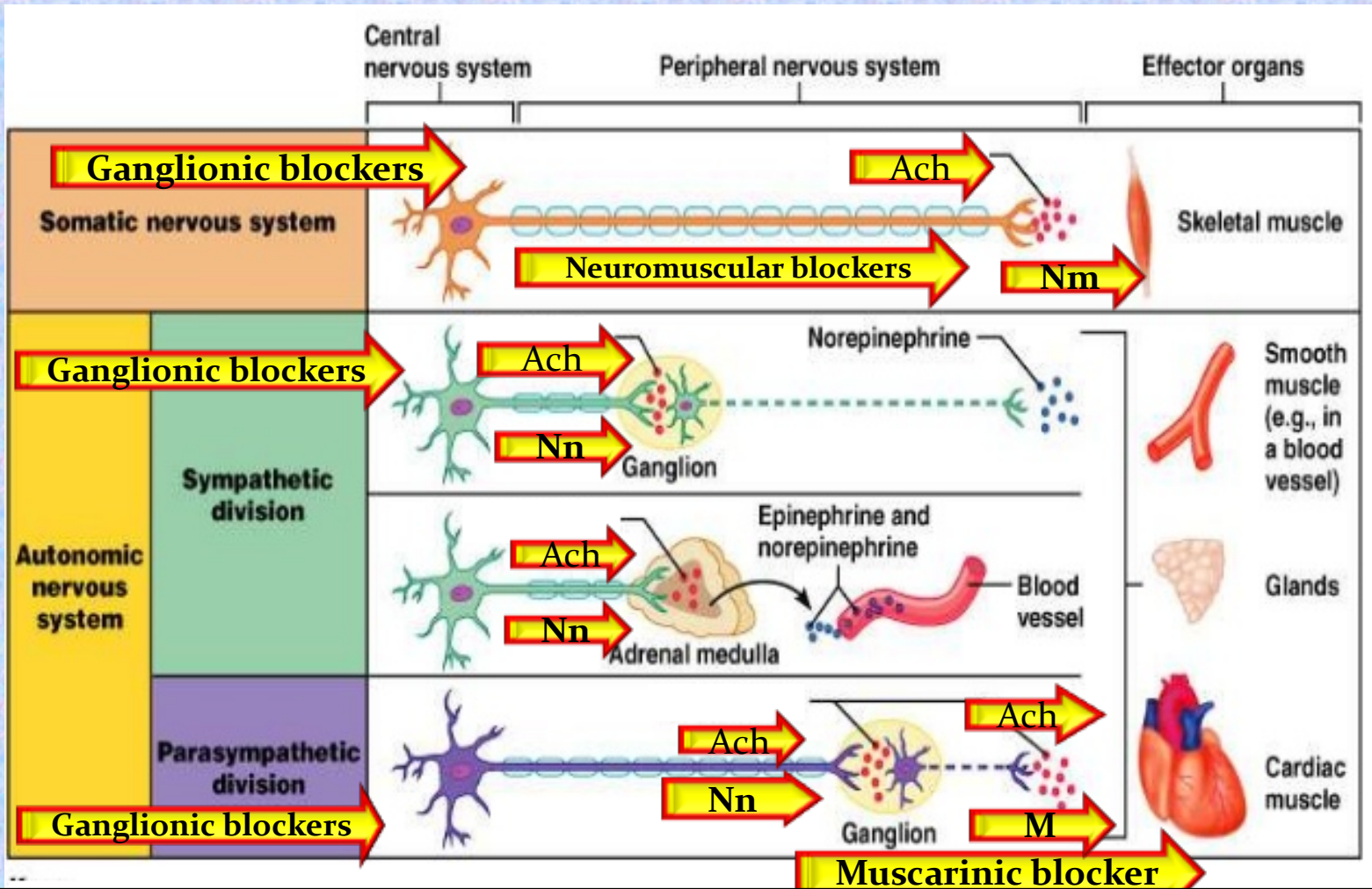


# Anticholinergic Drugs



# Anticholinergic Drugs

## Learninig Outcomes

Classify anticholinergic drugs

Outline pharmacodynamic actions of anticholinergic drugs

Discuss their pharmacokinetic properties

Define their clinical uses

List their ADRs & contraindications

# Anticholinergic Drugs

## CLASSIFICATION

Antimuscarinic Drugs

According to source

Natural

Atropine

Hyoscine

Semisynthetic

Homatropine

Synthetic

Ipratropium, tropicamide



Source: Knopp RJ, Stack LB, Sporn AB, Thurman RJ. The Atlas of Emergency Medicine, 2nd Edition. <http://www.accessmedicine.com>. Copyright © The McGraw-Hill Companies, Inc. All rights reserved.



# Anticholinergic Drugs

## CLASSIFICATION

According to structure

Tertiary amines

Quaternary ammonium

Ipratropium

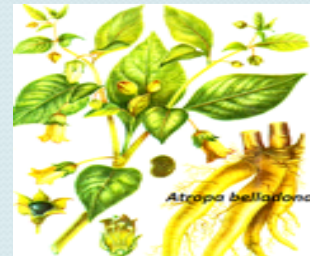
According to selectivity

Non-selective

Selective

Pirenzepine(M<sub>1</sub>)

Darifenacin(M<sub>3</sub>)



Source: Knopp RJ, Stack LB, Spornes AB, Thurman RJ. The Atlas of Emergency Medicine, 2nd Edition. <http://www.accessmedicine.com>. Copyright © The McGraw-Hill Companies, Inc. All rights reserved.



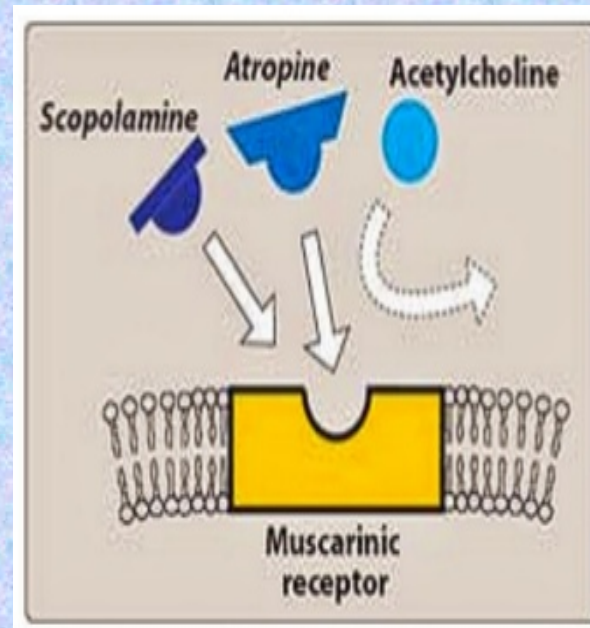
# Mechanism of action

**Competitively block muscarinic receptors**

**Salivary, bronchial, and sweat glands are most sensitive**

**Smooth muscle and heart are intermediate**

**Gastric glands and gastric smooth muscles are the least.**



# Pharmacodynamic Actions

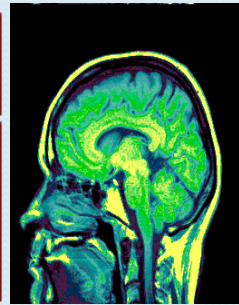
## CNS:-

Atropine at clinical dose, initial stimulation followed by slower longer -lasting sedative effect

Hyoscine → sedative effect

Atropine stimulates many medullary centers, vagal, respiratory, and vasomotor.

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

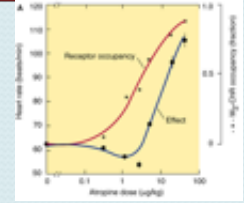


# Pharmacodynamic Actions

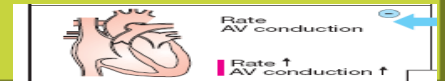
CVS:-

Atropine causes *tachycardia in isolated heart*, due to blockade of  $M_2$ -receptors on SA node

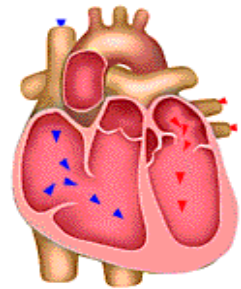
In intact animals, initial bradycardia followed by tachycardia



Atropine *shortens the refractory period of AV conduction*



Atropine does not influence BP. It blocks the vasodepressor action of cholinergic agonists



# Pharmacodynamic Actions

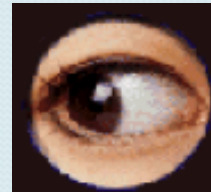
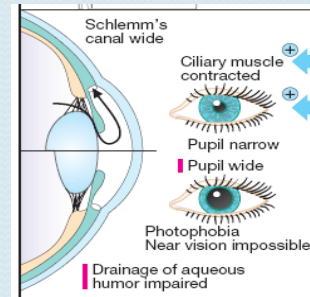
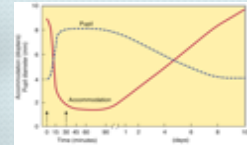
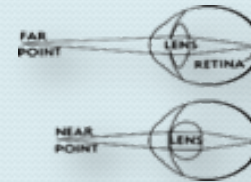
Eye:-

Relaxes pupillae constrictor → mydriasis

Relaxes ciliary muscle *abolition of light reflex* & [cycloplagia].

Increase intraocular pressure

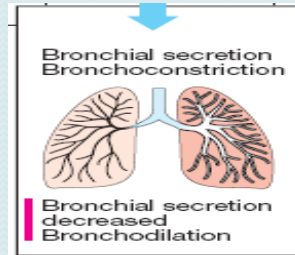
↓ Lachrymal secretions





# Pharmacodynamic Actions

## Respiratory system

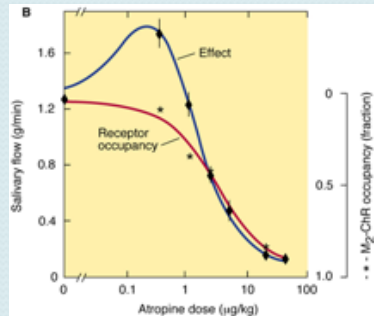


Atropine → bronchodilation & ↓ of secretion

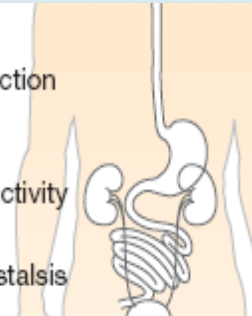
## GIT:-

↓ Motility

↓ Secretion.



Dry mouth  
Acid production decreased  
Pancreatic secretory activity decreased  
Bowel peristalsis decreased

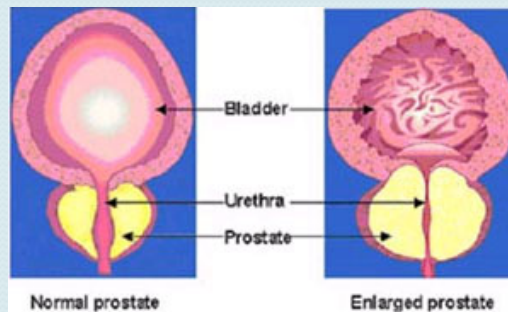


# Pharmacodynamic Actions

## Genitourinary tract:-

Atropine has relaxant action on the ureters & bladder wall

*Urinary retention* can occur in older men with prostatic hyperplasia.



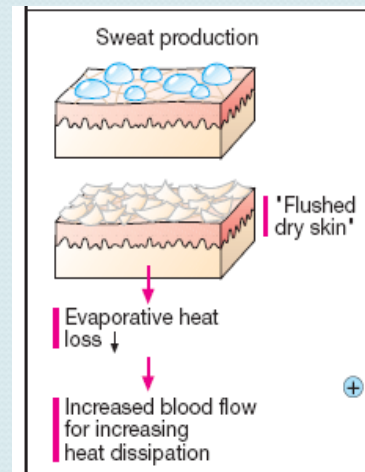
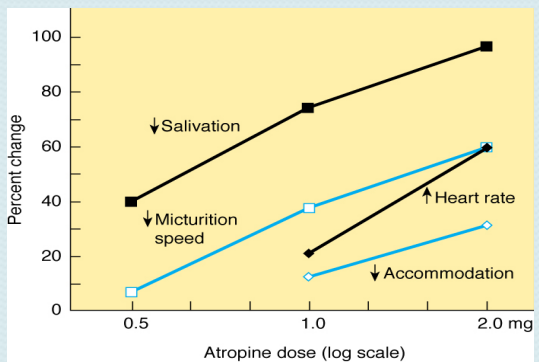
# Pharmacodynamic Actions

## Sweat glands:-

Atropine decreases sweat secretion ( $M_3$ -blockade)

In children modest doses → "atropine fever"

## Summary of Effects



# Pharmacokinetics

Atropine and hyoscine are rapidly absorbed from the GIT

When applied to the eyes they penetrate the cornea.

Passage of atropine across BBB is restricted.

50% of atropine is metabolized in the liver and 50% excreted unchanged in urine.

Atropine has  $t_{1/2}$  of 3-4 h

Hyoscine is more completely metabolized and has better BBB penetration.

# Clinical Uses

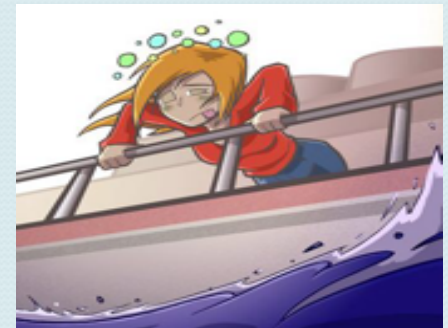
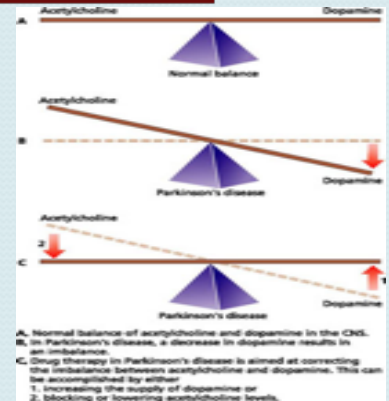
CNS:-

i-Parkinsonism:-

Benzhexol, bengtropine

ii-Motion sickness

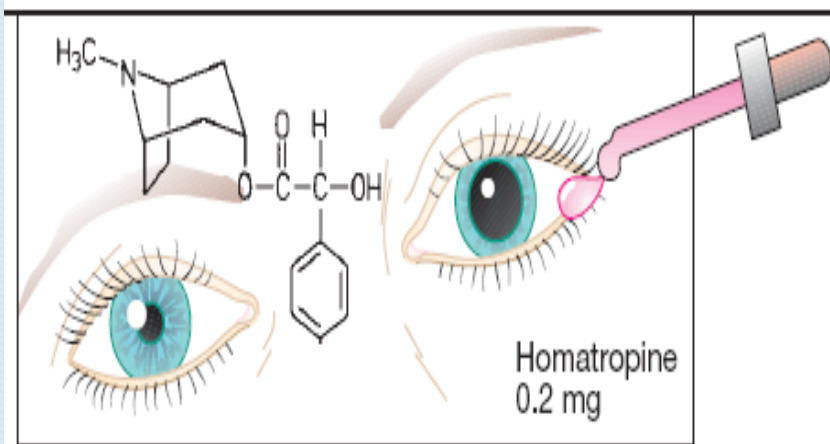
Hyoscine



# Clinical Uses

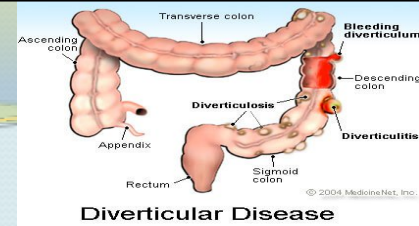
**Ophthalmic disorders:-**

Ophthalmoscopic examination of retina



# Clinical uses

**GIT:-**



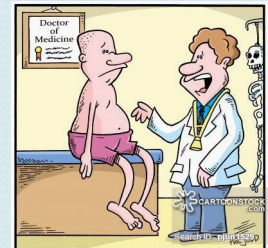
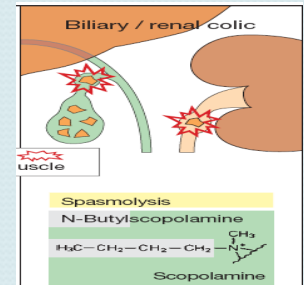
**Ulcer → Pirenzepine**

Irritable bowel syndrome, colonic diverticular disease  
e.g. dicyclomine

Traveler's diarrhoea with opioid [Atropine +  
diphenoxylate ]

Biliary & renal colic.

Urinary urgency caused by minor  
inflammatory bladder disorders. Urinary  
incontinence (oxybutynin)



"Do I know much about incontinence?  
No I was never good at Geography."

# Clinical Uses

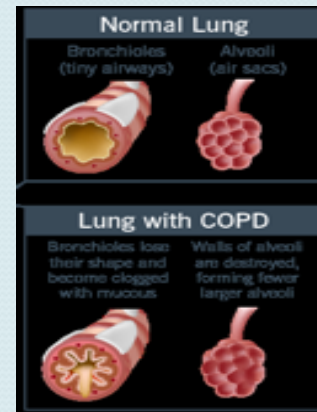
## Respiratory disorders:-

Pre-operative medication when anaesthetic  
→ ↑ secretion & laryngospasm

*Hyoscine* → amnesia,

Bronchial asthma & chronic obstructive pulmonary disease (COPD)

Ipratropium (inhalation)



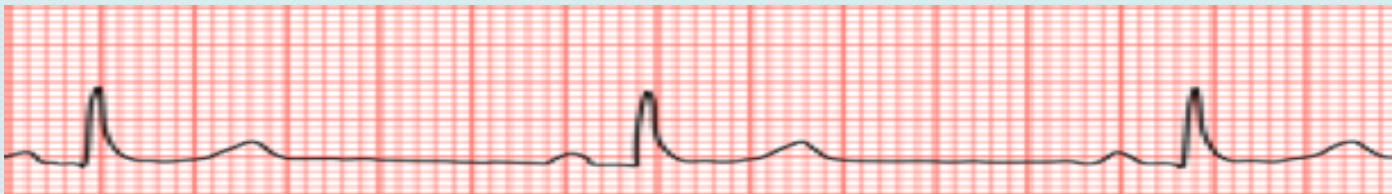


# Clinical Uses

## Cardiovascular effects:-

Pain of myocardial infarction → depression of SA, AV node

Sinus bradycardia



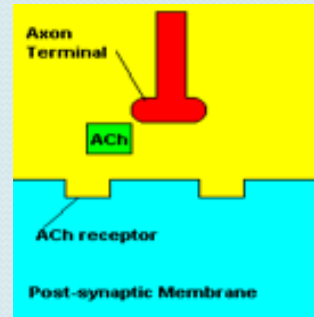
Sinus  
Rhythm



# Clinical Uses

Cholinergic poisoning:-

Cholinesterase inhibitors “insecticides”.



Mushroom poisoning.



# Clinical Uses

Hyperhydrosis:-



# Adverse Effects

Mydriasis, blurred vision

Confusion, agitation, delirium

Dry mouth , hot flushed skin,

Constipation, urinary retention

Tachycardia

↑ Body temperature

ANTICHOLINERGIC  
MEDICATIONS

Can't pee

Can't see

Can't spit

Can't shit



# The Mnemonic

Red as a beet



Dry as a bone



Blind as a bat



Full as a Flask



Mad as a hen



Hot as Hell fire



# Contra-indications

Glaucoma

Elderly people with prostatic hypertrophy

Tachycardias secondary to thyrotoxicosis or cardiac insufficiency

GI obstructive disease

Paralytic ileus.

Non selective M blockers →ulcer



## 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.

