

General Course Information | Ngā Whakamārama

CHEM 342

Aromatic, Heterocyclic, and Pharmaceutical Chemistry

0.125 EFTS 15 Points
First Semester 2023

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, Julius von Haast 626, 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, and Chris Fitchett

Textbooks | Tuhiंगा

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 covered by this course are:

AROMATIC CHEMISTRY

(12 sessions)

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, Julius von Haast 626 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: Professor Antony Fairbanks, West 801, ext 92517, antony.fairbanks@canterbury.ac.nz

GENERAL INFORMATION | TE KIMI MŌHIOHIO 2023

Policy on 'Dishonest Practice' | Ngā Takahitanga me ngā Tinihanga

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 – see the online guidelines in relation to '[Academic Integrity](#)'.

The School of Physical and Chemical Sciences upholds this policy. It considers plagiarism, collusion, copying and ghost writing – all detailed below – to be unacceptable and dishonest practices:

- **Plagiarism | Tārua Whānako** 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. Note that the use of **AI generative tools such as ChatGPT** for assessment work is *strictly forbidden*, except where the lecturer concerned has specifically granted approval.
- **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) (whether with or without payment) to prepare all or part of an item of work submitted for assessment.

Special consideration of assessment | Ngā Pairuri Motuhake

'[Special Consideration](#)' (previously termed 'Aegrotat Application') for an item of assessment is for students who have covered the work involved but have been prevented from demonstrating their knowledge or skills at the time of the assessment due to unforeseen circumstances, whether illness, injury, bereavement, car crash or any other extenuating circumstance *beyond one's control*. Special Consideration for a test/exam may be because a student has not sat it or has done so with impaired performance. Applications can be submitted via the above link and must be made **no later than five working days after the assessment due date**. Note that special consideration is **not available for items worth less than 10% of the overall course mark**. In the case of illness or injury, medical consultation should normally have taken place either shortly before or within 24 hours after the due date for the required work or test/examination.

Note that you may be required to sit a special exam or your grade may not be changed if there is insufficient evidence of your performance from other invigilated assessment items in the course. **You have the right to appeal any decision.**

It is important to understand that Special Consideration is only available *where course work has been covered*, and the inability to demonstrate this fully is both *no longer possible* AND is due to *unexpected circumstances beyond one's control*. Thus Special Consideration **is NOT available for:**

- essays, assignments or quizzes where an extension of time is available to complete the assessment item (see below for the process to involved);
- missed lectures during the semester;
- experiencing examination anxiety;
- having several examinations or assessments close together;
- known impairment, such as chronic illness (medical or psychological), injury or disability unless medical evidence confirms that the circumstances were exacerbated, despite appropriate management, at the time of assessment;
- mistaking the date or time of an examination (this is a circumstance one can control!);
- failing to turn up to an examination or test because of sleeping in (a circumstance as above!);
- where applications are repeatedly made for the same or similar reason, then the application may be declined on the grounds that the reason is not unexpected;
- where the application is made at the time of the assessment but the supporting documentation is received significantly after this date or after the date results are released; or
- the application is made following the release of results (unless under exceptional circumstances).

Extensions of deadlines | Tononga Wā Āpiti

Where an extension may be granted for an assessment item, this will be decided by application to the course co-ordinator and/or the lecturer concerned.

Late withdrawal from a 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 | Te Matangaro i ngā Whakamātautau

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

Past tests can be found on our [Chemistry Undergraduate](#) website. Past exams can be found on the [Library website](#).

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 for assessment will be at the discretion of the course coordinator and/or the lecturer concerned. If your assessment is likely to be late, please contact the relevant of these people **before the assessment is due**. Never assume that an extension will be automatically granted – some courses have the policy of no late work being accepted. A commonly exercised policy is to deduct 10% of the total marks for each day that the work is late, where weekends and public holidays also count as such days.

Marks and Grades | Taumata Ako

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](#). 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 | Te Whaikaha

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
2023