

Outline

1 Natural product chemistry

Polyketides and derived products

- Short molecules with interleaving ketogroups
- Many antibiotics (e.g., tetracycline, erythromycin)

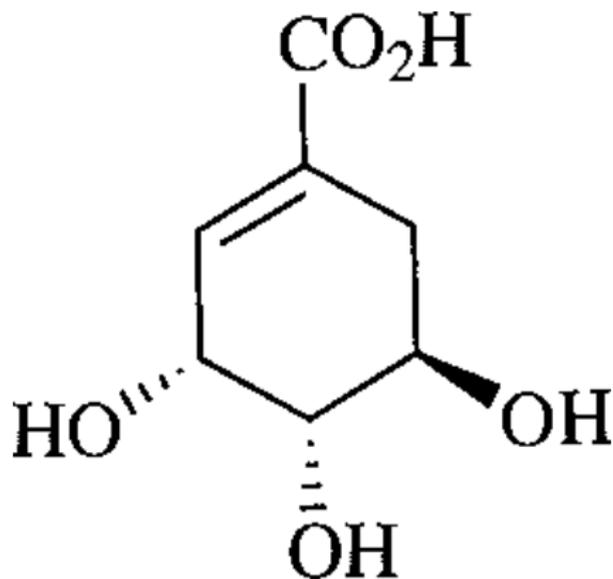
Glycerides

- Saturated fats
- Unsaturated fats, especially omega-n-unsaturated

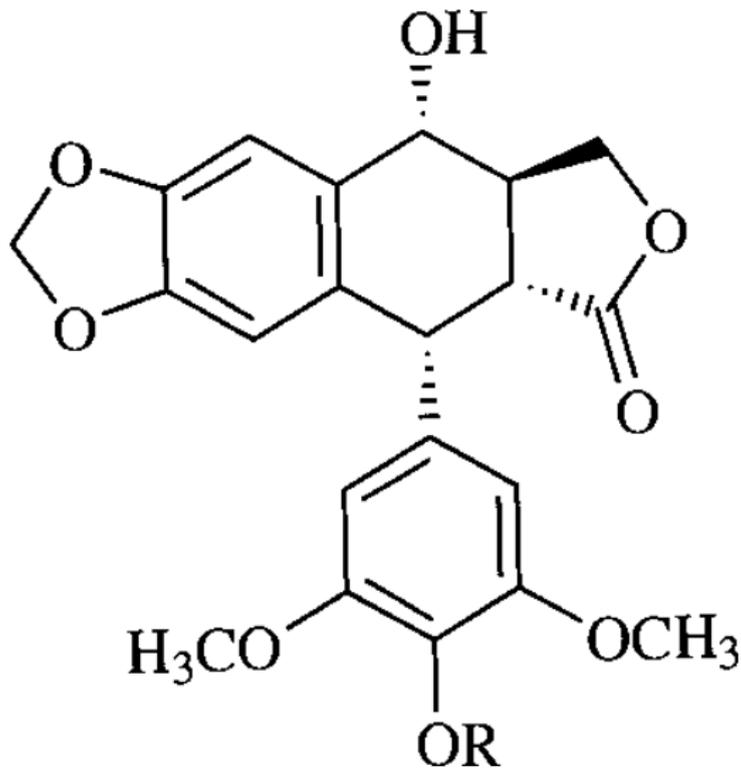
Shikimic acid and derived products

- Phenylpropenes, like eugenol
- Lignans like podophyllotoxin

Shikimic acid



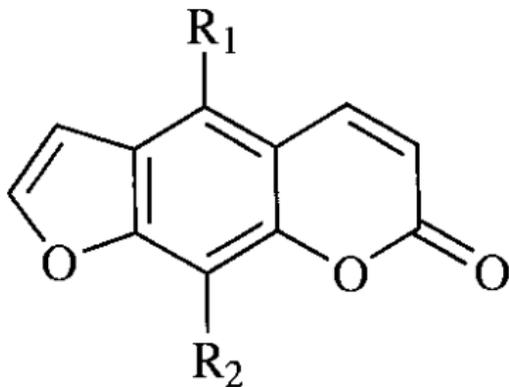
Podophyllotoxin



Coumarins

- Phytoalexins with anti-bacterial properties
- Some (psoralens from umbel family plants and bergapten from citrus family) are phototoxic

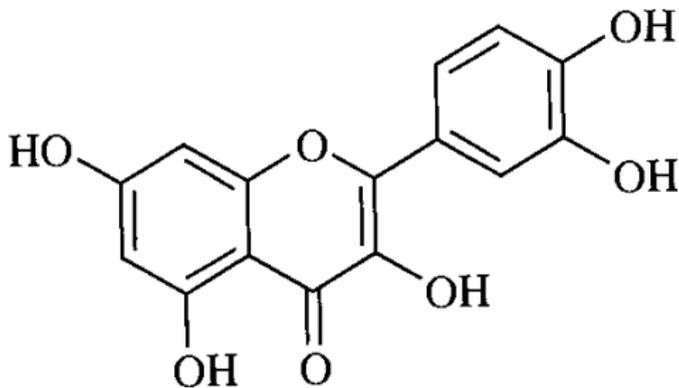
Psoralen



Flavonoids

- Derivatives of phenylpropane (C_6-C_3)
- Strong antioxidants
- Examples: naringin from grapefruit, quercetin from oak and other plants, resveratrol from grapes

Quercetin (flavonoid)



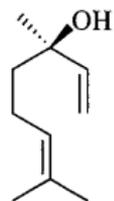
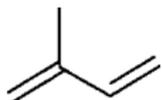
Tannins

- Similar to flavonoids, but much heavier
- Bind to proteins and provide astringent taste

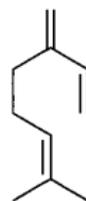
Terpenes and monoterpenes

- Terpenes = isoprenoids, derivatives of isoprene (C₅ unit)
- Monoterpenes are simplest, they are constituents of volatile (essential) oils
- Examples: menthol from mint, myrcene from *Eucalyptus*, camphor, iridoids like valepotriates from valerian

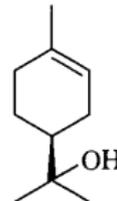
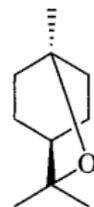
Isoprene and monoterpenes



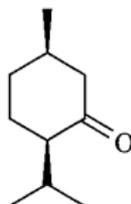
(+)-Linalool



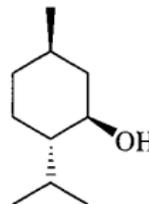
Myrcene

 α -Terpineol

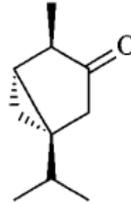
1,8-Cineole



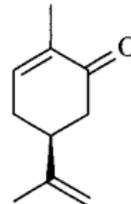
(-)-Menthone



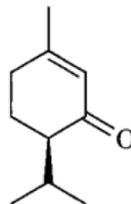
(-)-Menthol



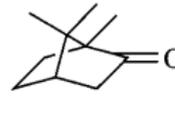
(-)-Thujone



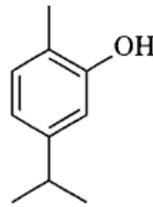
(+)-Carvone



(-)-Piperitone

 α -Pinene

Camphor

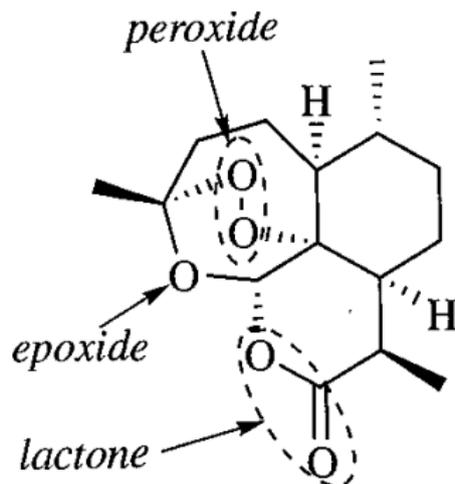


Carvacrol

Sesquiterpenes

- Have C₁₅ skeleton
- Example: artemisinin from sage

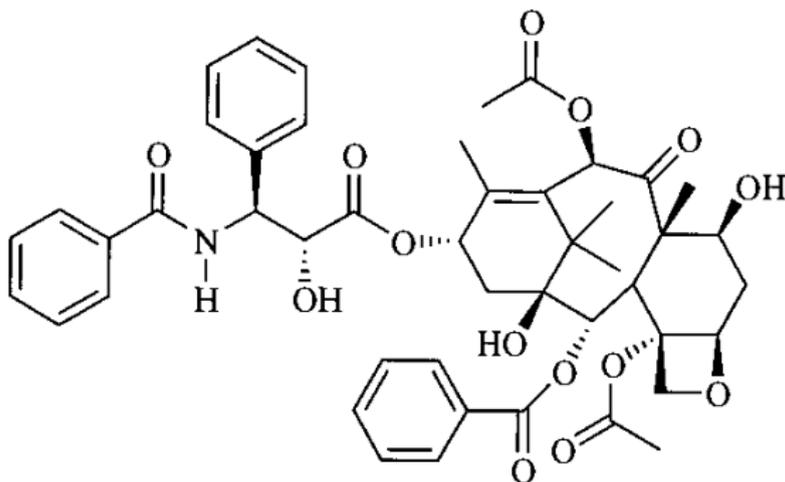
Artemisinin (sesquiterpene)



Diterpenes

- Have C₂₀ skeleton
- Example: taxol from yew tree (actually, mostly from its endophyte *Taxomyces*)

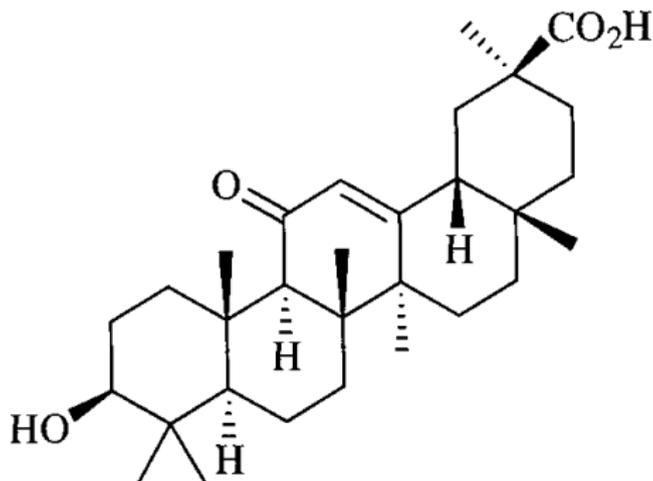
Taxol (diterpene)



Triterpenes

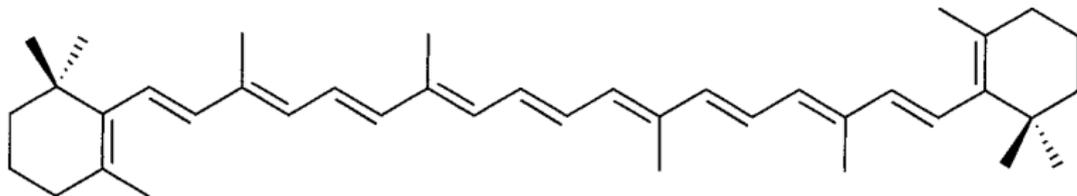
- Have C_{30} skeleton and (often) four condensed rings
- Examples: steroids, glycyrrhetic acid from liquorice and resins

Glycyrrhetic acid (triterpene)



Tetraterpenes

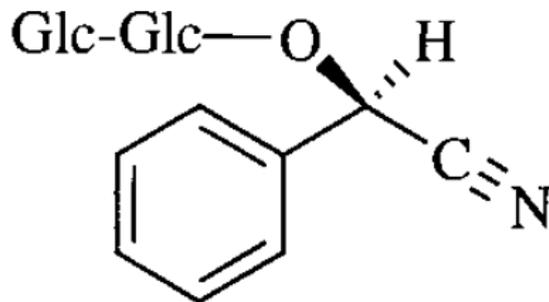
- Have C₄₀ skeleton and four condensed rings
- Carotenes, like β -carotene from carrot and lycopene from tomato

β -carotene (tetraterpene)

Glycosides I

- Glycosides are any radicals binded to monosaccharides
- Cyanide glycosides have HCN (cyanide group)
- Example: amygdalin from almond
- Glucosinolates contain allyl isothiocyanate group
- Example: mustard oils of cabbage family plants

Amygdalin (cyanide glycoside)

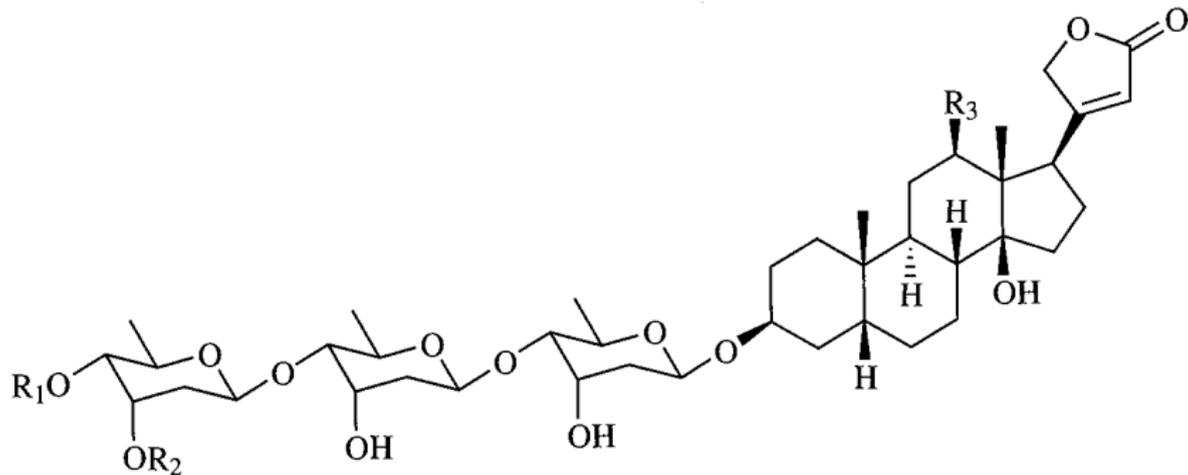


Amygdalin (Glc = glucose)

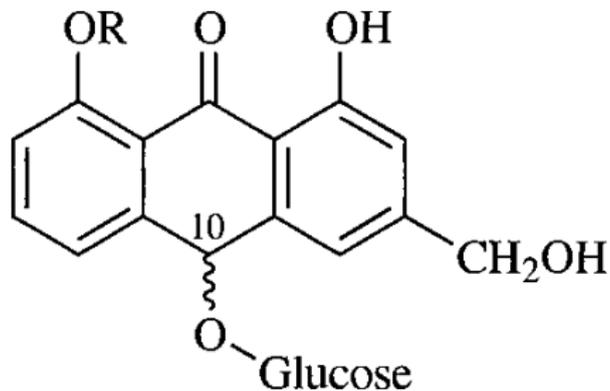
Glycosides II

- Cardiac glycosides are “steroid-like”
- Example: digotoxin from foxglove (*Digitalis*)
- Anthraquinone glycosides contain anthraquinone nucleus (3-ring system)
- Examples: aloin from *Aloë*, cascarioside from cascara (*Rhamnus purchiana*); often laxative

Digitoxin (cardiac glycoside)



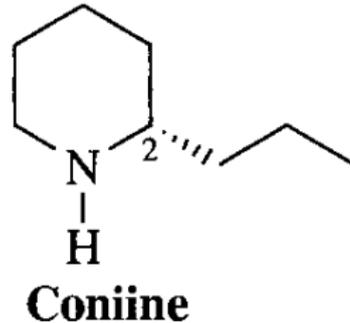
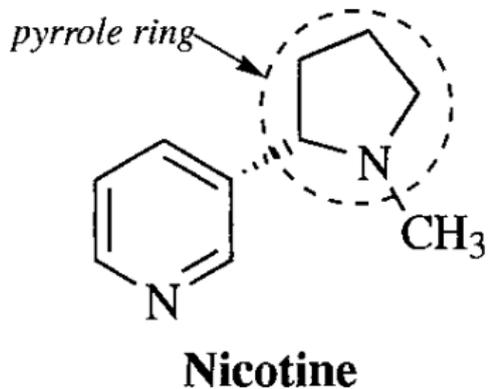
Aloin (antraquinone glycoside)



Alkaloids I

- Alkaloids are most important pharmaceutical components from plants
- They are based on heterocyclic rings and related to nucleic bases
- Pyridine-like alkaloids are based on pyridine ring
- Examples: nicotine, coniin from hemlock

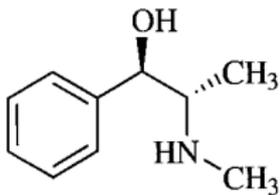
Pyridine alkaloids



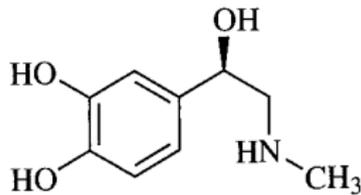
Alkaloids II

- Phenylalkamine alkaloids are amines, not heterocycles
- Ephedrine which is similar to adrenaline; hallucinogenic mescaline from peyote cactus (*Lophophora williamsii*); dangerous colchicine from autumn crocus (*Colchicum*)
- Quinoline and isoquinoline alkaloids contain more than two rings
- Famous group: quinine from *Cinchona* tree; morphines from opium poppy; tubocurarine, main component of curare poison from *Chondrodendron*; emetine from ipecac (*Caephaelis*)

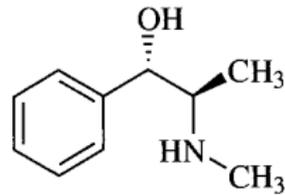
Phenylalkamine alkaloids



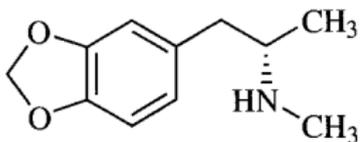
(-)-Ephedrine



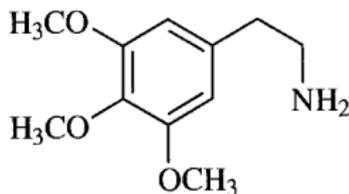
Adrenaline



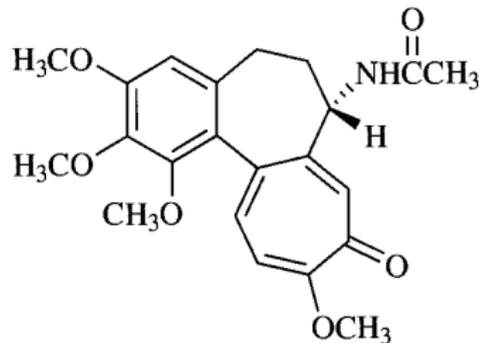
(+)-Pseudoephedrine



MDMA (ecstasy)

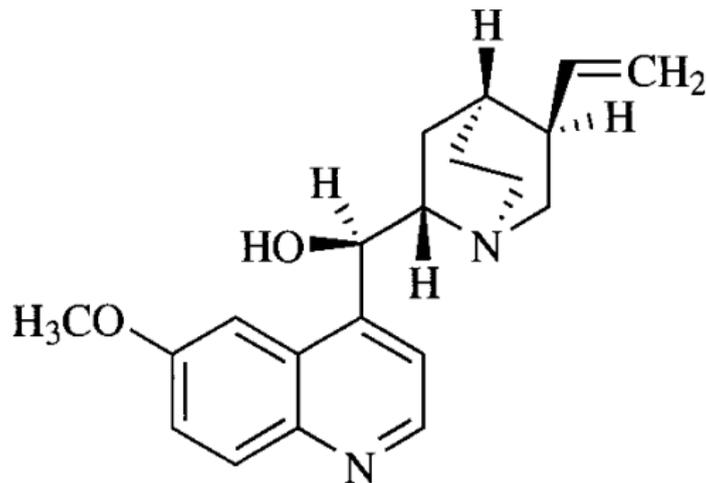


Mescaline

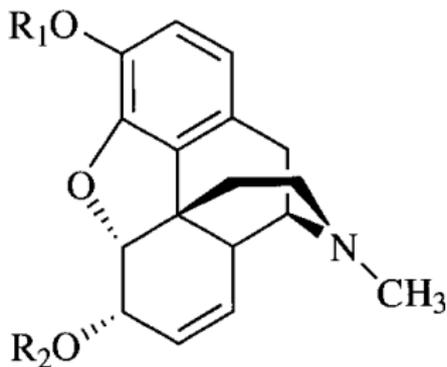


Colchicine

Quinine (quinoline alkaloid)



Morphine (isoquinoline alkaloid)



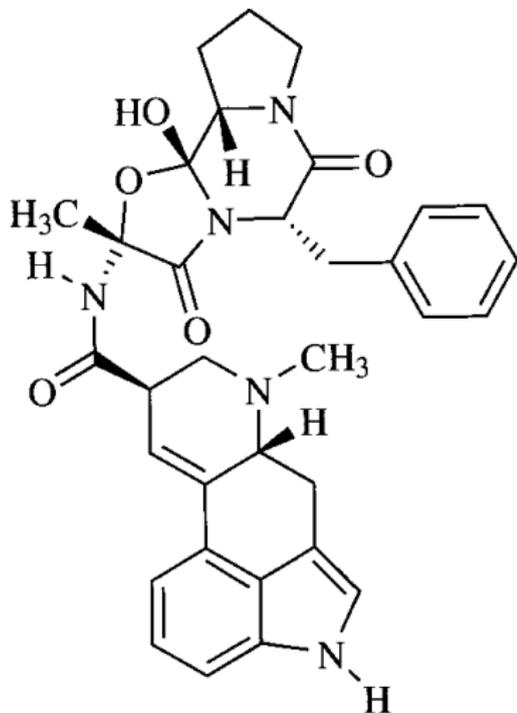
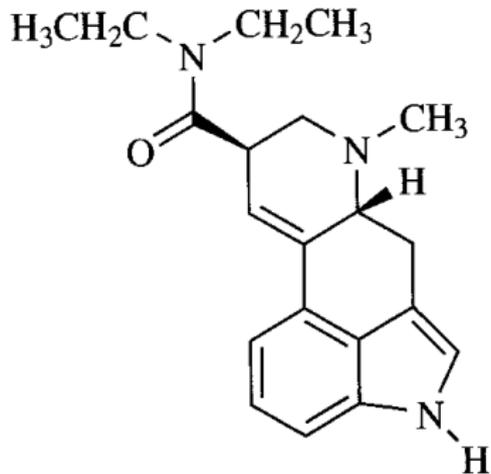
Morphine, R₁ = R₂ = H

Heroin, R₁ = R₂ = acetyl

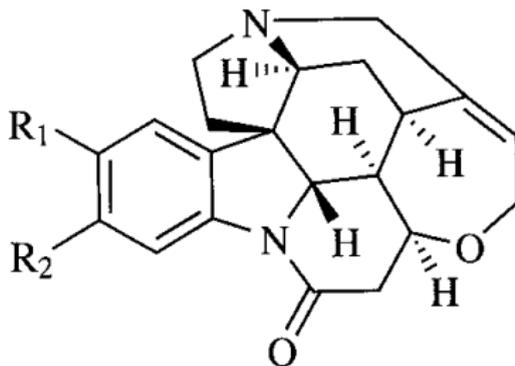
Alkaloids III

- Indole alkaloids contain connecting nitrogen atom
- Examples: reserpine from snake root (*Rauvolfia*), LSD which is a chemical analog of ergotamine from ergot fungus which is a rye parasite; brucine which is a powerful poison from nux-vomica (*Strychnos*).
- Tropane alkaloids contain tropane “chair”
- Examples: hyosciamine from deadly nightshade (*Atropa*) and cocaine from *Erythroxylon*
- Xanthine alkaloids are derivatives of xanthine (with two ketone groups)
- Examples: caffeine, theophylline, theobromine from coffee, tea and cocoa, respectively

Ergotamine and LSD (indole alkaloids)

**Ergotamine****LSD (Lysergic acid diethylamide)**

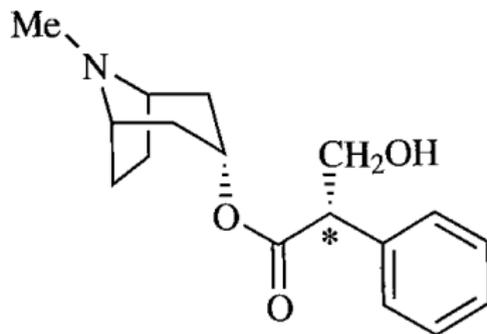
Brucine (indole alkaloid)



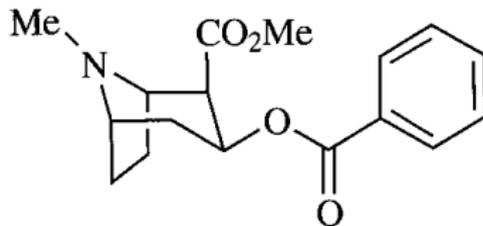
Strychnine, $R_1 = R_2 = H$

Brucine, $R_1 = R_2 = CH_3O$

Tropane alkaloids

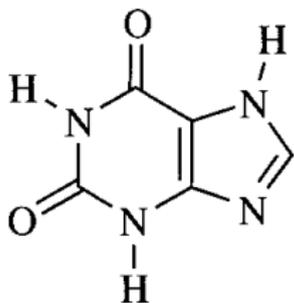


(-)-Hyoscyamine

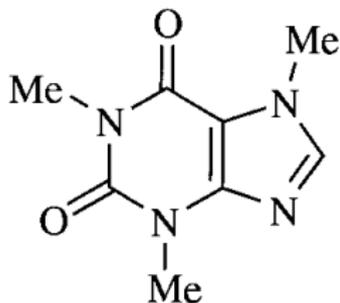


Cocaine

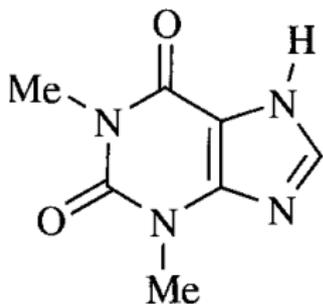
Xanthine alkaloids



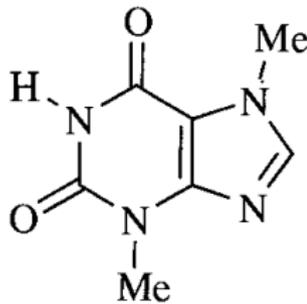
Xanthine



Caffeine



Theophylline



Theobromine

Summary

- Polyketides are source chemicals to many antibiotics
- Derivatives of shikimic acid are phenylpropenes, lignans, coumarins, flavonoids and tannins
- All terpenes (including carotenes, steroids and resins) are derivatives of isoprene
- Glycosides is an artificial group
- Alkaloids are relatives of nucleic bases; they are most important plant chemicals

For Further Reading



A. Shipunov.

Ethnobotany [Electronic resource]. 2011—onwards.

Mode of access:

http://ashipunov.info/shipunov/school/biol_310



M. Heinrich and others.

Fundamentals of pharmacognosy amd phytotherapy (selected chapters). [Electronic resource].

Churchhill Livingstone, 2004.

Mode of access: http://ashipunov.info/shipunov/school/biol_310/heinrich2004_fund_pharm_part.djvu

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