

Respiratory Block

1 ANTICHOLINERGIC DRUGS

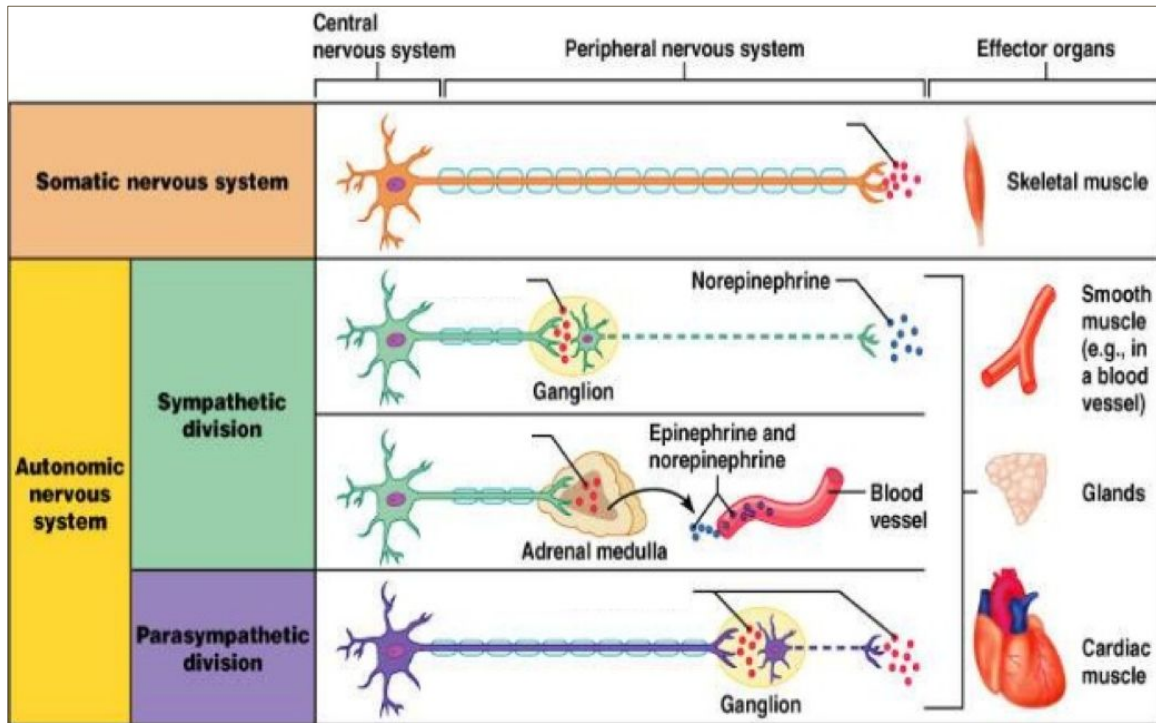
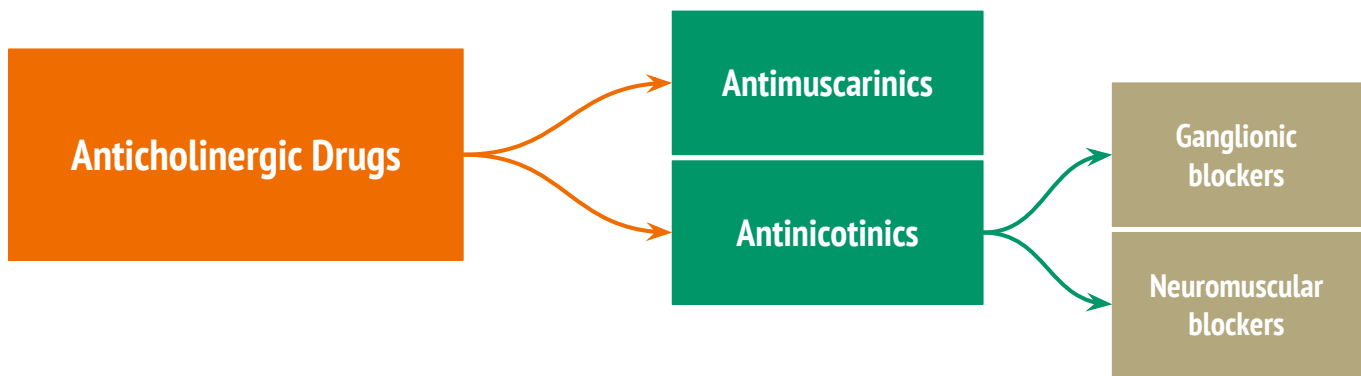
Objectives :

1. Identify the classification of anticholinergic drugs.
2. Describe pharmacokinetics and dynamics of muscarinic antagonists.
3. Identify the effects of atropine on the major organ systems.
4. List the clinical uses of muscarinic antagonists.
5. know adverse effects & contraindications of anticholinergic drugs.
6. Identify at least one antimuscarinic agent for each of the following special uses: mydriasis, cycloplegia, peptic ulcer & parkinsonism.

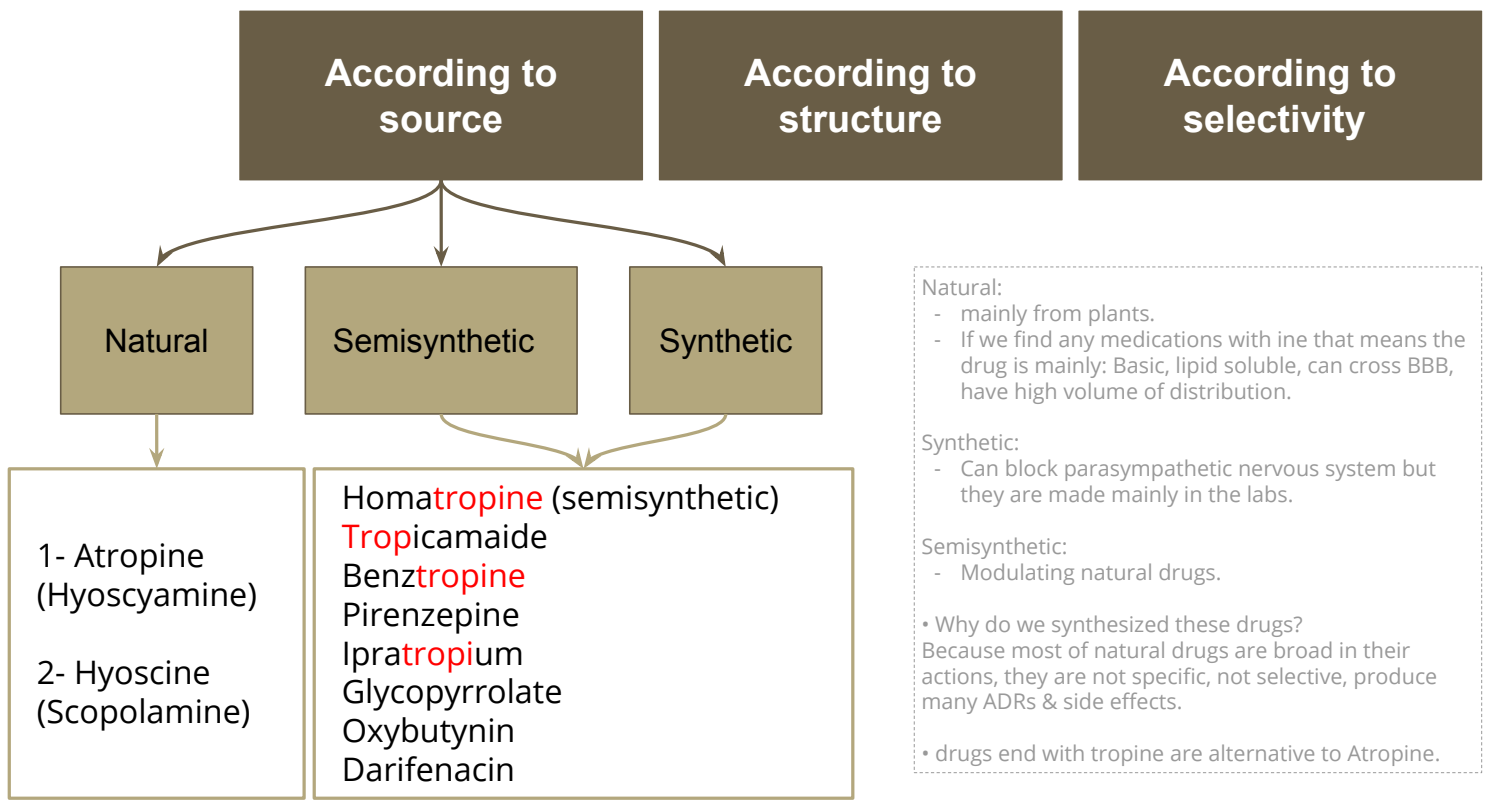
Color index:

Red: important

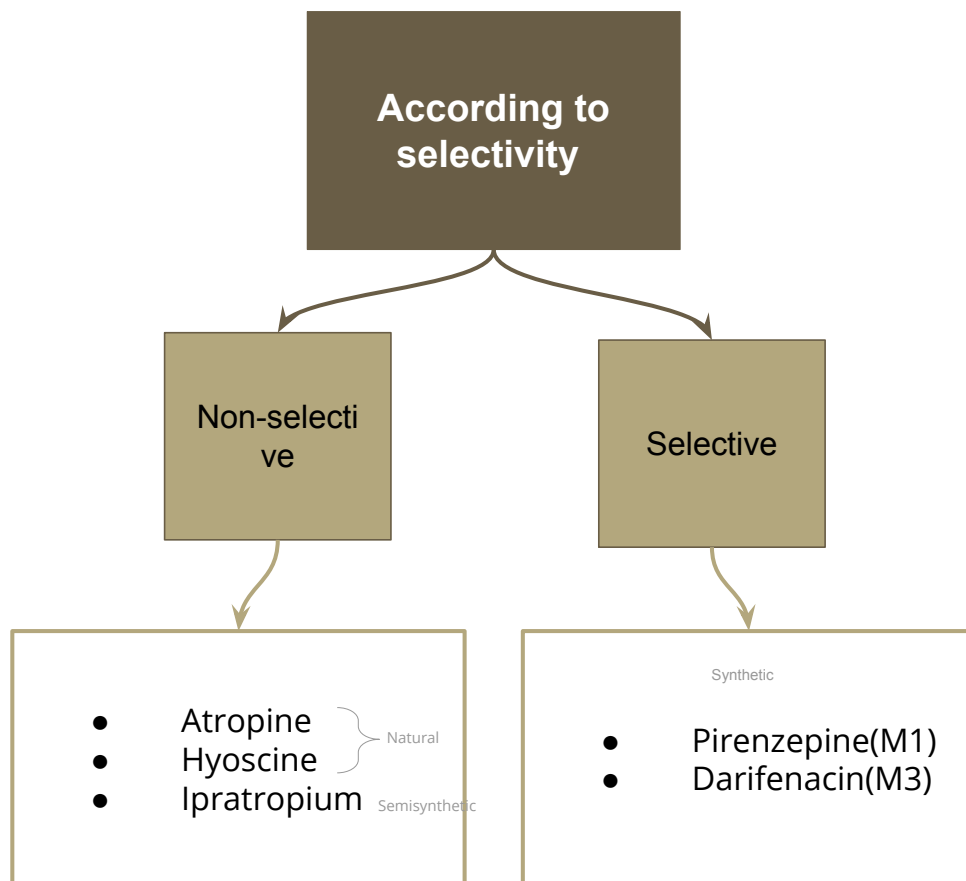
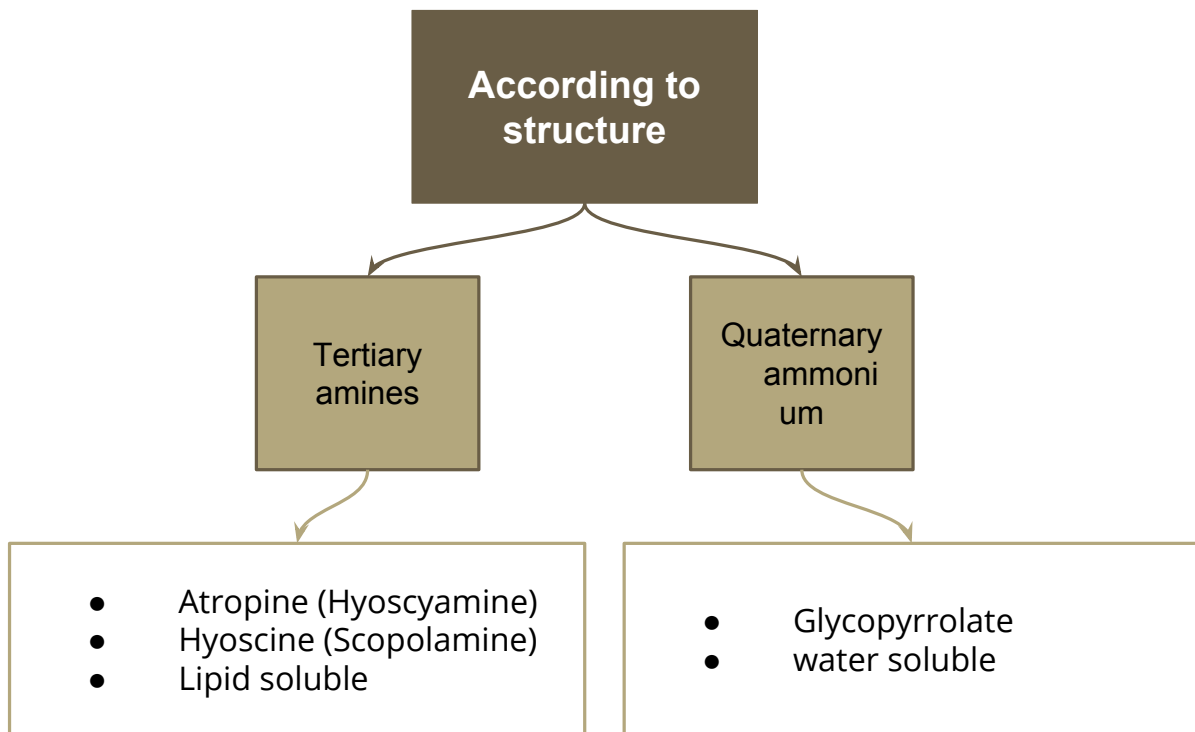
Grey: Notes or extra information



Anticholinergic Drugs - Antimuscarinic Drugs



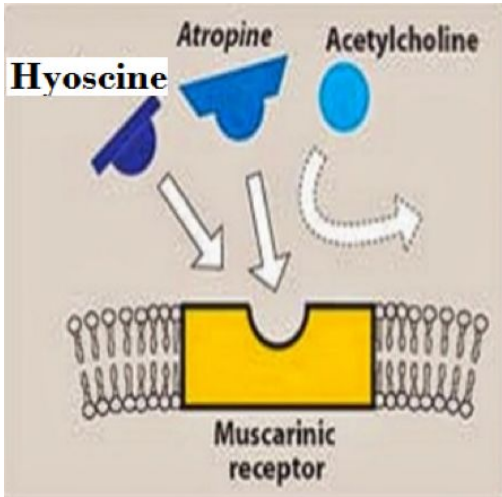
Anticholinergic Drugs - Antimuscarinic Drugs



Mechanism of action

Pharmacokinetics

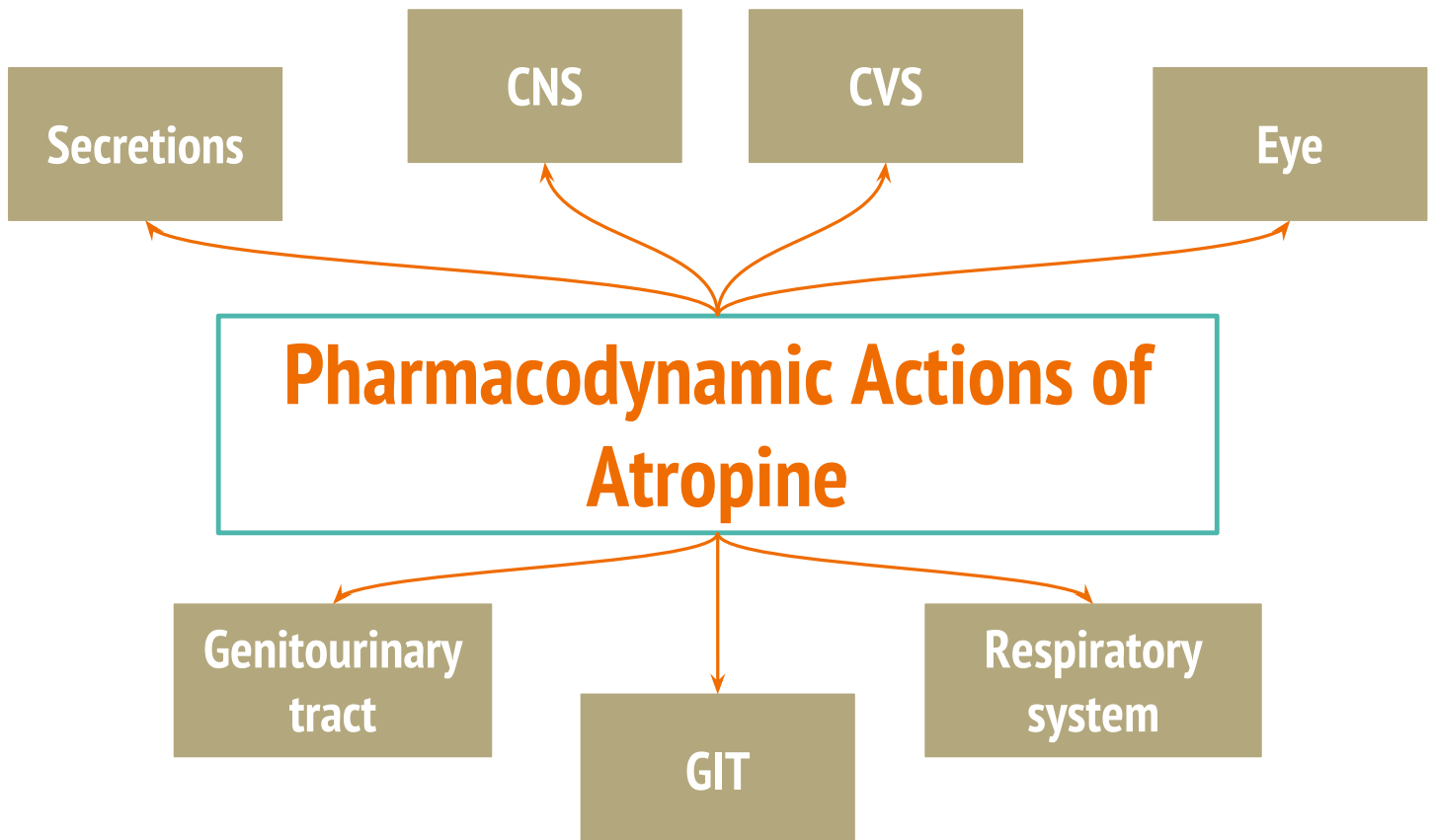
Competitively block muscarinic receptors



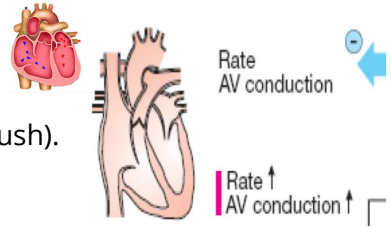
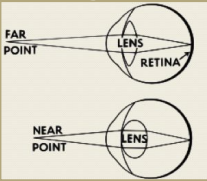
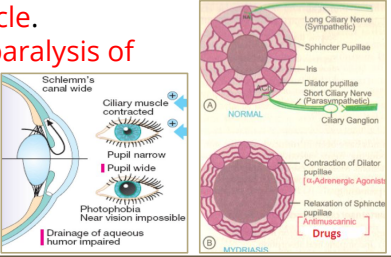

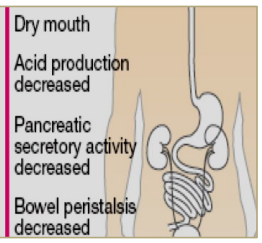
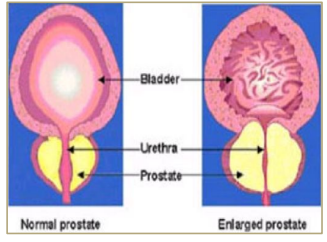
- they act on muscarinic receptors by blocking them (located on organs peripherally).
- Can't act on nicotinic receptors.
- Their Action is reversible, reversed by increasing Ach.

Natural alkaloids

- Atropine (Hyoscyamine)
- Hyoscine (scopolamine)
- Lipid soluble
- Good oral absorption
- Good distribution
- Cross blood brain barrier (have CNS actions)
- Hyoscine has better BBB penetration (Its effect centrally is more prominent)

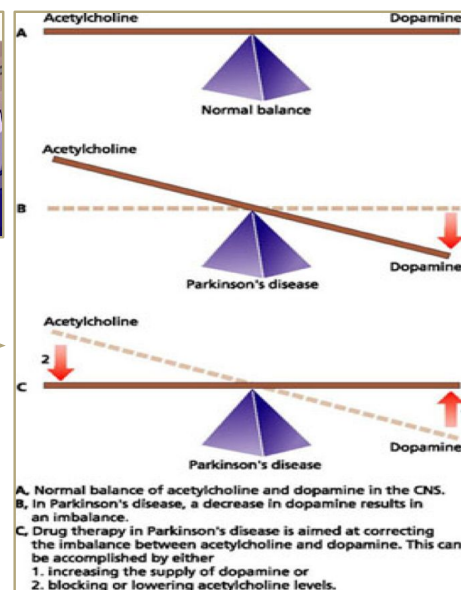


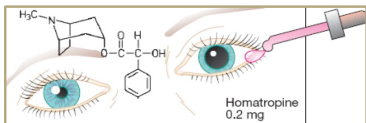


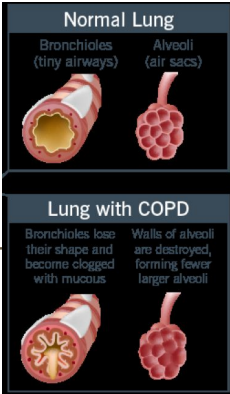

Pharmacodynamic Actions

<p>CNS</p>	<ul style="list-style-type: none"> ➤ Atropine at clinical dose, initial stimulation followed by depression (sedative effect). ➤ Hyoscine → sedative effect. ➤ Antiemetic effect (block vomiting center). ➤ Antiparkinsonian effect (block basal ganglia). ➤ High doses of atropine cause cortical excitation, restlessness, disorientation, hallucinations, and delirium followed by respiratory depression and coma.
<p>CVS</p>	<ul style="list-style-type: none"> ➤ Atropine causes initial bradycardia followed by tachycardia due to blockade of M2-receptors on SA node. ➤ ↑ AV conduction (+ve dromotropic effect). ➤ Atropine does not influence BP. ➤ ↓ Vasodilatation induced by cholinergic agonists. ➤ Toxic dose: Cutaneous vasodilatation → (atropine flush). 
<p>Eye</p> 	<ul style="list-style-type: none"> ➤ Passive mydriasis due to paralysis of circular muscle. ➤ Cycloplegia (loss of near accommodation) due to paralysis of ciliary muscle. ➤ Loss of light reflex. ➤ Increase I.O.P # glaucoma. ➤ ↓ Lacrimal secretion → sandy eye. 
<p>Respiratory system</p>	<ul style="list-style-type: none"> ➤ Relaxation of bronchial muscles (bronchodilator). ➤ ↓ Bronchial secretion → ↑ viscosity. 
<p>GIT</p>	<ul style="list-style-type: none"> ➤ Dryness of mouth. ➤ ↓ Gastric acid production. (Treat peptic ulcer) ➤ Relaxation of smooth muscles. ➤ ↓ GIT motility → Antispasmodic effect. ➤ ↑ Sphincter contractions. ➤ Constipation. 
<p>Genitourinary tract</p>	<ul style="list-style-type: none"> ➤ Relaxation of smooth muscles of urinary bladder. ➤ Sphincter contraction. ➤ Urinary retention. ➤ Urinary retention can occur in old men with prostatic hyperplasia. 
<p>Secretions</p> <p>All reduced</p>	<ul style="list-style-type: none"> ➤ ↓ Salivary secretion → (Dry mouth). ➤ ↓ Sweating → dry skin. ➤ In children modest doses → "atropine fever". <small>Contra-indication</small> ➤ ↓ Bronchial secretion → ↑ Viscosity. ➤ ↓ Lacrimal secretion → Sandy eye

Clinical Uses

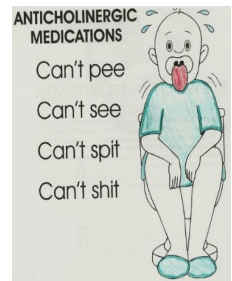
- CNS (1,2)
 - Parkinsonism e.g. **Benzotropine**
 - Vomiting (Motion sickness) e.g. **Hyoscine**
 - Pre-anesthetic medication
 - Asthma & COPD
 - Peptic ulcer
 - Intestinal spasm as antispasmodics.
 - Urinary urgency, urinary incontinence



Clinical Uses	
Ophthalmic disorders	Ophthalmoscopic examination of retina. e.g. Tropicamide, homatropine  
GIT	Glycopyrrolate, Hyocine butyl bromide <ul style="list-style-type: none"> ➤ Intestinal spasm. ➤ Biliary and renal colics. ➤ Irritable bowel syndrome. Atropine + diphenoxylate <ul style="list-style-type: none"> ➤ used for treatment of Traveler's diarrhea with opioid
GUT	<ul style="list-style-type: none"> ➤ Urinary incontinence & Urinary urgency caused by minor inflammatory bladder disorders. e.g. Oxybutynin e.g. Darifenacin
Respiratory disorders	Bronchial asthma & chronic obstructive pulmonary disease (COPD). e.g. Ipratropium (inhalation)  
Cardiovascular effects	Sinus bradycardia
Cholinergic poisoning	Cholinesterase inhibitors "insecticides". Mushroom poisoning. 

Adverse Effects

1. Mydriasis, blurred vision.
2. Confusion, agitation, delirium.
3. Dry mouth, hot flushed skin.
4. Constipation, urinary retention.
5. Tachycardia.
6. ↑Body temperature (hyperthermia).



Contra-indications

1. **Glaucoma** (angle closure glaucoma).
2. **Tachycardia** secondary to thyrotoxicosis or cardiac insufficiency.
3. **Old patients with prostate hypertrophy.** □
4. **Paralytic ileus.**
5. **Constipation.**
6. **Children in case of atropine.**

The Mnemonic

Red as a beet



Dry as a bone



Blind as a bat



Mad as a hen



Full as a flask



Hot as a hell fire



Uses of antimuscarinic drugs

Drugs	Organ	Uses
Atropine	CNS	Pre-anesthetic medication, Antispasmodic
Hyoscine	CNS	Pre-anesthetic medication, Motion sickness, antispasmodic
Benztropine	CNS	Parkinson's disease
Homatropine + Tropicamide	Eye	Fundus examination
Ipratropium	Respiratory system	Asthma, COPD, Inhalation
Pirenzepine	Stomach	Peptic ulcer
Glycopyrrolate	GIT	Antispasmodics in hypermotility
Oxybutynin + Darifenacin	UT	Urinary urgency, Urinary incontinence

MCOs

1- A patient is brought into the emergency room. Upon examination you find the following: a high fever, rapid pulse, no bowel sounds and dilated pupils that do not respond to light. His lungs are clear. His face is flushed and his skin is dry. He is confused, disorientated and reports 'seeing monsters'. Based on these symptoms, you suspect he has been 'poisoned'. Which of the following is the MOST obvious cause of poisoning?

- A. Neostigimine
- B. Physostigmine
- C. Atropine sulfate
- D. Acetylcholine

2- You are working in the post anesthesia care unit of a hospital. You have just received a patient back from surgery and you are monitoring his status. Knowing that the patient has received atropine, which of the following statements/observations is UNEXPECTED?

- A. The patient is complaining of extreme thirst.
- B. The patient complains he is unable to clearly see the clock located just across from him.
- C. The patient's heart rate is elevated.
- D. The patient reports he has cramping and diarrhea.

3- Which drug we use in case of motion sickness?

- A. Atropine
- B. Hyoscine
- C. Benztropine
- D. Glycopyrrolate

SAQ

4- Passive mydriasis is happen due to :

5- List two selective antimuscarinic drugs.

6- Describe the mechanism of action of the antimuscarinic drugs.

Answers:
1-C
2-D
3-B
4-Paralysis of circular muscle
5-Pirenzepine(M1), Darifenacin(M3)
6-Competitively block muscarinic receptors

Good Luck & Thank you !

Team members

Laila ALSabbagh

Rahaf ALThnayan

Ghada AlQarni

Hind AlOraier

Dana AlRasheed

Ghaida AlSanad

Rinad AlGhoraiby

AlFahdah AlSaleem

Team Leaders

Rahaf AlShammari

Yazeed AlHarbi