

ODESSA NATIONAL MEDICAL UNIVERSITY
Department of General and Clinical Pharmacology and Pharmacognosy

METHODICAL DEVELOPMENT OF THE LECTURE

Course: "Pharmacognosy"

Lecture № 6

"Terpenoids. Iridoids. General characteristics"

Course: 3rd Faculty: medico-pharmaceutical

The lecture was discussed
at the methodical meeting
departments

August 30, 2024

Protocol № 1

Head departments  _____

prof. Rozhkovsky Ya.V.

Lecture № 6: "Terpenoids. Iridoids. General characteristics "

(2 hours).

1. Relevance of the topic. Rationale for the topic.

Isoprenoids - a large group of natural compounds, which includes a group of substances - iridoids (bitterness).

Iridoids (bitterness) include glycosides that have an intensely bitter taste. They stimulate the appetite and improve digestion. This group of natural substances is chemically little studied, so it is important to study this topic by future pharmacists.

2. Objectives of the lecture:

- *Training:*

To study medicinal plants, the essential oils of which contain mono- and bicyclic terpenoids;

- *Educational:*

Formation of professionally acquaintance substructure of personality with actual aspects of deontological, ecological, legal, psychological, patriotic, professional responsibility.

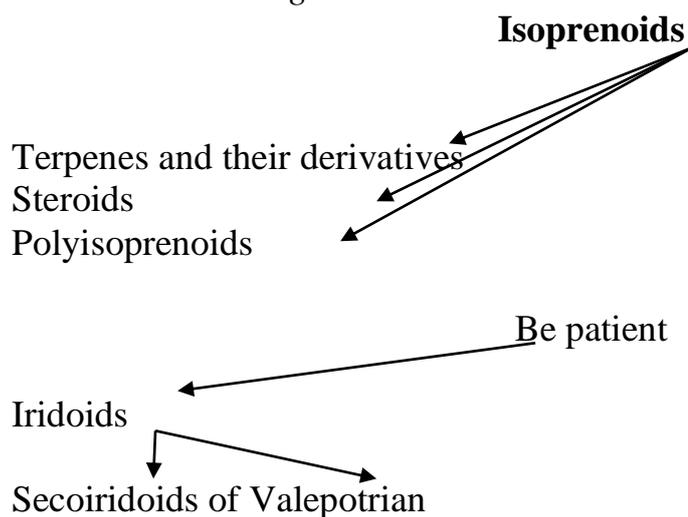
3. Plan and organizational structure of the lecture.

| № | The main stages of the lecture | Goals in accreditation levels | Type of lecture, equipment of the lecture | Time distribution |
|----|---|-------------------------------|---|-------------------|
| 1 | 2 | 3 | 4 | 5 |
| 1. | Preparatory stage Defining educational goals. | | Combined, tables, herbariums, ARS, drugs | 1% |
| 2. | Providing positive motivation. | | | 2% |
| 3. | The main stage Presentation of lecture material. plan: 1. The concept of isoprenoids 2. The concept of iridoids 3. Classification of iridoids 4. Secoiridoids and valepotriates, distribution, isolation, analysis | I II III II | | 90% |

| | | | | |
|----|---|---|--------------------|----|
| | | I | | 2% |
| 4. | The final stage Lecture summary, general conclusions. Lecturer's answers to possible questions. Tasks for student self-preparation. | | List of references | 2% |
| | | | | 3% |

4. Contents of lecture material

- *Structural and logical scheme*



5. Materials of activation of students during the lecture

question:

1. Definition of "essential oils" and "terpenoids", their classification.
2. External signs of medicinal raw materials and possible impurities.
3. Characteristic anatomical features of the studied types of medicinal raw materials, rules and terms of their procurement.
4. Areas of cultivation of the studied species.
5. Formulas of the main components of essential oils contained in mono- and bicyclic terpenoids (menthol, cineole, limonene, carvone).
6. Chemical composition of the studied types of raw materials.

7. Ways of using raw materials and its medical application.

problem situations:

1. A batch of medicinal plant raw materials of the common herb has arrived at the pharmacy warehouse. According to which indicator in accordance with the requirements of the GF analysis for the content of active substances:

- A. Essential oil
- B. Flavonoids
- C. Extractives
- D. coumarins
- E. saponins

2. The main parts of essential oils of the aromatic series are derivatives:

- A. Isoprom and phenylpropane
- B. Azulane and proazulene
- C. Lactone
- D. Lemon and pinene
- E. Geraniol

3. The fruits of which plants are raw materials for the preparation of expectorant and laxative drugs:

- A. Anise ordinary
- B. Barberry
- C. Raspberries
- D. Lemongrass
- E. Mordovnik

4. What is the percentage of crystalline anethole in anise oil:

- A. 80%
- B. 65%
- C. 30%
- D. 95%

E. 20%

5. Raw materials for the production of galenic drug "Pertusin" are:

- A. Thyme
- B. Oregano
- C. Sage
- D. Dried marsh flowers
- E. Plantain

6. Name the plant from the essential oil which is made "Dill Water":

- A. Fennel is common
- B. Anise ordinary
- C. Creeping thyme
- D. Coriander
- E. Basil

7. The infusion of which fruits is part of the "Anti-asthmatic mixture according to the recipe of Traskov":

- A, Anise ordinary
- B. Barberry ordinary
- C. Hawthorn
- D. Rosehip
- E. Richter solyanka

8. At what drying temperature in the plant continues the formation of essential oils:

- A. 25-30 ° C
- B. 55-60 ° C
- C. 80 - 90 ° C
- D. 45 - 50 ° C
- E. 35 - 40 ° C

9. What is the method of obtaining essential oils based on their absorption by sorbents (solid fats, activated carbon). This process is carried out in special frames, hermetically assembled 30-40 pieces (one on top of the other) in the battery?

- A. enfleurage
- B. steam distillation
- C. biological standardization
- D. sublimation
- E. chromatographic analysis

10. The letter of this LRS, containing monoterpenoids, is prescribed in the collection as an digestive agent, choleric and antispasmodic. The essential oil is used in the confectionery and perfume industries. it:

- A. Peppermint 9
- B. tansy ordinary
- S. wolf field
- D. horsetail ephedra
- E. horse sorrel

7. General material and methodological support of the lecture:

- *Equipment: overhead projector, code charts, slides, tables;*
- *Illustrative materials: medicinal plant raw materials, herbariums.*

8. Materials for self-preparation of students:

a) on the topic of the presented lecture literature:

Question:

1. Definitions of "essential oils" and "terpenoids".
2. Distribution of essential oils in the plant world, the resources of the studied raw materials.

3. Rules and terms of procurement of raw materials.
4. Measures for the protection and rational use of wild medicinal plants containing monoterpenoids.
5. Latin and Russian names of medicinal plant raw materials that produce plants and families under study.
6. Morphological characteristics of plants.
7. Dwellings and cultivation areas of the studied species.
8. External signs of medicinal raw materials and possible impurities.
9. Characteristic anatomical features of the studied types of medicinal raw materials.
10. Chemical composition of the studied types of raw materials.
11. Formulas of the main components of essential oils contained in monocyclic and bicyclic terpenoids (menthol, cineole, limonene, carvone).
12. The use of raw materials in medical practice, drugs.
13. Methods of obtaining essential oils.
14. Physico-chemical properties of essential oils.
15. Chemical composition of essential oils.
16. Storage of essential oils.

Task:

1. Write instructions for storage of the studied types of essential oil raw materials. Scientifically substantiate the allowable shelf life.
2. Make a table of external signs of juvenile and old leaves of eucalyptus ball, ash and twig.
3. List the botanical forms (Latin and Russian names) of valerian and note which of them are cultivated.
4. List possible impurities to valerian.
5. Make a table of the distinctive features of the species of juniper and unacceptable impurities.

6. Group LRS and drugs containing mono- and bicyclic terpenoids by pharmacological action.

b) on the topic of the next lecture: "Medicinal plants and raw materials containing essential oils: acyclic, monocyclic, bicyclic terpenes"

List of main issues:

1. Definitions of acyclic, monocyclic, bicyclic terpenes
2. Terms, methods of collection, rules of drying and storage of LRS of the researched topic.
3. Measures for the protection and rational use of medicinal plants of the research topic.
4. Latin and Russian names of LRS, and families to which the studied plants belong.
5. Morphological characteristics of plants, their habitats (growing areas), habitats.
6. External signs of the studied types of medicinal raw materials.
7. Possible impurities in raw materials and their main differences.
8. The main anatomical diagnostic features of wormwood leaves and rhizomes of azalea.
9. Chemical composition of the studied species of LRS.
10. Formulas of the main sesquiterpenoids which are a part of the studied LRS: farnesol, bisabolene, selin, matricin, artabsin, allantolactone, chamazulene, guaiazulene, ledol.
11. Ways of use and medical application of medicinal plant raw materials containing sesquiterpenoids.

9. Literature used by the professor to prepare the lecture.

Basic literature

1. Фармакогнозія: підручник (I—III р. а.) / І.А. Бобкова, Л.В. Варлахова. – 3-є видання Всеукраїнське спеціалізоване видавництво «Медицина» 2018, 504с.
2. Фармакогнозія: базовий підручн. для студ. вищ. фармац. навч. закл.(фармац. ф-тів) IV рівня акредитації / В.С. Кисличенко, І.О. Журавель, С.М. Марчишин та ін.; за ред. В.С. Кисличенко. – Харків: НФаУ: Золоті сторінки, 2015. - 736 с.
3. Навчальний посібник з дисципліни «Фармакогнозія» / Я. В. Рожковський, Б. В. Приступа, І. А. Бойко, Н. В. Герасимюк, В. В. Черногорюк -: Методична розробка кафедри фармакогнозії ОНМедУ. – Одеса: ОНМедУ, 2019 – 51 с.
4. Державна Фармакопея України: в 3 т. / Державне підприємство «Український науковий фармакопейний центр якості лікарських засобів». – 2-е вид. – Харків: Державне підприємство «Український науковий фармакопейний центр якості лікарських засобів», 2015. – Т. 1. – 1500 с.

Additional literature:

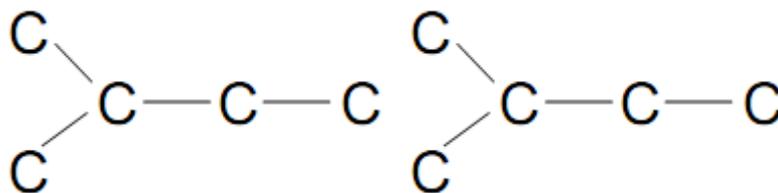
- 1 Державна Фармакопея України: в 3 т. / Державне підприємство «Український науковий фармакопейний центр якості лікарських засобів». – 2-е вид. – Харків: Державне підприємство «Український науковий фармакопейний центр якості лікарських засобів», 2014. – Т. 3. – 732 с.
2. Практикум з ідентифікації лікарської рослинної сировини: навч. посіб. / [В. М. Ковальов, С. М. Марчишин, О. П. Хворост та ін.] ; за ред. В. М. Ковальова, С. М. Марчишин. – Тернопіль: ТДМУ, 2014. – 250 с.

The lecture was composed by  MD, Professor Rozhkovsky Ya.V.

LECTURE TEXT

Isoprenoids (terpenoids)

Isoprenoids is a group of natural compounds with a regular structure of the carbon skeleton, which contains isopentane units (saturated or unsaturated C₅ -units).



Isopentane link Isoprene

Isoprene is a precursor of terpenoids, which are isoprene units connected by a regular type of "head" to "tail" or "tail" to "tail" (Ruzhichka rule).

The discovery of terpenoids is associated with the discovery and study of essential oils (terpenoids from the two parts of isoprene are their volatile part). The name "terpenes" - from the German "turpentine" - turpentine.

Classification of terpenoids

Classification of terpenoids

(By the number of C₅ units)

Hemiterpenes (polyterpenes) - C₅ [essential oils - in small quantities]

Monoterpenes - C₁₀[essential oils, iridoids, alkaloids]

Sesquiterpenes (one and a half terpenes) - C₁₅[essential oils, alkaloids]

Diterpenes - C₂₀[resins, alkaloids, chlorophyll, vitamins gr. K, gibberellins]

Sesteroterpenes – C₂₅[ophiobolans, products-syagribami]

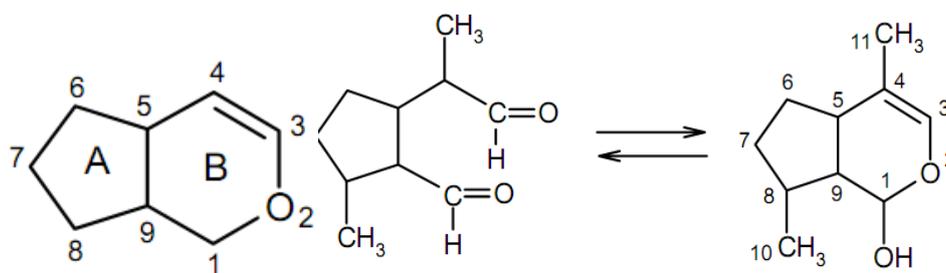
Triterpenes, steroids - C₃₀[saponins, cardiosteroids, ecdysteroids, alkaloids, etc.]

Tetraterpenes – C₄₀[carotenoids]

Polyterpenes- (C₅)ⁿ[polyprenols, rubber, gutta-percha]

Iridoids

Iridoids- a group of mono terpene compounds (C₅H₈) 2 of plant origin, containing in its structure a partially hydrogenated cyclopentanepyran system



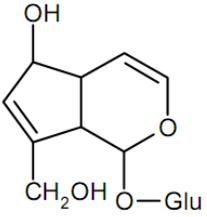
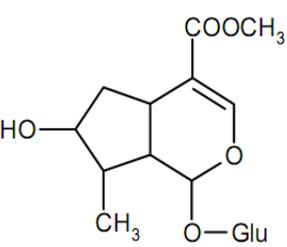
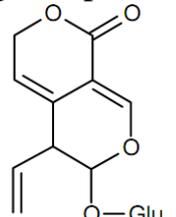
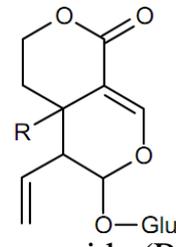
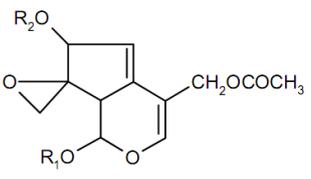
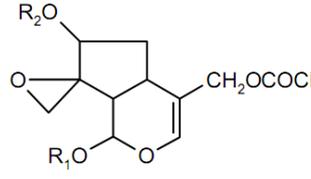
Cyclopentane dialdehyde formula lactone formula

Iridodial

Name Iridoids- Briggs (1963) instead of the terms "pseudoindicans", acid-sensitive glycosides. "Aucubin glycosides", based on the structural and biogenic affinity of aglycone iridoid glycosides with iridodial (isolated from ants).

In plants, iridoids are more common in the form of glycosides, sometimes in the free state. The sugar part of glycosides is represented by glucose, xylose, rhamnose, galactose. Easily oxidized by air oxygen, so APC containing iridoid glycosides, blackens quickly when dried.

Classification I.

| | | | |
|---|--|--|---|
| <p>1. Cyclopentan aucubin, aucuboside(C9)</p>   <p>loganine (C10)</p> | <p>2. Secoiridoids genciopicroside, gentiopicrin</p>   <p>sveroside (R = H) erythrocentaurine (R = OH)</p> | <p>3. Iridoids of the valerian family- valepotriates valtrate</p>   <p>dihydrovaltrate</p> | <p>4. Iridoid alkaloids Indole alkaloids in the family of madder, angular</p> |
|---|--|--|---|

Physico-chemical properties

Iridoids- colorless crystalline substances, bitter in taste, easily soluble in water, aqueous-alcoholic solutions, ethanol, methanol, acetone. Melting point - from 50 to 300°C.

Iridoid aglycones are very unstable, sensitive to enzymes and acids. Glycosides are easily oxidized by oxygen in the air; Valtrate and dihydrovaltrate - unstable, when dried are destroyed with the release of isovaleric acid (odor).

Isolation and analysis

Selection iridoids with LRS is complicated by their sensitivity to enzymes, acids, alkalis. Iridoids are isolated with water, table-alcohol solutions, 25% sodium chloride solution. The extract is purified from lipophilic substances by extraction with water-immiscible solvents, and from concomitant phenolic compounds by filtration through a layer of neutral alumina. To detect individual iridoids in the mixture using the TLC method (developer: vanillin or aniseed aldehyde in sulfur to isolate).

The affiliation of compounds to the class of iridoids is determined by Trim-Hill reagent (mixture of acetic, conc. Hydrochloric acid and 0.2% aqueous solution of copper sulfate 20: 1: 2): the solution turns blue, and then a violet-black precipitate. In analytical practice, Stahl's reagent is also used to detect iridoids.

Quantitative determination of pure bitterness- by bitterness index (organoleptic method): compare the threshold concentration of bitterness in the extract of LRS with a standard solution of quinine hydrochloride. The bitterness sensitivity threshold is the lowest concentration of the solution that allows you to feel the bitterness for 30 seconds. The bitterness index is expressed in units that are equivalent to the bitterness in a solution containing *1 year quinine hydrochloride in 2 l water*.

Biological activity

The carrier of biological activity is aglycone, which is stronger than glycoside.

The main types of pharmacological activity of iridoids:

- choleric (aucubin, harpagid, ayugol);
- laxative
- Increases appetite, stimulates digestion, enhances the secretion of gastric juice (gentiopicroside)
- sedative (valepotriates)
- antibiotic and antimicrobial (aucubin, aucubigenin, nepetolactone)
- carcinolytic (valtrate and dihydrovaltrate)
- anti-inflammatory, analgesic (harpagid),
- diuretic (catalpol, catalposide, aucubin)
- adaptogenic (odontoside, aucubin)

LR CONTAINING IRIDOIDS

Yarrow roots - Radices Gentianae (G. lutea, Gentianaceae)

Chem. storage: secoiridoids: gentiopicrin, gentiopicroside, amarogentin; xanthenes, alkaloids, sugars, fatty oils, pectin.

The effect of the drug: choleric, which improves digestion (infusion, decoction; Swedish bitterness of Dr. Thais; gerbion gastric drops) anti-inflammatory, antiseptic (included in the drug Sinupret).

Leaves of a three-leafed watch -Folia Menyanthidis (M. trifoliata, Menyanthaceae)

Chem. storage: bitter glycosides: loganin (up to 10%), sverozid, menthiafolin, foliamentin; flavonoids, tannins, traces of alkaloids, iodine.

The effect of the drug: choleric, stimulates the appetite, improves digestion (infusion, sedative collection, a thick extract in the balm "Vigor").

Yarrow grass- Herba Centaurii (C. erythraea, Gentianaceae)

Chem. storage: bitter glycosides erythrocentaurine, gentiopicroside, sveroside, sepcoyridoid lactones; xanthenes, flavonoids, alkaloids.

The effect of the drug: stimulates appetite, improves digestion, enhances intestinal motility (infusion, extract of Canephron drops, gastric gerbion, bitter tincture; laxative depuraflux tea).

Rhizome with valerian roots-*Rhizomata cumradicibusValerianae*
(*V.officinalis*, *Valerianaceae*)

*Chem. storage:*valepotriates - valtrate, dihydrovaltrate, acetovaltrate; essential oils; monoterpene alkaloids; methyl ketones.

The effect of the drug: sedative (infusion, thick extract, tincture, complex preparations: drops of Zelenin, valokormid, gerbion cardiac, cardiovalene, novopasit, prostapol; soothing collection №2, gastric №3; nervoluks, teas, etc.

Leaves of plantain lanceolate - *Folia Plantaginis lanceolatae* (*P. lanceolata*, *Plantaginaceae*)

Chem. storage: iridoids - aucuboside, catalpol; mucus; pectins, flavonoids, steroids.

The effect of the drug: anti-inflammatory, expectorant, improves digestion (tincture, plantain syrup Dr. Theiss, gerbion syrup, juice).

Viburnum bark (opulusiridoids); Nettle grass (harpagid, aucubin); Flowers of white nettle (laminol, lamiozid - expectorants., Diuretic.); Ochanka herb (catalpol, aucubin, euphrasid - anti-inflammatory, astringent, antihypertensive); Veronica herb (aucubin, vernicoside, ladroside - anti-inflammatory, expectorant, improves appetite).