

Syllabus
CHEM 662/BINF 739
Modern Methods of Drug Discovery
Wednesdays, Aug 27-Dec 17, 2008
4:30-7:10 pm, Enterprise Hall, room 173

Instructors: Dr. Robin Couch (rcouch@gmu.edu), Dr. Saleet Jafri (sjafri@gmu.edu),
Dr. Vijayasathya Srinivasan (vsriniva@gmu.edu).

Course description:

This course provides an introduction to the process of drug discovery. In this course we will cover modern methods and strategies of drug target identification, target validation, lead molecule identification, and lead optimization. We will also discuss how to write a grant application to obtain funding for a drug development program.

Student learning goals:

After taking this course you should have an understanding of the methods and strategies involved in the drug discovery process including (1) methods used to identify potential drug targets, (2) approaches to screening for lead molecules, (3) sources of lead molecules, including natural products, synthetic libraries, and *in silico* structure-based molecules, (4) lead optimization, and (5) the future directions of drug development, including the promise of personalized medicine. You will also become acquainted with the grant writing process and will write a grant application to obtain funding for developing a drug to modulate a protein of interest.

Required course materials:

Modern Methods of Drug Discovery, edited by Alexander Hillisch and Rolf Hilgenfeld, is the assigned textbook for this course. Supplemental lecture notes are available for download at <http://www.binf.gmu.edu/jafri/chem662-binf739/>. Additional handouts will also be provided during class. *Cheminformatics in Drug Discovery*, edited by Tudor I. Oprea, and *Bioinformatics and Drug Discovery*, edited by Richard S. Larson are additional references that may be obtained from the Mercer Library Reserves Desk on a two hour loan.

Requirements and methods of evaluation:

Your grade will be based on one **Midterm Exam (35%)**, one **Grant Application (25%)**, and a **Final Exam (40%)**. The Final Exam is cumulative.

The grant application must conform to NIH R21 standards and will describe a project to develop a drug against a protein that you believe would make an excellent drug target. You must meet with me in person ASAP to discuss your assignment since no two students may write a grant application about the same protein simultaneously. Selection preference is based upon the order in which I'm contacted. You are expected to obtain all relevant information by performing a literature search (eg. PubMed). Be very mindful of the GMU Honor Code when writing the grant application. **All honor code violators will be reported to the honor code committee.**

Presentation/Lecture List

- Week 1** **Aug 27, 2008** **Course Overview, Policies and Procedures. Lecture 1: Modern Methods of Drug Discovery: An Introduction. (RC).**
- Week 2** **Sept 3, 2008** **Lecture 1: (continued). (RC)**
- Week 3** **Sept 10, 2008** **Lecture 2: Methods to Identify Potential Drug Targets: a) Genomics and Bioinformatics. (SJ)**
- Week 4** **Sept 17, 2008** **Lecture 3: Methods to Identify Potential Drug Targets: b) Proteomics. (RC)**
- Week 5** **Sept 24, 2008** **Lecture 4: Target Validation. (RC)**
- Week 6** **Oct 1, 2008** **Lecture 5: Drug Lead Identification: Rational Design, High Throughput Screening, Natural Products, and Synthetic Libraries. (RC)**
- Week 7** **Oct 8, 2008** **Midterm exam (RC)**
- Week 8** **Oct 15, 2008** **Lecture 6: The Anatomy of an NIH Grant Application. (RC)**
- Week 9** **Oct 22, 2008** **Lecture 7: Lead Optimization: Physiochemical Concepts in Drug Design. (RC)**
- Week 10** **Oct 29, 2008** **Lecture 8: Lead Optimization: 3D-QSAR. (SJ)**
- Week 11** **Nov 5, 2008** **Lecture 9: Lead Optimization: Permeability and Toxicity Assays. (RC)**
- Week 12** **Nov 12, 2008** **Lecture 10: Malaria and Drug Design. (VS)**
- Week 13** **Nov 19, 2008** **Lecture 11: Tuberculosis and Drug Design. (VS)**
- Week 14** **Nov 26, 2008** **Thanksgiving Recess, No Class**
- Week 15** **Dec 3, 2008** **Lecture 12: The Future of Drug Design; Review; Grant Application Due (late grant applications will not be accepted) (RC)**
- Week 16** **Dec 10, 2008** **Final Exam (RC)**