

# PHARMACOGNOSY

## Alkaloids

### Lec 5

# Quinoline alkaloids

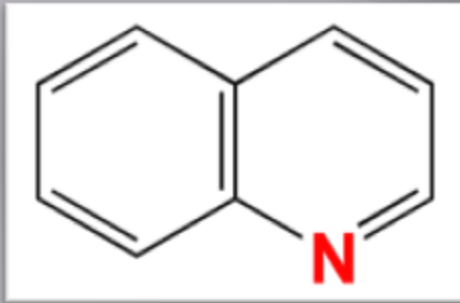
**Quinine:** from *Cinchona bark*, also known as 'fever bark' and 'Jesuit's bark' (who introduced it to Europe). An antimalarial from which newer drugs (e.g. chloroquine, mefloquine) have been developed. Also acts as an anti-arrhythmic, so avoid in heart disease. Used in 'tonic water'

**Quinidine:** closely related to quinine, a more potent anti-arrhythmic

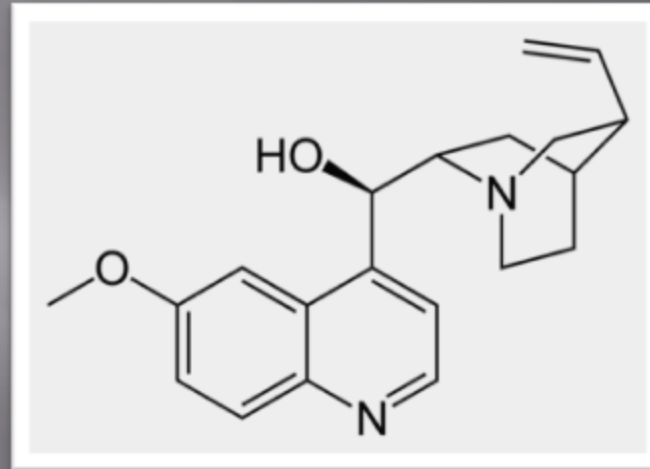
# Cinchona bark



# Quinine and derivatives

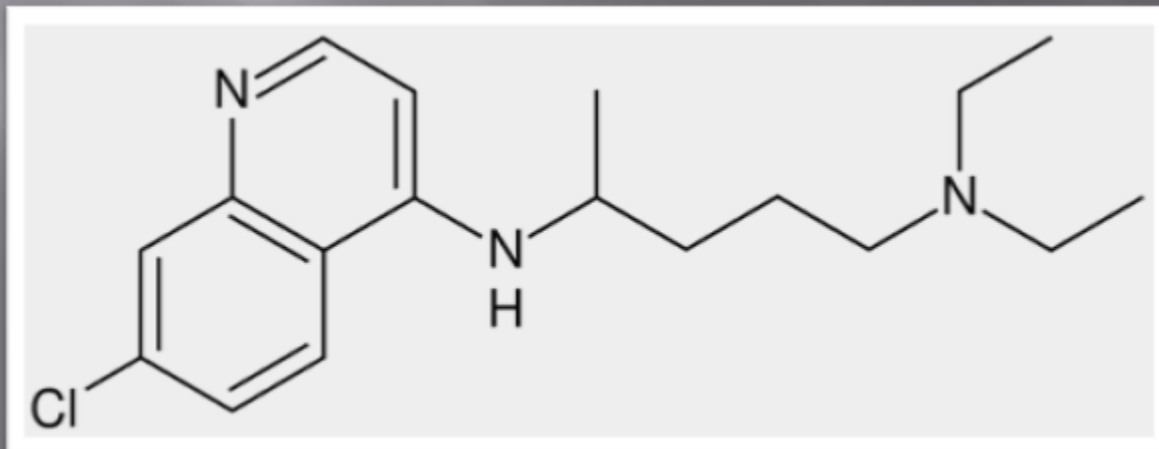


Quinoline nucleus

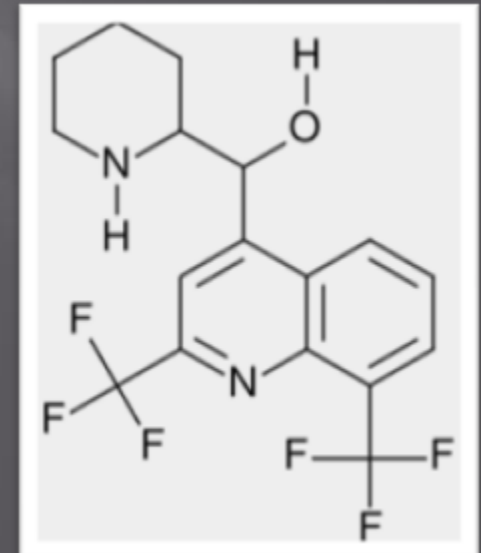


Quinine (natural)

Chloroquine, based on quinine (synthetic)



Mefloquine (synthetic)



# Cinchonism

- ▣ Overdose of cinchona products result in temporary loss of hearing and in impaired sight.
- ▣ Ringing in the ears is symptoms of toxicity.
- ▣ When these symptoms are produced as the result of continuous use of cinchona or of quinine, the condition has been called cinchonism.

# (Benzyl)isoquinoline alkaloids 1: The Opium

Opium is the gummy exudate obtained by incising the unripe capsules of the opium poppy (*Papaver somniferum*, papaveraceae), and contains more than 30 alkaloids, of which the major components are **morphine, codeine, thebaine, papaverine and noscapine.**

Opium poppy  
*Papaver somniferum*

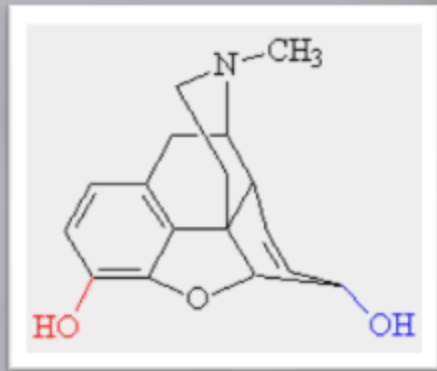


# Morphine

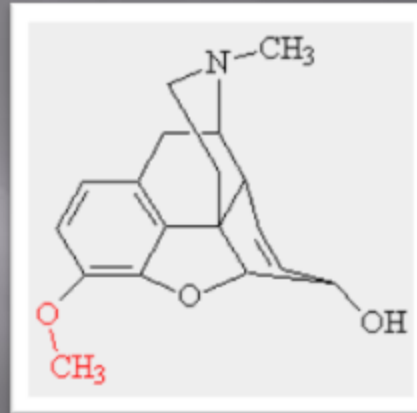
- ▣ Morphine possesses both a basic tertiary amine and an acidic phenolic functional group, these groups allow morphine to be readily purified by acid and base.
- ▣ Morphine is an excellent analgesic.
- ▣ Morphine is readily converted into the drug of abuse, heroin by acetylation of both hydroxyl groups using acetic anhydride.
- ▣ Heroin is more potent analgesic than morphine that it's useful in patient with terminal cancer.



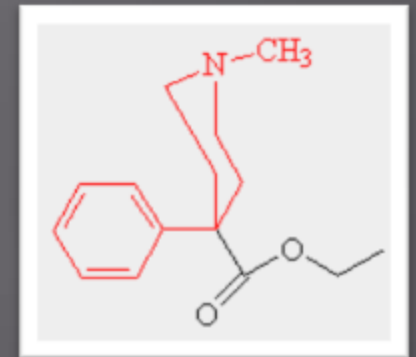
# Benzylisoquinoline alkaloid structures



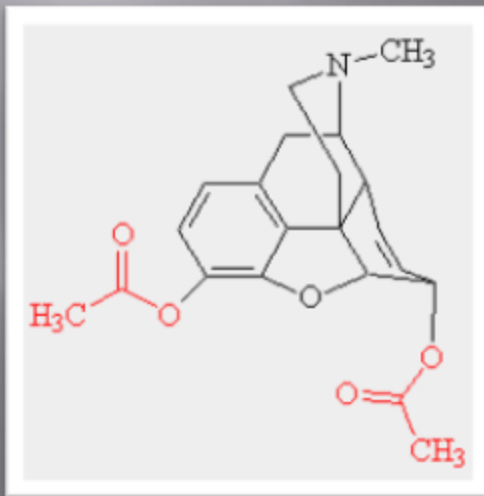
morphine



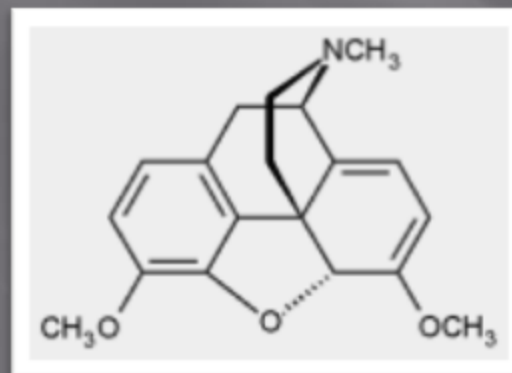
codeine



pethidine



Diamorphine (heroin)

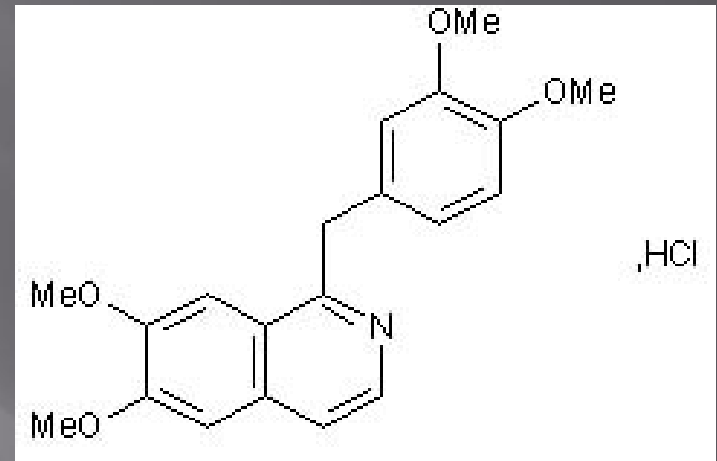


thebaine

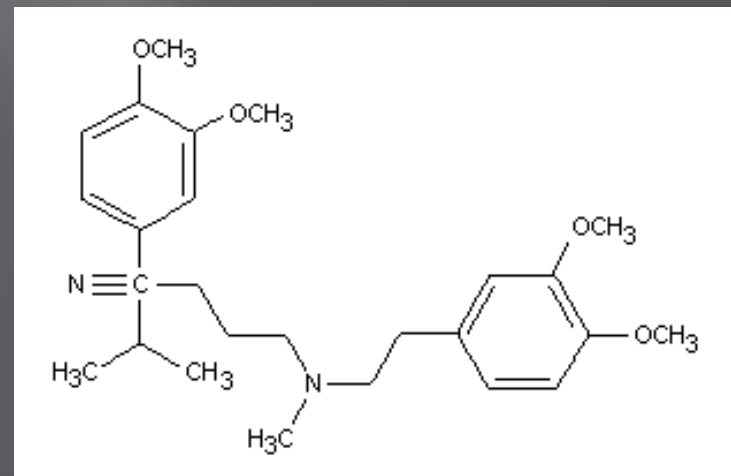
- ▣ Codeine is an over the counter analgesic , cough suppressant and in small doses used to treat diarrhea due to it's antiperistaltic.
- ▣ Codeine is formulated with other analgesic agents such as aspirin and paracetamol.
- ▣ thebaine is the starting point for the synthesis of many agents, including codeine and veterinary sedative such as etorphine.

# Papaverine and verapamil

Papaverine (top) is a smooth muscle relaxant – it was investigated for its calcium antagonist activity



This led to the development of verapamil (bottom), a widely used calcium channel blocker



# Curare

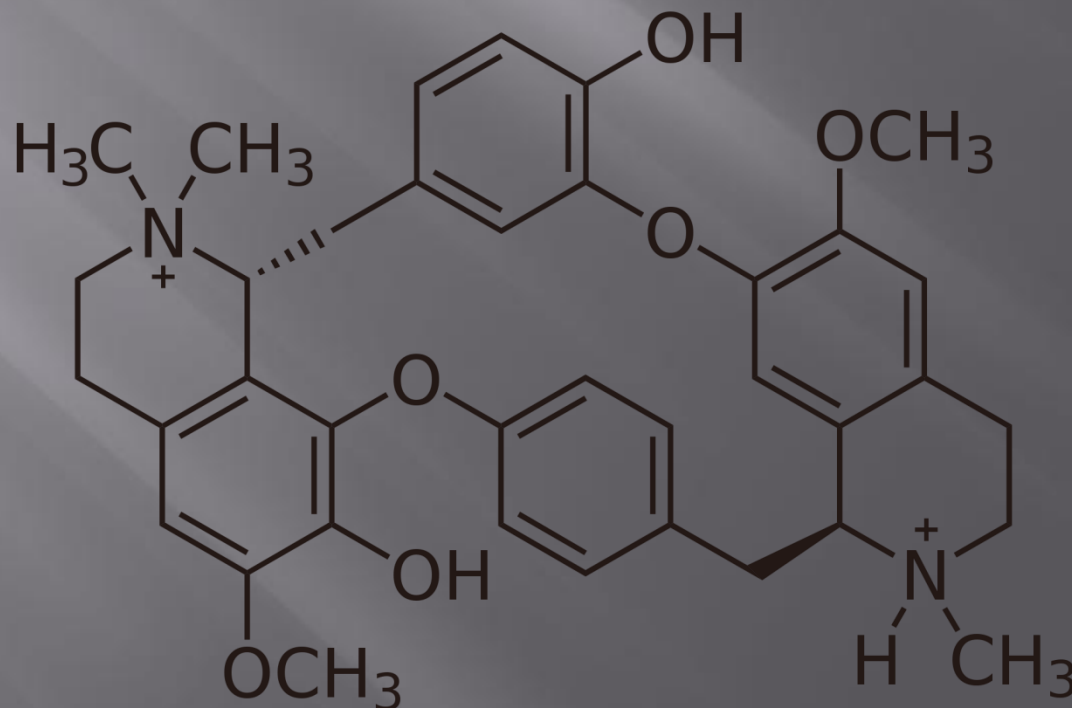
A generic term for these arrow poisons

Most are mixtures of poisons from various plants of unrelated species and some animal toxins)

They cause paralysis of muscle required to breathe when injected (e.g. using an arrow!) but are destroyed by stomach acid, so meat from animals killed by curare can be eaten

Different strengths are used for different purposes – not always to kill

- ▣ The major active component of curare is tubocurarine.
- ▣ Tubocurarine use as a muscle relaxant in surgical procedures . It's also a template for the development of other muscle relaxant such as atracurium.



THANK YOU FOR  
LISTINING

The end