CH 458 - MEDICINAL CHEMISTRY

SPRING 2011 M: 5:15pm-8 pm Sci-1-089

Prerequisite: *Organic Chemistry II* (Chem 254 or Chem 252, or equivalent transfer course)

Instructor:

Dr. Bela Torok
Room S-1-132, Science Building
Tel: 287-6159
e-mail: bela.torok@umb.edu

Office Hours: Monday: 3pm-5pm
Thursday: 10 am to 11 am

Exams:
Mid-term 1: 07 March 2011
Mid-term 2: 18 April 2011
Final exam: 16-20 May 2011 (to be updated)

There will be no make up quizzes or exams. In case of any serious problems contact me in advance.

Grading: Grades will be determined on the basis of your performance in the following areas (see below). The grades will be computed by the percentage of the total 400 points. The points-grade equivalences are as follows:

Reading assignment:	25
Mid-term 1:	125
Mid-term 2:	125
Final Exam:	125

Grading:	
400-365	A
364-340	A-
339-325	B+
324-305	B
304-290	B-
289-275	C+
274-260	C
259-245	C-
244-230	D+
229-215	D-
214-200	D-
below 200	F

Exams and assignments will be designed to test your ability to apply what you have learned. They will be only short-answer type questions and short essays.

Classroom rules: As usual. Please, be in time. In case of any problem, let us know it in advance.

Books:
Course textbook:

Gareth Thomas, Medicinal Chemistry, Wiley, 2008.

Reference book:
E. J. Corey , B. Czako, L. Kurti, Molecules and Medicine, Wiley, 2007

Summary Statement

This upper-level professional course presents the principles of medicinal chemistry. Organized along pharmacological lines, the course considers the development and design of drugs, those a) acting on the central and peripheral nervous system; b) acting on the cardiovascular, hematopoietic and renal systems; and c) acting as chemotherapeutic agents, vitamins, and hormones. Special emphasis is given to drugs used in emergencies and to drugs described in the United States Pharmacopoeia and the National Formulary. Syntheses of important compounds in the various categories are presented.

Tentative Course Outline

Week	Topic	Graded works/Note
1	**Introduction** - Drug discovery and design, historical outlook - Drug action, classification of drugs - Drug administration	
2	**Drug Structure and solubility** - Stereochemistry and drug design - Solubility, salt formation - Formulation methods - Surfactants and amphiphiles	
3	**Structure Activity Relationships (SAR, QSAR)** - SAR - QSAR - Effect of substituensts, and physical properties - Computer aided drug design	
4	**Combinatorial Chemistry** - The solid support methods - Encoding methods - Combinatorial libraries - High-throughput screening	
5	**Drugs from Natural Sources** - Bioassays - Active compound development - Extraction procedures - Fractionation methods	
6	**Biological Membranes** - The plasma membrane - Transfer through cell membranes - Drug action on membranes	
7	**Receptors and Messengers** - Binding of ligands to receptors - Ligand-Receptor theories - Drug action and design	EXAM 1 March 07/M

8	**Enzymes** - Active sites, catalytic action, regulation - Enzyme kinetics - Enzyme inhibitors - Enzymes and drug resistance	March 13-20 Spring Vacation
9	**Nucleic Acids** - DNA, RNA, mRNA, tRNA, rRNA - Protein synthesis inhibitors - Drugs that target nucleic acids	
10	**Pharmacokinetics and Nitric Oxide** - Pharmackinetic models - Pharmacokinetics in drug design - Role of NO in physiological states and therapeutic possibilities	
11	**Drug Metabolism** - Metabolic routes (Phase I, and II) - Pharmacokinetics of metabolites - Prodrugs	EXAM 2 Apr. 18/M
12	**Complexes and Chelating Agents** - Structures and shapes of complexes - Metal-ligand affinities - Therapeutic applications	Assignment - Due
13	**Introduction to Drug and Analog Synthesis** - Asymmetry in synthesis - Design of organic syntheses	
14	**Drug Development and Production** - Chemical development - Pharmacological and toxicological testing - Patent protection, regulation	
15	**Guest Speakers** – Case studies	
TBA	Classes end – Review for Final Exam Final Exam	

Academic Integrity

Students are required to adhere to the University Policy on Academic Standards and Cheating, to the University Statement on Plagiarism and the Documentation of Written Work, and to the Code of Student Conduct as delineated in the catalog of Undergraduate Programs, pp. 44-45, and 48-52. The Code is available online at: http://www.umb.edu/student_services/student_rights/code_conduct.html .

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Grading: Grades will be determined on the basis of your performance in the following areas (see below). The grades will be computed by the percentage of the total 500 points. The points-grade equivalences are as follows:

Reading assignment:	25
Mid-term 1:	125
Mid-term 2:	125
Final Exam:	120
Literature Report	100

Grading:	
500-460	A
459-420	A-
419-400	B+
399-375	B
374-360	B-
359-330	C+
329-310	C
309-290	C-
289-270	D+
269-240	D-
239-225	D-
below 225	F

Exams and assignments will be designed to test your ability to apply what you have learned. There will be only short-answer type questions and short essays. The Literature Report is an in depth, written discussion of a case study from any major topic covered during the semester. If you wish to select your topic consult with the instructors, otherwise an array of topics will be offered for you to choose from.

Classroom rules: As usual. Please, be in time. In case of any problem, let us know it in advance.

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