Chemistry II (Organic): Heteroaromatic Chemistry

Overview (2011-12)

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Aims

To provide an introduction to aromatic heterocycles by examining their properties and reactivity patterns and by introducing important methods for their synthesis.

Building Upon: Year 1/foundation term: Aromatic Chemistry' (ACS), 'Haloalkanes, Alcohols, Amines' (MJH); 'Chemistry of Carbonyl Compounds' (SEG).
Looking forward to: Year 2: 'Bio-organic Chemistry' (ET); Year 4 – 'Advanced Synthesis' (AGMB).

Summary

Heterocycles constitute the vast majority of all known compounds and are key components of pharmaceuticals, agrochemicals, electro-active polymers, flavourings *etc*. They are also found widely in biologically important natural products (*e.g.* vitamins). In this course the fundamental structural characteristics and important methods of synthesis of several key aromatic heterocycles will be studied.

Objectives:

On completion of this course you will be able to:

- Appreciate the importance of heterocyclic compounds as constituents of pharmaceuticals, agrochemicals, natural products, dyes, and electro-active materials.
- Discuss the concept of aromaticity in heteroaromatics in valence-bond and MO terms and explain the basis of the terms π-deficient and π-excessive.
- Summarise key physical and spectroscopic properties of furans, pyrroles, thiophenes, indoles, diazoles, pyridines, (iso)quinolines and diazines.
- Devise synthetic pathways to the above heterocyclic systems from simple precursors.
- Recognise/describe 1,3-dipolar and *hetero*-Diels-Alder reactions for heterocycle synthesis.
- Suggest reagent(s) and conditions for achieving substitution of these heteroaromatics, to introduce *e.g.* sulfonic acid, nitro, halogen, amino, acetyl, alkyl and hydroxy groups.

Course delivery (8 lectures + 1 problem class)

Lecture 1:	Introduction: importance of heterocycles; review of aromaticity; nomenclature.
Lectures 2 &3:	Ring synthesis: classification and general types; cyclisations/cyclocondensations and cycloadditions.
Lectures 4 & 5:	Pyrroles, furans, and thiophenes: physical and spectroscopic properties; syntheses; reactivity.
Lecture 6:	Pyridines: physical and spectroscopic properties; syntheses; reactivity.
Lecture 7:	Deprotonation of heteroaromatics – thermodynamic and kinetic acidity; benzo-heteroaromatics: Indoles and (iso)quinolones: physical and spectroscopic properties; syntheses; reactivity.
Lecture 8:	Diazoles and diazines: physical and spectroscopic properties; syntheses; reactivity.
Problem Class:	Quiz or review of most important material and look at past exam paper.

Reference material

The following texts all contain information pertinent to the course content.

J. Clayden, N. Greeves, S. Warren and P. Wothers, *Organic Chemistry*, Oxford University Press, **2001**.
D.T. Davies, *Aromatic Heterocyclic Chemistry*, Oxford Chemistry Primer, **1992**.
J.A. Joule, K. Mills, *Heterocyclic Chemistry*, 5th Ed., Blackwell Science, **2010**.
T.L. Gilchrist, *Heterocyclic Chemistry*, 3rd Ed., Longmann, **1997**.
A.R. Katritzky, A.F. Pozharskii, *Handbook of Heterocyclic Chemistry*, 2nd Ed., Pergamon, Oxford, **2000**.