

ANTICHOLINERGIC DRUGS

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What students should know:

Student should be able to :

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

Anticholinergic Drugs

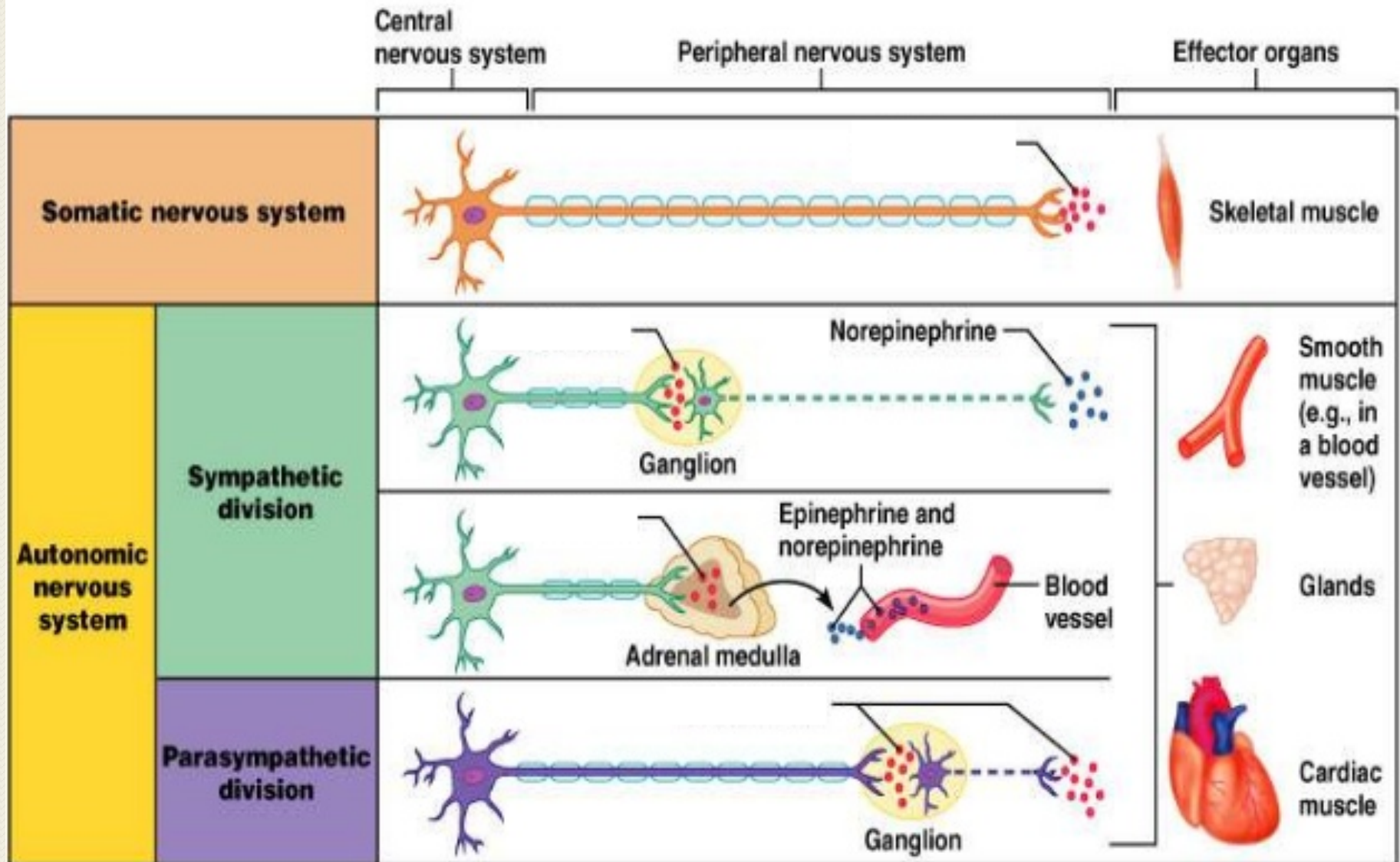
Antimuscarinics

Antinicotinics

**Ganglionic
blockers**

**Neuromuscular
blockers**

Anticholinergic Drugs



Anticholinergic Drugs

Antimuscarinic Drugs

According to source

Natural

- Atropine (Hyoscyamine)
- Hyoscine (scopolamine)

Semisynthetic

Synthetic

Antimuscarinics

Muscarinic antagonists

Semisynthetic & Synthetic atropine substitutes

Homatropine (semisynthetic)

Tropicamide

Benztropine

Pirenzepine

Ipratropium

Glycopyrrolate

Oxybutynin, Darifenacin

Anticholinergic Drugs

Antimuscarinic Drugs

According to structure

Tertiary amines

- Atropine (Hyoscyamine)
- Hyoscine (scopolamine)
- lipid soluble

Quaternary ammonium

- Glycopyrrolate
- water soluble

Anticholinergic Drugs

Antimuscarinic Drugs

According to selectivity

Non-selective

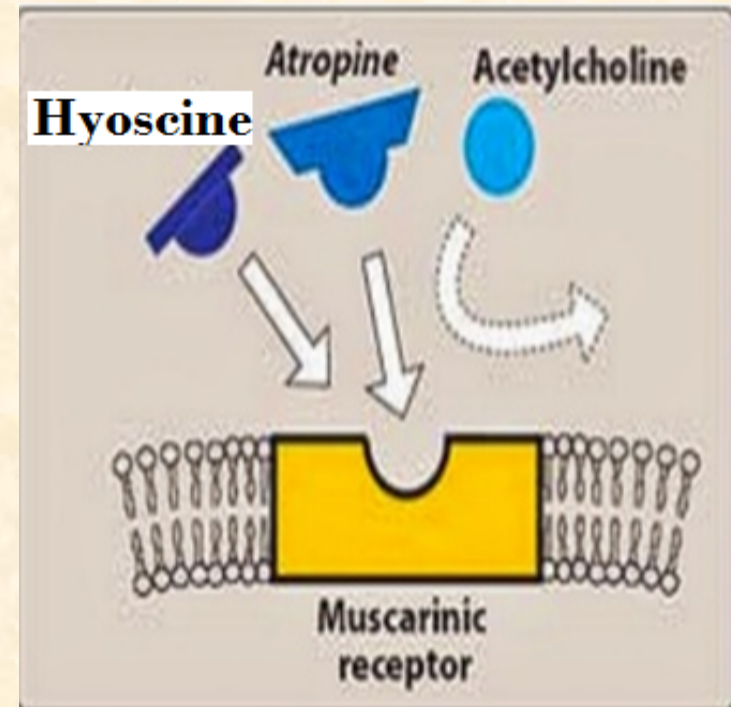
- Atropine, Hyoscine
- Ipratropium

Selective

- Pirenzepine(M₁)
- Darifenacin(M₃)

Mechanism of action

Competitively block muscarinic receptors



Pharmacokinetics

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.

Pharmacodynamic Actions

CNS:

- **Atropine** at clinical dose, initial stimulation followed by depression (**sedative effect**).
- **Hyoscine** → sedative effect
- **Antiemetic effect** (block vomiting center).
- **Antiparkinsonian effect** (block basal ganglia).

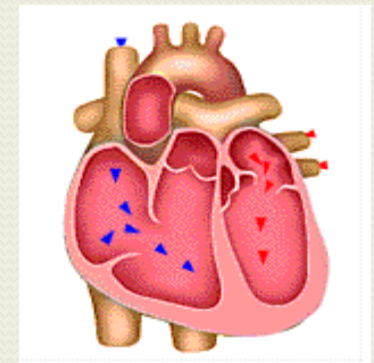
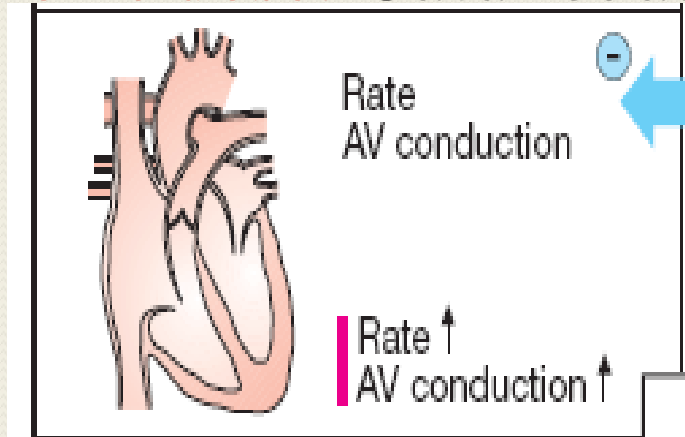
Pharmacodynamic Actions

CNS:

- **High doses of atropine** cause cortical excitation, restlessness, disorientation, hallucinations, and delirium followed by respiratory depression and coma.

CVS:

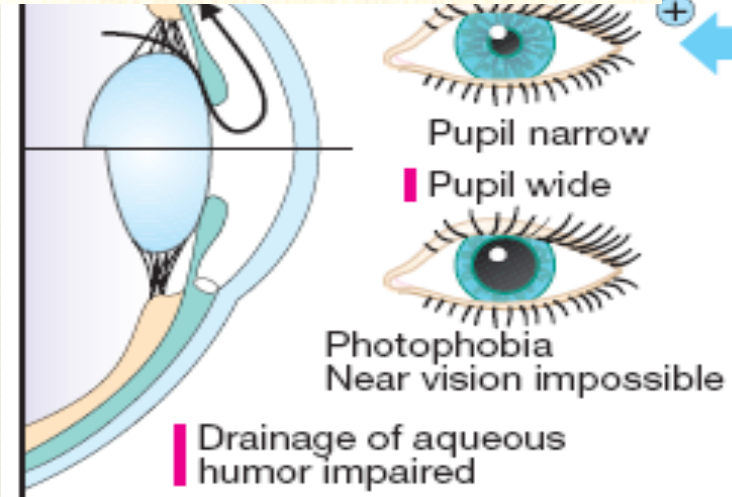
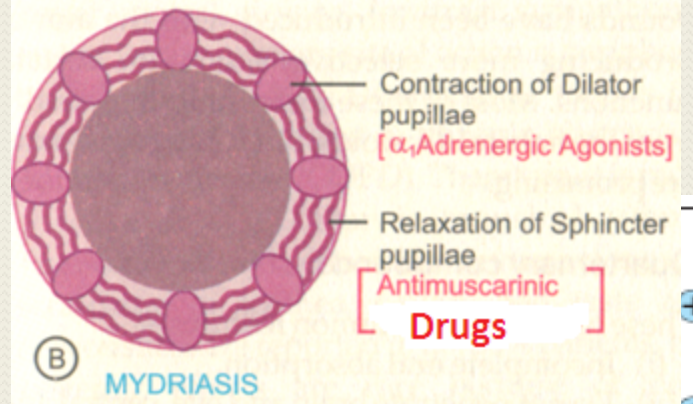
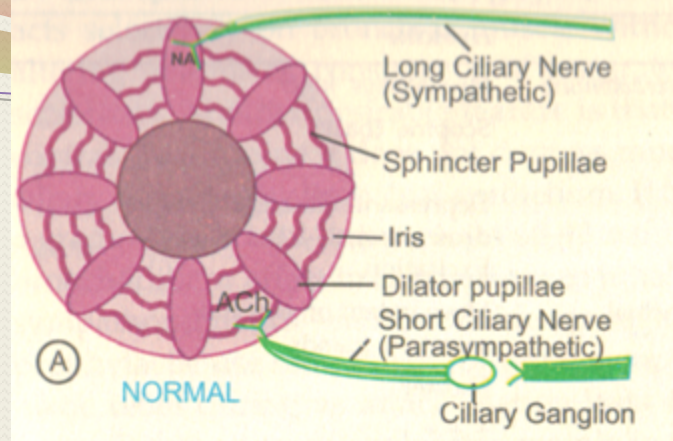
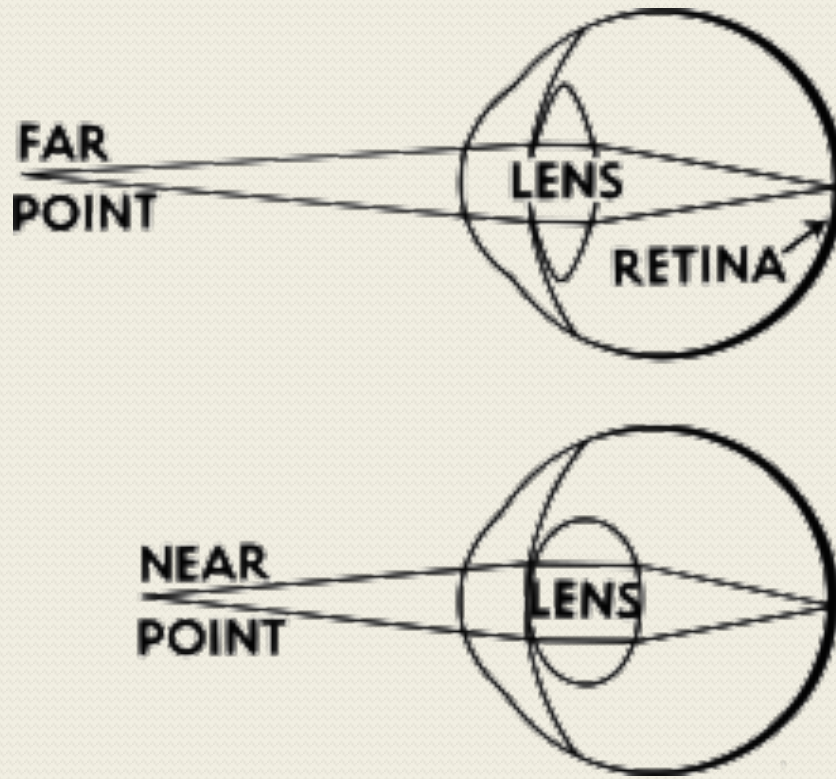
- **Atropine** causes *initial bradycardia* followed by *tachycardia* due to blockade of M₂-receptors on SA node.
- **↑ AV conduction** (+ ve dromotropic effect).
- Atropine does not influence BP.
- ↓ Vasodilatation induced by cholinergic agonists.
- **Toxic dose:** Cutaneous vasodilatation → (atropine flush).



Eye:

- **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

Eye:



Respiratory system

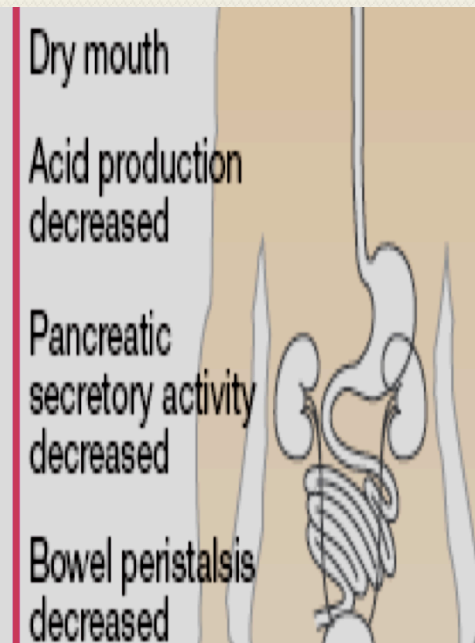
Relaxation of bronchial muscles (bronchodilator)

↓ Bronchial secretion → ↑ viscosity



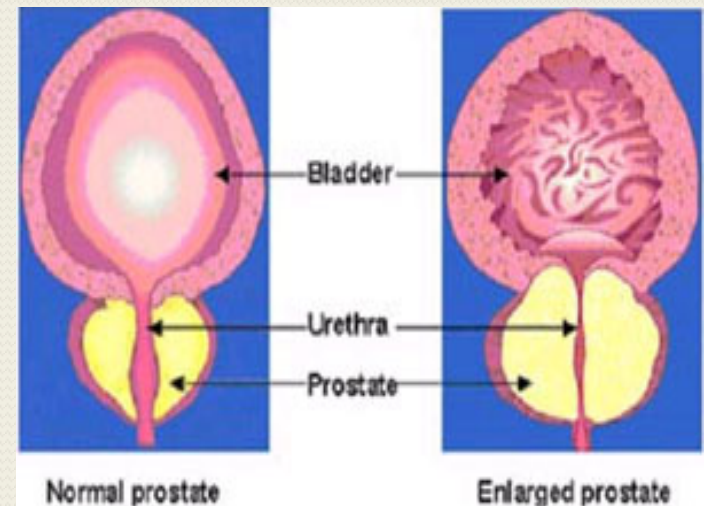
GIT:

- **Dryness of mouth**
- **↓ Gastric acid production**
- **Relaxation of smooth muscles.**
- **↓ GIT motility → Antispasmodic effect.**
- **↑ Sphincter contractions**
- **Constipation**



Genitourinary tract:

- Relaxation of smooth muscles of urinary bladder.
- Sphincter contraction.
- Urinary retention.
- Urinary retention can occur in old men with prostatic hyperplasia.



Secretions

↓ Salivary secretion → **(Dry mouth).**

↓ Sweating → dry skin

In children modest doses → "atropine fever"

↓ Bronchial secretion → ↑ Viscosity

↓ Lacrimal secretion → Sandy eye

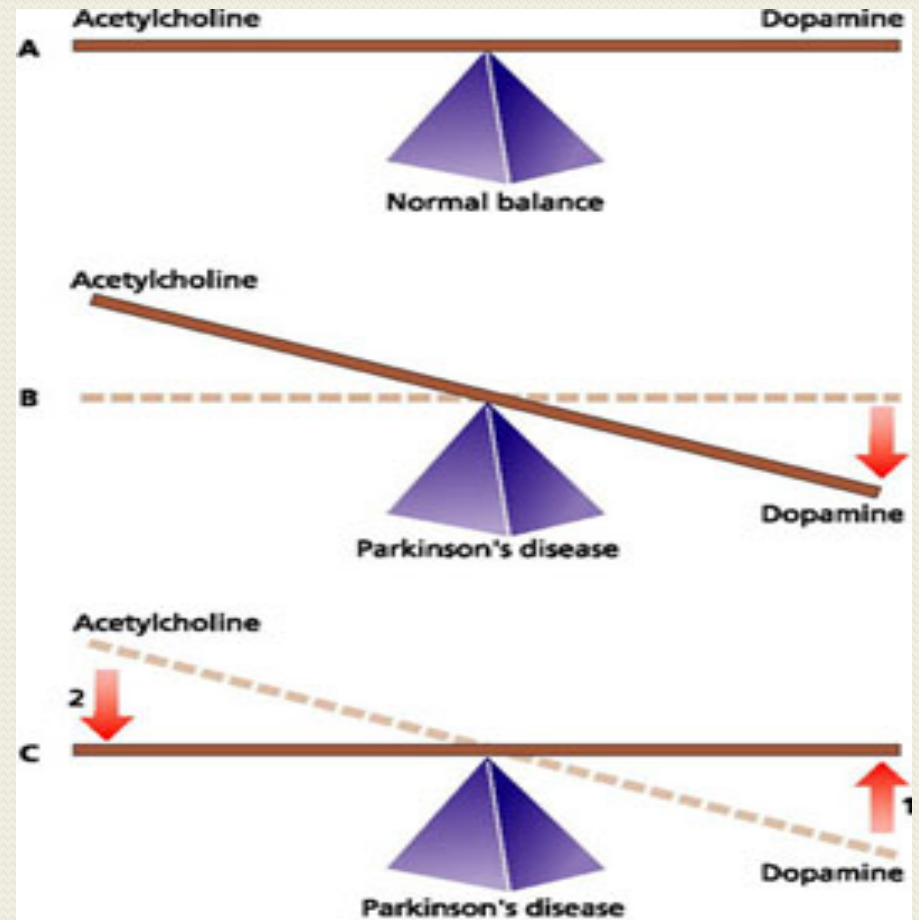
Clinical Uses

- **Parkinsonism**
- **Vomiting (Motion sickness)**
- **Pre-anesthetic medication**
- **Asthma & COPD**
- **Peptic ulcer**
- **Intestinal spasm as antispasmodics**
- **Urinary urgency, urinary incontinence**

Clinical Uses

CNS:

Parkinsonism
e.g. Benztropine



- A.** Normal balance of acetylcholine and dopamine in the CNS.
B. In Parkinson's disease, a decrease in dopamine results in an imbalance.
C. Drug therapy in Parkinson's disease is aimed at correcting the imbalance between acetylcholine and dopamine. This can be accomplished by either
1. increasing the supply of dopamine or
 2. blocking or lowering acetylcholine levels.

Clinical Uses

CNS:

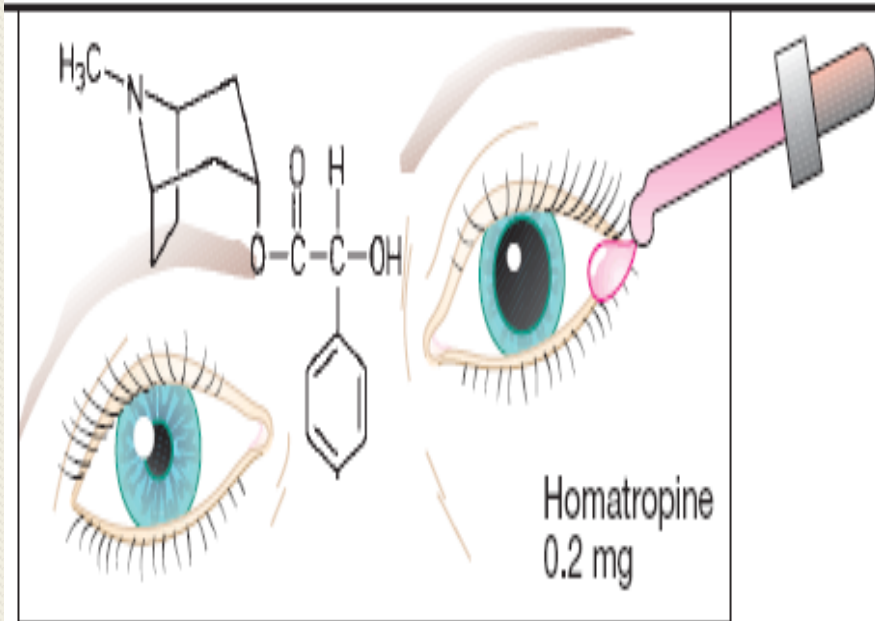
Motion sickness e.g. Hyoscine



Ophthalmic disorders:

Ophthalmoscopic examination of retina

e.g. Tropicamide, homatropine



GIT:

e.g. **Glycopyrrolate, Hyocine butyl bromide.**

- Intestinal spasm
- Biliary and renal colics.
- Irritable bowel syndrome

- **Atropine + diphenoxylate**
used for treatment of Traveler's diarrhea with opioid

GUT:

Urinary incontinence & Urinary urgency caused by minor inflammatory bladder disorders

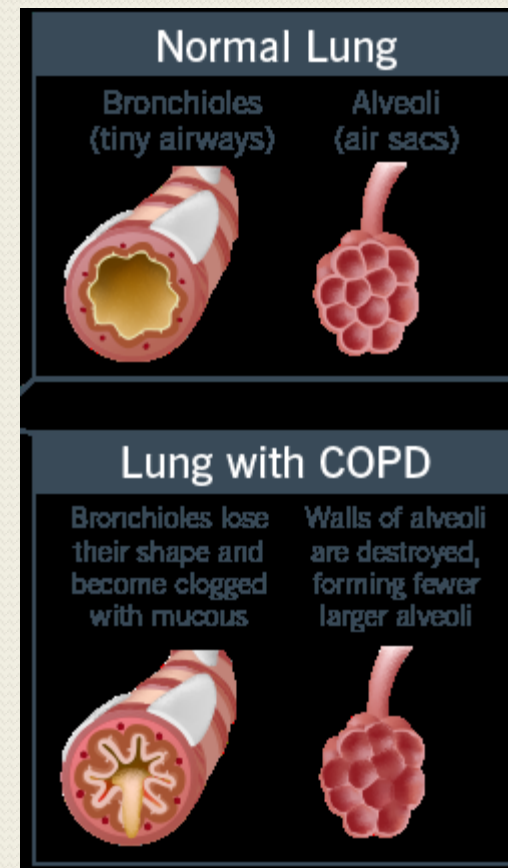
e.g. Oxybutynin

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

- Mydriasis, blurred vision
- Confusion, agitation, delirium
- Dry mouth , hot flushed skin
- Constipation, urinary retention
- Tachycardia
- ↑ Body temperature
(hyperthermia)

ANTICHOLINERGIC
MEDICATIONS

Can't pee

Can't see

Can't spit

Can't shit



The Mnemonic

Hot as Hell fire



MAD AS AN OLD WET HEN...

Contra-indications

- **Glaucoma (*angle closure glaucoma*)**
- **Tachycardia secondary to thyrotoxicosis or cardiac insufficiency**
- **Old patients with prostate hypertrophy.**
- **Paralytic ileus**
- **Constipation**
- **Children *in case of atropine.***

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
Glycopyyrolate	GIT	Antispasmodics in hypermotility
Oxybutynin Darifenacin	UT	Urinary urgency, Urinary incontinence

Quiz 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?

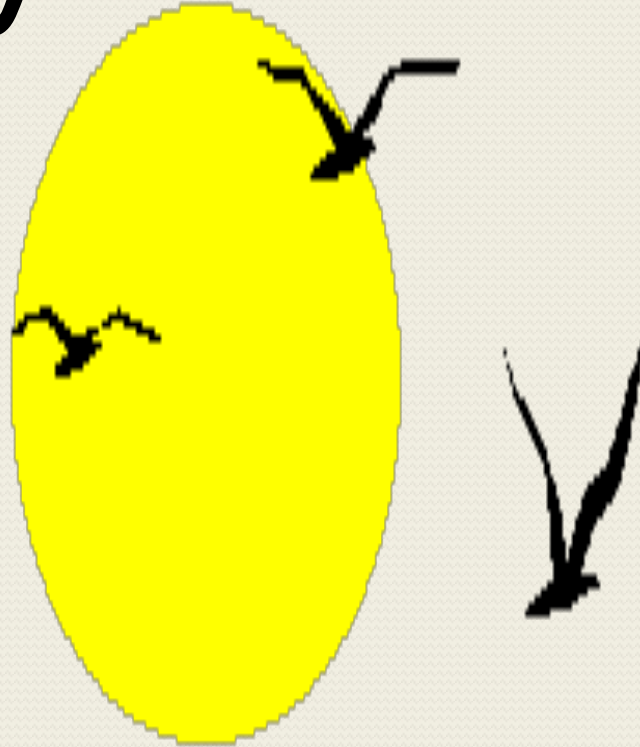
- A. Neostigimine
- B. Physostigmine
- C. Atropine sulfate
- D. Acetylcholine

Quiz 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.

Thank you



Questions ?