

Texas A & M University-Kingsville

CHEM 5412, Asymmetric Synthesis

Course Description:

The course is for graduate students majoring in a field of science or engineering. The course highlights the recent practical methods for the synthesis of enantiomerically pure organic compounds with a special emphasis on the design of economically feasible chiral processes in agrochemical and pharmaceutical industries as well as Green Chemistry and Environmental Sustainability. The course is designed to provide the students an appreciation of the importance of chirality in the context of biological / physiological activity, which is clearly reflected in recent stringent FDA guidelines for the approval of chiral drugs.

Name of Instructor:

Dr. Apurba Bhattacharya

Office Location:

Room 156 B, Nierman Hall. Telephone: (361) 593-2664

Office Hours:

2:00- 4:00 PM, Monday through Friday, or by appointment.

Course Lecture Location:

TBA

Required Texts:

Chirality in Industry: The commercial manufacture and applications of optically active compounds by A. N. Collins, G. N. Sheldrake and J Crossby; John Wiley & Sons.

Recommended Readings:

Asymmetric Synthetic Methodology by David J. Ager and Michael B. East: CRC Press.

Stereochemistry of Organic Compounds by Ernest L Eliel and Samuel H. Wilen; John Wiley & Sons.

Enantiomers, Racemates and Resolutions by Jean Jacques Andre Collet and Samuel H. Wilen; Krieger Publishing Company Malabar Florida.

Selected Journal Articles.

Course Objectives:

- Develop a fundamental understanding of the concepts of stereoisomerism, optical activity and chirality.
- Learn the principle methods that are used to prepare enantiomerically pure products from achiral starting materials.
- Discover reactions that will reliably provide optically pure compounds in a wide variety of systems.
- Determine which strategic bond constructions can be used most effectively to obtain synthetic targets with high stereoselectivity.
- Develop effective strategies for using chiral auxiliaries, catalysts, and the substrate to control stereochemical relationships.
- Become adept at identifying strengths and weaknesses of particular methods, and determine which one will be optimal for a particular synthetic operations.
- Learn various commercial processes from individual case-studies involving chiral synthesis currently practiced in the agrochemical and pharmaceutical sectors including (a) classical resolutions, (b) asymmetric synthesis, (c) biological methods (d) immobilization and membrane technologies.

Course Outline:

Introduction to Stereochemistry, Optical activity and chirality, General concepts in asymmetric synthesis.

Classical and enzymatic Resolutions.

---2 weeks

Reactions of alkenes - Oxidations.

Reactions of alkenes – Reduction and hydration

---2 weeks

Cycloaddition Reactions.

Diels Alder Reactions.

---2 weeks

Additions to aldehydes and ketones.

Chiral alkylations

Chiral Aldol reactions.

-----2 weeks

Industrial asymmetric synthesis; a case history.

Chiral Drugs: Regulatory Aspects.

Chiral Non-steroidal Anti-inflammatory Profen Drugs.

Synthesis of Enantiomerically Pure Nucleosides including (-)Carbovir and Lamivudine (AZT analogues- Glaxo)

Rational Design in Resolution: Captopril synthesis (Bristol Myers Squibb).

Resolutions vs. Chiral synthesis in Drug Development. Duloxetine (Prozac analogue) Loracarbef and LY 300502 (Eli Lilly)

----- 4 weeks

Student learner outcomes:

At the successful completion of this course, you will demonstrate understanding of the key elements of developing practical methods for the synthesis of enantiomerically pure organic compounds with a special emphasis on the design of economically feasible chiral processes by successful completion of an assessment exam.

Method(s) of evaluation and grading procedures:

- Evaluation of the course objectives will be assessed by the evaluation of two major examinations (hour exams) and a comprehensive final examination.

Examination 1	100pts
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Examination 2	100pts
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Examination 3, Comprehensive (Final)	200pts
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Total	400 pts
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- Due to time constraints no make-up examinations will be given. A student who can document an excused absence receives a grade based on his/her exam average. A grade of zero will be recorded for unexcused absences. From time to time there will be unannounced quizzes.

- Seminar Program. Students are strongly encouraged to attend the seminars offered in the Department of Chemistry. They will earn 10(bonus points)/1000 points basis if they attend the seminars.

Academic misconduct (see page 23, section 100 of student handbook)

You are expected to practice academic honesty in every aspect of this course and all other courses. Make sure you are familiar with your student handbook, especially the section on academic misconduct. Students who engage in academic misconduct are subject to university disciplinary procedures.

Forms of academic dishonesty:

1. Cheating: deception in which a student misrepresents that he/she has mastered information on an academic exercise that he/she has not mastered; giving or receiving aid unauthorized by the instructor on assignments or examinations.
2. Academic misconduct: tampering with grades or taking part in obtaining or distributing any part of a scheduled test.
3. Fabrication: use of invented information or falsified research.
4. Plagiarism: unacknowledged quotation and/or paraphrase of someone else's words, ideas, or data as one's own in work submitted for credit. Failure to identify information or essays from the internet and submitting them as one's own work also constitutes plagiarism.

Non-academic misconduct: (see page 23, section 100 of the student handbook)

The university respects the rights of instructors to teach and students to learn. Maintenance of these rights requires campus conditions that do not impede their exercise. Campus behavior that interferes with either (1) the instructor's ability to conduct the class, (2) the inability of other students to profit from the instructional program, (3) campus behavior that interferes with the rights of others will not be tolerated. An individual engaging in such disruptive behavior may be subject to disciplinary action.

Sexual Misconduct: (See page 23, section 200 of Student Handbook)

Disability Statement: (See pages 2 & 11 of Student Handbook)

Students with disabilities, including learning disabilities who wish to request accommodations in class should register with the Services for Students with Disabilities (SSD) early in the semester so that appropriate arrangements may be made. In accordance with federal laws, a student requesting special accommodations must provide documentation of their disability to the SSD coordinator on campus.

Comments:

- I will not take formal attendance but class attendance is a very practical necessity. Please note that attendance policies may vary by college). No late assignments

will be accepted. Graduating seniors who need to schedule an early final should inform the instructor early in the semester. Students should turn off their cell phones during class.

- This chemistry 5412 syllabus is intended to be informational and not contractual. The instructor reserves the right to amend, alter, change, delete, or modify the syllabus with notice (announced during the lecture season) in any manner that is deemed necessary and in the best interest of the Department of chemistry and Texas A & M University-Kingsville.
- It is the responsibility of the student to keep the original graded copies of all materials (exams, problem set, in-class assignments, etc.) that have been returned for his/her records. Graded final exams are retained by the instructor for his/her permanent records.