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**

<table>
<thead>
<tr>
<th>Date</th>
<th>Lecture</th>
<th>Topic</th>
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| 08/27  | Lecture 1: | Introduction  
Intermolecular bonding forces  
*Most important drugs in history: Salvarsan* |
| 08/29  | Lecture 2: | Proteins: Structure/Function  
Enzymes: Structure/Function  
*Most important drugs in history: Penicillin* |
| 09/03  | Lecture 3: | Receptors: Structure/Function  
Receptors: Signal Transduction  
*Most important drugs in history: 6-Mercaptopurine* |
| 09/05  | Lecture 4: | Nucleic acids: structure and function  
*Most important drugs in history: Thalidomide* |
| 09/10  | Lecture 5: | Enzymes and Receptors as drug targets  
Review Session |
| 09/12  | EXAMINATION 1 | |
| 09/17  | Lecture 6: | Nucleic acids as drug targets  
*Most important drugs in history: Ivermectin* |
| 09/19  | Lecture 7: | Pharmacokinetics I  
*Most important drugs in history: Hydrocortisone* |
| 09/24  | Lecture 8: | Pharmacokinetics II  
*Most important drugs in history: Librium* |
Part II: Drug discovery, design and development
09/26 Lecture 9: Drug discovery: finding a lead I
  Most important drugs in history: AZT

10/01 Lecture 10: Drug discovery: finding a lead II
  Most important drugs in history: Cyclosporine I

10/03 Lecture 11: Rational Approaches to Lead Discovery I
  Most important drugs in history: Thorazine

10/08 Lecture 12: Rational Approaches to Lead Discovery II
  Review Session

10/10 EXAMINATION 2

10/15 Lecture 13: Drug design: optimizing target interactions
  Most important drugs in history: Sumatriptan I

10/17 Lecture 14: Drug design: optimizing access to the target I
  Most important drugs in history: Sumatriptan II

10/22 Lecture 15: Drug design: optimizing access to the target II
  Most important drugs in history: Quinine I

10/24 Lecture 16: Drug Design: Novel design strategies
  Most important drugs in history: Quinine II

Part III: Selected topics in medicinal chemistry
10/29 Lecture 17: Anti-ulcer agents I
  Most important drugs in history: Cimetidine

11/31 Lecture 18: Anti-ulcer agents II
  Most important drugs in history: Omeprazole
  Review Session

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 Dostmann
Most important drugs in history: Methadone

11/21 Lecture 23: Opioid analgesics II Dostmann
Most important drugs in history: Artemisinin

11/26 No Class - Thanksgiving Recess

11/28 No Class - Thanksgiving Recess

12/03 Lecture 24: Antiviral agents Dostmann
Most important drugs in history: Ritonavir

12/05 Lecture 25: Anticancer agents Dostmann
Most important drugs in history: Imatinib
Review Session

12/12 EXAMINATION 4 7:30am-10:15am Stafford 101