2.2 Introduction

International Nonproprietary Name (rINN): Latanoprost

Structural formula:

Molecular formula:

Molecular weight:

Chemical names:

Proposed indication:

Reduction of elevated intraocular pressure in patients with open angle glaucoma and ocular hypertension.

Pharmacological properties

- ***Pharmacodynamic properties***

Therapeutic Category: Pharmacotherapeutic group: Antiglaucoma preparations and miotics, prostaglandin analogues ATC code: S 01 E E 01

The active substance latanoprost, a prostaglandin $F_{2\alpha}$ analogue, is a selective prostanoid FP receptor agonist which reduces the intraocular pressure by increasing the outflow of aqueous humour. Reduction of the intraocular pressure in man starts about three to four hours after administration and maximum effect is reached after eight to twelve hours. Pressure reduction is maintained for at least 24 hours.

- ***Pharmacokinetic properties***

Latanoprost is an isopropyl ester prodrug which per se is inactive, but after hydrolysis to the acid of latanoprost becomes biologically active.
The prodrug is well absorbed through the cornea and all drug that enters the aqueous humour is hydrolysed during the passage through the cornea.

Studies in man indicate that the peak concentration in the aqueous humour is reached about two hours after topical administration. After topical application in monkeys, latanoprost is distributed primarily in the anterior segment, the conjunctivae and the eyelids. Only minute quantities of the drug reach the posterior segment.

There is practically no metabolism of the acid of latanoprost in the eye. The main metabolism occurs in the liver. The half life in plasma is 17 minutes in man. The main metabolites, the 1,2-dinor and 1,2,3,4-tetranor metabolites, exert no or only weak biological activity in animal studies and are excreted primarily in the urine.