


Chem 640 Introduction to Medicinal Chemistry


Spring 2006

Cliff Berkman Office Hours: Mon/Wed 2:00-3:00pm Class meetings TH 429 Tue/Thur 5:10-6:25 pm
Email: cberkman@sfsu.edu, Web Page: <http://userwww.sfsu.edu/~cberkman/640.html>

Text: "An Introduction to Medicinal Chemistry, 3rd Ed." (G.L. Patrick; Oxford, 2005)

Recommended:  an organic chemistry textbook  a biochemistry textbook

Grading Policy:  Class grades will be based on 3 Exams, an abstract and a ten-minute presentation on a drug, and a report on a drug target.

 Grades will be assigned according to the general scale below and will include plus (+) and minus (-) grades at the upper and lower portion of the ranges, respectively.

Three exams (100 pts each)	300 pts	<u>Grading Scale</u>
Drug abstract	20 pts	≥ 90% A
Drug presentation	40 pts	≥ 80% B
<u>Drug Target Report</u>	40 pts	≥ 70% C
TOTAL	400 pts	≥ 60% D

Relevant Class Information:

- Attendance is important to your understanding of the course material.
- During some class meetings, supplemental reading material will be handed out. Familiarity with this material is necessary for satisfactory performance on EXAMS.
- Lecture notes and related course information can be found on the course website: <http://userwww.sfsu.edu/%7Ecberkman/640.html>
- An **abstract** and a **ten-minute presentation** on a *drug* (given out randomly at the second or third lecture) will be required of all registered students.
 - Abstracts** will be a one-page, single-spaced, typed and will include the common and IUPAC name for the compound. A sample abstract will be given prior to the abstract due date. The structure, discovery/isolation/synthesis, and relevant biological activity should also be addressed. The abstract should be 250 words or less and include on the bottom of the page, 5 primary literature references (i.e., scientific journals, patents, etc.). Note: Web pages are NOT allowable literature references although "on-line" journal articles will be acceptable.
 - Presentations** will occur at the end of each class period after the first EXAM. They should be approximately **10-12 minutes** in length and will be followed by a few questions from the class audience (or instructor). Plan to use about 7 or 8 PowerPoint slides. Include the following information: Chemical structure, Synthesis, Classification or Therapeutic Category, Mechanism of Action, Structure-Activity info, Administration (iv, oral, etc.), Metabolism, Side Effects/Toxicity, Analog Development, and future directions (i.e., the next generation, if applicable). Peer evaluation will be a component in the grading of Presentations!
- A report on a drug target (receptor, enzyme, etc.) will be assigned after the first EXAM. The assignment will involve computational modeling of this target with a drug ligand.

Tentative Class Schedule:

<u>Date</u>	<u>Day</u>	<u>Chapter</u>	<u>Topic</u>
1/31	Tue	1	Introduction, Folklore, Drug Discovery, Searching the Literature
2/2	Thur	10	Drug Development: <i>pharmacophore identification, SAR (qualitative)</i>
2/7	Tue	10	Drug Development: <i>structural modifications to modify potency</i>
2/9	Thur	13	Quantitative Structure-Activity Relationships (QSAR)
2/14	Tue	13	QSAR: <i>electronic, hydrophobic, & steric effects.</i>
2/16	Thur	8	Phase I Drug Metabolism: <i>oxidative, reductive, and hydrolytic transformations</i>
2/21	Tue	8	Phase II Drug Metabolism: <i>conjugation</i>
2/23	Thur	11	Drug Design to address Pharmacokinetic Issues, Prodrugs
2/28	Tue	11	Drug Design to address Pharmacokinetic Issues, Prodrugs
3/2	Thur	5	EXAM
3/7	Tue	5	Drug Action at Receptors: <i>covalent, ionic, dipole, hydrogen, hydrophobic, etc.</i>
3/9	Thur	6	Drug-Receptor Theories for <i>Agonists, Antagonists, Partial Agonists</i>
3/14	Tue	6	GPCRs (Nancy Gerber)
3/16	Thur	19,20	GPCRs (Nancy Gerber)
3/21	Tue	19,20	Drugs acting on the Cholinergic, Adrenergic, Dopaminergic System
3/23	Thur	22	Histamines and Case Study-Cimetidine
3/28	Tue		Nuclear Receptors
3/30	Thur		Drugs acting on Hormones & their Receptors
4/4	Tue		No Class-SPRING BREAK
4/6	Thur		No Class-SPRING BREAK
4/11	Tue		EXAM
4/13	Thur	4,7	Drug Action on Enzymes and DNA
4/18	Tue	14	Structural Biology in Medicinal Chemistry (Marc Anderson)
4/20	Thur	17,	Computational Tools in Medicinal Chemistry (Marc Anderson)
4/25	Tue	12,	Antibacterial Agents
4/27	Thur	14	Anticancer Agents
5/2	Tue	14	Antiviral Drugs (Marc Anderson)
5/4	Thur		No Class-ADVISING DAY
5/9	Tue	14	Nonsteroidal Antiinflammatory Agents (NSAIDS)
5/11	Thur	15	Organic Synthesis and Drug Discovery (Marc Anderson)
5/16	Tue	15	Organic Synthesis and Drug Discovery (Marc Anderson)
5/18	Thur	15	Summing it up: Cutting-edge examples from the literature (Marc Anderson)
5/25	Thur	1:30-4:00 p.m.	EXAM

Note: Every class period (after the first exam) the last 10-15 minutes of class will be reserved for a presentation on one of your drugs. The order of these updates will be provided after the first few lectures.