Medicinal Chemistry
CHEM 4170
TR 8:00-9:15, 201 Schlundt Hall

Professor: Dr. Kent Gates
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Course Information on the Internet: http://faculty.missouri.edu/~gatesk/index.html


Schedule (Topics and Dates Are Subject to Change)
• Introduction, our approach, early of drug disc. (prontosil pp 217–219)
• Struct of biol macromol, weak bonding, ΔG and K_eq (pp chapter 3, 123–130)
• Drug-binding pockets on biol macromol, an array of weak bonds (pymol assignmt)
• Structures of biological macromolecules and drug-ligand complexes
• Systematic lead discovery and lead modification (chap 1, chapter 2, pp 20–82)
• Log P and other methods to identify drug-like structures (chapter 2, pp 20–82)
• Introduction to receptors as drug targets (chapter 3, pp 131-139)
• Case studies of receptor-targeted drugs - cimetidine (chapter 3, pp 151–156)
  ⇒ Feb 23th, Thursday – EXAM 1
• What is an enzyme and how do they work? (chapter 4, 165–174)
• The enzyme-targeted drugs: case studies (peptidomimetics, pp 68-72; ACE and captopril, pp 225–230; HIV protease inhibitors, pp 235-238)
• March 25-April 3: No Lectures (Spring Break)
• More enzyme-targeted drugs (time permitting: acetylcholinesterase inhibitors; glyphosate; aspirin, ibrutinib pp 243-246)
• DNA-targeted drugs (chapter 6)
• RNA-targeted drugs
• Membrane-targeted drugs
  ⇒ April 6th, Thursday – EXAM 2
• Drug metabolism, prodrugs, biologics (chapters 8 and 9)
  ⇒ May 6th Friday, Reading Day
  ⇒ May 12th Friday – Comprehensive FINAL EXAM, 10:00 a.m. – 12:00 p.m.
    201 Schlundt Hall (Our regular classroom)

Course Organization and Expectations
Class attendance will not be recorded. Please be aware, however, that significant amounts of important material presented in lecture do not appear in the textbook. The lecture notes represent the central course material for examinations. The textbook is an important supplement. When you come to class, be respectful of the learning environment. Please turn off electronic devices including laptops.
Aims of this course

In this course, we will study the organic chemistry of drug design and drug action. Let’s consider the organization of the course for a moment. Many pharmacy and pharmacology courses are organized by disease (e.g. cancer, depression, inflammation). Such a disease-based approach provides a practical education for those who will be prescribing, dispensing, and administering drugs. On the other hand, CHEM 4170 (this course) takes a fundamentally chemical approach directed at understanding the mechanisms of how drugs work and how drugs can be systematically discovered. For this reason, the course is divided into nine sections that begin with: i. consideration of how chemical synthesis plays a role in the discovery and production of drugs and ii. the chemical forces by which drugs interact with biological macromolecules. Sections iii-vii involve study of the five major medicinally-relevant categories of biological targets found inside cells: receptors, enzymes, DNA, RNA, and membranes. The division of drug targets into the five biochemical targets facilitates a global understanding of how drugs work. For instance, the multitude of drugs that interfere with enzyme function in cells can be considered as group because the fundamental chemical principles underlying both the catalytic function of all enzymes and the ways that drugs can modulate enzyme function are common to all enzymes. Therefore, several case studies involving enzyme-targeted drugs provide the fundamental tools needed to understand how all enzyme-targeted drugs operate as well as the strategies by which these drugs can be discovered. Similarly, we will consider the biological functions of receptors, membranes, DNA, and RNA and examine case studies of drugs that interact with these targets. In the final portion of the semester we will address: viii. chemical principles of drug delivery and ix. drug metabolism.

Exams - Grade Breakdown

• There will be three exams in the course. Two midterm exams (each worth 30% of your grade) and a comprehensive final worth (30% of your grade).
• Computer-based, protein-drug projects and a literature research report summarizing facts about a drug found in a current Physicians Desk or a new drug under development will be assigned will comprise 10% of the grade.

Academic Honesty

Academic dishonesty of any form will be reported to the Provost for Academic Affairs and to your Dean.

Students with Disabilities: If you anticipate barriers related to the format or requirements of this course, if you have emergency medical information to share with me, or if you need to make arrangements in case the building must be evacuated, please let me know as soon as possible. If disability related accommodations are necessary (for example, a note taker, extended time on exams, captioning), please register with the Disability Center (http://disabilitycenter.missouri.edu), S5 Memorial Union, 573- 882-4696, and then notify me of your eligibility for reasonable accommodations. For other MU resources for persons with disabilities, click on "Disability Resources" on the MU homepage.