UNIVERSITY OF CALGARY
FACULTY OF SCIENCE
DEPARTMENT OF CHEMISTRY
COURSE SYLLABUS
FALL 2017

1. **Course:** CHEM 599-659 Selected Topics in Chemistry: Medicinal Chemistry

LEC	DAYS	TIME	ROOM	PROFESSOR	OFFICE	EMAIL	OFFICE HOURS
L01	TR	9:30-10:45	SA 129	Dr. D. Derksen	SB 231	dderksen@ucalgary.ca	Open Door

To avoid IT problems, it is recommended that the students use their U of C account for all course correspondence. Please use "CHEM 599/659 inquiry" as the Subject of your e-mail.

Desire 2 Learn (D2L): CHEM 599 L01 - (Fall 2017) - Selected Topics in Chemistry: Medicinal Chemistry
https://d2l.ucalgary.ca/d2l/home/171384

Departmental Office: Room SA 229, Tel: (403) 220-5341, e-mail: chem.undergrad@ucalgary.ca

2. **Course Description:** An introduction to concepts in medicinal chemistry including synthesis, lead discovery, rational drug design, receptors and basics of metabolism.

3. **Reference Textbooks (Suggested, not required):**
"The Organic Chemistry of Drug Design and Drug Action," 3rd Edition by Silverman and Holladay, Academic Press.
"Organic Chemistry" 2nd Edition by Clayden, Greeves, and Warren, Oxford University Press.

4. **Topics Covered and Suggested Readings:**

Course Contents

1) Historical Overview of Drug Discovery and Introduction
- Drugs discovered without rational design
- Overview of modern rational drug design
    - Stages of drug discovery
- ADME

2) Lead Discovery and Lead Modification
- Lead discovery
    - Endogenous ligands and natural products
    - Fragment-based lead discovery
- Lead modification
    - Solid phase peptide and organic synthesis
    - Structure-activity-relationship (SAR) studies
    - Isosteres
    - Conformational analysis and constraints
    - Peptidomimetics
    - Heterocycle synthesis

    Common reactions in medicinal chemistry
    - Cross coupling
    - Amide bond forming methods
    - pKa
    - Synthetic design
    - SciFinder and Reaxys

3) Receptors
- Drug-receptor interactions
- How interactions are determined
- Drug and receptor chirality

4) Enzymes

- Overview of enzymes as catalysts
- Inhibition and inactivation
- Irreversible enzyme inhibitors

5) Drug metabolism

- Pathways for drug deactivation and elimination
- Phase I transformations and mechanisms
- Phase II transformations and mechanisms

6) Graduate student proposals/presentations

CUMULATIVE FINAL

Department Approval: Approved by Acting Head, Dr. Farideh Jalilehvand Date: August 4, 2017