

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

METHODICAL DEVELOPMENT OF THE LECTURE

Course: "Pharmacognosy"

Lecture № 11

"Phenolic compounds. General characteristics Methods of qualitative and
quantitative determination. "

Course: 3rd Faculty: medico-pharmaceutical

The lecture was discussed
at the methodical meeting
departments
30.08.2024

Head department

MD, prof. JV Rozhkovsky



Odessa - 2024

Lecture № 11: «Phenolic compounds. General characteristics Methods of qualitative and quantitative determination. " (2 hours)

1. Actuality of theme. Rationale for the topic.

Phenolic compounds are very common in the plant world. According to the structure of the carbon skeleton, they are divided into a number of groups. Natural glucosides, in which aglycones are simple phenols, their di- and trimers, are called phenol glycosides, which will be discussed in the next lecture. Phenolic compounds have antimicrobial, antiviral, disinfectant, anthelmintic, antitumor, adaptogenic activity, so modern knowledge on this topic and skills of analysis of the relevant LRS will be very useful in the practice of pharmacists.

2. Objectives of the lecture

-educational:

- to acquaint students with the structure, classification and properties of phenolic compounds;

- to form an idea of the distribution, biological activity of LRS, containing derivatives of simple phenols;

- to draw students' attention to the peculiarities of harvesting, drying the appropriate LRS

- to acquaint with ways of use and medical use of LRS containing phenolglycosides.

-educational:

Education of students of professional thinking, conscious attitude to the choice (replacement) of available herbal medicines with diuretic, expectorant action.

3. Plan and organizational structure of the lecture

| № | The main stages of the lecture and their content | Goals in levels of abstraction | Type of lecture, lecture equipment | Time distribution |
|-----------|--------------------------------------------------|--------------------------------|------------------------------------|-------------------|
| 1 | 2 | 3 | 4 | 5 |
| I. | Preparatory stage | | | |
| 1. | Defining a learning goal | | | 5% |
| 2. | Providing positive motivation | | | |

| | | | | |
|-----------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------|---------------------------------------------------------------------------------|------------------------------|
| II. 3. | The main stage Teaching lecture material Plan: 1. Definitions. 2. Classification of phenolic compounds 3. Simple phenols (PF) PF classification 4. Distribution of PF 5. Phys.-chem. properties of PF 6. Selection and research 7. Biological activity and application 8. Characteristics of LR and LRS containing PF and their derivatives | I II II II II II II-III III | Combined, tables, overhead projector, slides, LR herbariums, LRS samples, drugs | 90% |
| III. 4. 5. | The final stage Summary of the lecture, general conclusions. Lecturer's answers to possible questions. Tasks for self-preparation | | References, questions, tasks | 5% 2% 2% 1% |

4. Contents of lecture material

- structural and logical scheme of the content of the topic:



- lecture text (attached)

5. Materials on activating students during the lecture:

Question:

1. What is the general structure of phenolic compounds?
2. By what principle are phenolic compounds classified?
3. Which phenolic compounds are the simplest in structure?
4. What are phenol glycosides?
5. What is the main pharmacological activity of salicylic acid and its derivatives?

Situational tasks:

1. Give the structural formula of phenol, hydroquinone and derive from the latter the formula of arbutin, which is a 3-glucoside of hydroquinone.
2. Name the derivatives of phenol (thymol, carvacrol), which are part of the essential oils, give their structural formula.
3. Select the optimal drying temperature of raw materials containing phenol glycosides: 40-60 °; 25-30; 30-40; 80; 100 °
4. Name the properties that are inherent in all phenols: Antioxidant and antiseptic; Hypoglycemic; Anti-inflammatory; Cardiotonic; Mucolytic
5. What organisms synthesize specific phenolic acids - lichen acids: plants that are a symbiosis of algae and fungi (lichens); algae, mushrooms, ferns, insects; insectivorous plants?

6. General material and methodological support of the lecture:

- educational premises: lecture hall (Malinovsky, 37);
- equipment: overhead projector (or multimedia projector), screen;
- illustrative materials: slides, herbariums of LR, samples of LS, packed

up

LPC, pharmaceuticals.

7. Materials for self-preparation of students:

A) on the topic of the lecture

literature

main:

1. State Pharmacopoeia of Ukraine: in 3 volumes / State Enterprise "Ukrainian Scientific Pharmacopoeial Center for Quality of Medicines". - 2nd type. - Kharkiv: State Enterprise "Ukrainian Scientific Pharmacopoeial Center for Quality of Medicines", 2015. - Vol. 1. - 1500 p.
2. European Pharmacopoeia. - 8th ed .; - Druckerei CH Beck, Nordlingen (Germany), 2013.- 3655 p.
3. Workshop on the identification of medicinal plant materials: textbook. way. / [B. M. Kovalev, SM Marchyshyn, OP Khvorost and others]; for order. VM Kovaleva, SM Marchishin. - Ternopil: TSMU, 2014. - 250 p.
4. Pharmacognosy: a basic textbook. for students. higher pharmacy. textbook zakl. (pharmac. f-tiv) IV level of accreditation / V.S. Кисличенко, I.O. Журавель, С.М. Marchyshyn and others; for order. V.S. Кисличенко. - Kharkiv: NUPh: Golden Pages, 2015. - 736 p.
5. Medicinal plant raw materials and phytomedicines under Society. ed. Wednesday PI, Kiev, VSI "Medicine", 2010

6. Pharmacognosy. Medicinal raw materials of plant and animal origin. Ed. Яковлева Г.П. St. Petersburg, Spetslit 2013
7. Pharmacognosy: a textbook (University of I-III years) / VP Khodakivska, IA Бобкова, Л.В. Варлахова All-Ukrainian specialized publishing house "Medicine" 2018.
8. Pharmacognosy: textbook (I-III years) / I.A. Бобкова, Л.В. Варлахова. - 3rd edition All-Ukrainian specialized publishing house "Medicine" 2018, 504p.
9. Pharmacognosy with the basics of phytotherapy A. Pastushenkov, N. Bespalova Phoenix Publishing House 2016.

Question

1. Give a general description (definition) of the class BAV - phenolic compounds.
2. Give the classification of phenols.
3. How are simple phenols classified?
4. Name the most common phenolic glycosides and describe their action.
5. How is the release of phenol glycosides from LRS?
6. Name the qualitative reactions to phenolic glycosides: arbutin; salidroside.

Situational and test tasks

1. Phenol glycoside salidroside was found in the underground organs of *Rhodiola rosea*. In which LRS this compound was first found, which is why it got its name
 - A. Willow bark
 - B. Blueberry leaves
 - C. Valerian roots
 - D. Ginseng roots
 - E. Buckthorn bark

2. Choose the optimal periods for harvesting raw bearberry
 - A. Before and after flowering
 - B. The beginning of the growing season
 - C. Flowering
 - D. The end of the growing season
 - E. The whole growing season

3. Choose LRS containing arbutin:
 - a) bearberry leaves;
 - b) cranberry leaves;
 - c) rhizomes of male ferns;
 - d) rhizomes and roots of *Rhodiola rosea*;
 - e) blueberry leaves.

4. Specify qualitative reactions to arbutin:

- a) with a crystal of iron (II) sulfate;
- b) with Dragendorff's reagent;
- c) with Fehling's reagent;
- d) with a solution of cholesterol.

3. Raw materials are interchangeable in terms of active substances, biological action and medical application

- A. Bearberry leaves and cranberry leaves
- B. Rhizomes of male fern and peony roots
- C. Violet grass tricolor and willow bark G. Willow bark and buckthorn bark
- D. Cranberry leaves and blueberry leaves

4. Adaptogenic and stimulating properties are shown by phenolglycosides of *Rhodiola rosea* contained in

- A. Rhizomes and roots
- B. Only rhizomes
- C. Only the roots
- D. Grass
- E. Leaves

5. In addition to the leaves of cranberries, bearberry, arbutin in significant quantities (15-20%) found in

- A. Badan leaves are thick-leaved
- B. Willow bark
- C. St. John's wort
- D. Horsetail grass
- E. Rose hips

6. Name LR - the source of raw materials *Nerba Violae*.

Answer: two types of violets: tricolor and field.

7. What is the main aglycone contained in phenol glycosides:

- A. Arbutin
- B. Ant aldehyde
- C. Vinyl alcohol
- D. Acetic acid
- E. Sapogenin

8. Preparations from which plants containing phenolic compounds are used as a diuretic, in urolithiasis, gout, rheumatism:

- A. Cranberry
- B. Bilberry
- C. The cyanosis is blue
- D. Horsetail

E. Sweet naked

9. Name BAR that have in its structure aromatic rings with a hydroxyl group and their functional derivatives

- A. Phenolic compounds
- B. Polysaccharides
- C. Lipids
- D. Monosaccharides
- E. Lipoids

10. From the rhizomes of which plants receive "raw filicin", or the amount of floroglucins

- A. Male fern
- B. Lepeha
- C. Valerian
- D. Oman is high
- E. Fingerling erect

Question

1. Define BAR - coumarin. Give their classification.
2. Definition of chromones. Classification of chromones.
3. Describe the biological action of coumarins, chromones.
4. What is the specificity of the ratio of coumarins to alkali?
5. Name the main types of LRS that contain chromones.
6. Name the main types of pharmacological activity of drugs -chromones.
7. Definition of lignin.
8. Give the general structural formula of the true cantons.
9. How to distinguish crystals of lignins and cantons by color?

Test tasks

1. Fig leaves are a raw material containing coumarins. A reaction is used to detect this class of compounds in LRS

- A. Lactone test
- B. Cyanidin reaction
- C. Wagner
- D. Dragendorf
- E. With methylene blue

2. Coumarins are derivatives

- A. Benzo-a-nail
- B. Hydroquinone
- C. Arbutin
- D. Timola
- E. Cyclopentanepiperhydrophenanthrene

3. Name the plant from the fruits of which tablets are made "Anetin", which are used in cardiovascular diseases
- A. Dill is fragrant
 - B. Fennel is common
 - C. Blackness black
 - D. Bitter chestnut is common
 - E. Cranberry
4. From which LRS containing coumarins and furanochromones, get the drug "Amifurin", used to treat vitiligo
- A. The fruits are large
 - B. Chamomile flowers
 - C. Juniper berries
 - D. Fig leaves
 - E. Cranberry herb
5. Fig leaves contain furocoumarins psoralen and bergapten and are a source of drug production
- A. Psoberan
 - B. Escuzan
 - C. Avisan
 - D. Amifurin
 - E. Pastinacin
6. The fruits, flowers, umbrellas, stems and leaves of this plant contain kelin (furanochromon). Name this plant
- A. Ammi dental (hanging carrot)
 - B. Psoralea skeletal
 - C. Buckthorn brittle
 - D. Angelica is medicinal
 - E. Wild carrots

8. Literature used by the lecturer to prepare the lecture

- additional

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.

Додаткова література:

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. Сербін А.Г., Сіра Л.М., Слободянюк Т.О. Фармацевтична ботаніка. Підручник. – Вінниця: НОВА КНИГА, 2007. – 488 с.

3. 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.

11. Pharmacognosy with the basics of phytotherapy A. Pastushenkov, N. Beshalova Phoenix Publishing House 2016.

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

LECTURE TEXT

PHENOL COMPOUNDS

Definition: Φ .C. call substances that contain aromatic rings with one or more hydroxyl groups, and their derivatives. If there is more than one OH in the structure, it is polyphenols.

Classification: According to the chemical structure of FS divided into 4 groups:

| | |
|---------------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 1. With one aromatic ring | Simplephenols and their products. (C6); Phenolic acids, phenolic alcohols, phenols aldehydes (C6-C1) and (C6- C2); Oxycinnamic to you and their products., coumarins, chromones (C6-C3); Lignans (C6-C3) 2 |
| 2. With two aromatic rings | Benzophenones, xanthones (C6-C1-C6); stilbene (C6-C2-C6); flavonoids (C6-C3-C6) |
| 3. Quinones | Benzoquinones (C6); Naphthoquinones (C10); Anthraquinones (C14) |
| 4. Polymeric phenolic compounds | Tannins (tannins), Lignins (C6-C3) n |

Spread: Φ .C. present in various parts of plants in the form of aglycones and glycosides, actively involved in cell metabolism.
Provision and drying: ARS of this group is harvested according to the general rules.
Exception: bearberry and cranberry - before and after flowering. To avoid hydrolysis of glycosides, dry LRS quickly, at 600. Exception: ragweed bark - at 1000 for 1 hour.

F.S. WITH ONE AROMATIC RING

SIMPLE PHENOLS AND THEIR DERIVATIVES

Definition: To PF include phenol and its derivatives, which do not have side carbon chains
Classification: by the number of hydroxyl groups:

| | |
|-----------------------|------------------------------------------|
| 1. Monohydroxyphenols | Phenol |
| 2. Dihydroxyphenols | Pyrocatechol Resocin Hydroquinone |

| | |
|----------------------|------------------------|
| 3. Trihydroxyphenols | Pyrogallol Floroglucin |
|----------------------|------------------------|

Among P.F. allocate: phenolic alcohols, aldehydes and acids (C6-C1). relatively rare in plants in the free state. Most of their derivatives are in the form of glycosides with glucose (arabinose, xylose) or in the form of components of plant products (essential oils, resins, tannins). The greatest interest for us are the following phenolic glycosides:

| | |
|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--|
| arbutin (β -D-glucopyranoside hydroquinone). First isolated from the leaves of bearberry. Content from 0.5 to 20%. Has an antiseptic effect on the urinary tract. Hydrolytic decomposition to hydroquinone occurs in an alkaline environment of urine. Derivatives of gall to-you (dub.v-va) inhibit the activity of arbutin. | |
| salicin is isolated from willow bark. Anti-inflammatory action. | |
| salidroside (rhodioloside) (β -O-glucopyranoside n-hydroxyphenyl ethanol) isolated from the rhizomes of <i>Rhodiola rosea</i> . adaptogenic action | |

Spread

Phenol is found in small amounts in tobacco leaves, black currants, willow bark, pine needles and pine cones. Derivatives of phenol (thymol, carvacrol) are part of the essential oils of thyme, oregano. Pyrocatechol is found in tea leaves, onion peel. Pyrogallol - in redwood cones; floroglucin - in onion peel, redwood cones, in the form of glycosides - in citrus peel; derivatives of phloroglucin: aspidinol - in the rhizomes of ferns, cannabiol - in the grass of hemp, and are precursors of hop acids in the fruit of hops. Hydroquinone and methylhydroquinone are found in the free state and in the form of glycosides (arbutin, methylarbutin) in the families of heather, rose, aster, stonecrop, lime. Salicin - in willow bark, salidroside - in the rhizomes of *Rhodiola rosea*.

Physico-chemical properties:

Phenols and their glycosides are white crystalline substances. Glycosides - soluble in water, methyl. and ethyl. alcohols, acetone; insoluble in chloroform and diethyl. on the air. Aglycones are soluble in organic solvents. Selectively -0 in water. All phenolic glycosides are optically active. Hydrolyzed when heated with mineral acids, or under the action of enzymes -to the carbohydrate component and aglycone.

Selection and research:

(for example, arbutin): Extracted with hot water and then identified with crystals of ferrous sulfate (11) - a purple color is formed and a purple precipitate falls out. Quantitative determination: the accompanying tannins (lead acetate) are precipitated first, and the filtrate is hydrolyzed in the presence of sulfuric acid. The resulting hydroquinone is titrated in an alkaline medium with a solution of iodine.

Biological activity: Simple phenol pyrogallol - for the treatment of psoriasis, eczema, etc. Skin diseases; salicylic alcohol and its glycoside Salicy - anti-inflammatory and local anesthetic effect; floroglucides dryopteris male - anthelmintic; phenolic acids - coffee, ferulic, chicory - bacteriostatic, anti-inflammatory, hepatoprotective, immunotropic action; glycosides arbutin and methylarbutin - disinfectant and diuretic effect; salidroside - adaptogenic, echinacoside - stimulates immunity.

LRS and LR CONTAINING SIMPLE PHENOLS AND THEIR DERIVATIVES:

Bearberry leaves - Folia Uvae-ursi (Cormi Uvae-ursi) LR - Okra - Arctostaphylos uva-ursi Family - Heather- Ericaceae

Distribution: Russia, Belarus, Lithuania, Polissya of Ukraine. Chem. composition: phenol glycosides arbutin (8-16%), methylarbutin; aglycone hydroquinone.

Concomitant: phenolic acids, flavonoids, triterpenoids (ursolic acid 0.4-0.7%), vitamin C, iridoids; hydrolyzable tannins, carotenoids; trace elements. Medicines: leaves, briquettes - broth, "Uroflox" - collection. Pharmacological action: uroseptic.

Cranberry leaves - Folia Vitis-idaeae (Cormi Vitis-idaeae) LR- Cranberry - Vaccinium vitis-idaeae Family - Heather- Ericaceae

Distribution: Russia, Belarus, the Baltics, Ukraine - Polissya, Carpathians, Forest-Steppe (rare). Chem. composition: phenol glycosides arbutin (4-9%), methylarbutin, pyroside; aglycone hydroquinone. Concomitant: phenolic acids, flavonoids, condensed tannins (up to 15%), iridoids, triterpenoids (ursolic acid), vitamin C., trace elements. Drugs: leaves, briquettes - broth, "Chernega" -drops (complex drug). Pharmacological action : uroseptic.

Rhizomes and roots of Rhodiola rosea- Rhizomata et radices Rhodiolae roseae LR - Rhodiola rosea - Rhodiola rosea

Family - Tolssyankovye - Crassulaceae

Distribution - Altai, Siberia, in Ukraine - the highlands of the Carpathians. Listed in the Red Book of Ukraine. Protected! Chem. composition - phenolic acids, phenol alcohol and their glycosides - tyrazole, salidroside (1%). Concomitant: flavonoids, cinnamon alcohol glycosides (rosavin, rosarin), flavolignans rhodioline, monoterpenes, tannins (20%). Pharmacological action: adaptogenic, anti-inflammatory, bactericidal, regenerating.

Violet grass - Herba Violae (Viola tricolor; V. arvensis; Violaceae)

Chemical composition: salicylic acid derivatives; flavonoids: rutin, anthocyanins, violaxanthin, C-glycosides (orientin, vitexin); ef. oils, saponins, vitamins, mucus.

Action and drugs: expectorant, diuretic, diaphoretic. Infusion, combined prep.: Kofol, Linkas, collection-BRONCHOFIT.

Peony grass evades; rhizomes and roots of *P.-dodging* -*Herba Paeoniae anomala*; *Rhizomata et radices P. anomalae* (*P. anomala*, *Paeoniaceae*)
Chemical composition: phenolic glycoside salicin, methyl salicylate, salicylic acid, benzoic acid; flavonoids (0.13%), oak. substances (8.8%), EM, glycoyridoids, alkaloids, saponins. Action and drugs: sedative. Tincture.

Willow bark - *Cortex Salicis* (*Salix acutifolia*, *Salicaceae*)
Chemical composition: phenolic compounds: pyrocatechin, salicylic alcohol, salicin; flavonoids-luteolin, apigenin, tsenarozid, oak. substances condensation., twisted. Action and drugs: Anti-inflammatory, astringent. Decoction, tea (herbal extracts) - bronchiflux.

Raspberry fruits - *Fructus Rubi idaei* (*Rubus idaeus*, *Rosaceae*)
Chemical composition: phenol. and org. to-you: salicylic, sorbic, apple, lemon, wine; monosaccharides, vitamins, pectin, flavones, oak. substances, sterols, trace elements. Action and application: diaphoretic., antipyretic, corrective. Fresh fruits, juice, infusion, syrup.

Cranberry fruits- *Fructus oxycocci* (*Oxycoccus quadripetalus*, *Ericaceae*-heather)
Chem. composition: phenolorganic.carbonate and triterpene. to-you: henna, lemon, ursolova. benzoin; monosaccharides, flavonoids, oak. substances, vitamins, microelements. Action and application: diuretic, antimicrobial, antiviral (herpes). Fresh fruits (stored at 0 deg.)

Artichoke leaves and baskets- *Folia et anthodia Cynarae* (*Cynara scolymus*, *Asteraceae*) Homeland - Mediterranean. In Ukr. -cult. In the south. -Before nachsal or during flowering.
Chemical composition: phenolic carbons, cinnarine; flavonoids, proteins, carbohydrates, vitamins, sesquiterp.lactones. Action and application: Choleric, hepatoprotective, diuretic. Hofitol (*Hepafitol*), holiver, tsinaholin, artichoke extract-Health, compl.rast.prep.-Rafaholin Ts.

Rhizomes of Dryopteris male- *Rhizomata Filicis maris* (*Dryopteris filix-mas*, *Aspidiaceae*- thyroid). Poisonous! Drying in sushi. not higher than 400.
Chemical composition: production of phlorogyutin: aspidinol, albaspidine, phylic acid vit.gr.V ; oak islands, triterpenoids, higher alcohols and acids. Action and application: Anthelmintic. thick extract.