Chemistry 501: Chemistry of Heterocyclic Compounds
Fall 2022, Rutgers University, Newark

Syllabus
Lecture: Wednesday, 6:00-9:00 PM, Smith Hall 240

Professor Michal Szostak, LSC II 219
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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:
The following texts are available 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% (due on Oct 26/Nov 2, 6:00 PM EST)
**Research Paper Review**: 20% (3 assignments, due on Nov 16, Nov 30, Dec 7, 6:00 PM EST)
**Quizzes**: 20% (3 quizzes, Oct 5, Oct 19, Nov 30)
**Final Exam**: 40% (Dec 21)

Approximate Class Outline:

<table>
<thead>
<tr>
<th>Week</th>
<th>Date</th>
<th>Lecture</th>
<th>Topic</th>
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<tbody>
<tr>
<td>1</td>
<td>Sep 7</td>
<td>Lecture 1</td>
<td>General reactivity 1</td>
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<tr>
<td>2</td>
<td>Sep 14</td>
<td>Lecture 2</td>
<td>General reactivity 2</td>
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<tr>
<td>3</td>
<td>Sep 21</td>
<td>Lecture 3</td>
<td>5-Membered heterocycles, 1 heteroatom: Pyrroles</td>
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<td>4</td>
<td>Sep 28</td>
<td>Lecture 4</td>
<td>5-Membered heterocycles, 1 heteroatom: Furans/Thiophenes</td>
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<td>5</td>
<td>Oct 5</td>
<td>Lecture 5</td>
<td>Benzofused 5-membered heterocycles, 1 heteroatom: Indoles/Benzofurans/Benzothiophenes, <strong>Quiz 1</strong></td>
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<tr>
<td>6</td>
<td>Oct 12</td>
<td>Lecture 6</td>
<td>6-Membered heterocycles, 1 heteroatom: Pyridines</td>
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<td>7</td>
<td>Oct 19</td>
<td>Lecture 7</td>
<td>6-Membered heterocycles, 1 heteroatom: Quinolines/Isoquinolines, <strong>Quiz 2</strong></td>
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<tr>
<td>8</td>
<td>Oct 26</td>
<td>Lecture 8</td>
<td>Seminar Presentation – Part 1</td>
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<tr>
<td>9</td>
<td>Nov 2</td>
<td>Lecture 9</td>
<td>Seminar Presentation – Part 2</td>
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<tr>
<td>10</td>
<td>Nov 9</td>
<td>Lecture 10</td>
<td>5-Membered heterocycles, 2 heteroatoms: 1,3-Azoles (Oxazoles/Imidazoles/Thiazoles)</td>
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<tr>
<td>12</td>
<td>Nov 23</td>
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<td>Thanksgiving Break/Friday Classes</td>
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<td>13</td>
<td>Nov 30</td>
<td>Lecture 12</td>
<td>Research Paper Review: 5-Membered heterocycles, 2 and more heteroatoms: Triazoles/Tetrazoles/Oxadiazoles, <strong>Quiz 3</strong></td>
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<tr>
<td>14</td>
<td>Dec 7</td>
<td>Lecture 13</td>
<td>Research Paper Review: 3-Membered heterocycles, 1 heteroatom: Aziridines/Epoxides/Thiranes</td>
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<td>15</td>
<td>Dec 14</td>
<td>Lecture 14</td>
<td>Multicomponent and Pd-catalyzed reactions in heterocyclic chemistry, Case studies</td>
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**Finals**

**Dec 21**

**Final Exam, Final Project Due**

Add/Drop/Withdraw:
- Add/Drop period: 9/6/22 - 9/15/22
- Last day to drop with a "W": 10/31/22
- Full Calendar: [https://registrar.newark.rutgers.edu/office-registrar-fall-academic-calendar](https://registrar.newark.rutgers.edu/office-registrar-fall-academic-calendar)

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

Quizzes:
Quizzes are closed book, closed note. Each quiz will be 30-min long and cover 5-6 questions based on the material covered in class.
Seminar 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. Each seminar should be in the form of a 5-7 page long powerpoint presentation (5-7 core slides, not including title, outline, conclusions, etc). Each presentation should cover: (i) background; (ii) the most important aspects (scope, mechanism); (iii) novelty of the presented work; (iv) cited references; (v) follow-up work from the assigned topic. Follow-up work may include work from the same research group or by others. Your goal is to research the topic based on the assigned paper and provide a comprehensive and balanced overview of the topic to the class. The presentation should be a critical summary of the assigned topic, and not simply a description of the lead paper. Each presentation will be graded as 20% of the final grade. Grading will include content, presentation and clarity. Powerpoint presentations can be made using ‘screenshot option’ of the original research articles. All other standard formatting options for powerpoint presentations should be used.

Research Paper Review:

Each student will be asked to present short “Spotlight” presentations (5 min), 1-2 slides, based on a research paper on a popular heterocycle from the current heterocyclic chemistry literature. Everyone will receive a heterocycle to review, eg pyrimidine, 1,2,4-triazole, epoxide, thiazoline, thiazole and will need to find a relevant reference. All references must be from the current heterocyclic chemistry literature (eg J. Am. Chem. Soc., ACS Ctl., Org. Lett., J. Org. Chem., Angew. Chem. Int. Ed., Chem. Eur. J., Chem. Commun., Org. Biomol. Chem., etc). The selected references should be (1) as current as possible (ie when you search for articles, sort by Date, then Newest First), and (2) as relevant as possible (sort by Relevance). The “Spotlight” heterocycle presentation should cover: (i) the most important aspects (mechanism, scope); (ii) background, (iii) applications (if included). Each Spotlight presentation should include a detailed ChemDraw drawing of an abstract of the selected paper, ACS settings). The “Spotlight” presentation should be a critical summary of the selected paper, and not simply a description of the paper. The Spotlight assignments (3 assignments) will be graded as 20% of the final grade. Spotlight presentations can be made using ‘screenshot option’ of the original research articles. Grading will include content, presentation and clarity.

*All assignments should be submitted to michal.szostak@rutgers.edu in an electronic format as .pdf files. The following file titles should be used: “name_501_F22.pdf” (“name_501_F22.cdx” for chemdraw files), for example, my assignment file would be “szostak_501_F20.pdf”

**Presentation templates and a tutorial on using ChemDraw, ACS Search Option, ACS templates and ACS reference settings will be given early in the semester.

***All assignments will be complied, distributed to the class and discussed during assignment overview sessions (Oct 26, Nov 2, Nov 16, Nov 30, Dec 7)

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.

Accommodation and Support Statement
Rutgers University Newark (RU-N) is committed to the creation of an inclusive and safe learning environment for all students and the University as a whole. RU-N has identified the following resources to further the mission of access and support:

For Individuals with Disabilities: The Office of Disability Services (ODS) is responsible for the determination of appropriate accommodations for students who encounter barriers due to disability. Once a student has completed the ODS process (registration, initial appointment, and submitted documentation) and reasonable accommodations are determined to be necessary and appropriate, a Letter of Accommodation (LOA) will be provided. The LOA must be given to each course instructor by the student and followed up with a discussion. This should be done as early in the semester as possible as accommodations are not retroactive. More information can be found at ods.rutgers.edu. Contact ODS at (973)353-5375 or via email at ods@newark.rutgers.edu.

For Individuals who are Pregnant: The Office of Title IX and ADA Compliance is available to assist with any concerns or potential accommodations related to pregnancy. Students may contact the Office of Title IX and ADA Compliance at (973) 353-1906 or via email at TitleIX@newark.rutgers.edu.

For Absence Verification: The Office of the Dean of Students can provide assistance for absences related to religious observance, emergency or unavoidable conflict (e.g., illness,
personal or family emergency, etc.). Students should refer to University Policy 10.2.7 for information about expectations and responsibilities. The Office of the Dean of Students can be contacted by calling (973) 353-5063 or emailing deanofstudents@newark.rutgers.edu.

For Individuals with temporary conditions/injuries: The Office of the Dean of Students can assist students who are experiencing a temporary condition or injury (e.g., broken or sprained limbs, concussions, or recovery from surgery). Students experiencing a temporary condition or injury should submit a request using the following link: https://temporaryconditions.rutgers.edu.

For English as a Second Language (ESL): The Program in American Language Studies (PALS) can support students experiencing difficulty in courses due to English as a Second Language (ESL) and can be reached by emailing PALS@newark.rutgers.edu to discuss potential supports.

For Gender or Sex-Based Discrimination or Harassment: The Office of Title IX and ADA Compliance can assist students who are experiencing any form of gender or sex-based discrimination or harassment, including sexual assault, sexual harassment, relationship violence, or stalking. Students can report an incident to the Office of Title IX and ADA Compliance by calling (973) 353-1906 or emailing TitleIX@newark.rutgers.edu. Incidents may also be reported by using the following link: tinyurl.com/RUNReportingForm. For more information, students should refer to the University’s Student Policy Prohibiting Sexual Harassment, Sexual Violence, Relationship Violence, Stalking and Related Misconduct located at http://compliance.rutgers.edu/title-ix/about-title-ix/title-ix-policies/.

For support related to interpersonal violence: The Office for Violence Prevention and Victim Assistance can provide any student with confidential support. The office is a confidential resource and does not have an obligation to report information to the University’s Title IX Coordinator. Students can contact the office by calling (973) 353-1918 or emailing run.vpva@rutgers.edu. There is also a confidential text-based line available to students; students can text (973) 339-0734 for support.

For Crisis and Concerns: The Campus Awareness Response and Education (CARE) Team works with students in crisis to develop a support plan to address personal situations that might impact their academic performance. Students, faculty and staff may contact the CARE Team by using the following link: tinyurl.com/RUNCARE or emailing careteam@rutgers.edu.

For Stress, Worry, or Concerns about Well-being: The Counseling Center has confidential therapists available to support students. Students should reach out to the Counseling Center to schedule an appointment: counseling@newark.rutgers.edu or (973) 353-5805. If you are not quite ready to make an appointment with a therapist but are interested in self-help, check out TAO at Rutgers-Newark for an easy, web-based approach to self-care and support: https://tinyurl.com/RUN-TAO.

For emergencies, call 911 or contact Rutgers University Police Department (RUPD) by calling (973) 353-5111.

Academic Calendar: https://registrar.newark.rutgers.edu/office-registrar-fall-academic-calendar
Final Exam Schedule: https://scheduling.newark.rutgers.edu/ru-n-final-exam-schedule-fall-2022/