COURSE DESCRIPTION

Academic year: 2026/2027

University: Comenius University Bratislava

Faculty: Faculty of Pharmacy

Course ID:	**Course title:**
FaF.KFCh/05-Mgr-A/26	Pharmaceutical Chemistry (1)

Educational activities:
Type of activities: lecture / seminar
Number of hours:
per week: 2 / 1 **per level/semester:** 28 / 14
Form of the course: on-site learning

Number of credits: 5

Recommended semester: 5.

Educational level: I.II.

Prerequisites:

Recommended prerequisites:
Recommendation. The KCHTL/01-Mgr-A/00 Organic Chemistry (1), KCHTL/02-Mgr-A/00 Organic Chemistry (2), KFANF/01-Mgr-A/00 Analytical Chemistry (1), KFANF/02-Mgr-A/00 Analytical Chemistry (2) and KBMBL/03-Mgr-A/00 Biochemistry courses are very strongly recommended to be successfully passed (with the final evaluation A-E) for the Pharmaceutical Chemistry (1) course.

Course requirements:
Conditions for successful completion of lectures and seminars from the Pharmaceutical Chemistry (1) course
a) Personal attendance at lectures (mandatory part of the education!) – a student is rigorously required to attend to all lectures following the schedule published at an official dashboard/web site of the Department of Pharmaceutical Chemistry CU; personal attendance at seminars – a student si rigorously required to attend to all seminars following the schedule published at an official dashboard/web site of the Department of Pharmaceutical Chemistry CU.
b) Successful course completion based on successful passing of all semestral preliminary evaluations with achievement of adequate (percentage) success
Students´ knowledge will be verified in a written form at 3rd and 5th seminar, respectively (two prelininary tests have to be passed); the content of preliminary tests, their evaluation as well as granting of preliminary evaluation will be the competence of the teachers responsible for teaching (supervising) of the seminars.
Each preliminary evaluation (each test) will constist of the questions following the topics listed in Syllabus of Lectures as well as Syllabus of Seminars. To pass all scheduled Seminars and semestral preliminary evaluations (2 preliminary tests), 60% or higher rate of the maximum point score must be achieved from each test.
c) The Granting of the Final Evaluation (Exam) from the Pharmaceutical Chemistry (1) Course
The final written exam from the Pharmaceutical Chemistry (1) course will last 120 minutes; particular terms, times and rooms for the exams will be listed in AIS-2.

Student is obliged to register for a particular term of the exam from the Pharmaceutical Chemistry (1) course via AIS-2 only. Only a student who is properly registered for the final exam via given electronic system will be allowed to take the exam.
The attendance of a student at the exam from the Pharmaceutical Chemistry (1) course is allowed only when all requirements listed in both a) and b) sections are fulfilled.
The exam from the Pharmaceutical Chemistry (1) course will be in a written form, i.e., a student will complete the test consisting of 25 questions. Each question will be evaluated by 2 points (the maximum number of points from the exam: 50 pts.).
The set of questions will be based on the topics listed in Syllabus of Lectures as well as Sylabus of Seminars. Thus, the questions will cover i) knowledge from general Pharmaceutical Chemistry, ii) definitions and chemical classifications (divisions) of particular pharmacodynamic classes (with a very precise chemical division of particular compounds – drugs), iii) chemical structures of selected compounds – drugs, iv) structure-biological activity relationships, structure-pharmacokinetics relationships as well as structure–toxicity relationships in detail (including a general chemical structure the compounds belonging into a relevant pharmacodynamic group as well as one drug, which chemical structure is precisely drawn), and (v) general biotransformation pathways related to particular compounds – drugs.
Evaluation of the exam from the Pharmaceutical Chemistry (1) course will be as follows: 50–46 points (evaluation level „A“), 45–42 points („B“), 41–38 points („C“), 37–34 points („D“), 33–30 points („E“), less than 30 points („FX“; not passed).
Thus, minimal requirements for the Pharmaceutical Chemistry (1) course to be successfully passed are as follows: 60% (60% and more) from the maximum point score.
Scale of assessment (preliminary/final): 0 / 100. a) Seminars from the Pharmaceutical Chemistry (1) course.Successful completion of Seminars, thus, the opportunity to participate in the final evaluation (exam) from the Pharmaceutical Chemistry (1) course, is based on successful completion of two preliminary evaluations. There is the requirement to obtain at least 60% or more of the maximum point score from each preliminary evaluation. The obtained (point) evaluation from the preliminary ones is not explicitly taken into account in the final evaluation (exam).b) Exam from the Pharmaceutical Chemistry (1) - weight in the final evaluation: 100%.
The evaluation of exam from the Pharmaceutical Chemistry (1) course and the assignment of relevant classification grades is given in the „Conditions for Successful Completion of Lectures and Seminars from the Pharmaceutical Chemistry (1) Course“ section. The exact point score from the seminars will be considered in the final evaluation (exam) from the Pharmaceutical Chemistry (1) course.

Learning outcomes:

Pharmaceutical/Medicinal Chemistry (the Pharmaceutical Chemistry (1) course) is a science unto itself, a central science positioned to provide a molecular bridge between basic science of biology and clinical science of medicine (analogous to chemistry being the (central) science between traditional disciplines of biology and physics). From a very broad perspective, a drug design may be divided into two phases fundamental concepts about: a) drugs, receptors, and drug–receptor interactions; b) drug–receptor interactions applied to human disease.
Pharmaceutical/Medicinal Chemistry is interdisciplinary, drawing very suitably on theoretical chemistry, organic chemistry, analytical chemistry, molecular biology, pharmacology, and biochemistry. Despite these complexities, Pharmaceutical/Medicinal Chemistry has its own clear line – the design and discovery of drug molecules with a comprehensive and precise definition and characterization of their properties, taking into account i) structural integrity of the drug molecules (in pharmaceutical, pharmacokinetic and pharmacodynamic phase, respectively), ii) their structural fragments (pharmacophore, toxicophore, metabophore, biophore; interchangeable bioisosteres), iii) their structural properties, iv) physicochemical features (solubility, surface activity, acid-

base and lipohydrophilic properties), v) shape properties (geometric, conformational, topological, steric), vi) stereochemical properties (optical isomers, enantiomers, geometric isomers), vii) electronic properties. Following that knowledge, structure–biological activity relationships are comprehensively investigated (SAR, QSAR).
horough and deep knowledge, understanding and correct interpretation of all relationships that are explained via this course is extremely important for (almost) all fields of pharmaceutical study.

Class syllabus:

Syllabus of Lectures

1st WEEK: Pharmaceutical Chemistry – General Principles, Current Concepts and Prospectives. Definitions of Terms Used in Pharmaceutical Chemistry (drug, prodrug, drug-like, ligand, receptor, bioavailability, structure–activity relationships (SAR), quantitative structure–activity relationships (QSAR), biotransformation, etc.). Classification of Drugs. Basic Principles in Lead (Drug) Development and Optimization (including some strategies of the optimization). Some Requirements for an „Ideal“ Drug.

The Fate of a Drug in the Body (pharmaceutical, pharmacokinetic and pharmacodynamic aspects).

2nd WEEK: Ligand–Biomacromolecule Interactions, part 1. Types of Interactions Between Ligand and Receptor; Definitions of Some Fundamental Terms (bioactive structural part of a drug, pharmacophore, metabophore, toxicophore, etc.); Enzymes; Interactions Between a Ligand and Enzyme; Interactions Between Lingand and Nucleic Acid, Orthosteric and Allosteric Interactions; Allosteric Modulators (examples of drugs); Interactions from a Chemical Point of View (interactions involved in molecular recognition, non-bonded interactions, i.e., hydrogen bonding, ionic interactions, van der Waals interactions, interactions CH–π, interactions cation–π, hydrophobic interactions, metal chelation interactions, halogen bonding; all issues explained using examples of drugs from various pharmacodynamic groups).

3rd WEEK: Ligand–Biomacromolecule Interactions, part 2. Covalent Interactions; Covalent Bonds; Comparison of Non-Covalent, Irreversible Covalent and Reversible Covalent Inhibitors; Design of Covalent Drugs – Inhibitors; Potential Benefits and Risks Associated with Covalent Inhibitors; Mechanism of Covalent Bond Formation Between a Ligand and Effector Site (all issues explained using examples of drugs from various pharmacodynamic groups); Types of Reactive Functional Groups (irreversible covalent inhibitors, reversible covalent inhibitors); Covalent Inhibitors used in Therapeutic Practice; Covalent Inhibitors in Clinical Trials (all issues explained using examples of drugs from various pharmacodynamic groups).

4th WEEK: Prodrugs; Definition of Some Fundamental Terms; Prodrug Concepts; Basics of Prodrug Design; Purpose of Designing Prodrugs; Classification of Prodrugs; Optimization of Bioavailability (all issues explained using examples of drugs from various pharmacodynamic groups); Advantages of Prodrugs with Optimized Pharmacokinetic Properties. Some Newly Approved Drugs.

Stereochemical Aspects of Drug Development; Definition of Some Fundamental Terms (constitution, configuration, chirality, torsion angles, isomerisms, etc.); Importance of Stereochemical Properties of Drugs for Their Biological Activity (all issues explained using examples of drugs from various pharmacodynamic groups);

Hybrid Molecules, the Privileged Scaffold for Various Pharmaceuticals. Ideal Hybrid Drug Molecules´ Characteristics; Different Strategies of Hybrid Design (all issues explained using examples of drugs from various pharmacodynamic groups); Some Hybrid Drugs in Clinical Practice; Brief Introduction into Structure–Activity Relationships within Some Pharmacotherapeutic Classes of Drugs.

5th WEEK: General Anesthetics; Sedatives; Hypnotics; Anticonvulsant Drugs (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).

6th WEEK: Psychoactive Drugs, part 1. – Psycholeptic Drugs. Neuroleptics; Anxiolytics (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
7th WEEK: Psychoactive Drugs, part 2. – Psychoanaleptic Drugs. Antidepressants; Psychostimulants; Nootropics (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
Psychoactive Drugs, part 3. – Psychodysleptic Drugs. Psychedelics (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
8th WEEK: Antiparkinsonian Drugs; Emetic Agents; Anti-Emesis Drugs; Antivomitics (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
9th WEEK: Analgesics. Centrally-Acting (Opioid) Analgesics; Analgesics–Antipyretics; Antitussive Drugs; Drugs for the Treatment of Migraine (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
10th WEEK: Non-Steroidal Anti-Inflammatory Drugs (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
11th WEEK: Local Anesthetics; Muscle Relaxants (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
Discussion connected with the topics lectured previously.
12th WEEK: Adrenergics; Antiadrenergics; Antiarrhythmic Agents (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
13th WEEK: Parasympathomimetics; Parasympatholytics; Spasmolytics; Antihistamine Drugs (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
Syllabus of Seminars
1st - 2nd WEEK: Physicochemical Properties of Drugs. Solubility – Increase or Decrease in Solubility of Drugs in Aqueous or Lipophilic Environment; Lipophilic Properties of Drugs; Parameters Describing Lipophilicity. Acid-Base Properties of Drugs; Parameters Describing Acid-Base Properties; Surface Activity of Drugs; Micellar Properties of Drugs.
3rd - 4th WEEK: Biotransformation of Drugs. Phases of the Biotransformation; Biotransformation Pathways and Their Significance (all issues explained using examples of drugs from various pharmacodynamic groups).
5th - 6th WEEK: Vitamins Soluble in Water or Fat (Definitions, fundamental functions of vitamins, chemical structures of chosen vitamins, vitamins´ mechanisms of actions, structure–activity relationships, biotransformation pathways).
7th - 8th WEEK: Hormones, part 1. Hormones Derived from Amino Acids; Peptide Hormones and Proteohormones – Hypothalamic Hormones, Pituitary Hormones, Placental Hormones, Ovarian Hormones, Thyroid Hormones, Antithyroideal Compounds – Thyreostatics, Parathyroid Hormones, Pancreatic Hormones, Tissue Hormones (Definitions, fundamental functions of hormones, chemical structures of chosen hormones, hormones´ mechanisms of actions, structure–activity relationships, biotransformation pathways).
Eicosanoids (Prostacyclins, Thromboxanes, Prostaglandins, Dihydroxyleukotrienes, Peptidoleukotrienes, Lipoxins)

Peroral Antidiabetic Drugs (Definitions, drugs - systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
Pharmacotherapy of Osteoporosis (Definitions, drugs – systematic chemical classification, chemical structures of chosen drugs, mechanisms of action, structure–activity relationships, biotransformation pathways).
9th - 10th WEEK: Hormones, part 2. Steroidal Hormones – Sexual Hormones and Their Regulators; Hormones of Adrenal Cortex (Definitions, drugs – systematic chemical classification, chemical structures of chosen hormones, mechanisms of action, structure–activity relationships, biotransformation pathways).
11th - 12th WEEK Pharmaceutical Chemistry of Excipients.
Discussion connected with the topics lectured previously.

Recommended literature:

The Lectures from the Pharmaceutical Chemistry (1) Course
Beale, J. M., & Block, J. H. (2011). Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry. 12th Ed. Wolters Kluwer Health (Lippincott Williams & Wilkins), Philadelphia, United States of America, 1022 pp.
Chackalamannil, S., Rotella, D., Ward, S. (2017). Comprehensive Medicinal Chemistry III, 3rd Ed. Elsevier, Amsterdam, Netherlands, 4536 pp.
Patrick, G. L. (2017). An Introduction to Medicinal Chemistry. 6th Ed. Oxford University Press, New York, United States of America, 832 pp.
Pearson, P. G., & Wienkers, L. C. (2019). Handbook of Drug Metabolism. 3rd Ed. (Drugs and the Pharmaceutical Sciences). CRC Press, New York, United States of America, 616 pp.
Roche, V. F., Zito, S. V., Lemke, T. L., & Williams, D. A. (2019). Foye´s Principles of Medicinal Chemistry, 8th Ed. Wolters Kluwer Health Adis (ESP), Baltimore, United States of America, 1168 pp.
Silverman, R.B., Holladay, M.W. (2015). The Organic Chemistry of Drug Design and Drug Action. 3rd Ed. Academic Press (Elsevier), San Diego, United States of America, 536 pp.
Strømgaard, K., Krogsgaard-Larsen, P., & Madsen, U. (2016). Textbook of Drug Design and Discovery. 5th Ed. CRC Press, Taylor & Francis Group, Boca Raton, FL, United States of America, 541 pp.
Wermuth, C., Aldous, D., Raboisson, P., & Rognan, D. (2015). The Practice of Medicinal Chemistry. 4th Ed. Academic Press is imprint of Elsevier, San Diego, CA, United States of America; Kidlington, Oxford, United Kingdom, 903 pp.

Languages necessary to complete the course:
English language

Notes:

Past grade distribution
Total number of evaluated students: 2

A	ABS	B	C	D	E	FX
0,0	0,0	0,0	100,0	0,0	0,0	0,0

Lecturers: doc. PharmDr. Ivan Malík, PhD.

Last change: 11.06.2026

Approved by: doc. PharmDr. Ivan Malík, PhD.