**Department of Chemistry Syllabus**

This syllabi is advisory only. For details on a particular instructor's syllabus (including books), consult the instructor's course page. For a list of what courses are being taught each quarter, refer to the Courses page. *Every instructor has prerogative to teach the course as they see fit and ultimately the instructor's syllabus supersedes all others.*

***Che 130A: Introduction of Pharmaceutical Chemistry I***

Approved:

Course Description

This class will provide an introduction to the chemical principles behind the design and production of pharmaceutical agents. Focus will be on explaining and predicting how small organic molecules bind to biological receptors, inhibit enzymes and get metabolized. This course will draw on and expand upon material covered in introductory organic chemistry such as proposing reasonable arrow-pushing mechanisms for organic reactions (mainly of enzymes with drug molecules and natural substrates) and predicting the reactivity of organic drug-like molecules.

Pre-requisites are organic chemistry 118C, or 128C

Suggested Textbook: (actual textbook varies by instructor; check your instructor)

"The Organic Chemistry of Drug Design and Drug Action, 3rd Edition"

Richard B. Silverman

Suggested Schedule:

**General of Lectures/Silverman Book Chapters:**

Week 1 & 2: Chapters 1 & 2: Overview of drug discovery & General Principles

Topics: Natural products & lead compounds; lead modification; pharmacophores, structure-activity-relationships (SAR); Lipinski’s rules; bioavailability

Week 3 & 4: Chapter 3: Receptors

Topics: Receptors; types of drug-receptor interactions; physical principles; experimental determination of drug-receptor interactions; theories of drug-receptor interaction; geometric, stereochemical, conformational, pKa considerations in drug design; structure-based design.

Week 5: Chapter 4: Enzymes

Topics: enzyme structure and function, mechanisms of catalysis, cofactors

Week 6 & 7: Chapter 5 Enzyme inhibition and inactivation

Topics: Types of enzyme inhibitors; representative example drugs of each type

Week 8 &9 : Chap 7 & 8 : Drug Resistance, Metabolism & Toxicity

Topics: Drug resistance and co-drugs; drug metabolism; (ADME) adsorption, distribution, metabolism, and excretion; Pro-drugs, Drug safety and toxicity, off-target and on-target toxicity, side-effects.

Week 10: Chap. 6, DNA and RNA binding drugs

Topics: Features of nucleic acids drugs, case studies of nucleic acid targeted anti-biotics and anti-cancer drugs.

Learning Goals:

* The overall purpose of this course is to provide a conceptual framework based on chemical principles for understanding how drugs work, and how we might design better ones. Students will review basic organic principles and learn how to apply them to the complex biological problem of drug design. Concepts learned translate to other types of biological problems, such as toxicity of environmental pollutants.
* Overall Learning objective: How do we take a “lead” organic molecule and turn it into a drug? (1) How do we use organic chemistry to change the properties (such as hydrophobicity, non-covalent interactions, acidity, flexibility, metabolism) of the organic molecule/drug lead? (2) How do we know which changes to make and why would we expect them to work? Synthesize knowledge of organic chemistry, of target of drug (biomolecule) and other practical or “off-target” issues. (3) How do we evaluate the changes? Are they working or not? We will learn how to evaluate changes using biochemical and biological assay, computational information and clinical trials.