School of Physical and Chemical Sciences

UNIVERSITY OF CANTERBURY
Te Whare Wānanga o Waitaha
CHRISTCHURCH NEW ZEALAND

General Course Information | Ngā Whakamārama

CHEM 342
Aromatic, Heterocyclic, and Pharmaceutical Chemistry

0.125 EFTS 15 Points
First Semester 2022

Description | Whakamahuki
This course is about the structure and reactivity of aromatic and heterocyclic molecules, and how this reactivity is used in the synthesis of important and interesting compounds, particularly modern pharmaceuticals / drugs. The topics covered by this course are:

- Aromatic chemistry
- Heterocyclic chemistry
- Pharmaceutical chemistry

Timetable | Wātaka
Lectures/Tutorials: Three contact hours of lectures/tutorials per week. Details to be confirmed on 'My Timetable' and the Web.

Students should note that in the Science Faculty, the average student is responsible for approximately 4.5 hours of additional study for each hour of lecture at the 300-level.

Course Coordinator | Kairuruku Akoranga
Associate Professor Chris Fitchett, Room BT 424/West 818, ext 95344**, *chris.fitchett@canterbury.ac.nz***

Assessment | Aromatawai

Assignments/Tutorial Work	20%
Tests:	20%
End of course exam:	60%

Examination and Formal Tests | Ngā Whakamātautau Ōkawa
Test: Ninety minutes, with questions from Chris Fitchett
End of year Exam: Three hours, with questions from Antony Fairbanks, Daniel Foley and Chris Fitchett

Textbooks | Tuhinga
Organic Chemistry, Clayden, Greeves, Wothers and Warren, Oxford University Press, 2001 is the preferred general textbook for the course. Copies are available on reserve in the Engineering and Physical Sciences Library

Other more specialised textbooks that may also be useful for the course are as follows:
M. Sainsbury 'Aromatic Chemistry', Oxford Chemistry Primer No. 4, OUP 1999.
F. A. Carey, R. J. Sundberg, 'Advanced Organic Chemistry', 5th Edition, Springer, 2007
J. A. Joule, K. Mills, 'Heterocyclic Chemistry', 5th Edition, Wiley, 2010
D.T. Davies, 'Aromatic Heterocyclic Chemistry,' Oxford Chemistry Primer No. 2, 1995.
J. Saunders, 'Top Drugs, Top Synthetic Routes', Oxford Chemistry Primer No. 90, 200.

Prerequisites
P: 30 points from CHEM212 / BCHM212 and CHEM242 / BCHM206.
R: CHEM322

Web-based resources
Various learning resources (lecture material, reference links, quizzes, discussion forums etc.) for this course are available via the University of Canterbury's *Learn (Ako)* web site -- http://learn.canterbury.ac.nz/. This site will also be used regularly as a means of communication and information distribution for all of your Canterbury courses. You should familiarise yourself with *Learn (Ako)* as soon as possible.

Goal of the Course
This course aims to develop a structural and mechanistic (curly arrow) understanding of the reactivity of a wide range of aromatic and heterocyclic compounds. It will cover the methods that can be used for the synthesis of a variety of substituted aromatic and heterocyclic ring systems, and how the ring substituents and/or heteroatom(s) affect the reactivity of the system, including close consideration of the regiochemistry of the reactions. The importance of aromatic and heterocyclic ring systems as key part of many modern pharmaceuticals will be exemplified, and methods used industrially for the production of a selection of billion dollar selling blockbuster drugs will be discussed.

Learning Outcomes
As a student in this course I will develop the ability to:

- Solve a variety of mechanistic problems in organic chemistry relating to aromatic and heterocyclic compounds
- Predict the structure of a product that is formed when presented with a set of reagents
- Give curly arrow mechanisms for many common synthetic processes involving the synthesis and reactions of aromatic and heterocyclic compounds
- Suggest reagents and reaction schemes that may be used to synthesise molecules containing common 5- and 6-ring heterocycles, including those with multiple heteroatoms
- Explain the regiochemical outcomes of a range of synthetic reactions of aromatic and heterocyclic compounds
- Explain the regiochemical outcomes of a range of reactions of aromatic and heterocyclic compounds
- Plan reaction schemes for the synthesis of a molecules containing substituted aromatic and / or heterocyclic rings using selective transformations
- Evaluate the importance of aromatic and / or heterocyclic rings systems as key parts of many modern drug molecules
- Design different synthetic strategies that may be used to access a variety of modern pharmaceuticals comprising aromatic and/or heterocyclic ring systems

Transferable Skill Register
As a student in this course I will develop the following skills:

- analytical critical thinking and problem solving
- pattern spotting and logical analysis
- written communication
- working effectively and professionally with diverse communities

Summary of the Course Content
The topics coved by this course are:

AROMATIC CHEMISTRY **(8 lectures and 4 tutorials)**

Effects of Aromaticity and Anti-aromaticity. Electrophilic substitution in benzenoid systems, including fused rings. Directing effects, and strategies for achieving regiochemical control in the synthesis of di- and tri-substituted benzene derivatives. Nucleophilic substitution of aromatic systems and the synthesis and reactivity of diazonium ions. The use of metal-catalysed reactions for the synthesis of molecules containing aromatic ring systems.

Lecturer: Associate Professor Chris Fitchett, Room Beatrice Tinsley 424/West 828, ext 95344, ***chris.fitchett@canterbury.ac.nz***

HETEROCYCLIC CHEMISTRY **(8 lectures and 4 tutorials)**

The structures, properties/reactivity and methods for the synthesis of five and six-membered aromatic heterocycles with a single heteroatom; pyrrole, furan, thiophene and pyridine. The synthesis and reactivity of fused heterocyclic systems; indoles, quinolines and isoquinolines. 5-Ring heterocycles with two heteroatoms in the ring system; their synthesis and reactivity.

Lecturer: Professor Antony Fairbanks, West 801, ext 92517, ***antony.fairbanks@canterbury.ac.nz***

RETROSYNTHESIS AND THE SYNTHESIS OF MODERN PHARMACEUTICALS **(8 lectures, 4 tutorials)**

A large proportion of the world's best-selling small molecule drugs contain aromatic and / or heterocyclic ring systems. This part of the course will discuss strategies and synthetic routes that may be used to make several billion dollar selling drugs, including well-known anti-ulcer compounds. How target structures are analysed or 'disconnected', and the methods by which a synthetic chemist then uses this analysis to plan a forward synthesis will be covered in detail. The synthesis and reactivity of 5-ring heterocycles with three or more heteroatoms, which are common targets in medicinal chemistry programs, will also be covered.

Lecturer: Dr Daniel Foley, Room Beatrice Tinsley 323, ext 90479, ***daniel.foley@canterbury.ac.nz***

GENERAL INFORMATION 2022

Chemistry Department Policy on 'Dishonest Practice'
The University has strict guidelines regarding 'dishonest practice' and 'breach of instructions' in relation to the completion and submission of examinable material. In cases where dishonest practice is involved in tests or other work submitted for credit a department may choose to not mark such work ('Academic Integrity and Breach of Instruction Regulations').

The Department of Chemistry upholds this policy. It considers plagiarism, collusion, copying, and ghost writing to be unacceptable and dishonest practices:

- ***Plagiarism*** is the presentation of any material (text, data or figures, on any medium including computer files) from any other source without clear and adequate acknowledgement of the source.
- ***Collusion*** is the presentation of work performed in whole, or in part, in conjunction with another person or persons, but submitted as if it has been completed by the named author alone. This interpretation is not intended to discourage students from having discussions about how to approach an assigned task and incorporating general ideas that come from those discussions into their own individual submissions, but acknowledgement is necessary.
- ***Copying*** is the use of material (in any medium, including computer files) produced by another person or persons with or without their knowledge and approval. **This includes copying of the lab reports (raw data may be shared within the group if permitted or required by the experiment) - data analysis and interpretation of obtained results MUST be performed individually.**
- ***Ghost writing*** is the use of other person(s) (with, or without payment) to prepare all or part of an item of work submitted for assessment.

Additional Information

Special consideration of assessment*:** If you feel that illness, injury, bereavement or any other critical extenuating circumstance beyond your control has prevented you from completing an item of assessment or affected your performance in that assessment, you may apply for special consideration. Special consideration is not available for items worth less than 10% of the course. Applications for special consideration should be made **within five days** of the due date for the work or examination. In the case of illness or injury, medical consultation should normally have taken place shortly before, or within 24 hours after, the due date for the required work or the date of the test or examination. For details on special consideration, or to make an application, refer to the Examinations Office website http://www.canterbury.ac.nz/exams/. ***You have the right to appeal any decision.

Extensions of deadlines: Where an extension may be granted for an assessment item, this will be decided by application to the course co-ordinator.

Late withdrawal from the course: If you are prevented by extenuating circumstances from completing the course after the final date for withdrawing from the course, you may apply for special consideration for late discontinuation. For details on special consideration, or to make an application, refer to the Examinations Office website http://www.canterbury.ac.nz/exams/. Applications must be submitted ***within five days*** of the end of the main examination period for the semester.

***Missing of tests*:** In rare cases a student will not be able to sit a test. In such cases, the student should consult with the course co-ordinator to arrange alternative procedures. **This must be done well in advance of the set date for the test.**

Past tests and exams: these can be found on our website using the link below:

www.chem.canterbury.ac.nz/for/undergraduate.shtml

***Submission of reports and assignments*: Reports (including lab reports) and assignments should be handed in on time.** Extensions will be granted only in exceptional circumstances (such as illness or bereavement). If an extension is required, as early as possible you should request it from the lecturer concerned.

Note: If you do not submit an assignment for assessment, you will be allotted zero marks, which will affect your final result. You should ensure that you pick up marked assignments and keep them until the end of the course as evidence that the work was completed and marked in the case that either is disputed. To guard against accidental loss, it would be prudent to keep photocopies or electronic copies of anything submitted.

Late Work: Acceptance of late work will be at the discretion of the course coordinator. Please contact the coordinator if your assessment is likely to be late.

Marks and Grades: The following numbers should be considered as a guide to the expected grades under normal circumstances. The School reserves the right to adjust mark/grade conversions, if necessary.

Please note that for all invigilated assessments (tests and exams) worth 33% and above, failure to obtain a mark of at least 40% will result in a final grade no higher than an R at 100 and 200 level; in general this requirement will not be applied at 300 level, but if it is then the course coordinator will inform the class and it will result in a final grade no higher than a C–.

Grade:	**A+**	**A**	**A−**	**B+**	**B**	**B−**	**C+**	**C**	**C–**	**D**	**E**
Minimum mark %:	**90**	**85**	**80**	**75**	**70**	**65**	**60**	**55**	**50**	**40**	**0**

Reconsideration of Grades: Students should, in the first instance, speak to the course co-ordinator about their marks. If they cannot reach an agreeable solution, or have questions about their grade in a course, students should then speak to the Director of Undergraduate Studies, Assoc Prof Greg Russell (phone 3694228). Students can appeal any decision made on their final grade. You can apply at the Registry for reconsideration of the final grade within four weeks of the date of publication of final results. Be aware that there are time limits for each step of the appeals process.

Students with Disabilities: Students with disabilities should speak with someone at Equity and Disability Service, phone: 369 3334 (or ext. 93334), email: eds@canterbury.ac.nz).

***Academic Advice*:** Assoc Prof Greg Russell is the coordinator of undergraduate chemistry courses. His interest is in the academic performance and well-being of all such students. Anyone experiencing problems with their chemistry courses or requiring guidance about their B.Sc. in Chemistry should get in contact with Greg.

***Staff-Class Rep Liaison*:** Assoc Prof Greg Russell is in charge of liaison with students in chemistry courses. Your class will appoint a student representative to the liaison committee at the start of the semester. Please feel free to talk to the Academic Liaison or the student rep about any problems or concerns that you might have.

Greg Russell (greg.russell@canterbury.ac.nz, tel. 369 5129)
Director of Undergraduate Studies
School of Physical and Chemical Sciences
2022