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

Professor Michal Szostak, Olson 204
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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.

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.

List of other resources in heterocyclic chemistry:
- Heterocyclic Chemistry. Gilchrist, T. L.
- Name Reactions in Heterocyclic Chemistry. Li, J. J.
Grading:

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

Approximate Class Outline:

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