

**PHB**



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**Course Name : D. Pharm**

**Year : Second Year**

**Subject Name : Pharmacology**

**Topic Name : Centrally acting muscle relaxants**

Centrally acting muscle relaxants are medications primarily used to alleviate muscle spasms and stiffness by acting on the central nervous system. They work by depressing the activity of the spinal cord or brainstem, which reduces muscle tone and hyperactivity.

**Classification:** Centrally acting muscle relaxants can be classified into different groups based on their chemical structure and mechanism of action:

- 1. Benzodiazepines: e.g.** Diazepam, Lorazepam, Clonazepam
- 2. Non-benzodiazepine antispasmodics: e.g.** Cyclobenzaprine, Methocarbamol,
  - a. GABA derivatives: e.g.** Baclofen
  - b. Central  $\alpha_2$  agonist: e.g.** Tizanidine
  - c. Mephenesin congeners: e.g.** Mephenesin, Carisoprodol, Methocarbamol
  - d. Directly acting drug: e.g.** Dantrolene
  - e. Natural derivatives: e.g.** Sativex, botulinum toxin
  - f. Other drugs: e.g.** Gabapentin, pregabalin, glycine

**Mechanism of Action:**

**Benzodiazepines:** Act on the gamma-aminobutyric acid (GABA) receptors in the central nervous system, enhancing the inhibitory effects of GABA neurotransmission, which results in muscle relaxation and sedation.

**Non-benzodiazepine antispasmodics:**

**Cyclobenzaprine:** Acts as a centrally acting skeletal muscle relaxant with sedative properties, likely through inhibition of norepinephrine reuptake and possibly other neurotransmitter systems.

**Methocarbamol:** Mechanism not fully understood, but believed to depress the activity of the central nervous system and interfere with nerve impulse transmission in the spinal cord.

**Baclofen:** Acts as a GABA-B receptor agonist, which inhibits the transmission of excitatory neurotransmitters in the spinal cord, resulting in muscle relaxation.

**Tizanidine:** Acts as an alpha-2 adrenergic agonist, inhibiting the release of excitatory neurotransmitters, such as norepinephrine, which reduces muscle tone and spasticity.

**Dose:**

- The dosage of centrally acting muscle relaxants varies depending on the specific medication, formulation, and the severity of the muscle spasm or stiffness.
- Dosages are typically titrated based on the patient's response to treatment, tolerability, and any adverse effects experienced.

**Uses:**

- **Management of acute muscle spasms:** Centrally acting muscle relaxants are used to alleviate acute muscle spasms and associated pain due to conditions such as musculoskeletal injuries, strains, and sprains.
- **Treatment of chronic spasticity:** In conditions such as multiple sclerosis, cerebral palsy, or spinal cord injuries, centrally acting muscle relaxants may be used to reduce muscle spasticity and improve mobility.
- **Adjunctive therapy in physical therapy:** Muscle relaxants may be prescribed as adjunctive therapy in conjunction with physical therapy to facilitate relaxation, improve range of motion, and enhance therapeutic outcomes.

**Contraindications:**

- Hypersensitivity or allergy to the drug or its components.
- Pre-existing central nervous system depression: Caution should be exercised when using centrally acting muscle relaxants in patients with a history of depression, sedation, or respiratory depression.
- Concurrent use with alcohol or other central nervous system depressants: May potentiate sedative effects and increase the risk of respiratory depression and other adverse effects.