

## SUMMARY OF PRODUCT CHARACTERISTICS

### 1-Name of the Medicinal Product:

- 1.1 Product Name : **OPTIMOL EYE DROPS**  
(Timolol Maleate Ophthalmic Solution USP)
- 1.2 Strength: **0.5%**
- 1.3 Pharmaceutical Dosage Form: **Ophthalmic Solution (Eye Drops)**

### 2-Quality and Quantitative Composition:

Each mL contains:

Timolol ..... 5 mg  
(as *Timolol Maleate*)

### 3-Pharmaceutical Form: Ophthalmic solution (Eye Drops)

### 4-Clinical Particulars

#### 4.1 Therapeutic indications:

Optimol Eye Drops reduces intraocular pressure and is indicated for the treatment of open-angle glaucoma, secondary glaucoma and ocular hypertension.

#### 4.2 Posology and method of administration:

Instill one or two drops in the eye(s) once or twice daily.

#### 4.3 Contraindications:

Hypersensitivity to any component of the solution. Patients with bronchial asthma.

#### 4.4 Special warning and precautions for use

This preparation should be used with caution in the presence of hypertension, cardiac irregularities or hyperglycaemia (diabetes). Do not use in the presence of narrow-angle glaucoma. Precaution should be taken when on oral beta-adrenergic and Optimol simultaneously. No adverse reaction have been reported in the usage during pregnancy but benefits should be weighed out against possible adverse effects.

#### 4.5 Interaction with other medicinal products and other forms of interactions.

Mydriasis may occur from concomitant use with Epinephrine.

#### 4.5 Pregnancy and Lactation

None known

**4.6 Effects of the ability to drive and use machines**

None known

**4.7 Undesirable Effects**

Optimol Eye Drops is well tolerated but there are reported cases of hypersensitivity reactions, bronchospasm and ocular irritation.

**4.8 Overdose and special antidotes**

None known

**5-Pharmacological Properties :**

Timolol Maleate in Optimol Eye Drops is a short acting, potent, non-selective Beta-adrenergic antagonist. It has no intrinsic sympathomimetic activity and no membrane stabilizing activity.

The important therapeutic effect of the *BETA*-adrenergic antagonist like Timolol Maleate is on the cardiovascular system. It is important to distinguish these effects in the normal subject and in those with cardiovascular diseases such as hypertension or myocardial ischemia. Since catecholamines have positive chronotropic and inotropic actions, *BETA*-adrenergic antagonist slow the heart rate and decrease myocardial ischemia. It has also significant effects on cardiac rhythm and automaticity.

It is not a hypotensive agent in patients with normal blood pressure. However, this drug do lower the blood pressure of patients with hypertension. Non-selective *BETA*-adrenergic antagonist like Timolol maleate block *BETA*-2-adrenergic receptors in bronchial smooth muscles. This usually has little effect on pulmonary function in normal individuals. However, in patients with asthma or chronic pulmonary diseases, such blockade can lead to life threatening broncho constriction.

All *BETA*-adrenergic antagonists modify the metabolisms of carbohydrates and lipids. Catecholamines promote glycogenolysis and mobilize glucose in response to hypoglycemia.

*Ref: (Goodmans and Gilmans PHARMACOLOGICAL BASIS OF THERAPEUTICS page 231)*

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Timolol is a non-cardioselective beta blocker. It is reported to lack intrinsic sympathomimetic and membrane-stabilising activity, Timolol is used as the maleate in the management of glaucoma, hypertension, angina pectoris, and myocardial infarction. It used in the prophylactic treatment of migraine. The hemihydrate is also used.

Eye drops containing timolol maleate or hemihydrate equivalent to 0.25 and 0.5% of timolol are instilled twice daily to reduce raised intra-ocular pressure in open angle glaucoma and ocular hypertension. Once-daily instillation may suffice when the intra-ocular pressure has been controlled. Gel-forming eye drops are also available that are instilled once daily.

*Ref. Martindale 33<sup>rd</sup> edition, p. 982*

### **MICROBIOLOGICAL DATA FOR OPTIMOL EYE DROPS**

**Timolol Maleate** in OPTIMOL is not an anti-microbial agent and it does not inhibit any group of micro-organisms. However, the preservative used for OPTIMOL EYE DROPS, Benzalkonium Chloride, exhibit a mild anti-microbial action.

### **PHARMACODYNAMIC AND PHARMACOKINETIC DATA of OPTIMOL EYE DROPS**

Timolol is almost completely absorbed from the gastro intestinal tract but is subject to moderate first class metabolism. Peak plasma concentrations occur about 1 to 2 hours after the dose. It has low to moderate lipid solubility. Protein binding is reported to be low. A plasma half-life of 4 hours has been reported. It is extensively metabolised in the liver, the metabolites being excreted in the urine together with some unchanged timolol. It crosses the placenta and appears in breast milk.

1. McGourty, *et al.* Pharmacokinetics and beta-blocking effects of timolol in poor and extensive metabolisers of debrisoquin. *Clin Pharmacol Ther* 1985; **38**: 409-13
2. Lewis RV, *et al.* Timolol and atinilol: relationship between oxidation phenotype, pharmacokinetics and pharmacodynamics. *Br J Clin Pharmacol* 1985; **19**: 329-33
3. Lenard MS, *et al.* Timolol metabolism and debrisoquine oxidation polymorphism: population study. *Br J Clin Pharmacol* 1989; **27**: 329-34

## **6-Pharmaceutical Particulars:**

### **6.1 List of excipients**

1. Monobasic Sodium Phosphate USP
2. Dibasic Sodium Phosphate USP
3. Benzalkonium Chloride 50 % Solution NF



4. Purified Water USP

**6.2 Incompatibilities:** None

**6.3 Shelf life:**

a.) As packages for sale: **3 years**

b.) After first opening: **Discard 4 weeks from opening**

**6.4 Special precautions for storage:** Store at temperature 20°C – 25°C.

**6.5 Nature and contents of container :**

5 mL, packed in LDPE clear bottle and plug with HDPE blue cap

7-Marketing Authorization Holder : **Linkabs Pharmaceuticals Ltd.**

8-Marketing Authorization Numbers : **04-3536**

9-Date of first authorization/renewal of the authorization : **10 September 2019**

10-Date of revision of the text : --

**PACKAGING SAMPLES**

Product Name: **OPTIMOL EYE DROPS**

Description: **Package Insert**

**OPTIMOL**  
**Eye Drops**

**FORMULATION:**

Each mL contains: Timolol ..... 5 mg  
(as Timolol Maleate)  
with 0.0002 mL of 50% Benzalkonium Chloride solution as preservative.

**INDICATIONS:** Optimol Eye Drops reduces intraocular pressure and is indicated for the treatment of open-angle glaucoma, secondary glaucoma and ocular hypertension.

**DOSAGE and ADMINISTRATION:** Instill one or two drops in the eye(s) once or twice daily.

**CONTRAINDICATIONS:** Hypersensitivity to any component of the solution. Patients with bronchial asthma.

**PRECAUTIONS:** This preparation should be used with caution in the presence of hypertension, cardiac irregularities or hyperglycemia (diabetes). Do not use in the presence of narrow-angle glaucoma. Precaution should be taken when on oral beta-adrenergic and Optimol simultaneously. No adverse reactions have been reported in the usage during pregnancy but benefits should be weighed against possible adverse effects.

**SIDE EFFECTS:** Optimol Eye Drops is well tolerated but there are reported cases of hypersensitivity reactions, bronchospasm and ocular irritation.

**DRUG INTERACTIONS / INCOMPATIBILITY:** Mydriasis may occur from concomitant use with Epinephrine.

**AVAILABILITY:** Sterile plastic dropper bottle of 5 mL.

**STORAGE CONDITIONS:** Store in a cool dry place. Protect from light. Discard four weeks from opening. Store at temperature 20° C- 25° C.

Full prescribing information is available from manufacturer upon request.



Manufactured by:  
Ashford Laboratories Ltd.  
Macau

PIO05000-11  
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HK-49851 MAC-00012  
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