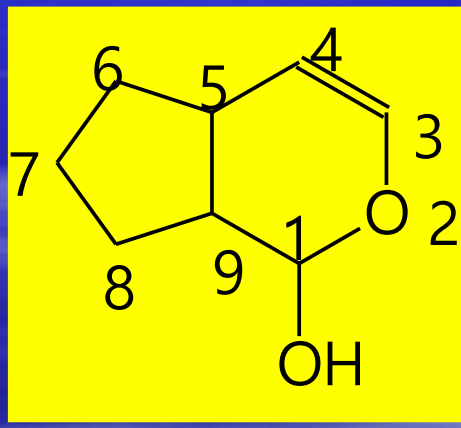
PHARMACOGNOSY-II

Assoc. Prof. Dr. Sinem ASLAN ERDEM

IRIDOIDS

- Cyclopentanopyran main structure
- C1 → OH
- The glycosidic bond is generally from the –OH on first position.
- There is a double bond between C3-C4.



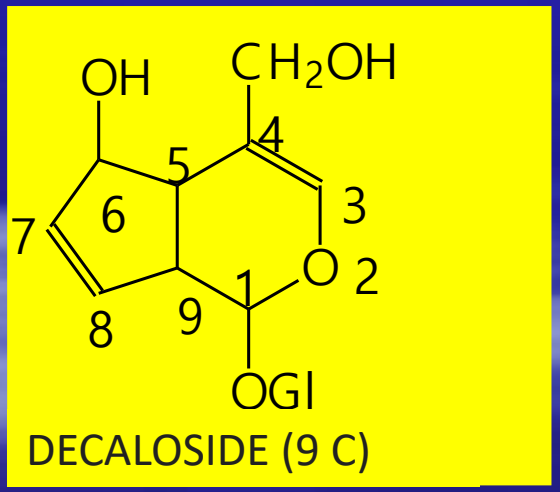
- Number of C atom:
- 8
- 9 ---- substitution on C4 or C8
- 10 ------ substitution on both C4 and C8.

IRIDOIDS

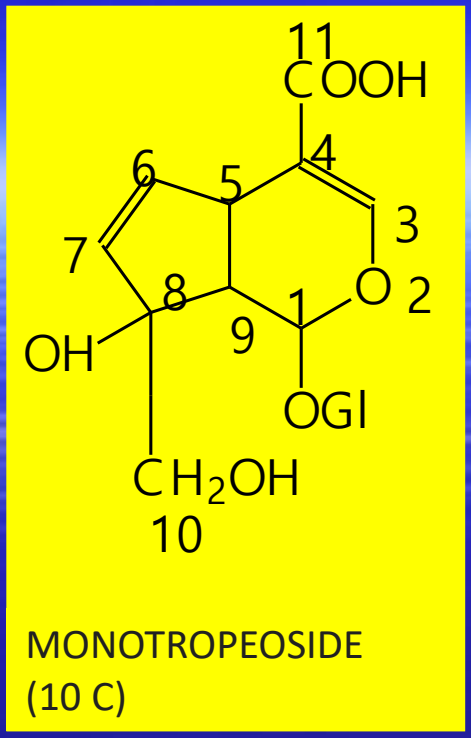
- -CH_3, -CH_2OH, -COOH, -CHO can be found as substituents.
- Besides, –OH, epoxide or lacton can also be found as substituent.
- Firstly isolated from an ant species, "*Iridomyrmex detectus*" thats why they are called as iridoids!

IRIDOIDS

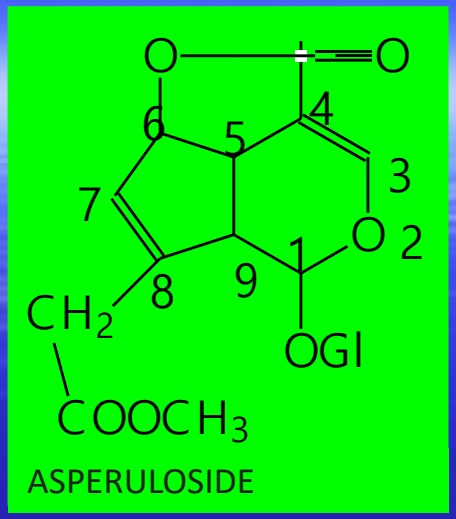
- There can be a double bond on cyclopentane ring at 7-8 position



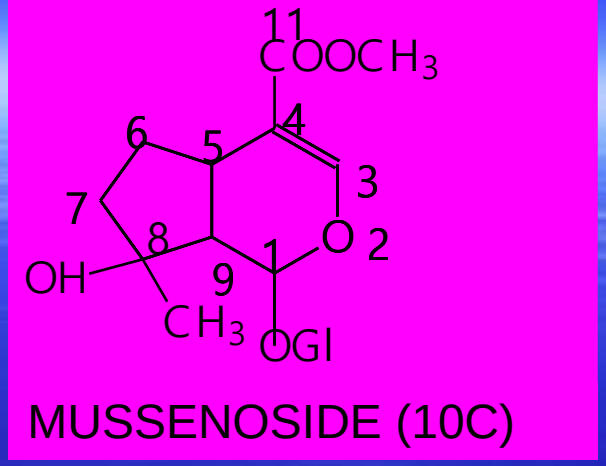
DECALOSIDE (9 C)



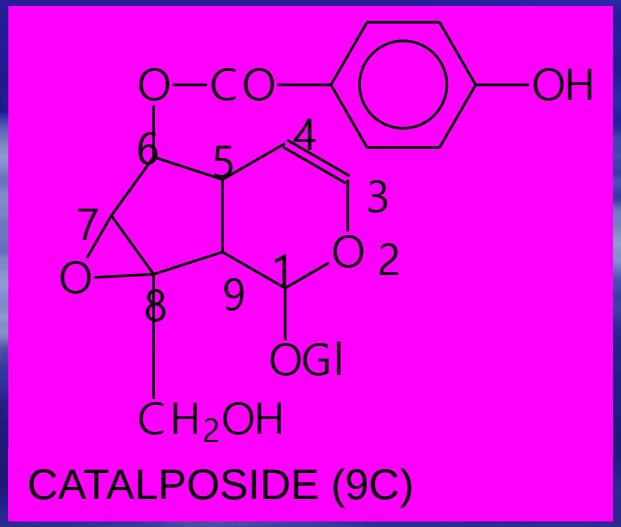
MONOTROPEOSIDE
(10 C)



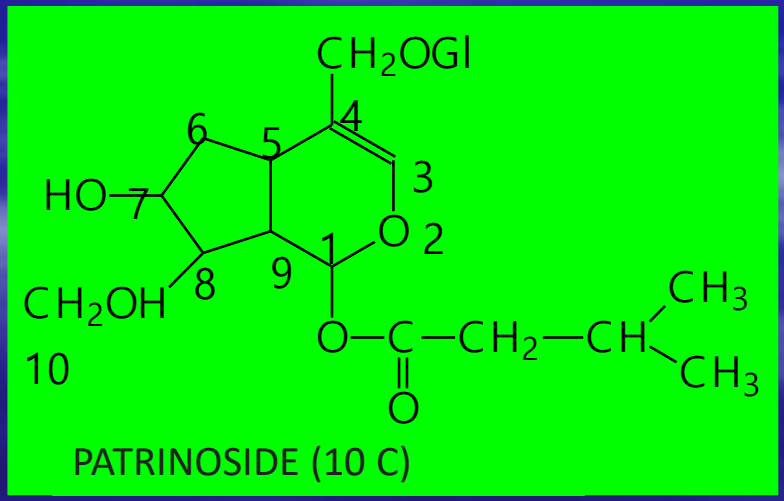
ASPERULOSIDE



MUSSENOSIDE (10C)



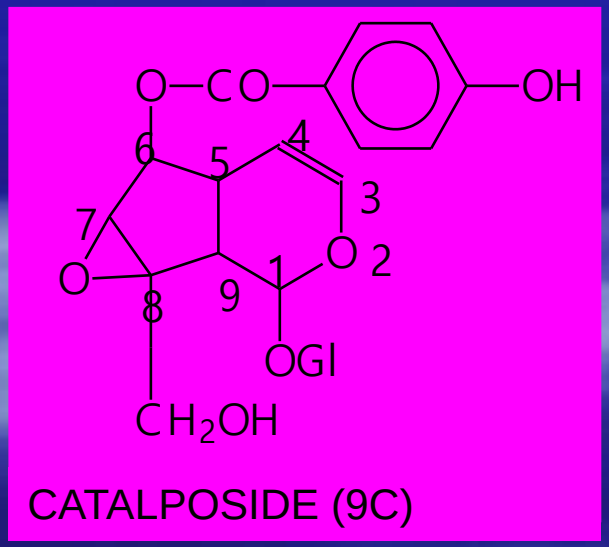
CATALPOSIDE (9C)



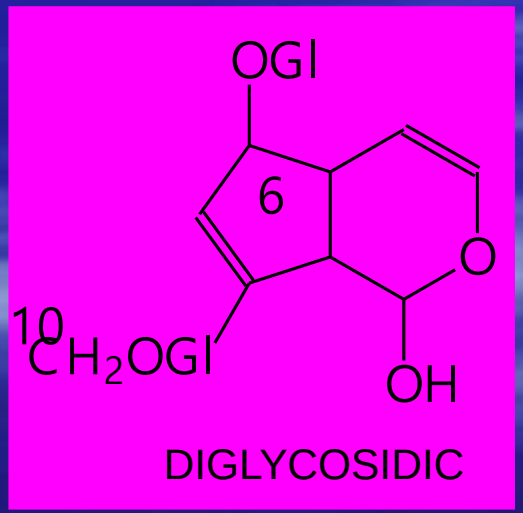
PATRINOSIDE (10 C)

IRIDOIDS

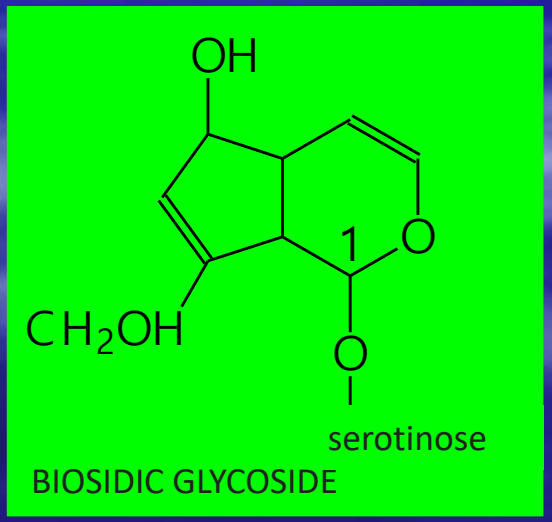
- They can found in nature as monoglycosidic, diglycosidic or biosidic:



CATALPOSIDE (9C)



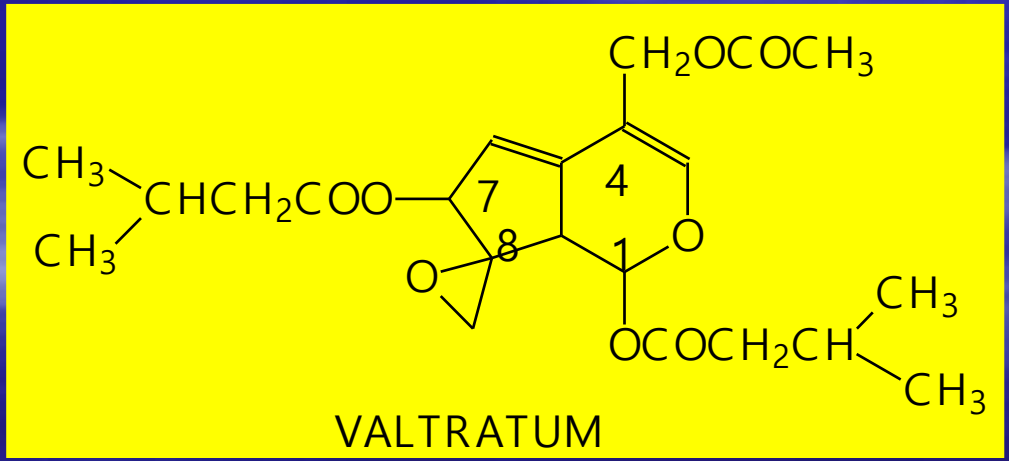
DIGLYCOSIDIC



BIOSIDIC GLYCOSIDE

IRIDOIDS

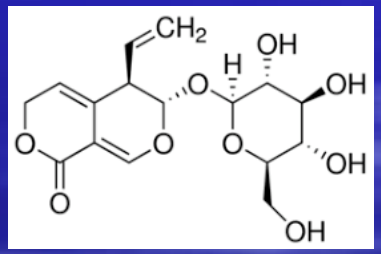
- **Non-glycosidic iridoids:**
- **Valepotriates found in Radix Valerianae (valerian root) → (esters of isovalerianic acid)**

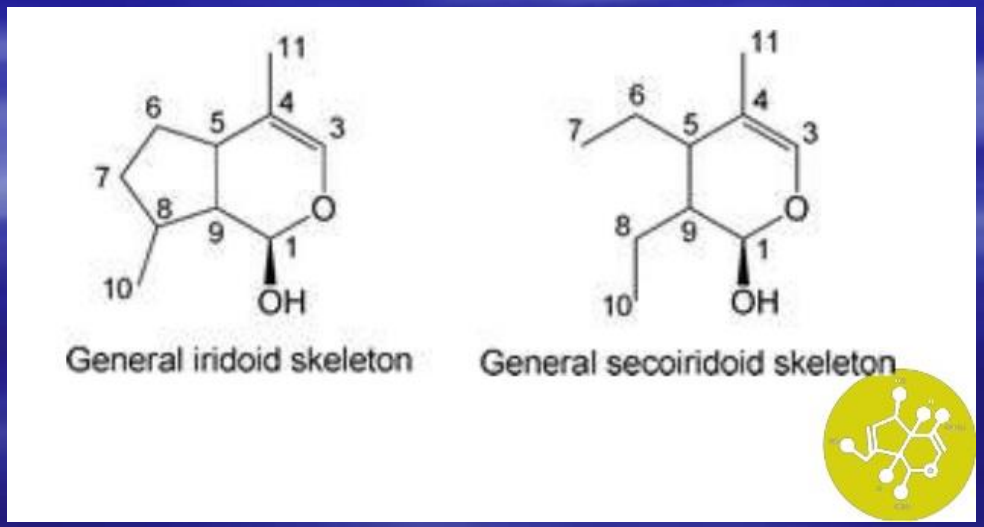


VALTRATUM

IRIDOIDS

- Secoiridoids → can be regarded as being formed from iridoids by cleavage of the cyclopentane ring between C-7 and C-8 (e.g. Gentiopicroside)





IRIDOIDS

DISTRIBUTION

- SPERMATOPHYTA division
- DICOTYLEDONAE class

1) APETALAE---Urticales--Eucommizceae

2) DIALYPETALAE--Geraniales-Meliaceae

--Rosales--Saxifragaceae

3) SYMPETALAE—Apocynaceae/ Gentianaceae / Loganiaceae / Ericaceae / Caprifoliaceae / Labiatae / Scrophulariaceae / Verbenaceae etc.

IRIDOIDS

IDENTIFICATION

1) Colourless; but they give blue colour in acidic medium.

2) Colouring and precipitation by **TRIM-HILL** reaction

- Trim-Hill Reagent consist of:
- 0.2% aqueous $CuSO_4$ (1 ml)
- CH_3COOH (10 ml)
- Conc. HCl (0.5 ml) mixture.

IRIDOIDS

- Iridoids + Trim-Hill reagent → heat → firstly **blue or purple** → than this coloured mixture convert to a black precipitate in a few hours.

3) Chromatographic Assays:

- -TLC: Revelator ---- Vanillin-H_2SO_4 or Floroglusinol-HCl
- -HPLC

IRIDOIDS

OBTAINING

- Since being not stable, fresh plant material is used for obtaining.
- The extraction medium shouldn't be acid.
- Polar solvents are used for extraction
- Extract + washing by nonpolar solvents → liphophilic compounds will be removed
- Elimination of phenolic compounds and tannins by 1- Precipation using Pb acetate or 2- Eluting from activated charcoal / Al_2O_3.

IRIDOIDS

- By eluting from polyamide column free sugars and oligoholosides will be eliminated
- Separated iridoids are isolated using chromatographic techniques.

IRIDOIDS

QUANTITATIVE ANALYSIS

- GRAVIMETRIC
- COLORIMETRIC (Trim-Hill Reaction)
- CHROMATOGRAPHIC (GC and HPLC)

IRIDOIDS

EFFECT AND USAGES

1) Compounds without strong effects

2) Traditionally used for years as;

- Insecticide
- Hypotensive
- Appetizer
- Tonic

IRIDOIDS

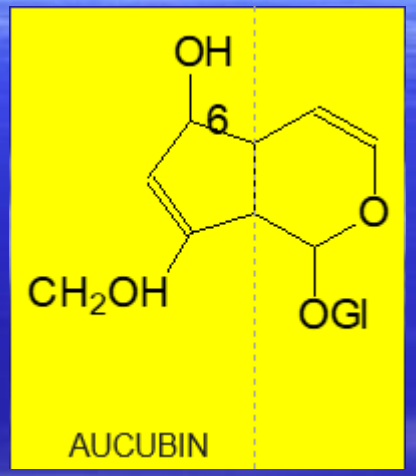
3) Antimicrobial effect:

- - AUCUBIN---- not effective----hydrolisis---AUCUBIGENIN (aglycone) or its dimer/ polymer----EFFECTIVE
- - ASPERULOSIDE (glycoside)---- not effective ---hydrolisis----AGLYCONE---- EFFECTIVE (against *Staphylococcus aureus;* 600 I.U. Penicilline equivalent.

IRIDOIDS

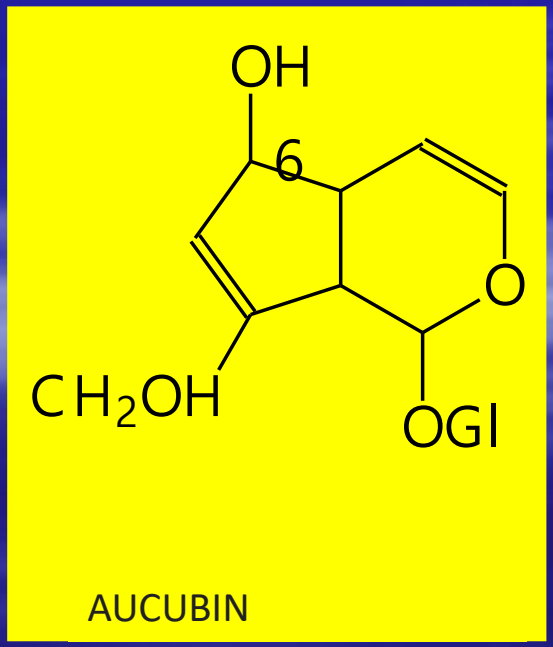
4) **Purgative effect:**

- **-OH on 6. position and free -COOH on 11. position decreases this effect**

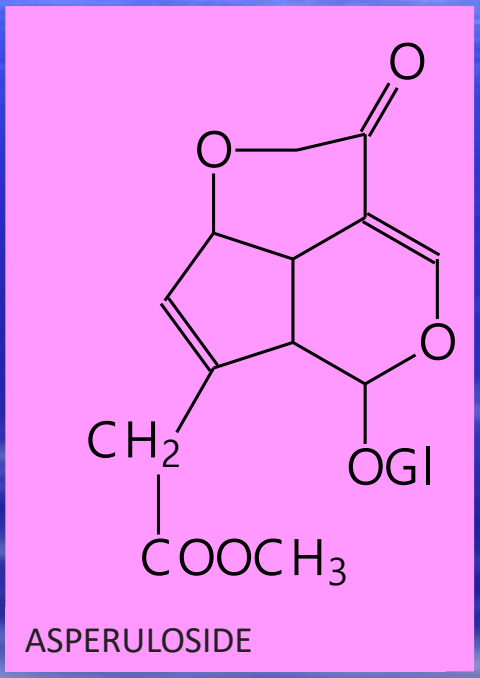


IRIDOIDS

MUSSENOSIDE



AUCUBIN



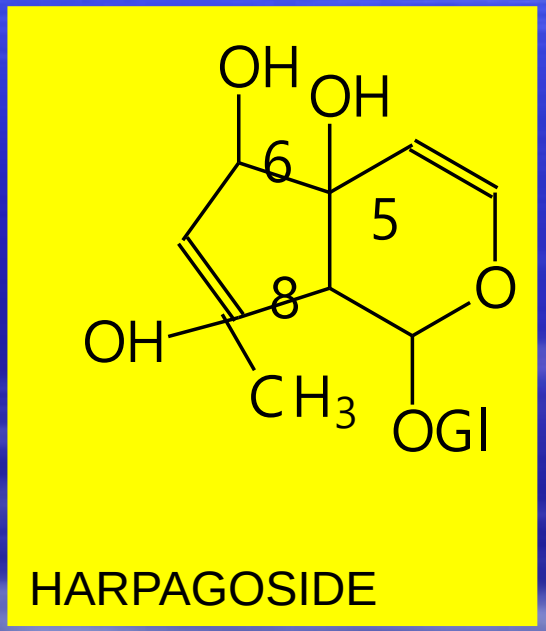
ASPERULOSIDE

IRIDOIDS

5) Diuretic effect:
Catalposide

6) Analgesic and Antispazmodic

- **Harpagoside--- hydrolysis--- Aglycone--- Antiphlogistic effect.**



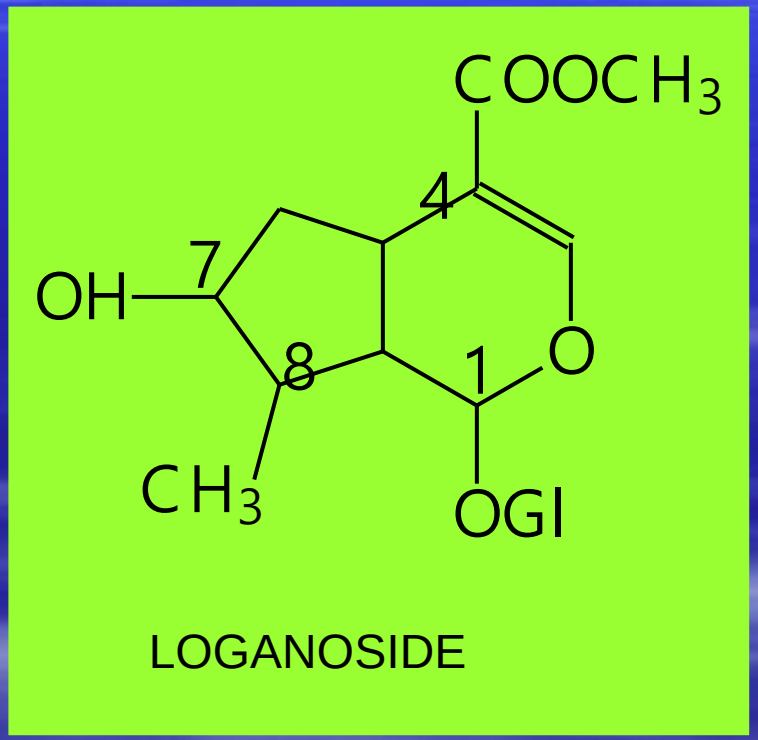
HARPAGOSIDE

IRIDOIDS

7) Appetizer and tonic effect: Loganoside

8) Sedative effect:
Nonglycosidic iridoids---
Valepotriates

9) Antileukemic effect:
Nonglycosidic iridoids



LOGANOSIDE

FLOS VERBASCI (EP)

- Scrophulariaceae – *Verbascum* sp. – Mullein
- Drug is obtained from;
- *Verbascum phlomoides* (Wooly mullein)
- *V. thapsus* (great mullein/common mullein)
- *V. densiflorum* (denseflower mullein) species.
- Known as «Sığır kuyruğu» in Turkish.
- Widely distributed in Europe, Africa, S. America and Turkey.

FLOS VERBASCI (EP)

1) Iridoid: Aucubin---hydr.--- Aucubigenin + Gl

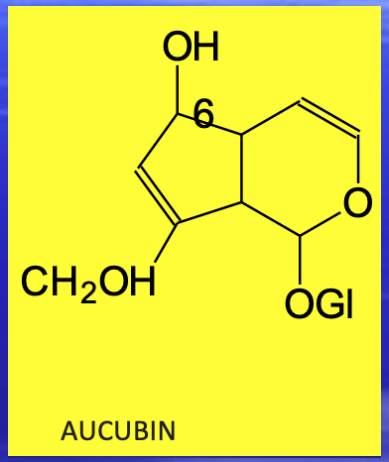
- Aucubigenin --- unstable --- polymerize---browning.

2) Flavonoids: Hesperidin

3) Mucilage

4) Saponin

5) Phenyl propanoid derivative: Verbascoside



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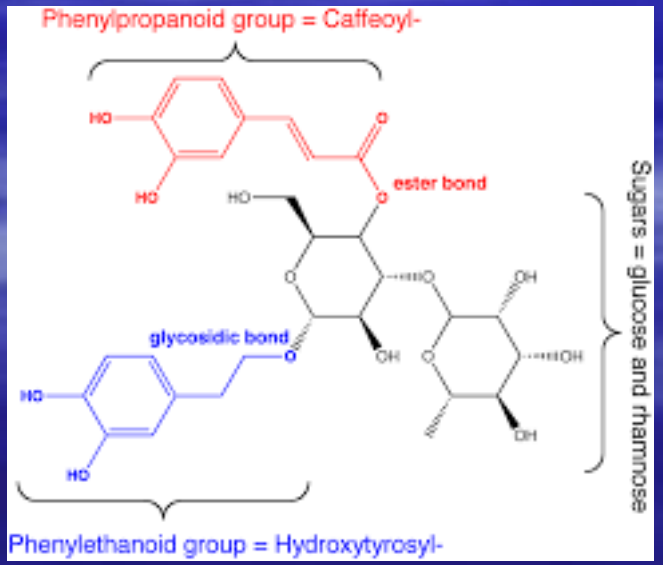


FLOS VERBASCI (EP)

- Emollient
- Antitussive, expectorant
- German Comission E approved usage in cold and bronchitis

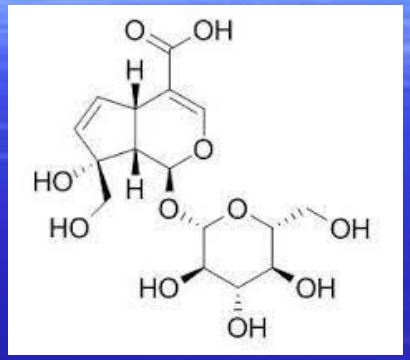
HERBA MONOTROPAE

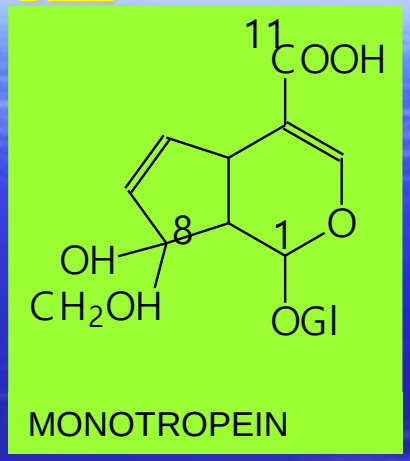
- *Monotropa hypopitys* (Ericaceae)
- A parasitic plant living on Coniferae plants
- Common in Turkey
- Up to 10-30 cm hight, with stamp-like leaves, yellowish-white coloured

HERBA MONOTROPAE

1) Iridoid glycosides---

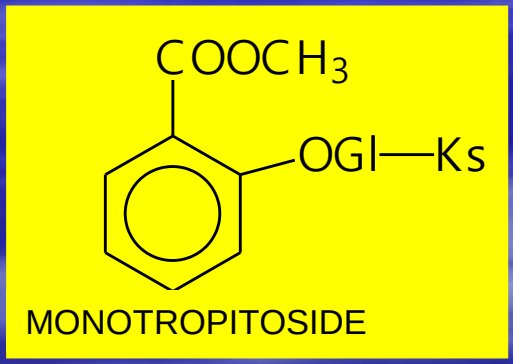
- Monotropein





2) Phenol gly.---

- Monotropitoside → Methyl salicylate + Glucose + Xsylose



HERBA MONOTROPAE

Drug;

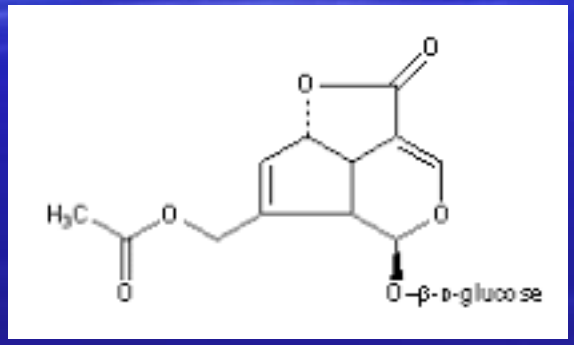
- Antispasmodic
- Cough sedative
- Aglycone of Phenol glycoside---methyl salicylate derivative → antirheumatic

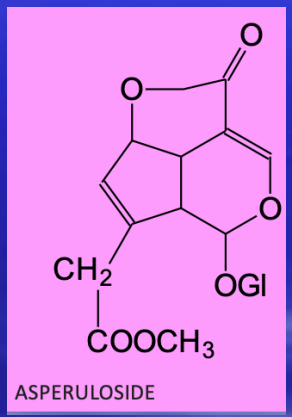
Galium Sp., Yoğurtotu, Bedstraw

- *Galium aparine (cleavers, bedstraw) (Rubiaceae)*
- *Galium mollugo (hedge bedstraw)*
- *Galium verum (lady's bedstraw)*
- *Galium cruciate/ Cruciata laevipes (smooth bedstraw)*
- Well known in Europe, wide distributed in Anatolia

Galium Sp., Yoğurtotu, Bedstraw

- **Iridoid---- Asperuloside**





- **In Turkey; *Gallium coronatum* (*Cruciata taurica*)----asperuloside and monotropein; also rutin is identified**

Galium Sp., Yoğurtotu, Bedstraw

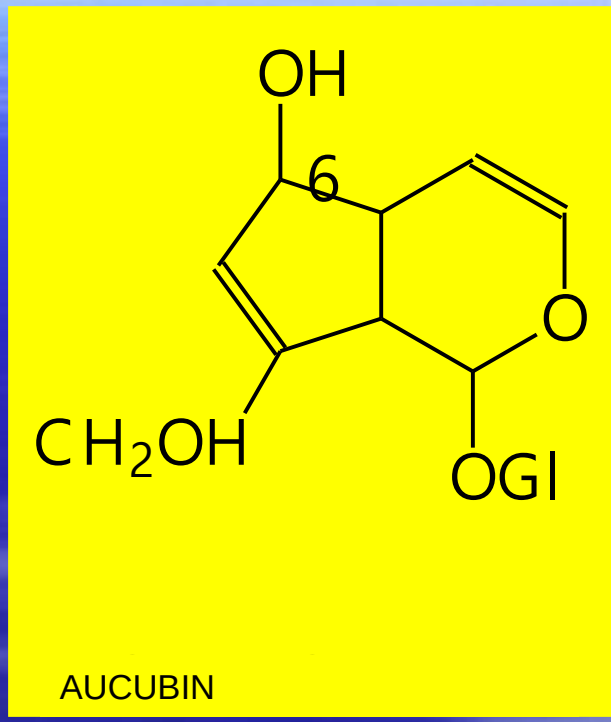
- Antispasmodic
- Diuretic
- Antirheumatic

Plantago sp., Psyllium husk

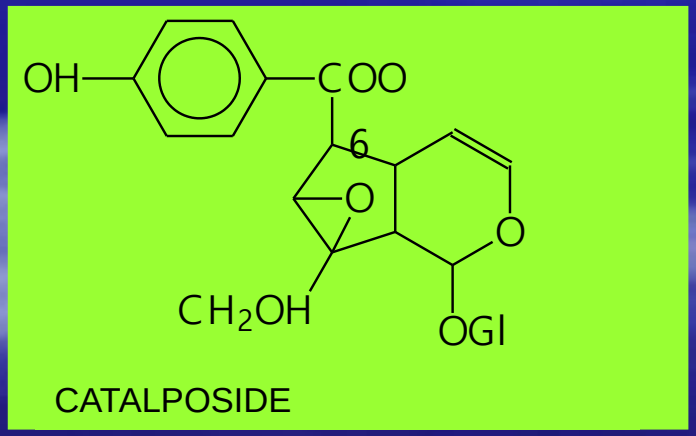
- Plantaginaceae
- *Plantago ovata* (EP)
- *P. lanceolata* (EP)
- *P. media*
- Sinirli ot, sinir otu,
- 20 species growing in: Europe, N. Africa, E. Asia and Turkey

Plantago sp., Psyllium husk

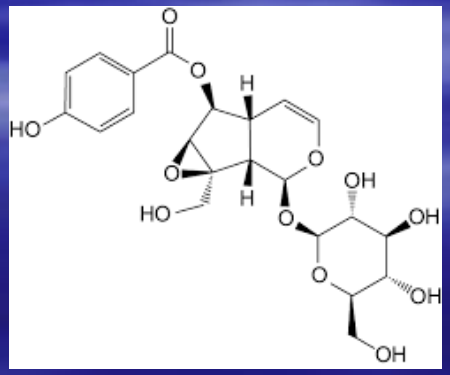
- Stem and rosette leaves of the plant contain → Iridoid glycosides →
- Aucubin, catalposide



AUCUBIN



CATALPOSIDE



Plantago sp., Psyllium husk

- Besides iridoids, leaves contain
- Mucilage → arabinogalactan (2-6.5%)
- Tannin (6.5%)
- Phenolic carboxylic acids → protocatechuic acid
- Flavonoids
- Minerals (Zn, K)

Plantago sp., Psyllium husk

Traditionally;

- Antiinflammatory
- Fresh juice or plaster prepared from the juice is used against itches caused by insect bites
- Infusion → used as eyewash against inflammations in the eyes

Plantago sp., Psyllium husk

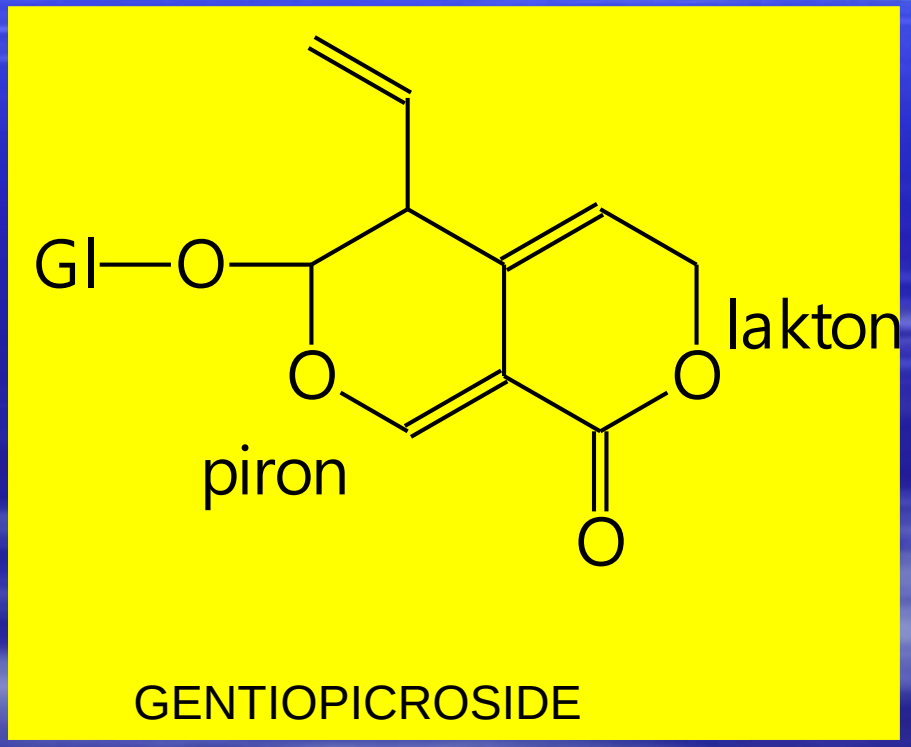
- Used as mouthwash against throat inflammation
- Cicatrizant in skin diseases
- Used also against cough, bronchitis, upper respiratory infections
- *Plantago psyllium*, which is well known in Turkey, used as laxative and emollient regarding mucilage content

ALCOHOL GLYCOSIDES
RADIX GENTIANAE, Yellow Gentian

- Underground parts of *Gentiana lutea* (Gentianaceae) – Jansiyan kökü
- Common in Europe
- In Turkey → growing in mountaneous regions of Bursa, Sinop, İzmir, Bilecik
- 12 different species of this genus is growing in Turkey

RADIX GENTIANAE, Yellow Gentian

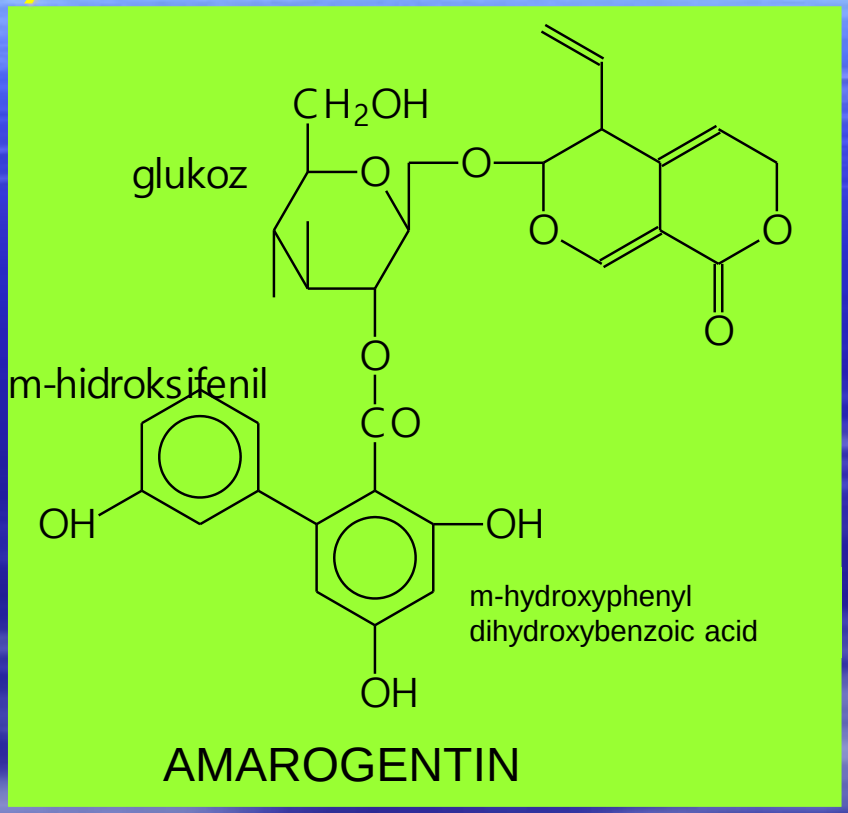
- 1) Alcohol glycoside--- bitter compounds in secoiridoid structure
- Gentiopicroside (1-2% in fresh drug) → Gentiogenin + Glucose
- Aglycon is not stabile ---- dimerizes

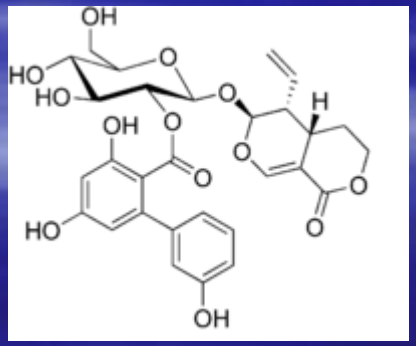


GENTIOPICROSIDE

RADIX GENTIANAE, Yellow Gentian

- **Amarogentin** (the most bitter compound of the drug) → hydr. → gentiogenin + glucose esterified with m-hydroxyphenyl dihydroxybenzoic acid

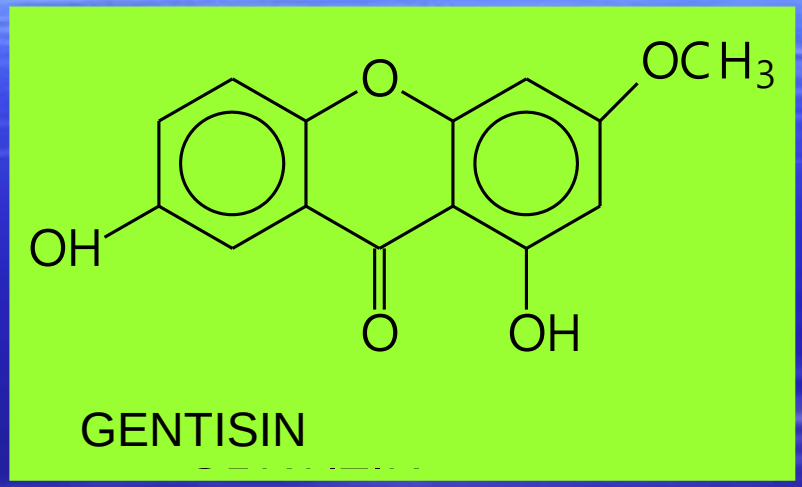




RADIX GENTIANAE, Yellow Gentian

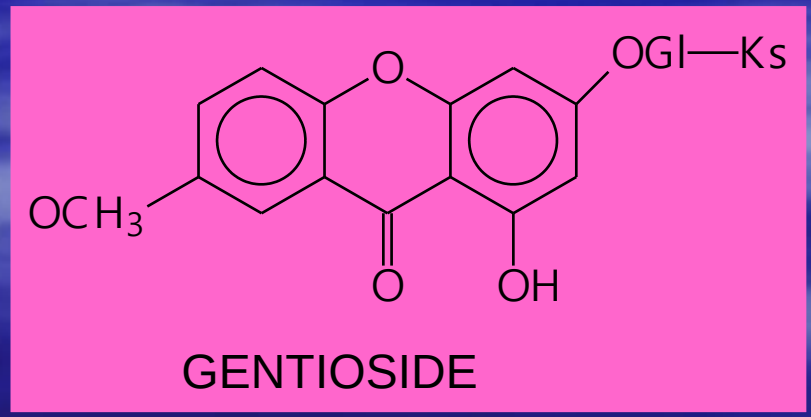
2) Xanthon derivatives:

- Gentisin (1,3,7 trihydroxyxanthon-3-methyl ether)



GENTISIN

- Gentioside (the yellow colour on the fracture surface of the drug is attributed to gentioside)

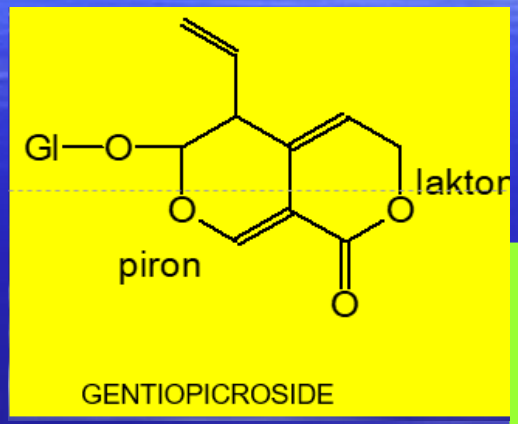


GENTIOSIDE

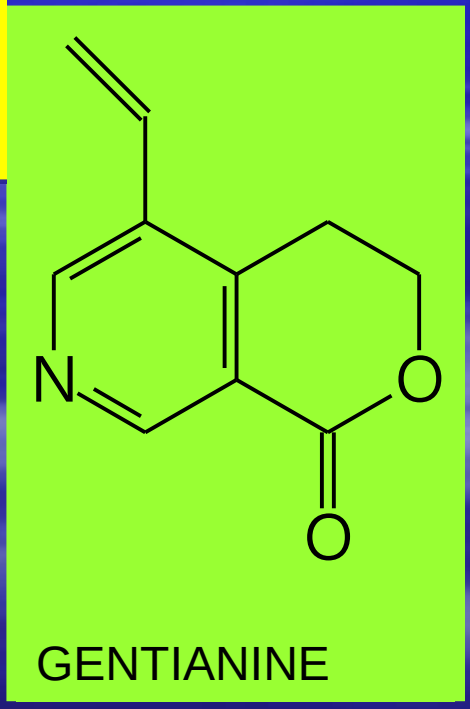
RADIX GENTIANAE, Yellow Gentian

3) Artefact Alkaloids:

- The extraction of iridoid containing drugs with solvents containing NH_3 result with breaking of pyran ring, artefact alkaloids can occur.
- Gentiopicroside --- NH_3 medium ---- converting to Gentianine
- 4) Pectin --- in some species %10



GENTIOPICROSIDE



GENTIANINE

RADIX GENTIANAE, Yellow Gentian

- Non-toxic
- Mostly used as tonic
- Directly effective on stomach – increases stomach secretion
- Appetizer and bitter tonic
- Used for preparing liqueur
- Used as pectin source
- This pectin is used orally or locally as hemostatic