

<b>Course title</b> Chemia leków/ Chemistry of pharmaceutical compounds		<b>ECTS code</b> 13.3.0737	
<b>Name of unit administrating study</b> Faculty of Chemistry			
<b>Studies</b>			
<b>Field of study</b>	<b>Type</b>	<b>Form</b>	
Chemical business	Bachelor / Engineer	Full-time studies	
<b>Teaching staff</b> Prof. dr hab. Franciszek Kasprzykowski			
<b>Forms of classes, the realization and number of hours</b>		<b>ECTS credits</b> 3	
<b>A. Forms of classes, in accordance with the UG Rector's regulations</b> lecture, laboratory classes		classes - 45 h tutorial classes – 5 h student's own work – 20 h	
<b>B. The realization of activities</b> in-class learning		Total: 75 h - 3 ECTS	
<b>C. Number of hours</b> 45 h (15 h lecture, 30 h laboratory classes)			
<b>The academic cycle</b> Third year, summer semester			
<b>Type of course</b> obligatory		<b>Language of instruction</b> Polish	
<b>Teaching methods</b>  Design of experiments Carrying out experiments Lecture with multimedial presentation		<b>Form and method of assessment and basic criteria for evaluation or examination requirements</b>	
		<b>A. Final evaluation, in accordance with the UG study regulations</b> course completion (graded)	
		<b>B. Assessment methods</b> - determination of the final grade, basing on a grades obtained for a partial tests, performed during semester; - written test with open type questions.	
		<b>C. The basic criteria for evaluation</b> or exam requirements  Rating scale in accordance with Study Statues of University of Gdansk.  <ul style="list-style-type: none"> <li>• Lecture:</li> </ul> - prerequisite for participation in examination is the positive assessment of laboratory course;  - positive evaluation of the exam is possible after 51% of the maximum number of points (total of both forms of the test).  <ul style="list-style-type: none"> <li>• Laboratory course:</li> </ul> partial grades are awarded for:  a) organization and quality of individual experimental work,  b) demonstration of ability to planning of experiment and resolving of analytical problems, basing on acquired knowledge (preparation to experimental work) and results obtained during experiments,  c) passing of one test concerning preparation of student to the synthesis of specified pharmaceutical compound and two tests concerning	

	<p>qualitative analysis of organic compounds and qualitative analysis of selected groups of pharmaceutical compounds,</p> <p>d) elaboration and analysis of experimental data obtained during each of experiment (prepared as an written report),</p> <p>The prerequisite for passing of the laboratory course is performance of all experiments covered by the course program, elaboration of appropriate written reports and passing of all above mentioned tests.</p>
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#### Required courses and introductory requirements

Finished „Organic Chemistry”, „General Chemistry” and „Analytical Chemistry” courses.

- basic mechanisms in organic chemistry, basic types of organic compounds, functional groups of organic compounds and their interconversion, basicity and acidity in organic chemistry, knowledge of electronic effects (inductional, mesomeric (conjugation), hyperconjugation (Baker-Natan effects), isomerism, hydrophobicity hydrogen bonding, van der Waals dispersional and hydrophobic interactions. Knowledge of the catalysis terms,
- knowledge of biology on secondary school level,
- knowledge of a fundamental calculations applied in analytical chemistry,
- knowledge of the basic health and safety rules in chemical laboratory,
- ability to work with laboratory glass and principal laboratory apparatus applied in chemical synthesis and analysis

#### Aims of education

Lecture:

- Introduction students into fundamental terms used in medicinal chemistry, as e.g. therapeutic index, lead compound (structure), drug target, pharmacokinetics and also with a basic studies performed during drug elaboration..
- Introduction students with fundamental knowledge concerning the way from lead structure to medicine used in clinical practice, sources of lead compounds and its typical modifications used for elaboration of new, clinically useful compound.

Laboratory course:

- Familiarization of students with the problems pointed out in the content of laboratory course,
- Familiarization of students with laboratory technique used in analytical and organic chemistry, in micromolar scale work,
- Acquiring the ability to unaided planning of experimental work, performance of chemical analysis and problem solving during their realization.

#### Course contents

Lecture:

- Biological activity; medicine or poison? Therapeutical index.
- Fundamental drug targets: proteins, nucleic acids, lipids.
- Receptors and enzymes as a drug target. Protein-drug interactions. Peptides and proteins as a drugs. Antibodies.
- Nucleic acids and their interactions with drugs.
- Drugs from idea to their implementation into the clinical practice. Biological tests.
- Lead compound. Sources of lead compounds, Modifications of lead compound.
- Structure-activity relationship. Pharmacophore groups concept. Quantitative methods of structure-activity relationship (QSAR) studies and their application in drug design.
- Drug forms and their administration. Synergy of drug activities.
- Pharmacokinetics. Chemical stability of drug and its metabolic resistance, biological barriers overcoming. Drug excretion. Pro-drugs and their practical applications.

- Angiotensin converting enzyme (ACE) inhibitors as a drugs with hypotensive activity.
- Examples of antibacterial drugs. Sulfonamides and  $\beta$ -lactam antibiotics. Antibiotics disturbing protein synthesis, transcription and replication of nucleic acids.
- Drug resistance of bacteria. Causes of bacterial drug resistance.
- Cholinergic, anticholinergic drugs and acetylcholinesterase inhibitors.
- Drugs interacting with adrenergic system.

Laboratory course:

- Preparation of straight therapeutic compounds. Identity analysis of synthesis products. Assessment of purity of obtained compounds.
- Identification of active substances in unknown commercially available straight drugs, by qualitative chemical analysis.
- General methods of identification of therapeutic compounds of selected groups (alkaloids and their derivatives, steroids, tetracyclines, sulfonamides  $\beta$ -lactam compounds, aniline and salicylic acid derivatives, barbiturates).
- Quantitative analysis of active substance in known straight drug. Determination of amount of the active substance in the single dose of commercially available single-component drug.

## **Bibliography of literature**

### **A. Literature required to pass the course**

- R. Kasprzykowska, A.S. Kołodziejczyk, Chemiczna analiza środków leczniczych. Leki proste, Wydawnictwo Uniwersytetu Gdańskiego, Gdańsk 2009.
- R. Kasprzykowska, Preparatyka prostych środków leczniczych, materiały niepublikowane, udostępniane przez prowadzących ćwiczenia.
- R. Walczyna, J. Sokołowski, G. Kupryszewski, Analiza związków organicznych, Wydawnictwo Uniwersytetu Gdańskiego, Gdańsk 1996

A.2. studiowana samodzielnie przez studenta

- Graham L. Patrick. "Chemia medyczna. Podstawowe zagadnienia", wyd. WNT, Warszawa, 2003
- Graham L. Patrick „Krótkie wykłady. Chemia leków”, wyd. PWN, Warszawa 2004.
- R.B. Silverman, „Chemia organiczna w projektowaniu leków”, wyd. WNT, Warszawa, 2004
- Praca zbiorowa pod red. K. Kieć-Kononowicz, „Wybrane zagadnienia z metod poszukiwania i otrzymywania środków leczniczych”, Wydawnictwo UJ, Kraków 2006.

B. Literatura uzupełniająca

- Zdzisław Markiewicz, Zbigniew A. Kwiatkowski „Bakterie antybiotyki lekooporność”, wyd. PWN, Warszawa 2001.
- Alojzy Zgirski, Roman Gondko „Obliczenia biochemiczne”, wyd. PWN, Warszawa 1998.
- Marianna Zająć, Ewaryst Pawełczyk „Chemia leków”, Wydawnictwo Akademii Medycznej im. Karola Marcinkowskiego, Poznań 2000.
- Alfred Zejca, Maria Gorczyca „Chemia leków”, wyd. PZWL, Warszawa 2004

### **B. Extracurricular readings**

## **Knowledge**

- Defines fundamental (can define) fundamental terms from medicinal chemistry area, contained in the course content.
- Describes fundamental sources and procedures of searching for new lead compounds and methodology of research carried out to obtain clinically useful compound.
- Have knowledge about obstacles to the site of action and how the compound may be metabolized and eliminated from organism.
- Realize the influence of metabolism, ability to overcome biological barriers by biological active substance on method of drug administration and its usefulness in medical practice.
- Outlines the key sources of lead compounds and the methods used for their quest. Describes fundamental modifications of lead structure performed in the initial stage of search for drugs.
- Outlines techniques facilitating design of new compounds with the desired biological activity.

- Describes general methods of detection and identification of single pharmaceutical compounds from the group listed in the content of the program.

#### **Skills**

- Specifies putative type of interactions between pharmacophores and a drug target.
- On the examples of selected groups of drugs, proposes modifications of their chemical structure leading to desired changes of their biological activity profile (e.g. selectivity), ability to penetration of biological barriers, chemical stability and metabolic susceptibility.
- Carry out a complicate synthesis of organic compound of medicinal properties, using the procedure described in (polish) literature.
- Predicts likely mechanism of the chemical reaction of the synthesis of the compound, carried out in accordance with the applied procedure.
- Evaluate purity of obtained compound basing on indicated in the description of synthesis procedure physicochemical, chromatographic and/or spectroscopic tests.

#### **Social competence**

- Appreciate of the social and economical importance of research aimed at finding and elaboration of new drugs;
- Appreciates the impact of care work on quality of results obtained and relevance of conclusions.
- Retains care in drawing conclusions.
- Retains care during work with substances with putative biological/pharmacological activity.