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| Academic Year | AY20/21 | Semester | 1 |
| Course Coordinator | Naohiko Yoshikai, Shunsuke Chiba | | |
| Course Code | CM9083 | | |
| Course Title | Heterocyclic Chemistry | | |
| Pre-requisites | CM2031 or by permission | | |
| No of AUs | 3 | | |
| Contact Hours | Lectures: 39 hours (3 hours per week) | | |
| Proposal Date | 31 January 2020 | | |

Course Aims

This course aims to introduce the principles of heterocyclic compounds, especially those based on 5- and 6-membered ring aromatic systems, which are frequently used as a core of pharmaceutical drugs. You will learn about their structural features and reactivity as well as various methodologies for constructing their scaffolds. The course will also introduce methodologies to install new functional groups to these heterocycles and to transform them into other heterocycles.

Intended Learning Outcomes (ILO)

Upon successful completion of this course, you should be able to:

1. Explain the structure and chemical reactivity of representative heterocycles, and the relevance of heterocycles to pharmaceutical drugs.
2. Describe various synthetic approaches to construct 6-membered ring aromatic heterocycles.
3. Describe various synthetic approaches to install new functional groups onto 6-membered ring aromatic heterocycles.
4. Describe various synthetic approaches to construct 5-membered ring aromatic heterocycles.
5. Describe various synthetic approaches to install new functional groups onto 5-membered ring aromatic heterocycles and to transform them onto other heterocycles.

Course Content

Review of the chemical structures and reactivity of 5- and 6-membered ring aromatic heterocycles.

Methodologies to construct 6-membered ring aromatic heterocycles and their reaction mechanisms.

Methodologies to install functional group onto 6-membered ring aromatic heterocycles and their reaction mechanisms.

Methodologies to construct 5-membered ring aromatic heterocycles and their reaction mechanisms.

Methodologies to install functional group onto 5-membered ring aromatic heterocycles and their reaction mechanisms.

Assessment (includes both continuous and summative assessment)

| Component | Course ILO Tested | Related Programme LO or Graduate Attributes | Weighting | Team/ Individual | Assessment rubrics |
|-------------------|-------------------|---|-----------|------------------|---|
| 1. Midterm Test 1 | 1, 2, 3 | Competence, Creativity | 20% | Individual | Point-based marking (not rubrics based) |
| 2. Midterm Test 2 | 1, 4, 5 | Competence, Creativity | 20% | Individual | Point-based marking (not rubrics based) |
| 3. Examination | 1, 2, 3, 4, 5 | Competence, Creativity | 60% | Individual | Point-based marking (not rubrics based) |
| Total | | | 100% | | |

Formative feedback

You will be given feedback in four ways:

1. By working through examples provided during lectures
2. By response to postings on the course discussion board
3. By attending consultation hours
4. By studying the comments provided by the instructor after the grading of the midterms

Learning and Teaching approach

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| Lectures | Face-to-face lectures will be employed to enable you to interact directly with the instructors. |
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Reading and References

Recommended textbook: Heterocyclic Chemistry, 5th Ed. (2010), by J. A. Joule and K. Mills, Wiley; ISBN: 978-1-405-13300-5 (paperback)

Course Policies and Student Responsibilities**(1) General**

You are expected to read the lecture materials prior to the lecture session in question. This will help you to learn much more efficiently as you will already have an impression on the topics to be covered. You should also read the textbook and to attempt the exercises provided in the problem sets.

(2) Absenteeism

If you miss a lecture, you are expected to make up for the lost learning activities. If you miss the mid-term test with approval, you will either be offered a make-up test or grading based upon the final exam score.

Academic Integrity

Good academic work depends on honesty and ethical behaviour. The quality of your work as a student relies on adhering to the principles of academic integrity and to the NTU Honour Code, a set of values shared by the whole university community. Truth, Trust and Justice are at the core of NTU's shared values.

As a student, it is important that you recognize your responsibilities in understanding and applying the principles of academic integrity in all the work you do at NTU. Not knowing what is involved in maintaining academic integrity does not excuse academic dishonesty. You need to actively equip yourself with strategies to avoid all forms of academic dishonesty, including plagiarism, academic fraud, collusion and cheating. If you are uncertain of the definitions of any of these terms, you should go to the [academic integrity website](#) for more information. Consult your instructor(s) if you need any clarification about the requirements of academic integrity in the course.

Course Instructors

| Instructor | Office Location | Phone | Email |
|------------------|-----------------|-----------|----------------------|
| Naohiko Yoshikai | SPMS-CBC-05-18 | 6592-7768 | NYOSHIKAI@ntu.edu.sg |
| Shunsuke Chiba | SPMS-CBC-05-07 | 6513-8013 | Shunsuke@ntu.edu.sg |
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Planned Weekly Schedule

| Week | Topic | Course ILO | Readings/Activities |
|------|---|------------|---------------------|
| 1 | Introduction. Review of the concept of aromaticity and its relevance to aromatic heterocycles, and relevance of heterocycles to pharmaceutical drugs and other important areas | 1 | Lecture |
| 2 | Basic nomenclature and structure of heterocycles and their properties including resonance/electronic structure, acidity/basicity, and spectroscopic behavior | 1 | Lecture |
| 3 | Synthesis of 6-membered heterocycles: Fundamental organic reactions involved in heterocycle formation such as aldol reaction, imine/enamine formation, and Michael reaction, and synthesis of pyridines by various condensation methods | 2 | Lecture |
| 4 | Synthesis of 6-membered heterocycles: Synthesis of quinolines and isoquinolines by various condensation methods | 2 | Lecture |
| 5 | Transformation of 6-membered heterocycles: Reaction with electrophiles, nucleophiles, and radicals with pyridines and related heterocycles | 3 | Lecture |

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| 6 | Transformation of 6-membered heterocycles: Reactions involving metalated pyridines and related heterocycles, and Midterm Test 1 | 1,2,3 | Lecture, assessment |
| 7 | Transformation of 6-membered heterocycles: Reactions of modified pyridine-type heterocycles including alkyl-substituted pyridines, pyridinium salts, and pyridine N-oxide, and intermediate review | 1,2,3 | Lecture |
| 8 | Synthesis of 5-membered heterocycles: Fundamental organic reactions involved in heterocycle formation such as imine/enamine formation, dehydration, and isomerization, and synthesis of furan, pyrrole, and thiophene by various condensation methods | 4 | Lecture |
| 9 | Synthesis of 5-membered heterocycles: Synthesis of 1,3-azoles using amides and their derivatives. | 4 | Lecture |
| 10 | Synthesis of 5-membered heterocycles: Synthesis of indoles by the Fischer method. | 4 | Lecture, |
| 11 | Installation of functional groups onto 5-membered heterocycles: Reactions with electrophiles and Mid-term test 2. | 5 | Lecture assessment |
| 12 | Transformation of 5-membered heterocycles: Reactions involving Diels-Alder reactions | 5 6 | Lecture |
| 13 | Review | 1, 2, 3, 4, 5 | Lecture |

CBC Programme Learning Outcome

The Division of Chemistry and Biological Chemistry (CBC) offers an undergraduate degree major in Chemistry that satisfies the American Chemical Society (ACS) curricular guidelines and equips students with knowledge relevant to the industry. Graduates of the Division of Chemistry and Biological Chemistry should have the following key attributes:

1. Competence

Graduates should be well-versed in the foundational and advanced concepts of chemical science, be able to evaluate chemistry-related information critically and independently, and be able to use complex reasoning to solve emergent chemical problems.

2. Creativity

Graduates should be able to synthesize and integrate multiple ideas across the curriculum, and propose innovative solutions to emergent chemistry-related problems based on their training in chemistry.

3. Communication

Graduates should be able to demonstrate clarity of thought, independent thinking, and sound scientific analysis and reasoning through written and oral reports to audiences with varying technical backgrounds. They should also be able to effectively engage other professional chemists in collaborative endeavours.

4. Character

Graduates should be able to act in responsible ways and uphold the high ethical standards that the society expects of professional chemists.

5. Civic-mindedness

Graduates should be aware of the impact of chemistry on society, and how chemistry can be applied to benefit mankind. They should also be aware of and uphold the best chemical safety practices.