CHM 440 / 1004 (Fall 2024)

Synthesis of Modern Pharmaceutical Agents

Time: Tuesdays and Thursdays 3pm
Location: UC 85

Development of a modern pharmaceutical agent is a complicated process that demands improved methods for selective transformations of organic molecules. Typically, medicinal chemistry efforts during the drug discovery stage focus on generating valuable structure/activity relationships for the molecules that are being evaluated for biological activity. At the next stage, process chemists take over the project and face completely different challenges that relate to finding the most efficient route to the candidate identified during the medicinal chemistry part of the campaign. This course provides an overview of reactions and synthetic strategies that are being used at different stages of the drug development process. Using representative examples, we will concentrate on the synthesis of complex heterocyclic compounds.

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Office Hour: Tuesdays 4pm-5pm

LECTURE SCHEDULE

Date	Topic
Sept. 3	Introduction
Sept. 5	Drug discovery : from hit to lead and beyond
********	***an assignment will be given to graduate students (due Nov. 12) penalty for lateness: 5% PER DAY ******
Sept. 10	Scale-up
Sept. 12	Retrosynthesis
Sept. 17	Functional group manipulations
Sept. 19	Reactions of carbonyl groups

Sept. 24	Umpolung, olefination, homologation
Sept. 26	Additions to olefins and alkynes
Oct. 1	Cyclization reactions part I
Oct. 3	Cyclization reactions part I
Oct. 8	Metal catalysis part I
Oct. 10	Metal catalysis part II
Oct. 15	Metal catalysis part III
Oct. 17	Macrocyclization part I
Oct. 22	Macrocyclization part II
Oct. 24	**Term test**
Oct. 29	READING WEEK
Oct. 31	READING WEEK
Nov. 4	Last day to drop F courses
Nov. 5	Heterocycles part I
Nov. 7	Heterocycles part II
Nov. 12	Olefin metathesis
Nov. 14	Peptide chemistry
Nov. 19	Multicomponent reactions
Nov. 21	Organosilicon and organoboron chemistry
Nov. 26	Fragment-based drug discovery
Nov. 28	The role of A.I. in drug discovery
Dec. 3	Last day of class

Composition of the final grade:

Term Test	30 %
Assignment (paper)	25 %
Final Exam	45 %