Baclofen

Baclofen USP 10 mg

Tablet

Composition
Baclofen: Each tablet contains Baclofen USP 10 mg.

Pharmacological action:
Baclofen is an effective muscle relaxant and antispastic agent with a spinal site of action.

Mechanism of action:
Baclofen inhibits both monosynaptic and polysynaptic reflexes at the spinal level by stimulating the $GABA_B$-receptors, which inhibits the release of glutamate and aspartate. If may also act at intraspinal sites producing CNS depression. Neuromuscular transmission is not affected by Baclofen. Baclofen also exerts an antinoceptive effect.

Pharmacokinetic properties

Absorption:
Gl absorption of Baclofen is reduced as dosage is increased Serum concentrations required for therapeutic effects reportedly range from 80-395 ng/mL. Onset of therapeutic effect may vary from hours to weeks. Onset and duration of action and peak effects of Baclofen in pediatric patients are similar to those reported in adult patients.

Distribution:
Orally administered Baclofen is widely distributed throughout the body, but only small amounts of the drug cross the blood brain barrier. There was wide interindividual variation. This gradient was not affected by patient position. Baclofen crosses the placenta. Baclofen is distributed into milk following oral adminstration at blood concentrations of 10 ng to 300 mcg/mL, 30% of Baclofen is bound to serum proteins.

Metabolism:
Only about 15% of a dose of the drug is metabolized in the liver, mostly by deamination.

Elimination:
Baclofen has a serum half-life of 2.5-4 hours. Baclofen is almost completely excreted within 72 hours following oral administration.
70-80% of the drug is excreted in urine unchanged or as metabolites and the remainder is excreted in the faces.

Clinical Information

Indications & Uses:
Baclofen is indicated for...

- Spasm
- Tension type headache
- The alleviation of spasticity resulting from multiple sclerosis
- Spinal cord diseases
- Muscle spasm of cerebral origin especially infantile cerebral palsy
- Cerebrovascular accidents or neoplastic or degenerative brain disease

Dosage & Administration

Adults:
For the management of spasticity, the initial oral dosage of Baclofen is 5 mg 3 times daily. Oral daily dosage may be increased by 15 mg at 3 day intervals, until optimum effect is achieved (usually at dosages of 40-80 mg daily). In patients with psychiatric or brain disorders and in geriatirc patients, oral dosage should be increased more gradually. In some patients, a smoother antispastic effect is obtained by administering the oral daily dosage in 4 divided doses.

Children:
Treatment should be started at a very low dose e.g. 0.3 mg/kg per day in divided doses. The dosage should be raised cautiously at 1-2 week intervals until it is sufficient for the child's individual needs. The usual dosage range for maintenance therapy is 0.75 to 2 mg/kg body weight per day. In children aged over 10 years a maximum daily dose of 2.5 mg/kg body weight may be given.

Use in Pregnancy & Lactation

Pregnancy:
The safety of Baclofen in women who are or who may become pregnant has not been established. Potential benefits should be weighed against possible hazards.

Lactation:
Use of Baclofen in lactating women is not recommended. If therapy is considered essential, alternative feeding arrangment should be made.

Hepatic Insufficiency:
In patients with mild hepatic insufficiency, liver function should be monitored.

Renal Insufficiency:
Because Baclofen is excreted principally in urine as unchanged drug. It may be necessary to reduce either oral or intrathecal dosage in patients with impaired renal function.

Side Effects:
The most Baclofen side-effects include drowsiness, nausea, dizziness, lassitude, light headedness, confusion, fatigue, muscular pain and weakness and hypotension.

Drug Interactions:
Alcohol and other CNS depressants may exacerbate the CNS effects of Baclofen and should be avoided, severe aggravation of hyperkinetic symptoms may possible occur in patients taking lithium. There may be increased weakness if Baclofen is given to patients taking a tricyclic antidepressant and there may be an increased hypotensive effect if it is given to patients receiving antihypertensive therapy. Ibuprofen and other drugs that produce renal insufficiency may reduce Baclofen excretion leading to toxicity.

Caution:
Baclofen stimulates gastric acid secretion and should be used with caution in patients with a history of peptic ulcer and avoided in those with active peptic ulcer disease. Liver function should be monitored in patients with liver disease, patients with renal impairment need a reduced dose. Baclofen should be used with caution in patients with respiratory impairment. Observations of increased blood sugar concentrations suggest caution in patients with diabetes mellitus. Care is also required in the elderly, in whom adverse effects may be more common, and in patients with cerebrovascular disease (who tolerate Baclofen poorly). Baclofen may cause drowsiness, patients affected should not drive or operate machinery. Abrupt withdrawal of Baclofen may result in a withdrawal syndrome and exacerbation of spasticity, dosage should be reduced gradually over at least 1 to 2 weeks, or longer if symptoms occur.

Contraindication:
Baclofen is contraindicated in patients with hypersensitivity to any component of this product.

Storage Conditions:
Store in a cool and dry place. Keep away form light and out of children's reach.

Presentation & Packaging:
Baclofen: Each commercial box contains 2 x10's tablets in blister pack.

Apex pharma®

Manufactured by
Apex Pharma Ltd.
Shafipur, Gazipur