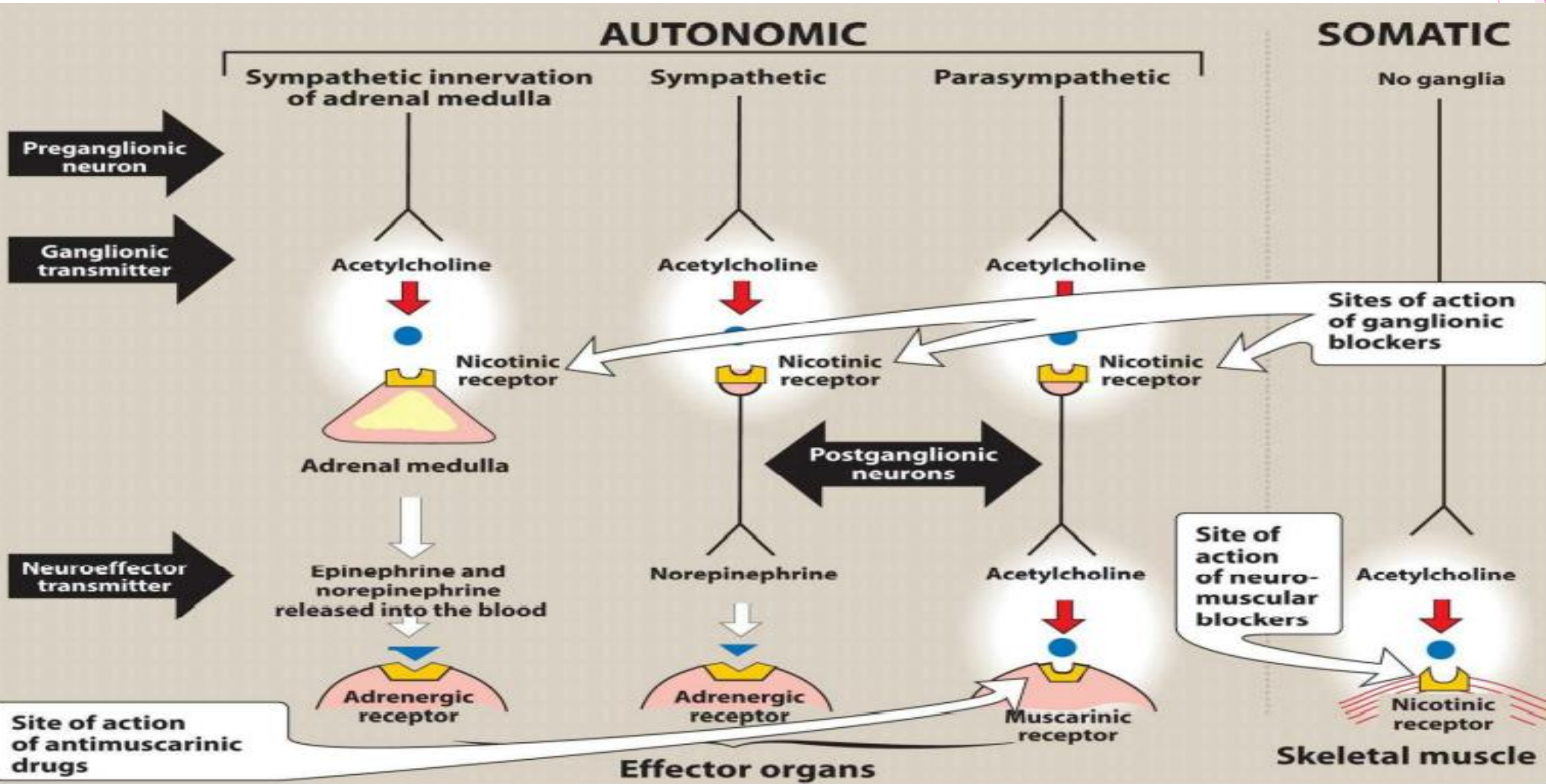


Cholinergic Antagonists

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Cholinergic Antagonists

* Cholinergic antagonist is a general term for agents that bind to cholinergic receptors (muscarinic or nicotinic) and prevent the effects of acetylcholine (ACh) and other cholinergic agonists.



I. Antimuscarinic Agents

- ▶ these agents (for example, atropine and scopolamine) block muscarinic receptors , causing inhibition of muscarinic functions.

A. Atropine

Therapeutic uses

- Ophthalmic Topical: atropine exerts both mydriatic and cycloplegic effects
- Antispasmodic: Atropine is used as an antispasmodic agent to relax the GI tract
- Cardiovascular Injectable atropine is used to treat bradycardia of varying etiologies.
- Antisecretory : Atropine is sometimes used as an antisecretory agent to block secretions in the respiratory tract prior to surgery.
- Antidote for cholinergic agonists : Atropine is used for the treatment of organophosphate (insecticides, nerve gases) poisoning.

Adverse effects : atropine may cause dry mouth, blurred vision, “sandy eyes,” tachycardia, urinary retention, and constipation. Effects on the CNS include restlessness, confusion, hallucinations, and delirium

ANTIMUSCARINIC AGENTS

Acridinium TUDORZA

Atropine GENERIC ONLY

Benztropine COGENTIN

Cyclopentolate AKPENTOLATE, CYCLOGYL

Darifenacin ENABLEX

Fesoterodine TOVIAZ

Glycopyrrolate ROBINUL, SEEBRI

Hyoscyamine LEVSIN, OSCIMIN, SYMAX

Ipratropium ATROVENTHFA

Oxybutynin DITROPAN, GELNIQUE, OXYTROL

Scopolamine TRANSDERM SCÖP

Solifenacin VESICARE

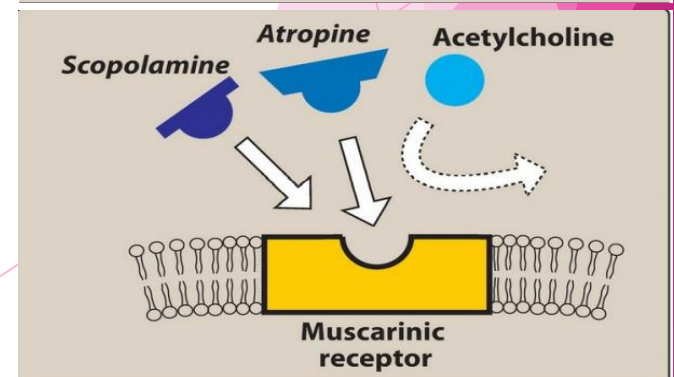
Tiotropium SPIRIVA RESPIMAT

Tolterodine DETROL

Trihexyphenidyl GENERIC ONLY

Tropicamide MYDRIACYL, TROPICACYL

Tropium GENERIC ONLY



B. Scopolamine

Therapeutic uses Scopolamine is used for the prevention of motion sickness and postoperative nausea and vomiting.

C. Acclidinium, glycopyrrolate, ipratropium, and tiotropium

used in the acute management of bronchospasm in asthma and chronic management of asthma. All of these agents are delivered via inhalation.

D. Tropicamide and cyclopentolate

These agents are used as ophthalmic solutions for mydriasis and cycloplegia

E. Benztropine and trihexyphenidyl

are useful as adjuncts with other antiparkinson agents to treat Parkinson disease

F. Oxybutynin and other antimuscarinic agents

are use for overactive bladder and urinary incontinence.

Adverse effects

Side effects include dry mouth, constipation, and blurred vision, which limit tolerability of these agents.

Ganglionic Blockers

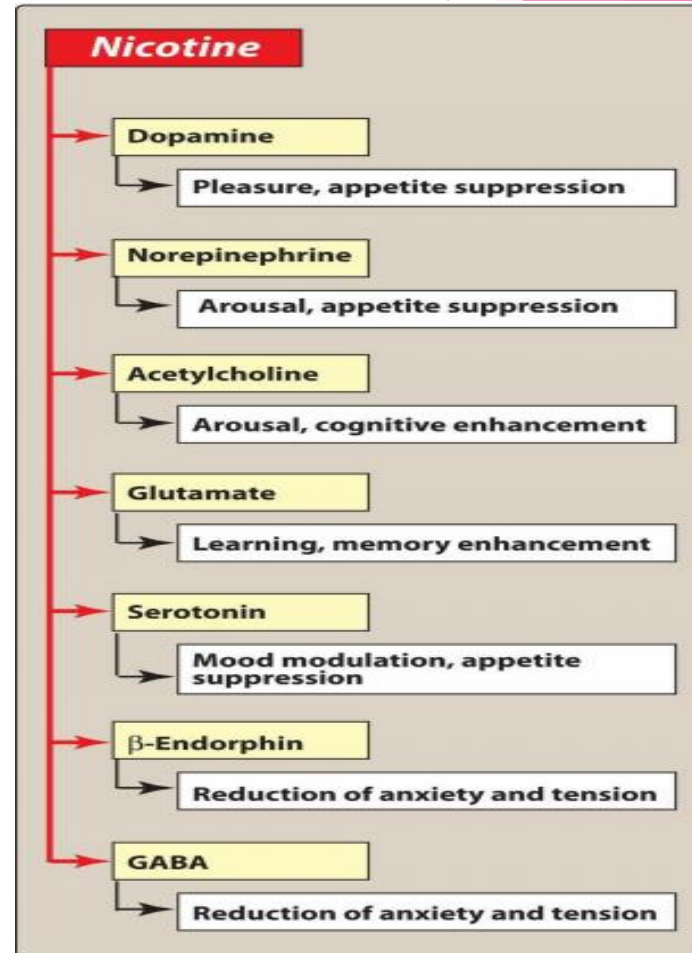
- ▶ These drugs show no selectivity toward the parasympathetic or sympathetic ganglia and are not effective as neuromuscular antagonists.
- ▶ ganglionic blockade is rarely used therapeutically

Nicotine

A component of cigarette smoke, nicotine [NIK-oh-teen] is a poison with many undesirable actions. It is without therapeutic benefit and is deleterious to health.

GANGLIONIC BLOCKERS

Nicotine NICODERM, NICORETTE, NICOTROL



Neuromuscular Blocking Agents

- ▶ These drugs block cholinergic transmission between motor nerve endings and the nicotinic receptors on skeletal muscle
- ▶ They possess some chemical similarities to ACh and act either as antagonists (nondepolarizing) or as agonists (depolarizing) at the receptors on the endplate of the NMJ
- ▶ Neuromuscular blockers (NMBs) are clinically useful to facilitate rapid intubation when needed due to respiratory failure (rapid sequence intubation). During surgery, they are used to facilitate endotracheal intubation and provide complete muscle relaxation at lower anesthetic doses. This increases the safety of anesthesia by allowing patients to recover quickly and completely.
- ▶ NMBs are also used in the intensive care unit (ICU) as adjuvant therapy to facilitate intubation and mechanical ventilation in critically ill patients.

NEUROMUSCULAR BLOCKERS

Cisatracurium NIMBEX

Mivacurium MIVACRON

Pancuronium GENERIC ONLY

Rocuronium GENERIC ONLY

Succinylcholine ANECTINE, QUELICIN

Vecuronium GENERIC ONLY

A. Nondepolarizing (competitive) blockers

- ▶ satracurium [cis-a-trah-CURE-ih-um], mivacurium, pancuronium, rocuronium , and vecuronium .

ACTION

Muscles have differing sensitivity to blockade by competitive agents. Small, rapidly contracting muscles of the face and eye are most susceptible and are paralyzed first, followed by the fingers, limbs, neck, and trunk muscles. Next, the intercostal muscles are affected

B. Depolarizing agents

▶ Succinylcholine

Therapeutic uses : Because of its rapid onset of action, succinylcholine is useful when rapid endotracheal intubation is required. It is also used during electroconvulsive shock treatment.

Pharmacokinetics :Succinylcholine is injected intravenously.

Adverse effects :

- 1 . Hyperthermia
- 2 . Apnea
- 3 . Hyperkalemia