Chemistry 501: Chemistry of Heterocyclic Compounds
Spring 2017, Rutgers University, Newark
Syllabus
Lecture: Wednesday, 6:00-8:50 PM, Smith Hall, 240

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Office hours: By appointment.

Course Synopsis:

Fundamental principles and advanced topics in heterocyclic chemistry. Synthesis and reactivity of major classes of heterocyclic compounds are covered in detail, with emphasis on recent advances in synthesis and reaction development. Special attention is given to heterocycles of biological interest and the importance of heterocycles in pharmaceutical industry.

Required Text:

- *Heterocyclic Chemistry, 5th Ed*. Joule, J. A.; Mills, K.

Recommended Texts (Optional):

General organic chemistry textbooks
- *Strategic Applications of Named Reactions in Organic Synthesis.* Kurti, L.; Czako, B.
- *Advanced Organic Chemistry, Part B: Reactions and Synthesis.* Carey, F. A.; Sundberg, R. J.

General heterocyclic chemistry textbooks:
I have requested that the following texts are on reserve in Dana Library this semester:
- *Heterocyclic Chemistry in Drug Discovery.* Li, J. J. (available online through Rutgers Libraries)
- *Palladium in Heterocyclic Chemistry, 2nd Ed.* Li, J. J.; Gribble, G. W.
- *Fundamentals of Heterocyclic Chemistry: Importance in Nature and in the Synthesis of Pharmaceuticals.* Quin, L. D.; Tyrell, J. A.
- *The Chemistry of Heterocycles: Structures, Reactions, Synthesis, and Applications, 2nd Ed.* Eicher, T.; Hauptmann, S.

List of other resources in heterocyclic chemistry:
- *Heterocyclic Chemistry.* Gilchrist, T. L.
- *Name Reactions in Heterocyclic Chemistry.* Li, J. J.
- *Handbook of Heterocyclic Chemistry, 3rd Ed.* Katritzky, A. R.; Ramsden, C. A.; Joule, J. A.; Zhdankin, V. V.
- *Comprehensive Heterocyclic Chemistry.* Katritzky, A. R., Ed. Pergamon.
- *Advances in Heterocyclic Chemistry.* Katritzky, A. R., Ed. Elsevier.
- *Progress in Heterocyclic Chemistry.* Gribble, G. W.; Joule, J. A., Eds. Pergamon.

Grading:

Breakdown:
Seminar presentation: 20%
Final project (written): 20%
Quizzes: 20%
Final Exam: 40%

Approximate Class Outline:

Week	Date	Lecture	Topic
1	Jan 18	Lecture 1	General reactivity
2	Jan 25	Lecture 2	5-Membered heterocycles, 1 heteroatom: Pyrroles/Furans/Thiophenes
3	Feb 1	Lecture 3	5-Membered heterocycles, 1 heteroatom: Pyrroles/Furans/Thiophenes
4	Feb 8	Lecture 4	Benzofused 5-membered heterocycles, 1 heteroatom: Indoles/Benzofurans/Benzothiophenes
5	Feb 15	Lecture 5	6-Membered heterocycles, 1 heteroatom: Pyridines
6	Feb 22	Lecture 6	6-Membered heterocycles, 1 heteroatom: Pyridines/Quinolines/Isoquinolines
7	Mar 1	Lecture 7	**Seminar Presentation**
8	Mar 8	Lecture 8	Case studies
9	Mar 15		**Spring Break**
10	Mar 22	Lecture 9	5-Membered heterocycles, 2 heteroatoms: 1,2-Azoles/1,3-Azoles
11	Mar 29	Lecture 10	5-Membered heterocycles, 2 heteroatoms: 1,2-Azoles/1,3-Azoles
12	Apr 5	Lecture 11	6-Membered heterocycles, 2 heteroatoms: Diazines, Pyrylium/Pyrones
13	Apr 12	Lecture 12	Purines/Azepines/Bridgehead heterocycles
14	Apr 19	Lecture 13	3-Membered heterocycles, 1 heteroatom: aziridines, epoxides
15	Apr 26	Lecture 14	Multicomponent and Pd-catalyzed reactions in heterocyclic chemistry, Case studies
Finals	May 10		**Final Exam, Final Project Due**

Exam:

Exam will be closed book, closed note. Exam will cover lecture material, seminar presentations, assigned reading and literature discussed in class.

Midterm Presentation:

Each student will be asked to present a short seminar (20-30 min) based on an original research paper from the current heterocyclic literature. The selection of papers will be given early in the semester. The presentation should cover the most important aspects and references from the assigned topic. Each presentation should include the following: (i) background and current state-of-the-art; (ii) the most important aspects (scope, mechanism); (iii) novelty of the presented

work; (iv) future applications and extensions. Future applications and extensions may include work from the same research group or by others. **Your goal is to provide a comprehensive and balanced overview of the relevant topic to the class.** The presentation will be graded as 20% of the final grade. Grading will include content, presentation and clarity.

Final Project:

Each student will be asked to review a heterocyclic transformation based on an original research paper from the current heterocyclic literature and prepare a 3-page review article in *ACS communication format*. The review article should cover: (i) the most important aspects (mechanism, scope); (ii) cited references and background; (iii) follow-up work from the assigned topic. **The review should be a critical summary of the assigned topic, and not simply a description of the lead paper.** The selection of papers will be given after the midterm presentation. The review article should be formatted using standard ACS template (ChemDraw drawings, ACS settings). The review should be submitted in an electronic format (as a .pdf file) The project will be graded as 20% of the final grade. The final project is due at the time of the final exam. The reviews will be complied and distributed to the class after the final exam. Grading will include content, presentation and clarity.

Learning Objectives:

After completion of this course students should:

- be familiar with modern methods in heterocyclic chemistry with focus on the importance of heterocycles in biological systems and pharmaceutical industry
- be familiar with major classes of heterocyclic compounds and their chemical properties
- be able to predict reactivity of different classes of heterocycles
- be familiar with commonly used synthetic routes to heterocycles
- be able to plan synthetic routes to complex organic molecules containing heterocyclic motifs
- be familiar with the major advances and the current state-of-the-art methods in heterocyclic chemistry
- be familiar with major journals and publications in heterocyclic chemistry
- be familiar with general synthetic approaches used in drug discovery and synthetic routes to major drugs containing heterocyclic motifs
- be able to critically evaluate heterocyclic chemical literature, present seminars and short reviews in heterocyclic chemistry

Attendance Policy:

Please, review Rutgers University attendance policy, which can be found at http://policies.rutgers.edu/view-policies/academic-%E2%80%93-section-10#2

Academic Integrity Policy:

Please, review Rutgers University Academic Integrity Policy, which can be found at http://academicintegrity.rutgers.edu/academic-integrity-policy. This policy applies to all Schools and Colleges of Rutgers, the State University of New Jersey, including the Ernest Mario School of Pharmacy and the Rutgers College of Nursing.