

Ciclosporin Ointment

REGISTRATION NUMBER Q-0790-145



Ophthalmic Ointment. Ciclosporin A 2%

Immunomodulator,
lacrimostimulant and
anti-inflammatory.

Tube with 5 g

Formulation Active Ingredient

- Each gram of ophthalmic ointment contains:
- Ciclosporin A.....20 mg.
 - Vehicle q.s.....1 g.

Mechanism of Action

Ciclosporin Ointment contains **Ciclosporin A**, a cyclic peptide composed of 11 amino acids, originally derived from a fungus called *Tolypocladium inflatum*. Initially, it demonstrated residual antifungal and antibiotic activity, which was insufficient to consider for pharmaceutical use. However, due to its minimal toxicity, pharmacological screening was carried out to explore possible therapeutic applications. Two key actions were discovered: immunosuppressive activity and cytostatic effects. Since 1972, various animal studies have demonstrated the importance of cyclosporine in preventing transplant rejection and treating autoimmune diseases.

Ciclosporine A acts as an immunomodulator by binding to intracellular receptors called immunophilins, particularly the cytosolic cyclophilin. This binding forms ciclosporin-immunophilin complexes that subsequently attach to and inhibit the activity of calcineurin. This inhibition prevents calcineurin's phosphatase activity and calcium-dependent processes, thereby blocking the transport of the cytoplasmic component of the nuclear factor of activated T cells (NF-AT) into the nucleus. Since NF-AT is necessary for the expression of genes involved in the immune response, its inhibition suppresses T cell activation and cytokine synthesis—especially interleukin-2 (IL-2).

Lacrimostimulant and anti-inflammatory action: It is achieved through its effect on helper T cells identified in the ocular surface tissues and lacrimal glands, which are involved in the inflammatory response through cytokine synthesis. Immune response suppression occurs in the ocular surface tissues because these specific proinflammatory cytokines are essential for activating helper T cells, and they can no longer be synthesized or released as they normally would. In other words, Ciclosporin A reduces the activity of effector T lymphocytes and inflammatory markers involved in the pathogenesis of various ocular conditions.

The cornea acts as a reservoir for ciclosporin, and the drug has very low or negligible systemic bioavailability.

Data Sheet



Taking care of
your best friend's
health



Information Exclusive to
the Veterinarian

Advantages

- ▶ **Ciclosporin Ointment** has emollient properties.
- ▶ Occlusive capacity that reduces evaporation of the aqueous phase.
- ▶ Highly recommended for chronic dry lesions and fissures, promoting rehydration of the area.
- ▶ Prolonged action at the application site.
- ▶ Semi-solid formulation with an appropriate absorption rate.
- ▶ Triple synergistic effect in a single dose.
- ▶ Multidose container.

Indications

Ciclosporin Ointment is indicated for the treatment of Chronic Dry Keratoconjunctivitis, Chronic Superficial Keratitis (Pannus), uveitis, nodular and necrotizing episcleritis.

It is also used to reduce and/or eliminate corneal scarring, eosinophilic keratitis in cats, plasmacytic conjunctivitis, superficial punctate keratitis, ulcerative blepharitis of the nasal canthus, and scleritis.



eosinophilic keratitis cats



Corneal scar in horses



pigmentary Keratitis in pugs

Instructions for use

Thoroughly clean the pet's eyes, removing any exudate with appropriate non-irritating solutions. Care should be taken during use to avoid contamination of the ointment. Wear gloves when applying CICLOSPORIN OINTMENT. Avoid contact with the handler's skin. Wash hands after use.

Squeeze the tube from the bottom and do not bend it.

For best results in treating dry keratoconjunctivitis, ciclosporin should be administered in the early stages of the disease, before irreversible damage and fibrosis of the lacrimal tissue occur. Improvement in the Schirmer tear test is associated with a good prognosis if the therapy is maintained..

Target Species, Dosage, Route of Administration and Frequency

TARGET SPECIES: Canines, Felines, and Horses (not intended for human consumption).



DOSES: Apply a 1-centimeter strip of ointment, which can be placed directly on the cornea of the affected eye(s) every 12 hours, or inside the conjunctival sac, gently massaging to help distribute the product. The duration of treatment is at the discretion of the veterinarian based on the case's progress.

ROUTE OF ADMINISTRATION: Ophthalmic use only.



Side Effects

The use of ciclosporin via the ophthalmic route at low doses and for controlled periods has reduced the risk of systemic side effects. Its tissue distribution achieves higher concentrations in the conjunctiva and lower levels in the aqueous humor and serum in animals treated with 2% ciclosporine A eye drops on a daily regimen.

Very rarely, signs such as eye redness, blepharospasm, conjunctivitis, and ocular irritation have been observed.

Warnings

Do not administer to horses intended for human consumption. Do not use in case of hypersensitivity to any of the formula components. Discontinue immediately if adverse reactions occur. Any remaining content after one month of opening must be discarded. Do not use if ocular fungal and/or viral infection is suspected. Not to be used in pregnant or lactating females. Store in a cool, dry place at no more than 30°C, protected from direct sunlight. Keep out of reach of children, domestic animals, and disabled persons. Any unused veterinary medicine, its residues, and empty containers must be disposed of safely and in accordance with local regulations, avoiding contamination of water sources.

Drug and Other Interactions

It is known that various substances competitively inhibit or induce the enzymes involved in ciclosporin metabolism, particularly cytochrome P450 (CYP 3A4). Azole class drugs (e.g., ketoconazole) are known to increase blood concentrations of ciclosporin in cats and dogs, which is clinically significant. Ketoconazole at doses of 5–10 mg/kg can increase ciclosporin blood levels up to fivefold. During concurrent use of ketoconazole and ciclosporin, and if the dog is treated daily, the veterinarian should practically consider doubling the treatment interval. Macrolides such as erythromycin can increase plasma ciclosporin levels up to twofold. Certain cytochrome P450 inducers, anticonvulsants, and antibiotics (e.g., trimethoprim/sulfadimidine) may reduce plasma ciclosporin concentrations.



Laboratorios Kirón México S.A. de C.V.
Av. Sor Juana Inés de la Cruz No. 582 Col. Benito Juárez CD.
Nezahualcóyotl Estado de México. C.P. 57000 TEL: 55 51 12 77 43

Available by
prescription only. Products for
exclusive use in Veterinary Medicine.
Consult a veterinary doctor.

Literature exclusively for Veterinarians.

