ACEMOX TABLETS

(Acetazolamide 250mg)

(2-acetylamino-1,3, 4-thiadiazole-5-sulfonamide)

Acemox (Acetazolamide) is a non bacteriostatic sulfonamide possessing a chemical structure and pharmacological activity distinctly different from the bacteriostatic sulfonamides.

Acetazolamide is an enzyme inhibitor that acts specifically on carbonic anhydrase, the enzyme that catalyzes the reversible reaction involving the hydration of carbon dioxide and the dehydration of carbonic acid.

In the eye, this inhibitory action of acetazolamide decreases the secretion of aqueous humor and results with drop in intraocular pressure, a reaction considered desirable in cases of glaucoma and even in certain non-glaucomatous conditions.

Evidence seems to indicate that acetazolamide has utility as an adjuvant in the treatment of certain dysfunctions of the central nervous system (eg epilepsy). Inhibition of carbonic anhydrase in the area appears to retard abnormal, paroxymal, excessive discharge from central nervous system neurons.

The diuretic effect of acetazolamide is due to its action in the kidney on the reversible reaction involving hydration of carbon dioxide and dehydration of carbonic acid. The result is renal loss of HCO3 ion, which carries out sodium, water, and potassium. Alkalimization of the urine and promotion of diuresis are thus affected. Alteration in ammonia metabolism occurs due to increased reabsorption of ammonia by the renal tubules as a result of urinary alkalinization.

Indications:

Adjunctive treatment of

- edema due to congestive heart failure.
- drug-induced edema
- centrencephalic epilepsies (petit mal, unlocalized seizures)
- chronic simple (open-angle) glaucoma, secondary glaucoma, and preoperatively in acute angle-closure glaucoma where delay of surgery is desired in order to lower intraocular pressure
- Prevention or amelioration of symptoms associated with acute mountain sickness

Dosage and Administration:

Note: The dosage recommendation for glaucoma and epilepsy differ considerably from those for congestive heart failure and drug-induced edema. The effect in glaucoma and epilepsy is not dependent upon carbonic anhydrase inhibition in the kidney which requires intermittent dosage if it is to recover from the inhibitory effect of acetazolamide.

Glaucoma

Acetazolamide is used as an adjunct to the usual therapy.

Chronic simple (open-angle) glaucoma

250mg to 1 g acetazolamide per day, usually in divided doses.

Dosage is adjusted with careful individual attention both to symptomatology and ocular tension.

Doses higher than 1 g usually do not produce an increased effect.

Continuous supervision by a physician is advisable.

Secondary glaucoma and preoperative treatment of acute congestive (closed-angle)

Glaucoma

Preferred dosage is 250mg acetazolamide every 4 hours. Some patients have responded to 250mg twice daily on short-term therapy.

In some acute cases, depending on the individual situation, it may be more satisfactory to administer an initial dose of 500mg, followed by doses of 125mg or 250 mg every 4 hours.

Intravenous therapy may be used for rapid relief of ocular tension in acute cases. A complementary effect has been observed when acetazolamide has been used in conjunction with miotics or mydriatics as the case demanded.

Epilepsy

The suggested initial dose is 8mg to 30mg per kg acetazolamide per day, in divided doses. Although some patients respond to a low dose, the optimum total daily dose range appears to be from 375mg to 1000mg acetazolamide. Some investigators feel that doses in excess of 1g do not produce better results than a 1g dose. When acetazolamide is given in combination with other anticonvulsants, it is suggested that the starting dose be 250mg acetazolamide once daily. This can be increased to levels as indicated above.

The change from other medications to acetazolamide should be gradual and in accordance with usual practice in epilepsy treatment.

Congestive heart failure

The starting dose is usually 250mg to 375mg (5mg per kg) acetazolamide once daily in the morning. If after an initial response the patient fails to continue to lose edema fluid, the dose must not be increased, but the acetazolamide dose should be skipped for one day to allow for kidney recovery. Acetazolamide yields best results when given on alternate days, or for two days alternating with one day of rest. The use of acetazolamide does not eliminate the need for other therapy.

Drug-Induced edema

The recommended dosage is 250mg to 375mg (5mg per kg) acetazolamide once a day for one or two days, alternating with a day of rest.

Acute Mountain Disease

The dosage is 500mg to 1000mg acetazolamide per day, in divided doses.

It is preferable to initiate dosing 24 to 48 hours before ascent, and to continue for 48 hours while at high altitude, or longer as necessary to control symptoms.

Use in Elderly Patients

See Precautions & Geriatric use.

Use in Patients with Renal Impairment

In patients with moderate to severe renal impairment, the dose should be reduced by half or the dosage interval should be increased to every 12 hours.

CONTRAINDICATIONS

Acetazolamide is contraindicated in patients with hypersensitivity to acetazolamide any excipients in the formulation. Since acetazolamide is a sulfonamide derivative, cross sensitivity between acetazolamide, sulfonamides, and other sulfonamide derivatives is possible.

Acetazolamide is contraindicated in the presence of:

- Hyperchloremic acidosis
- Hypokalemia
- Hyponatremia
- Suprarenal gland failure
- Marked impairment of renal function
- Liver disease or impairment of liver function, including cirrhosis (due to risk of hepatic encephalopathy).

Long-term treatment is contraindicated in patients with chronic non-congestive angle- closure glaucoma (treatment may permit organic closure of the angle while the worsening glaucoma is masked by the lowered intraocular pressure).

PRECAUTIONS

General

Increasing the dose does not increase diuresis but may increase the incidence of drowsiness and/or paraesthesia. Increasing the dose may often result in a decrease in diuresis. Under certain circumstances, however, very large doses have been given in conjunction with other diuretics in order to secure diuresis in patients unresponsive to treatment.

PREGNANCY

Acetazolamide has been shown to be teratogenic (defects of the limbs) in mice, rats, hamsters, and rabbits. There are no adequate and well-controlled studies in pregnant women. Acetazolamide should be used in pregnancy only if the potential benefit justifies the potential risk to the fetus.

LACTATION

Acetazolamide is excreted into breast milk and the potential exists for adverse reactions in the infant. Acetazolamide should only be used by nursing women if the potential benefit justifies the potential risk to the child.

PEDIATRIC USE

The safety and effectiveness of acetazolamide in pediatric patients have not been established.

Growth retardation has been reported in children receiving long-term therapy believed secondary to chronic acidosis.

GERIATRIC USE

Metabolic acidosis, which can be severe, may occur in the elderly with reduced renal function.

EFFECTS ON ACTIVITIES REQUIRING CONCENTRATION & PERFORMANCE

Some adverse reactions to acetazolamide, such as drowsiness, fatigue, and myopia, may impair the ability to drive and operate machinery.

ADVERSE REACTION

Body As a Whole

Headache, malaise, fatigue, fever, Hushing, growth retardation in children, flaccid paralysis, anaphylaxis.

Digestive

Gastrointestinal disturbances, such as nausea, vomiting, and diarrhoea.

Hematological Lymphatic

Blood dyscrasias, such as aplastic anaemia, agranulocytosis leucopenia, thrombocytopenia, and thrombocytopenic purpura.

Metabolic/Nutritional

Metabolic acidosis and electrolyte imbalance, including hypokalemia, hyponatremia, osteomalacia with long-term phenytoin therapy, loss of appetite, taste alteration, hyperglycemia, hypoglycemia.

Nervous

Drowsiness, paraesthesia (involving numbness and tingling of extremities and face), depression, excitement, ataxia, confusion, convulsions, dizziness.

Skin

Allergic skin reactions including urticaria, photosensitivity, Stevens-Johnson syndrome, toxic epidermal necrolysis.

Special Senses

Hearing disturbances, tinnitus, myopia.

Urogenital

Crystalluria, Increased risk of nephrolithiasis with long-term therapy, hematuria, abnormal liver function, cholestatic jaundice, glycosuria, renal failure.

OVERDOSAGE

No specific antidote is known. Treatment should be parasympathetic and supportive. Serum electrolyte levels (particularly potassium) and blood pH levels should be monitored. Supportive measures are required to restore electrolyte and pH balance. The acidic state can usually be corrected by the administration of bicarbonate.

COMPATIBILITIES/ INCOMPATIBILITIES

Acetazolamide is stated to be physically incompatible with multivitamins.

STORAGE CONDITIONS

Protect from heat, sunlight and moisture.

Store below 30°C.

Keep all medicines out of reach of children.

PRESENTATION

3x10 Tablets in Blister Pack

خوراک و ہدایات:

ایک گولی دن میں دو مرتبہ یا ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔

دوا کو گرمی، دھوپ اور نمی سے بچائیں۔ تمام ادویات بچوں کی پہنچ سے دور رکھیں۔

۳۰ ڈگری سینٹی گریڈ سے کم درجہ حرارت پر رکھیں۔

Manufactured by:

Vega Pharmaceuticals (Pvt.) Ltd.

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