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A Review on Skeletal Muscle Relaxants

Ms. Nikita R. Nikam*[1], Ms. Priyanka R. Patil[2], Dr. N. S. Mahajan[3]
Adarsh College of Pharmacy, Vita, Sangli, Maharashtra, India

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ABSTRACT

Relaxants for the skeletal muscle are prescribed by doctors for a variety of reasons. Skeletal muscle relaxants are medicines that attach to acetylcholine receptors on the neuromuscular junction (NMJ) and inhibit it (ACh). The meaning of skeletal muscle relaxant, classifications, examples, and detailed information about skeletal muscle relaxants were investigated in this review article. This research also demonstrates the many forms of skeletal muscle relaxants and their usage and applications. Skeletal muscle relaxants are prescribed in the event of an emergency, such as surgery.

Keywords : NMJ, Skeletal Muscle Relaxant, Classifications, Uses.

I. INTRODUCTION

A muscle relaxant is a medication that decreases muscular tone by influencing skeletal muscle activity. Muscle spasms, pain, and hyperreflexia may be relieved with this treatment. The term "muscle relaxant" refers to two types of medications: spasmolytics and neuromuscular blockers. Neuromuscular blockers work by interfering with communication at the neuromuscular end plate, and they have no effect on the central nervous system (CNS). These are also used to induce temporary paralysis in acute care and emergency medicine, as well as during surgical operations. Spasmolytics, often known as 'centrally acting' muscle relaxants, are used to treat musculoskeletal pain and spasms, as well as to reduce spasticity in a variety of neurological conditions. As muscle relaxants, neuromuscular blockers and spasmolytics are routinely combined.[1, 2, 3]

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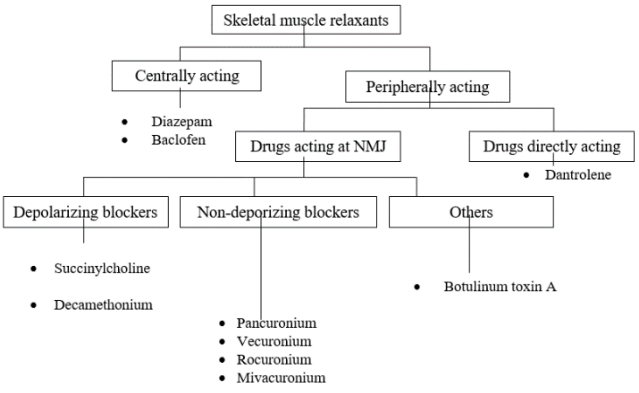
2. Classifications of skeletal muscle relaxants [4]



1. Centrally acting skeletal muscle relaxant:

Centrally acting muscle relaxants are a class of medications that reduce skeletal muscular tension and spasm by acting on the central nervous system (CNS). Drugs in this class are structurally diverse and act on a range of receptors in the central nervous system. [5, 6]

Examples:

1) Baclofen: - GABA derivative;

- Inhibits polysynaptic and monosynaptic reflexes at spinal cord level by hyperpolarizing the afferent endpoints
- Also acts at supraspinal sites
- Has depressant general CNS properties. [4]

2) Diazepam: - Neuronal repression at the spinal cord level by binding benzodiazepine receptors to GABA postsynaptic neurons.

- Benzodiazepines bind to common Central Nervous System receptors.[4]

2. Peripherally acting skeletal muscle relaxant:

The site of action of both competitive and depolarizing blockers is the skeletal muscle fiber end plate.[6]

1. Depolarizing blockers:

1) Phase 1(depolarization)

Combine with nicotinic receptors
↓
depolarization of end plates of the motor
↓
muscle fascicling
↓
prolonged depolarization
↓
paralysis.

- P.S. Phase 1 blockers are expanded and do not reverse with anticholinestrase.

2) Phase 2 (desensitization block):

Exposure to succinylcholine continued
↓
depolarization decreases and the membrane is repolarised, but ACH can not depolarize the membrane as long as succinylcholine is presen t (due to desensitization of the membrane)

- Reversed by anticholinestrases.

➢ **Pharmacokinetics:** 1. Short onset of action (1min)

2. Short duration of action (5-10 mins)
3. Destroyed by pseudocholinestrase
4. Given parentrally (continued infusion) [7]

Examples:

1) Succinylcholine: - SCh is the muscle relaxant most widely used to move through tracheal tunnel. It induces fast, complete and predictable paralysis in ~5 min with spontaneous recovery.

- SCh is used rarely by the continuous i.v. Infusion for achieving longer period of regulated muscle relaxation.
- If absolutely appropriate, it should be avoided in younger children, since the risk of hypercalemia and cardiac arrhythmia is higher.[8]

2) Decamethonium: Decamethonium is a partial agonist of the nicotinic acetylcholine receptor that has a short duration of action, similar to acetylcholine. This causes depolarization in the motor endplate, which prevents additional outcomes from the presynaptic terminal to the normal release of acetylcholine, preventing neuronal input from reaching the muscle. Throughout the binding phase, decamethonium stimulates (depolarizes) the motor endplate, but because the decamethonium is not destroyed, the membrane remains depolarized and unresponsive to normal acetylcholine release.[9]

2. Non depolarizing blockers:

- **Mechanism of action:**

✓ Competitive antagonists: act on NMJ nicotinic receptors with Ach.
✓ The ion channel would be blocked at a higher dosage.
✓ No postjunctional membrane depolarization.
✓ Cholinesterase inhibitors can reverse blockage such as neostigmine used to shorten the blockage duration or overcome the overdose.

- High-dose cholinesterase inhibitors that cause depolarizing block due to more Ach at junction (toxicity).
- In higher doses, NM blockers reduce the cholinesterase inhibitors' ability to reverse the action

✓ The role of NM blockers is synergised by the halogenated carbons, aminoglycosides and Ca channel blockers.

- **Pharmacokinetics:**

✓ These are polar complexes (BBB and placenta are not crossed).

✓ They have small production volumes as they are highly ionized

✓ Orally inactive and parenterally taken.[7]

Examples:

1) Pancuronium: - It is a synthetic steroidal compound which acts ~5 times more powerfully and longer than d-TC

- Due to the longer length of the procedure, which involves a reversal, its application is often limited to extend procedures, in particular neurosurgery.

- Tachycardia: antimuscarinic action, increases release of NE at ends of the adrenergic nerve.[4, 10]

2) Vecuronium: - More strong than tubocurarin

- Metabolized primarily by the liver
- Limited running time
- No release into histamine
- No block ganglion and antimuscarinia
- This is the most widely used muscular relaxant for routine and intensive care units activities.[4, 11]

3) Rocuronium: - Muscle relaxant with a fast onset and intermediate duration of action that can be used as an alternative to SCh for tracheal intubation without the drawbacks of block depolarization and cardiovascular changes.[4, 7]

4) Mivacuronium: - Chemically Atracurium related

- Pseudocholinestrase Metabolized
- Operation immediately resumed
- Fast response time (15minutes)
- Mild hypotension (histamine releaser)

- Longer duration of action in patients with:

✓ Disease of the liver

✓ Deficiency in hereditary cholinesterase

✓ Malnourishment

✓ Toxicity of organophosphorous compounds

✓ Renal failure (cholinesterase levels decreased).[4]

3. Drugs directly acting on skeletal muscles:

A direct-acting skeletal muscle relaxant used to treat the fulminant hypermetabolism of the skeletal muscle which results in a malignant hyperthermia crisis.[4, 7]

Example:

1) Dantrolene: -- This interferes with the release of skeletal muscle calcium from its stores (Sarcoplasmic Reticulum)

- This prevents the coupling of excitation-contraction in muscle fibres.
- Dantrolene acts on the calcium channels of RyR1 (Ryanodine receptor) in the sarcoplasmic reticulum of the skeletal muscles and prevents the release of Ca2 + caused by sarcoplasmic reticulum.
- Dantrolene is absorbed gradually but sufficiently from the g.i.t. It penetrates the brain and induces some sedation but has no significant effect on the spasticity-responsible polysynaptic reflexes.[4, 7, 12]

II. CONCLUSION

Skeletal muscle relaxants are a broad set of pharmacotherapeutic medicines with structural differences over a wide range of chemical groups. These medications can help with spasticity, skeletal muscular spasms, or both. Skeletal muscle relaxants are excellent treatments for nonspecific acute low back pain. Skeletal muscle relaxants, which are administered via intravenous injection, are important in emergency surgery. These are the most effective because they have a quick start and a brief duration of action.

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