

Baclofen and alcohol

Do not drink alcohol while you are taking Baclofen tablets. Alcohol may increase the sedative effects of Baclofen tablets and make you very sleepy.

OVERDOSAGE**Symptoms:**

Prominent features are signs of central nervous depression or encephalopathy: somnolence, depressed level of consciousness, respiratory depression, coma, tinnitus. Also liable to occur are: confusion, hallucinations, agitation, convulsion, abnormal electroencephalogram (burst suppression pattern and triphasic waves, generalized slowing on EEG), accommodation disorder, impaired pupillary reflex; generalized muscular hypotonia, myoclonic, hyporeflexia or areflexia; convulsions; peripheral vasodilatation, hypotension or hypertension, bradycardia or tachycardia, or cardiac arrhythmia; hypothermia; nausea, vomiting, diarrhea, salivary hypersecretion; increased hepatic enzymes, sleep apnea, rhabdomyolysis, SGOT and AP values. A deterioration in the condition may occur if various substances or drugs acting on the central nervous system (e.g., alcohol, diazepam tricyclic antidepressants) have been taken at the same time.

Treatment:

No specific antidote is known.

Supportive measures and symptomatic treatment should be given for complications such as hypotension, hypertension, convulsions, gastrointestinal disturbances, and respiratory or cardiovascular depression.

PRESENTATION

Bacloflex 10 mg tablet is available in packs of 3x10's

Bacloflex Oral solution is available in bottles of 60ml, 90ml, & 120ml.

Dosage:

As directed by the physician.

Instructions:

- Store below 30°C in a dry place, protect from light.
- To be dispensed on the prescription of a registered medical practitioner only.
- Keep out of the reach of children.

خوراک : ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔

ہدایت : دوا کو 30°C ڈگری سینٹی گریڈ سے کم درجہ حرارت پر روشنی سے بچا کر رکھیں۔

صرف رجسٹرڈ ڈاکٹر کے نسخے پر ہی فروخت کریں۔

بچوں کی پہنچ سے دور رکھیں۔

Manufactured by:

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Art no. 1705

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Bacloflex

(Baclofen)

10mg Tablet & 5mg/5ml Oral Solution

بیکلو فلیکس
(بیکلو فین)

۱۰ ملی گرام گولیاں اور ۵ ملی گرام / ۵ ملی لیٹر اورل سلوشن

Composition:

Each tablet contains:

Baclofen..... 10mg

Each 5ml contains:

Baclofen..... 5mg

CLINICAL PHARMACOLOGY**Mechanism of Action:**

The precise mechanism of action of baclofen is not fully understood. Baclofen inhibits both monosynaptic and polysynaptic reflexes at the spinal level, possibly by decreasing excitatory neurotransmitter release from afferent terminals, although actions at supraspinal sites may also occur and contribute to its clinical effect. Baclofen is a structural analog of the inhibitory neurotransmitter gamma-aminobutyric acid (GABA), and may exert its effects by stimulation of the GABA-B receptor subtype.

Pharmacodynamics:

Baclofen has been shown to have general CNS depressant properties, as indicated by the production of sedation with tolerance, somnolence, ataxia, and respiratory and cardiovascular depression.

PHARMACOKINETICS**Absorption**

Baclofen is rapidly and completely absorbed from the gastro-intestinal tract. No significant difference between the liquid and tablet formulations is observed in respect of Tmax, Cmax and bioavailability. Following oral administration of single doses (10-30mg) peak plasma concentrations are recorded after 0.5 to 1.5 hours and areas under the serum concentration curves are proportional to the dose.

Distribution

The volume of distribution of baclofen is 0.7 l/kg. The protein binding rate is approximately 30% and is constant in the concentration range of 10 nanogram/mL to 300 microgram/mL. In cerebrospinal fluid active substance concentrations are approximately 8.5 times lower than in the plasma.

Biotransformation

Baclofen is metabolized to only a minor extent. Deamination yields the main metabolite, β-(p-chlorophenyl)-4-hydroxybutyric acid, which is pharmacologically inactive

Elimination /Excretion:

The plasma elimination half-life of baclofen averages 3 to 4 hours. Baclofen is eliminated largely in unchanged form. Within 72 hours, about 75% of the dose is excreted via the kidneys with about 5% of this amount as metabolites

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INDICATIONS:

It is used for the relief of muscle spasms, cramping or tightness caused by diseases such as:

- Cerebral palsy
- Diseases of the spinal cord
- Meningitis
- Motor neuron disease
- Multiple sclerosis
- Cerebrovascular accidents
- Other injuries or diseases which affect the brain and nervous system.

SPECIAL POPULATION

Pregnancy

Baclofen given orally has been shown to increase incidence of omphaloceles (ventral hernias) in fetuses of rats given approximately 13 times the maximum oral dose (on a mg/kg basis) recommended for human use. This abnormality was not seen in mice or rabbits. There are no adequate and well-controlled studies in pregnant women. Baclofen crosses the placental barrier and should be used during pregnancy only if the expected benefit outweighs the potential risk to the fetus. Drug withdrawal reactions including postnatal convulsions in neonates have been reported after intra-uterine exposure to oral baclofen.

Breast-feeding

In mothers taking baclofen at therapeutic doses, the active substance passes into the breast milk, but in quantities so small that no undesirable effects are to be expected in the infant.

Fertility

There are no data available on the effect of baclofen on fertility in humans. Baclofen did not impair male or female fertility in rats at dose levels not toxic to them.

DOSEAGE & ADMINISTRATION

Baclofen is given orally in either tablet or liquid form. These two formulations are bioequivalent. The liquid may be particularly suitable for children or those adults who are unable to take tablets. Dosage titration can be more precisely managed with the liquid formulation.

Titration of dosage is necessary to meet the individual patients' requirements while avoiding adverse effects or interference with function depending on the activity of voluntary muscles e.g., bladder, central posture support. The lowest dose compatible with an optimal response is recommended.

If no benefit is apparent within 6 to 8 weeks of achieving the maximum dosage, a decision should be taken whether to continue with Baclofen Treatment should always, (unless serious adverse effects occur), be gradually discontinued by successively reducing the dosage over a period of about 1-2 weeks.

The recommended dose is

- **Adults:** Treatment should be started with a dosage of 15 ml (15mg) daily, preferably in 2 to 4 divided doses. Dose should be titrated upwards cautiously by 15 ml (15 mg)/day increments at 3-day intervals until the requisite daily dosage has been attained. In certain patients reacting sensitively to drugs, it may be advisable to begin with a lower daily dosage (5 mg or 10 mg) and to raise this dosage more gradually. The optimum dosage generally ranges from 30 to 80 ml (30 to 80 mg) daily. Satisfactory control of symptoms is usually obtained with doses of up to 60ml (60mg) daily, but a careful adjustment is often necessary to meet the requirements of each individual patient. The dose may be increased slowly if required, but a maximum daily dose of more than 100ml (100mg) is not advised unless the patient is in hospital under careful medical supervision. In such cases, 100 ml – 120 ml (100 mg-120 mg) may occasionally be necessary. Small frequent dosage may prove better in some cases than larger spaced doses. Also, some patients benefit from the use of Baclofen only at night to counteract painful flexor spasm. Similarly, a single dose given approximately 1 hour prior to performance of specific tasks such as washing, dressing, shaving, physiotherapy, will often improve mobility. Once the maximum recommended dose has been reached, if the therapeutic effect is not apparent within 6 weeks a decision whether to continue with baclofen should be taken.

- **Elderly:** Your doctor may give you a smaller dose
- **Children:** treatment should be calculated according to body weight and given in 2-4 divided doses. Your doctor may increase the dosage slowly to reach the appropriate dose. A more appropriate dosage form may also be used.
- **Children below 8 years of age:** the maximum daily dose should not exceed 40mg per day
- **Children above 8 years of age:** the maximum daily dose should not exceed 60mg per day
- Baclofen tablets are not suitable for use in children below 33kg body weight.
- **Patients with kidney problems:** Your doctor may give you a smaller dose such as 5mg a day.

ROUTE OF ADMINISTRATION

For oral administration only.

ADVERSE REACTIONS

- **allergic reaction:** skin rashes
- **nervous system:** sedation during the day, drowsiness, confusion, depression, insomnia, light-headedness, euphoria (extreme sense of wellbeing), hallucinations, nightmares, headache, dizziness, exhaustion, unusual tiredness or weakness, 'pins and needles', fits, involuntary eye movements, difficulty focusing
- **stomach:** feeling or being sick, retching, constipation, diarrhea, dry mouth, changes in taste
- **muscles:** muscle pain or weakness, lack of muscle control or muscle tension, tremor, irregular and jerky movements, increase in spastic symptoms
- **other:** changes in the liver function (shown by a blood test), excessive sweating, shallow heartbeat, visual disturbances, low blood pressure, frequent or painful passing of urine, bed wetting, difficulty breathing, low body temperature (hypothermia)

CONTRAINDICATIONS

- If you are allergic to baclofen or any of the other ingredients of this medicine.
- If you have ever had a stomach ulcer.

WARNINGS AND PRECAUTIONS

Talk to your doctor, pharmacist or nurse before taking Baclofen tablets if you have

- problems with your lungs, heart, liver, kidney or bladder
- a mental illness as Baclofen tablets may make some of the symptoms worse or cause new ones
- ever had stomach ulcers
- epilepsy, may make the fits worse
- ever suffered any bleeding in the brain (a "stroke")
- diabetes mellitus
- been taking any medicines for high blood pressure
- muscle tension in the bladder.

EFFECT OF OTHER DRUGS ON BACLOFEN

Other medicines and Baclofen Tablets Tell your doctor or pharmacist if you are taking, have recently taken or might take any other medicines.

- anxiolytics or hypnotics (to help you sleep), taking these medicines with Baclofen could make you very sleepy
- medicines to lower high blood pressure or diuretics (water tablets) as these could lower your blood pressure too much
- levodopa (to treat Parkinson's Disease), may cause worsening of side effects
- lithium (for depression), may aggravate symptoms of over activity
- NSAIDs (Non-Steroidal Anti-inflammatory Drugs) such as ibuprofen, may cause baclofen to be removed from the body more slowly
- tricyclic antidepressants (eg amitriptyline or imipramine), may cause an increase in the muscle relaxant effect
- memantine (used in Alzheimer's disease), effects of Baclofen may be changed
- anesthetics, if you need an operation, tell your doctor or dentist you are taking Baclofen tablets.