

Study program: Doctoral Academic Studies in Biomedical Sciences

Course title: STRATEGIES IN ORGANIC SYNTHESIS OF COMPLEX MOLECULES

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Course status: elective

ECTS Credits: 20

Condition: -

Course aim

Planning organic synthesis of complex compounds. Application of retrosynthetic analysis. The overarching goal is to implement gained knowledge from Organic chemistry 1 and Organic chemistry 2 (where chemical reactions are observed isolated, on small molecules) on complex molecules

Expected outcome of the course:

Students are expected to master the integral knowledge of application of organic chemical reactions, stereochemistry and conformational analysis in order to discover new active compounds or improve the activity of already existing molecules

Course description

Theoretical education

- 1. Retrosynthetic analysis
- 2. Bilding blocks in organic synthesis
- 3. Priciples of protection and deprotection
- 4. Carbon- carbon bond forming reactions
- 5. Carbon- oxygen bond forming reactions
- 6. Carbon- nitrogen bond forming reactions
- 7. Carbon- sulfur and carbon-phosphorus forming reactions
- 8. Synthesis of cyclic systems
- 9. Principles of condensation reactions in deriving heteocyclic compounds
- 10. Influence of conjugated functional groups
- 11. Reactions of oxydation and reduction
- 12. Reactions of polimerisation
- 13. Usage of combinatorial chemistry in synthesis of polypeptides, proteins and RNK
- 14. Stereoselective and stereospecific reactions
- 15. Programming organic molecules
- 16. Automatisation of organic synthesis: robots in organic synthesis
- 17. Methods of purification of complex organic molecules
- 18. NMR and IR characterisation of organic compounds

Practical education

- 1. Homologation reactions of organic compounds
- 2. Enantiomer separation
- 3. Methods for introducing organic functional groups (protection and deprotection)
- 4. Conformational analyses
- 5. Synthesis and retrosynthesis analysis (and discussion about possible improvement of drug activity) of following drugs: androgen compounds, aldosterone antagonists, synthetic estrogens, non-steroid estrogen antagonists, antidepressant based on dihydroanthracens, anthraquinones: the antrone chemotherapy agents, benzomorphans, analgesics based on nonfused piperidines, thiazoles and related sulphur- nitrogen containing heterocycles, 3- ketopirimidines, barbiturates, quinolines, antimalaria compounds, antibacterial quinolines, benzodiazepines, anxyolitic agents, seven- membered heterocycles fused to benzene ring, dibenzodiazepines, dibenzooxazepines and dibenzothiazepines, azadibenzodiazepines

Literature

Compulsory:

1. Strategies for organic drug synthesis, Daniel Lednicer, John Wiley & sons, New York, 1999.

Number of active classes	Theory: 60	Practices: 45
Teaching methods		

Theoretical and practical teaching.

Student activity assessment (maximally 100 points) lectures: 10 practices: 20 written exam: 70