

UČNI NAČRT PREDMETA / COURSE SYLLABUS

Predmet:	PRETVORBA BIOAKTIVNIH SPOJIN
Course Title:	TRANSFORMATION OF BIOLOGICALLY ACTIVE COMPOUNDS

Študijski program in stopnja Study Programme and Level	Študijska smer Study Field	Letnik Academic Year	Semester Semester
MAG Biokemija, 2. stopnja	/	2.	4.
USP Biochemistry, 2 nd Cycle	/	2 nd	4 th

Vrsta predmeta / Course Type: izbirni strokovni / Elective Professional

Univerzitetna koda predmeta / University Course Code: BI2114

Predavanja Lectures	Seminar Seminar	Vaje Tutorial	Klinične vaje Work	Druge oblike študija	Samost. delo Individual Work	ECTS
45	30	/	/	/	75	5

Nosilec predmeta / Lecturer: Prof. dr. Bogdan Štefane / Dr. Bogdan Štefane, Assistant Professor

Jeziki / Languages: slovenski / Slovenian
Predavanja / Lectures: /
Vaje / Tutorial: /

Pogoji za vključitev v delo oz. za opravljanje študijskih obveznosti: Študent oz. kandidat mora imeti predmet opredeljen kot študijsko obveznost.

Prerequisites: The course has to be assigned to the student.

Vsebina:

Asimetrične pretvorbe glicina (bis-laktim etri.asimetrično alkiliranje Schiffovih baz, funkcionalizacija imidazolidinonov, oksazolidinonov, oksazolinov, priprava in pretvorbe akiralnih enolatov glicina, pretvorbe kiralnih aziridinov Homologiranje na β -ali γ -C atomu Elektroforno aminiranje enolatov Nukleofilno aminiranje α -substituiranih kislin Asimetrična Streckerjeva sinteza Asimetrično hidrogeniranje dehidroamino kislin (heterogeno in homogeno hidrogeniranje) Encimatska ločba derivatov racemnih amino kislin Asimetrična tvorba vezi na prokiralnih

Content (Syllabus outline):

Asymmetric transformations of glycine, functionalisation of imidazolidinones, oxazolines and oxazolidinones. Synthesis and enantioselective transformations of glycine enolates. Transformations of chiral aziridines. Homologation on β and γ C atom. Electrophilic amination of enolates and nucleophilic amination of α -substituted carboxylic acid derivatives. Asymmetric hydrogenation of dehydroaminoacids. Enzymatic resolution of aminoacid derivatives. Total synthesis of some complex amino acids of biological importance (kainic acid derivatives, acivicin, bulgecicine and bulgecin, echinocandin, cyclosporines, and others cyclic peptides and depsipeptides).

substratih

Totalne sinteze nekaterih kompleksnih amino kislin in njihovih derivatov, kot so npr.

- derivati kainove kisline
- acivicin
- bulgecin
- ehinokandin
- kompleksni ciklični peptidi in depsipeptidi
- ciklosporini

Temeljna literatura in viri / Readings:

- P. Wyatt, S. Warren, Organic Synthesis Strategy and Control, Wiley 2007, nekatera poglavja / some chapters
- R.M. Williams, Synthesis of Optically Active α -Amino Acids, Pergamon Press, Oxford 1989, nekatera poglavja / some chapters
- Chiral Reagents for Asymmetric Synthesis, L. A. Paquette, ed., Interscience, Wiley, New York, 2003.

Cilji in kompetence:

Učna enota prispeva predvsem k razvoju naslednjih splošnih in specifičnih kompetenc:

- poznavanje posameznih vrst organskih spojin pomembnih v biokemijskih procesih
- poznavanje reaktivnosti bioaktivnih spojin spojin
- poznavanje tipičnih reakcij
- poznavanje značilnih funkcionalnih skupin in njihovih pretvorb
- poznavanje stereokemijskih pretvorb bioaktivnih spojin
- poznavanje nomenklature organskih spojin
- poznavanje reakcijskih mehanizmov in intermediatov v kemiji biokativnih spoji
- poznavanje dostopa do literaturnih virov in njihove uporabe

Objectives and Competences:

To become familiar with types of organic compounds important in biochemical processes and to understand reactivity of bioactive compounds. Knowledge of reactivity of functional groups appearing in bioactive compounds. Understanding stereochemical transformations and reaction mechanisms typical for bioactive compounds. Acquire knowledge of complex synthesis approaches to compounds of biological importance

Competences:

Knowing types of bioactive compounds and understanding their structure. Ability to interpret transformations, stereochemical characteristics, and reactivity of bioactive compounds. Understanding synthesis approaches to biologically important compounds.

Predvideni študijski rezultati:

Znanje in razumevanje

Študent pozna:

- biološko pomembne organske spojine
- tipične funkcionalne skupine in tipične pretvorbe glavnih funkcionalnih skupin
- biološko pomembnih organskih spojin

Intended Learning Outcomes:

Knowledge and Comprehension

Student understands and is familiar with organic chemistry of biologically active compounds

- transformations of carbohydrates
- synthesis of amino acids

<p>-značilne reagente, ki se uporabljajo pri tovrstnih pretvorbah -sintezne principe nekaterih pomembnih, tudi bolj kompleksnih, naravnih biološko pomembnih spojin</p>	<ul style="list-style-type: none"> • important functional group transformations <p>By solving the theoretical problems they review the knowledge of Organic chemistry</p>
<p><u>Uporaba</u> Znanje organske kemije je temeljno znanje, ki je osnova za (nadaljnji) študij kemije in se hkrati navezuje na veliko večino ostalih predmetov študija kemije. Poleg tega je temeljno znanje organske kemije nujno potrebno vsakemu kemiku in biokemiku pri njegovem kasnejšem delu v praksi.</p>	<p><u>Application</u> Mastered knowledge of organic chemistry is basic knowledge needed for studying Chemistry and Biochemistry. The knowledge is interconnected with majority of other subjects concerning the program. Course is also fundamental for understanding of structure, application and synthetic manipulations of basic bioactive compounds.</p>
<p><u>Refleksija</u> Predmet spada med izbirne predmete v programu biokemije. Študent je pri kasnejšem praktičnem delu sposoben samostojno poiskati relevantne literaturne vire, sintetizirati, izolirati, očistiti in okarakterizirati biološko pomembne organske spojine ter kritično ovrednotiti rezultate glede na skladnost s teoretičnimi načeli.</p>	<p><u>Analysis</u> Student is capable of recognising different types of biomolecules, predict their possible transformations, toxicity, reactivity, etc.</p>
<p><u>Prenosljive spretnosti</u> - Poznavanje organske kemije kot temeljno znanje za specifična področja kemije -Uporaba organskih sinteznih in izolacijskih laboratorijskih tehnik na ostalih področjih kemije -Iskanje po klasičnih in elektronskih literaturnih virih in njihova uporaba pri praktičnem delu.</p>	<p><u>Skill-transference Ability</u> The student acquires skills and knowledge that are required for a basic synthetic manipulation of important bioactive molecules.</p>

Metode poučevanja in učenja:

Predavanja; seminarji, individualni in skupinski projekti

Learning and Teaching Methods:

Lectures, seminar work, training by solving of the theoretical problems.

Delež (v %) /

Načini ocenjevanja:

Weight (in %) **Assessment:**

Pisni izpit		Written exam
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Reference nosilca / Lecturer's references:

- BERANIČ, Nataša, **ŠTEFANE, Bogdan**, BRUS, Boris, GOBEC, Stanislav, LANIŠNIK-RIŽNER, Tea. New enzymatic assay for the AKR1C enzymes. V: PLAPP, Bryce (ur.), et al. Enzymology and molecular biology of carbonyl metabolism, (Chemico-Biological Interactions, ISSN 0009-2797, vol. 202, iss. 1/3). Amsterdam: Elsevier, 2013, str. 204-209, ilustr., doi: 10.1016/j.cbi.2012.12.003. [COBISS.SI-

ID 30357465]

- SOSIČ, Izidor, MIRKOVIĆ, Bojana, ARENZ, Katharina, **ŠTEFANE, Bogdan**, KOS, Janko, GOBEC, Stanislav. Development of new cathepsin B inhibitors: combining bioisosteric replacements and structure-based design to explore the structure-activity relationships of nitroxoline derivatives. *Journal of medicinal chemistry*, ISSN 0022-2623, 2013, vol. 56, no. 2, str. 521-533.

<http://pubs.acs.org/doi/pdf/10.1021/jm301544x>, doi: 10.1021/jm301544x. [COBISS.SI-ID 3370865]

- SOSIČ, Izidor, MIRKOVIĆ, Bojana, TURK, Samo, **ŠTEFANE, Bogdan**, KOS, Janko, GOBEC, Stanislav. Discovery and kinetic evaluation of 6-substituted 4-benzylthio-1,3,5- triazin-2(1H)-ones as inhibitors of cathepsin B. *European Journal of Medicinal Chemistry*, ISSN 0223-5234. [Print ed.], 2011, vol. 46, iss. 9, str. 4648-4656. doi: 10.1016/j.ejmech.2011.08.005. [COBISS.SI-ID 3068017],

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