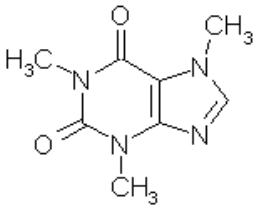
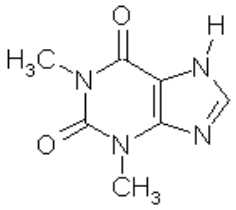
Pharmacognosy
Alkaloids

lec 8

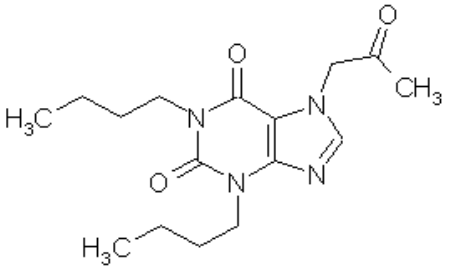
Purine alkaloids



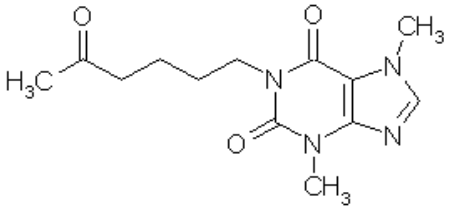
Caffeine



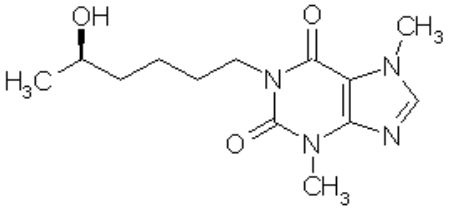
Theophylline



Denbufylline



Pentoxifylline



Lisofylline

Sometimes called alkaloids, xanthine derivatives are stimulants and bronchodilators. Caffeine 1,3,7-trimethyl xanthine is the most common and found in tea and coffee. The derivative **theophylline** (1,3-dimethylxanthine, found in trace amounts in tea) is used in the treatment of asthma.

Theobromine (3,7-dimethyl-xanthine) is found in chocolate – which is made from the beans of *Theobroma cacao* (NB: 'Theobroma' means food of the gods!)

Caffeine

Occurs in

Coffee ,tea , cacao, cola and mate. Although can be produce synthetically , but usually prepared from tea. Solubility in water can be markedly increased by the presence of citric acid or benzoic acid , medicinal compounds of this class are citrated caffeine which is suitable for intramuscular injection

To treat circulatory failure

Caffeine and related derivatives are CNS stimulant.

Green tea is prepared in China and Japan

By rapidly drying the fresh leaves in copper pans over a mild artificial heat.

Black tea the fresh leaves are left until fermentation began.

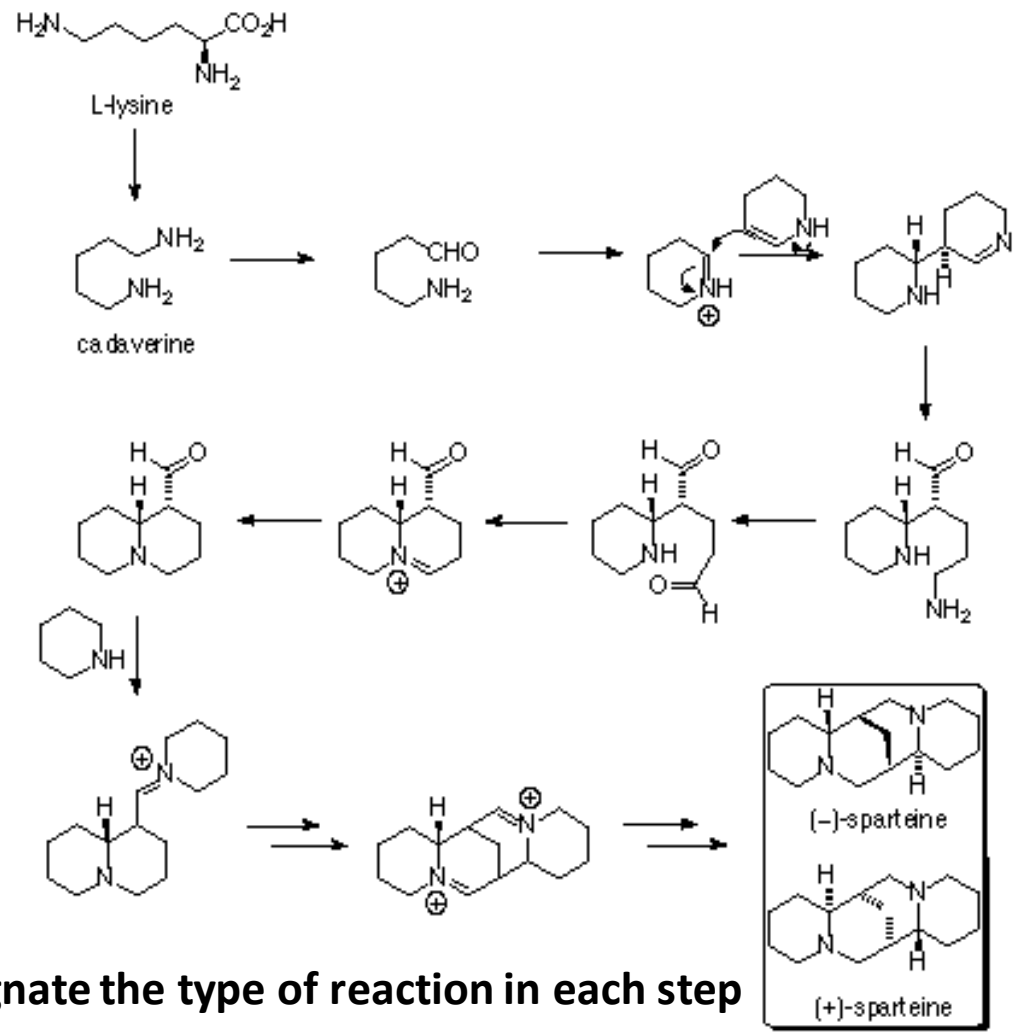
Lupinane alkaloid

Quinolizidine

The most important alkaloid is **Sparteine** is a class of antiarrythmic agent a sodium channel blocker. It is an alkaloid and can be extracted from scoparius broom. It is the predominant alkaloid in Lupinus mutabilis, and is thought to chelate the bivalents calcium and magnesium It is not FDA approved for human use as an antiarrhythmic agent, and it is not included in. is used as oxytocic (stimulate contraction of uterus

It is also used as a chiral base in Organic synthesis

Biosynthesis of sparteine



Note: designate the type of reaction in each step

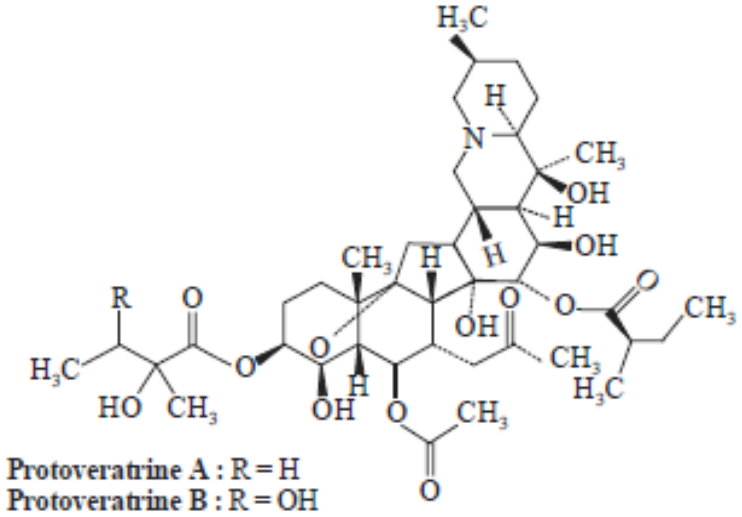
Pseudoalkaloids

1- Steroidal alkaloids

Veratrum album (the white veratrum) grown in europe used as pesticide

Verartrum viridi (green veratrum) contains the alkaloid veratraine and protoveratrine

Veriloid is a mixture of veratrum alkaloid. Used as hypotensive agent.



Protoveratrine A : R = H
Protoveratrine B : R = OH

Verartrum toxicity

Veratrum species produce highly toxic steroidal alkaloids only when the plants are in active growth. During the winter months, when the plant enters its dormant stage, it degrades and metabolizes most of its toxic alkaloids. Herbalists and Native Americans who used this plant for medicinal purposes harvested the roots during the winter months when the levels of toxic constituents were at their lowest.

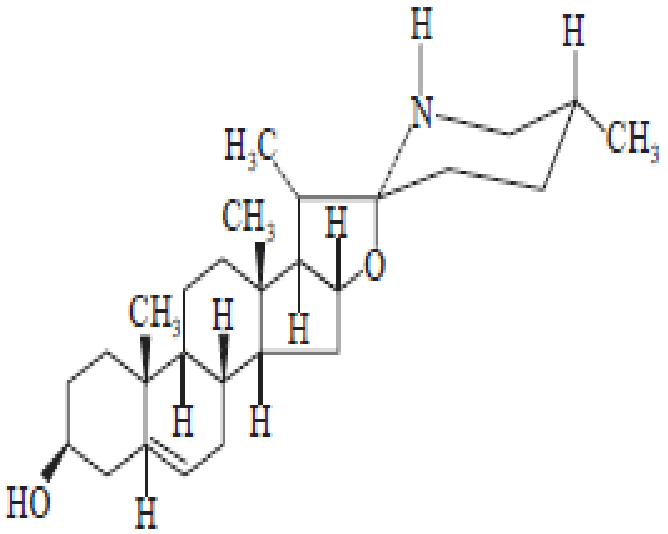
The roots of *V. nigrum* and *V. schindleri* have been used in Chinese herbalist (where plants of this genus are known as "li lu Li lu is used internally as a powerful emetic of last resort, and topically to kill external parasites, treat tinea and stop itching.. Some herbalists refuse to prescribe li lu internally, citing the extreme difficulty in preparing a safe and effective dosage

Solanum alkaloids

A good number of plants belonging to the natural order *Solanaceae have been found to accumulate* favourably several steroidal alkaloids based on a *C27 cholestane skeleton, such as: solasodine,*

Nightshade, Felonwood); leaves of *S. nigrum* L. (*Solanaceae*) (Wonderberry, Black Nightshade, Prairie Huckleberry).

Chemical Structure

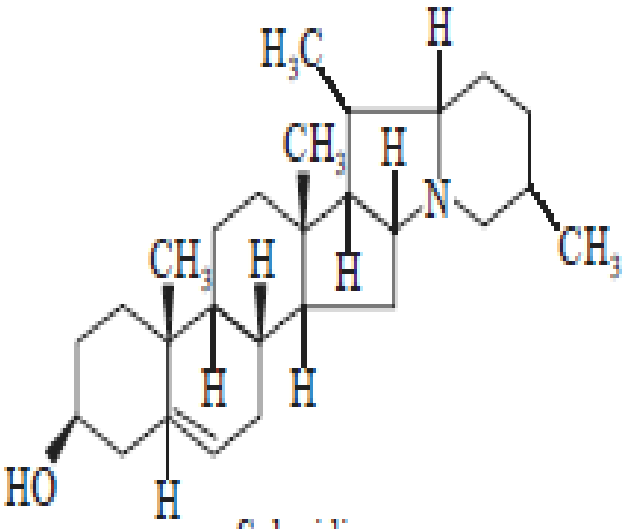


Solasodine

solanidine

Biological Source The plant of *Capsicum annuum* L. (*Solanaceae*) (**Chili, Peppers, Paprika**) contains solanidine.

Chemical Structure



Solanidine

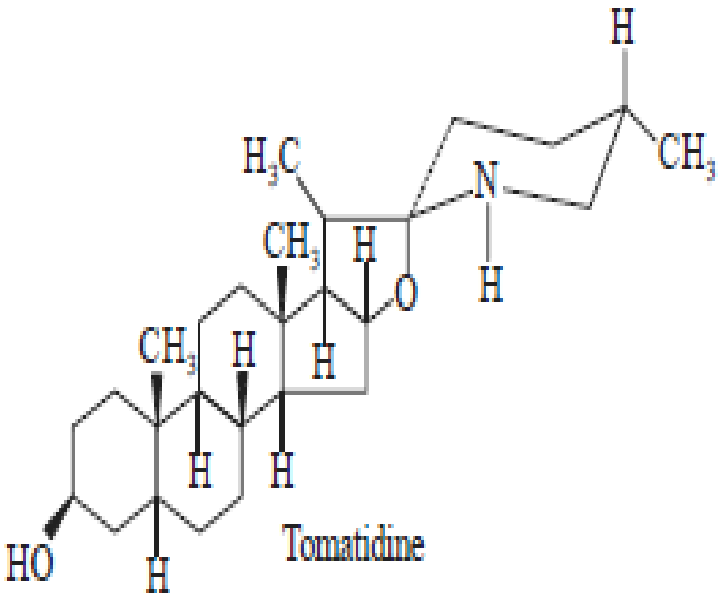
(3β)-Solanid-5 en-3-ol; ($C_{27}H_{43}NO$).

Solanum alkaloids

A.2 Tomatidine

Biological Source It is obtained from the roots of Rutgers tomato plant [*Lycopersicon esculentum* Mill., cultivar. "Rutgers"] (*Solanaceae*) (Tomato).

Chemical Structure (3β, 5α, 22β, 25 S)-Spirosolan-3-ol; ($C_{27}H_{45}NO_2$).



Tomatidine

Pseudo alkaloids

Terpenoid alkaloids

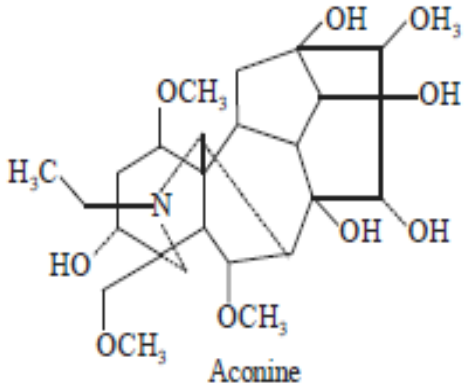
Aconite: Is the dried roots of Aconitum napellus (Ranunculaceae).

Aconite contains diterpine alkaloids aconine and aconitine.

Aconine

antineuralegic liniment a “counterirritant” for treating facial pain, joint pain

Aconite root contains chemicals that may improve circulation, but it also contains chemicals that can seriously harm the heart, muscles, and nerves.



Aconine

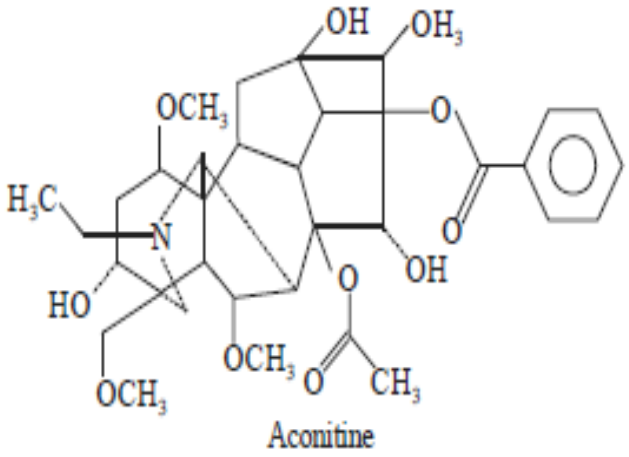
Characteristic Features

(*i*) It is an amorphous powder with a bitter taste.

(*ii*) It has mp 132°C, $[\alpha]_D$ + 23° and pK_a 9.52.

(*iii*) It is extremely soluble in water, alcohol; moderately soluble in chloroform and slightly soluble in benzene. It is practically insoluble in ether and petroleum ether.

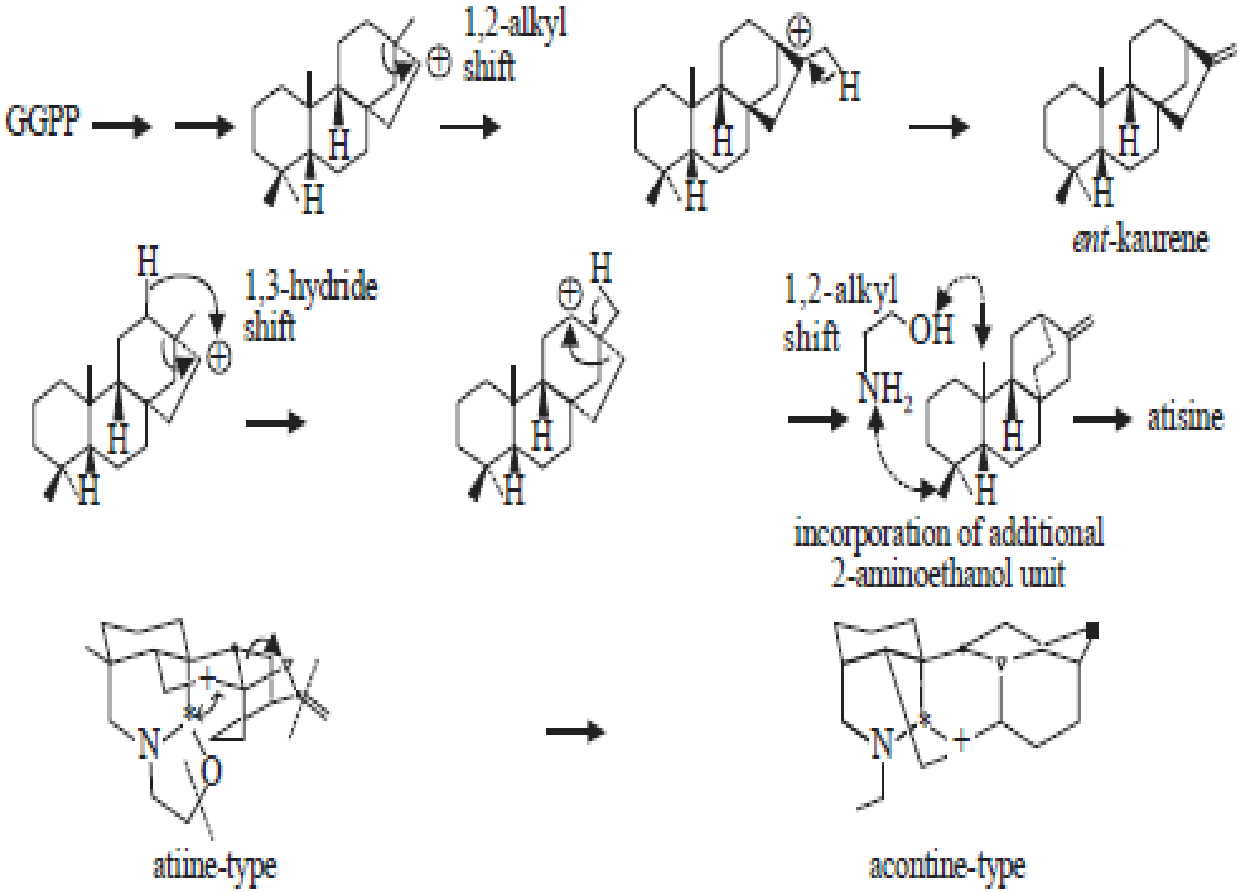
Aconitine is ester of aconine



Aconitine

Used to treat neuralgia and induce arrhythmia in experimental animal

Biosynthesis of aconite alkaloids



Biosynthesis of Aconitine-Type Alkaloids

Thank you for listining

THE END