

# **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**

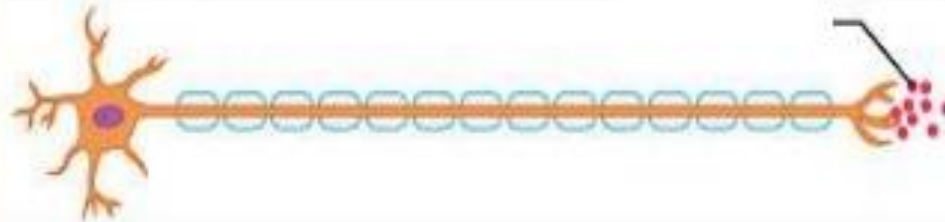
**Ganglionic  
blockers**

**Neuromuscular  
blockers**

# ANTICHOLINERGIC DRUGS

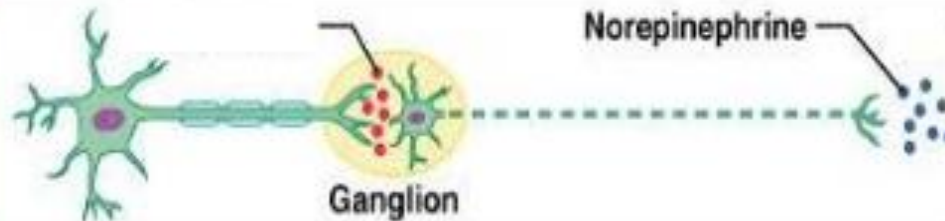
Central nervous system      Peripheral nervous system      Effector organs

**Somatic nervous system**



**Skeletal muscle**

**Sympathetic division**



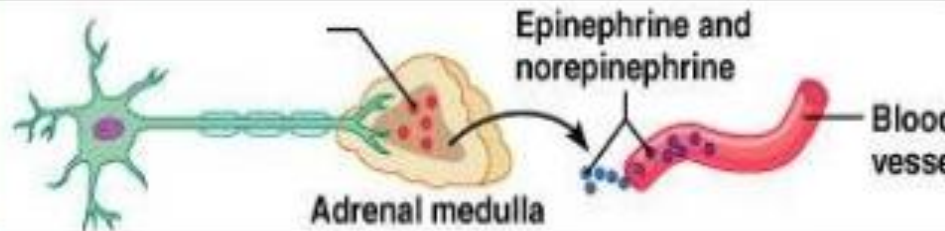
Norepinephrine

Ganglion

**Smooth muscle (e.g., in a blood vessel)**

**Autonomic nervous system**

**Parasympathetic division**



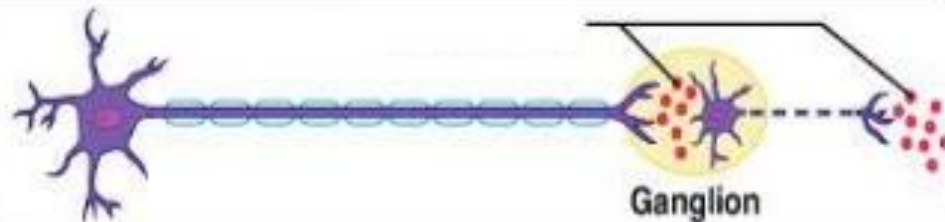
Epinephrine and norepinephrine

Adrenal medulla

Blood vessel

**Glands**

**Cardiac muscle**



Ganglion

**Cardiac muscle**

# 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
- Ipratropium
- water soluble

# ANTICHOLINERGIC DRUGS

## Antimuscarinic Drugs

According to selectivity

Non-selective

- Atropine, Hyoscine
- Ipratropium

Selective

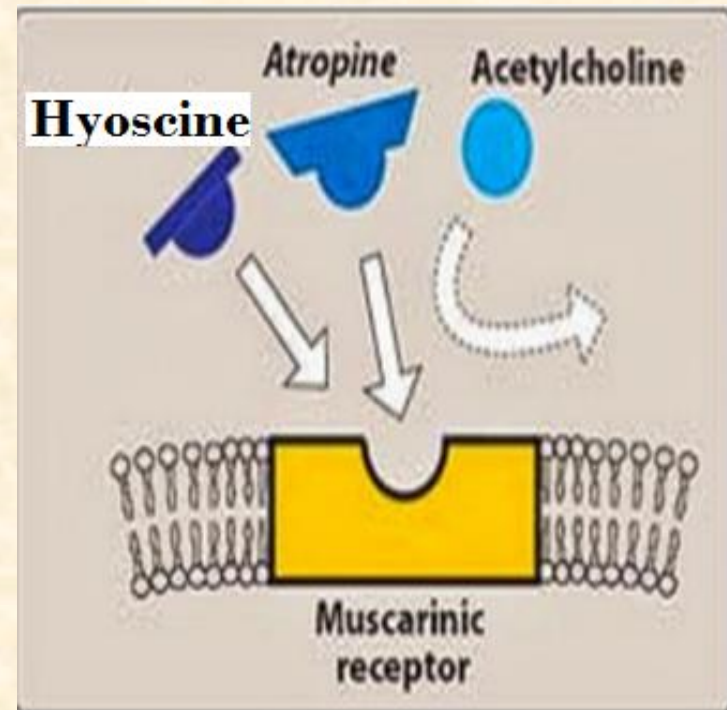
- Pirenzepine(M<sub>1</sub>)
- Darifenacin(M<sub>3</sub>)



# MECHANISM OF ACTION

**Reversible competitive blockade of muscarinic Receptors (reverses muscarinic effects of cholinergic drugs ).**

**Atropine & hyoscine can block all muscarinic receptors (**not selective**).**



# 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

## Cholinergic actions

### Eye

Circular muscle of iris

Contraction (**miosis**)

Ciliary muscles

Contraction

accommodation for near vision

### Heart

bradycardia ( ↓ H.R.)

### Urinary bladder

Contraction of muscles

Relaxation of sphincter

**Urination**

## Anticholinergic actions

relaxation (**mydriasis**)

relaxation (**cycloplegia**)

loss of accommodation

Tachycardia ( ↑ H.R.)

Relaxation of muscles  
contraction of sphincter

**Urinary retention**

# PHARMACODYNAMIC ACTIONS

## Cholinergic drugs

## Anticholinergic drugs

### Exocrine glands

Increase of sweat, saliva, lacrimal, bronchial, intestinal secretions

Decrease all secretions

### GIT

↑ peristalsis ↑ secretion  
relaxation of sphincter  
**Diarrhea**

↓ peristalsis  
↓ secretion  
Contraction of sphincter  
**constipation**

### Lung

Bronchoconstriction  
↑ bronchial secretion

Bronchodilatation  
↓ Decrease secretion

# PHARMACODYNAMIC ACTIONS

## CNS:

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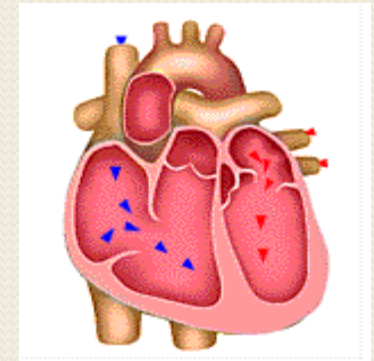
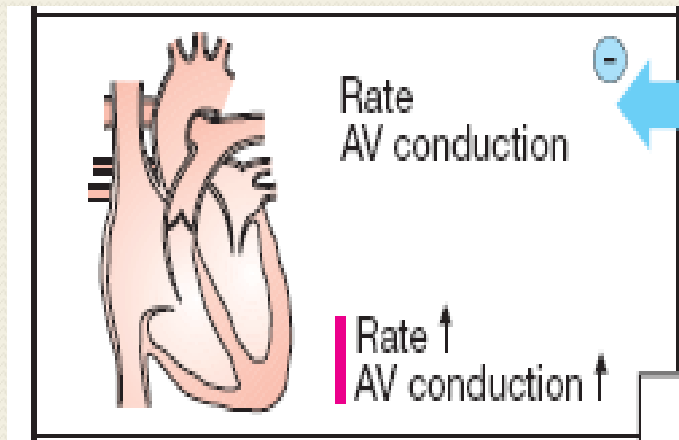
# PHARMACODYNAMIC ACTIONS

## 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 M<sub>2</sub>-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).

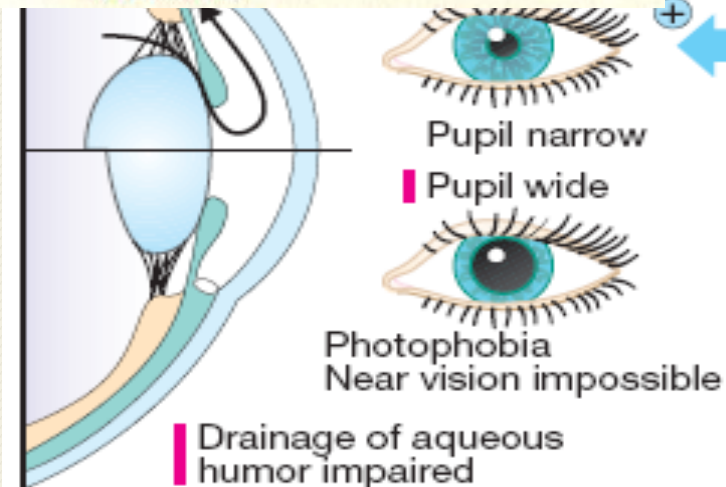
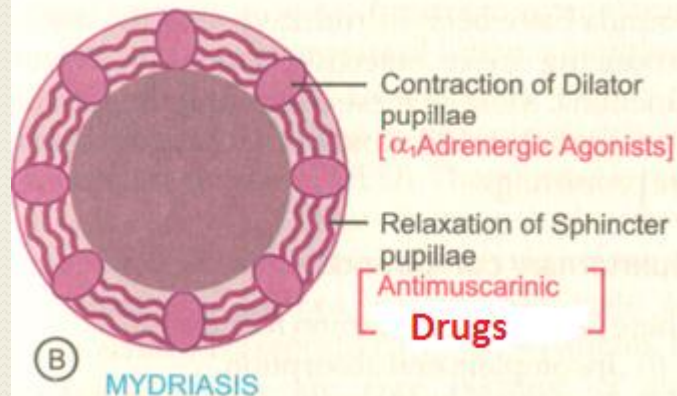
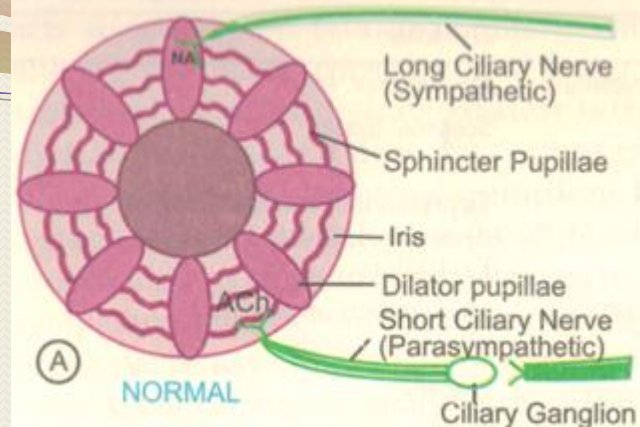
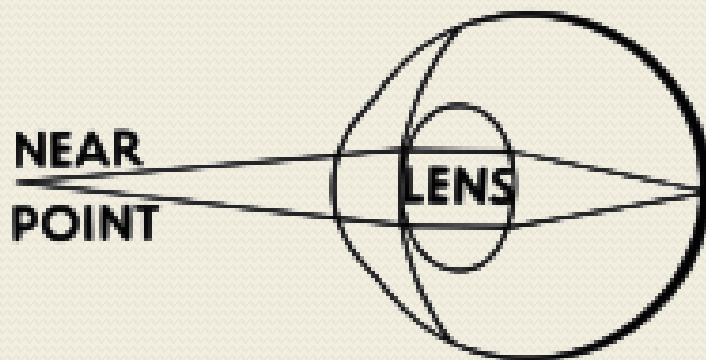
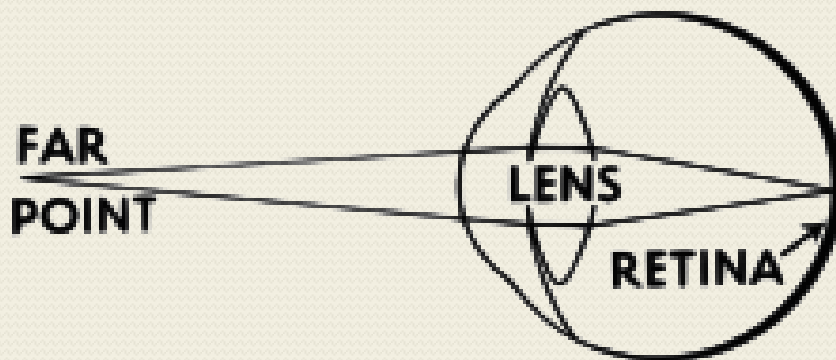




# Eye:

- **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

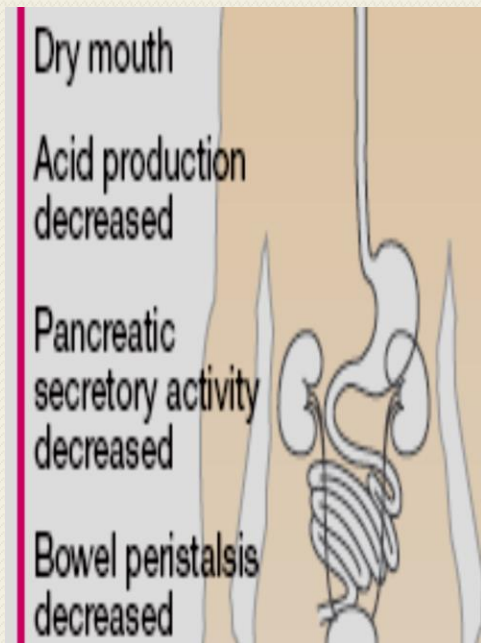
Relaxation of bronchial muscles (bronchodilators)

↓ Bronchial secretion → ↑ viscosity



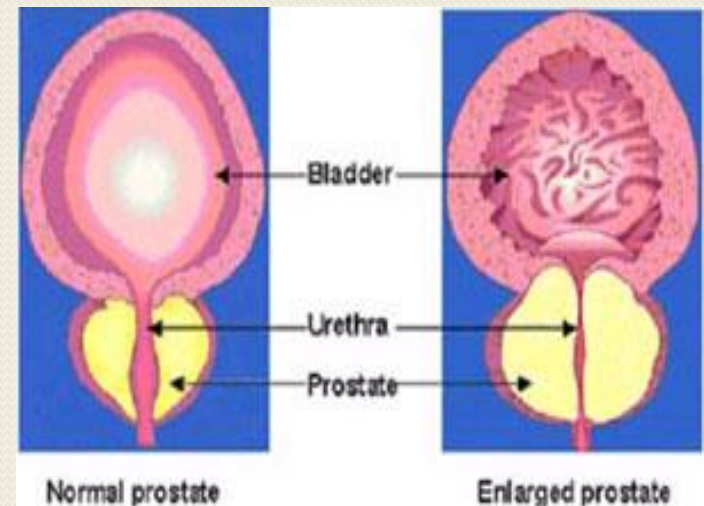
# GIT:

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



# Genitourinary tract:

- Relaxation of smooth muscles of urinary bladder.
- Sphincter contraction.
- Urinary retention.
- **# Contraindicated** 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

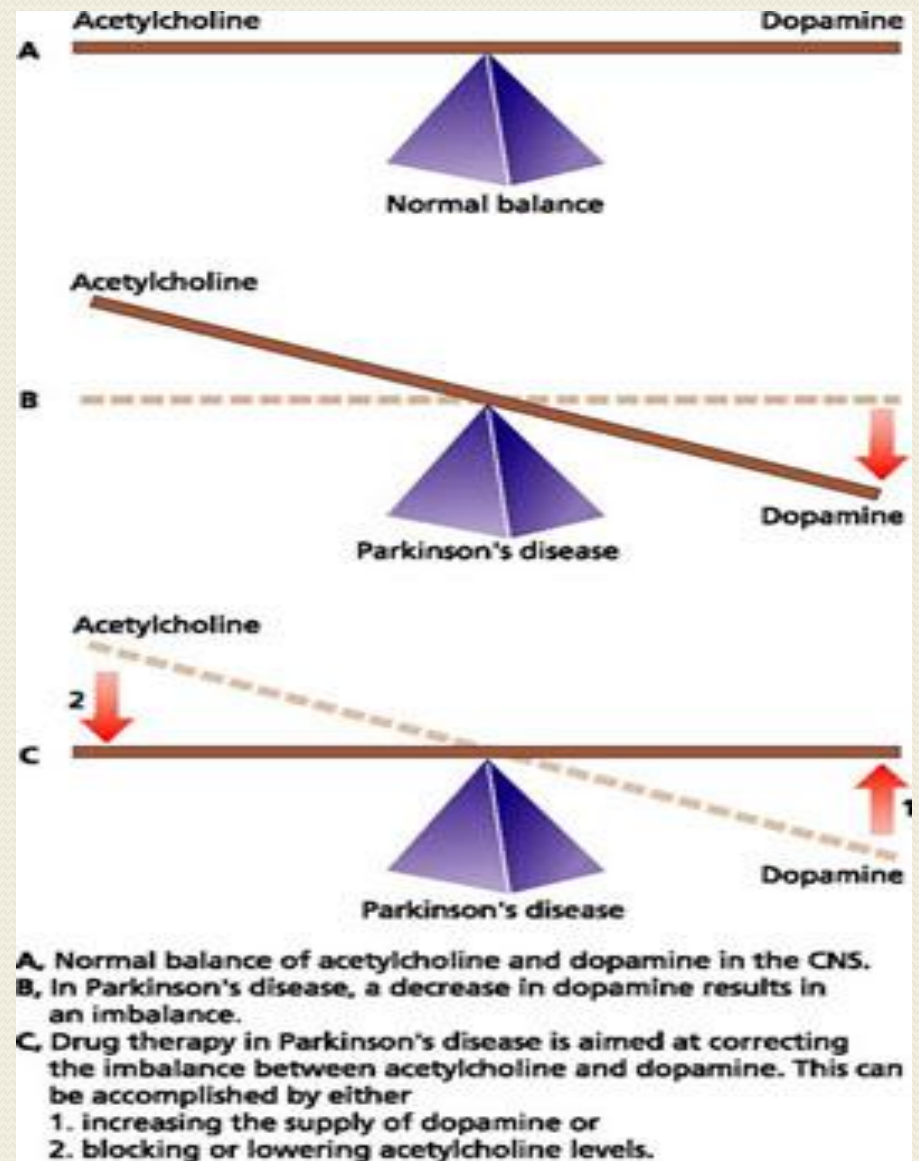
# **CLINICAL USES**

- **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. Bzotropine





# CLINICAL USES

**CNS:**

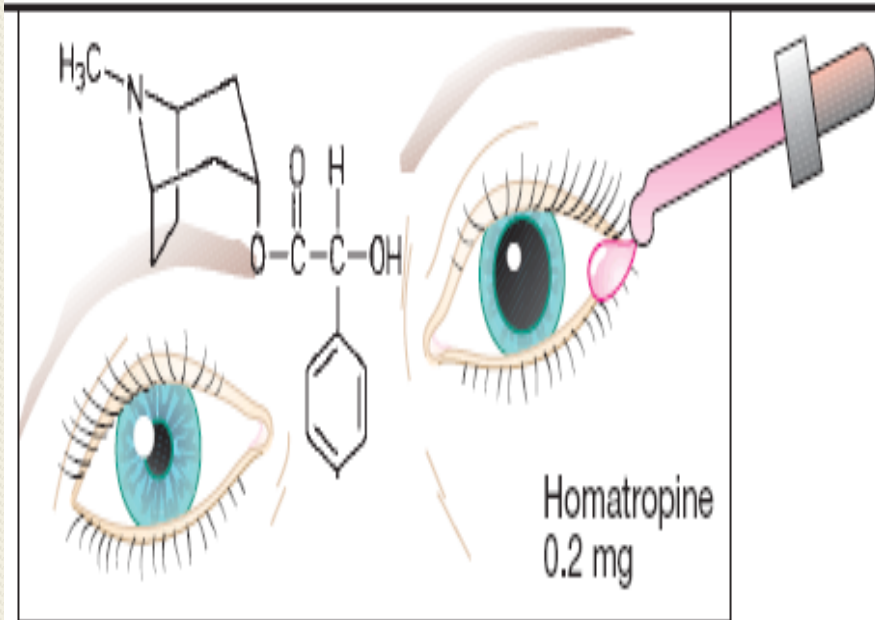
**Motion sickness e.g. Hyoscine**



# 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

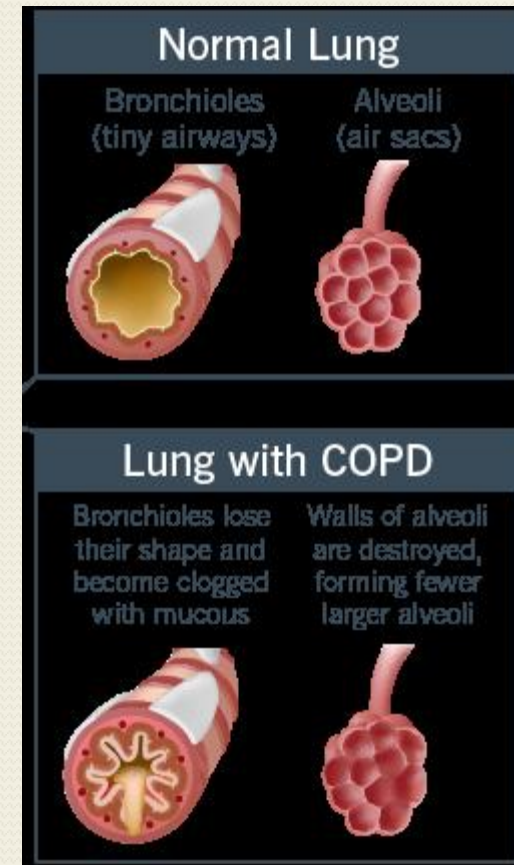
**e.g. Oxybutynin**

**e.g. Darifenacin**

# Respiratory disorders:

Bronchial asthma & chronic obstructive pulmonary disease (COPD)

e.g. Ipratropium (inhalation)



## **Cardiovascular uses:**

### **Sinus bradycardia**

#### **atropine IV/IM**

Used to increase heart rate through vagolytic effects, causing increase in cardiac output.

# Cholinergic poisoning

Cholinesterase inhibitors “insecticides”.  
Mushroom poisoning.

**Atropine** reverses muscarinic effects of cholinergic poisoning.





# ADVERSE EFFECTS

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

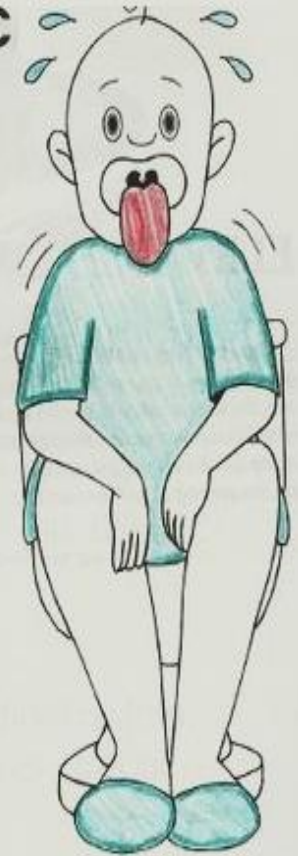
## ANTICHOLINERGIC MEDICATIONS

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	<b>CNS</b>	Pre-anesthetic medication Antispasmodic
Hyoscine	<b>CNS</b>	Pre-anesthetic medication, Motion sickness, antispasmodic
Benztropine	<b>CNS</b>	Parkinson's disease
Homatropine Tropicamide	<b>Eye</b>	Fundus examination
Ipratropium	<b>Respiratory system</b>	asthma, COPD, inhalation
Pirenzepine	<b>Stomach</b>	Peptic ulcer
Glycopyyrolate	<b>GIT</b>	Antispasmodics in hypermotility
Oxybutynin Darifenacin	<b>UT</b>	Urinary urgency, Urinary incontinence

# **SUMMARY**

- **Antimuscarinics reverse action of cholinomimetics on muscarinic receptors.**
- **Are useful in many applications including intestinal spasm, urinary urgency, vomiting, parkinsonism, asthma and peptic ulcer.**
- **Are contraindicated in constipation, Prostate hypertrophy, tachycardia and glaucoma.**

## 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. Neostigmine
- 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.

**Can antimuscarinic drugs reverse the action of neostigmine on skeletal muscles?**

**What is the antidote that can be used in atropine toxicity?**



**Thank you**



**Questions ?**