

ADVANCED MEDICINAL CHEMISTRY
MEDCH529
Winter 2015
MWF 12:00-1:20

Course Description:

The course focuses on fundamental aspects of contemporary medicinal chemistry. The chemical characteristics of drugs and drug targets, pharmaceutical properties of drugs, drug metabolism, principles of pharmacokinetics, pharmacodynamics, toxicology, pharmacogenetics and current methods used in drug design and discovery, including 3D QSAR optimization, will be discussed in the first half of the class. The second half will focus on applications of these aspects while introducing various drug classes their biochemistry, pharmacology, mechanism of action and toxicity.

Learning Goals/Objectives

The students will be able to apply fundamentals of contemporary medicinal chemistry and understand the processes involved in drug development. The students will also be able to recognize different drug classes and apply the knowledge they gain in this class to their research and future careers.

Date	Topic	Instructor
M Jan 5	Drug solubility, distribution	Wendel Nelson
W Jan 7	Substituent effects, Hammett.	Wendel Nelson
F Jan 9	Hansch, prodrugs.	Wendel Nelson
M Jan 12	Drug targets, receptors etc	Total
W Jan 14	Q-SAR and 3D-QSAR	Total
F Jan 16	Combi, click chemistry, libraries etc	Total
M Jan 19	No Class	
W Jan 21	Enzyme Kinetics 1	Kunze
F Jan 23	Enzyme Kinetics 2	Kunze
M Jan 26	Biotransformation pathways	Total
W Jan 28	Pharmacogenetics	Rettie
F Jan 30	Biotransformation & Toxicity	Baillie (Total)
M Feb 2	Antimicrobials	Total
W Feb 4	Antifungals	Total
F Feb 6	Antivirals	Total
M Feb 9	Cancer 1	Dave Porubek
W Feb 11	Cancer 2	Dave Porubek
F Feb 13	Cardiovascular 1	Atkins
M Feb 16	No Class	
W Feb 18	Mid-Term	Up to Cancer 2
F Feb 20	Cardiovascular 2	Atkins
M Feb 23	Cholinergics 1	Wendel Nelson
W Feb 25	Cholinergics 2	Wendel Nelson

F Feb 27	Cholinergics-AChE	Wendel Nelson
M Mar 2	Adrenergics-Parkinsonism	Wendel Nelson
W Mar 4	Antihistamines-Proton Pump Inhibitors	Wendel Nelson
F Mar 6	Steroids	Wendel Nelson
M Mar 9	Cholesterol lowering and antidiabetics	Kunze
W Mar 11	CNS 1	Rettie
F Mar 13	CNS 2	Rettie
Final to be announced		

Evaluation and Grading:

Grading will be based on three take home assignments each worth 100 points applying the concept of medicinal chemistry introduced in the first half of the class. There are two exams each worth 150 points (a midterm and a final) to be arranged outside of class hours. The final exam will be an in class exam on drug topics not covered in the midterm.

Suggested textbooks:

The faculty will provide handouts for the class with their notes however the texts below are recommended for further reading and reference.

Richard. B. Silverman and Mark W. Holladay, The Organic Chemistry of Drug Design and Drug Action, 3rd Ed., Elsevier Academic Press, London, 2014.

Edward Kerns, Li Di, Drug-like properties: Concepts, structure design and methods, Academic Press, London, 2008

D. A. Williams and T. L. Lemke, Foye's Principles of Medicinal Chemistry, 7th Ed., Lippincott Williams & Wilkins, NY, 2012.

J. H. Block and J. M. Beale, Jr., Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, 12th Ed., Lippincott-Raven, NY, 2010.