ANTICHOLINERGIC DRUGS

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Anticholinergic drugs

What students should know:

Student should be able to :

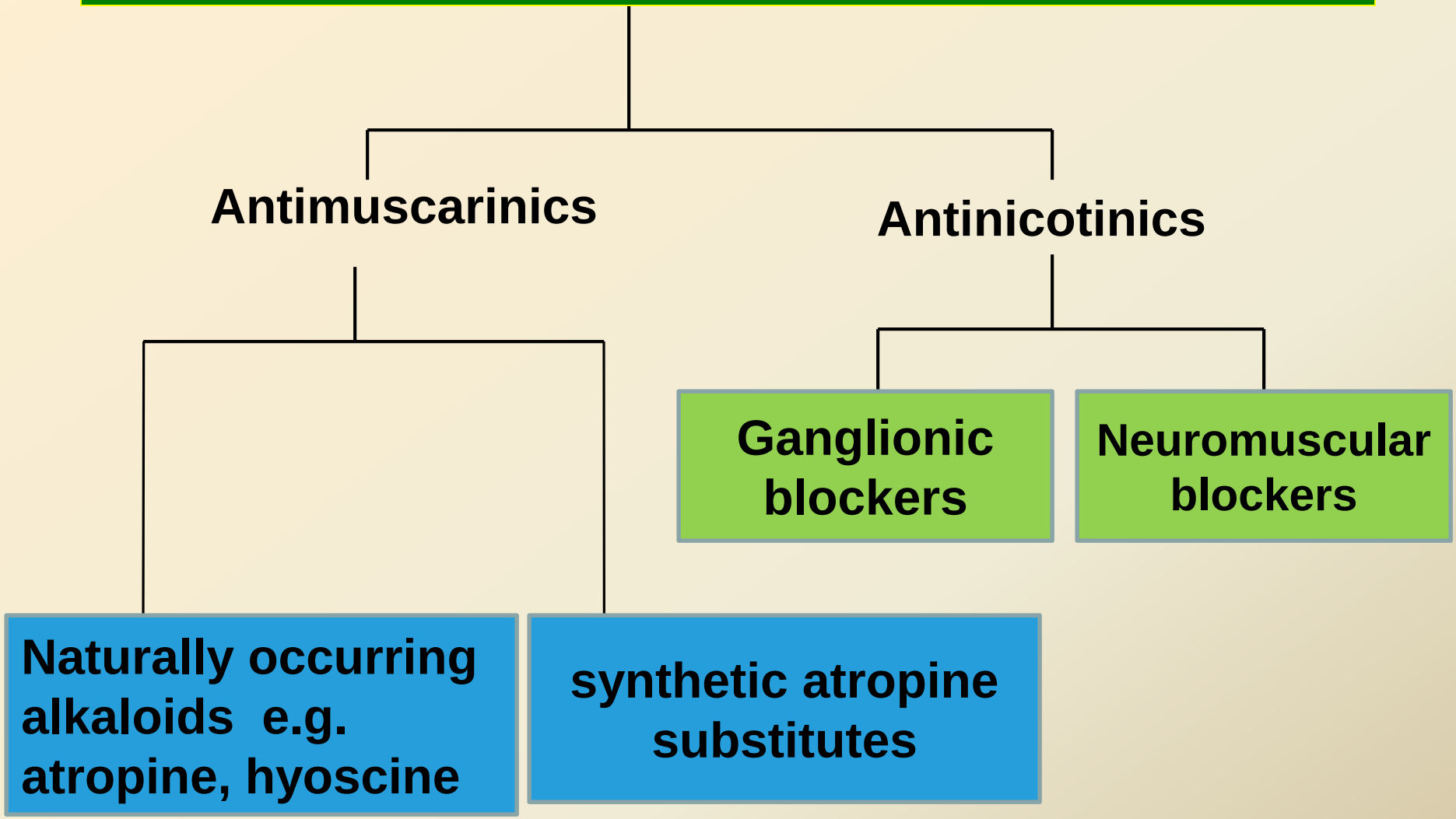
- *Identify the classification of anticholinergic drugs*
- *Describe pharmacokinetics and dynamics of muscarinic antagonists*
- *Identify the effects of atropine on the major organ systems.*
- *list the clinical uses of muscarinic antagonists.*
- *know adverse effects & contraindications of anticholinergic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

Anticholinergic drugs

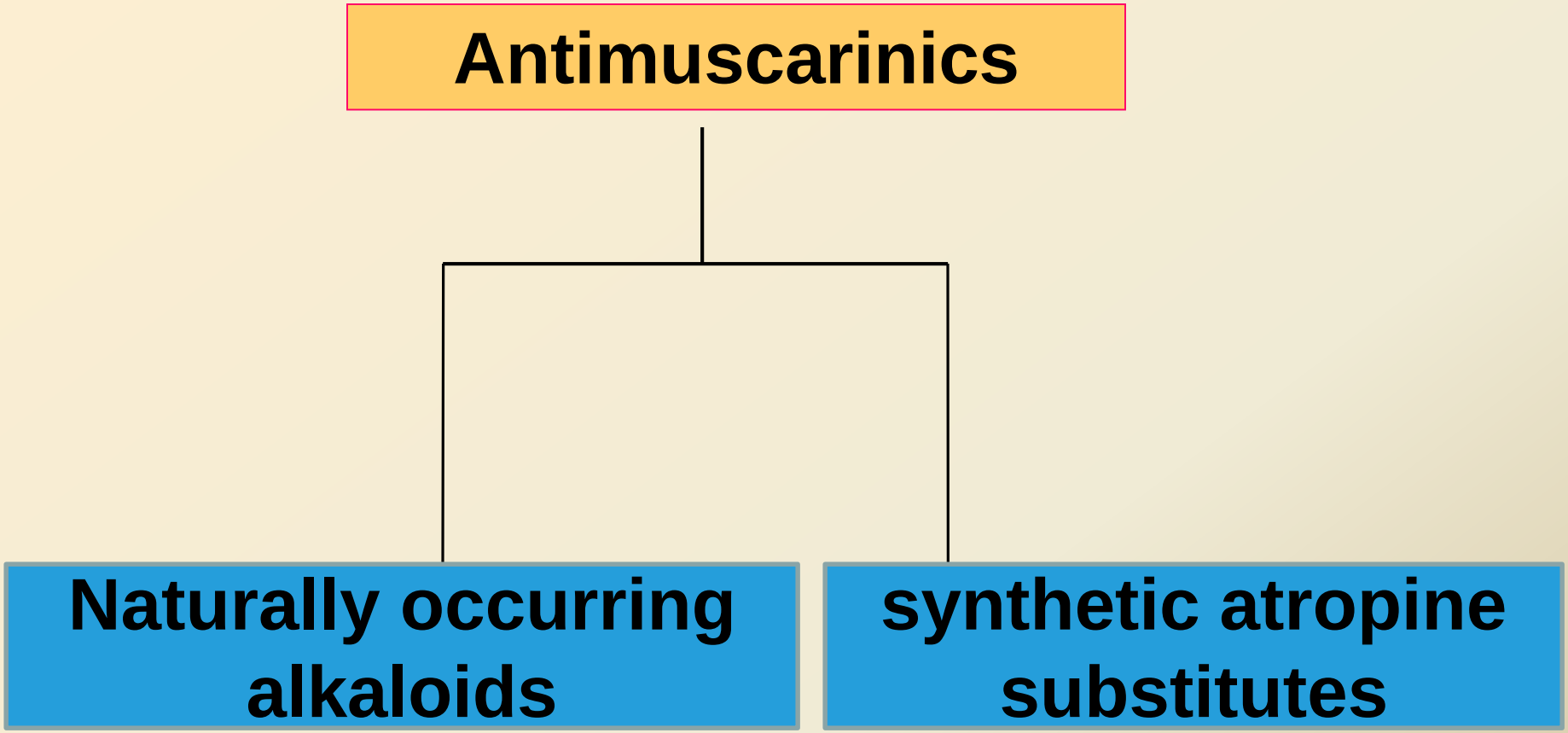
Definitions:

are drugs that block cholinergic receptors

Anticholinergic drugs



Antimuscarinics



Muscarinic antagonists

Natural alkaloids

- **Atropine (*Hyoscyamine*)**
- **Hyoscine (*scopolamine*)**
-
- **Lipid soluble**
- **Good oral absorption**
- **Good distribution**
- **Cross blood brain barrier (have CNS actions)**

Antimuscarinics
Muscarinic antagonists

Natural alkaloids

Esters of tropic acid and tertiary amines

Drugs as : Atropine - Hyoscine

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- **Good oral absorption**
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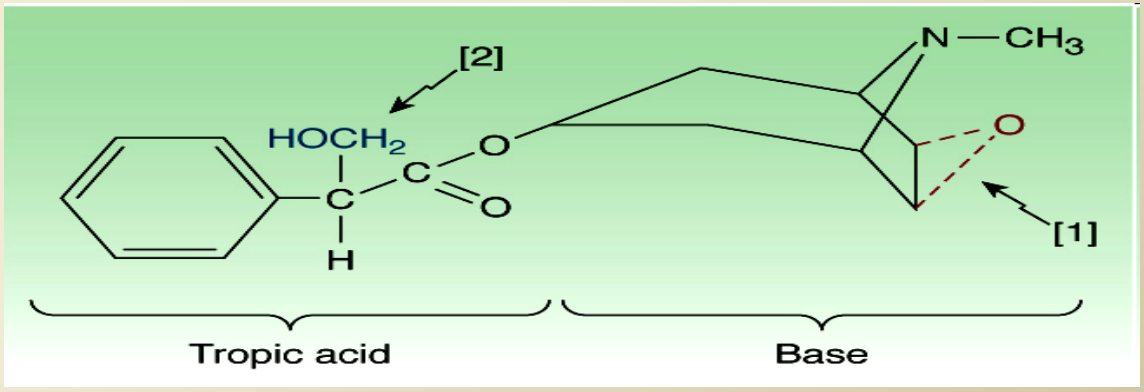


Antimuscarinics
Muscarinic antagonists

Synthetic atropine substitutes

Benztropine

Homatropine

Tropicamaide

Pirenzepine

Ipratropium

Glycopyrrolate

Oxybutynin

Antimuscarinic drugs

Mechanism of action

- **Reversible competitive blockade of muscarinic receptors.**
- **Atropine& hyoscine can block all muscarinic receptors (not selective).**

Cholinergic actions	Anticholinergic actions
Eye **Circular muscle of iris** **Contraction (miosis)** **Ciliary muscles** **Contraction** **accommodation for near vision**	**relaxation (mydriasis)** **relaxation (cycloplegia)** **loss of accommodation**
Heart **bradycardia (↓ H.R.)**	**Tachycardia (↑ H.R)**
Urinary bladder **Contraction of muscles** **Relaxation of sphincter** **Urination**	**Relaxation of muscles** **contraction of sphincter** **Urinary retention**

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 1. ↑ Bronchoconstriction 2. ↑ bronchial secretion	1. Bronchodilatation 2. ↓ Decrease secretion

Pharmacological effects of atropine

CNS

- **CNS depression (Sedation).**
- **Antiemetic effect (block vomiting center)**
- **Antiparkinsonian effect (block basal ganglia).**

- **Toxic dose:**

  Hyperthermia - excitement-hallucination.

Cardiovascular system (CVS)

- Tachycardia (increase in heart rate)
- ↑ AV conduction (+ ve dromotropic effect)
- **Therapeutic dose**: ↓ Vasodilatation induced by cholinomimetics.
- **Toxic dose:** Cutaneous vasodilatation → (atropine flush).

Respiratory system

Relaxation of bronchial muscles (bronchodilator)

↓ Bronchial secretion → ↑ viscosity

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**

Secretions

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

↓ **Sweating → dry skin → Fever in infants and children.**

↓ **Bronchial secretion → ↑ Viscosity**

↓ **Lacrimal secretion → Sandy eye**

GIT

- Relaxation of smooth muscles.
- ↓ GIT motility → **Antispasmodic effect.**
- ↑ Sphincter contractions
- Constipation

Urinary Tract

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

Side effects

Eye: Blurred vision – mydriasis

CVS: Tachycardia - atropine flush

UT: Urinary retention

GIT: Constipation, paralytic ileus

Secretions: dryness of mouth , sandy eye, increased body temperature.

CNS: sedation, hallucination, excitation (toxic dose).

Treatment of toxicity

- **Gastric lavage.**
- **Anticonvulsant.**
- **Cooling blanket.**

Antidote: Physostigmine (IV slowly)

(*direct acting anticholinesterase*).

Hyoscine (scopolamine)

What are the differences between atropine and hyoscine?

Hyoscine has

- **Shorter duration of action**
- **Less CVS effect**
- **More CNS depressant action**
- **More antiemetic action used in motion sickness**
- **Can produce amnesia.**

Contraindications

- **Glaucoma (*angle closure glaucoma*)**
- **Tachycardia**
- **Prostate hypertrophy in old patients.**
- **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 of eye
Ipratropium	Respiratory system	asthma, COPD, inhalation
Pirenzepine	Stomach	Peptic ulcer
Glycopyyrolate	GIT	Antispasmodics in hypermotility
Oxybutynin	UT	Urinary urgency, Urinary incontinence

Clinical uses of antimuscarinic drugs

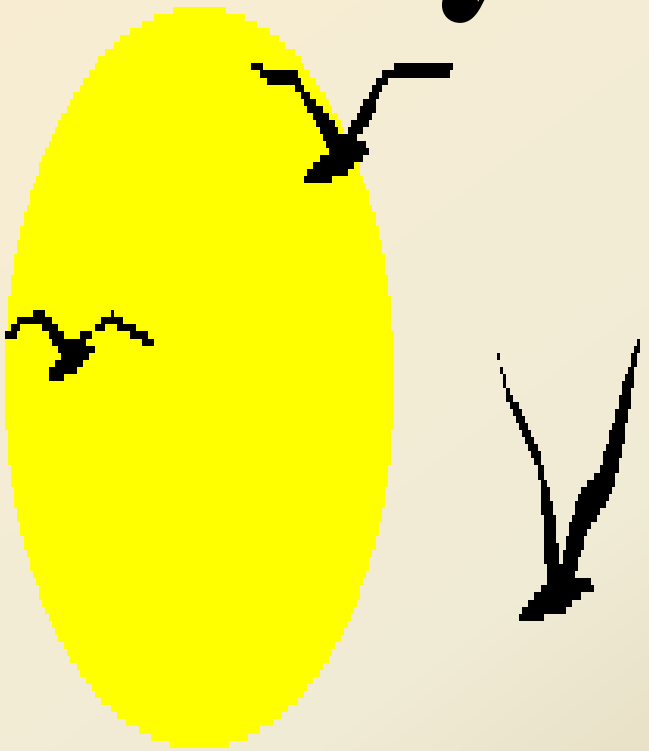
- **Pre-anesthetic medication**
- **Parkinsonism**
- **Vomiting (Motion sickness)**
- **Asthma & COPD**
- **Peptic ulcer.**
- **Intestinal spasm as antispasmodics**
- **Urinary urgency**

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

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.**

Thank you



Questions ?