

Doctor 2021 - رَوَح - medicine - MU



# pharmacology sheet

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## DEFINITION AND TYPES

➤ Cholinergic antagonists (cholinergic blockers, or anticholinergic drugs) bind to cholinergic receptors, but they do not trigger the usual receptor-mediated intracellular effects.

### Types:

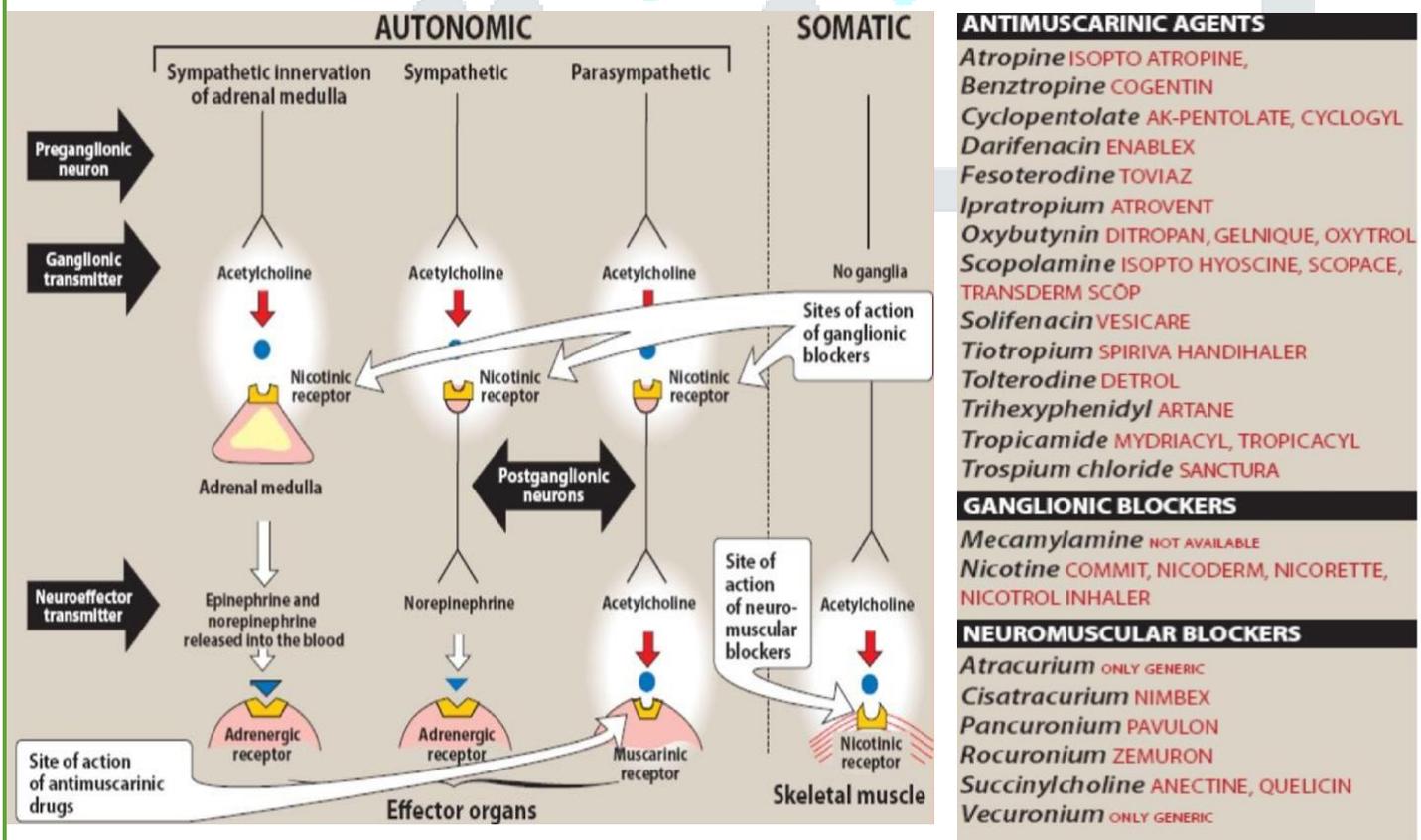
**A. Antimuscarinic agents:** selectively block muscarinic receptors of the parasympathetic nerves

**B. Ganglionic blockers:** block nicotinic receptors of the sympathetic and parasympathetic ganglia

**C. Neuromuscular-blockers:** interfere with transmission of efferent impulses to skeletal muscles.

Relaxation important when operation "surgery"

الطب الجراحة



## A. ANTIMUSCARINIC AGENTS:

### 1. Atropine: The most important one

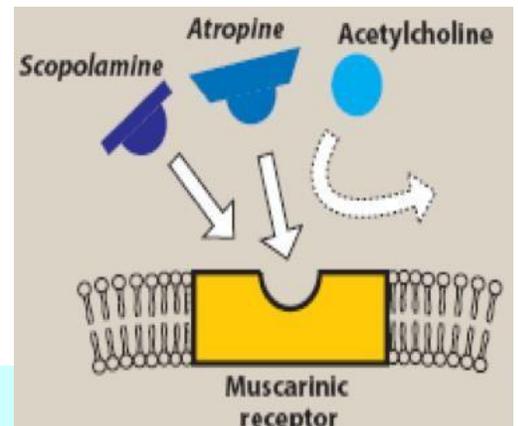
- **Note: atropine and physostigmine are antidotes for each other.**
- It is obtained from a plant called belladonna alkaloid. It binds competitively and prevents Ach from binding to muscarinic receptors.

#### A. Actions and therapeutic uses:

##### a. Eye:

- Topical atropine causes mydriasis (dilation of the pupil), unresponsiveness to light, and cycloplegia (inability to focus for near vision)  
**note: it increases intraocular pressure, so it is contraindicated with glaucoma.**

- It is used in eye examinations, **specifically the retina.**



##### b. Gastrointestinal (GI):

- Atropine and scopolamine reduce motility of GIT and therefore these drugs are used as antispasmodic.

**Ex: buscopan that contains scopolamine**

##### c. Urinary system:

- Atropine-like drugs are used to reduce hypermotility states of the urinary bladder. It is used in enuresis (involuntary voiding of urine) among children

##### d. Cardiovascular:

- Atropine blocks vagus nerve
- Increasing heart rate
- Useful in bradycardia after acute Myocardial infraction

#### e. Secretions:

- Atropine blocks the salivary glands (producing dry mouth), Sweat and lacrimal glands

#### f. Respiratory:

- It is used as an antisecretory agent to block secretions in the upper and lower respiratory tracts prior to surgery.

#### 2. Scopolamine:

- It is used for prevention of motion sickness

#### 3. Ipratropium and tiotropium:

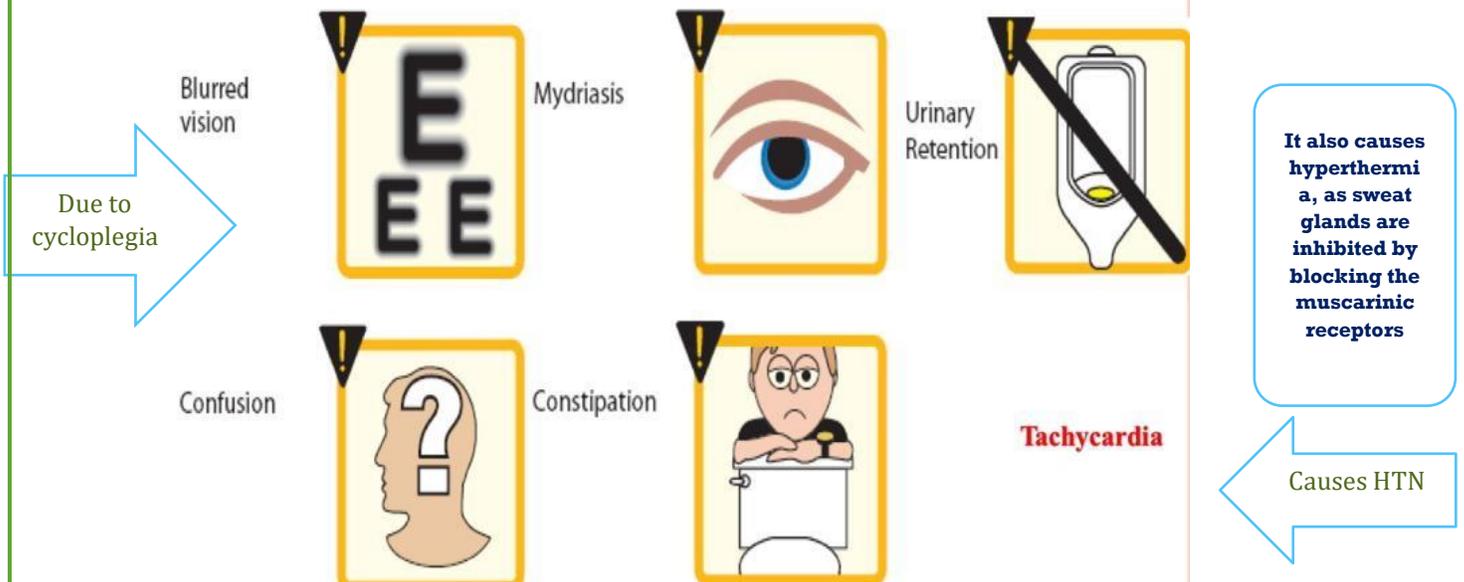
- The route of administration is inhalational (**in Respiratory system**)
  - **Better used for eye examinations than atropine.**
- ipratropium and tiotropium are approved bronchodilators for maintenance treatment of bronchospasm associated with chronic obstructive pulmonary disease (COPD), both chronic bronchitis and emphysema.
- **Note: they are used also for asthma.**

#### 4. Tropicamide and cyclopentolate:

- These agents are used as ophthalmic solutions for mydriasis and cycloplegia. (**Paralysis of ciliary muscle**)
- Their duration of action is shorter than that of atropine.

Tropicamide produces mydriasis for 6 hours, and

## ADVERSE EFFECTS



cyclopentolate for 24 hours. Atropine more than 24

## B. GANGLIONIC BLOCKERS:

- These specifically act on the nicotinic receptors of both parasympathetic and sympathetic autonomic ganglia
- These drugs block the entire output of the autonomic nervous system at the nicotinic receptor

### 1. Mecamylamine:

Here the side effects to systemic so that's why there's not much drugs

- It produces a competitive nicotinic blockade of the ganglia and is primarily used to lower blood pressure in emergency situations, and this is the only use of these drugs.

## C. NEUROMUSCULAR BLOCKERS

- These drugs block cholinergic transmission between motor nerve endings and the nicotinic receptors on the neuromuscular endplate of skeletal muscle
- These neuromuscular blockers are structural analogs of ACh, and they act either as
  - a. **Antagonists** : Nondepolarizing (competitive) blockers (**without any intrinsic activity**)
  - b. **Agonists** (depolarizing type)at the receptors on the endplate of the NMJ.
- They are given during surgery to produce muscle relaxation
- All neuromuscular-blocking agents are injected intravenously because their uptake via oral absorption is minimal (**parental**)

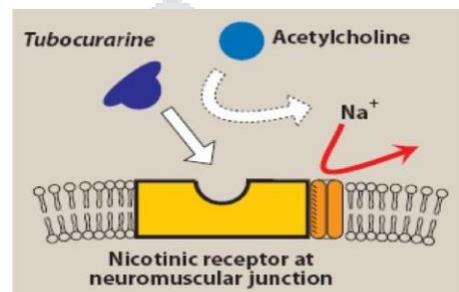
### NONDEPOLARIZING (COMPETITIVE) BLOCKERS

- These drugs interact with the nicotinic receptors to prevent the binding of ACh. Thus, these drugs prevent depolarization of the muscle cell membrane and inhibit muscular contraction.
- These blockers are used as adjuvant drugs in anaesthesia during surgery to relax skeletal muscle. They are also used to facilitate orthopaedic surgery

❖ **Pancuronium , Atracurium , cisatracurium.** Used when doing endoscopy, specially when colon

➤ Action can be overcome by administration of cholinesterase inhibitors as neostigmine, pyridostigmine, and edrophonium.

- For initiation of resuscitation (reversing the effect of non-depolarizing agents), we begin with cholinesterase inhibitors to increase concentrations of acetylcholine available for binding.



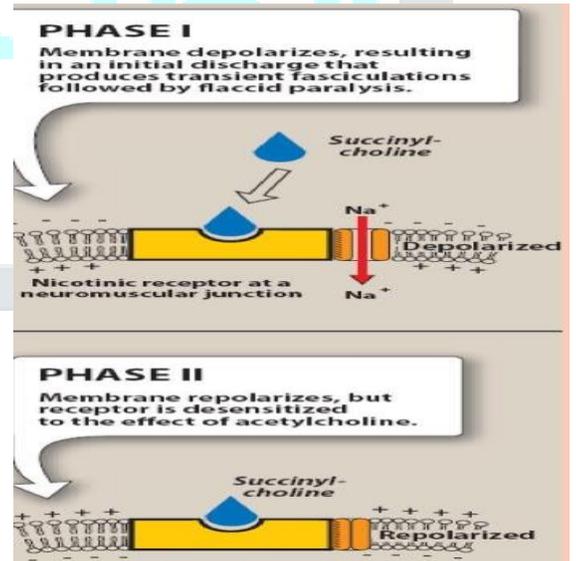
## DEPOLARIZING AGENTS

- Succinylcholine is the only depolarizing muscle relaxant in use today.
- It works by depolarizing the plasma membrane of the muscle fiber, similar to the action of ACh. However, these agents are more resistant to degradation by AChE, and can thus more persistently depolarize the muscle fibers and thus cause flaccid paralysis.

### Uses

- It is useful when rapid endotracheal intubation is required during the induction of anesthesia
- It is also used during electroconvulsive shock treatment (for neuronal brain anomalies)

Its duration is about 10 min



يا رب..

رَبِّ عَقْلِي عَلَى كَثْرَةِ الْأَشْتَاتِ فِيهِ، وَأَرْحِ قَلْبِي عَنْ كَثْرَةِ الْقَلْقِ، وَأَزِلْ عَن فِكْرِي مَا لَيْسَ لِي، وَأَلْهَمْنِي الْفَتْحَ لِأَرَى، وَالصَّبْرَ لِأَكْمَلَ الْمَسِيرِ، وَخُذْنِي مِنْ ضَعْفِي لِقُوَّتِكَ، وَارْزُقْنِي بِصِيْرَةِ التَّفْكِيرِ، وَحِكْمَةِ التَّقْرِيرِ، وَصَوَابِ التَّقْدِيرِ، حَتَّى أَصِيبَ الْهَدْفَ، وَإِنْ جَانَبْتُ الصَّوَابَ يَوْمًا، حَسْبِي أَنْكَ تَعْلَمُ قَلْبِي؟  
- قصي العسيلي.