*Subject to Change*

Drug Design I - PHA 6447 Fall 2017

Course Coordinator:

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Class Time: MWF 3:00-5:00

Classroom: C1-7 (pending)

**Canvas Website:** Course materials, including pre-reading materials/handouts, and announcements can be found on the Canvas Website that is associated with this course.

**Description**: Outline of how relevant disciplines impact on the development of a new drug product from the discovery of a new active lead compound to its final refinement as a commercial product. Contributions of Organic Chemistry, Biochemistry, Metabolic Chemistry, Physical Chemistry, Analytical Chemistry, and Pharmacological Chemistry are discussed. The student will gain a general understanding of the drug design process.

Reference Text: R. B. Silverman, The Organic Chemistry of Drug Design and Drug Action, 3rd Edition.

Academic Press not required.

**Pre-requisites:** Advanced undergraduate organic chemistry. Undergraduate biochemistry.

**Learning Objectives:**

1. Students should acquire knowledge of organic chemistry, organic/bioorganic reaction mechanisms, prodrugs and some chemical synthesis related to drug molecules.
2. Students should gain a fundamental understanding of the chemical and physiochemical properties of therapeutic agents.
3. Students should learn the fundamentals of drug targets/receptors, pharmacology, pharmacophores, ADME principles, drug metabolism and toxicology.
4. Students should attain specific medicinal chemistry competencies that are required for critical thinking and problem solving skills in the acquisition of this knowledge base.
5. These medicinal chemistry competencies will establish the foundation for your continuing professional education and development.

**COURSE CALENDAR**

Date Subject Lecturer

Aug. 21 Pre-reading materials None

23 Pre-reading materials None

25 Pre-reading materials None

28 Overview of Medicinal Chemistry McCurdy

30 Basic Organic Chemistry Huigens

Sep. 1 Basic Organic Chemistry Huigens

4 **Labor Day – NO CLASS**

6 Basic Organic Chemistry Huigens

8 Basic Organic Chemistry Huigens

11 Basic Organic Chemistry Huigens

13 Basic Organic Chemistry Huigens

15 Stereochemical Aspects of Drug Action Huigens

18 **Exam I**

20 Predicting water solubility McCurdy

22 Ionization of drugs (Acid/Base) McCurdy

25 Ionization of drugs (Acid/Base) McCurdy

27 Drug/chemical stability McCurdy

29 Quantitative Aspects of Drug Action Aldrich

Oct. 2 (Introduction to pharmacology) Aldrich

4 (Introduction to pharmacology) Aldrich

6 **Homecoming – NO CLASS**

9 Drug-Receptor Interactions (forces) Aldrich

11 Amino Acids and Peptides Aldrich

13 **Exam**

16 Drug Targets Aldrich

18 Receptor Pharmacology Aldrich

20 Enzyme Mechanisms Aldrich

23 Mechanisms of Inhibition Aldrich

25 Nomenclature and Pharmacophores McCurdy

27 Nomenclature and Pharmacophores McCurdy

30 Nomenclature and Pharmacophores McCurdy

Nov. 1 Nomenclature and Pharmacophores McCurdy

3 Nomenclature and Pharmacophores McCurdy

6 Nomenclature and Pharmacophores McCurdy

8 **Exam III**

10 **Veterans Day – NO CLASS**

13 ADME PRINCIPLES James

15 Cytochrome P450 enzymology I James

17 Cytochrome P450 enzymology II James

20 Drug and steroid metabolism James

22-24 **Thanksgiving break** **– NO CLASS**

27 Reactive/Toxic metabolites of drugs James

29 Carcinogen bioactivation I Xing

Dec. 1 Carcinogen bioactivation II Xing

4 Drug –Drug interactions Xing

6 Drug-Herb interactions Xing

8 **Exam IV**

**EXAMS AND GRADING:**

**Format:**

The format of the course will involve lectures using combinations of chalk-board presentations, overhead projection and handouts to deliver the materials.

**Evaluation:**

The students will be evaluated in FOUR exams each worth 25% of the final points for the course. They will involve structure, short or numerical answers. Students will be allowed to inspect their exams to verify their scores but exam will be kept by the faculty for three years.

Grading will be on a point basis with >90 (A), >87 (A-), >83 (B+), >80 (B), >77 (B-), >73 (C+), >70 (C), >67 (C-), >63 (D+), >60 (D), >57 (D-), >53 (E). ***There will be no make-up exams.***

**Miscellaneous:**

Class attendance is not mandatory. However, the student will be tested on the lecture material and in-class handouts, which, for the most part, are not covered in precisely the same way in any available textbook.

Students requesting classroom accommodation must first register with the Dean of Students Office. The Dean of Students Office will provide documentation to the student who must then provide this documentation to the Instructor when requesting accommodation.

Students are expected to complete assignments and take exams with integrity. Academic dishonesty will not be tolerated. If a student commits academic dishonesty, the academic penalty will be a failing grade in the course. The UF policies and procedures on academic dishonesty will be followed.