Substances affecting motoric activity of GIT (Prokinetics)

1. Carminatives
2. Laxatives
3. Antidiarrhoics
4. Spasmolytics

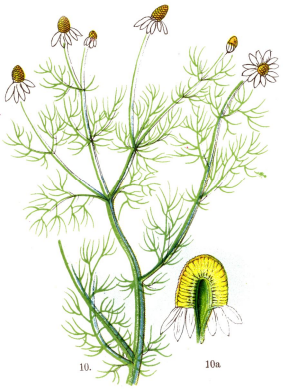
CARMINATIVES DEFLATULENTS

- Preparations removing problems after ingestion of easily fermented food.
- Affecting gut peristaltic and intestinal microflora
- Useable also cholagogic and antispasmodic effect of some essential oils

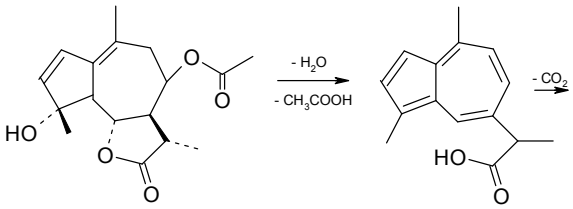
Matricariae flos – Camomila flowers ČL 2005

Matricaria (Chamomilla) recutita - German chamomile, wild camomile (Asteraceae)

- Annual herb widely spread and cultivated
- Drug: dried flower heads, hollow receptacle
  - characteristic pleasant aromatic odour
  - contains et least 4 ml of essential oil / 1 kg of drug
- Content compounds:
  - essential oil - azulenes (blue pigmentation)
    - bisaboloids
    - ethers
  - flavonoids
- Usage:
  - carminative, spasmolytic
  - antiphlogistic
  - antiagregant



Matricariae flos – content compounds

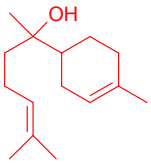


Matricine

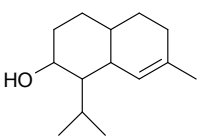
Chamazulencarboxylic acid

Chamazulene
1,4-dimethyl-7-ethylazulene
(4-20 %, antiphlogistic)

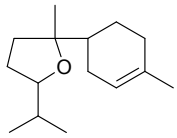
Matricariae flos – content compounds



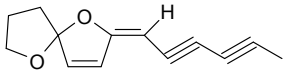
L–α–bisabolol
(10-25 %, antiphlogistic)



L–α–bisabololoxid A
(10-25 %, spasmolytic)

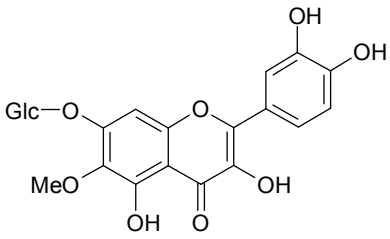


L–α–bisabololoxid B

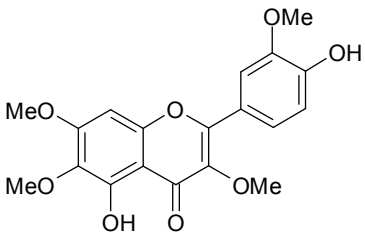


cis-enoletherpolyine
en-in-dicycloether
(spasmolytic, antiphlogistic)

Matricariae flos – content compounds

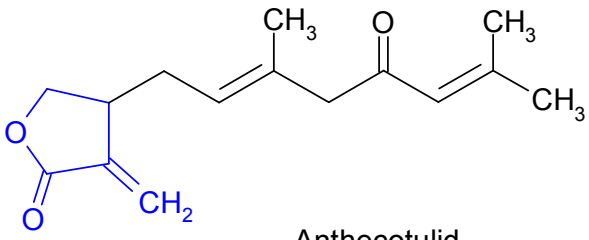


Patulitrin



Lipophilic chammomila flavone
(antiagregation effect)

Matricariae flos – content compounds



α–methylene-γ-butyrolacton

Anthecotulid

alergic

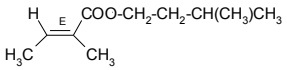
Chamomillae romanae flos – Roman chamomile flower

ČL 2005

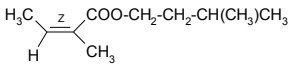
Chamaemelum nobile - Roman chamomile (Asteraceae)

- Perennial plant, for pharmaceutical purposes cultivated
- Drug: flowerheads of fullflower variety (*A. nobilis* var. flore pleno)
- Full receptacle
- Content compounds:
  - essential oil (esters of angelic and isobutyric acids with isoamylalcohol, chamazulene)
  - flavone glycosides, coumarins
- Usage:
  - see *Matricariae flos* (without spasmolytic activity)

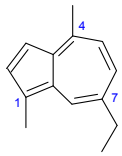
Chamomillae romanae flos – content compounds



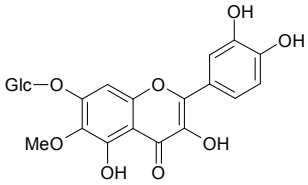
Tigline-2-methylbutanoate



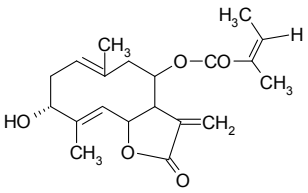
Anglic-2-methylbutanoate



Chamazulene
1,4-dimethyl-7-ethylazulene



Patulitrin



Nobiline (bitter substance)

Menthae piperitae folium – Peppermint leaves ČL 2005

Mentha piperita, peppermint (Lamiaceae)

- Perennial herb cultivated in mild climate. No wild spreading. It is a hybrid.
- Harvest in flowering period, drug should not contain young leaves (they decrease quality)
- Drug: up to 30 ^{0}C dried leaves, at least 9-12 ml of essential oil /1 kg of drug. Characteristic odor and taste

Content compounds: essential oil (menthol and its esters, menthone, pinene, piperitone, phellandrene, jasmone, menthofurane), rosmarinic acid, tannins, bitter substances

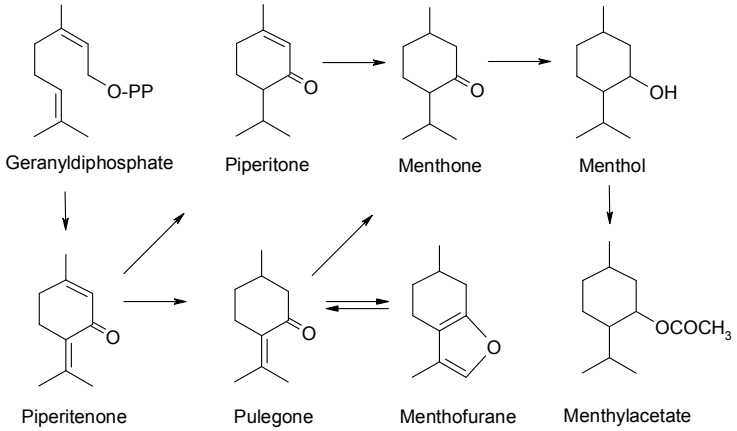
Usage: carminative, cholagogue

Menthae piperitae etheroleum – Peppermint essential oil
ČL 2005

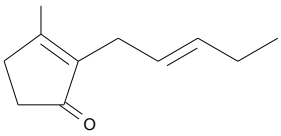
Mentha piperita - peppermint (Lamiaceae)

- Essential oil obtained from fresh flowering plant by water steam distillation
- Yellowish liquid of characteristic odor and cooling taste
- Content compounds:
  - menthol (over 50 %) and its esters with acetic and valeric acid
  - ketones menthone and piperitone
  - carbohydrates pinene, phellandrene, limonene
  - compounds with oxygen in structure (jasmone, menthofurane, acetaldehyde, isovarelaldehyde
- Usage:
  - carminative, spasmolytic, cholagogue
  - corigent of taste and odor
  - cosmetics, food industry

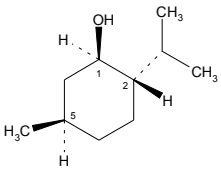
Menthae piperitae etheroleum – content compounds



Menthae piperitae etheroleum – content compounds



Jasmone



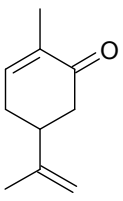
a enantiomer

Mixture of the same portion of (1*RS*,2*SR*,5*RS*)-2-isopropyl-5-methylcyclohexanols

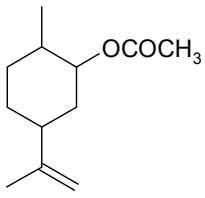
Menthae crispae herba – spearmint haulm

Mentha spicata Huds. var. crispa, spearmint

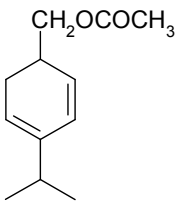
- Toothpastes
- Gewing gum „Spearmint"



Carvon



Dihydrocarveol-acetate



Dihydrocumin-alcohol acetate

Carvi fructus – Caraway fruit ČL 2005

Carum carvi - caraway (Apiaceae)

- Biennial or perennial herb, cultivated in Europe, Asia, USA
- Drug: whole dried matured diachene. Contains at least 30 ml of essential oil / 1 kg of drug

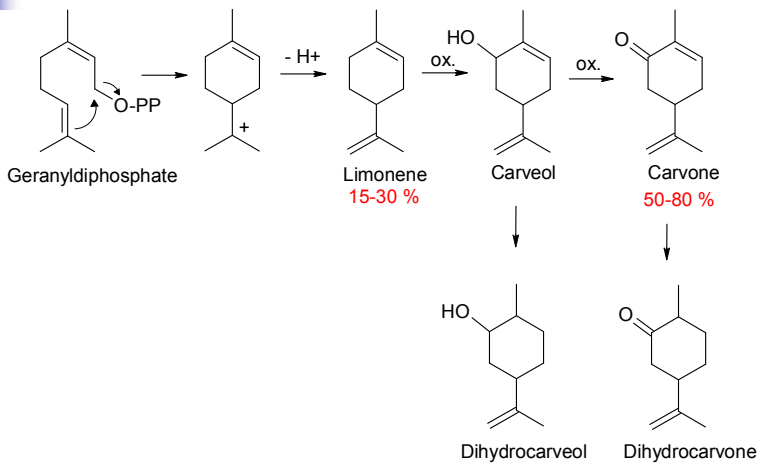
Content compounds:

- essential oil (D-carvone – 50 to 80 %, D-limonene, dihydrocarvone, carveol)
- fatty oil up to 20 %, coumarins, tannins

Usage:

- carminative (spasmolytic), lactagogue
- antibacterial effect
- spice, liquors manufacturing

Carvi fructus – content compounds



Coriandri fructus – Coriander fruit ČL 2005

Coriandrum sativum - coriander, cilantro (Apiaceae)

- Annual herb, cultivated in Europe, India and north Africa. Main producers: Russia, Holland
- Drug: dried, rounded diachenes; contains at least 3 ml of essential oil / 1 kg

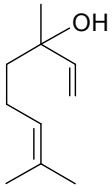
Content compounds:

- essential oil (linalool - 60 to 70 %, pinenes, geraniol, terpenoid carbohydrates with prevalence of terpinenes
- fatty oil

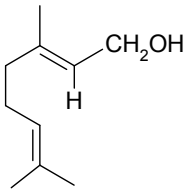
Usage:

- carminative, spice, liquors manufacturing
- heavy metals detoxication
- antibacterial, antioxidant
- metabolism of cholesterol

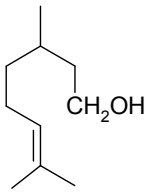
Coriandri fructus – content compounds



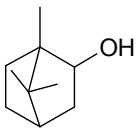
Linalool
60-70 %



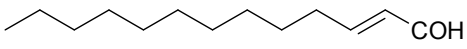
Geraniol



Citronelol



Borneol



Tridecene-(2)-al-(1)

Allii sativi bulbus pulveratum – Powdered garlic bulbs
ČL 2005

Allium sativum - garlic (Liliaceae)

- Bright yellow powder obtained from cut garlic cloves by lyophilization or drying bellow 65 ^{0}C and grinding
- Contains at least 0,45 % of allicine

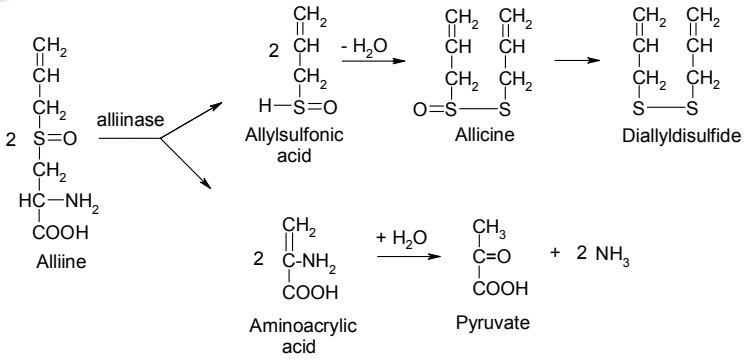
Content compounds:

- Stinking essential oil, formed from alliine, after grinding of garlic and water steam distillation, alliine is further decomposed
- Vitamins A, B1, B2, C, amid of nicotinic acid, choline, iodine

Usage:

- Carminative with disinfection, spasmolytic and choleretic effect
- Antibacterial effect against G+ and G- pathogens of GIT, antimycotikum (allicin), anthelmintic
- Ajoene – antithrombotic factor (inhibition of fibrinogen receptors at blood platelets
- Folk medicine: hypertension, arteriosclerosis

Allii sativi bulbus pulveratum – content compounds



CH_3CH_2CSH

Propionylthioaldehyde

LAXATIVES
(purgatives, diarrhoics, cathartics)

Administered during:
- acute functional ostipation
- Chronic obstipation refractive to changes of life style

In special indications:
- Emptying before X-ray diagnostics
- Before surgery
- After some anthelmintics

During some intoxications

Division according to the mechanism of effect:
- Swelling up, increasing the gut content volume (polysaccharides)
- Salinic, diluting the gut content (Sal purgans)
- Lubricants and emulsifiers (Paraffinum liquidum)
- Directly irritating intestinal wall, stimulating peristaltics (derived from anthraquinone, Resina podophylli, some plant oils)

Agar - Agar ČL 2005
Species *Gelidium, Gracilaria, Euchema, Petrocladia* (Rhodophyceae)

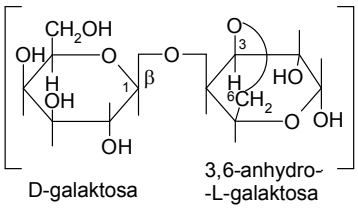
- Algeae from the class of Rhodophyceae (Japan, USA, New Zealand, South Africa)
- Harvested algeae are bleached and the mucilage is gathered by soaking in hot water.
- Solydified gel is dried by lyphilisation, salts are removed, and it is formed and further dried.
- Drug: bright yellow, translucent, fragile compressed strips or powder

Contain compounds: mixture of polysaccharides
- agarose (70 %) and agaropectin (30 %)
- residues of mineral compounds and iodine

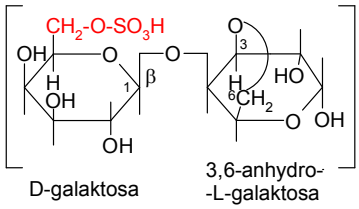
Usage:
- mild laxant (pediatrics)
- component of hydrophilic ointment bases, tablets disintegrator, emulsion stabilizer
- food industry, industry, microbiology

Agar – content compounds

AGAROSA



AGAROPEKTIN



Tragacantha – Tragant ČL 2005

Astragalus gummifer, goat´s horn (Fabaceae)

- Thorny shrubs from western Asia
- Cellular mucilage, it is produced in cells of cortex medulla and medullar rays, it is flowing out spontaneously after wounding
- Drug: bright flat pieces of corneous consistence or white powder

Content compounds: mixture of polysaccharides and starch

- basorine (60 %) swelling in water, not soluble
- tragacanthine (40 %), water soluble

Usage:

- mild swelling laxant
- base of hydrophilic ointment bases, technology of tablets
- cementing agent in stomatology
- food nutrition
- prevents formation of emulsions

Tragacantha – content compounds

- Tragacanthine is composed of tragacanthic acid and arabino-galactose
  Tragacanthic acid:
  - linear chain of D-galacturonic acid esters
  - side chains: xylose, fucose, galactose
- Basorine is composed of: glucuronic acid, galacturonic acid, arabinose, xylose, galactose

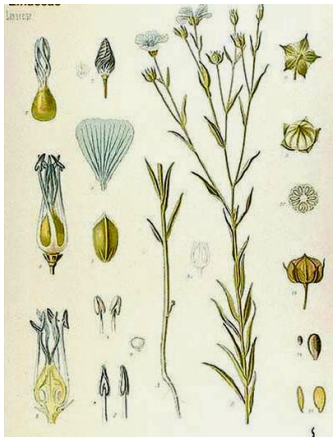
Lini semen – flax seed ČL 2005

Linum usitatissimum, flax (Linaceae)

- Annual herb, cultivated in for example Argentina, India, Marocco, USA, Czech republic
- Drug: dried flat, dark red-brown smooth shiny seed. Inside endosperm with two big oily cotyledons

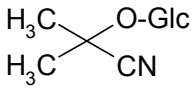
Content compounds:
- mucilage (6 %) in epidermal cells of testa
- oil (až 40 %)
- proteins (20 %), cyanogenic glycoside linamarine

Usage:
- laxative (together with mucilage also oil)
- externally emolient, antiphlogistic



Lini semen – content compounds

- Mucilage (mixture of neutral and two acidic fractions). Hydrolysis produces:
  - galacturonic and mannuronic acids (cca 30 %)
  - galactose (8-12 %)
  - arabinose, rhamnose and xylose
- Oil (triglycerides of linolic, linolenic and oleic acid)
- Cyanogennic glycoside linamarin



Psyllii semen – psyllium seed ČL 2005

Psyllium afra (*Plantago psyllium*), *Plantago ovata* (Plantaginaceae)

- Annual herb cultivated in France and Mediterranean. Fruit is capsulle (boll)
- Drug: Brown, smooth, shiny, eliptic seeds, 2-3 mm long

Content compounds:

- mucilage localized in epidermal part (10-12 %)
- proteins, fatty oil, phytosterols
- iridoid glycoside aucubine

Usage:

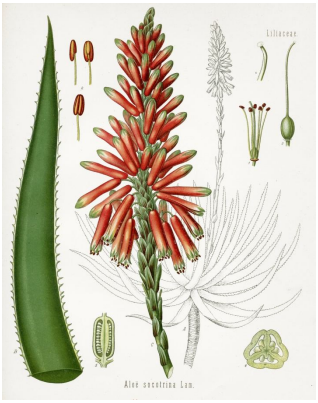
- mild laxative (tea spoon = 6,3 g of drug is lasting to swell in water, it is consumed with larger portion of liquids). Effect after 12 - 24 hours
- apretation agent in paper industry

Aloe barbadensis ČL 2005, *Aloe capensis* ČL 2005 - Aloe *Aloe ferox* - cape aloe; *Aloe vera* - Chinese Aloe, Indian Aloe, True Aloe, Barbados Aloe, Burn Aloe; *Aloe arborescens* (Liliaceae)

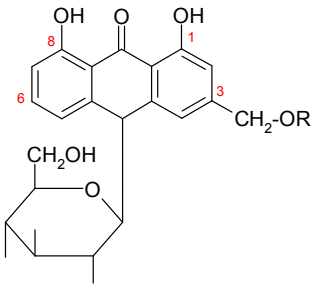
- Succulent plants of tropic and subtropic Africa, cultivated for example in South Africa
- Drug: Concentrated and solidified juice obtained from leaves
  - *Aloe lucida* (concentrated by boiling)
  - *Aloe hepatica* (evaporated slowly)

Contain compounds:
- aloine = C-glucoside of aloeemodinanthrone
- aloinosides = O-glycosides of aloine
- resins and mucilage

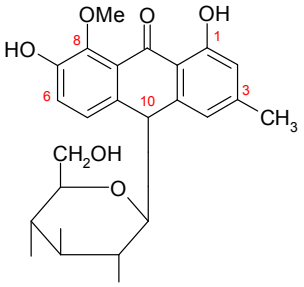
Usage:
- laxative,
- in small dosage stomachic, choleretic
- contraindication: pregnancy, breast-feed, menstruation, hemeroids



Aloe – content compounds



Aloin (barbaloin, kapaloin) R = H
Aloinosid B R = L-α-rhamnosa



Homonataloin
1,7-dihydroxy-3-methyl-8-methoxy-anthron-10-C-D-glukosid

Sennae folium – Senna leaves ČL 2005

Cassia angustifolia, C. acutifolia – senna (Fabaceae)

- Cca 1 m tall shrub, frutits are pods
- *C. angustifolia* – 5-8 paired leaves, cultivated in India (Tinevelly)
- *C. acutifolia* – 4-5 paired leaves, cultivated in Nile area (Alexandrinae)
- Drug: dried leaves, grey-, yellow-, brown-green fragile leaves

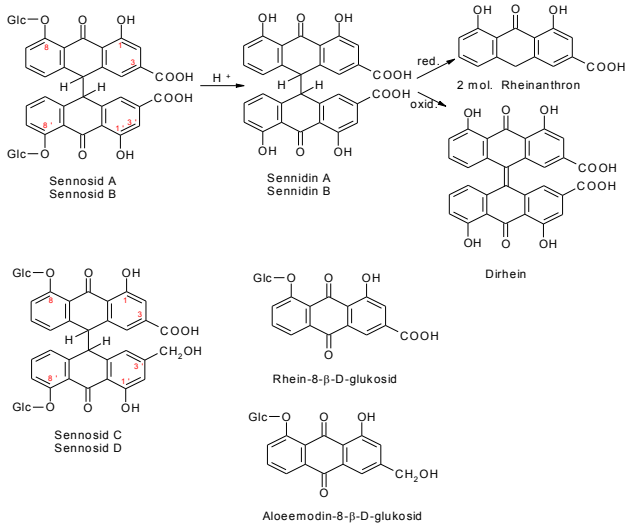
Content compounds (at least 2,5 % of hydroxyanthracene derivatives, expressed as sennoside B)

- sennosides A and B (dirrheindianthron-8,8'-di-glc) and their fission products
- flavonoids, mucilage, essential oil
- resins (sennanigrins)

Usage:

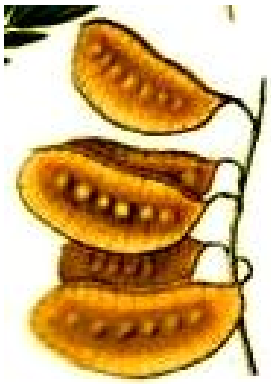
- laxative during acute and chronic constipations
- after removal of resins – Folia sennae praeparata)

Sennae folium – content compounds



Sennae acutifoliae, angustifoliae fructus – senna fruit ČL 2005

Cassia acutifolia – senna (Caesalpiniaceae)



- Flat kidney-shaped pods, green-brown with stains at place of seeds, 40-50 mm long, 20 mm wide
- Pods contain 5-8 seeds

Contain compounds (localized in pericarp):

- hydroxyanthracene glycosides (as sennoside)
- *S. acutifoliae fructus* 3,4 %
- *S. angustifoliae fructus* 2,2 %
- primary glycosides from rheindianthroneaglycon and upto 10 molecules of sugar
- mucilage and tannins

Usage:

- mild laxative, effect milder than effect of Sennae folium
- extract is recommended to prepare *via* cold

Frangulae cortex – Alder Buckthorn bark ČL 2005

Rhamnus frangula - Alder Buckthorn (Rhamnaceae)

- Shrub widely distributed in Europe, close to watercourses, margins of humid woods
- Drug: dried bark or its pieces from trunk and branches. Rolled fragments 0,5-2 mm thick. On the outer layer prolongated lenticells.
- Before use one yaer of storage or one hour of heating at 100 ^{0}C, otherwise risk of colics and vomiting

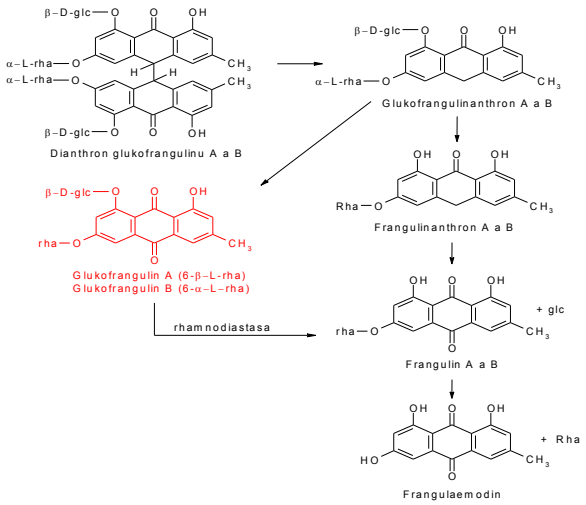
Content compounds:

- at least 7 % of glucofrangulins A and B (isomers)
  A = emodin-6-β-L-rhamnoside-8-β-D-glucoside
- tannins, bitter substances, saponins

Usage: laxative

- contraindication: pregnancy, breast-feeding, ileus; during chronic use risk of hypokalemia – enformcement of cardioglycoside effect

Frangulae cortex – content compounds



Rhamni purshianae cortex – Cascara bark ČL 2005

Rhamnus purshianus - Cascara buckthorn (Rhamnaceae)

- Tree native and cultivated in North America

Drug: dried bark and its fragments from young trunk and thin branches. Mild rolled or flat fragments 1-5 mm thick. On the outer side transversally prolongated lenticells, sometimes grey becouse of lichens.

- Before consumption to store one year or heat 1 h at 100 ^{0}C, otherwise risk of vomiting and colics

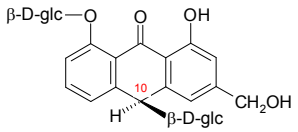
Content compounds:

- at least 8 % of anthraglycosides, from that at least 60 % of cascarosides
- tannins, bitter substances, derivatives of benzoylphloroglucinol

Usage: in America and western Europe the mostly used laxative

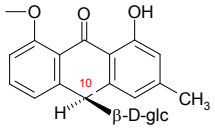
- contraindication: pregnancy, breast-feeding, ileus; during chronic use risk of hypokalemia – enformcement of cardioglycoside effect
- *melanosis coli*

Rhamni purshianae cortex – content compounds

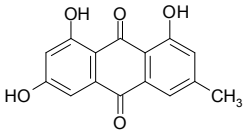


Cascarosid A, B
8-O-glukosidy aloinu

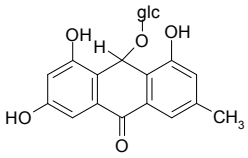
C_{10}-isomery



Cascarosid C, D
8-O-glykosidy chrysaloinu



Frangulaemodin



Frangulaemodin-
oxanthron-9-glukosid

Rhei radix – rhubarb root ČL 2005

Rheum palmatum, R. officinale - rhubarb (Polygonaceae)

- Huge perennial herb native in mountains (3000 – 4000 m) of west China and east Tibet, today widely cultivated. Rhizomes of 4-6 yaers old plants are peeled, cut and dried
- Drug: dried whole or cut roots and rhizomes without outer layer of bark. Contains at least 2,2 % of hydroxyanthracene derivatives expressed as rhein

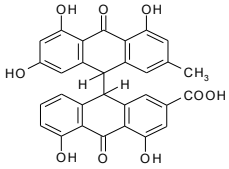
Content compounds:

- rheoanthraglycosides (in oxidated and reduced form, free or as glycosides. Dianthrones rheidin and senidin, free anthraquinones)
- rheotanoglycosides (initiator of astringent effect: glucogallin, catechine, epicatechingallate)
- starch, pectin, traces of essential oil, oxalate

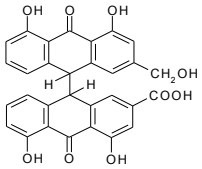
Usage:

- laxative in dose of 1,0-3,0 g pro dosi
  in dose 0,05-0,2 g pro dosi obstipant
- cholagogue, stomachic

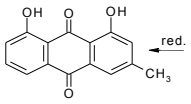
Rhei radix – content compounds



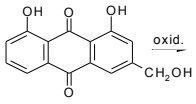
Rheidin A



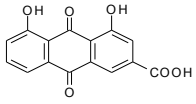
Sennidin C



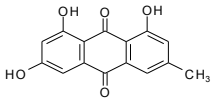
Chrysofanol



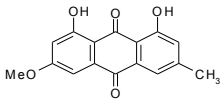
Aloeemodin



Rhein



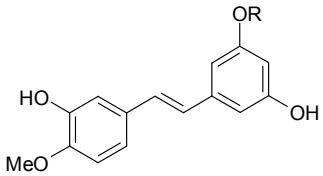
Frangulaemodin



Fyscion

Radix rhei raponticí
Rheum rhaponticum (Polygonaceae)

- Perennial herb giving roots sometimes used to replace *Rheum palmatum*
- Can to be used, contains rhaponticin (estrogenic effect – controls development and functions of genital tract, secondary sexual signs and psychosexual functions of women. At man produces feminism and impotence)



Rhaponticin R = β-D-glc
Rhapontigenin R = H

Podophyllinum, Resina podophylli - Podophyllin

Podophyllum peltatum - mayapple (Berberidaceae)

- Perennial plant native to humid woods of eastern part of North America. Today widely cultivated. Up to 1 m long creeping rhizome.
- Drug: via ethanol extraction obtained resinous extract from rhizome harvested after dying of aerial part. Extract could be after evaporated and powdered to bright brown powder.

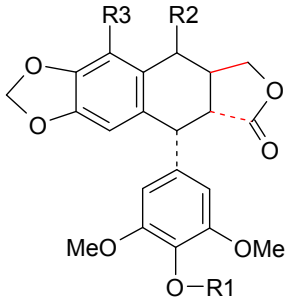
Content compounds:

- Lignans (20 % of podophyllotoxin, 13 % β-peltatin, 7 % α-peltatin, 4'-desmethylpodophyllotoxin)
- Quercetin (5 %), starch

Usage:

- laxative
- source of lignans with trans arranged lactone ring - cytostatics

Podophyllinum – contain compounds



	R1	R2	R3
Podophyllotoxin	CH_3	OH	H
α-Peltatin	H	H	OH
β-Peltatin	H	OH	OH

Jalapae resina – Jalapa resin

Exogonium purga (Convolvulaceae)

- Perennial plant native in Mexico, cultivated in Mexico, India Jamaica
- Drug: resine obtained via ethanol extraction, evaporation, powdering.

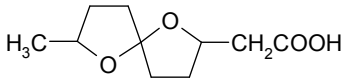
Content compounds:

- Glucoresins, obtained from glycosides, thier sugar part is oligosaccharide, aglycon hydroxyderivatives of fatty acids (for example jalapinolic, exogonic acids...). Carboxyl groups form esters with OH groups of sugars forming macrocycles.
- Phytosterols, coumarins

Usage:

Laxativum drasticum. Not used in Europe.

Jalapae resina – content compounds



Exogonová kyselina

ANTIDIARHOICS

- Drugs with tannins content, which show astringent effect (formate unsoluble substances with proteins, lower intestinal secretion)
- Tannins – heterogenous group of natural compounds. They are amorphous, high-molecular substances; formate colloid water solutions with astringent taste.

1. Hydrolyzable tannins
   - gallotanins
   - ellagitanins

2. Condenzed tannins
   - building blocks are catechines and flavonoids, often esterified by gallic acid derivatives (depsides)

Drugs used as antidiarrhoics

- Tanninum – Tannin ČL 2005
  Quercus infectoria - gall oak (Fagaceae)
  Mixture of esters of glucose with gallic and 3-galloyl-gallic acids

- Ratanhiae radix – rhatany root ČL 2005
  Krameria triandra – rhatany (Krameriaceae)
  Catechine tannins

- Tormentillae rhizoma – potentilla rhizome ČL2005
  Potentilla erecta (P. tormentilla), potentilla (Rosaceae)
  Catechine tannins
  Tormentillae tinctura (ČL 2005)

Drogy používané jako antidiarhoika

- Agrimoniae herba – agrimony herb ČL 2005
  Agrimonia eupatoria, agrimony (Rosaceae)
  Catechine tannins mixture
- Hamamelidis folium – witch hazel leaves ČL 2005
  Hamamelis virginiana, witch hazel (Hamamelidaceae)
  Hydrolyzable tannins of elagotanine type (hamamelitannin)
- Quercus cortex – oak bark ČL 2005
  Quercus robur; Q. petraea - oak (Fagaceae)
  Catechine tannins, used mostly as external preparations

SPASMOLYTICS OF GIT

- Atropini sulfas monohydricus – Atropin sulphate ČL 2005
- Scopolaminii hydrobromidum trihydricum – Scopolamin hydrobromide trihydrate ČL 2005
- Papaverini hydrochloridum – Papaverine hydrochlorid ČL 2005
- Khelline

SUBSTANCES AFFECTING VOMITING

- Emetics
  - Emetini dihydrochloridum pentahydricum – Emetine dihydrochloride pentahydrate ČL 2005
  - Apomorphini hydrochloridum hemihydricum – Apomorphine hydrochloride hemihydrate

- Antiemetics
  - Scopolamini hydrobromidum trihydricum – Scopolamine hydrobromide trihydrate (ČL 2005)
  - *Zingiberis rhizoma* – Ginger rhizome ČL 2005