课程详述

COURSE SPECIFICATION

以下课程信息可能根据实际授课需要或在课程检讨之后产生变动。如对课程有任何疑问，请联系授课教师。

The course information as follows may be subject to change, either during the session because of unforeseen circumstances, or following review of the course at the end of the session. Queries about the course should be directed to the course instructor.

1.	**课程名称 Course Title**	**杂环化学 Heterocyclic Chemistry**
2.	**授课院系 Originating Department**	化学系 Department of Chemistry
3.	**课程编号 Course Code**	CH325
4.	**课程学分 Credit Value**	3
5.	**课程类别 Course Type**	专业选修课 Major Elective Courses
6.	**授课学期 Semester**	秋季 Fall
7.	**授课语言 Teaching Language**	中英双语 English & Chinese
8.	**授课教师、所属学系、联系方式（如属团队授课，请列明其他授课教师） Instructor(s), Affiliation& Contact （For team teaching, please list all instructors）**	段乐乐副教授，化学系 Dr. Lele Duan, Associate Professor, duanll@sustech.edu.cn, Dept. of Chem.
9.	**实验员/助教、所属学系、联系方式 Tutor/TA(s), Contact**	无 NA
10.	**选课人数限额(可不填) Maximum Enrolment （Optional）**	

11.		**讲授 Lectures**	**习题/辅导/讨论 Tutorials**	**实验/实习 Lab/Practical**	**其它(请具体注明) Other（Please specify）**	**总学时 Total**
	授课方式 Delivery Method					
	学时数 Credit Hours	48	0	0	0	48

12.	先修课程、其它学习要求 **Pre-requisites or Other Academic Requirements**	化学原理 A（CH101A）
13.	后续课程、其它学习规划 **Courses for which this course is a pre-requisite**	
14.	其它要求修读本课程的学系 **Cross-listing Dept.**	

教学大纲及教学日历 SYLLABUS

15. **教学目标 Course Objectives**

设计该课程的目的是为了让学生了解杂环化合物的一般性质以及杂环化学的基础理论知识，并在此基础之上让学生了解杂环化合物的反应活性以及反应机理，为其在今后的学习工作中解决杂化化学问题提供理论基础。该课程内容涉及到杂环化合物的系统介绍、命名、结构和反应机理。该课程将开阔学生对杂环化学的认识，结合日常生活中接触到的杂化化合物，普及杂环化合物在生命科学中的应用。

Heterocyclic Chemistry is designed to give a general but fundamental theoretical understanding of the chemistry of compounds that contain heteroatoms in the ring, their syntheses, reactions and uses as catalyst. Heterocyclic Chemistry also aims to encourage more undergraduate students who interested in heterocyclic chemistry to carry out related research at their seniors.

The topics of Heterocyclic Chemistry include: introduction to heterocyclic chemistry, nomenclature of heterocyclic compounds, structure of heterocyclic compounds, common reaction types in heterocyclic chemistry, various kinds of heterocyclic compounds, and heterocyclic chemistry in life and so on.

As its wide application on life science, materials science and medicine engineering, heterocyclic chemistry is one of the most cutting-edge field in organic chemistry. A special point for this course broad student's horizon on heterocyclic systems by giving an introduction to heterocyclic chemistry. In addition, the ability of analysing and solving problems should be improved during this course.

16. **预达学习成果 Learning Outcomes**

完成课程之后，学生预期能够：

够熟练对简单杂环化合物进行命名；

对杂环化学有更深刻的认识，了解不同杂环体系的反应性质；

了解杂环化学在医药中的重要应用。

Upon successful completion of this course, the student will be able to:

Compare the chemistry of the heterocycles with that of benzene;

Tell the uses of various heterocycles;

Assess the role of heterocycles in health care.

17. **课程内容及教学日历 （如授课语言以英文为主，则课程内容介绍可以用英文；如团队教学或模块教学，教学日历须注明主讲人）**
Course Contents (in Parts/Chapters/Sections/Weeks. Please notify name of instructor for course section(s), if this is a team teaching or module course.)

Section 1: Introduction to Heterocyclic Chemistry 杂环化学导论（1 课时）

A selection of the structures, names and standard numbering of the more common heteroaromatic systems and some common non-aromatic heterocycles, are shown in this chapter.

本节主要介绍一些常见的杂芳体系和非芳香杂环的结构和名称。

Section 2: Heterocyclic Nomenclature 杂环化合物的命名（1 课时）

Structures of benzene, naphthalene, pyridines, pyridiniums, quinolines, isoquinolines, diazines (illustrated using pyrimidine), pyrroles, thiophenes and furans, indoles and azoles (illustrated using imidazole).

本节主要对结构中含有苯、萘、啶类化合物、吡啶、喹啉、异喹啉、吡咯、噻吩和呋喃、吲哚的化合物进行命名。

Section 3: Structure of Heteroaromatic Compounds 杂环化合物的结构（3 课时）

There are some ideas and reagents and reaction methodologies and reactivity patterns that turn up again and again in heterocyclic chemistry and we summarise and explain these in detail in this chapter.

本节主通过详细地总结，并解释在杂环化学中有一些试剂会反复发生的反应方法和反应模式。

Section 4: Common Reaction Types in Heterocyclic Chemistry 杂环化学中常见的反应类型（3 课时）

We have gathered a range of examples of typical palladium-catalysed reactions of all heterocyclic systems together in this chapter as they can be applied generally across the whole range, with the nature of the heterocycle only being reflected in secondary effects such as rates and selectivity.

在本节中，我们收集了一系列典型的所有杂环体系钯催化反应的例子，因为它们可以广泛应用于整个范围，杂环的性质只反映在速率和选择性等副作用中。

Section 5: Palladium in Heterocyclic Chemistry 杂环化学中的钯（4 课时）

Electrophilic addition to nitrogen, Electrophilic substitution at carbon, Nucleophilic substitution, Substitution of hydrogen, Substitution of leaving groups, Nucleophilic addition to pyridinium salts, C-metallated pyridines, Palladium(0)-catalysed reactions, Oxidation and reduction, Pericyclic reactions, Alkyl and carboxylic acid substituents, Oxygen substituents, N-Oxides, Amine substituents, Ring synthesis -disconnections.

本节主要讲述杂环化学中钯，分别从氮的亲电加成、碳亲电取代、亲核取代、氢取代、离去基团的取代、吡啶盐的亲核加成、C 金属吡啶、钯催化反应、氧化还原、烷基和羧酸取代基、氧取代基，N-氧化物、胺取代基、环合成-断开进行介绍。

Section 6: Diazines 二嗪类化合物（4 课时）

The diazines – pyridazine, pyrimidine and pyrazine – show many similarities to pyridines with respect to their reactivities, but to an exaggerated degree. In particular, the presence of two nitrogens, both as imines, results in increased electron deficiency at carbon and hence an increased susceptibility to nucleophilic addition but an increased resistance to electrophilic attack.

本节主要讲述二嗪类化合物，其中二嗪类哒嗪、嘧啶和吡嗪与吡啶在反应性方面有许多相似之处，特别是两个氮素的存在作为亚胺，导致电子缺陷在碳中增加，因此对亲核加成的敏感性增加，但对亲电攻击的抗性增加。

Section 7: Quinolines and Isoquinolines 喹啉类和异喹啉类（3 课时）

Electrophilic addition to nitrogen, Electrophilic substitution at carbon, Nucleophilic substitution, Substitution of leaving groups, Nucleophilic addition to quinolinium/isoquinolinium salts, C-Metallated quinolines and isoquinolines,

Palladium(0)-catalysed reactions, Oxidation and reduction, Alkyl substituents, Oxygen substituents, N-Oxides.

本节主要讲述喹啉类和异喹啉，分别从氮的亲电加成、碳亲电取代、亲核取代、离去基团的取代、喹啉/异喹啉盐的亲核加成、C-金属喹啉和异喹啉、钯催化的反应、氧化和还原、氧取代进行介绍。

Section 8: Pyryliums, Benzopyryliums, Pyrones and Benzopyrones 吡喃酮和苯并吡喃酮（2 课时）

Pyrylium salts, Nucleophilic addition, Ring-opening reactions of 2H-pyrans, Oxygen substituents – pyrones and benzopyrones, Ring synthesis of pyryliums from 1,5-diketones (1,2-bond made), Ring synthesis of 2-pyrones from 1,3-keto-aldehydes, Ring synthesis of 1-benzopyryliums, coumarins and chromones.

本节主要讲述吡喃酮和苯并吡喃酮，分别从吡喃盐、亲核加成、吡咯的开环反应、氧取代基-吡喃酮和苯并吡喃酮、1,5-二酮（1,2-键）合成吡喃酮等方面进行介绍。

Section 9: Pyrroles 吡咯类化合物（2 课时）

Electrophilic substitution at carbon,N-Deprotonation and N-metallated pyrroles, C-Metallated pyrroles, Palladium(0)-catalysed reactions, Oxidation and reduction.

本节主要讲述吡咯类化合物，分别从去质子化和金属吡咯、C 金属吡咯、钯催化反应、氧化和还原的亲电取代等方面进行介绍。

Section 10: Indoles 吲哚类化合物（4 课时）

Electrophilic substitution at carbon, N-Deprotonation and N-metallated indoles, C-Metallated indoles, Palladium(0)-catalysed reactions, Oxidation and reduction, Pericyclic reactions, Reactivity of side-chain substituents, Oxygen substituents, Ring synthesis- disconnections, Synthesis of indoles from arylhydrazones, Synthesis of indoles from ortho-nitrotoluenes, Synthesis of indoles from ortho-aminoaryl alkynes, Synthesis of indoles from ortho-alkylaryl isocyanides, Synthesis of indoles from ortho-acyl anilides, Synthesis of isatins from anilines, Synthesis of oxindoles from anilines, Synthesis of indoxyls from anthranilic acids.

本节主要讲述吲哚类化合物，分别从 N-去质子化和 N-金属化吲哚的亲电取代、C 金属化吲哚、钯催化反应、氧化和还原、周环反应、侧链取代基的反应性、氧取代基、环合成-脱开、吲哚的合成芳基腙，邻硝基甲苯类吲哚类化合物的合成，邻氨基芳基炔烃吲哚的合成，邻位烷基芳基异氰酸酯合成吲哚类，邻酰基苯胺类吲哚的合成，苯胺类化合物的合成，苯胺类吲哚类化合物的合成进行介绍。

Section 11: Furans and Thiophenes 呋喃和噻吩类化合物（2 课时）

Electrophilic substitution at carbon, C-Metallated thiophenes and furans, Palladium(0)-catalysed reactions, Oxidation and reduction.

本节主要讲述呋喃和噻吩类化合物，主要从碳、C 金属噻吩和呋喃的亲电取代、钯催化的反应、氧化和还原进行介绍。

Section 12: 1,2-Azoles and 1,3-Azoles1,2-唑啉和 1,3-唑啉（4 课时）

The 1,2- and 1,3-azoles each contain a nitrogen in an environment analogous to that in pyridine, that is, an imine nitrogen with a lone pair of electrons in an sp2 orbital in the plane of the ring and not involved in the aromatic sextet.Each also has another heteroatom in the environment of the nitrogen in pyrrole, the sulfur in thiophene, or the oxygen in furan, with a pair of electrons in a p orbital orthogonal to the ring and part of the aromatic sextet.

本节主要讲述 1,2-唑啉和 1,3-唑啉，它们都含有类似于吡啶的氮，即在环平面中的 SP2 轨道中含有孤对电子的亚胺氮，而不参与芳香六烯酸。每个氮原子在 NIT 环境中也有另一个杂原子，从吡咯中的雄酮，噻吩中的硫，或呋喃中的氧，在 p 环中的一对电子与环和芳香六烯酸的一部分正交。

Section 13: Purines 嘌呤类化合物（3 课时）

Purines are of great interest for several reasons, but in particular, together with certain pyrimidines, they are components of DNA and RNA, the genetic templates of all life , and also serve in a range of other biological roles. A corollary of this central biological role is the significance of purines and their analogues in a number of areas of medicinal chemistry.

本节主要讲述嘌呤，它们是 DNA 和 RNA 的组成部分，所有生命的遗传模板，并且也在一系列的其他生物学作用。这一中心生物学作用的推论是嘌呤及其类似物在药物化学的许多领域中的意义。

Section 14: Heterocycles with More than Two Heteroatoms: Higher Azoles (5-Membered) and Higher Azines (6-Membered) 具有两个以上杂原子的杂环化合物：高唑类（五元杂环唑类化合物）和高级偶氮苯（六元杂环偶氮苯化合物）（3 课时）

Any or all of the carbon atoms in all the five-membered heterocyclic systems described in previous chapters could, in theory, be replaced by nitrogen. Using nitrogen, oxygen and sulfur, there are 18 possible azoles with three or more heteroatoms, of which 13 are known. (Three of the unknown parents are those that contain no carbon.) However, he tautomers of the three systems containing only nitrogen as the heteroatom could also be considered as individual azoles and do show differences in aromaticity and reactivity when fixed by substitution on nitrogen.

本节主要讲述具有两个以上杂原子的杂环化合物，理论上在前几章中描述的所有五元杂环体系中的任何或所有的碳原子都可以被氮气所取代。使用氮、氧和硫，有 18 种可能含有三个或更多个杂原子的唑类化合物，其中 13 个已知。三个仅含有氮作为杂原子的系统的互变异构体也可以被认为是单独的唑类，在取代氮气时，其芳香性和反应性表现出差异。

Section 15: Heterocycles with Ring-Junction Nitrogen (Bridgehead Nitrogen) 含氮杂环化合物（桥头氮）（2 课时）

In addition to the biologically important purines and major benzo-fused heterocycles such as indole, many other aromatic bicyclic and polycyclic fused heterocyclic ring systems are known. The most important of these other ring systems are those containing a ring-junction nitrogen (a bridgehead nitrogen) –that is, where a nitrogen is common to both rings. These compounds, although rare in nature, have great significance in applied chemistry, particularly medicines.

本节主要讲述含氮杂环化合物，除了生物重要的嘌呤和主要苯并稠杂环，如吲哚，许多其他芳香双环和多环稠杂环体系是已知的。这些其他环系统中最重要的是包含环结氮（桥头氮）的那些，即，氮对两个环是共同的。这些化合物虽然在自然界中是稀有的，但在应用化学，特别是药物方面具有重要意义。

Section 16: Non-Aromatic Heterocycles 非芳香杂环化合物（2 课时）

This section is principally concerned with the chemistry of aromatic heterocycles, but there are many other heterocycles, including those with three- and four-membered rings, that are not aromatic. We devote comparatively little space to these because their reactions are very similar to those of acyclic analogues – the reactions of piperidine or pyrrolidine, for example, are exactly like those of any secondary dialkylamine. Small-ring heterocycles (three- and four-membered) have reactivities associated with relief of the strain inherent in their structures, when the ring is opened.

本节主要涉及芳香杂环化合物的化学，但还有许多其它杂环，包括具有三和四元环的杂环，它们不是芳香的。我们给它们的空间比较小，因为它们的反应非常类似于无环类似物——例如哌啶或吡咯烷的反应完全类似于任何二级二烷基胺的反应。当环被打开时，小环杂环（三和四元）具有与结构中固有的应变的释放相关的反应性。

Section 17: Heterocycles in Nature 自然界中的杂环化合物（2 课时）

Heterocyclic α-amino acids and related substances, Heterocyclic vitamins-co-enzymes, Niacin (vitamin B3) and nicotinamide adenine dinucleotide phosphate (NADP+), Pyridoxine (vitamin B6) and pyridoxal phosphate (PLP), Thiamin (vitamin B1) and thiamine pyrophosphate, Porphobilinogen and the 'Pigments of Life', Deoxyribonucleic acid (DNA), the store of genetic information, and ribonucleic acid (RNA), its deliverer, Heterocyclic secondary metabolites.

本节主要介绍自然界中的杂环化合物，例如杂环 α -氨基酸及其相关物质、杂环维生素辅酶、烟酸（维生素 B3）和烟酰胺腺嘌呤二核苷酸磷酸（NADP+）、Pyridoxine（维生素 B6）和磷酸吡哆醛（PLP）、Thiamin（维生素 B1）和焦磷酸硫胺

素、叶吩胆色素原和“猪皮”生命的 TS，脱氧核糖核酸（DNA）。

Section 18: Heterocycles in Medicine 药物中的杂环化合物（2 课时）

Medicinal chemistry – how drugs function, Drug discovery, Drug development, The neurotransmitters, Histamine, Acetylcholine (ACh), Anticholinesterase agents, 5-Hydroxytryptamine (5-HT) (serotonin), Adrenaline and noradrenaline, Drugs acting specifi cally on the CNS, Other enzyme inhibitors, Anticancer drugs, Photochemotherapy.

本节主要介绍药物中的杂环化合物，分别通过药物功能、药物发现、药物开发等方面进行介绍。

Section 19: Applications and Occurrences of Heterocycles in Everyday Life 杂环化合物在日常生活中的应用（1 课时）

Heterocycles have great importance outside ‘pure’ chemistry and are of significance, both as natural and synthetic compounds, in many aspects of daily life and industry. The major areas of medicines and ‘natural products’/biomoleculeshave been covered in their own chapters (18 and 17) but here we give an overview of some other important areas.

杂环化合物在“纯”化学之外具有重要意义，在日常生活和工业的许多方面都具有重要意义，无论是天然化合物还是合成化合物，药物和天然产物/生物分子的主要领域已经在他们自己的章节（18 和 17）中被覆盖，但在这里我们对一些其他重要的领域进行了概述。

18. 教材及其它参考资料 Textbook and Supplementary Readings

John A. Joule, Keith Mills, Heterocyclic Chemistry At A Glance (ASIN: 0470971215; 2012-10-1)

John A. Joule, Keith Mills, Heterocyclic Chemistry (ASIN: 1405133007; 2010-06)

课程评估 ASSESSMENT

19.

评估形式 Type of Assessment	评估时间 Time	占考试总成绩百分比 % of final score	违纪处罚 Penalty	备注 Notes
出勤 Attendance	1h	10		
课堂表现 Class Performance				
小测验 Quiz	6h	10		
课程项目 Projects				
平时作业 Assignments	20h	30		
期中考试 Mid-Term Test				
期末考试 Final Exam				
期末报告 Final Presentation	1 week	50		

其它（可根据需要改写以上评估方式） **Others (The above may be modified as necessary)**				

20. 记分方式 **GRADING SYSTEM**

☑ A. 十三级等级制 **Letter Grading**
☐ B. 二级记分制（通过/不通过） **Pass/Fail Grading**

课程审批 REVIEW AND APPROVAL

21. **本课程设置已经过以下责任人/委员会审议通过**
This Course has been approved by the following person or committee of authority

化学系教学指导委员会
Teaching committee of the chemistry department