

CHOLINERGIC ANTAGONISTS

Dr. Yousef Al-saraireh
Associate Professor
Pharmacology Department
Faculty of Medicine

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DEFINITION AND TYPES

➤ **Anticholinergics** (cholinergic antagonists), or **antimuscarinics**, block the action of acetylcholine (ACh) at the neuromuscular junction, but they do not block the release of ACh from the presynaptic terminal.

ANTIMUSCARINIC AGENTS

- Atropine* ISOPTO ATROPINE,
- Benztropine* COGENTIN
- Cyclopentolate* AK-PENTOLATE, CYCLOGYL
- Darifenacin* ENABLEX
- Fesoterodine* TOVIAZ
- Ipratropium* ATROVENT
- Oxybutynin* DITROPAN, GELNIQUE, OXYTROL
- Scopolamine* ISOPTO HYOSCINE, SCOPACE, TRANSDERM SCOP
- Solifenacin* VESICARE
- Tiotropium* SPIRIVA HANDIHALER
- Tolterodine* DETROL
- Trihexyphenidyl* ARTANE
- Tropicamide* MYDRIACYL, TROPICACYL
- Trospium chloride* SANCTURA

GANGLIONIC BLOCKERS

- Mecamylamine* NOT AVAILABLE
- Nicotine* COMMIT, NICODERM, NICORETTE, NICOTROL INHALER

NEUROMUSCULAR BLOCKERS

- Atracurium* ONLY GENERIC
- Cisatracurium* NIMBEX
- Pancuronium* PAVULON
- Rocuronium* ZEMURON
- Succinylcholine* ANECTINE, QUELICIN
- Vecuronium* ONLY GENERIC

Types:

- A. Antimuscarinics** → Exist in the parasympathetic system
Block the action of ACh at the neuromuscular junction of the parasympathetic nerves
- B. Ganglionic blockers** → Exist in para + sympathetic
Block the action of ACh at the neuromuscular junction of the sympathetic and parasympathetic ganglia
- C. Neuromuscular blockers** → Block the transmission between the Neuron and it's Receptor
Block the transmission between the neuron and its receptor at the neuromuscular junction, preventing ACh from binding to its receptor on the skeletal muscle. → skeletal muscle
in the Nerve Ending in the Synaptic Cleft specifically.

AUTONOMIC

SOMATIC

Nerve + Muscle
Voluntary

Sympathetic Innervation of adrenal medulla

Sympathetic

Parasympathetic

No ganglia

Preganglionic neuron

Ganglionic transmitter

Neuroeffector transmitter

Acetylcholine

Acetylcholine

Acetylcholine

Nicotinic receptor

Nicotinic receptor

Nicotinic receptor

Adrenal medulla

Postganglionic neurons

Epinephrine and norepinephrine released into the blood

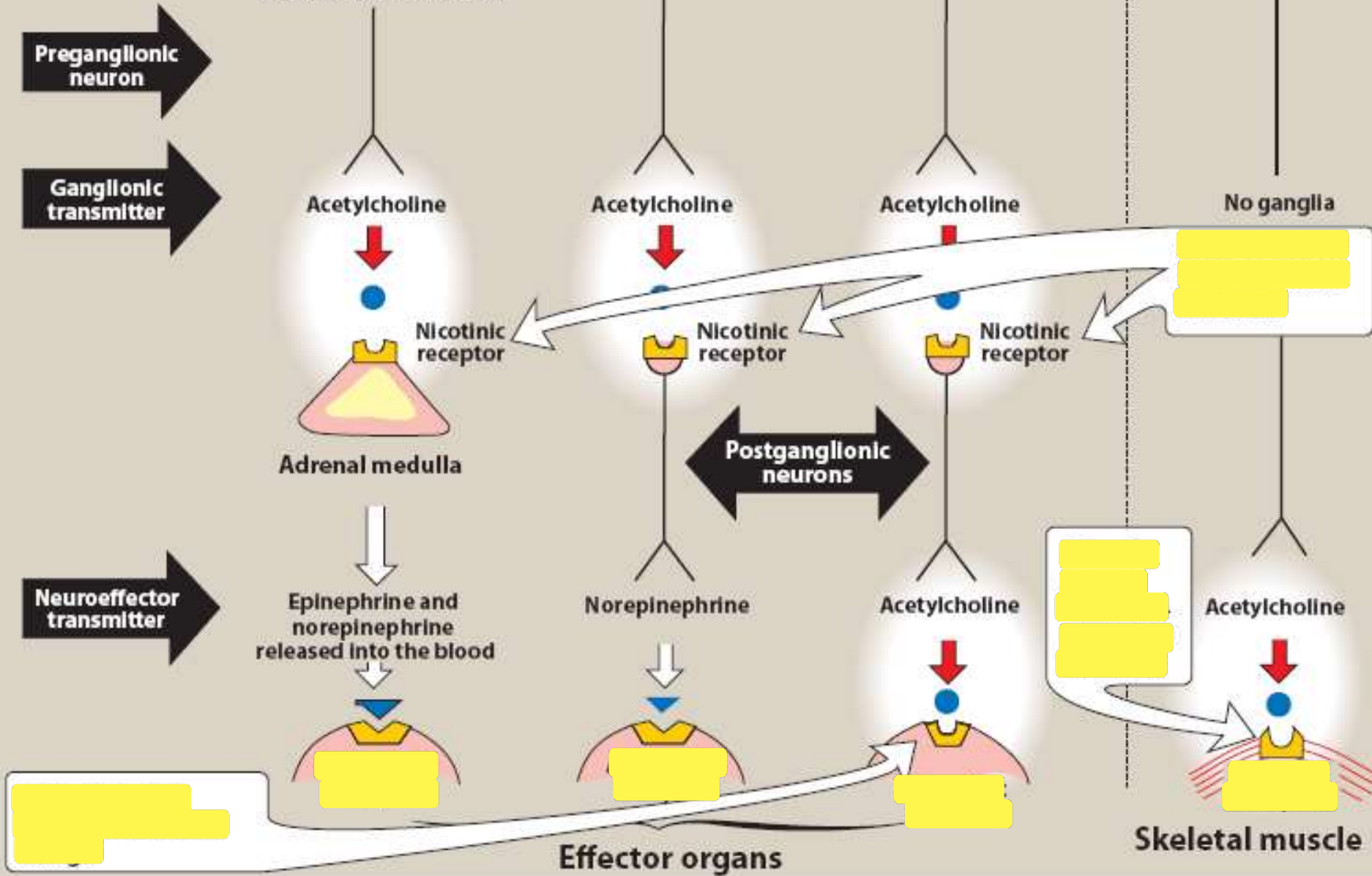
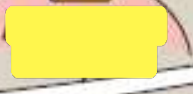
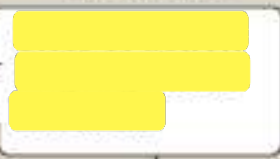
Norepinephrine

Acetylcholine

Acetylcholine

Effector organs

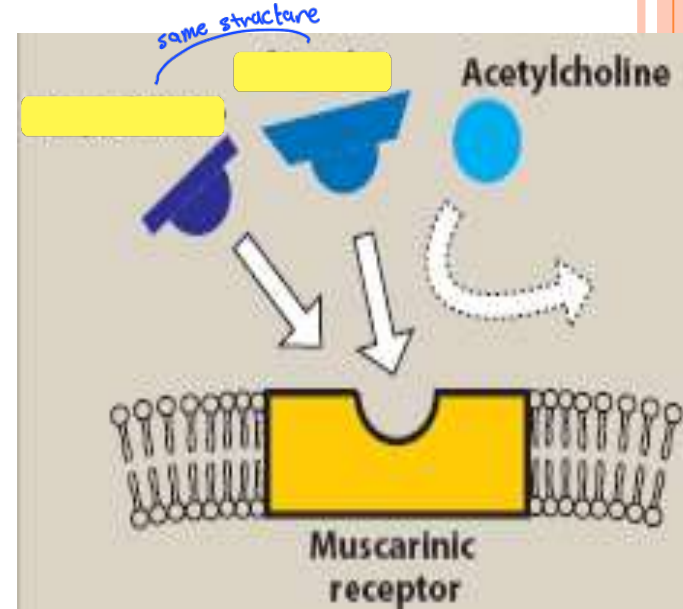
Skeletal muscle



A. ANTIMUSCARINIC AGENTS:

1. **Atropine** ⇒ Natural drug

- It is derived from **belladonna** called **belladonna alkaloid**. It binds to **muscarinic receptors** and prevents **acetylcholine** from binding to them.



A. Actions and therapeutic uses:

a. **Atropine**:

- **Topical atropine** causes **mydriasis** (dilation of the pupil), **photophobia**, and **accommodation paralysis** (inability to focus for near vision)
- It is used in **ophthalmology**

2. Scopolamine:

- It is used for [redacted] + Antispasmodic Agent.

3. Ipratropium and tiotropium:

- The route of administration is [redacted]
- [redacted] and [redacted] are [redacted] for [redacted] with [redacted] (COPD), both [redacted] and [redacted].

4. Tropicamide and cyclopentolate:

- These agents are used as [redacted] for [redacted] and [redacted].
- Their [redacted] of action is [redacted] that of [redacted]. [redacted] produces [redacted] for [redacted], and [redacted] for [redacted].

ADVERSE EFFECTS



we use it as an advantage



B. GANGLIONIC BLOCKERS:

- These **act** on the **cell bodies** of both **sympathetic and parasympathetic ganglia**.
- They **block** the **transmission** of the **autonomic** **impulses** at the **ganglia**.

Why? لأنها تعلق بين الوصل بين الخلايا، تقطع الطريق!

1. **Atenolol**:

- It **is** **selective** **beta-1** **adrenoceptor** **antagonist** of the **heart** and is **indicated** to **treat** **hypertension** in **hypertensive patients**.

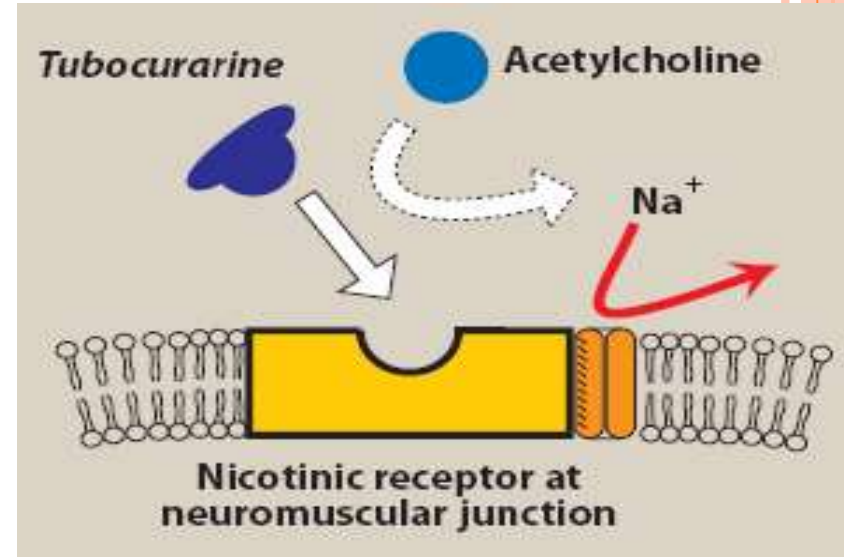
in case of Emergencies!

C. NEUROMUSCULAR BLOCKERS

- These drugs block transmission between the presynaptic terminal and the postsynaptic receptor on the motor endplate of the NMJ.
- These neuromuscular blockers are classified into two types of AChR, and they act either as
 - a. **Competitive**: Non-depolarizing (competitive) blockers
 - b. **Depolarizing** (depolarizing type)at the receptors on the endplate of the NMJ.
- They are reversible to some extent.
- All neuromuscular blocking agents are intravenous. **NO ORAL Drugs!!!**

NONDEPOLARIZING (COMPETITIVE) BLOCKERS

- These drugs **compete** with the **acetylcholine** to **bind** to the **nicotinic receptor**. Thus, these drugs **prevent** the **activation** of the **receptor** and **inhibit** the **release** of **acetylcholine**.
Means ⇒ muscle Relaxants.



- These blockers are **competitive** drugs in **binding** to the **nicotinic receptor**. They are also used to **facilitate** **intubation**.

- **Pyridostigmine**, **Neostigmine**, **Edrophonium**.
Most used through Endoscopy.

- **Atropine** can be overcome by a **high dose** of **acetylcholine** as **anticholinergic**, **parasympatholytic** and **antimuscarinic**.
because it's Reversible!

DEPOLARIZING AGENTS

- **Duration of action** is the **longest** **duration of action** **of any neuromuscular blocker**. *Longer Half-life*
- It works by **increasing the permeability** of the **cell membrane**, **allowing** **Na⁺ to enter** the cell. However, these **agents** are **insensitive** to **blockade** by **ATC2B**, and can thus **paralyze** the muscle **cell** and thus cause **flaccid paralysis**. *Work the same as Ach. But Better!*

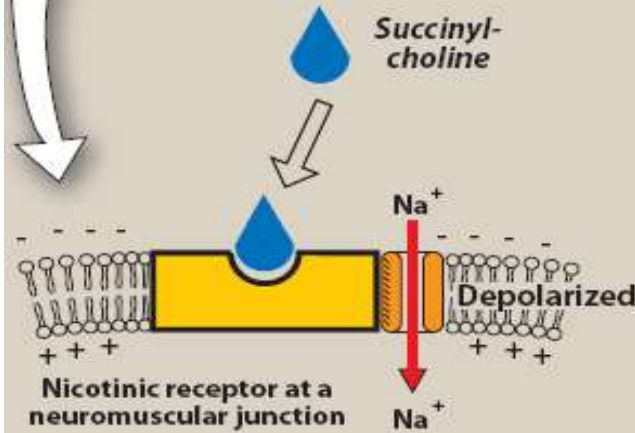
Uses

④ When we want to enter the tube for artificial breathing in covid-19 cases, we give the patient Succinylcholine to insert the tube easily and properly.

- It is **used** **for** **intubation** **in** **emergency** **cases**. *And therefore, it would be relaxed in this state!*
- It is also **used** **for** **muscle** **relaxation** **during** **electrical** **stimulation** **to** **produce** **seizures**. *electrical current derived to the brain to produce seizures!*

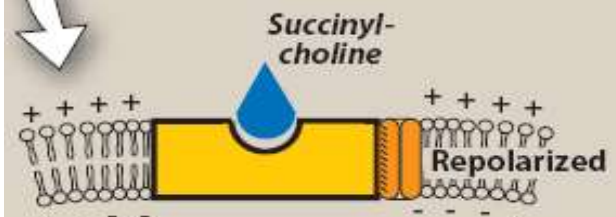
PHASE I

Membrane depolarizes, resulting in an initial discharge that produces transient fasciculations followed by flaccid paralysis.



PHASE II

Membrane repolarizes, but receptor is desensitized to the effect of acetylcholine.



THANKS