic receptor agonists and antagonists. It explains how agonists activate muscarinic receptors to produce physiological effects, while antagonists block these receptors to inhibit their action. The lesson also discusses the structural basis of ligand-receptor interactions, receptor subtypes, and clinical applications of these drugs.	Analyze their chemical structures, and discuss their therapeutic uses and side effects. Case studies may involve selecting appropriate drugs for conditions like asthma, bradycardia, or overactive bladder.

TEACHING UNIT 12:

AGONISTS AND ANTAGONISTS OF ADRENERGIC RECEPTORS

Lectures - 2 hours	Work in a small group - 2 hours
The pharmacology of adrenergic receptor agonists and antagonists. It covers how agonists stimulate adrenergic receptors (α and β subtypes) to produce physiological responses such as vasoconstriction, increased heart rate, or bronchodilation, while antagonists block these effects. The lesson also reviews receptor subtypes, ligand selectivity, and clinical applications of these drugs in conditions like hypertension, asthma, and cardiac diseases.	Classifying drugs as α or β agonists/antagonists based on their structure and function. Discussing the therapeutic uses and side effects of common adrenergic drugs. Case studies involving drug selection for specific clinical scenarios.

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.	Classifying drugs as α or β agonists/antagonists based on their structure and function. Discussing the therapeutic uses and side effects of common adrenergic drugs. Case studies involving drug selection for specific clinical scenarios.

TEACHING UNIT 14:

ANTIPSYCHOTICS

Lectures - 2 hours	Work in a small group - 2 hours
This lesson introduces antipsychotic drugs, which are primarily used to treat psychiatric disorders such as schizophrenia and bipolar disorder. It covers the mechanisms of action, focusing on dopamine receptor antagonism, as well as interactions with other neurotransmitter systems. The lesson also discusses the classification into typical (first-generation) and atypical (second-generation) antipsychotics, their therapeutic uses, side effects, and considerations in clinical practice	Identify and draw the chemical structures of typical and atypical antipsychotic drugs. Analyze the relationship between chemical structure and receptor binding affinity. Discuss how functional groups in antipsychotic molecules influence their pharmacokinetic properties (e.g., lipophilicity, solubility). Compare chemical classes of antipsychotics and relate their structural differences to their pharmacological activity.

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.	Quiz covering major topics from the course. Group discussions and problem-solving sessions to integrate knowledge. Case studies applying multiple concepts to drug design and metabolism.

LECTURE SCHEDULE

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

LECTURE SCHEDULE						
Week	**Date**	**Time**	**Place**	**Type**	**Teaching Unit 1**	**Teacher**
				WSG	DIURETICS	Ass. Prof. Jovana Novakovic Assoc. Prof. Isidora Milosavljevic
7				**L**	DIURETICS	Assoc. Prof. Isidora Milosavljevic
				WSG	DIURETICS	Assoc. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
The first test						
8				**L**	ANGIOTENSIN-CONVERTING ENZYME INHIBITORS	Ass. Prof. Jovana Novakovic
				WSG	ANGIOTENSIN-CONVERTING ENZYME INHIBITORS	Ass. Prof. Jovana Novakovic Assoc. Prof. Isidora Milosavljevic
9				**L**	AT1 RECEPTOR ANTAGONISTS	Ass. Prof. Nevena Lazarevic
				WSG	AT1 RECEPTOR ANTAGONISTS	Ass. Prof. Nevena Lazarevic Ass. Prof. Jovana Novakovic Assoc. Prof. Isidora Milosavljevic
10				**L**	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Assoc. Prof. Isidora Milosavljevic

LECTURE SCHEDULE						
Week	**Date**	**Time**	**Place**	**Type**	**Teaching Unit 1**	**Teacher**
				WSG	HYDROXYMETHYL GLUTARYL COENZYME A REDUCTASE	Assoc. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
11				**L**	AGONISTS AND ANTAGONISTS OF MUSCARINIC RECEPTORS	Ass. Prof. Nevena Lazarevic
				WSG	AGONISTS AND ANTAGONISTS OF MUSCARINIC RECEPTORS	Ass. Prof. Nevena Lazarevic Assoc. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
12				**L**	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Assoc. Prof. Isidora Milosavljevic
				WSG	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Assoc. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
13				**L**	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Assoc. Prof. Isidora Milosavljevic
				WSG	AGONISTS AND ANTAGONISTS OF ADRENERGIC	Ass. Prof. Jovana Novakovic Assoc. Prof. Isidora Milosavljevic
14				**L**	**ANTIPSYCHOTICS**	Assoc. Prof. Isidora Milosavljevic
				WSG	**ANTIPSYCHOTICS**	Ass. Prof. Jovana Novakovic Assoc. Prof. Isidora Milosavljevic

LECTURE SCHEDULE						
Week	**Date**	**Time**	**Place**	**Type**	**Teaching Unit 1**	**Teacher**
The second test						
15				**L**	RECAPITULATION	Ass. Prof. Jovana Novakovic
				WSG	RECAPITULATION	Assoc. Prof. Isidora Milosavljevic Ass. Prof. Jovana Novakovic
Final written exam						