

# Chem 640 Introduction to Medicinal Chemistry

Spring 2004

Cliff Berkman, Marc Anderson, Matt Hart  
Tel: 338-6495  
FAX: 405-0337

Office Hours: TH 636 Tue/Thur 10:00-11:00am  
Web Page: <http://userwww.sfsu.edu/~cberkman>  
Email: [cberkman@sfsu.edu](mailto:cberkman@sfsu.edu)

Text: "An Introduction to Medicinal Chemistry" (G.L. Patrick; Oxford, 2001)

Recommended: ☞ an organic chemistry textbook ☞ a biochemistry textbook

Grading Policy: ☞ Class grades will be based on 4 Exams, class participation in weekly discussions, an abstract, a ten-minute presentation, and a research paper.

☞ 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.

Four exams (100 pts each)	400 pts	<u>Grading Scale</u>
Drug abstract	10 pts	≥ 90% A's
Drug presentation	25 pts	≥ 80 % B's
Drug paper	65 pts	≥ 70% C's
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TOTAL	500 pts	

## Relevant Class Information:

- Attendance is necessary for acceptable understanding of the course material.
- Often, supplemental reading material will be handed out. Familiarity with this material is necessary for satisfactory performance on EXAMS.
- An **abstract**, a **ten-minute presentation**, and a **research paper** on a "biologically active" organic compound (given out randomly at the second or third lecture) will be required of all registered students. Undergraduate students will work in teams of 2, graduate students will work independently.
  - 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** should be approximately **10-12 minutes** in length and will be followed by 1 or 2 questions from the class audience (or instructor). Plan to use about 7 or 8 overhead transparencies (or PowerPoint slides). Include the following information: Chemical structure, Discovery/Isolation/Synthesis, Classification or Therapeutic Category, Mechanism of Action, Structure-Activity info, Administration (iv, oral, etc.), Metabolism, Distribution, Elimination, 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!
  - Research Papers** will be similar to the presentation and again, should include the same sections. For undergraduate students registered in this class, a 4-6 page research paper with at least 5 literature references will be expected. For graduate students, an 8-10 page research paper with at least 10 references will be required.

## Tentative Class Schedule:

<u>Date</u>	<u>Day</u>	<u>Chapter</u>	<u>Topic</u>
1/29	Thur	1	Introduction, Folklore, Drug Discovery, Searching the Literature
2/3	Tue	8	Drug Development: <i>pharmacophore identification, SAR (qualitative)</i>
2/5	Thur	9	Drug Development: <i>structural modifications to modify potency</i>
2/10	Tue	11	Quantitative Structure-Activity Relationships (QSAR)
2/12	Thur	11	QSAR: <i>electronic, hydrophobic, &amp; steric effects.</i>
2/17	Tue		Phase I Drug Metabolism: <i>oxidative, reductive, and hydrolytic transformations</i>
2/19	Thur		Phase II Drug Metabolism: <i>conjugation</i>
2/24	Tue	10	Drug Design to address Pharmacokinetic Issues, Prodrugs
2/26	Thur		<b>EXAM</b>
3/2	Tue	5	Drug Action at Receptors: <i>covalent, ionic, dipole, hydrogen, hydrophobic, etc.</i>
3/4	Thur	5	Drug-Receptor Theories for <i>Agonists, Antagonists, Partial Agonists</i>
3/9	Tue		GPCRs
3/11	Thur	6	GPCRs
3/16	Tue	15,16	Drugs acting on the Cholinergic, Adrenergic, Dopaminergic System
3/18	Thur	15,16	Drugs acting on the Cholinergic, Adrenergic, Dopaminergic System
3/23	Tue		No Class-SPRING BREAK
3/25	Thur		No Class-SPRING BREAK
3/30	Tue		Nuclear Receptors
4/1	Thur		Drugs acting on Hormones & Receptors
4/6	Tue		Case Study
4/8	Thur		<b>EXAM</b>
4/13	Tue	4,7	Drug Action on Enzymes and DNA
4/15	Thur	14	Antibacterial Agents
4/20	Tue	17,	Opiate Analgesics, Nonsteroidal Antiinflammatory Agents
4/22	Thur	12,	Organic Synthesis and Drug Discovery
4/27	Tue		Organic Synthesis and Drug Discovery
4/29	Thur		Organic Synthesis and Drug Discovery
5/4	Tue		Class Presentations
5/6	Thur		No Class-ADVISING DAY
5/11	Tue		Class Presentations
5/13	Thur		Class Presentations
5/18	Tue		Class Presentations
5/25	Tue	1:30-4:00 p.m.	<b>EXAM</b>

**Note:** *Every Day (after the first week of class) the last 5-10 minutes of class will be reserved for an update on one of your drugs. The order of these updates will be provided after the first lecture.*