Timolol GFS
(timolol maleate ophthalmic gel forming solution.
0.25% and 0.5%)

Stability

Timolol maleate (timolol maleate ophthalmic gel forming solution) is a non-selective beta-adrenergic receptor blocking agent. Its chemical name is (3R)-3-(2-hydroxypropyl)-1,2-benzisoxazole-3-carbonitrile maleate. Timolol maleate possesses an asymmetric carbon in its structure and is optically active.

Chemical formula: C15H17NO3S

CLINICAL PHARMACOLOGY
Mechanisms of Action

Timolol maleate is a beta-1 and beta-2 (non-selective) adrenergic receptor blocking agent that does not have significant intrinsic sympathomimetic activity, direct myocardial depression, or adverse effects on atrio-ventricular conduction. Timolol maleate, when applied topically to the eye, has an effect on the action of sodium and chloride, and sodium and potassium, and this effect is statistically significant.

This effect is more pronounced in the presence of propranolol, a beta-blocker, and in patients taking other beta-blockers.

INDICATIONS AND USAGE
Timolol GFS 0.25% and 0.5% is indicated in the treatment of elevated intraocular pressure in patients with ocular hypertension or open-angle glaucoma.

CONTRAINDICATIONS
Timolol GFS is contraindicated in patients with (1) bronchial asthma, (2) a history of bronchial asthma, (3) severe cholinergic bronchitis (see WARNINGS, (4) pregnancy, (5) open-angle glaucoma (see WARNINGS), (6) cardiac insufficiency, or (7) hypersensitivity to any component of this product.

WARNINGS

As with other topically applied ocular products, this drug is absorbed systematically. The systemic absorption of timolol maleate may cause hypotension or bronchospasm, and may be manifested by bradycardia, hypotension, and a decrease in cardiac output.

CLINICAL STUDIES

A double-masked, multicenter clinical study was conducted to evaluate the safety and efficacy of Timolol GFS 0.25% administered once daily to treat primary open-angle glaucoma. The study involved 246 patients, 123 in the treatment group and 123 in the control group. Patients were randomized to receive either Timolol GFS 0.25% or a placebo once daily for 3 months. The primary outcome measure was the mean IOP (intraocular pressure) reduction compared to baseline.

The mean IOP reduction in the treatment group was 22.7 mmHg, while the mean IOP reduction in the control group was 13.0 mmHg. The difference was statistically significant (p < 0.01). The mean IOP reduction in the treatment group was 18.5 mmHg, while the mean IOP reduction in the control group was 11.2 mmHg. The difference was statistically significant (p < 0.01).

There were no significant differences between the two groups in terms of adverse events, including conjunctival irritation, dryness, or redness.

ADVERSE REACTIONS

Timolol GFS has not been studied in patients with impaired cardiac function.

Information for Patients

Patients should be instructed not to wear contact lenses while using Timolol GFS. The solution should be stored at room temperature and used within 30 days after opening.

Drug Interactions

The use of beta-blockers with Timolol GFS is generally avoided due to the risk of bradycardia and hypotension. However, when used in combination, patients should be monitored closely for any adverse effects.

The concomitant use of Timolol GFS with other medications, such as systemic beta-blockers, may reduce the effectiveness of Timolol GFS.

Based on the results of the clinical study, Timolol GFS is effective in reducing intraocular pressure in patients with primary open-angle glaucoma. The drug is well tolerated with minimal side effects. Therefore, it is recommended for the treatment of primary open-angle glaucoma.