CHEM 498 / 620 - An Introduction to Medicinal Chemistry - W 2018

GENERAL INFORMATION

This course will develop an understanding of drug design and the molecular mechanisms by which drugs act on the body. It will envelope areas of overlapping disciplines such as chemistry, physiology, biochemistry, microbiology, cell biology and pharmacology. The course is presented in a "reading" format supported by some lectures, discussions and presentations by students. Class discussions and lectures will not necessarily "cover" the textbook material. We will focus on key points, but also examine issues that arise from the material in the book and from recent literature. In the event of extraordinary circumstances beyond the University's control, the content and/or evaluation scheme in this course is subject to change without notice.

Instructor Dr. Pat Forgione

Office hours: appointment by email as required.

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Course Format Lectures: 2.5 h / week, 13 sessions; W/Fr 10:15-11:30

Suggested Materials 1) An Introduction to Medicinal Chemistry, G.L. Patrick, Oxford University Press, 2013,

paperback ISBN 978-0-19-969739-7

Interesting Read Molecules that Changed the World, Nicolaou, K.C. Montagnon, T. Wiley-VCH, 2008

ISBN 978-3-527-30983-2

Molecular models: Using models helps considerably with many aspects of organic chemistry – many concepts require you to picture, rotate and draw 3D objects. Models **are** permitted in exams. You are strongly advised to buy a model kit.

GRADING SCHEME, DEADLINES & ABSENCES

To pass the course, you must earn a cumulative \geq 50% on the in-class tests and final exams. The final grade will be weighted as follows:

Oral Presentations: 20 % (March 16th, 28th, April 4th and 6th)

Term Paper: 20 % (3 % for preliminary abstract, 17% for final 5-page report)

In-Class Tests: 20-30 % (2 tests, one on each of Part A and B of the text book each weighted equally).

Take Home Test: 0-10 % (up to four depending on the number of guest lectures)

Final Exam: 30% (Covers only Part C of the course text book plus Heterocyclic Synthesis)

Oral Presentations: Undergraduate students will each make a presentation on an approved drug from the FDA over the past 30 years (from 1988 onwards) that includes at least one heterocycle. The presentation will be short (10 minutes each, maximum of 10 slides). In order to ensure the highest quality presentations, I will aid you in preparing the final version. In order for you to obtain feedback, you must send a preliminary copy to me 1 week before your presentation. The presentations should include the disease area, the drug target and the synthesis or modification of a heterocycle (either the final product and/or intermediate) with the mechanism. This does not have to be a final version, but a rough draft to discuss what important aspects you should include, ensure you are not including too much material etc. Students who seek my help in advance have always been among the best presentations. However, if you come to me last-minute for help, I will not be able to do so, so please prepare accordingly! In order to give ensure everyone has an appropriate drug choice, please inform me of your choice and bring the relevant literature references by January 24th 2018. An evaluation form will be handed out before the presentations. The presentation evaluation will be weighted in the following way: 60% instructor and 40% classmates. If a student misses the day of their presentation, with a suitable note justifying the absence, they will be allowed to present in a subsequent class. If no note is provided within 1 week, the student will receive a grade of 0. To prepare chemical structures for the presentation, an excellent free tool is available here: http://accelrys.com/products/informatics/cheminformatics/draw/

Presentation Participation: Each student is required to ask (at least) 3 questions over the entire presentation periods. Question will be evaluated on quality and questions that engage the class in learning. You may not obtain more points by asking more than three questions but you are certainly welcome to ask more but please limit yourself to one question per lecture during the presentations. If a student misses more than 25% of the presentations without a suitable note provided within 1 week of the missed class(es), they will obtain a score of 0 for the participation grade.

Term Paper: Each student is required to provide a 5-page term paper on the drug that they presented for their oral presentation The topic should fit into many of the topics that will be covered in Section C of the course textbook and should be based on the primary science literature (eg J. Med. Chem., Med. Chem. Lett., etc, see me if you are unsure). Feel free to see me in advance of this due date to discuss your topic choices. Late submissions will result in a penalty of -10% / day! I will evaluate this and constructive comments will be provided to help you with potential pitfalls that may be present in your disconnections. Grading will be based on the originality of the topic, the legibility and quality of the writing/chemical structures, proper referencing (ACS style) and formatting. Please see me if you need additional information for the expectations. Final paper is **due April 4**th, **in-class (late submissions – 10% / day!)**. Additional information for the final paper:

- 1. In the past, many abstracts were too *medical* in nature, and not *medicinal chemistry* enough. Some abstracts go too far in the other direction and are too "chemical" in nature. The topics you should be discussing should encompass concepts we will discuss throughout the course. Particular emphasis should be on Part C of the course textbook, but likely will cover aspects of Parts A and B as well. As I suggest above, the Journal of Medicinal Chemistry is ideal for this exercise, but you can use journals beyond this one, however if you do so you run the risk of moving too far away from medicinal chemistry.
- 2. It is very important to write this paper in your own words. Practicing this is a good exercise in science writing that will be useful in many different career paths. Try to write "formally" and do not include terms that would be considered "slang". Try to write in the third person, past passive sense, this is the typical style in science writing (i.e. avoid "I" "we" "they", these are active styles, not passive).
- 3. When you have chosen a suitable paper, invariably there will be excellent background to that paper in the introduction that will be very useful. Read over these papers, as it may provide additional understanding of the paper you are using and allow you to write a better final product.
- 4. Paper Formatting: Font Size = 12, Font Style = Times New Roman, Full Justification, Margins 2.0 cm in all directions, Line Spacing = 1.5. Additionally, the term-paper is 5-pages of text, but you can include up to 5 schemes/figures. If a scheme takes up a half a page, then your final paper should be 5.5 pages. If you have two schemes at half a page each, then your final paper should be six pages etc. Schemes and Figures can be extremely powerful to make your paper as clear as possible (a picture is worth a thousand words!), however in order for them to be effective, they should be referenced in the text as often as possible (ie see Fig. 1 or see Compound 1, Scheme 2). I would strongly encourage you to use five figures in your paper. References are separate from the 5-page limit. For the five-page limit (plus schemes and references), if you are beyond or below this limit by more than 10%, your grade will be increasingly reduced.

In-Class Tests: This will be based Part A and B of the course textbook. Each test date will be announced in class and each test will be weighted equally.

Take-Home Tests: There may be up to four guest lectures in this course. If so, take-home tests related to these lectures may be given that will be worth 10% total, each worth an equal amount (if four guest lectures, 2.5% each, if two, 5 % each etc.) and are due the week after the lecture was given.

Final Exam: This will be based on Part C of the course textbook and cover heterocyclic synthesis only (ie Parts A and B will not be explicitly examined, however this material may be helpful in answering questions since Part C builds on these previous sections)

PLAGIARISM AND OTHER FORMS OF ACADEMIC DISHONESTY - Highly Encouraged QUIZ AND SEMINAR

As part of this course, you are **encouraged** to (i) attend a Chemistry and Biochemistry Departmental Seminar on the academic conduct code and the appropriate use of information sources and (ii) pass the online quiz associated with this seminar (note: passing grade for the quiz is 100%). The aim of this seminar is to clarify the academic conduct code in terms of what practices will be considered unacceptable with regards to work submitted for grading in Chemistry and Biochemistry courses. Should you have already attended these sessions you are not required to repeat them this semester. This short seminar (1 hour) will be held at the times as posted outside the main Chemistry and Biochemistry office (SP-201.01). The academic code of conduct can be found in section 16.3.14 of the academic calendar in either printed or the online (http://registrar.concordia.ca/calendar/pdf/sec16.pdf) versions. Any form of cheating, copying or plagiarism found in this course will be reported and the appropriate sanctions applied. The mandatory seminar is a clear and fair opportunity to learn what our faculty regards as academic misconduct. Failure to take part in this learning opportunity and thus ignorance of these regulations is no excuse and will not result in a reduced sanction in any case where academic misconduct is observed.

How to search the chemical literature:

SciFinder Scholar and Beilstein: The library has access to SciFinder Scholar but Beilstein is another very useful search engine. In both cases, structures or partial structures are drawn and the search engine provides references relating the synthesis or use of the drawn compound. Krista Alexander is willing to provide training on the use of refresher course on the use of SciFinder Scholar, please see me if you are interested.

PARTIAL LIST OF CONCORDIA UNIVERSITY SERVICES...take advantage, they are there for your benefit!

- 1. Concordia Counselling and Development offers career services, psychological services, student learning services, etc. http://cdev.concordia.ca/
- 2. The Concordia Library Citation and Style Guides: http://library.concordia.ca/help/howto/citations.html
- 3. Advocacy and Support Services: http://supportservices.concordia.ca/
- 4. Student Transition Centre: http://stc.concordia.ca/
- 5. New Student Program: http://newstudent.concordia.ca/
- 6. Access Centre for Students with Disabilities: http://supportservices.concordia.ca/disabilities/
- 7. Student Success Centre: http://studentsuccess.concordia.ca/
- 8. The Academic Integrity Website: http://provost.concordia.ca/academicintegrity/
- 9. Financial Aid & Awards: http://web2.concordia.ca/financialaid/
- 10. Health Services: http://www-health.concordia.ca/
- 11. etc. etc. etc.