

## SKELETAL MUSCLE RELAXANTS

Skeletal muscle relaxants are drugs that act **peripherally at neuromuscular junction/muscle fibre** itself or **centrally in the cerebrospinal axis** to reduce muscle tone and/or cause paralysis.

### PERIPHERALLY ACTING MUSCLE RELAXANTS/NEUROMUSCULAR BLOCKERS

They exert their effects by acting directly on the **skeletal muscle fibre** or on the **neuromuscular junction**. These are further divided as

- 1. Neuromuscular blocking agents**
- 2. Directly acting agents**

### CLASSIFICATION OF PERIPHERALLY ACTING MUSCLE RELAXANTS

#### I. Neuromuscular blocking agents

##### A. Nondepolarizing (Competitive) blockers

**1. Long acting:** d-Tubocurarine, Pancuronium, Doxacurium, Pipecuronium

**2. Intermediate acting:** Vecuronium, Atracurium, Cisatracurium, Rocuronium, Rapacuronium

**3. Short acting:** Mivacurium

##### B. Depolarizing blockers

Succinylcholine (SCh., Suxamethonium), Decamethonium

#### II. Directly acting agents

Ex: Dantrolene sodium  
Quinine

# I. NEUROMUSCULAR BLOCKING AGENTS

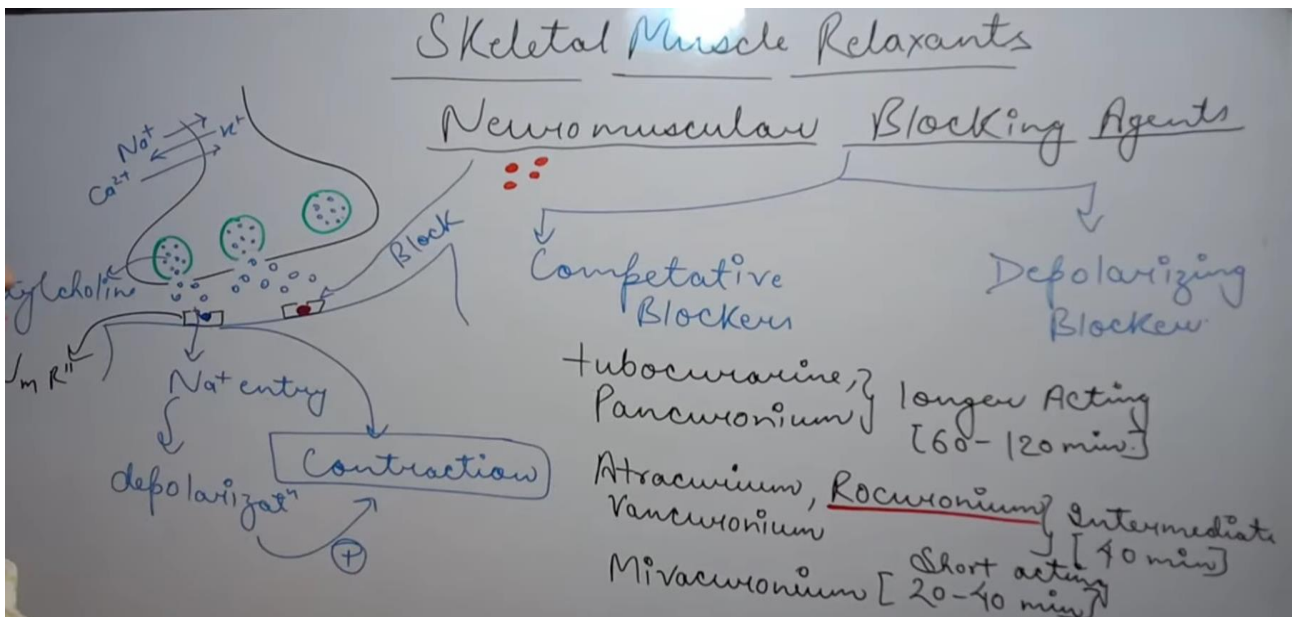
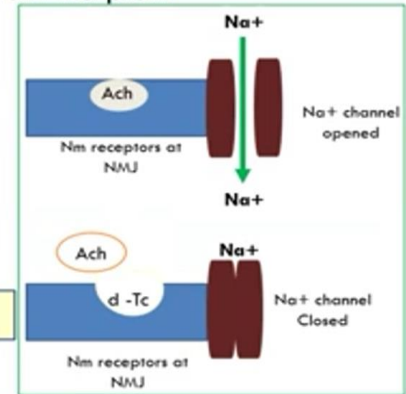
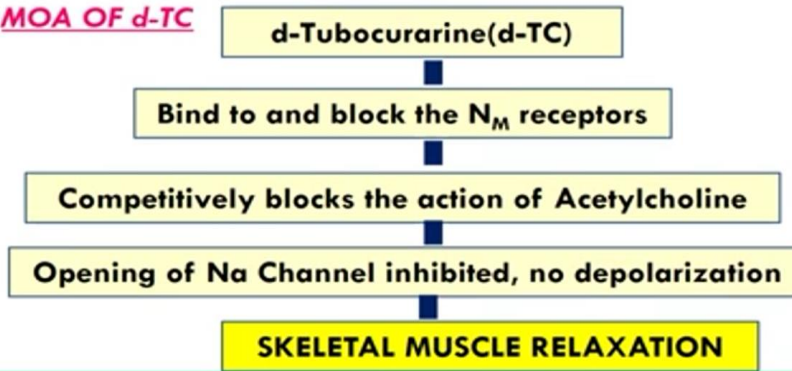
The site of action of both **competitive** and **depolarizing blockers** is the **end plate of skeletal muscle fibres**.

## A. Competitive blocker (Nondepolarizing blocker)

- The prototype drug is **d-Tubocurarine(d-TC)**
- d-TC is the first identified **Curare Alkaloid** obtained from the plant

*Chondrodendron tomentosum*

### MOA OF d-TC



## PHARMACOLOGICAL ACTIONS OF d-TC

1. **Skeletal muscles** IV injection of d-TC rapidly produces muscle weakness followed by flaccid paralysis.
2. **Histamine release** d-TC releases histamine from mast cells.
3. **C.V.S.** d-Tubocurarine produces significant fall in BP. This is due to (a) *ganglionic blockade* (b) *histamine release* and (c) *reduced venous return*—a result of *paralysis of limb and respiratory muscles*.
4. **C.N.S.** All neuromuscular blockers are quaternary compounds—do not cross blood-brain barrier.

### ADVERSE EFFECTS:

1. Respiratory paralysis and prolonged apnoea
2. Fall in BP and cardiovascular collapse
3. Precipitation of asthma

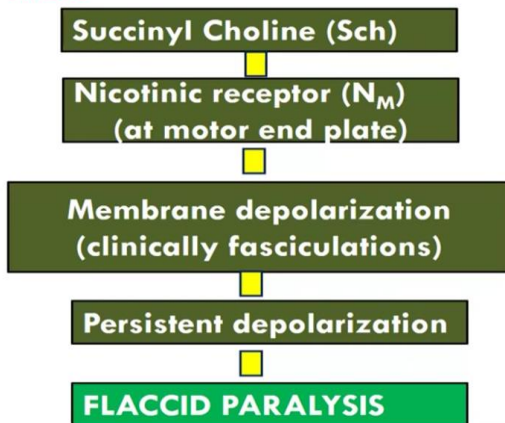
### THERAPEUTIC USES:

1. As adjuvants to general anaesthesia
2. Facilitate intubation (artificial respiration)
3. Severe cases of tetanus and status epilepticus

## B. Depolarizing blocker

**Ex: Succinyl choline (SCh)**

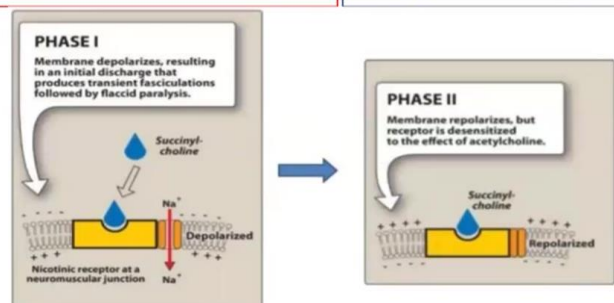
### MOA

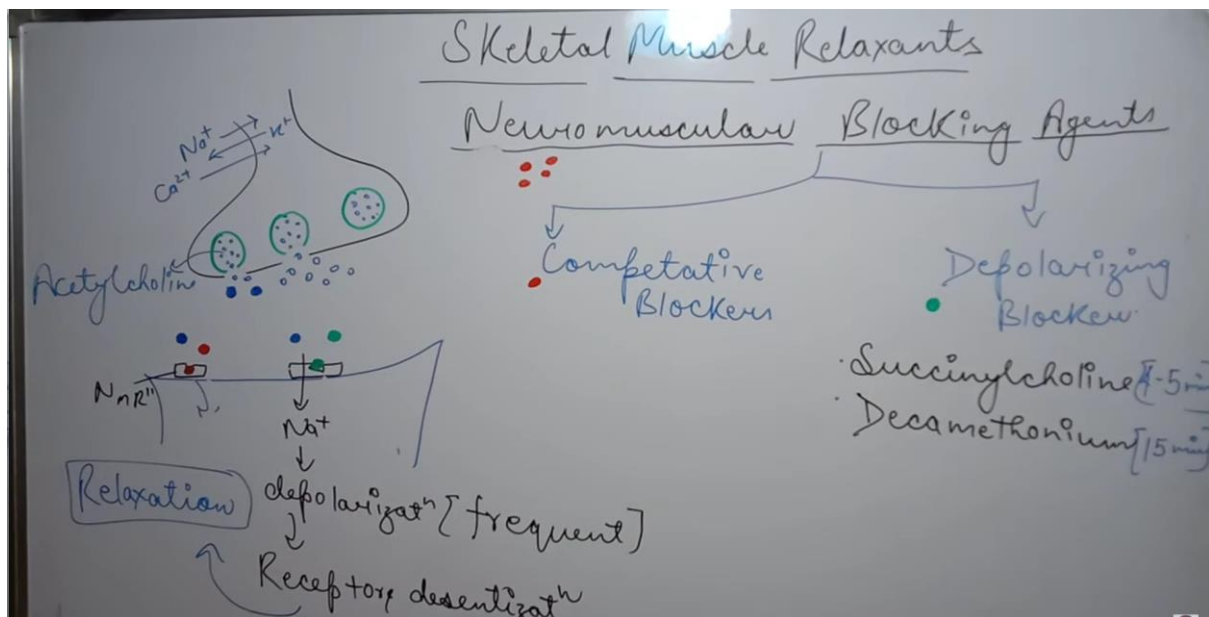


Depolarizing agents produce dual mechanism which can be divided into two phases:

**Phase I block** It is rapid in onset, results from persistent depolarization of muscle end plate

**Phase II block** It is slow in onset and results from desensitization of the receptor to Ach.





## ADVERSE EFFECTS OF SUCCINYL CHOLINE

1. **Hyperkalemia:** Persistent depolarisation  $\rightarrow$  efflux of  $K^+$   $\rightarrow$  Hyperkalemia
2. **Cardiac arrest and arrhythmias**
3. **Prolonged 6**
4. **Malignant hyperthermia:** precipitated with given with halothane or ether anaesthetics

## THERAPEUTIC USES OF SUCCINYL CHOLINE

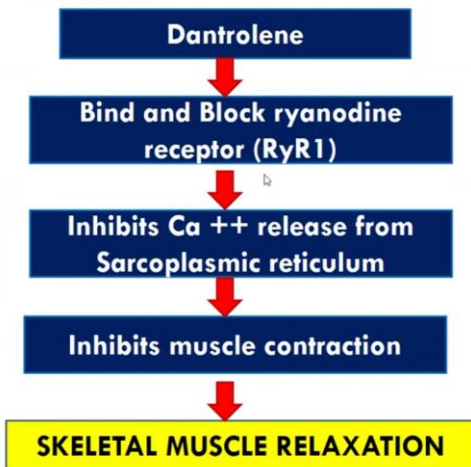
1. It is used during **electroconvulsive shock treatment**
2. Because of its rapid onset and short duration  $\rightarrow$  succinyl choline is useful when **rapid endotracheal intubation** is required during induction of anesthesia



## II. DIRECTLY ACTING AGENTS

**Dantrolene: Directly act on skeletal muscle**

**M.O.A:**

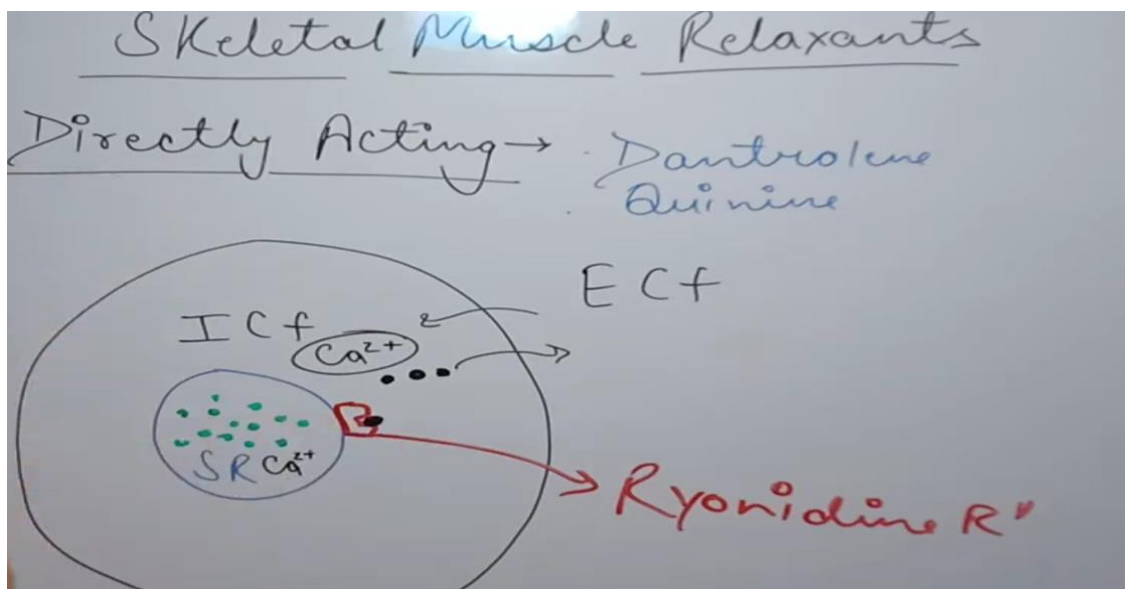


**ADVERSE EFFECTS:**

1. Diarrhoea
2. Sedation
3. Muscular weakness
4. Hepatotoxicity

**THERAPEUTIC USES:**

1. Treatment of malignant hyperthermia
2. Treatment of neuroleptic malignant syndrome



# Skeletal Muscle Relaxants

② Directly Acting → Dantrolene  
Quinine

