



King Saud University  
College of Medicine  
1<sup>st</sup> Year, 3<sup>rd</sup> Block

# Anti-cholinergic Drugs



RESPIRATORY BLOCK

# Objectives :

- 1 Describe Kinetics of muscarinic antagonists.
- 2 The effects of atropine on the major organ systems.
- 3 List the clinical uses of muscarinic antagonists.
- 4 To know adverse effects & contraindications of anticholinergic drugs.
- 5 To identify at least one anti-muscarinic agent for each of the following special uses: mydriasis, cycloplegia, peptic ulcer & parkinsonism.



# Anticholinergic drugs

Are drug that block cholinergic RECEPTORS.

**Muscarinic blockers**  
(Antimuscarinic)

**Nicotinic blockers**  
(Antinicotinic)

**Natural alkaloids**  
e.g. Atropine (Hyoscyamin),  
Hyoscine (Scopolamine)

- History: Thousands of years
- They consist of esters of tropic acid and **tertiary amines**
- Lipid soluble**
- Good oral absorption
- Good distribution
- Cross **BBB** (have CNS actions)

**Neuromuscular blockers**  
e.g. skeletal muscle relaxants

**Ganglionic blockers**  
**Not used clinically**  
**because they have**  
**CNS effect.**

## Synthetic atropine substitutes

Tertiary amines:  
"Lipid soluble, has  
CNS effects"

- \*Benztropine
- \*Homatropine
- \*Tropicamaide
- \*Pirenzepine
- \*Oxybutynin

Quaternary amines:  
"Polar, no CNS effects"

- \*lpratropine
- \*Glycopyrrolate



## Anti-muscarinic drugs

Drugs	Organ	Uses
<b>Atropine</b>	CNS	Cardiac Arrest, Pre-anesthetic medication, Anti-spasmodic Rx of pesticide Toxicity
<b>Hyoscine</b>	CNS	Pre-anesthetic medication, Motion sickness*, anti-spasmodic
<b>Synthetic atropine substitutes</b>		
<b>Benztropine</b>	CNS "crosses the BBB strongly"	Parkinson's disease الشلل الرعاشي
<b>Tropicamide</b> <b>Homatropine</b>	Eye	Fundus examination of eye
<b>Ipratropium</b>	Respiratory system	asthma, COPD**, given by inhalation "produces local effect"
<b>Pirenzepine</b>	Stomach	Peptic ulcer "acts on M1 only"
<b>Glycopyrrolate</b> <b>Propantheline</b>	GIT	Antispasmodics in hypermotility (decreases the spasm)
<b>Oxybutynin</b>	UT	Urinary urgency, Urinary incontinence "too much urine"

\*Remember the drug we studied before that was used for motion sickness : Diphenhydramin.

\*\*Chronic obstructive pulmonary disease

## Mechanism of action

- **Reversible competitive** blockade of muscarinic receptors. (they compete with the agonist "Ach")
- Atropine can block all muscarinic receptors "M1,M2,M3" (**not selective**).

Effects of the Natural Antimuscarinic Drugs	Actions
<b>CNS</b>	<ul style="list-style-type: none"> <li>-CNS depression (Sedation).</li> <li>-Antiemetic effect (<b>block vomiting center</b> which is found centrally).</li> <li>-Anti-parkinsonian* effect (<b>block Ach at basal ganglia in brain</b>).</li> <li>-Toxic dose cause: <b>Hyperthermia</b>, excitement, <b>hallucination</b>.</li> </ul>
<b>CVS</b>	<ul style="list-style-type: none"> <li>-Tachycardia (increase in heart rate)</li> <li>-AV conduction (<b>Atrioventricular conduction</b>)</li> <li style="text-align: center;">تزيد من سرعة التوصيل الكهربائي بين الأذنين والبطين مما يؤدي إلى زيادة نبضات القلب</li> <li><b>Therapeutic dose:</b> ↓ Vasodilatation induced by cholinomimetics..</li> <li><b>Toxic dose:</b> ↑ Cutaneous vasodilatation → (<b>atropine flush</b>).</li> </ul>
<b>Respiratory**</b>	<ul style="list-style-type: none"> <li>-Bronchodilator.</li> <li>-↓ Bronchial secretion → ↑ viscosity اللزوجة</li> </ul>

\*Parkinson disease is a CNS disease that is caused by an imbalance between two neurotransmitters: Ach (get increased) & Dopamine (get decreased).

\*\*The effects on the muscles differs from the effects on the secretions.

Effects of the Natural Antimuscarinic Drugs	Actions
<b>Eye</b>	<ul style="list-style-type: none"> <li>-Loss of light reflex. (in the dark → Mydriasis)</li> <li>-↓ Lacrimal secretion → sandy eye.</li> <li>-<b>Cycloplegia</b> -loss of near accommodation (paralysis of ciliary muscle).</li> <li>-<b>Passive mydriasis</b> توسع حدقة العين (paralysis of circular muscle).</li> <li>-↑ I.O.P → contraindicated in glaucoma.</li> </ul>
<b>GIT</b>	<ul style="list-style-type: none"> <li>-Relaxation of smooth muscles.</li> <li>-↓ GIT motility → Antispasmodic effect.</li> <li>-↑ Sphincter contractions → <u>Constipation</u>. الإمساك</li> </ul>
<b>Urinary Tract</b>	<p>Relaxation of smooth muscles of urinary bladder,  ↑ Sphincter contraction → <u>Urinary retention</u> (worsens prostate hypertrophy).</p>
<b>Secretions</b>	<ul style="list-style-type: none"> <li>↓ Salivary secretion → Dry mouth,</li> <li>↓ Sweating → Dry skin → Fever in infants and children.</li> </ul>

# Differences Between Atropine And Hyoscine

	Atropine	Hyoscine
<b>Duration</b>	Longer	Shorter
<b>CNS</b>	CNS depressant action	<b>More</b> CNS depressant action (more sedation)
<b>CVS</b>	<b>More</b> CVS effect	<b>Less</b> CVS effect
<b>Amnesic* action</b>	-	+
<b>Antiemetics effect</b>	-	+
<b>Uses of atropine</b>	1-Pre-anesthetic medication to : -↓ Salivary & bronchial secretion. -Protect the heart from excessive vagal tone. 2-Antispasmodic in renal & intestinal colics. 3-Cholinomimetic or organophosphorous poisoning.	(In motion sickness)

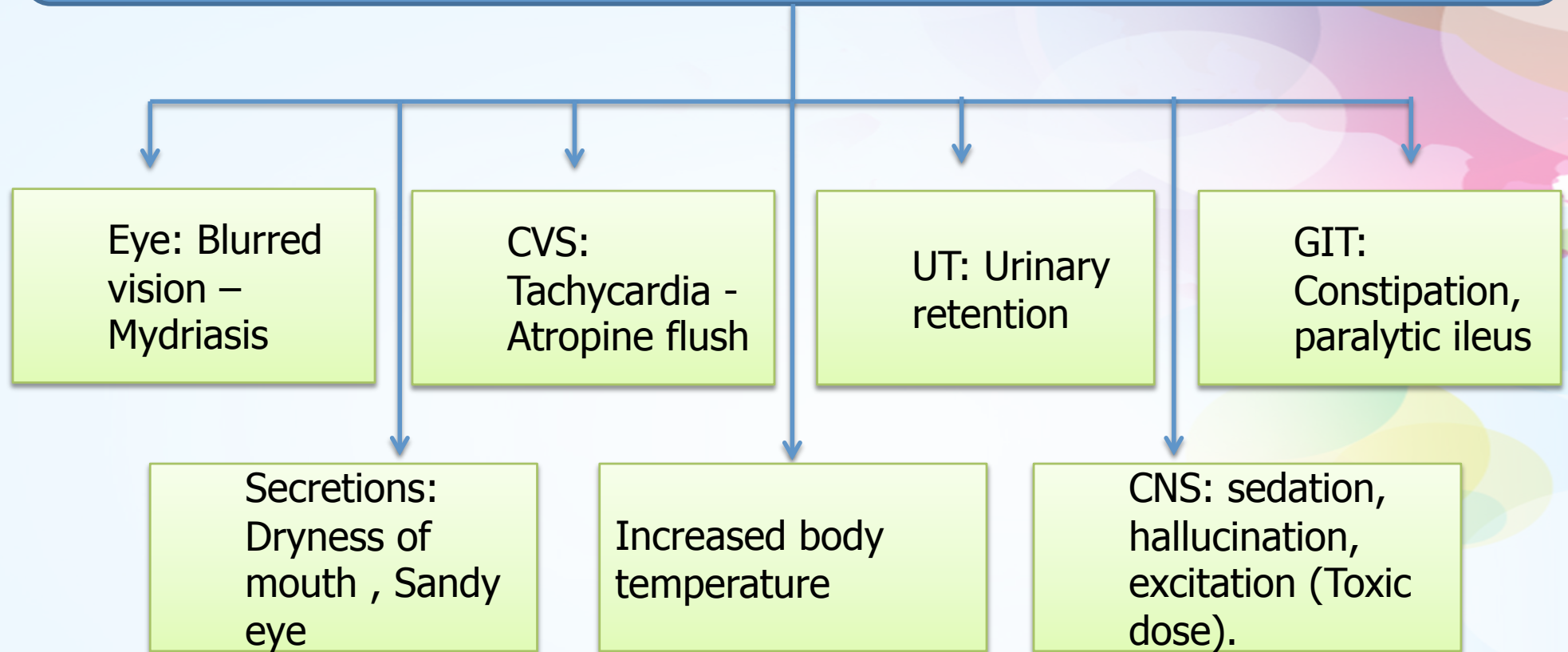
\*loss of recent memory

# Differences between cholinergic and anticholinergic actions

Organ	Cholinergic actions	Anticholinergic actions
Eye	<ol style="list-style-type: none"> <li>1. <b>Contraction</b> of circular muscle of iris (miosis).</li> <li>2. <b>Contraction</b> of ciliary muscles for near vision.</li> </ol>	<ol style="list-style-type: none"> <li>1. Mydriasis</li> <li>2. Cycloplegia. "loss of accommodation"</li> </ol>
Heart	<b>Bradycardia.</b>	<b>Tachycardia.</b>
Urinary bladder	<b>Contraction</b> of muscles. <b>Relaxation</b> of sphincter.	<b>Relaxation</b> of muscles. <b>Contraction</b> of sphincter.
Exocrine glands	↑sweat, saliva, lacrimal, bronchial, intestinal secretions.	<b>Decrease</b> all secretion.
GIT	Peristalsis (GIT motility). <b>Relaxation</b> of sphincter. "Diarrhea"	Peristalsis (GIT motility). <b>Contraction</b> of sphincter. "Constipation"
Lung	Broncho <b>constriction.</b>	Broncho <b>dilatation.</b>



## Adverse effects of atropine (usually can be observed with antidepressants and antipsychotics)



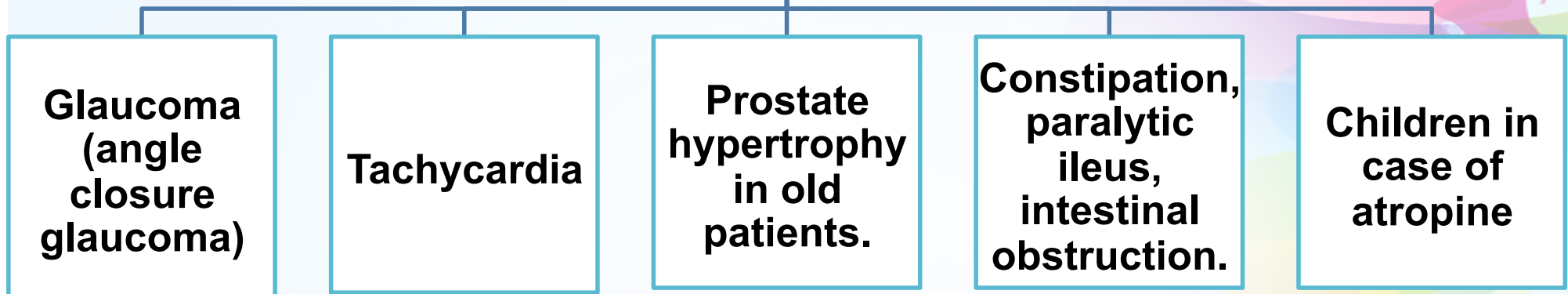
### Treatment of anticholinergic Toxicities (overdose):

- Gastric lavage. غسيل المعدة
- Anti-convulsant. "when CNS effect"
- Cooling blanket. "when hyperthermia"

**Antidote: Physostigmine\* (IV slowly).**

\*an indirect drug (anticholinesterase) reversible and non-polar.

## **Contraindications of antimuscarinic drugs**



**Q: Can antimuscarinic drugs reverse the action of Ach on skeletal muscles ?**

**No, because the skeletal muscle has nicotinic receptor not muscarinic receptor**

# Summary

	Pharmacological Effects of Antimuscarinic Drugs
<b>CNS</b>	CNS depression
<b>CVS</b>	Tachycardia
<b>Respiratory system</b>	- Bronchial Relaxation - viscous sputum
<b>Eye</b>	- Passive mydriasis - Cycloplegia
<b>Secertions</b>	Decrease all the secretions
<b>GIT</b>	↓ GIT motility → Antispasmodic effect. ↑ Sphincter contractions
<b>Urinary Tract</b>	Urinary retention

## Remember:

\*Anticholinergic drugs are drugs that block cholinergic receptors, divided to:

1. Nicotinic blockers
2. Muscarinic blockers  
Parasympatholytics.

\*Antimuscarinic drugs are Reversible competitive.

### \*Tertiary amines

- *Lipid soluble, central actions*
- Benztropine
- Homatropine
- Tropicamide
- Pirenzepine
- Oxybutynin

### \*Quaternary amines

- *Polar, water soluble, No CNS effects*
- Ipratropium
- Glycopyrrolate

# MCQs

**1- Which of the following drugs has no effect on the CNS ?**

- A- Benztropine
- B- Oxybutynin
- C- Ipratropium
- D- Pirenzepine

**2-Which one of the following drugs can be used for Patient had Parkinson's disease ?**

- A- Ipratropium
- B- Pirenzepine
- C- Oxybutynin
- D- Benztropine

**3- Which one of the following drugs can not be used for children ?**

- A- Atropine
- B- Ipratropium
- C- Tropicamide
- D- Oxybutynin

**4- An old man come to hospital with peptic ulcer, but he also has prostate hypertrophy, which of the following drugs can be used for treatment of the peptic ulcer?**

- A- Atropine
- B- Tropicamide
- C- Pirenzepine
- D- none of the above

**5-Which one of the following is NOT an adverse effects of Antimuscarinic Drugs?**

- A- Mydriasis
- B- Bradycardia
- C- Hallucination
- D- Dry mouth

**6-Which of the following drugs can not be used for Glaucoma?**

- A- Homatropine
- B- Hyoscine
- C- Pirenzepine
- D- all of the above

**7- The drug that has less CVS effect is :**

- A- Hyoscine
- B- Ipratropium
- C- Glycopyyrolate
- D- Atropine

**8-The drug of choice for asthma is :**

- A- Ipratropium
- B- Glycopyyrolate
- C- Benztropine
- D- Propantheline

8-A

7-A

6-D

5-B

4-D

3-A

2-D

1-C



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**We hope that we made this lecture easier for you  
Good Luck !**