CHOLINERGIC ANTAGONISTS

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DEFINATION AND TYPES

- Cholinergic antagonists (cholinergic blockers, or anticholinergic drugs) bind to cholinoceptors, 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.

ANTIMUSCARINIC AGENTS

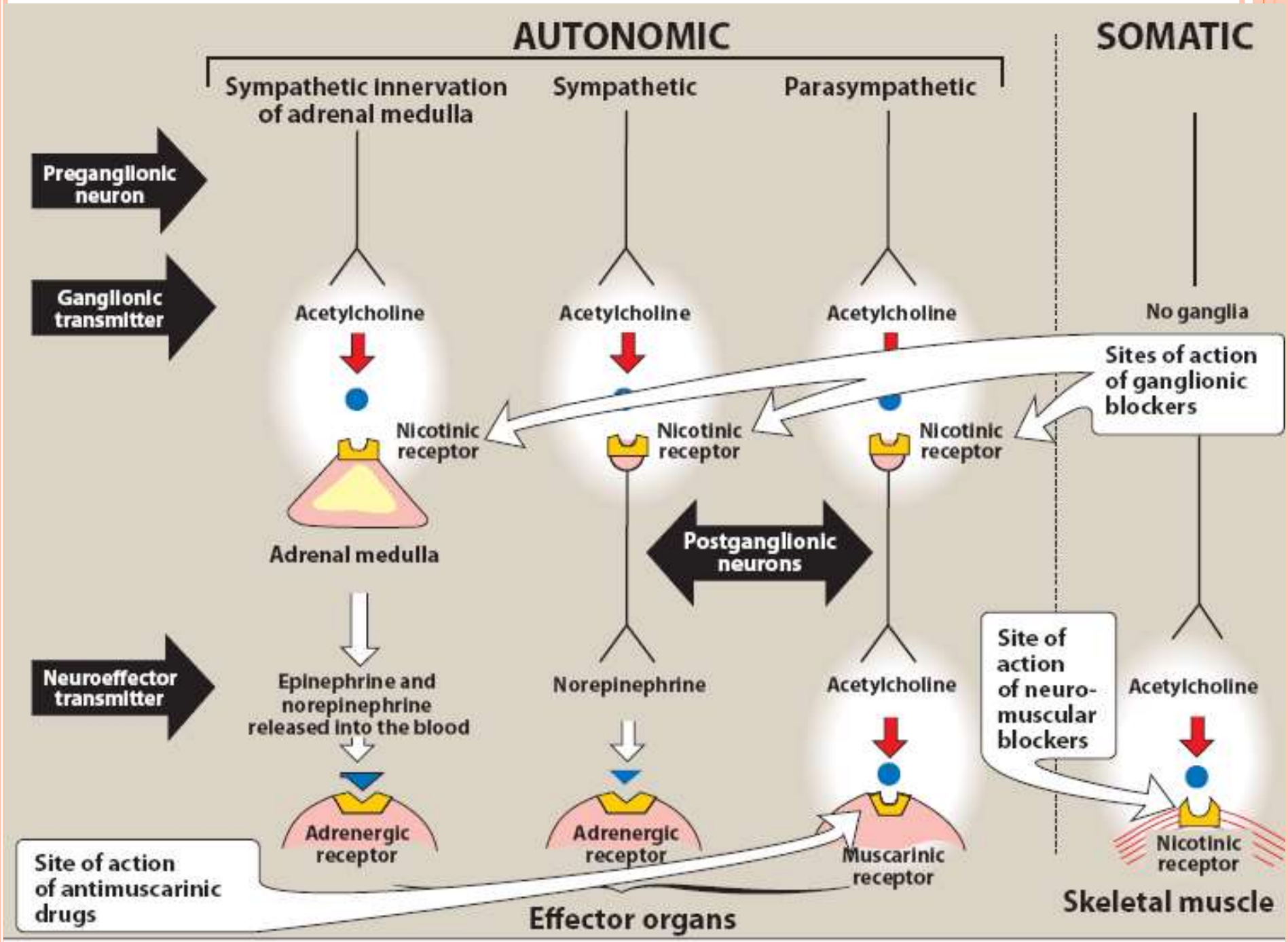
Atropine ISOPTO ATROPINE,
Benztropine COGENTIN
Cyclopentolate AK-PENTOLATE, CYCLOGYL
Darifenacin ENABLEX
Fesoterodine TOVIAZ
Ipratropium ATROVENT
Oxybutynin DITROPAN, GELNIQUE, OXYTROL
Scopolamine ISOPTO HYOSCINE, SCOPACE, TRANSDERM SCOP
Solifenacin VESICARE
Tiotropium SPIRIVA HANDIHALER
Tolterodine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

GANGLIONIC BLOCKERS

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

NEUROMUSCULAR BLOCKERS

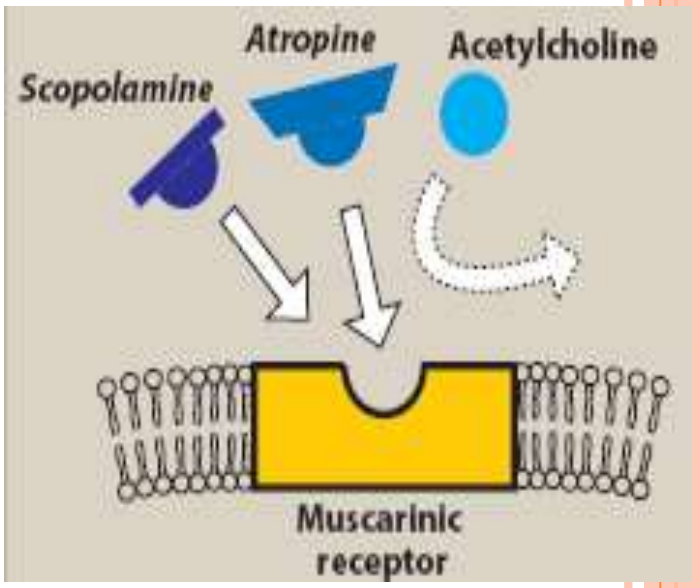
Atracurium ONLY GENERIC
Cisatracurium NIMBEX
Pancuronium PAVULON
Rocuronium ZEMURON
Succinylcholine ANECTINE, QUELICIN
Vecuronium ONLY GENERIC


AUTONOMIC
SOMATIC
Sympathetic innervation of adrenal medulla
Sympathetic
Parasympathetic
Preganglionic neuron
Ganglionic transmitter
Acetylcholine
Acetylcholine
Acetylcholine
No ganglia
Sites of action of ganglionic blockers
Nicotinic receptor
Nicotinic receptor
Nicotinic receptor
Adrenal medulla
Postganglionic neurons
Neuroeffector transmitter
Epinephrine and norepinephrine released into the blood
Norepinephrine
Acetylcholine
Site of action of neuro-muscular blockers
Acetylcholine
Adrenergic receptor
Adrenergic receptor
Muscarinic receptor
Nicotinic receptor
Site of action of antimuscarinic drugs
Effector organs
Skeletal muscle

A. ANTIMUSCARINIC AGENTS:

1. Atropine:

- 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)

➢ It is used in eye examinations

b. Gastrointestinal (GI):

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

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
- *ipratropium and tiotropium are* approved bronchodilators for maintenance treatment of bronchospasm associated with chronic obstructive pulmonary disease (COPD), both chronic bronchitis and emphysema.

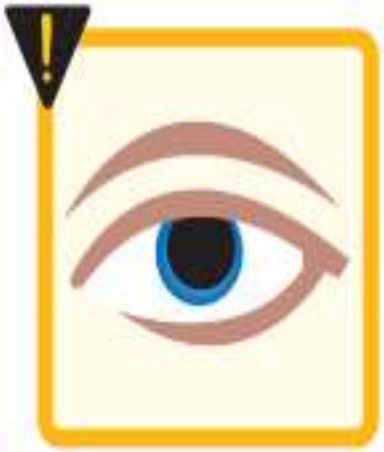
4. Tropicamide and cyclopentolate:

- These agents are used as ophthalmic solutions for mydriasis and cycloplegia.
- Their duration of action is shorter than that of atropine. Tropicamide produces mydriasis for 6 hours, and cyclopentolate for 24 hours.

Adverse effects

Blurred vision

Mydriasis



Urinary Retention

Confusion

Constipation

Tachycardia

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:

- It produces a competitive nicotinic blockade of the ganglia and is primarily used to lower blood pressure in emergency situations

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 analougs of ACh, and they act either as

  a. Antagonists :Nondepolarizing (competitive) blockers

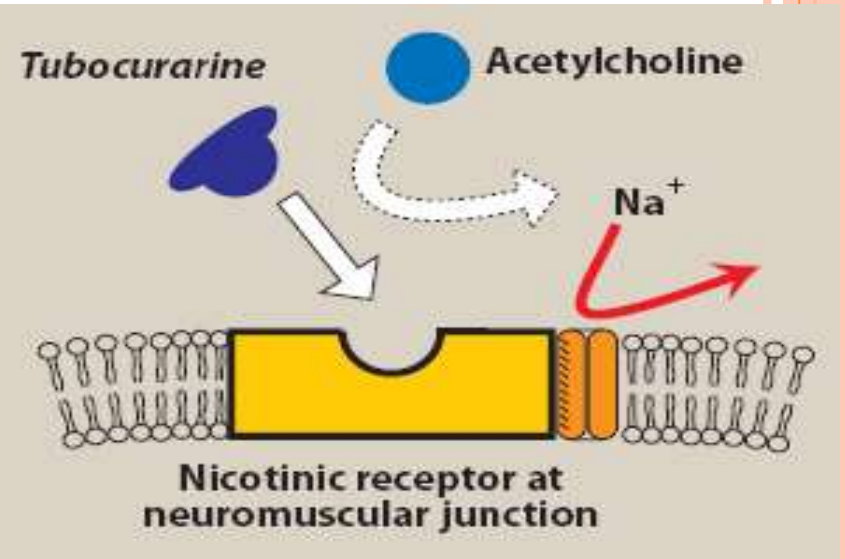
  b. Agonists (depolarizing type)

  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

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.*

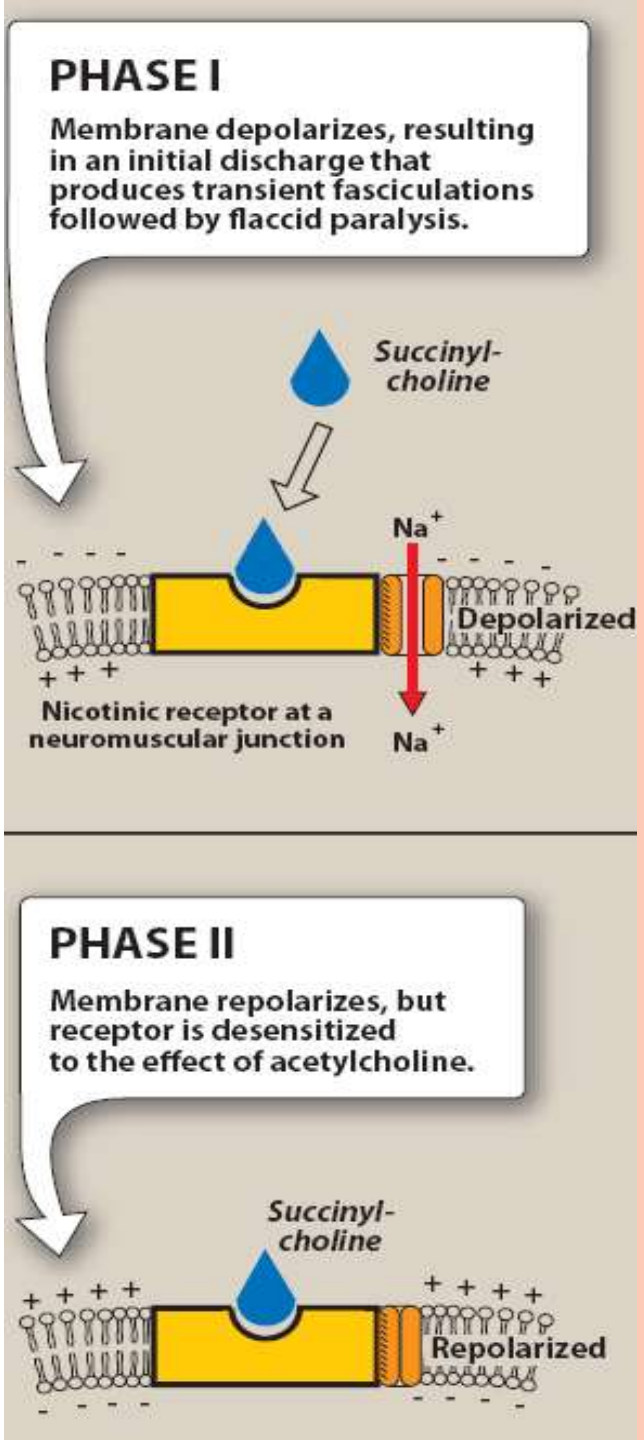
➢Action can be overcome by administration of cholinesterase inhibitors as neostigmine, pyridostigmine, and edrophonium.

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



THANKS