

Baclofen

Baclofen works as a GABA-B receptor agonist, mostly in the spinal cord. It is used to treat spasticity and dystonia that occur in many nervous system diseases like multiple sclerosis. Side effects include CNS depression and nausea. This medication should be tapered gradually because baclofen withdrawal can be lethal.



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Mechanism

GABA-B Receptor Agonist

GABA-goose-(B) Bee Receptor Dragonist

Baclofen acts as a GABA-B receptor agonist in the spinal cord. GABA-B is one of the subtypes of GABA receptors besides GABA-A and GABA-C. Unlike GABA-A and GABA-C receptors, which form ligand-gated chloride channels, GABA-B is a part of the G-protein-coupled receptor family that acts by decreasing Ca^{2+} and increasing K^+ membrane conductance. These actions hyperpolarize neurons to inhibit neurotransmitter release.

Spinal Cord

Spinal Cord

GABA-B receptors are mostly found in the cerebral cortex and dorsal horn of the spinal cord. Baclofen, as a GABA-B agonist receptor, is beneficial in treating spasticity seen in spinal cord disease. On the other hand, it is less effective in treating spasticity in stroke and other brain diseases.

Indications

Spasticity

Spaz-tick

Spasticity can include abnormal muscle tightness and stiffness, spasms, and prolonged involuntary contractions, resulting in pain. Baclofen is used to relieve the spasticity of voluntary muscle in several spinal cord diseases, including multiple sclerosis, tumors, syringomyelia, amyotrophic lateral sclerosis, cerebral palsy, motor neuron disease, transverse myelitis, and traumatic cord injury.

Dystonia

Dice-stone

Dystonia is a syndrome of involuntary movement characterized by prolonged muscle contractions leading to sustained, twisted, repetitive, or otherwise abnormal postures. Most benefit is derived from the pediatric population where intrathecal baclofen is used for patients with dystonia and spasticity (e.g. from cerebral palsy). There are fewer side effects in this method of administration than orally.

Multiple Sclerosis

(MS) Multiple Skull-roses

Multiple sclerosis is a chronic disease characterized by a demyelinating inflammatory process of the central nervous system. Spasticity can occur in multiple sclerosis, resulting in impaired daily life activities. Baclofen is used as a first-line treatment for treating spasticity in multiple sclerosis patients.

Side Effects

CNS Depression

Deflated CNS-brain

The most common side effect of baclofen treatment is CNS depression. This includes drowsiness and sedation (up to 65% reported cases). Patients taking this medication should be educated to avoid driving or any other activities that require alertness.

Nausea

Vomiting

Nausea has been seen in 4-12% of patients taking baclofen. It can occur when the patient starts using baclofen, and when the dose of the medication increased. It can last 2-3 days.

Considerations

Taper Gradually

Tape-dispenser with Gradual amounts of pills

Baclofen should be tapered gradually due to severe withdrawal symptoms. Abrupt baclofen withdrawal can induce altered mental status, hyperthermia, rebound spasticity, multiple organ failure, and rhabdomyolysis.