

# Anticholinergic drugs

**EDITING FILE**

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# Objectives:

- 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.



Click the icon for a helpful vid from dr. Abdel-motaal fouda

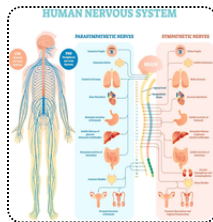
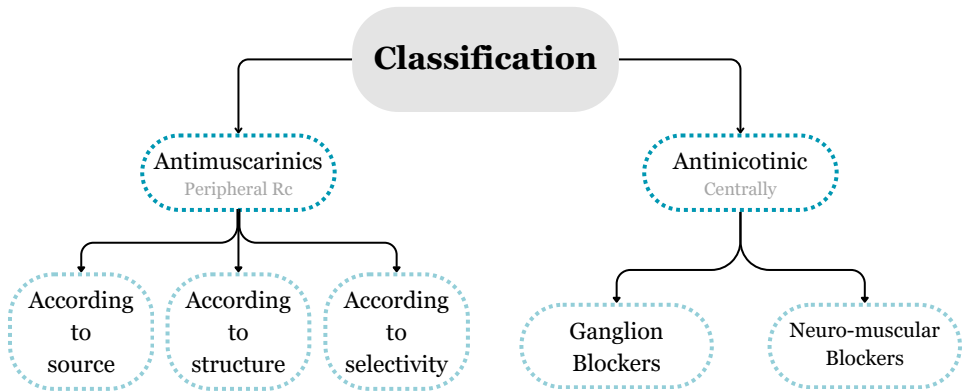
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# Anticholinergic Drugs



Anti-parasympathetic drugs

- The drugs that block and **inhibit the activity of the Ach at both CNS and PNS synapses**
- These drugs **inhibit the actions of the parasympathetic nervous system.**



click on the pic to see it clearly



# Antimuscarinic

According to source	<p><b>Natural Alkaloids</b> (Plant derived) prototype, non-selective, block all the muscarinic receptors (M1,M2,M3,M4 and M5)</p>	<ul style="list-style-type: none"> <li>• Atropine <small>لا تخمطون بيهم</small> *</li> <li>• <b>(Hyoscyamine)</b> Extracted from a plant called Atropa belladonna (ست الحسن)</li> <li>• *<b>Hyoscine</b> (Scopolamine) from a plant called Hyoscyamus nigra</li> </ul>	<p>Pharmacokinetics of Atropine and Hyoscine:</p> <ul style="list-style-type: none"> <li>- <b>Lipid</b> Soluble</li> <li>- Good <b>oral</b> absorption</li> <li>- Good distribution</li> <li>- Can cross BBB (<b>cause CNS effect</b>)</li> <li>- Hyoscine has <b>better</b> BBB penetration.</li> </ul>
	<p><b>Synthetic / Semi-synthetic atropine substitutes</b> Very Selective to muscarinic receptors</p> <p>Mnemonic: BOTH PIG Dangerous                      B = Benztropine                      O = Oxybutynin                      T = Tropicamide                      H = Homatropine                      P = Pirenzepine                      I = Ipratropium                      G = Glycopyrrolate                      D = Darifenacin</p>	<ul style="list-style-type: none"> <li>• <b>Homatropine</b> (semisynthetic)</li> <li>• <b>Tropicamide</b></li> <li>• <b>Benztropine</b></li> <li>• <b>Pirenzepine</b></li> <li>• <b>Ipratropium</b></li> <li>• <b>Glycopyrrolate</b></li> <li>• <b>Oxybutynin</b></li> <li>• <b>Darifenacin</b></li> </ul>	<p>Because they are a lipid soluble they have a good oral absorption but if there a drug that is a water soluble, so it will be given IV</p>
According to structure	<p><b>Tertiary</b> ↓ polarity, naturals</p>	<ul style="list-style-type: none"> <li>• Atropine (Hyoscyamine)</li> <li>• Hyoscine (Scopolamine)</li> </ul>	Can cross BBB & Lipid soluble
	<p><b>Quaternary</b> ↑ polarity</p>	<ul style="list-style-type: none"> <li>• Glycopyrrolate</li> <li>• Ipratropium</li> </ul>	Can't cross BBB & Water soluble
According to selectivity	<p><b>Non-selective</b> action on the all subclasses of Muscarinic Re <small>they are considered as prototypes</small></p>	<ul style="list-style-type: none"> <li>• Atropine (Hyoscyamine)</li> <li>• Hyoscine (Scopolamine)</li> <li>• Ipratropium</li> </ul>	<p>Muscarinic Rc:                      M1,M3,M5 → excitatory                      M2,M4 → inhibitory</p>
	<p><b>Selective</b></p>	<ul style="list-style-type: none"> <li>• Pirenzepine (M1)</li> <li>• Darifenacin (M3)</li> </ul>	

## Mechanism of action



Reversible competitive blockade of muscarinic receptors, some like atropine block nicotinic receptors in toxic doses (**reverses muscarinic effects of cholinergic drugs**).






Reversible competitive  
 -Two drugs compete for the same receptor (only one bound).  
 -The antagonist partially or completely prevents the pharmacological effect of agonist.  
 -Antagonist dissociate rapidly from receptor.  
 -Antagonism can be overcome by increasing the concentration of the agonist

- Atropine & hyoscine can block all muscarinic receptors (M1,M2,M3,M4,M5) because they are (**not selective**).



System/Organ	Cholinergic Actions Parasympathetic	Anticholinergic actions Sympathetic
<b>Eye</b>	<ul style="list-style-type: none"> <li>- Circular muscle of iris <b>Contraction (miosis)</b>.</li> <li>- Ciliary muscle <b>Contraction</b>. Result in: 1/ Accommodation for near vision 2/ ↓ Intraocular pressure</li> </ul>	<ul style="list-style-type: none"> <li>-Circular muscle of iris <b>Relaxation (mydriasis)</b>. "Dilatation of eye pupil"</li> <li>-Ciliary muscles <b>Relaxation (cycloplegia)</b>. Result in: 1/ Loss of accommodation for near vision. 2/ Loss of light reflex. 3/ ↑ Intraocular pressure (I.O.P), thus contraindicated in glaucoma</li> </ul>
<b>Heart</b>	Bradycardia ( ↓ H.R.)	<ul style="list-style-type: none"> <li>- Tachycardia ( ↑ H.R.) Blocks M2</li> <li>- ↑ Conduction speed in AV(atrioventricular) node of the heart</li> </ul>
<b>Urinary bladder</b>	- <b>Contraction</b> of smooth muscles, - <b>Relaxation</b> of sphincter ( <b>Urination</b> ).	- <b>Relaxation</b> of smooth muscle - <b>Contraction</b> of sphincter ( <b>Urinary retention</b> )
<b>Exocrine glands</b>	Increase of: sweat, saliva, lacrimal, bronchial and intestinal secretions.	↓ All secretions.
<b>GIT</b>	- ↑ Peristalsis secretion - <b>Relaxation</b> of sphincter ( <b>Diarrhea</b> )	- ↓ Peristalsis secretion - <b>Contraction</b> of sphincter ( <b>constipation</b> ) إمساك
<b>Lung</b>	- Bronchoconstriction - ↑ increase Bronchial secretion	- Bronchodilatation - ↓ decrease Bronchial secretion

System	Drugs	Clinical Uses	Pharmacodynamic Actions
<b>CNS</b> 	<b>Benztropine</b>	-Parkinsonism <b>Remember: Benzene have high lipid solubility -&gt; pass BBB</b> *Mnemonic: To park your car you'll need Benzene to move it (Team 439)	-Antiparkinsonian effect (block basal ganglia)
	<b>Hyoscine (preventative, CNS taken before symptoms)</b>	-Motion sickness (vomiting) "antiemetic Effect" -Pre-anesthetic + an Amnesia effect شعور فقدان بالذاكرة عشان المريض مايتذكر شيء من العملية -Antispasmodic *Mnemonic: people feel motion sickness as the plane goes Higher (Hyoscine)	-Hyoscine → (sedative effect) -Antiemetic effect (block vomiting center) -Antiparkinsonian effect (block basal ganglia)
	<b>Atropine (I.V / I.M)</b>	-Pre-anesthetic -Antispasmodic	-Atropine at clinical doses ,initial stimulation followed by depression (sedative effect) -High doses of atropine (or Hyoscine) cause cortical excitation, restlessness, disorientation, Hallucination and delirium(confusion) followed by respiratory depression and coma.
<b>CVS</b> 	<b>Atropine (I.V / I.M)</b>	-Sinus Bradycardia. Used to increase heart rate through vagolytic effects (inhibits action of Vagus nerve to the heart), causing increase in cardiac output.	-Atropine cause initial bradycardia followed by tachycardia due to blockade of M2-receptors on SA node. - ↑ AV conduction (+ ve dromotropic effect). - ↓ vasodilation induced by cholinergic agonists. - <b>Toxic dose:</b> Cutaneous vasodilation will cause → (atropine flush). - <b>Atropine does not influence Blood pressure.</b>

System	Drugs	Clinical Uses	Pharmacodynamic Actions
<p data-bbox="46 290 93 321"><b>Eye</b></p> 	<p data-bbox="145 279 300 331"><b>Tropicamide Homatropine</b></p>	<p data-bbox="310 119 611 486">           -Ophthalmic Disorders:            Ophthalmic examination of            Retina (<b>fundus examination</b>)            نحتاج البؤبؤ يتوسع عشان نقدر            نشوف قاع العين (الشبكية)            بالفحص فلانزم يصير mydriasis            *Mnemonic: - We have an            ophthalmologist in our home -            You should visit the            ophthalmologist after            returning from a tropical            country         </p>	<p data-bbox="642 67 1015 372">           -Passive mydriasis <b>due to paralysis (relaxation) of circular muscle.</b>            -Cycloplegia (loss of near accommodation) <b>due to paralysis of ciliary muscle</b>  <b>-Loss of light reflex.</b>            - ↑ Intraocular pressure (I.O.P)            →contraindicated to <b>Glaucoma.</b>            - ↓ lacrimal secretion it will cause  <b>Dry and sandy (dry) eye</b> </p> <div data-bbox="642 388 1015 528"> </div>
<p data-bbox="10 766 129 818"><b>Respirator System</b></p> 	<p data-bbox="145 766 300 818"><b>Ipratropium (inhalation)</b></p>	<p data-bbox="310 751 611 833">           -Bronchial asthma &amp; chronic            obstructive pulmonary            disease (COPD)         </p>	<p data-bbox="642 673 984 916">           -Relaxation of bronchial muscles            (Bronchodilators)            - Can not cross BBB            - No systemic side effects.            - Nonselective muscarinic            Antagonist            - ↓ Bronchial secretion → ↑            Viscosity         </p>

System	Drugs	Clinical Uses	Pharmacodynamic Actions
<b>GIT</b> 	<b>Glycopyrrrolate , Hyoscine butyl bromide</b>	<ul style="list-style-type: none"> <li>- Intestinal Spasm (antispasmodic)</li> <li>- Biliary and renal colics <b>مغص</b></li> <li>- Irritable bowel syndrome (IBS) Irritable bowel syndrome (IBS) is a common condition that affects the digestive system. It causes symptoms like stomach cramps, bloating, diarrhoea and constipation</li> <li>- Antispasmodics in Hypermotility</li> </ul>	<ul style="list-style-type: none"> <li>-Dryness of mouth (<b>xerostomia</b>) (Because atropine blocks M3 which is responsible for the secretion)</li> <li>- ↓ Gastric acid secretion (atropine blocks M1 which is responsible for the secretion of HCL)</li> <li>-Relaxation of smooth muscles</li> <li>- ↓ GIT Motility → <b>Antispasmodic effect</b></li> <li>- ↑ <b>Sphincter contraction</b></li> <li>-<b>Constipation</b></li> </ul> <p>Because there is M3 and if it works:</p> <ol style="list-style-type: none"> <li>1- construction of the smooth muscles</li> <li>2- relaxation of sphincter</li> </ol> <p>So if the patient takes atropine M3 will be blocked and this leads to:</p> <ol style="list-style-type: none"> <li>1- relaxation of the smooth muscles</li> <li>2- contraction of the sphincter</li> </ol> <p>وبالتالي سبب امساك</p>
	<b>Pirenzepine</b>	<ul style="list-style-type: none"> <li>- Peptic Ulcer</li> </ul>	
	<b>Atropine + Diphenoxylate</b>	<ul style="list-style-type: none"> <li>-Used for treatment of Traveler's diarrhea with opioid</li> </ul> <p>Traveler's diarrhea is a digestive tract disorder that commonly causes loose stools and abdominal cramps. It's caused by drinking water or eating foods that have bacteria and viruses.</p>	



System	Drugs	Clinical Uses	Pharmacodynamic Actions
<b>Genitourinary tract (GUT)</b> 	<b>Oxybutynin, Darifenacin</b>	- Urinary incontinence & Urinary urgency caused by minor inflammatory bladder disorders	- Relaxation of smooth muscles of urinary bladder - Sphincter contraction - Urinary Retention - <b>Contraindicated in old men (+60 y.o) with prostatic hyperplasia</b>
<b>Secretions</b> 	-	- Hyperhidrosis	- ↓ Salivary Secretion → Dry mouth - ↓ Sweating (M3 blockage) → dry skin - Contraindicated In Children: modest dose → "Atropine Fever" (Bizarre effect) - ↓ Bronchial secretion → ↑ Viscosity - ↓ Lacrimal secretion → sandy eye

**Anaesthesia** : Pre-anesthetic medication to minimize salivation and respiratory secretion, ad prevent or treat bradycardia e.g. **atropine** and **Glycopyrrolate**

## Cholinergic poisoning

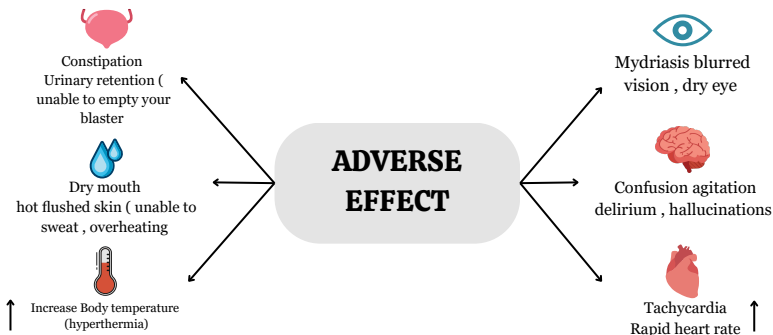
- Cholinesterase inhibitors "insecticides".
- Mushroom poisoning.
- Treatment: Atropine reverses muscarinic effects of cholinergic poisoning.
- Anti-cholinergic drugs especially atropine used to treat of cholinomimetics toxicity to stop severe muscarinics action.

442

Cholinesterase inhibitors will increase Ach in the brain and Ach will work on all Muscarinic Receptors (M1,M2,M3,M4,M5) cholinergic poisoning. and this will lead to death so atropine will block Muscarinic receptors



# Anticholinergic toxidrome



442

## Atropine like action

د. فودة: زغلولة الناشفة حبست زوجها ابو سريع

blurred vision

Dry mouth

Constipation, urinary retention

Glaucoma

Tachycardia





# Contraindications



**1** Glaucoma (angle closure glaucoma)

**2** Old patients with prostate hypertrophy.

**3** Constipation

**4** Tachycardia secondary to thyrotoxicosis or cardiac insufficiency

**5** Paralytic ileus

**6** Children in case of atropine.

# “ study smarter , not harder “

## Active recall



For Anki flash cards click the icon



Take active quizzes in our team channel to test your understanding.



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## summary



**Highly recommend it contains a important notes and drugs list**

# MCQs

1

WHICH ONE OF THE FOLLOWING DRUGS IS USED IN OPHTHALMOSCOPIC EXAMINATION?

A

HOMATROPINE

B

HYOSCINE

C

ATROPINE

D

IPRATROPIUM

2

IN PREANESTHETIC, A 6 YEARS OLD BOY TAKES ANTICHOLINERGIC BUT AFTER TAKING IT HE BECAME FEVERISH AND EXHIBITED TACHYCARDIA, HOT SKIN AND HIS PUPIL DILATED WHICH DRUG DID HE USE?

A

ACETYLCHOLINE

B

HYOSCINE

C

ATROPINE

D

BENZTROPINE

3

WHICH ONE OF THE FOLLOWING IS A CONTRAINDICATION TO THE USE OF ANTIMUSCARINIC DRUGS?

A

ASTHMA

B

INTESTINAL SPASM

C

PEPTIC ULCER

D

URINARY RETENTION

4

WHICH OF THESE DRUGS IS USED FOR MOTION SICKNESS?

A

BENZTROPINE

B

SCOPOLAMINE

C

IPRATROPIUM

D

PIPHENOXYLATE

# MCQs

5

WHICH OF THESE DRUGS IS BEST USED TO TREAT IRRITABLE BOWEL SYNDROME?

A

HYOSCINE

B

ATROPINE

C

PIRENZEPINE

D

GLYCOPYRROLATE

6

WHICH DRUG IS SELECTIVE?

A

ATROPINE

B

DARIFENACIN

C

PIRENZEPINE

D

B&C

7

ATROPINE IN A TOXIC DOSE CAN CAUSE WHAT ON CVS?

A

CUTANEOUS  
VASODILATATION

B

ATROPINE  
FLUSH

C

VISCOSITY

D

A+B

8

WITH OPHTHALMIC DISORDERS WHAT IS THE BEST TO USE?

A

TROPICAMIDE

B

IPRATROPIUM

C

ATROPINE

D

DUAA

# MCQs

From the slides

9

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

NEOSTIGMINE

10

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.

# SAQs

**1** Give 3 antimuscarinic drugs and their clinical uses?

◆ Benztropine/Parkinsonism.  
Hyoscine/Motion sickness.  
Ipratropium/Bronchial asthma.

**2** What is the common clinical use between natural antimuscarinic drugs?

◆ Pre-anesthetic.

**3** Atropine fever happens in which dose? And who does it affect?

◆ modest dose, affects children so it's contraindicated for them.

**4** What causes mushroom poisoning and how does Atropine treat it?

◆ Cholinesterase inhibitors "insecticides", Atropine reverses muscarinic effects of cholinergic poisoning.





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