Apo-Acetazolamide
Acetazolamide Tablets BP 250mg
Carbonic Anhydrase Inhibitor

Pharmacology: APO-ACETAZOLAMIDE (acetazolamide) is an inhibitor of carbonic anhydrase. By inhibiting the reaction catalysed by carbonic anhydrase in the renal tubules, acetazolamide increases the excretion of bicarbonate and of cations, chiefly sodium and potassium, and so promote an alkaline diuresis.
By inhibiting carbonic anhydrase in the eye, acetazolamide decreases the formation of aqueous humour and so decreases intra-ocular pressure.
Acetazolamide is fairly rapidly absorbed from the gastro-intestinal tract with peak plasma concentrations occurring about 2 hours after administration by mouth. It has been estimated to have a plasma half-life of about 3 to 6 hours. It is tightly bound to carbonic anhydrase and high concentrations are present in tissues containing this enzyme, particularly red blood cells and the renal cortex; it is highly bound to plasma proteins. It is excreted unchanged in the urine.

Indications: To decrease ocular aquenous humor secretion in glaucoma (chronic, simple and secondary types). Also used as an adjunct in the treatment of selected cases of epilepsy. To alkalinize the urine in selected cases of salicylate overdosage.

Contraindications: Depressed sodium and/or potassium blood levels, in renal failure, adrenal gland failure, metabolic acidosis and some cases of hepatic cirrhosis, severe glaucoma due to peripheral anterior synechias or in hemorrhagic glaucoma. Long-term use in chronic noncongestive angle closure glaucoma is contraindicated.
Pregnancy: Studies on acetazolamide in mice and rats have consistently demonstrated embryocidal and teratogenic effects at doses in excess of 10 times the human dose. There is no evidence of these effects in humans; however, acetazolamide should not be used in pregnancy, unless the anticipated benefits outweigh these potential hazards and are not attainable in other ways.

Warnings & Precautions:

Serious Warnings and Precautions:

- The patient should be cautioned to report any unusual skin rash. Severe Cutaneous Adverse Reactions such as Stevens Johnsons Syndrome, Erythema Multiforme, Toxic Epidermal Necrolysis and Acute Generalised Exanthematous Pustulosis may occur with acetazolamide. Hypersensitivity reactions may recur if a sulphonamide or sulphonamide derivative is re-administered, irrespective of the route of administration. If signs of hypersensitivity reactions or other serious reactions occur, acetazolamide must be discontinued.
- The patient may **develop shortness of breath or difficulty** in breathing after taking acetazolamide. Severe cases of non-cardiogenic pulmonary edema have been reported after taking acetazolamide. Symptoms included dyspnoea, hypoxia and respiratory insufficiency. If non-cardiogenic pulmonary edema is suspected, Acetezolamide should be withdrawn, and supportive treatment should be given.

General: Increasing the dose does not increase, and may often decrease the diuresis, and may yet produce drowsiness and/or paresthesia.
Opthalmologic: Acetazolamide, a sulfonamide, can cause an idiosyncratic reaction resulting in choroidal effusion associated with acute myopia, acute angle-closure glaucoma or a combination of both. Symptoms include acute onset of decreased visual acuity, blurred vision or ocular pain. These typically occur within hours to weeks of drug initiation. Discontinue acetazolamide as rapidly as possible. Obtain appropriate medical evaluation immediately and consider treatment of elevated intraocular pressure.
Respiratory: Severe cases of non-cardiogenic pulmonary edema have been reported after taking acetazolamide, also after a single dose see Adverse Reaction. Non-cardiogenic pulmonary edema typically developed within minutes to hours after acetazolamide intake. Symptoms included dyspnoea, hypoxia, and respiratory insufficiency. If non-cardiogenic pulmonary edema is suspected, acetazolamide should be withdrawn, and supportive treatment should be given. Acetazolamide should not be administered to patients who previously experienced non-cardiogenic pulmonary edema following acetazolamide intake.
Breast-feeding: It is unknown if acetazolamide is excreted in human milk. Precaution should be exercised because many drugs can be excreted in human milk.

Drug Interactions: Antacids: The use of concurrent sodium bicarbonate therapy enhances the risk of calculus formation in patients taking acetazolamide.
Antiepileptics: For severe osteomalacia in patients taking acetazolamide with phenytoin and other antiepileptics.

Benzodiazepine sedatives: Ventilatory depression in a mountain climber with acute mountain sickness was considered to be due to the potentiation of triazolam by acetazolamide.
Local anaesthetics: Concomitant administration of acetazolamide extends the plasma half-life of procaine.
Salicylates: Salicylates have been shown to displace acetazolamide from plasma protein binding sites and reduce its renal clearance, leading to elevated plasma-acetazolamide concentrations. In addition, acidosis produced by acetazolamide may increase salicylate toxicity by enhancing salicylate tissue penetration. Severe metabolic acidosis has been reported in patients with normal renal function during treatment with acetazolamide and salicylates.

Adverse Reactions: Metabolic acidosis and hypokalemia may occur during prolonged acetazolamide therapy.

Adverse reactions common to all sulfonamide derivatives including fever, rash (including Stevens Johnsons Syndrome, Erythema Multiforme, Toxic Epidermal Necrolysis and Acute Generalised Exanthematous Pustulosis), crystalluria, renal calculus, bone marrow depression, thrombocytopenic purpura, hemolytic anemia, leukopenia, pancytopenia and agranulocytosis may occur. If such reactions occur, discontinue therapy and institute appropriate measures.

For acute respiratory distress syndrome and pulmonary edema, if rapidly progressive dyspnoea, hypoxaemia, or chest X-ray abnormalities such as diffuse infiltrative shadow in both lungs are observed, administration of this drug should be discontinued, and appropriate measures should be taken. The frequency of these adverse reactions are not known.

Untoward effects during short-term therapy are said to be minimal. Those noted include paresthesias, some loss of appetite, polyuria and occasional instances of drowsiness and confusion. Other occasional adverse reactions include urticaria, melena, hematuria, glycosuria, hepatic insufficiency, flaccid paralysis and convulsions.

Transient myopia has been reported. This condition invariably subsided upon the diminution or discontinuation of the medication.

Skin and Subcutaneous Tissue Disorders
Frequency not known: Severe skin reactions [including Stevens-Johnson syndrome (SJS), toxic epidermal necrolysis (TEN), erythema multiforme (EM) and acute generalized exanthematous pustulosis (AGEP)]

Eye disorders:
Frequency not known: Choroidal effusion, acute myopia, acute angle-closure glaucoma

Symptoms & Treatment for Overdosage: Acidosis associated with carbonic anhydrase overdosage may respond to bicarbonate administration.
Concurrent administration of potassium supplements or food rich in potassium (such as citrus fruits, bananas, dried fruits, fresh tomatoes) may be recommended to prevent hypokalemia.

Dosage: Chronic simple (open angle) glaucoma: 250mg 1 to 4 times daily. A complementary effect has been noted when acetazolamide was used with miotics or mydriatics as the case demanded. Secondary glaucoma and preoperative treatment of some cases of acute congestive (closed angle) glaucoma: 250mg every 4 hours. Epilepsy: 8 to 30mg/kg (375 to 1000mg) daily in divided doses. To alkalinize the urine: 250mg every 4 to 6 hours.

Route of administration: Oral

Supplied: White, round, biconvex tablet. Cross-scored on one side, other side engraved APO over 250. Available in HDPE bottles of 100 tablets.

Storage Conditions: Store below 30°C.

Manufacturer: Apotex Inc, 150 Signet Drive, Weston (Toronto), Ontario, Canada, M9L 1T9.

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