Course syllabus for Chemistry 162A / 262A Drug Design (Fall 2009)

Class meets: Mon, Wed, Fri 11:00 – 11:50 AM Phelps 3505

Instructor: Professor *Kalju Kahn*, Office: PSB-N 2623

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Office Hours: Tue 12:30-1:30 and Thu 12:30-1:30 or by appointment

Course website: http://www.chem.ucsb.edu/~kalju/chem162

Teaching Assistant: Robert Levenson, Office Chem 1317

Lecture Textbook: Richard B. Silverman, The Organic Chemistry of Drug Design and Drug Action, 2nd edition

The Course: In Chem 162 students learn principles that govern the process of modern drug discovery and development. Students will follow a path similar to that taken by real-life drug developers by learning important elements of the drug design process in a logical order. Some topics that we focus more extensively in 162A are:

Target identification and validation
 Chemical libraries and screening

Receptor mechanisms and receptor targeting
 Ligand-based drug design

Expectations of Students:

> Attendance and taking good lecture notes is expected. Submitting completed assignments in time is required.

- The textbook provides some necessary background material. Furthermore, students are expected to read modern drug design-related research literature. Required literature will be available on the course website.
- ➤ Honesty and academic integrity must be always preserved. While discussing your ideas with others is encouraged outside the classroom, you must answer the assignment questions individually. No supplemental material should be used during an exam.
- Your grade in the course is based on points you collect from the weekly assignments (10 points each), the midterm (40 points), the final exam (50 points), and the written research proposal (50 points). Grading will be based on the curve but you have to meet a certain level to get a grade higher than F.
- The course requires that you have a solid understanding of basic biology and organic chemistry; good background in biochemistry and physical chemistry will be very helpful.
- No student shall give, sell, or otherwise distribute to others or publish any electronically available course materials or recordings made during any course presentation without the written consent of the instructor.

Study tips:

- I am posting lecture note slides on-line before the class meets so that you can focus on following my talk. The slides are mainly illustrative and you need to follow the lecture in order to fully understand the topics I cover.
- > Come in class prepared. Read the relevant textbook material and required reading **before** the class meets. I like to interact with students during our meetings and you enjoy the lectures more if you can think along.
- Review (or rewrite) your class notes the same day and supplement them with material from the textbook and other resources (optional reading, Internet). Ask for help if something remains unclear.
- > This course is not about memorization of names, reactions, or facts. It is about understanding the process, its principles and methods. You should demonstrate good understanding of the material when answering assignment questions and the exam problems. Your creativity and originality are highly important for getting a high score in the final written proposal.

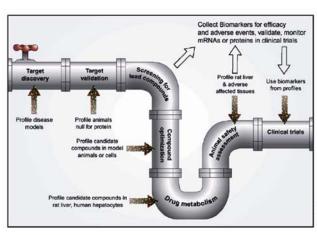
Good luck! — Kalju

Chem162/262		Schedule for the Winter 2009		
Sep 25 th	F	Overview and history of drug design		
Sep 27 th	M	Rational drug design: overview of approaches		
Sep 30 th	W	Current trends and future of drug design. Diseases.		
Oct 2 nd	F	Target Identification and Validation: Principles		
Oct 5 th	M	Target Validation: Pre-genomic methods		
Oct 7 th	W	Target Validation: Post-genomic methods	First assignment due	
Oct 9 th	F	Tutorial in SGI lab (Chem 1153): Target identification from	n microarray data	
Oct 12 th	M	Enzymes as drug targets		
Oct 14 th	W	Receptors as drug targets: Overview of biosignaling	Second assignment due	
Oct 16 th	F	Receptors as drug targets: Ion channels		
Oct 19 th	M	Receptors as drug targets: G-protein coupled receptors		
Oct 21st	W	Experimental characterization of membrane-bound receptor	rs Third assignment due	
Oct 23 rd	F	Nuclear hormone receptors		
Oct 26 th	M	Midterm Exam		
Oct 28 th	W	Combinatorial chemistry		
Oct 30 th	F	Chemical libraries		
Nov 2 nd	M	Principles of assay development	Fourth assignment due	
Nov 4 th	W	High-throughput screening for lead identification		
Nov 6 th	M	General strategies for lead optimization		
Nov 9 th	M	Ligand-based drug design and optimization	Fifth assignment due	
Nov 11 th	W	Veteran's day		
Nov 13 th	F	Tutorial in SGI lab (Chem 1153): Ligand building and mod	eling	
Nov 16 th	M	Ligand-based drug design and optimization		
Nov 18 th	W	Fragment-based drug design and optimization	Sixth assignment due	
Nov 20 th	F	QSAR: Overview		
Nov 23 th	M	QSAR: Technical Details		
Nov 25 th	W	Tutorial: Practical QSAR: tutorial in the SGI lab (Chem 115	53) Analysis	
Nov 27 th	F	Thanksgiving Holiday		
Nov 30 th	M	Comparative Molecular Field Analysis		
Dec 2 nd	W	Nucleic Acid Drugs		
Dec 4 th	F	Course Review and Evaluation V	Vritten draft proposals due	

Assignments

(will be posted on Wednesday one week before the due date)

- 1. Diseases and targets
- 2. Target validation
- 3. Receptors: general features
- 4. Assay development and library design
- 5. Pharmacophores and molecular similarity
- 6. Molecular properties, ligand-based optimization



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