



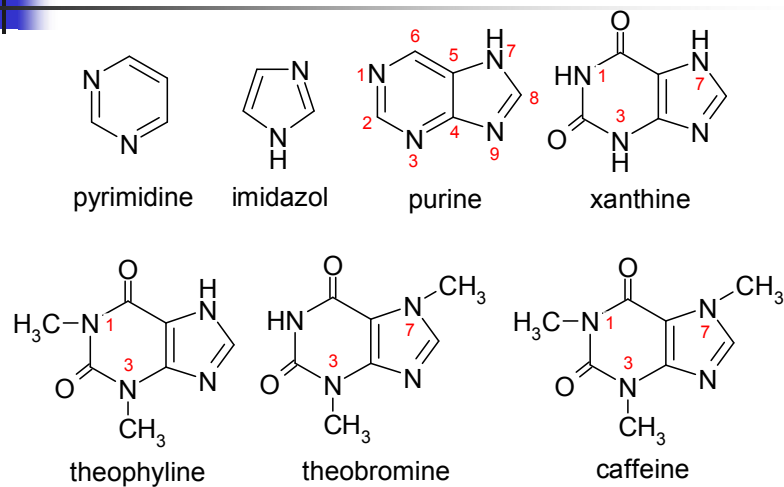
CENTRAL ANALEPTICS

1. Stimulating effect on CNS
2. Stimulating respiration and blood circulation
3. Higher doses – convulsions of central origin

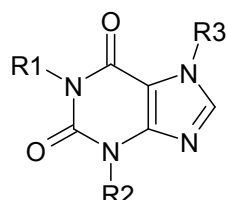
Therapeutic usage possess mainly methyl derivatives of xanthine (purine bases)

Other drugs/compounds usage is limited, because after stimulation usually comes suppression

Chemically heterogeneous group



METHYLDERIVATIVES OF XANTHINE – PURINE BASES



xanthine R1 = R2 = R3 = H

caffeine R1 = R2 = R3 = CH₃
Coffeinum - caffeine (ČL 2005)

theophylline R1 = R2 = CH₃, R3 = H
Theophyllinum - Theophylline (ČL 2005)

theobromine R1 = H, R2 = R3 = CH₃
Theobrominum - Theobromine (ČL 2005)

RELATIVE PHARMACOLOGIC EFFECT OF XANTHINE DERIVATIVES



Effect	caffeine	theobromine	theophylline
Stimulation of CNS (cortex and subcortex)	+++	±	+
Stimulation of skeletal muscles	++	±	+
Stimulation of heart muscle	+	++	+++
Dilatation of coronary veins	±	+	++
Relaxation of bronchial muscles	+	++	+++
Stimulation of gastric secretion	++	±	±
Diuretic effect	+	++	+++



DRUGS CONTAINING METHYLDERIVATIVES OF XANTHINE



Coffeae semen – coffea seeds

Theae folium – Tea leaves

Colae semen – Cola seeds (ČL 2005)

Cacao semen – Cacao seeds

Mate folium – maté leaves (yerba maté)

Guarana (Pasta guarana) - Guarana

Coffae semen – Coffea seeds

Source: *Coffea arabica* – Arabica coffee, *C. liberica* – K. liberijský, *C. canephora var. robusta* – K. mohutný and other species (Rubiaceae)

Evergreen shrubs or small trees

Fruit is egg-shaped double-capsuled drupe with diameter approx. 1,5 cm

Producers: Brazil, Columbia, Mexico, Guatemala, Ivory Coast, Ethiopia, Indonesia, India, countries of Arabic peninsula

Drug: seed with removed pericarpium and testa, roasted at 200-250 °C

CC: 0,4-2,5 % of caffeine, traces of theobromine and theophylline, 2-5 % of chlorogenic acid. During roasting are produced other artifact compounds.

Usage: for caffeine isolation, febrile states during infectious diseases, alcohol intoxication. Beverages.



THEAE FOLIUM – TEA LEAVES

Source: *Thea sinensis* – tea plant (Theaceae).

Cultivated, perennial, up to 2 m tall shrub, know in many varieties.

Main producers: China, Kenya, Turkey, Vietnam, India, Ceylon, Japan, Indonesia.

Harvest of terminal leaves from 3-years and older plants. Younger leaves are preferred for quality of essential oils and caffeine content. From one plant cca 250 g of fresh leaves can be obtained.

Treatment: Green tea (China, Japan), black tea (India, Ceylon)

Drug: dried leaves after fermentation

CC: 1,5 to 4,5 % of caffeine (according to species and manufacturing process); 0,04 % of theobromine and theophylline; 10-25 % of catechine tannins (hybrids of hydrolysable and condensed tannins); 0,5-1 % of essential oil (250 components) mainly monoterpenic aldehydes and alcohols, flavonoids

Usage: tea infusion – mild astringent, antidiarrhoic, cosmetic, concentrated decoction – antidote for heavy metals, proteins and alkaloids intoxications

Residues of tea harvest – for caffeine isolation.

Beverages.



THEAE FOLIUM – TEA LEAVES- HARVEST



COLAE SEMEN – KOLA NUTS (ČL 2005)

Source: *Cola nitida* – kola tree, *C. acuminata* – K. zašpičatělá (Sterculiaceae)

Trees native to tropic Africa, cultivated in Brazil, at Jamaica, Java, Madagascar, in Cameroon and Togo

Fruit is a capsule containing 3-6 seeds

Drug: from rippen fruits obtained and sun-dried seminal germ

CC: 1-3 % of caffeine, 0,1-0,2 % of theobromine, partially linked-up with tannins – so called colateins; 3-5 % of catechine tannins (produced during drying process, starch, fat

Usage: galenic preparations with psychostimulation and diuretic effects

Beverages.

Coca-Cola contain cca 20 mg of caffeine in 100 ml

Coca-Cola – 1886 PhMr. Pemberton and Asa Chandler



CACAO SEMEN – COCOA BEANS

Source: *Theobroma cacao* – cacao tree
(Sterculiaceae)

Caulifloral tree native to tropic Middle and South America; important part of tropical agriculture (Brazil, Ghana, Niger)

Fruits are capsules containing 25-30 seeds in five lines

Seeds are sun-fermented (splitting of bitter substances, formation of fragrant compounds and dark pigmentation. After that follows roasting at 100-140 °C, which gives typical odor and smell)

Drug: fermented and roasted germ, resp. its cotyledons

CC: 1-2 % of theobromine, 0,05-0,2 % of caffeine, cca 50 % of fat, cca 5 % of tannins and starch


Grinding between hot rollers – cacao mass, hydraulic pressing – Oleum cacao, residue is cacao powder

Usage: testa for theobromine isolation; cacao powder – snacks; Oleum cacao – additive, chocolate manufacturing



CACAO SEMEN – COCOA BEANS





MATÉ FOLIUM – MATE LEAVES

Source: *Ilex paraguariensis* – yerba mate (Aquifoliaceae). Tree native in South America, cultivated. Main producer Argentina

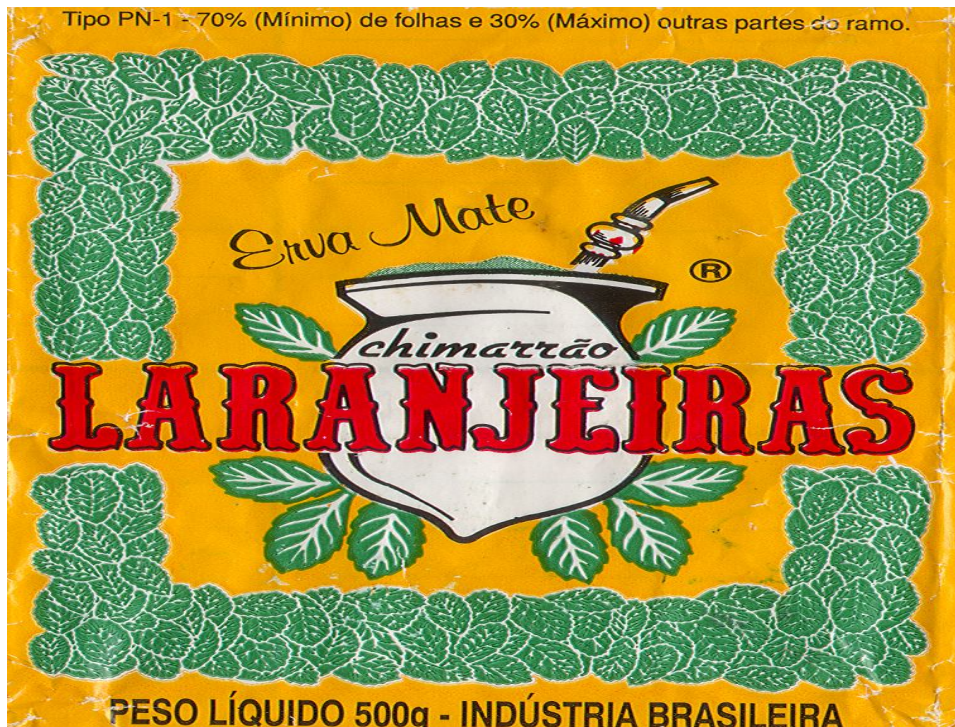
Drug: bright-green skinny glossy leaves.
Inactivation of enzymes – branches are drag out through flames without smoke – this makes their special odor. Than dried in driers.

CC: 1-1,5 % of caffeine, 0,05-0,3 % of theobromine; cca 12 % of chlorogenic acid, essential oil, flavonoids

Usage: Preparation of beverages with psychostimulating effects. For European people often too acrid and too „smoked“



Tipo PN-1 - 70% (Mínimo) de folhas e 30% (Máximo) outras partes do ramo.



GUARANA – PASTA GUARANA

Source: *Paullinia cupana* – guarana (Sapindaceae). Climbing vine from Brazilian and Venezuelan rain forests. For usage is cultivated. Fruit is capsule. Seeds are after harvest dried and roasted.

Drug: roasted grinded seeds formed (water + starch) sticks.

CC: caffeine cca 5 %, catechine tannins to 25 %, starch, fat

Usage: Stimulant and admixture to analgesic mixtures. In Europe used not so often, more at America to prepare refreshing beverages.



Del Super Precio y comparte todo el Sabor

NO RETORNABLE

\$12.00!

un producto de The Coca-Cola Company

SENZAO MR
Guaraná

NO RETORNABLE

\$12.00!

1er Aniversario

Disfruta del Super Precio y comparte todo el Sabor de Senzao. La exótica bebida de Guaraná con toda la alegría y sensualidad del Brasil.

REFRESCO

CONT. NET. 2 L

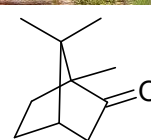
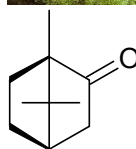
The advertisement features a vibrant yellow and red background with a halftone dot pattern. The central focus is the 'SENZAO' logo in large, stylized red letters with a purple outline, and 'Guaraná' written below it in a cursive font. To the right, a smaller version of the logo is accompanied by the text '1er Aniversario'. The top of the ad has the slogan 'Del Super Precio y comparte todo el Sabor' in yellow on a red background. Two green price tags with '\$12.00!' are placed on either side of the main logo. At the bottom, there are decorative elements including a small 'REFRESCO' logo and a row of stylized figures.

CAMPHORA D – D-CAMPHOR (ČL 2002) CAMPHORA NATURALIS – NATURAL CAMPHOR

SOURCE: *Cinnamomum camphora* – camphor laurel (Lauraceae). Burly tree, evergreen, native at east Asian coastal area. Cultivated in other tropic and subtropic countries (Florida, East Africa). For camphor production are used trees at least 50 years old, camphor is obtained by steam distillation.

Usage: Central analeptic in form of intramuscular injections (obsolete now)

Externally derivans, antipruriginose
Production of celluloid and smokeless powder



PICROTOXINE

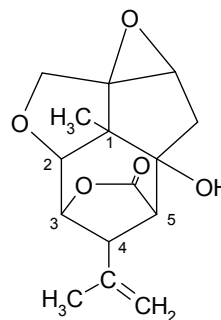
Source: *Anamirta cocculus* – fishberry (Menispermaceae); climbing vine native to India and Malaysia.

Drug: fruits – drupes Ø 1 cm

CC: to 1,5 % of picrotoxine

Effect: Potent central analeptic, it is not used in clinics because of narrow therapeutic window.

Used for water poaching – fishes convulsively swallow air





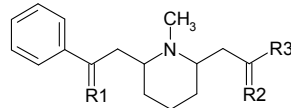
LOBELINE

Source: *Lobelia inflata* – indian tobacco (Lobeliaceae). Biennial plant native to North America. In Europe cultivated.

Drug: Herb cut and dried in flowering period.

CC: 0,2-1 % of piperidine alkaloids; important is lobeline and isolobinine.

Usage: Stimulant of respiratory center (today obsolete); component of antiasthmatic preparations (isolobinin triggers reflectoric bronchial secretion at mucose and support expectoration)



lobeline,
isolobinine,

R1=O,
R1=O,

R2=H,OH,
R2=H,OH,

R3=C₆H₅,
R3=C₂H₅



STRYCHNINE

Source: *Strychnos nux vomica* – nux vomica (Loganiaceae); tree or shrub native to tropic India, Ceylon and northern areas of Australia; cultivated in Cameroon, Cambodia. Fruit = berry of apple size, inside 4-5 seeds.

Drug: flatt seed, on the margin thickened, Ø 15-25 mm, rich in presence of surface trichomes.

CC: 2,5-4 % of indol alkaloids, 90 % of strychnine and brucine. Oil, loganine.

Usage: For isolation of strychnine and brucine and for preparation of Strychni tinctura – syn. Nucis vomicae tct.

- To treat perception disorders
- myopathic insufficiency of vocal cords
- atonia of GIT
- amare (bitter taste)

