SNS COLLEGE OF ALLIED HEALTH SCIENCE

SNS Kalvi Nagar, Coimbatore - 35

Affiliated to Dr MGR Medical University, Chennai

DEPARTMENT OF OPERATION THEATRE AND ANAESTHESIA TECHNOLOGY - II YEAR

COURSE NAME: PHARMACOLOGY

TOPIC - MUSCLE RELAXANTS

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Muscle Relaxants

Definition

Muscle relaxants are drugs that reduce skeletal muscle tone by acting on the central nervous system (CNS), peripheral neuromuscular junction, or directly on muscle fibers, used in anesthesia, surgery, and spasticity management.

MUSCLE RELAXANTS

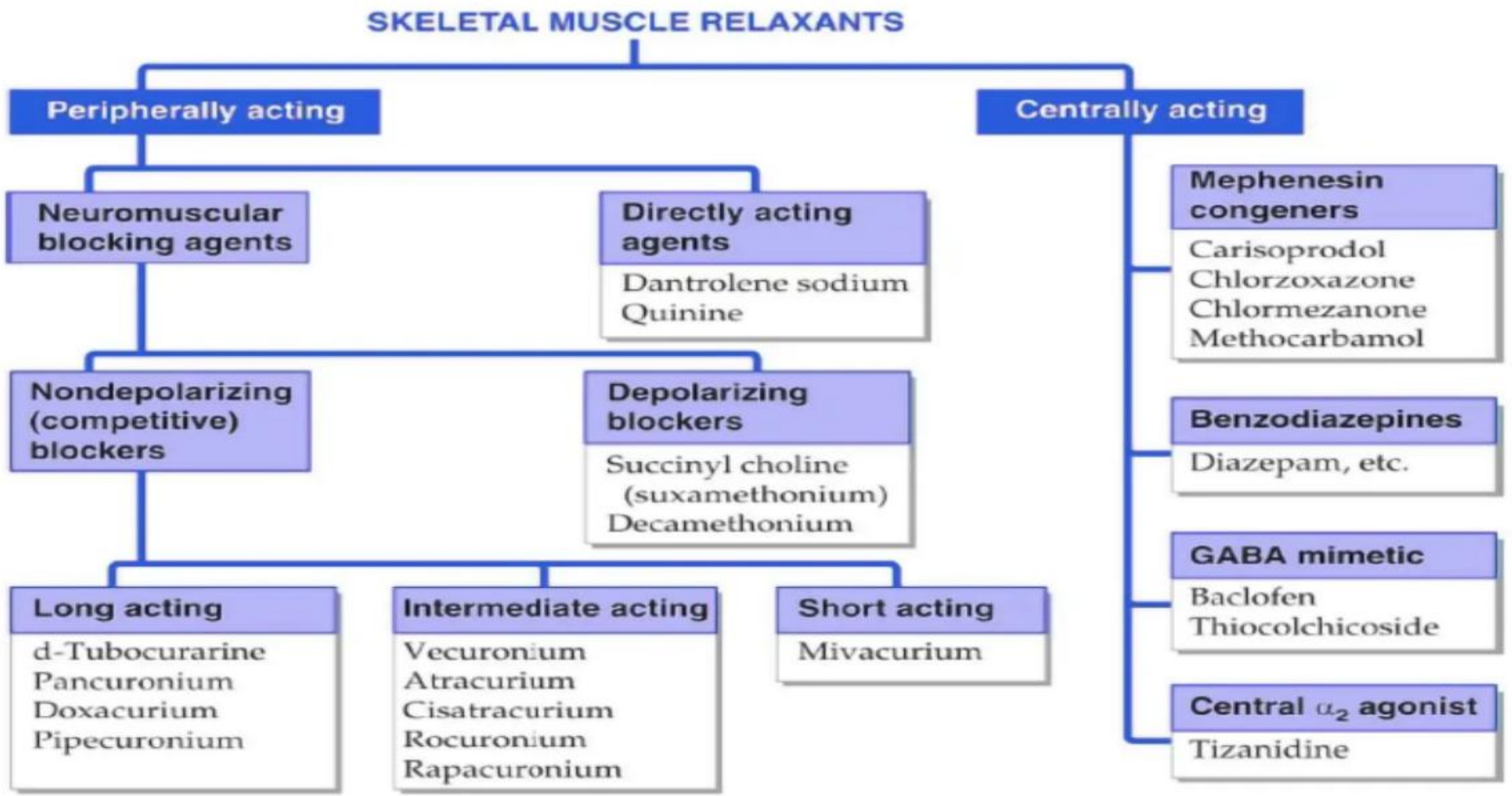
Classification of Muscle Relaxants

- **Peripherally Acting Muscle Relaxants**
  – **Depolarizing**: Succinylcholine (Suxamethonium)
  – **Non-depolarizing**: d-Tubocurarine, Pancuronium, Vecuronium, Rocuronium, Atracurium, Mivacurium

- **Centrally Acting Muscle Relaxants**: Baclofen, Diazepam, Tizanidine, Methocarbamol

- **Directly Acting Muscle Relaxants**: Dantrolene

MUSCLE


SKELETAL MUSCLE RELAXANTS
Peripherally acting
Centrally acting
Neuromuscular blocking agents
Directly acting agents
Dantrolene sodium
Quinine
Nondepolarizing (competitive) blockers
Depolarizing blockers
Succinyl choline (suxamethonium)
Decamethonium
Long acting
d-Tubocurarine
Pancuronium
Doxacurium
Pipecuronium
Intermediate acting
Vecuronium
Atracurium
Cisatracurium
Rocuronium
Rapacuronium
Short acting
Mivacurium
Mephenesin congeners
Carisoprodol
Chlorzoxazone
Chlormezanone
Methocarbamol
Benzodiazepines
Diazepam, etc.
GABA mimetic
Baclofen
Thiocolchicoside
Central α_2 agonist
Tizanidine

DEPOLARIZING MUSCLE RELAXANTS

Definition

Drugs that mimic acetylcholine, causing persistent depolarization of the motor endplate, leading to initial muscle fasciculations followed by paralysis due to receptor desensitization

Types

Ultra-short-acting: Succinylcholine (only clinically used depolarizing agent).

SUCCINYLCHOLINE (SUXAMETHONIUM)

Succinylcholine (Suxamethonium)

Class: Depolarizing muscle relaxant

• Mechanism of Action: Mimics acetylcholine, binds to nicotinic receptors, causes persistent depolarization, leading to initial muscle fasciculations followed by paralysis.

• Pharmacodynamics: Rapid onset (30–60 sec), ultra-short duration (5–10 min), causes transient muscle twitching before paralysis.

• Pharmacokinetics: Rapidly hydrolyzed by plasma pseudocholinesterase, excreted via kidneys.

• Dosage: 1–2 mg/kg IV or IM for intubation or short procedures.

• Therapeutic Uses: Facilitates endotracheal intubation, short surgical procedures, electroconvulsive therapy.

• Adverse Effects: Hyperkalemia, malignant hyperthermia, prolonged apnea (pseudocholinesterase deficiency), muscle pain.

• Contraindications: Hyperkalemia, burns, trauma, neuromuscular disorders, family history of malignant hyperthermia.

NON-DEPOLARIZING MUSCLE RELAXANTS

Definition

Drugs that competitively block acetylcholine at nicotinic receptors on the motor endplate, preventing muscle contraction without causing initial depolarization.

Types

– Long-acting: d-Tubocurarine, Pancuronium

– Intermediate-acting: Vecuronium, Rocuronium, Atracurium

Short-acting: Mivacurium

D-TUBOCURARINE

. d-Tubocurarine

• Class: Non-depolarizing muscle relaxant (Long-acting)

• Mechanism of Action: Competitively blocks acetylcholine at nicotinic receptors, preventing muscle contraction.

• Pharmacodynamics: Onset 4–6 min, duration 60–90 min, reversible with anticholinesterases (e.g., Neostigmine).

• Pharmacokinetics: Poor oral absorption, IV administration, excreted -kidneys,

• Dosage: 0.1–0.3 mg/kg IV for surgical relaxation.

• Therapeutic Uses: Muscle relaxation during major surgeries, mechanical ventilation in ICU.

• Adverse Effects: Histamine release, hypotension, bronchospasm, prolonged paralysis in renal failure.

• Contraindications: Asthma, hypersensitivity, myasthenia gravis, renal impairment.

ROCURONIUM

Rocuronium

- Class: Non-depolarizing muscle relaxant (Intermediate-acting)
- Mechanism of Action: Competitively inhibits acetylcholine at nicotinic receptors, blocking muscle contraction.
- Pharmacodynamics: Rapid onset (1–2 min), intermediate duration (30–60 min), reversible with sugammadex or neostigmine.
- Pharmacokinetics: IV administration, hepatic metabolism, biliary/renal excretion,
- Dosage: 0.6–1.2 mg/kg IV for intubation, 0.1–0.2 mg/kg for maintenance.
- Therapeutic Uses: Rapid sequence intubation, surgical muscle relaxation, ICU ventilation.
- Adverse Effects: Tachycardia, mild histamine release, prolonged effect in liver/renal dysfunction.
- Contraindications: Hypersensitivity, severe liver disease.

ATRACURIUM

.Atracurium

• Class: Non-depolarizing muscle relaxant (Intermediate-acting)

• Mechanism of Action: Competitively blocks acetylcholine at nicotinic receptors, preventing muscle contraction.

• Pharmacodynamics: Onset 2–3 min, duration 30–45 min, reversible with neostigmine.

• Pharmacokinetics: IV administration, undergoes Hofmann elimination (spontaneous degradation at physiological pH/temperature) and ester hydrolysis, independent of liver/kidney function.

• Dosage: 0.4–0.5 mg/kg IV for intubation, 0.08–0.1 mg/kg for maintenance.

• Therapeutic Uses: Surgical muscle relaxation, ICU ventilation, suitable for patients with renal/hepatic impairment.

• Adverse Effects: Histamine release, hypotension, bronchospasm, laudanosine accumulation (CNS excitation at high doses).

• Contraindications: Hypersensitivity, caution in asthma due to histamine release.

VECURONIUM

Vecuronium

• Class: Non-depolarizing muscle relaxant (Intermediate-acting)

• Mechanism of Action: Competitively inhibits acetylcholine at nicotinic receptors, blocking muscle contraction.

• Pharmacodynamics: Onset 2–4 min, duration 25–40 min, reversible with neostigmine.

• Pharmacokinetics: IV administration, hepatic metabolism, biliary/renal excretion

• Dosage: 0.08–0.1 mg/kg IV for intubation, 0.01–0.015 mg/kg for maintenance.

• Therapeutic Uses: Surgical muscle relaxation, prolonged procedures, ICU ventilation.

• Adverse Effects: Minimal histamine release, prolonged paralysis in liver dysfunction, bradycardia (rare).

• Contraindications: Hypersensitivity, severe liver disease.

MIVACURIUM

Mivacurium

• Class: Non-depolarizing muscle relaxant (Short-acting)

• Mechanism of Action: Competitively blocks acetylcholine at nicotinic receptors, preventing muscle contraction.

• Pharmacodynamics: Onset 2–3 min, short duration (15–20 min), reversible with neostigmine.

• Pharmacokinetics: IV administration, hydrolyzed by plasma pseudocholinesterase, independent of liver function.

• Dosage: 0.15–0.25 mg/kg IV for intubation, 0.03–0.1 mg/kg for maintenance.

• Therapeutic Uses: Short surgical procedures, day-case surgeries, brief intubation.

• Adverse Effects: Histamine release, transient hypotension, prolonged effect in pseudocholinesterase deficiency.

• Contraindications: Hypersensitivity, pseudocholinesterase deficiency.

PANCURONIUM

Pancuronium

• Class: Non-depolarizing muscle relaxant (Long-acting)

• Mechanism of Action: Competitively blocks acetylcholine at nicotinic receptors, preventing muscle contraction.

• Pharmacodynamics: Onset 2–4 min, duration 60–120 min, reversible with neostigmine.

• Pharmacokinetics: IV administration, metabolized in liver, primarily renal excretion

• Dosage: 0.04–0.1 mg/kg IV for intubation, 0.01–0.02 mg/kg for maintenance.

• Therapeutic Uses: Prolonged surgical procedures, mechanical ventilation in ICU, cardiac surgeries.

• Adverse Effects: Tachycardia, hypertension (due to vagal blockade), prolonged paralysis in renal failure, minimal histamine release.

• Contraindications: Hypersensitivity, renal impairment, caution in cardiovascular disease.

BACLOFEN

Baclofen

• **Class**: Centrally acting muscle relaxant

• **Mechanism of Action**: GABA-B receptor agonist, inhibits excitatory neurotransmission in spinal cord, reducing spasticity.

• **Pharmacodynamics**: Reduces muscle spasticity, minimal effect on voluntary movement, onset within hours (oral).

• **Pharmacokinetics**: Oral administration, absorbed in GI tract, half-life 3–4 hrs, excreted unchanged via kidneys.

• **Dosage**: 5–20 mg/day orally in divided doses, titrated gradually.

• **Therapeutic Uses**: Spasticity in multiple sclerosis, spinal cord injury, cerebral palsy.

• **Adverse Effects**: Drowsiness, dizziness, weakness, withdrawal syndrome on abrupt cessation.

• **Contraindications**: Hypersensitivity, epilepsy, abrupt withdrawal risk.

DIAZEPAM

Diazepam

• **Class**: Centrally acting muscle relaxant (Benzodiazepine)

• **Mechanism of Action**: Enhances GABA activity at GABA-A receptors, reducing neuronal excitability and muscle spasticity.

• **Pharmacodynamics**: Sedative, anxiolytic, muscle relaxant effects, onset 30–60 min (oral), 1–5 min (IV).

• **Pharmacokinetics**: Oral/IV, hepatic metabolism, renal excretion.

• **Dosage**: 2–10 mg (oral), 5–10 mg IV for acute spasms.

• **Therapeutic Uses**: Muscle spasms, spasticity, preoperative sedation, status epilepticus.

• **Adverse Effects**: Sedation, dependence, respiratory depression, tolerance.

• **Contraindications**: Severe respiratory insufficiency, sleep apnea, severe liver disease.

DANTROLENE

- **Class**: Directly acting muscle relaxant
- **Mechanism of Action**: Inhibits calcium release from sarcoplasmic reticulum, reducing muscle contraction.
- **Pharmacodynamics**: Effective in spasticity and malignant hyperthermia, onset 1–2 hrs (oral), 5–10 min (IV).
- **Pharmacokinetics**: Oral/IV, hepatic metabolism, excreted via bile/kidneys.
- **Dosage**: 25–100 mg/day oral (spasticity), 2.5 mg/kg IV (malignant hyperthermia).
- **Therapeutic Uses**: Malignant hyperthermia, spasticity (e.g., stroke, cerebral palsy).
- **Adverse Effects**: Hepatotoxicity, muscle weakness, drowsiness, diarrhea.
- **Contraindications**: Active liver disease, hypersensitivity, not for COPD related weakness.

August 2013 Classify Muscle relaxants (5 marks, Write notes on).

- **August 2014** Name four peripherally acting skeletal muscle relaxants (3 marks, Short answers).
- **February 2015** Define and classify Muscle relaxants. Add notes on Mechanism of action, dosage, and clinical significance (10 marks, Elaborate on).
- **August 2016** Write a note on Nondepolarising muscle relaxants (5 marks, Write notes on).
- **March 2021)**: Classify the drugs used as peripherally acting skeletal muscle relaxants. Discuss in detail the pharmacological actions and toxicity produced by d-Tubocurarine. Add a note on the rationale of using Dantrolene sodium in the management of Malignant Hyperthermia (10 marks, Elaborate on).
- **September 2022** Depolarizing muscle relaxants – mechanism of action, adverse effects, and contraindication (5 marks, Write notes on).
- **September 2022)**: Neuromuscular blockers - Classification with examples, mechanism of action, and uses (5 marks, Write notes on).
- **April 2024** : Therapeutic uses of Suxamethonium (5 marks,Write notes on).

THANK YOU