

Timothy J. Hagen Ph.D.
CHEM 600: Medicinal Chemistry (Selected Topic) Spring 2014
TuTh 12:30PM - 1:45PM, in LT201
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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.

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 (55%), Homework (20%), Literature Project (20%), 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 hour exams will be given on 2/7, 3/7 and 4/18. The exams will cover recently presented material.

Homework: There will be several 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. You will also make a 15 minute Power Point slide presentation to the class on your drug.

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.

Notification Of Services For Students With Documented Disabilities NIU abides by Section 504 of the Rehabilitation Act of 1973 which mandates reasonable accommodations be provided for qualified students with disabilities. If you have a disability and may require some type of instructional and/or examination accommodation, you will need to register with the Center for Access-Ability Resources (CAAR), the designated office on campus to provide services and administer exams with accommodations for students with disabilities. The CAAR office is located on the 4th floor of the University Health Services building (815-753-1303). Accommodations are not retroactive. Please contact me early in the semester so that I can provide or facilitate in providing accommodations you may need. You must for each exam have a form filled out about 10 business days in advance to be sure to have a CAAR test time appointment.