Timothy J. Hagen Ph.D.
CHEM 400 / 600: Medicinal Chemistry (Selected Topic)
Intersession 2017 (5/15/17 to 6/15/17)
MTWTh 11:00 AM - 1:30 PM, in LT401
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Course Description: This course will focus on the fundamental concepts and current methodologies involved in the drug discovery process. Included will be the importance of physicochemical properties of drugs, lead discovery strategies, Structure Activity Relationships (SAR), QSAR optimization methods, structure-based and mechanism-based design methods, and combinatorial chemistry, drug metabolism, reactive metabolites, prodrugs and drug delivery systems, as well as principles of pharmacokinetics and pharmacodynamics.

In addition, examples of selected drug classes will be discussed with a focus on the molecular mechanisms of action of representative drugs. Selected examples of industrial medicinal chemistry projects that progressed from conception through marketed drugs will be included. Modern ”innovative” methods of medicinal chemistry including inhibiting PPIs, phenotypic drug discovery, epigenetics will be covered including recent examples from the literature.

Meeting Time and General Information: The class will meet MTWTh from 11:00 AM to 1:30 PM in LaT 401 during the weeks of May 15 to June 15 for lectures, discussion and student presentations. You will be required to spend two hours per week doing library research to support your literature project.

Required text: “The Organic Chemistry of Drug Design and Drug Action" by Richard Silverman

BlackBoard Access: You must know your student id login and password.
https://webcourses.niu.edu/webapps/portal/frameset.jsp

Evaluation: Exams (20%), Homework (20%), Literature Project (55%), Attendance and class participation (5%).

Approximate Grading Scale: Average grade: A (100-92%), A- (91-90), B+ (89-88), B (87-82%), B- (81-80), C+ (79-78), C (77-70%), D (69-60%), F (59-0%)

Exams: There will be three exams that will cover recently presented material. Exam 1 will be on May 22, Exam 2 will be on May 30 and exam 3 will be on June 6.

Homework: There will be problem sets that will be collected and graded. Answers will be posted on Blackboard.

Literature project: You will select a small molecule (non-biological) marketed drug from the Top 200 Drugs posters (http://cbc.arizona.edu/njardarson/group/top-pharmaceuticals-poster) and write a paper about this drug. The method of choice will be discussed in class and your drug must be approved by the instructor. The paper should include an introduction and discussion of the discovery of the chemical series, mechanism-of-action, generalized evaluation scheme or screening funnel, Structure Activity Relationship (SAR), in vitro and in vivo assays, pharmacokinetic properties (PK) and pharmacodynamic

properties (PD), toxicity, chemical synthesis of the drug (analog and large scale synthesis), impact of the drug on treating the disease and sales. Chem 600 students will make a 30 minute Power Point slide presentation to the class on your drug during the last week of the class. Chem 400 students will not be required to make a presentation.

Attendance and participation: Attendance will be taken each class. Class participation and in class discussion are strongly encouraged.

Academic Dishonesty (cheating): Academic dishonesty includes (but is not limited to) plagiarism, looking at another student's exam during a testing session, allowing another student to copy your work, and use of unauthorized materials (e.g., lecture notes, crib sheets, textbooks, prohibited electronic devices including pagers, cell phones, or programmable calculators containing stored equations, formulas, or text) during exams. Violation of any of these terms will result in assignment of a score of zero for the exam in question. Plagiarism is the close imitation of the language and thoughts of another author and representing this as your original work without crediting the author. Academic dishonesty in any form will not be tolerated and may result in failure of the entire course.

Learning Objectives: Students will demonstrate a solid understanding of the fundamental concepts and current methodologies involved in the drug discovery process. Including the importance of physicochemical properties of drugs, lead discovery strategies, Structure Activity Relationships (SAR), QSAR optimization methods, structure-based and mechanism-based design methods, and combinatorial chemistry, drug metabolism, reactive metabolites, prodrugs and drug delivery systems, as well as principles of pharmacokinetics and pharmacodynamics. Students will be able to effectively explain modern ”innovative” methods of medicinal chemistry including inhibiting PPIs, phenotypic drug discovery, epigenetics and discuss recent examples from the literature.

The students will describe in detail the principles of medicinal chemistry that were used to discover a modern highly prescribed pharmaceutical.

Preferred Gender Pronoun Statement
This course affirms people of all gender expressions and gender identities. If you prefer to be called a different name than what is on the class roster, please let me know. Please also inform me and feel free to correct me and your classmates on your preferred gender pronouns. If you have any questions or concerns, please do not hesitate to speak with me in person, or email me. The Gender and Sexuality Resource Center also has a webpage designed to help support people of all genders as they navigate NIU’s system:http://niu.edu/gsrc/audience/trans.shtml .

Accessibility Statement
Northern Illinois University is committed to providing an accessible educational environment in collaboration with the Disability Resource Center (DRC). Any student requiring an academic accommodation due to a disability should let his or her faculty member know as soon as possible. Students who need academic accommodations based on the impact of a disability will be encouraged to contact the DRC if they have not done so already. The DRC is located on the 4th floor of the Health Services Building, and can be reached at 815-753-1303 (V) or drc@niu.edu.

Multilingual Student Statement
I am committed to making course content accessible to all students. If English is not your first language and this causes you concern about the course, please speak with me.

Student Sexual Misconduct
Policy Title IX prohibits sex discrimination to include sexual misconduct: harassment, domestic and dating violence, sexual assault, and stalking. If you or someone you know has been harassed or assaulted, you can receive confidential support and advocacy at the Counseling & Consultation Service's Advocacy Services, which can be contacted on at 815-753-1206, or in Campus Life Building-room 200. Alleged violations can be reported non-confidentially to the Affirmative Action & Equity Compliance Office in Lowden Hall-room 101, at 815-753-1118, or online at http://www.niu.edu/sexualmisconduct/help/form.shtml. Reports to law enforcement can be made to NIU Police & Public Safety at 815-753-1212. For an emergency, call 911. For more information about Sexual Misconduct Prevention & Resources, visit http://niu.edu/sexualmisconduct/index.shtml.

Note: As an instructor, one of my responsibilities is to help create a safe learning environment on our campus. I also have a mandatory reporting responsibility related to my role as an instructor and a faculty advisor to a student organization. I am required to share information regarding sexual misconduct or information about a crime that may have occurred on NIU's campus with the University. Students may speak to someone confidentially by contacting Counseling & Consultation Service's Advocacy Services at 815-753-1206, or in Campus Life Building-room 200.

*See Northern Illinois University Catalog for all other policies and guideline