ORGANIC CHEMISTRY

CURRICULUM

Subject	Exam(s)	Credits	Hours			Weekly hours	
	Semester		Total	Lectures	Practical courses	III	IV
Organic Chemistry	IV	12.4	150	60	90	2/3	2/3

Type of subject according to the Uniform State Requirements (USR)

Compulsory

Degree of education

Master degree

Forms of training

Lecture courses, practical courses, self-training

Duration of training

Two semesters (3rd and 4th)

Curriculum

60 hours of lectures; 90 hours of practical courses

Technical equipment applied in the training

Multimedia presentations, work with common organic laboratory equipment, instrumental analysis (Fourier-transform infrared spectroscopy and UV-VIS spectroscopy), text book for the practical course, lecture handouts.

Control and evaluation

Ongoing control: weekly test preceding practical work, one colloquium each semester, individual presentations on different syllabus sections.

Final evaluation: combined written test and oral examination.

Score assessment

20% weekly tests + 20% colloquia + 60% final exam

Final exam

Yes (written and oral exam) at the end of the 4th semester. The final exam is cumulative, covering all of the same material tested previously.

State exam:

No

Lecturer:

Full-time lecturer in the field of organic and/or bioorganic chemistry

Department

Chemical Sciences

COURSE OBJECTIVES

Organic chemistry is a non-specialized subject in the education program of students in pharmacy. The course aims to give basic knowledge about methods of preparation of organic compounds including those of them applied as medications; to outline the chemical properties of organic molecules so that the students to be able to predict drug-drug interactions and stability, and to emphasize on the relationship between the chemical or 3D structure of a molecule and its biological activity. Organic chemistry is a predecessor of pharmaceutical chemistry. Practical course introduces the student to basic techniques and procedures in isolation, purification, and characterization of organic compounds and simple reactions used in the organic chemistry laboratory.

EXPECTED RESULTS

The general outcome goals are that students will understand the classification, structure, nomenclature, reactions, reaction mechanisms, and synthesis of organic compounds. Students will gain an understanding of the reactivity and stability of an organic molecule based on structure, including conformation and stereochemistry, and the fundamental properties and reactivity of biologically important molecules (e.g. carbohydrates, amines, and amino acids)

Practical course covers laboratory safety, basic laboratory operations, and the separation and purification of organic compounds (simple and fractional distillation, precipitation and crystallization, sublimation, solid – liquid and liquid – liquid extraction, and chromatography). Students will be able to use standard laboratory equipment, classical techniques to carry out experiments, and modern instrumentation; to follow the proper procedures and regulations for safe handling and use of chemicals.

Lecture Course Syllabus

Second year, 3rd semester

LECTURE 1 – 2 hours

Introduction. Kinds of organic reactions based on the type of bond cleavage, and the reaction outcome. Electrophiles and nucleophiles. Solvents used in the organic reactions.

LECTURE 2 – 3 hours

Electronic effects in organic molecules: localized and delocalized bonds, inductive and mesomeric effect. Resonance theory. Mechanism of organic reactions, methods to investigate the reaction mechanism.

LECTURE 3 – 2 hours

Structure, isomerism and preparation of alkanes. Radical halogenations, nitration, and sulfohalogenation. Cracking and combustion of alkanes.

LECTURE 3 – 3 hours

Stereochemistry part I – conformational isomerism. Conformations of ethane and butane, conformational analysis. Conformations of cyclohexane and polycyclic alkanes. Biological importance of changes in conformation of biological molecules.

LECTURE 5 – 3 hours

Stereochemistry part II – stereoisomerism. Optical activity and chirality, enantiomers. D,L and R,S-system to describe configuration at chiral centers. Relative and absolute configuration. Enantiomers and pharmacological activity. Sigma-diastereoisomers – examples, importance for biological activity.

LECTURE 6 – 4 hours

Structure and preparation of alkenes. E1 and E2 mechanism of elimination, *Zaitsev*'s and *Hofmann*'s rules. Pi-diastereoisomerism – E,Z nomenclature. Chemical properties of alkenes – addition reactions. Dienes – 1,2 and 1,4 addition. *Diels – Alder* reaction.

LECTURE 7 – 1 hour

Alkynes – specificity of triple bond. Chemical properties of alkynes, acidity of terminal alkynes.

LECTURE 8 – 3 hours

Arenes and aromaticity, *Hückel*'s rule. Electrophilic and nucleophilic aromatic substitution. Derivatives of benzene, classification of substituents in electrophilic aromatic substitution. Biphenyls, naphthalene.

LECTURE 9 – 3 hours

Alcohols, diols, and thiols. Methods for preparation, mechanism of S_N2 and S_N1 reactions. Reactions of alcohols – acidity, substitution, and elimination. Specific reactions of thiols. Biological oxidation of alcohols and thiols.

ЛЕКЦИЯ № 10 – 2 hours

Phenols – nomenclature and structure. Acidity of phenols, electrophilic substitution, and oxidation. Naturally occurring phenols, oxidative stress and antioxidant phenols.

LECTURE 11 – 4 hours

Introduction to carbonyl chemistry - aldehydes and ketones. Sources of carbonyl compounds. Nucleophilic addition to the carbonyl group. Formation of carbon-carbon bonds with carbonyl compounds: enolates.

Lecture Course Syllabus

Second year, 4th semester

LECTURE 1 – 2 hours

Carboxylic acids – nomenclature and structure. Acidity, salts of carboxylic acids. Synthesis of carboxylic acids. Reactions of carboxylic acids. Decarboxylation.

LECTURE 2 – 2 hours

Carboxylic acid derivatives. Acyl chlorides, anhydrides, esters, amides, hydroxamic acids, and nitriles – synthesis, reactivity and chemical properties.

LECTURE 3 – 2 hours

Alkylation of enolates: the acetoacetic ester and malonic ester syntheses. Alpha-substituted carboxylic acids – *Reformatski*'s reaction.

LECTURE 4 – 2 hours

Hydroxy and keto acids. Synthesis of salicylic acid. Decarbonylation and decarboxylation, lactides, lactones, and polyesters.

LECTURE 5 – 2 hours

Natural esters (waxes, fats and phospholipids). Prostaglandins. Terpenes: biosynthesis, isoprene rule. Steroids.

LECTURE 6 – 2 hours

Classification of carbohydrates. Monosaccharides – nomenclature. Cyclo-oxo tautomerism; *Fischer* and *Haworth* projections. Stereoisomerism – epimers, anomers, mutarotation. Chemical properties of monosaccharides: formation of glycosides, esters, oxidation and reduction.

LECTURE 7 – 2 hours

Di- and oligosaccharides, reducing and non-reducing sugars. Polysaccharides – cellulose, starch, and glycogen. Polysaccharides containing amino sugars, uronic acids and their application in pharmaceutical industry.

LECTURE 8 – 3 hours

Amines. Relation between structure and basic properties of amines. Synthetic methods for preparation of amines. Salts, N-alkylation and N-acylation. *Mannich* reaction (mechanism), *Hofmann* elimination. Diazonium salts: synthesis and application (azo coupling, *Sandmeyer* reaction). Amino alcohols and amino phenols. Beta-blockers.

LECTURE 9 – 4 hours

Amino carboxylic acids. Relation between structure and biological function. Formation of 2,5-diketopiperazines, lactames and polyamides. Peptides and proteins – methods for analysis of primary structure. Levels of protein molecule organization, strategy in protein synthesis.

LECTURE 10 – 5 hours

Heterocyclic compounds with one heteroatom. Pyrrole, furan and thiophene: synthesis and reactivity. Biologically important derivatives of pyrrole and indole. Pyridine: synthesis, chemical properties and biologically important derivatives. Quinoline and isoquinoline.

LECTURE 11 – 2 hours

Heterocyclic compounds with two heteroatoms. Azoles: chemical properties and biologically important derivatives. Drugs containing 5-pyrazolone structure, synthesis of antipyrine and aminopyrine. Azines. Pyrimidine bases, pyrimidine-containing antimetabolites, barbiturates.

LECTURE 12 – 2 hours

Condensed heterocyclic compounds. Purines: purine alkaloids, nucleosides and nucleotides. ATP. Pteridines – folic acid, riboflavin.

Practical Course Syllabus

Second year, 3rd semester

PRACTICAL 1 – 6 hours

Risks and precautions in organic chemical laboratory. Organic laboratory equipment. Basic laboratory techniques: methods for separation and purification of solid compounds. Recrystallization and sublimation. Melting point.

PRACTICAL 2 – 6 hours

Basic laboratory techniques: methods for separation and purification of liquids. Types of destilation. Extraction.

PRACTICAL 3 – 6 hours

Basic laboratory techniques: chromatographic methods for purification, isolation and identification of organic compounds. Thin-layer chromatography: separation of synthetic and natural organic compounds.

PRACTICAL 4 – 6 hours

Synthesis of butyl acetate. Calculation of the yield of synthesis.

PRACTICAL 5 – 6 hours

Infrared spectroscopy – principle and implication of the method. Synthesis and analysis of 2-chlorobutane.

PRACTICAL 6 – 6 hours

Reactions of aromatic electrophilic substitution. Iodization of salicylamide.

PRACTICAL 7 – 6 hours

Reactions of elimination and oxidation of alcohols. Synthesis of cyclohexene and cyclohexanone.

PRACTICAL 8 – 6 hours

Reactions of nucleophilic substitution – synthesis of a phenoxyacetic acid.

Practical course syllabus

Second year, 4th semester

PRACTICAL 1 – 6 hours

Risks and precautions in organic chemical laboratory. Condensation reactions of aldehydes and ketones – synthesis of cinnamic acid.

PRACTICAL 2 – 6 hours

H1-NMR Spectroscopy: principle and potential of the method. Reaction of esterification – synthesis and analysis of benzokaine.

PRACTICAL 3 – 6 hours

Mass spectrometry: principle and potential of the method. Acylation reactions: synthesis of aspirin and paracetamol.

PRACTICAL 4 – 6 hours

Electronic spectroscopy: principle and possible applications. Diazonium salts: synthesis of diazoaminobenzene.

PRACTICAL 5 – 6 hours

Heterocyclic compounds. *Fischer*'s synthesis of indole ring: preparation of tetrahydrocarbazole.

PRACTICAL 6 – 6 hours

Natural substances – alkaloids. Extraction of caffeine from tea leaves.

PRACTICAL 7 – 6 hours

Heterocyclic compounds. Synthesis of pharmacetical substances with pyrazole structure – antipyrine and diphenine.

Syllabus in organic chemistry

1. Chemical bonds in organic compounds.
2. Electronic effects in organic molecules. Theory of resonance.
3. Mechanism of organic reactions.
4. Alkanes and cycloalkanes.
5. Stereochemistry part 1 Conformational isomerism.
6. Stereochemistry part 2 – Enantiomers and sigma-diastereomers.
7. Alkenes and cycloalkenes.

8. Alkines and dienes.
9. Arenes.
10. Effect of substituents on the electrophilic reactions in benzene ring.
11. Alcohols, diols, and thiols.
12. Phenols.
13. Carbonyl compounds.
14. Carboxylic acids.
15. Carboxylic acid derivatives.
16. Oxy- and oxoacids.
17. Syntheses with acetoacetic and malonic esters.
18. Natural waxes and terpenes.
19. Monosaccharides.
20. Di- and polysaccharides.
21. Amines.
22. Amino alcohols and amino phenols.
23. Amino acids.
24. Peptides and proteins.
25. Five-member ring heterocyclic compounds with one heteroatom. .
26. Six-member ring heterocyclic compounds with one heteroatom.
27. Condensed benzene-pyridine heterocyclic compounds.
28. Five-member heterocyclic compound with two heteroatoms.
29. Six-member heterocyclic compound with two heteroatoms.
30. Condensed heterocyclic compounds.

Recommended textbooks

1. Francis Carey, Robert Giuliano. Organic Chemistry, 10th edition (or earlier editions). McGraw Hill, 2016.
2. John McMurry. Organic Chemistry, 9th edition. Cengage Learning, 2016.
1. Leroy G. Wade. Organic Chemisty. 8th edition. Prentice Hall; 2012.
2. Paul Dewick. Essentials of organic chemistry for students of pharmacy, medicinal chemistry and biological chemistry. John Wiley & Sons, 2009.