

CHM 440H/1004H: The Synthesis of Modern Pharmaceutical Agents

Fall 2022 Course Syllabus

I CONTACTS



INSTRUCTOR

Name: Austin Marchese

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Office: DB352

Classes: Tuesday and Thursday 3-4 p.m., room LM 155

Student Hours: 1:45pm to 2:45pm Tuesday and Thursday (Room

TBD).

Instructor Biography: I am a 5th year Ph.D. student in Prof. Mark Lautens group at the University of Toronto. My research interests are in catalysis and heterocycle chemistry, which focus on building small molecules that can be used in the synthesis of bioactive natural products and pharmaceutical agents.

II COURSE OVERVIEW

COURSE DESCRIPTION:

This course provides an overview of the structures of the different pharmaceutical agents that are used for the treatment of human disease. Within each therapeutic area, representative drugs currently on the market or in advanced clinical trials are discussed with a focus on their method of synthesis. A combination of reactions learned in previous courses and new reactions will be used to understand the strategy and the synthetic routes that are presented.

STUDENT LEARNING OUTCOMES:

At the end of CHM 440H, successful students will be able to:

- recall and describe metal catalyzed reactions taught in the course
- predict the outcome of organic reaction sequences
- discuss the different aspects of drug discovery including how pharmaceutical companies are organized to take on the task of finding, then preparing, drug candidates
- propose reaction mechanisms and create synthetic routes to molecules of moderate complexity using reactions taught in the course and those taught in previous organic chemistry courses

An oral examination is a key part of CHM 440H. Preparing for and participating in the examination will teach important skills, useful well outside the chemistry domain.

PREREQUISITE COURSE: CHM 342H (Modern Organic Synthesis)

This course assumes you have a basic understanding of material taught in previous organic chemistry courses, in particular those involving synthesis. It is also assumed that you have basic knowledge of organometallic chemistry. CHM 343H (Organic Synthesis Techniques) is a recommended (but not required) prerequisite course.

READINGS:

Required: regular reading of original research papers, review articles and other material provided by the instructor.

Recommended text: Clayden, Greeves, Warren, Organic Chemistry 2nd Edition, Oxford University Press 2012, ISBN 01985013466

Supplemental readings from: Classics in Total Synthesis, Nicolaou, K.C. Vol 1 and 2 Color Atlas of Pharmacology, Lüllman et al Synfacts, Thieme Verlag Pharmaceutical Substances, Kleeman and Engel

III COURSE ORGANIZATION

This course will be delivered in person only.

COURSE SCHEDULE & RELEVANT SESSIONAL DATES (estimated):

DATES	UNIT/WEEK	TOPICS
Sept. 8- Sept. 20	1 - 3	Basics of pharmaceutical research
Sept. 22 – Oct. 13	3 - 6	Heterocyclic Chemistry
Oct. 18 - Nov. 3	7 - 10	Catalysis
Nov. 13 - Dec. 8	11 - 12	Applications to pharmaceuticals

IMPORTANT FALL 2022 SESSIONAL DATES:

First Day of F & Y classes: Thursday 8th September 2022 Thanksgiving (no classes): Monday 10th October 2022

Fall Reading Week (no classes): Monday 7th – Friday 11th November 2022

Last Day of F & Y classes: Wednesday 7th December 2022

Make-Up Class Day: Thursday 8th December 2022

Study Day: Friday 9th December 2022

December Assessment Period: Saturday 10th – Tuesday 20th December 2022

IV EVALUATION/GRADING SCHEME

Term Tests: (held during class time within Weeks 5 and 9): 35% (20% + 15% based on *highest* scores). The exact test dates will be announced in advance and no make-up tests will be offered.

If you are absent or excused due to illness, the remainder of the course will be reweighted.

Assignment: 15% (due during Week 8). Details to be explained in the opening class.

Oral Examination: 25% (individually scheduled, final two weeks of the course). Details to be explained in the opening class.

Final Examination: (December final assessment period): 25%

V COURSE POLICIES

- Each member of this course is expected to maintain a:
- (i) professional and respectful attitude during all course activities, including classes and online activity.
- (ii) personal calendar/schedule/organizer to ensure that all course activities are completed, and due dates are met.
- (iii) collection of notes recorded independently based on concepts covered in course activities (students registered with Accessibility Services requiring a class note-taker will have access to this accommodation)
- (iv) familiarity with the university policy on Academic Integrity (overleaf)
- I will aim to respond to email within 24 hr on weekdays and I can be reached for personal meetings in-person or via Zoom. Please indicate the course number CHM 440H/1004H in the subject line. Attendance at all in-person classes is very strongly recommended to address any questions you have regarding the courses material.
- The University of Toronto is committed to equity, human rights and respect for diversity. All members of the learning environment in this course should strive to create an atmosphere of mutual respect where all members of our community can express themselves, engage with each other, and respect one another's differences. As a Course Instructor, I will neither condone nor tolerate behaviour that undermines the dignity or self-esteem of any individual in this course and wish to be alerted to any attempt to create an intimidating or hostile environment. It is our collective responsibility to create a space that is inclusive and welcomes discussion. Discrimination, harassment and hate speech will not be tolerated. If you have

any questions, comments, or concerns, we encourage you to reach out to the staff in our Equity Offices.

- Students may not create audio or video recordings of classes except for those students requiring an accommodation for a disability, who should contact the instructor prior to beginning to record classes for written permission. Students creating unauthorized audio recording of classes violate an instructor's intellectual property rights and the Canadian Copyright Act. Students violating this agreement will be subject to disciplinary actions under the Code of Student Conduct. If a student wishes to copy or reproduce course notes or other similar materials provided by the instructor, he or she must obtain their written consent beforehand. Otherwise, all such reproduction is an infringement of copyright and is absolutely prohibited.
- Deadlines for assignment submissions and late policy (10 % will be deducted daily). All assignments are to be submitted through Quercus.
- Each individual oral examination will be a 20-25 minute in-person meeting at a specific scheduled time.
- There will be no make-up tests or assignments. If you are ill during the slot assigned to your oral exam, an effort will be made to reschedule within 48 of the originally assigned time. Otherwise, the course will be reweighted, as will be the case for missed term tests.

VI TECHNOLOGY REQUIREMENTS

This course requires the use of computers, and technical issues are possible. When working on a piece of work such as a course assignment, students are responsible for scheduling enough time to allow for reasonable delays due to technical difficulties to be overcome, so such issues will not be acceptable grounds for deadline extension. Particularly, maintaining an up-to-date, independent backup copy of your work is strongly recommended to guard against occurrences such as hard-drive failures, corrupted files, lost computers, etc. We encourage you to spend a moment at the start of the semester to plan for what you would do if you lost access to the computer that you primarily intend to use, which will help ensure that you are prepared for this unlikely possibility.

VII INSTITUTIONAL POLICIES AND SUPPORT

ACADEMIC INTEGRITY

On Academic Integrity:

Academic integrity is essential to the pursuit of learning and scholarship in a university, and to ensuring that a degree from the University of Toronto is a strong

signal of each student's individual academic achievement. As a result, the University treats cases of cheating and plagiarism very seriously. The University of Toronto's Code of Behaviour on Academic Matters

(https://governingcouncil.utoronto.ca/secretariat/policies/code-behaviour-academic-matters-july-1-2019) outlines the behaviours that constitute academic dishonesty and the processes for addressing academic offences. Potential offences include, but are not limited to:

In assignments:

- 1. Using someone else's ideas or words without appropriate acknowledgement.
- 2. Submitting your own work in more than one course without the permission of the instructor.
- 3. Making up sources or facts.
- 4. Obtaining or providing unauthorized assistance on any assignment. Please note that the use of websites (such as Chegg.com) to post assignment questions or to post/access answers to assignment questions is an academic offence under the University of Toronto's Code of Behaviour on Academic Matters. Alleged instances of this nature are forwarded to the Faculty of Arts & Science Student Academic Integrity office.

On term tests:

- 1. Using or possessing unauthorized aids.
- 2. Looking at someone else's answers or collaborating/discussing answers during a term test.
- 3. Misrepresenting your identity.

In academic work:

- 1. Falsifying institutional documents or grades.
- 2. Falsifying or altering any documentation required by the University.

All suspected cases of academic dishonesty will be investigated following procedures outlined in the Code of Behaviour on Academic Matters. If you have questions or concerns about what constitutes appropriate academic behaviour or appropriate research and citation methods, you are expected to seek out additional information on academic integrity from your instructor or from other institutional resources (see https://www.academicintegrity.utoronto.ca/).

Plagiarism Detection

Ouriginal: Normally, students will be required to submit their course essays to the University's plagiarism detection tool for a review of textual similarity and detection of possible plagiarism. In doing so, students will allow their essays to be included as source documents in the tool's reference database, where they will be used solely for the purpose of detecting plagiarism. The terms that apply to the University's use of this tool are described on the Centre for Teaching Support & Innovation web site

(https://uoft.me/pdt-faq).

ACCESSIBILITY NEEDS

Students with diverse learning styles and needs are welcome in this course and my assessment methods aim to offer an opportunity for all students to excel. The University of Toronto is committed to accessibility: if you require accommodations for a disability, or have any other accessibility concerns about the course, please contact Accessibility Services as soon as possible.

ACCOMMODATIONS FOR RELIGIOUS OBSERVANCES

Following the University's policies, reasonable accommodations will be made for students who observe religious holy days that coincide with the due date/time of an assignment, tutorial, class or laboratory session. Students must inform the instructor **before** the session/assignment date to arrange accommodations.

ADDITIONAL SERVICES and SUPPORT

The following are some important links to help you with academic and/or technical service and support:

- General student services and resources at Student Life
- Full library service through University of Toronto Libraries
- Resources on conducting online research through <u>University</u> Libraries Research
- Resources on academic support from the <u>Academic Success Centre</u>
- Learner support at the Writing Centre
- Information for <u>Technical Support/Quercus Support</u>

ACKNOWLEDGEMENT OF TRADITIONAL LANDS

We wish to acknowledge this land on which the University of Toronto operates. For thousands of years, it has been the traditional land of the Huron-Wendat, the Seneca and, most recently, the Mississaugas of the Credit River. Today, this meeting place is still the home to many Indigenous people from across Turtle Island and we are grateful to have the opportunity to work on this land.