LOTEPREDNOL (Ophthalmic)

Indications

Accepted

Conjunctivitis, seasonal allergic (treatment)¾Ophthalmic loteprednol 0.2% is indicated for temporary relief of the signs and symptoms of seasonal allergic conjunctivitis [1].

Inflammation, postoperative (treatment)¾Ophthalmic loteprednol 0.5% is indicated for the treatment of postoperative inflammation following ocular surgery [2].

Ocular conditions, inflammatory (treatment)¾Ophthalmic loteprednol 0.5% is indicated for treatment of steroid-responsive inflammatory ocular conditions of the palpebral and bulbar conjunctiva, cornea, and anterior segment of the globe, including allergic conjunctivitis, acne rosacea, superficial punctate keratitis, herpes zoster keratitis, iritis, cyclitis, and selected infective conjunctivitides when the benefits in terms of diminished edema and inflammation outweigh the risk of corticosteroid use [2].

Precautions to Consider

Cross-sensitivity and/or related problems

Patients sensitive to other corticosteroids may be sensitive to loteprednol [1, 2].

Carcinogenicity

Long-term animal studies have not been done [1, 2].

Mutagenicity

Loteprednol was not found to be mutagenic in vitro in the Ames test, the mouse lymphoma tk assay, or in a chromosome aberration test in human lymphocytes, or in vivo in the single dose mouse micronucleus assay [1, 2].

Pregnancy/Reproduction

Fertility¾Studies in male and female rats administered loteprednol etabonate (route of administration not specified) prior to and during mating in doses of up to 50 and 25 mg per kg of body weight (mg/kg) per day, respectively (1500 and 750 times, respectively, the maximum daily dose of the 0.2% ophthalmic suspension or 600 and 300 times, respectively, the maximum daily dose of the 0.5% ophthalmic suspension), found no impairment of fertility [1, 2].

Pregnancy¾Studies in rabbits administered loteprednol etabonate in oral doses of 3 mg/kg per day (85 and 35 times the maximum daily clinical dose of the 0.2% and 0.5% ophthalmic suspension, respectively) during the period of organogenesis found loteprednol to be embryotoxic (delayed ossification) and teratogenic (increased incidence of meningocele, abnormal left common carotid artery, and limb flexures). The no-observed-effect level (NOEL) for these effects was 0.5 mg/kg per day (15 and 6 times

the maximum daily clinical dose of the 0.2% and 0.5% ophthalmic suspension, respectively). Oral administration of loteprednol etabonate to rats during the period of organogenesis also produced teratogenicity (absent innominate artery at doses of ³ 5 mg/kg per day and cleft palate and umbilical hernia at doses of ³ 50 mg/kg per day) and embryotoxicity (increased post-implantation losses at 100 mg/kg per day and decreased fetal body weight and skeletal ossification at doses of ³ 50 mg/kg per day). Treatment of rats with loteprednol etabonate (route of administration not specified) at doses of 0.5 mg/kg per day (15 and 6 times the maximum clinical dose of the 0.2% and 0.5% ophthalmic suspension, respectively) during organogenesis did not result in any reproductive toxicity. Maternal toxicity (significantly reduced body weight gain during treatment) occurred in rats at doses of ³ 5 mg/kg per day (route of administration not specified) during the period of organogenesis. Oral administration of 50 mg/kg per day (a maternally toxic dose) to rats from the start of the fetal period through the end of lactation produced decreased growth and survival and retarded development in the offspring during lactation; the NOEL for these effects was 5 mg/kg per day. No effect on the duration of parturition or gestation was observed in rats with oral doses of up to 50 mg/kg per day during the fetal period. 1, 2

FDA Pregnancy Category C 1, 2.

Breast-feeding

It is not known whether ophthalmic corticosteroids could result in sufficient systemic absorption to produce detectable quantities in human breast milk. Caution should be exercised when ophthalmic corticosteroids are administered to women who breast-feed. 1, 2

Pediatrics

Safety and efficacy have not been established 1, 2.

Geriatrics

No information is available on the relationship of age to the effects of loteprednol in geriatric patients.

Medical considerations/Contraindications

The medical considerations/contraindications included have been selected on the basis of their potential clinical significance (reasons given in parentheses where appropriate)¾ not necessarily inclusive (>> = major clinical significance).
Except under special circumstances, this medication should not be used when the following medical problems exist

>> Fungal diseases, ocular, or

>> Herpes simplex keratitis, epithelial (dendritic keratitis) or

>> Infections of the eye, other, including acute, purulent infections or

>> Mycobacterial infection, ocular or

>> Viral diseases, such as vaccinia, varicella, and other viral diseases of the cornea and conjunctiva

(corticosteroids decrease resistance to bacterial, fungal, and viral infections; application may mask or exacerbate existing infections and encourage the development of new or secondary infections 1, 2 )

Risk-benefit should be considered when the following medical problems exist

Cataract surgery

(use of corticosteroids after cataract surgery may delay healing and increase the incidence of bleb formation 2 )

>> Diseases causing thinning of the cornea or sclera

(use may result in perforation 1, 2 )

Glaucoma

(prolonged use of corticosteroids may result in glaucoma, with damage to the optic nerve and defects in visual acuity and visual fields; corticosteroids should be used with caution in the presence of glaucoma 1, 2 )

Sensitivity to loteprednol or other corticosteroids 1, 2