

Medicinal Chemistry (CHEM 315/515)

Fall 2010

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course web page: <http://www.brynmawr.edu/chemistry/malachowski/MedChem/MedChemintro.html>

Educational Goals:

- develop a knowledge base of medicinal chemistry
- develop an understanding of structure-activity principles in a variety of chemical structures
- develop fundamental critical thinking skills, including pattern recognition and analogous reasoning

Class meetings: Tues. and Thurs. 10:00-11:30 AM in PSB 278.

Office Hours: Mon. 9:30-10:30 AM, Wed. 4-5:30 PM and by appointment.

Course books:

[*An Introduction to Medicinal Chemistry 4th edition*](#) by Graham Patrick (2009)

[*Billion-Dollar Molecule*](#) by Barry Werth (1995)

Tentative Schedule

Date (Lecture No.)	Topic	Reading	Assignment
Aug. 31 (1)	Introduction: Drug Targets and Intermolecular Forces	Intro Med Chem: Ch. 1 (pp. 1-14)	
Sept. 2 (2)	Intermolecular Forces (cont.)	<i>Billion-Dollar Molecule:</i> Ch. 1 and 2 (pp. 11-36)	
Sept. 7 (3)	Proteins	Intro Med Chem: Ch. 2 (pp. 17-29)	
Sept. 9 (4)	Enzymes	Intro Med Chem: Ch. 3 (pp. 30-41) <i>Billion-Dollar Molecule:</i> Ch. 3 and 4 (pp. 37-78)	<u>HW #1 due</u> Intro Med Chem, Ch. 1: 1,3,8-10; Ch. 2: 3,5,6. Structural Assignment Exercise
Sept. 14 (5)	Enzymes (cont.)		
Sept. 16 (6)	Enzymes as Drug Targets Case Study: Sulfa drugs	Intro Med Chem: Ch. 7 (pp. 87-100) and Ch. 19 (sect. 19.1-4, pp. 421-429) <i>Billion-Dollar Molecule:</i> Ch. 5 and 6 (pp. 79-112)	

Sept. 21 (7)	Captopril and Related ACE Inhibitors (rational drug design)	Intro Med Chem: Case Study 2 (pp. 285-291)	<u>HW#2 due</u> Ch. 3: 1,3,4. Ch. 7: 2-5.
Sept. 23 (8)	HIV Protease Inhibitors	Intro Med Chem: Ch. 20 (sect. 20.7.4, pp. 487-500) <i>Billion-Dollar Molecule:</i> Ch. 7 and 8 (pp. 113-156)	
Sept. 28 (9)	5-Fluorouracil Penicillin	Intro Med Chem: 5-Fluorouracil, Ch. 21, (sect. 21.3.2, pp. 536-538); Penicillin, Ch. 19 (sect. 19.5, pp. 429-454)	
Sept. 30 (10)	Drug Design and Development (including Bioisosteres)	Intro Med Chem: Ch. 12 and 13 (pp. 187-241) <i>Billion-Dollar Molecule:</i> Ch. 9 and 10 (pp. 157-190)	<u>HW#3 due</u> Ch. 13: 3. Ch. 19: 4,7,8. Ch. 20: 1,3,7,8.
Oct. 5 (11)	Drug Design (cont.)		
Oct. 7 (12)	ADMET	Intro Med Chem: Ch. 11 (pp. 152-176) <i>Billion-Dollar Molecule:</i> Ch. 11 and 12 (pp. 193-235)	Select literature article(s) for paper
Oct. 9-17	<i>Fall Break</i>		
Oct. 19 (13)	ADMET (cont.)		
Oct. 21 (14)	ADMET (cont.)	<i>Billion-Dollar Molecule:</i> Ch. 13 and 14 (pp. 236-265)	Select literature articles for presentation
Oct. 26 (15)	Midterm Exam		Study!
Oct. 28 (16)	Receptors as Drug Targets Case Study: Cimetidine, an H ₂ Histamine Antagonist	Intro Med Chem: Ch. 8 (pp. 101-118) and Ch. 25 (pp. 653-673) <i>Billion-Dollar Molecule:</i> Ch. 15 and 16 (pp. 266-317)	
Nov. 2 (17)	Cimetidine (cont.)	Intro Med Chem: Ch. 9 (pp. 119-134)	
Nov. 4 (18)	Cimetidine (cont.)	<i>Billion-Dollar Molecule:</i> Ch. 17 and 18 (pp. 318-370)	Paper due today
Nov. 9 (19)	Nucleic Acids as Drug Targets	Intro Med Chem: Ch. 20 (pp. 503-513)	
Nov. 11	Nucleic Acids (cont.)	<i>Billion-Dollar Molecule:</i> Ch. 19 and	

(20)		20 (pp. 371-405)	
Nov. 16 (21)	Nucleic Acids (cont.)	<i>Billion-Dollar Molecule: Epilogue</i> (pp. 407-429)	
Nov. 18 (22)	HCV Protease Inhibitors (Sarah, Andy, and Maria)		read article(s)
Nov. 23 (23)	Anti-cancer treatments, kinase inhibitors (Susie and Natalia)		read article(s)
Nov. 25	<i>Thanksgiving Break</i>		
Nov. 30 (24)	Parkinson's disease treatments (Eesha, Margaux, and Alison)		read article(s)
Dec. 2 (25)	Anti-malarials (Jon, Michael, and Julia)		read article(s)
Dec. 7 (26)	Type II diabetes (Asha, Shwetha, and Andrew)		read article(s)
Dec. 9 (27)	Alzheimer's disease treatments (Ariana and Laura)		read article(s)

Midterm Exam: One midterm exam will be given on October 26 in class.

Paper: Undergraduate students will select an article involving drug development from the scientific literature by Oct. 7 and write a summary (3-5 pages) of the article by Nov. 4. Graduate students will do the same, but will choose 2-3 related articles and contrast the research reported in these articles.

Student Presentation: Students will work in groups of two to present recent articles from the medicinal chemistry literature to the class. Student groups will have 45-60 minutes to deliver a presentation which explains the context and significance of the literature article while exploring the experimental details of the research.

Final Exam: There will be a scheduled final exam during the exam period.

Course Grading:

HW sets, 3+ ~24 pts. each	72 pts.
Midterm Exam	100
Paper	100
Presentation	100
Final Exam	150
Total Points	522 pts.

Approximate Grading Scheme:

93-100%	4.0	73-76%	2.0
89-92%	3.7	70-72%	1.7
86-88%	3.3	67-69%	1.3
82-85%	3.0	63-66%	1.0
80-82%	2.7	<63%	0.0
77-79%	2.3		

I reserve the right to make modifications to this scheme when I consider it necessary.

Students with Disabilities: Students who think they may need accommodations in this course because of the impact of a disability are encouraged to meet with me privately early in the semester. Students should also contact Stephanie Bell, Coordinator of Access Services, at 610-526-7351 or sbell@brynmawr.edu, as soon as possible to verify their eligibility for reasonable accommodations. Early contact will help to avoid unnecessary inconvenience and delays.