GLAUCOTENSIL D®

DORZOLAMIDE 2%

STERILE OPHTHALMIC SOLUTION

Made in Argentina - Rx ONLY

Qualitative-quantitative formula:
Each ml of solution contains:
Dorzolamide (as hydrochloride) 20.00 mg
Preservative: Benzalkonium chloride 0.075 mg; Sodium citrate 2.94 mg; Hydroxyethylcellulose 4.75 mg; Mannitol 23.00 mg; Sodium hydroxide q.s. pH 5.5; Purified water, q.s. 1.00 ml.

Therapeutical action:
GLAUCOTENSIL D® (Dorzolamide 2% ophthalmic solution) is a new carbonic anhydrase inhibitor formulated for topical ophthalmic use. Unlike orally administered carbonic anhydrase inhibitors, GLAUCOTENSIL D® is topically applied and it acts directly on the eye.

Indications:
It is indicated for the reduction of elevated intraocular pressure in patients with open-angle glaucoma or ocular hypertension.

Pharmacological characteristics/ Properties:
When topically applied, Dorzolamide reaches the systemic circulation. To assess the potential for the systemic carbonic anhydrase inhibition following topical administration, drug and metabolite concentrations in RBCs and plasma and carbonic anhydrase inhibition in RBCs were measured. Dorzolamide accumulates in RBCs during chronic dosing as a result of binding to AC-II.
After dosing is stopped, Dorzolamide washes out of RBCs nonlinearly, resulting in a rapid decline of drug concentration initially, followed by a slower elimination phase, with a half-life of about four months.
2 mg dose b.i.d. closely approximates the amount of drug delivered with GLAUCOTENSIL D® 2% topical administration t.i.d. Steady-state is reached in 8 weeks. The inhibition of AC-II and total carbonic anhydrase activities were below the degree of inhibition anticipated to be necessary for a pharmacological effect on respiratory and renal function in healthy individuals.

Dosage and Administration:
When it is used as a single medication, GLAUCOTENSIL D® ophthalmic solution dose is one drop in the affected eye(s) t.i.d. When it is used concomitantly with an ophthalmic blocker, the dose is one drop of GLAUCOTENSIL D® in the affected eye(s), b.i.d.
When switching to GLAUCOTENSIL D® while still being treated with other antiglaucomatous ophthalmic drop, which should be discontinued after being properly administered during a whole day and start with GLAUCOTENSIL D® the following day. In case of using more than one topical ocular medication simultaneously, they should be administered at least ten minutes apart.

Contraindications:
GLAUCOTENSIL D® use is contraindicated in patients with hypersensitivity to any components of this product.

Precautions:
Carbonic anhydrase activity has been observed in both the cytoplasm and around plasma membranes of the corneal endothelium. Effects of continued long-term administration of GLAUCOTENSIL D® on the corneal endothelium have not been fully evaluated yet.
Management of patients with acute closed-angle glaucoma requires other therapeutic interventions, in addition to ocular hypotensive agents. GLAUCOTENSIL D® has not been studied in patients with acute closed-angle glaucoma. This product has not been studied in patients with severe renal impairment (creatinine clearance < 30 mL/ min). Because GLAUCOTENSIL D® and its metabolites are excreted predominantly by the kidney, its use is not recommended in such patients.
GLAUCOTENSIL D® has not been studied in patients with hepatic impairment and should therefore be used with caution in such patients.
In clinical studies, local ocular adverse events, primarily conjunctivitis and lid reactions, were reported with chronic administration of GLAUCOTENSIL D®. Many of these reactions had the clinical appearance and course of an allergic-type reaction, that resolved upon discontinuation of drug therapy. If such reactions are observed, GLAUCOTENSIL D® should be discontinued.
There is a potential for an additive effect on the known systemic effects of carbonic anhydrase inhibition in patients receiving an oral carbonic anhydrase inhibitor and GLAUCOTENSIL D®. The concomitant administration of GLAUCOTENSIL D® and oral carbonic anhydrase inhibitors has not been studied and it is not recommended.
There have been reports of bacterial keratitis associated with the use of multiple dose containers of ophthalmic products. These containers had been inadvertently contaminated by patients who, in most cases, had a concurrent corneal disease or a disruption of the ocular epithelial surface.
GLAUCOTENSIL D® has not been studied in patients wearing contact lenses. The preservative in GLAUCOTENSIL D® (benzalkonium chloride) may be absorbed by soft contact lenses; therefore this product should not be administered while wearing soft contact lenses.
Patient information
GLAUCOTENSIL D® is a sulfonamide which, although administered topically, is also absorbed systematically. Therefore, this kind of adverse reactions attributed to sulfonamides may occur with topical administration. Patients should be advised that if signs or symptoms of serious reaction or severe hypersensitivity, they should discontinue the use of the product.
Patients should also be advised that if they develop any ocular reactions, particularly conjunctivitis and lid reactions, they should discontinue use and seek their physician's advice.
Patients should be instructed to avoid allowing the dropper tip to contact the eye or surrounding structures.
Patients should also be instructed that ophthalmic solutions, if handled improperly, can become contaminated by common bacteria known to cause ocular infections. Serious damage to the eye and subsequent loss of vision may result from using contaminated solutions.
Patients also should be advised that if they develop an intercurrent ocular condition (e.g., trauma, ocular surgery or infection), they should immediately seek their physician's advice concerning the continued use of the present multidose container
If more than one topical ophthalmic drug is being used, the drugs should be administered at least ten minutes apart.
Pregnancy
There are not well-controlled studies in pregnant women. GLAUCOTENSIL D® may be used during pregnancy only if the potential benefit outweighs the possible risk to the fetus.
Nursing mothers
It is unknown if this drug is excreted in human milk. Thus, a decision should be made whether to discontinue nursing or to discontinue the drug administration, taking into account the importance of the drug to the mother.
Pediatric use
Safety and effectiveness of this product in children have not been established.
Geriatric use
Of the total number of patients in clinical studies of GLAUCOTENSIL D®, 44% were 65 years of age and over, while 10% were 75 years of age and over. No overall differences in effectiveness or safety were observed between patients and younger patients, but greater sensitivity of some older individuals to the product cannot be ruled out.
Drug interactions
No specific interaction pharmacological studies have been carried out with GLAUCOTENSIL D®. In clinical studies, GLAUCOTENSIL D® has been used concomitantly with the following drugs showing no adverse reactions: timolol ophthalmic solution, betaxolol ophthalmic solution and systemic drugs, including ACE inhibitors, calcium blockers, diuretics, nonsteroidal antiinflammatory drugs, including acetylsalicylic acid and hormones (e.g. oestrogens, insulin, thyroxine).
GLAUCOTENSIL D® is an carbonic anhydrase inhibitor and, although administered topically, it is also absorbed reaching the systemic circulation. In clinical studies, GLAUCOTENSIL D® was not associated to acid-base balance disorders. However, such disorders have been reported with oral carbonic anhydrase inhibitors and have, in some instances, resulted in drug interactions (e.g. toxicity associated with high-dose salicylate therapy). Therefore, the potential for such drug interactions should be considered in patients receiving GLAUCOTENSIL D®.

Adverse reactions:
The most frequently adverse reactions observed in clinical studies with GLAUCOTENSIL D® were: burning, itching or ocular discomfort, which occurred immediately after the ocular administration (approximately in one third of patients).
About a quarter of patients noticed bitter taste following the solution administration. In 10%-15% of patients, punctate keratitis and in about 10% of patients ocular allergic reaction signs and symptoms have been observed. Reactions observed in about 1% - 5% of patients were: blurred vision, lacrimation, dryness and photophobia. Other ocular and systemic reactions have been observed less frequently such as: headache, nausea, asthenia, skin rash, urolithiasis and iridocyclitis.

Overdosage:
There have not been reports of overdosage cases of accidental or intentional intake of GLAUCOTENSIL D®. If they occurred, treatment should be supportive and symptomatic, considering possible electrolyte imbalances, acidosis and effects on the central nervous system.
If an overdosage occurs, go to the nearest hospital or toxicology centers.

How supplied:
Dropper bottle, containing 5 ml-solution.

Storage conditions:
Store below 30°C. Protect from light.

Once the container is opened for the first time, it should be used within 4 weeks.

Keep drugs out of reach of children.

Delicate use product. To be administered under prescription and medical surveillance.

Manufactured by:
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