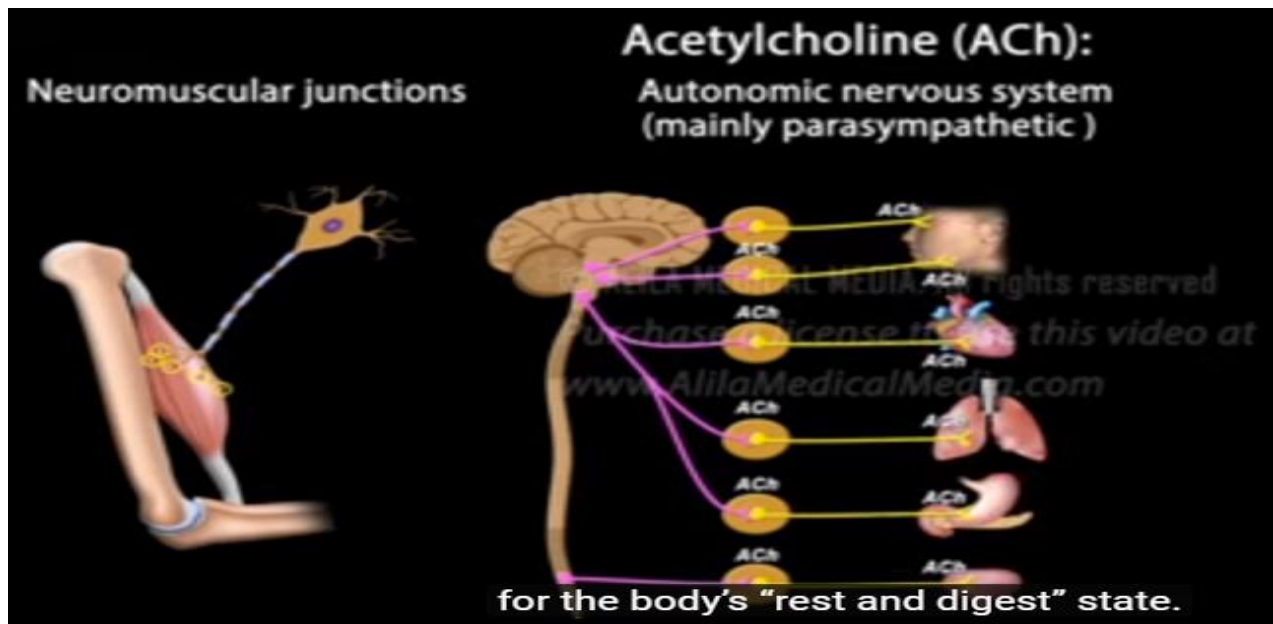


Cholinergic drugs

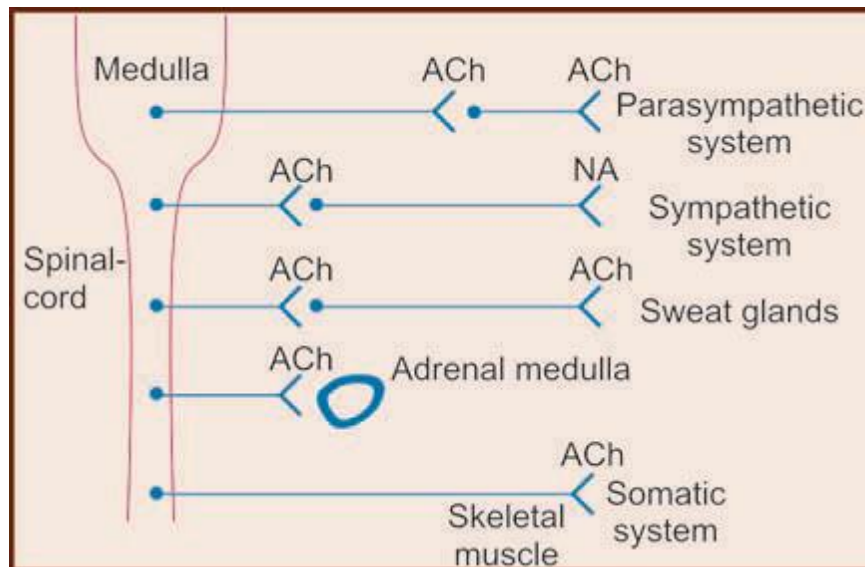
Acetylcholine (ACh) an ester of choline, is the neurotransmitter of the parasympathetic system. The nerves that synthesize, store and release ACh are called 'cholinergic.'



The *sites* of release of acetylcholine are

1. Ganglia – All the preganglionic fibres of ANS, i.e. at both the sympathetic and parasympathetic ganglia.
2. The postganglionic parasympathetic nerve endings.
3. Sweat glands – The sympathetic postganglionic nerve endings supplying the sweat glands.
4. Skeletal muscles – somatic nerve endings supplying skeletal muscles.
5. Adrenal medulla.

6. CNS – brain and spinal cord

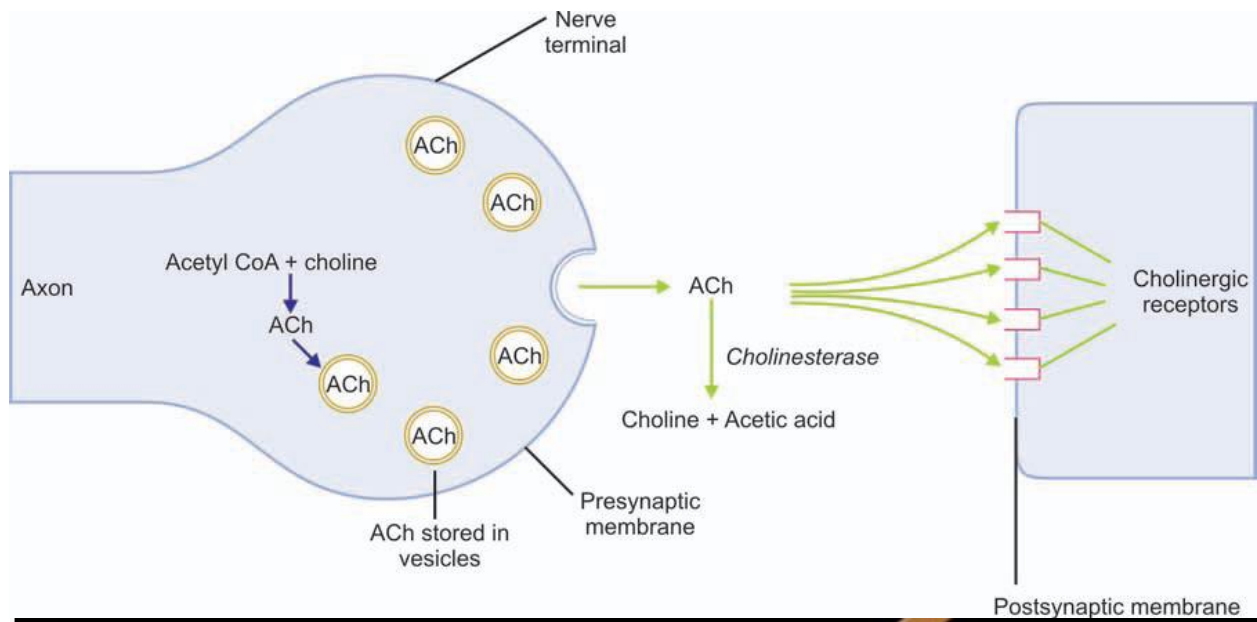


Synthesis of Acetylcholine Acetylcholine is synthesized from acetyl-CoA and choline, acetyltransferase. This ACh is stored in small oval vesicles in the cholinergic nerve terminals.

Transmission of an impulse When an action potential reaches the presynaptic membrane, ACh is released into the synaptic cleft. This ACh binds to and activates the cholinergic receptor on the postsynaptic membrane leading to the depolarisation of this membrane.

ACh released into the synaptic cleft is rapidly destroyed by the enzyme acetylcholinesterase (AChE). Then the postsynaptic membrane is repolarised.

Cholinesterases Acetylcholine is hydrolysed to choline and acetic acid by the enzymes cholinesterases.



Choline + Acetyl-CoA

↓ Choline acetyltransferase

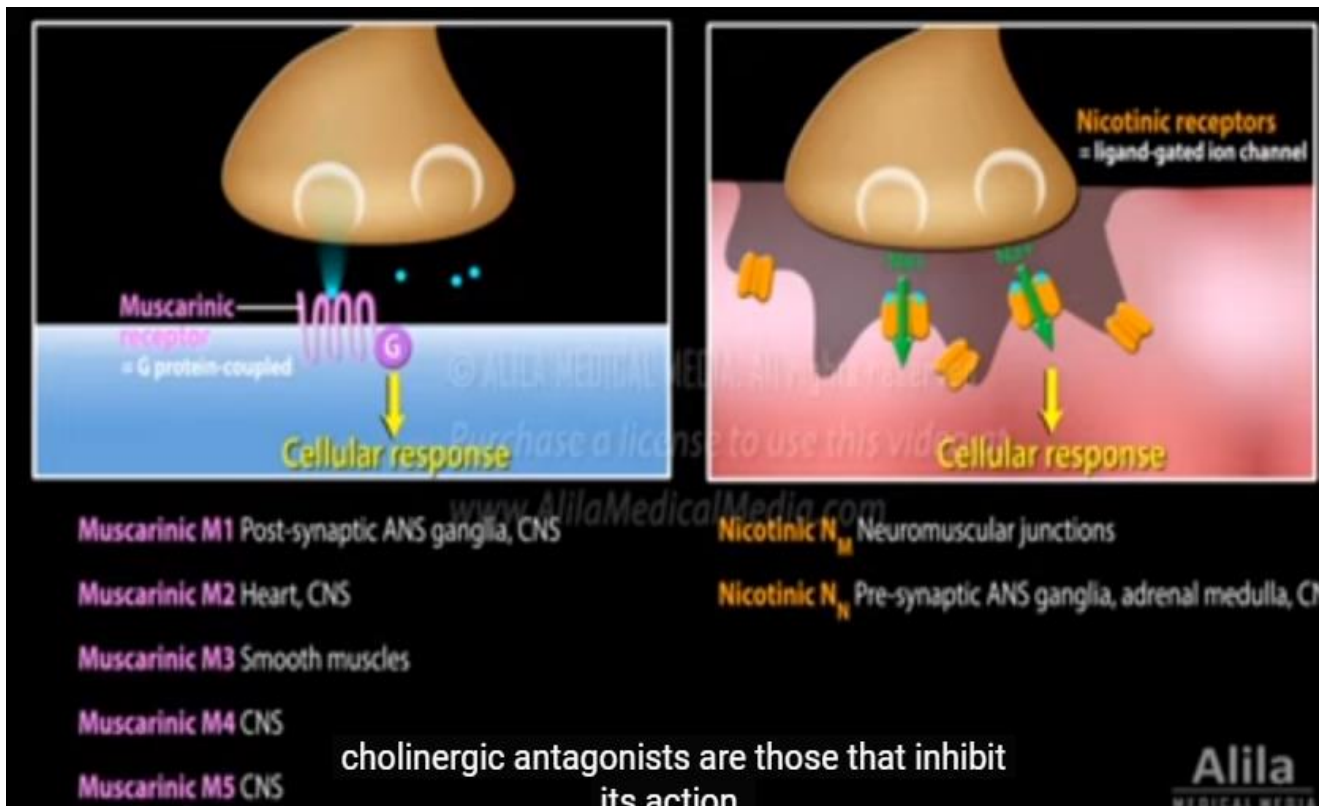
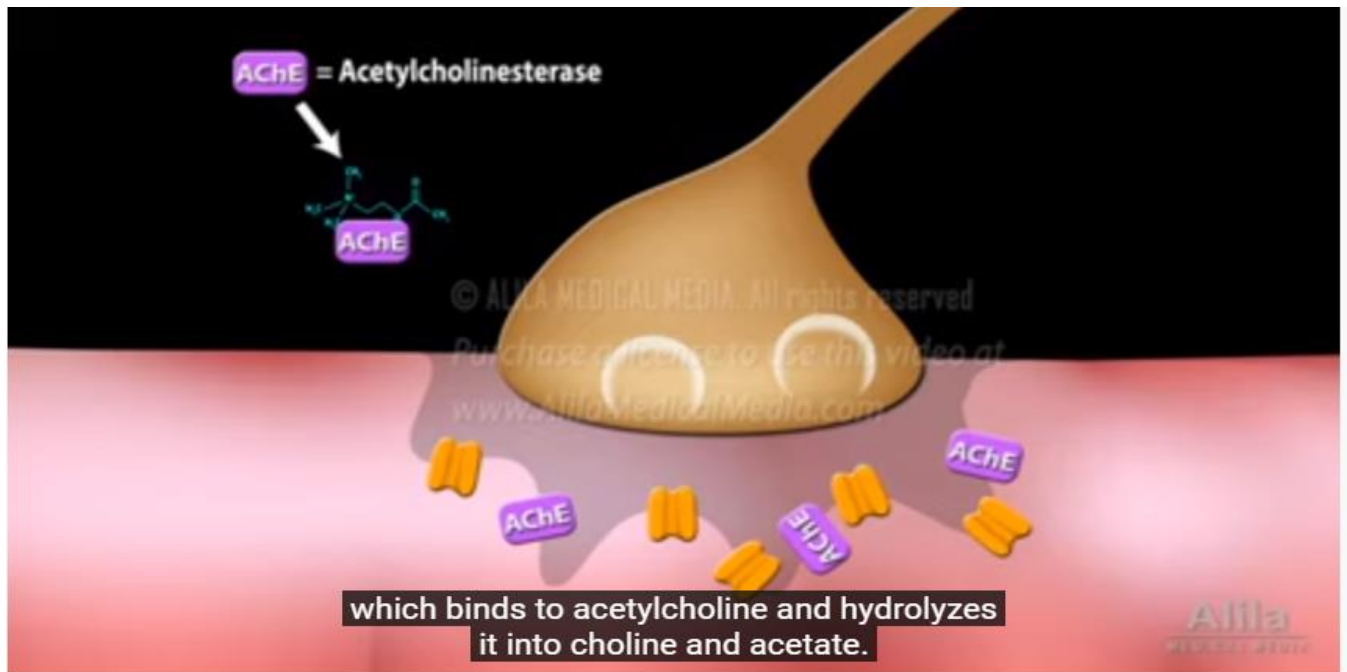
Acetylcholine

CN(C)CCOC(=O)C
 Choline Acetate

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When a cholinergic neuron is stimulated, acetylcholine is released into the synaptic cleft where

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CHOLINERGIC DRUGS

Cholinergic drugs are chemicals that act at the same site as acetylcholine and thereby mimic its actions. They are therefore called parasympathomimetics or cholinomimetics.

ACTIONS OF ACETYLCHOLINE

Acetylcholine is taken as the prototype of parasympathomimetic drugs. These actions result from the stimulation of the muscarinic receptors by acetylcholine.

1. *Heart* The action of ACh is similar to that of vagal stimulation. It depresses the SA node and thereby reduces the heart rate and force of contraction.
2. *Blood vessels* ACh relaxes the vascular smooth muscles and dilates the blood vessels of the skin and mucous membrane
3. *Smooth muscle* ACh increases the tone of all other (non-vascular) smooth muscles.

Gastrointestinal tract—tone and peristalsis is enhanced, sphincters are relaxed, resulting in rapid forward propulsion of intestinal contents.

Urinary bladder—promotes voiding of urine.

Bronchial smooth muscle—contracts resulting in bronchospasm.

4. **Secretory glands** Acetylcholine enhances the secretions of all glands; salivary, lacrimal, nasopharyngeal, tracheobronchial, gastric and intestinal secretions are increased.

Sweating is also increased. Enhanced bronchial secretions and bronchospasm result in severe dyspnoea.

5. *Eye* Acetylcholine brings about constriction of pupil (miosis) by contracting the circular muscles of the iris. Drainage of aqueous humor is facilitated and intraocular pressure falls.

Esters of choline

Acetylcholine

Methacholine

Carbachol

Bethanechol

2. Cholinomimetic alkaloids

Pilocarpine

Muscarine

NMJ Acetylcholine brings about contraction of skeletal muscles by stimulating NM receptors present in the neuromuscular junction. Large doses cause persistent depolarisation of skeletal muscles resulting in paralysis.

2. *Autonomic ganglia* Acetylcholine stimulates the sympathetic and parasympathetic ganglia and the adrenal medulla.

3. *CNS* Acetylcholine is a neurotransmitter at several sites in the CNS

CVS	—	↓HR ↓BP
Non-vascular	—	contraction, ↑gut peristalsis, promotes urine voiding
Smooth muscle	—	bronchospasm
Glands	—	↑secretion
Eye	—	miosis, spasm of accommodation, ↓IOP
NMJ	—	muscle contraction
Ganglia	—	stimulation