

# Pharmaceutical Chemistry

## CHMD71H3

### LECTURE OUTLINE

**This document contains important course information and should be kept in a safe place where you can refer to it throughout the semester**

#### Welcome to CHMD71H: Pharmaceutical Chemistry

Welcome to CHMD71! This course is going to require some hard work, but we hope to make it worth your while by exposing you to some of the exciting aspects of medicinal chemistry and how drugs you encounter in your everyday lives and in the news are developed and function in physiological conditions. Before we get started, please take a few minutes to read through this document. It contains important information, which will help ensure you have all the tools you'll need to succeed in this course.

**Description:** The course focuses on the important concepts in the design and synthesis of drugs. Rational basis for drug design including synthetic and medicinal concepts will be discussed. Topics include structure-activity relationships, synthesis and reaction mechanisms, and case studies of drugs. Pharmacology and pharmacokinetics of the various drug classes in biological systems will be discussed as well as protein/enzyme interactions with the different classes of drugs.

**Background:** Development of a modern drug is a complicated process that demands improved methods for selective transformations of organic molecules. Typically, medicinal chemistry efforts during the discovery stage focus on generating valuable structure/activity relationships for the compounds that are being screened for activity. At this stage, the main synthetic challenges pertain to the selective transformations of available building blocks into diversely functionalized derivatives. At the next stage, process chemists take over the project and face completely different issues that relate to finding the shortest and most efficient route to the candidate identified during the medicinal chemistry part of the campaign. The present course provides an overview of reactions that are being used at different stages of the drug development process. Using representative examples from the literature, we will concentrate on various classes of drugs and their syntheses.

**Prerequisites:** BGYC13H Biochemistry I: Proteins and Enzymes and II: Bioenergetics and Metabolism, CHMC47H Bio-organic Chemistry and CHMC41H Organic Reaction Mechanisms OR CHMC42H Organic Synthesis. **It is imperative that you have these prerequisites in order to succeed in the course and if you have enrolled in the course without having these prerequisites, it is your responsibility to discuss your situation with the instructors, otherwise we cannot accept any responsibility for your performance and outcome in the course.**

**Lectures:**

Mondays 3-5pm BV355

**Lecturer:** Dr. Kagan Kerman (SW-533)

*Reading Week-no classes* Feb 19<sup>th</sup> to Feb 22<sup>nd</sup>

**Emails:** kagan.kerman@utoronto.ca

**Office Hours:** Mon 1:00-2:30pm (SW-533)

**Course Website:** CHMD71 maintains a Blackboard web space which archives a variety of course-related information including: class announcements, lecture slides and notes if provided, contact information and links to some useful outside resources. In addition, class emails will regularly be sent via Blackboard. *In order for you to receive these emails, you must have a valid “utoronto.ca” email account registered with ROSI.*

**To login,** go to: <https://portal.utoronto.ca/webapps/portal/frameset.jsp>. Click on “log-in to the portal” at the top left. Login using your UTORid username and password (same as what’s used for your UTORmail). Under the “My Courses” box (top right), click on the CHMD71 link.

**E-mail policy:**

- Use University account
- If Yahoo or Hotmail used follow instructions below to prevent email ending up in junk mail:
  - put CHMD71 in the subject line followed by the reason for the email
  - use a greeting of some kind - NOT "Hey"
  - sign your first and last name
  - please include your student number after your name
- Student emails will be replied to within 24 hours (M-F) provided that the above protocol is used.

**Method of Evaluation:** The grading scheme for the course is shown in the table below:

<b>Mid-term Test</b>	<b>30%</b>	Mid-term test will be in class.
<b>Final Exam</b>	<b>40%</b>	Entire course work, including assignment/quiz questions, reports
<b>Weekly in-class quizzes</b>	<b>10%</b>	10 minute closed-book quizzes reviewing material from lectures
<b>Assignment-1</b>	<b>15%</b>	<p><b>Proposal deadline: Feb 11th</b></p> <p><b>Draft submission deadline: March 4th</b></p> <p><b>Final submission deadline: April 1st</b></p> <p>Essay on the approved pharmaceutical agent, discussing the pharmacokinetics, dynamics and structure-activity effects of the drug under physiological conditions. More details to be given in lectures</p>
<b>Assignment-2</b>	<b>5%</b>	<p>Each student will prepare 10 questions in the level that may be asked in the final exam. These questions can be in a variety of formats: True/False, multiple choice, short answer, matching, etc.</p> <p><b>Submission deadline: April 1st</b></p>

### **Online Grades:**

Individual grades will be posted on the Blackboard Gradebook as they become available. Please check these periodically to make sure that the posted grades match your own records. Any discrepancy should be reported immediately to the instructors.

No calculators, models, pagers, cell phones or other aids will be allowed during any quizzes, lecture test or exam, unless announced previously.

**Persons who miss a test or exam** are expected to contact Dr. Kerman immediately. Documentation, for approval, **must be given within one week** (e.g. Doctor's note - which should say that you were seen on the day in question, and that in the Doctor's opinion you were unable to write a test that day). If the documentation is insufficient, you may be required to obtain further, signed, paperwork. Those presenting a valid, documented reason for absence, in writing, within this time frame, will be allowed to be excused OR to write a deferred exam, AT THE INSTRUCTOR'S DISCRETION.

***Please note that if you miss the Final Exam, you must petition the Registrar's Office to write a make-up exam in the next formal exam period.*** Check the UTSC Calendar for instructions and deadlines.

*There is no individual textbook assigned for the course and students should rely on course notes, literature articles, and lectures for the material covered. The following is a list of suggested texts you may use for extra reading on covered topics:*

**Recommended textbooks:** Principles of Pharmacology, Golan, Tashjian, Armstrong, and Armstrong, Lippincott, Williams & Wilkins Publisher

*The following is a tentative list of topics that will be covered throughout the semester. The topics may change so students should refer to lecture notes provided for content of the course.*

### **Topics:**

#### **January 7: Syllabus**

**January 14: Drug-Receptor interactions-1:** Introduction to Important Functional Groups in Medicinal Chemistry, Physico-chemical Aspects and Principals of Drug Action

**January 21: Drug-Receptor interactions-1:** Introduction to Important Functional Groups in Medicinal Chemistry, Physico-chemical Aspects and Principals of Drug Action

**January 28: Pharmacodynamics:** Drug-dose relationships, actions of agonists and antagonists, efficacy.

**February 4: Pharmacokinetics:** Factors that affect drug adsorption, distribution, metabolism and excretion.

**February 11: Drug Metabolism:** Pathways of drug metabolism & Review

*February 18: Family Day*

**February 25:** Mid-Term in class

**March 4: Drug Toxicity:** Mechanisms of drug toxicity

**March 11: Rational drug design:** Docking and Molecular modeling (Guest lecturer)

**March 18: Structure-activity and quantitative structure relationships:** Pharmacophore-based drug design; Molecular mimicry; Structure modification.

**March 25: Drug structure and solubility**

**April 1: Drug Formulations & Review**

**AccessAbility:** Students with diverse learning styles and needs are welcome in this course. In particular, if you have a disability/health consideration that may require accommodations, please feel free to approach us and/or the AccessAbility Services Office as soon as possible. The UTSC AccessAbility Services staff (located in S302) are available by appointment to assess specific needs, provide referrals and arrange appropriate accommodations (416) 287-7560 or [ability@utsc.utoronto.ca](mailto:ability@utsc.utoronto.ca). The sooner you let us know your needs, the quicker we can assist you in achieving your learning goals in this course.

**Cell Phones:** During lectures, please turn off your cell phones to avoid disruption of the class. If circumstances warrant use of your cell phone and you must receive an emergency call, please inform the instructor in advance at the beginning of the session, and excuse yourself from class to receive the call.

**Academic Calendar:** Further information about academic regulations and course withdrawal deadlines can be found in the UTSC Calendar. You are encouraged to read this material.

**Centre for Teaching and Learning:** If you need assistance with effective writing skills, study skills, exam preparation, note taking, or time management, free workshops and advice are available from the Center for Teaching and Learning, which can be reached at: [http://www.utsc.utoronto.ca/~ctl/Student\\_Support/index.html](http://www.utsc.utoronto.ca/~ctl/Student_Support/index.html)

**Research Help: University of Toronto Scarborough Library:** Staff at the University of Toronto Scarborough Library will be happy to help you find the resources you need for your assignments, and learn the research skills you will need for success at university.

Research help is available by phone, e-mail, chat, or in-person in the Library. For more information, please see the Library's Research Help page [http://guides.library.utoronto.ca/utsc\\_help](http://guides.library.utoronto.ca/utsc_help)

Need in-depth assistance? Contact your Subject Librarian, Sarah Forbes-  
<http://guides.library.utoronto.ca/profile/sforbes>

**Computer Use:** Ethical use of University computers is expected at the University of Toronto Scarborough. Guidelines are set out in the UTSC calendar. It is expected that the equipment and/or resources accessed in the UTSC library and the computer labs are to be used for academic research, assignments, and course activities only.

**Academic Integrity:** Academic integrity is fundamental to learning and scholarship at the University of Toronto. Participating honestly, respectfully, responsibly, and fairly in this academic community ensures that the U of T degree that you earn will be valued as a true indication of your individual academic achievement, and will continue to receive the respect and recognition it deserves.

Familiarize yourself with the University of Toronto's *Code of Behaviour on Academic Matters* (<http://www.governingcouncil.utoronto.ca/policies/behaveac.htm>). It is the rule book for academic behaviour at the U of T, and you are expected to know the rules. Potential offences include, but are not limited to:

In papers and assignments:

- Using someone else's ideas or words without appropriate acknowledgement.
- Copying material word-for-word from a source (including lecture and study group notes) and not placing the words within quotation marks.
- Submitting your own work in more than one course without the permission of the instructor.
- Making up sources or facts.
- Including references to sources that you did not use.
- Obtaining or providing unauthorized assistance on any assignment including
  - working in groups on assignments that are supposed to be individual work,
  - having someone rewrite or add material to your work while "editing".
- Lending your work to a classmate who submits it as his/her own without your permission.

On tests and exams:

- Using or possessing any unauthorized aid, including a cell phone.
- Looking at someone else's answers
- Letting someone else look at your answers.
- Misrepresenting your identity.
- Submitting an altered test for re-grading.

Misrepresentation:

- Falsifying or altering any documentation required by the University, including doctor's notes.
- Falsifying institutional documents or grades.

To remind you of these expectations, and help you avoid accidental offences, I will ask you to include a signed Academic Integrity Checklist with every assignment. If you do not include the statement, your work will not be graded.

The University of Toronto treats cases of academic misconduct very seriously. All suspected cases of academic dishonesty will be investigated following the procedures outlined in the *Code*. The consequences for academic misconduct can be severe, including a failure in the course and a notation on your transcript. If you have any questions about what is or is not permitted in this course, please do not hesitate to contact me. If you have questions about appropriate research and citation methods, seek out additional information from me, or from other available campus resources like the [U of T Writing Website](#). If you are experiencing personal challenges that are having an impact on your academic work, please speak to me or seek the advice of your college registrar.

# Academic Integrity Checklist

Course name: \_\_\_\_\_

Instructor name: \_\_\_\_\_

I, \_\_\_\_\_, affirm that this assignment represents entirely my own efforts.

I confirm that:

- I have acknowledged the use of another's ideas with accurate citations.
- If I used the words of another (e.g., author, instructor, information source), I have acknowledged this with quotation marks (or appropriate indentation) and proper citation.
- When paraphrasing the work of others, I put the idea into my own words and did not just change a few words or rearrange the sentence structure
- I have checked my work against my notes to be sure I have correctly referenced all direct quotes or borrowed ideas.
- My bibliography includes only the sources used to complete this assignment.
- This is the first time I have submitted this assignment (in whole or in part) for credit.
- Any proofreading by another was limited to indicating areas of concern which I then corrected myself.
- This is the final version of my assignment and not a draft.
- I have kept my work to myself and did not share answers/content with others, unless otherwise directed by my instructor.
- I understand the consequences of violating the University's academic integrity policies as outlined in the *Code of Behaviour on Academic Matters*.

By signing this form I agree that the statements above are true.

If I do not agree with the statements above, I will not submit my assignment and will consult the course instructor immediately.

Student name: \_\_\_\_\_ Signature: \_\_\_\_\_

Date: \_\_\_\_\_