Jinan University

Full-Time Undergraduate Syllabus

for\_\_\_ Natural Medicinal Chemistry \_\_\_

Course Code 60020014

Course Title Natural Medicinal Chemistry

Course Type Compulsory [✓] Specialized Optional [ ] Common Optional

Prerequisites Organic Chemistry, Analytic chemistry etc.

Credits 3

Total Course Hours 54

Majors applicable to Pharmacy (English)

Students Classification Mainland[✓] Non-mainland [✓]

Department/School offering the course Department of Natural Medicinal Chemistry, College of Pharmacy

1. **Teaching Objectives and Requirements**

We require the students to master the general theory and techniques about the structure, the physical and chemical properties, the extraction, isolation, refining, and structural identification of the major components in the natural medicines. In order to research and develop more new kinds of medicines, students are supposed to know about the basic principles and methods to determine the chemical structures and the effective components of the natural medicines.

1. **Key points and Main Areas of Difficulty of the course**

Key points of this course are the extraction, isolation and refining of the effective components from the natural medicines, such as the jobs of isolating and identifying the compounds named Taxol, Berberine, Rutin, Artemisinin, etc. Most of the difficulties are come from the part of identifying the effective components of the natural medicines.

1. **Lab or Practical Work required to support the course**

The main chain of the practical teaching is the experiments of natural medicines chemistry. By doing the experiments we can achieve the purpose of helping students to master the techniques of doing research of natural medicines, making students to learn better of the theories that they learned in class, improving students’ ability of practicing.

You can find more details from Laboratory Syllabus for Natural Medicines Chemistry.

1. **Textbooks and References**

***Textbook:***

1 WU Lijun. Natural Medicine Chemistry (Fifth Edition). Beijing: People’s Medical Publishing House, 2007.

***References:***

1. R. H. THOMSON. The Chemistry of Natural Products (Second edition). Glasgow: Blakie Academic & Professional, an imprint of Chapman & Hall, 1993.
2. Steven M. Colegate and Russell J. Molyneux. Bioactive Natural Products----Detection, Isolation, and Structural Determination. London: CRC Press, Inc., 1993.
3. YAO Xinsheng. Natural Medicine Chemistry (Fourth Edition). Beijing: Beijing: People’s Medical Publishing House, 2001.
4. Grading System and Evaluation Methods

One closed-book examination will be given in the end of semester: final examination.

Evaluation Methods Overview:

60% Final Exam  
40% Quizzes, attendance and seminar

1. **Detailed Points of Teaching Contents**

Natural Medicinal Chemistry is a subject that researches the chemical compounds of natural medicines by using the method and theory of modern chemistry. It includes the structure types, the physical and chemical properties, the extraction and isolation methods, identification and biosynthesis pathway of natural medicinal compounds, etc.

**Course Hours Distribution**

|  |  |  |  |
| --- | --- | --- | --- |
| **Chapter** | **Contents** | **Theory (h)** | **Teaching type** |
| Chapter 1 | Introduction | 3 | Teaching in class (Multimedia) |
| Chapter 2 | Carbohydrates and Glycosides | 6 | Teaching in class (Multimedia) |
| Chapter 3 | Phenylpropanoids | 4 | Teaching in class (Multimedia) |
| Chapter 4 | Quinones | 4 | Teaching in class (Multimedia) |
| Chapter 5 | Flavonoids | 8 | Teaching in class (Multimedia) |
| Chapter 6 | Terpenoids and Volatile Oil | 3 | Teaching in class (Multimedia) |
| Chapter 7 | Triterpenes and Their Glycosides | 3 | Teaching in class (Multimedia) |
| Chapter 8 | Steroidal and Their Glycosides | 3 | Teaching in class (Multimedia) |
| Chapter 9 | Alkaloids | 6 | Teaching in class (Multimedia) |
| Chapter 10 | Marine Natural Medicines | 3 | Teaching in class (Multimedia) |
| Chapter 11 | Research and Development  of Natural Medicines | 3 | Teaching in class (Multimedia) |
| Presentation | Students present developments of the field of natural products | 3 | Teaching in class (Multimedia) |
| Reviews | Direct students to prepare the final exam | 6 | Teaching in class (Multimedia) |

**Chapter One Introduction**

**Teaching goal and requirements**

1. Students are required to master the definition, nature and usage of the Natural Medicinal Chemistry.
2. They should proficiently know the condition of TLC, all kinds of column chromatography.
3. UV, IR, MS, NMR and such Spectroscopy techniques on the base of class they learned.
4. They should know the biosynthesis pathway of main natural medical compounds, such as flavonoids, alkaloids, terpenoids, etc.

**Key points and Main Areas of Difficulty of the chapter**

**Key points:**

1. The basic knowledge and general methods of extracting, isolating, identifying bioactive compounds from natural medicine.
2. The definition, nature and usage of the Natural Medicinal Chemistry.
3. The usage of TLC, all kinds of column chromatography, UV, IR, MS, NMR and such Spectroscopy techniques.

**Tough points**:

1. Structure identification of natural bioactive compounds.
2. The biosynthesis pathway of major metabolites, such as flavonoids, alkaloids, terpenoids, etc.

**Teaching hours**  3 h

**General contents**

1. The concept, research scope, purpose and mission of Natural Medicinal Chemistry;
2. Some important definitions, such as: physiological components and pharmacological active components, etc.;
3. Development history of Natural Medicinal Chemistry and its relationship with modern science;

4 The process of secondary metabolism/metabolites and biosynthesis of secondary metabolites in plants; the concept of primary metabolism and secondary metabolism; the relationship between important primary metabolites and secondary metabolites; main biosynthesis pathway, such as AA-MA pathway, MVA pathway, Cinnamic Acid pathway, Shikinmic Acid pathway, Amino Acid pathway, Composite pathway, etc.

5 The basic concept of 13C-NMR, common characteristics of 13C-NMR and its

analysis methods. The range of chemical shifts of 13C-NMR and factors that affect

chemical shifts.

6 The general procedure of structure determination of natural compounds;

7 The significance in determination, the principle, and the basic concept of ORD.

**Chapter Two Carbohydrates and Glycosides**

**Teaching goal and requirements**

1. Students should learn about the chemical natural of carbohydrates, glycosidic bond cracking law, the kinds of enzymes, feature of catalyzing and hydrolysis.
2. Know the structure elucidation, extraction, isolation of carbohydrates and glycosides, and the identification of polysaccharide.

**Key points and Main Areas of Difficulty of the chapter**

**Key points:**

1. The structure of general monosaccharide, such as D-Glc, D-Gal, L-Ara, D-Man, L-Rha, D-Xyl.
2. Chemical properties of carbohydrates and glycosides.
3. Periodic acids (PAS) oxidation and the Smith Degradation in glycosidic bond cracking.
4. Reaction mechanism of glycosidic bond and affective features of hydrolysis.
5. The features of alkaline catalyzing hydrolysis and enzyme catalyzing hydrolysis.
6. 1H-NMR and 13C-NMR data of sugar protons and glycosides.
7. Glycoside shift rule and binding site of glycoside.

**Tough points**:

1. The stereochemistry of general sugars.
2. 1H-NMR and 13C-NMR of sugar protons.
3. Glycoside shift rule and binding site of glycoside.

**Teaching hours:** 6 h

**General contents**

1 The structure features of common monosaccharide, such as D-Glc, D-Gal, L-Ara, D-Man, L-Rha, D-Xyl; the structure features of amino sugar, deoxy sugar, uronic acid.

2 The chemical properties of carbohydrates: PAS oxidation and the Smith Degradation in glycosidic bond cracking; etherification reaction, acylation, ketal and acetal reaction, the condition of borate complex reaction and its importance in isolating, analyzing, structure identification work.

3 Glycosidic bond cracking: the cracking reaction mechanism, the condition of structure and environment that have effect on the reaction.

4 The feature of alkaline catalyzing hydrolysis: ester glycosidic bond is easy to react as alkaline catalyzing hydrolysis.

5 NMR characteristics of saccharides: 1H-NMR data of saccharide protons, 13C-NMR data of saccharide carbon atoms, Glycoside shift rule and binding sites of glycoside.

**Chapter Three Phenylpropanoids**

**Teaching goal and requirements**

1. Students should know about the structure feature of phenylpropanoids.
2. Chemical properties of coumarins.
3. The fluorescence and spectral characteristics of coumarins.
4. The methods of extracting coumarins.
5. Know about general physiological activity of coumarins (antibacterial, anticoagulant, photosensitive, etc).
6. The general structure and the physiological activity of lignans.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:**

1. The classification of coumarin compounds.
2. The chemical properties that related to the structure features of coumarins, such as the property of lactone, pyrone ring alkaline cracking.
3. The fluorescence and spectral of coumarins, such as the NMR feature and MS cracking law.
4. The general extraction methods of coumarins.

**Tough points**:Coumarin structure identification.

**Teaching hours:** 4 h

**General contents**

**Coumarin:**

1 Basic structures of coumarins, and normal substituent groups.

2 The chemical properties of coumarin that relate to the structure features such as the property of lactone, pyrone ring alkaline cracking; quality of C3-C4 double bonds; addition reaction, oxidizaiton reaction and thermal decomposition reaction.

3 The fluorescence and spectral characteristics of coumarins, such as the NMR feature and MS cracking law; the usage of these features in structure determination.

4 General physiological activities of coumarin (antibacterial, anticoagulant, photosensitive) and extraction methods.

**Lignans:**

1 The basic structure type of lignans. Four main parts that consist of the lignans.

2 The physical and chemical properties of lignans, optical isomers phenomenon, the relationship between physiological activity and configuration.

**Chapter Four Quinones**

**Teaching goal and requirements**

1. Students should know well about the basic structure type, important physical and chemical properties of Quinones compounds.
2. The properties’ significance in extracting and structure identification.
3. The spectral features and structure identification of dihydroxyanthraquinone compounds.
4. Know the main physical and chemical properties, extraction, isolation, structure identification of benzoquinone and naphthoquinone.

**Key points and Main Areas of Difficulty of the chapter**

**Key points:**

1. Thebasic structure types of Quinones compounds (Benzoquinone, Benzyl ester, Phenanthrenequinone, Anthraquinone).
2. The main physical and chemical properties of dihydroxyanthraquinone and the properties’ significance in extracting and structure identification.
3. The spectral features and structure identification of Quinone derivatives.

**Tough points**: The spectral features and structure identification of dihydroxyanthra- quinine.

**Teaching hours:** 4 h

**General contents**

1 Basic structure type of quinones compounds (benzoquinone, benzyl ester, phenanthrenequinone, anthraquinone); structure and normal substituent scheme.

2 The main physical and chemical properties and the properties’ significance in extracting and structure identification; chemical properties: the relationship between acidity and substituent groups，colorimetric reaction，such as Feigl reaction, Bormtrager reaction, magnesium acetate reaction.

3 The extraction and isolation of quinones compounds.

4 The spectral features and structure identification of quinone derivatives.

**Chapter Five Flavonoids**

**Teaching goal and requirements**

1. Grasp the structure features, classification, structure types and physiological activity of flavonoids compounds.
2. Main properties of flavonoids compounds: color, solubility, acidicity, colorimetric reaction (such as HCl-Mg reaction, metal salts reagents complexation reaction, etc); and such these properties’ usage and affects in the extraction, isolation, identification, and structure determination.
3. The principle of extracting and isolating flavonoids compounds.
4. The principle of polyamide column chromatography and the influence factors of the analysis method.
5. The UV spectral features and its usage in determining the configuration.
6. The usage of other spectral methods in the structural elucidation of flavonoids.
7. Know the general physiological effects of main flavonoids compounds.
8. Basic decomposition of flavonoid backbones, Wessely-Moser rearrangement law, reaction condition and reaction products.

**Key points and Main Areas of Difficulty of the chapter**

**Key points:**

1. The structure features, classification, structure types and physiological activity of flavonoids.
2. Main properties of flavonoids compounds: color, solubility, acidic, colorimetric reaction (such as HCl-Mg reaction, metal salts reagents complexation reaction, etc); and such these properties’ usage and affects in extraction, isolation, identification, and structure determination.
3. The principle of various extraction and isolation methods of flavonoids compounds.
4. Polyamide column analysis method and its principle.
5. The spectral features and its usage in determining the configuration.

**Tough points**:

1. Main properties of flavonoids compounds: color, solubility, acidic, colorimetric reaction and such these properties’ usage and affects in the job of extraction, isolation, identification, and structure determination.
2. The concept of polyamide column analysis method and the related influence factors.
3. The spectral features and its usage in determining the configuration.

**Teaching hours:** 8 h

**General contents**

1. The structure features, classification, structure types and physiological activity of flavonoids compounds.
2. Main properties of flavonoids compounds: color, solubility, acidic, colorimetric reaction (such as HCl-Mg reaction, metal salts reagents complexation reaction, etc); and such these properties’ usage and affects in the extraction, isolation, identification, and structure determination.
3. The principle of extracting and isolating flavonoids compounds using polyamide column chromatography; gradient pH extraction method and so on.

4 The techniques of identifying and structure determining flavonoids compounds.

5 The spectral features of flavonoids compounds, the significance of UV、1H-NMR、13C-NMR and MS in determining the structure.

6 Basic decomposition of flavonoids, Wessely-Moser rearrangement law, reaction condition and reaction products.

**Chapter Six Terpenoids and Volatile Oils**

**Teaching goal and requirements**

1. Master the concept, classify principle, the representative compound and basic frame feature.
2. Diterpene basic frame feature and classify basis.
3. The concept of volatile oils; the main physical and chemical properties and their usage in extraction, isolation; qualitation and quantification of volatile oils .
4. Get to know the origin in the biosynthesis.
5. The basic frame of monoterpenes compounds and sesquiterpenes representative compounds’ (artemisinin, gossypol etc.). structure and physiology activity; the basic frame and physiology activity of guaianolide and anthraquinone compounds.
6. The special effects of AgNO3 complexation chromatography and GC-MS in the usage of isolation and identification.
7. Diterpene basic frame feature and classify basis; the representative compounds structure and physiological activity.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:**

1. Theconcept, classify principle, and the representative compound.
2. Basic frame feature of terpenoids compounds.
3. Diterpene basic frame feature and classify basis.
4. The concept of volatile oils; the main physical and chemical properties and their usage in extraction, isolation; qualitation and quantification of volatile oils; the special effects of AgNO3 complexation chromatography and GC-MS in the usage of isolating and identifying works.

**Tough points**:The concept, classify principle, and the representative compound of terpenoids; qualitation and quantification of volatile oils.

**Teaching hours:** 3 h

**General contents**

1. The concept, classify principle, and its origin in biosynthesis of terpenoids compounds.
2. The basic frame feature of monoterpenes compounds and its representative compound, and their basic frame features.
3. The basic frame of sesquiterpene compound and its representative compounds’ (artemisinin, gossypol, etc) structure and physiology activity.
4. The basic frame and physiology activity of guaianolide compounds; the special effects of AgNO3 complexation chromatography and GC-MS in the usage of isolating and identifying works.
5. Diterpene basic frame feature and classify basis; the representative compounds structure and physiological activity.

6 The concept, classify principle of diterpene, the structure and physical, chemical properties of diterpene representative compound (vitamin A, andrographolide, taxol, ginkgolides, pseudolaric acid, triptolide, stevioside, etc).

7 The concept of volatile oils; the main physical and chemical properties and their usage in extraction, isolation; qualitation and quantification of volatile oils.

**Chapter Seven Triterpenes and Their Glycosides**

**Teaching goal and requirements**

1. Master basic frame feature of tetracyclic triterpenoid and pentacyclic triterpenoidsthe compounds and their representative compounds’ physical and chemical prosperities.
2. The extraction and isolation of triterpenoid saponins.
3. Glycosidic bond cracking in the research of the structure of triterpenoid saponins.
4. The MS and NMR spectrum feature of triterpenes compounds; get to know the distribution characteristics of tetracyclic triterpenoid and pentacyclic triterpenoidsthe compounds.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:** The basic frame feature of tetracyclic triterpenoid and pentacyclic triterpenoidsthe compounds and their representative compounds’ physical and chemical prosperities; the extraction and isolation of triterpenoid saponins; glycosidic bond cracking in the research of the structure of triterpenoid saponins; the MS and NMR spectrum feature of triterpenes compounds;

**Tough points**:glycosidic bond cracking in the research of the structure of triterpenoid saponins; the MS and NMR spectrum feature of triterpenes compounds;

**Teaching hours:** 3 h

**General contents**

1 The basic frame feature of tetracyclic triterpenoid and pentacyclic triterpenoids and the representative compounds’ physical and chemical prosperities.

2 The methods of extracting and isolating triterpenoid saponins (such as partation chromatography, reverse column chromotography, HPLC, DCCC）.

3 Glycosidic bond cracking in the structure elucidation of triterpenoid saponins.

4 The MS and NMR spectrum features of triterpenes compounds.

**Chapter Eight Steroides and Their Glycosides**

**Teaching goal and requirements**

1. Grasp the basic frame feature of steroidal compounds, the frame feature of cardiac glycosides and some important cardiac glycosides such like cardigan, digoxin and so on.
2. Learn to use UV and NMR feature to distinct cardiac glycosides.
3. The physical and chemical features, the spectrum feature of steroidal compounds.
4. Know about the classify principle, physiological activity.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:** the basic frame feature of steroidal compounds, the frame feature of cardiac glycosides and some important cardiac glycosides; use UV and NMR feature to distinct cardiac glycosides; the spectrum feature of steroidal compounds.

**Tough points**:speculate the features of steroidal compounds basing on the spectral information

**Teaching hours:** 3 h

**General contents**

1 The basic frame type of steroidal compounds.

2 Cardiac glycosides: The frame feature of cardiac glycosides and some important cardiac glycosides.

3 The spectrum feature of cardiac glycosides, and use UV and NMR feature to distinct cardiac glycosides.

**Chapter Nine Alkaloids**

**Teaching goal and requirements**

1. Get to know the concept, general chemical and physical properties and factors which affect the alkaline of alkaloids.
2. The cracking reaction on C-N bond. Hofmann degradation, Emde degradation etc.
3. The extraction and isolation of alkaloids, such as Ion exchange technology, gradient pH extraction method.
4. Know about the distribution rule of the alkaloids in naturel.
5. Some important pharmacological compounds and their clinical usages.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:**

1. The concept, general chemical and physical properties and factors which affect the alkaline of alkaloids.
2. The cracking reaction on C-N bond, such as Hofmann degradation, Emde degradation etc.
3. The general methods of extraction and isolation for alkaloids, such as ion exchange chromatography, gradient pH extraction method.

**Tough points**:

1. Factors which affect the alkaline of alkaloids.
2. The cracking reaction on C-N bond, such as Hofmann degradation and Emde degradation.

**Teaching hours:** 6 h

**General contents**

1. Get to know the concept, general chemical and physical properties and factors which affect the alkaline of alkaloids.
2. The cracking reaction on C-N bond, such as Hofmann degradation, Emde degradation, etc.
3. The methods of extraction and isolation for alkaloids, such as ion exchange chromatography technology, gradient pH extraction method, etc.

4 Know about the distribution rule of the alkaloids in nature.

**Chapter Ten Marine natural medicines**

**Teaching goal and requirements**

1. Get to know the concept and distribution rule of marine natural medicines.
2. The main structure types of marine natural medicines, such as macrolide.
3. The extraction, isolation, and physical, chemical properties of marine natural medicines.
4. The methods of determining the structures of marine natural medicines.

**Key points and Main Areas of Difficulty of the chapter**

**Key point:** the main structure types of marine natural medicines; the extraction, isolation, and physical, chemical properties of marine natural medicines; the method of determining the structure of marine natural medicines.

**Tough points**:the method of determining the structure of marine natural medicines.

**Teaching hours:** 3 h

**General contents**

1 The concept and distribution rule of marine natural medicines; the main structure types of marine natural medicines such as macrolide.

2 The extraction, isolation, and physical, chemical properties of marine natural medicines.

3 The method of determining the structure of marine natural medicines.

**Chapter Eleven Research and Development of Natural Medicines**

**Teaching goal and requirements**

1. Know the process of research and development of natural medicines.
2. The general research methods of main effective compounds in natural medicine.

**Key points and Main Areas of Difficulty of the chapter**

Know the process of research and development of natural medicines; the research method of main effective compounds in natural medicine.

**Teaching hours:** 3 h

**General contents**

1. The process of research and development of natural medicines.
2. The relationship between Natural Medicinal Chemistry and new natural medicine.

3 The research method of main effective compounds in natural medicine.

4 Introduction of some famous natural medicine developing process.

**Presentation**

**Teaching goal and requirements**

1. To learn most new developments of natural medicine field.

2. To excise how to review scientific papers and be familiar with the Journals in the field of natural medicines.

**Teaching hours:** 3 h