

PHRM 240

Molecules & Medicine – Principles of Drug Design

Fall Semester 2019

Dr. Wolfgang Dostmann, Professor of Pharmacology, College of Medicine

Syllabus

This 3-credit course conveys the molecular mechanisms by which drugs act in the body and the principles drug design. It highlights the importance of medicinal chemistry as it overlaps with the disciplines of chemistry, biochemistry, microbiology, cell biology, and pharmacology.

Most lectures are split into two parts. Part 1 lasts 40-45 minutes and loosely follows the flow of the textbook. Following a short questions/answers break, part 2 will be more relaxing and we will take a trip back in time and review an example of the “*Most important drugs in history*”. These are world changing, famous compounds that have had a significant impact on civilization.

Prerequisites

Organic Chemistry and Background in Biology or Biochemistry or Permission

Course Director

Wolfgang Dostmann, Department of Pharmacology, Given B303B

Contact

wdostman@uvm.edu

Office hours

Thursday, 2-4pm, Given B303B

Time and Place

Tuesdays and Thursdays 11:40 am – 12:55 pm, Stafford Hall 101

Required Textbook

An Introduction to Medicinal Chemistry (6th Ed), Graham L. Patrick, Oxford Press, 2017
The textbook is an essential component of the course. You will be using it a lot! It is a “fun” book too.

Examination Format

Undergraduate Students: Throughout the course students will be taking four, 60-minute exams. All exams are essentially cumulative.

Extra Credit

A total of four pop-up quizzes will provide ample opportunity for extra credit. Each quiz is worth 5 points. All four quizzes are approximately worth an extra 10% of the final grade.

Graduate Students

Students taking the course for graduate school credit will have to submit an additional term paper on a drug considered to be one of the most important drugs in history. The paper should include the drug's discovery, structure, chemical properties, synthesis, biological effects and historical significance. Students will be graded (pass/fail) on the thoroughness and quality of their paper.

Course Schedule

Part I: Drug Targets

08/27	Lecture 1: Introduction Intermolecular bonding forces <i>Most important drugs in history: Salvarsan</i>	Dostmann
08/29	Lecture 2: Proteins: Structure/Function Enzymes: Structure/Function <i>Most important drugs in history: Penicillin</i>	Dostmann
09/03	Lecture 3: Receptors: Structure/Function Receptors: Signal Transduction <i>Most important drugs in history: 6-Mercaptopurine</i>	Dostmann
09/05	Lecture 4: Nucleic acids: structure and function <i>Most important drugs in history: Thalidomide</i>	Dostmann
09/10	Lecture 5: Enzymes and Receptors as drug targets Review Session	Dostmann
09/12	EXAMINATION 1	
09/17	Lecture 6: Nucleic acids as drug targets <i>Most important drugs in history: Ivermectin</i>	Dostmann
09/19	Lecture 7: Pharmacokinetics I <i>Most important drugs in history: Hydrocortisone</i>	Dostmann
09/24	Lecture 8: Pharmacokinetics II <i>Most important drugs in history: Librium</i>	Dostmann

Part II: Drug discovery, design and development

09/26	Lecture 9: Drug discovery: finding a lead I <i>Most important drugs in history: AZT</i>	Dostmann
10/01	Lecture 10: Drug discovery: finding a lead II <i>Most important drugs in history: Cyclosporine I</i>	Dostmann
10/03	Lecture 11: Rational Approaches to Lead Discovery I <i>Most important drugs in history: Thorazine</i>	Dostmann
10/08	Lecture 12: Rational Approaches to Lead Discovery II Review Session	Dostmann
10/10	EXAMINATION 2	
10/15	Lecture 13: Drug design: optimizing target interactions <i>Most important drugs in history: Sumatriptan I</i>	Dostmann
10/17	Lecture 14: Drug design: optimizing access to the target I <i>Most important drugs in history: Sumatriptan II</i>	Dostmann
10/22	Lecture 15: Drug design: optimizing access to the target II <i>Most important drugs in history: Quinine I</i>	Dostmann
10/24	Lecture 16: Drug Design: Novel design strategies <i>Most important drugs in history: Quinine II</i>	Dostmann

Part III: Selected topics in medicinal chemistry

10/29	Lecture 17: Anti-ulcer agents I <i>Most important drugs in history: Cimetidine</i>	Dostmann
11/31	Lecture 18: Anti-ulcer agents II <i>Most important drugs in history: Omeprazole</i> Review Session	Dostmann
11/05	EXAMINATION 3	

11/07	Lecture 19: The challenges of getting a drug to the market I	Osborne
11/12	Lecture 20: The challenges of getting a drug to the market II	Osborne
11/14	Lecture 21: Antibiotics	Dostmann
11/19	Lecture 22: Opioid analgesics I <i>Most important drugs in history: Methadone</i>	Dostmann
11/21	Lecture 23: Opioid analgesics II <i>Most important drugs in history: Artemisinin</i>	Dostmann
11/26	No Class - Thanksgiving Recess	
11/28	No Class - Thanksgiving Recess	
12/03	Lecture 24: Antiviral agents <i>Most important drugs in history: Ritonavir</i>	Dostmann
12/05	Lecture 25: Anticancer agents <i>Most important drugs in history: Imatinib</i> Review Session	Dostmann
12/12	EXAMINATION 4 7:30am-10:15am Stafford 101	