ELOMET® Ointment 0.1%

Brand of mometasone furoate

FOR DERMATOLOGIC USE ONLY

DESCRIPTION:

Each gram of ELOMET Ointment 0.1% contains 1 mg mometasone furoate, hexylene glycol, white wax, propylene glycol stearate, white petrolatum, purified water and phosphoric acid to adjust the pH.

ACTION:

Mometasone furoate, a synthetic corticosteroid, exhibits anti-inflammatory, antipruritic and vasoconstrictive properties.

PHARMACOLOGY:

PRE-CLINICAL DATA:

Pharmacodynamics

The pharmacologic profile of mometasone furoate was determined by standard laboratory methods. Relative to betamethasone valerate, anti-inflammatory activity and anti-psoriatic activity of mometasone furoate was evaluated in mice and guinea pigs, respectively. Hypothalamic-pituitary-adrenal (HPA) axis suppression, thymolysis and skin atrophy were evaluated in mice.

In the croton oil assay in mice, mometasone furoate (ED_{50} = 0.02 µg/ear) was equipotent to betamethasone valerate after single application, and was approximately eight times as potent as betamethasone valerate after five daily applications (ED_{50} = 0.002 µg/ear/day vs 0.014 µg/ear/day). In guinea pigs, mometasone furoate was approximately twice as potent as betamethasone valerate in reducing M. Ovalis-induced epidermal acanthosis after 14 daily applications.

With respect to other pharmacologic activities commonly associated with corticosteroids, mometasone furoate (ED_{50} = 5.3 µg/ear/day) was less potent than betamethasone valerate (ED_{50} = 3.1 µg/ear/day) in suppressing the HPA axis in mice after five daily application. In the thymolysis assay, mometasone furoate (ED_{50} = 26.6 µg/ear/day) was approximately two times as potent as betamethasone valerate (ED_{50} = 51.6 µg/ear/day) when applied topically, and following subcutaneous administration for five days, mometasone furoate (ED_{50} = 11.2 µg/mouse) was approximately six times as potent as betamethasone valerate (ED_{50} = 59.8 µg/mouse). At doses five to 5000 times the effective anti-inflammatory doses, mometasone furoate was three to eight times more potent than betamethasone valerate with respect to skin thinning in mice. Based on the ratio of systemic potency (HPA suppression or thymolysis) to topical anti-inflammatory potency, the therapeutic indices for mometasone furoate were approximately three to ten times greater than those for the comparative, betamethasone valerate. Therefore, mometasone furoate would be expected to have a superior safety margin to that of betamethasone valerate.

Pharmacokinetics

The percutaneous absorption and excretion of ^{3}H-mometasone furoate cream and/or ointment was evaluated in rats, rabbits and dogs with doses ranging from 5.2 to 22 µg/cm^{2}. Additionally, the tissue distribution of absorbed radioactivity was determined in rabbits.

Systemic absorption of ^{3}H-mometasone furoate was minimal in all species studied, ranging from approximately 2% in dogs to 6% in rabbits over a 5 to 7-day period. The cream and ointment formulations were comparable with respect to systemic absorption. Plasma levels were low ranging from < 0.1 to < 1 ng/ml. Less than 1.3% of the applied dose was excreted in urine of all species and from 1.5 to 4.2% was excreted in feces. Characterization of urinary metabolites was not possible due to the low levels of drug in urine. However, it is well known that corticosteroids are metabolized into inactive water-soluble substances such as sulfate esters or glucuronides and are excreted as such. In rabbits, there was no unusual accumulation of radioactivity in any tissue.

HUMAN PHARMACOKINETICS:

A percutaneous absorption study with radio-labeled ^{3}H-mometasone furoate ointment was conducted in adult male volunteers with intact skin. Based on the amounts of radioactivity

excreted after an eight-hour application of the active ointment and analysis of urine and feces, approximately 0.7% of the applied dose was absorbed systematically without occlusion.

ONSET OF ACTION:
Mometasone furoate ointment 0.1% QD also had a rapid onset of action in psoriatic patients as evidenced by percent improvement from baseline in total disease sign/symptom scores after one treatment week (ranging from 38% to 59%). Percent improvement for comparative agents were triamcinolone acetonide (28%), flucinolone acetonide (33%), betamethasone dipropionate (23%), betamethasone valerate (56%) and vehicle alone (43%). In two of these studies mometasone furoate was significantly more effective than triamcinolone acetonide or flucinolone acetonide at Day 4 evaluation ($P \leq 0.01$).

The effects of mometasone furoate ointment 0.1% in the treatment of patients with atopic dermatitis also were rapid in onset as demonstrated by mean percent improvement and mean global evaluation score at Day 4 and Week 1. Mometasone furoate-treated patients showed an improvement in total sign/symptom score that ranged from 27% to 47% at Day 4 and 51% to 64% at Week 1. In comparison, hydrocortisone butyrate and betamethasone valerate demonstrated 17% and 43% improvement, respectively, at Day 4 and 24% and 65%, respectively, at Week 1. Global scores at one-week indicated moderate improvement in patients treated with mometasone furoate or betamethasone valerate and slight improvement in those treated with hydrocortisone butyrate.

INDICATIONS AND USAGE:

ELOMET Ointment 0.1% is indicated for the relief of the inflammatory and pruritic manifestations of corticosteroid responsive dermatoses. The lotion formulation may be applied to scalp lesions.

DOSAGE AND ADMINISTRATION:

A thin film of ELOMET Ointment 0.1% should be applied to the affected skin areas once daily until the lesion heals or for a duration of three weeks, whichever is sooner.

ADVERSE REACTIONS:

Local adverse reactions rarely reported with ELOMET Ointment 0.1% include burning, pruritus, tingling/stinging and signs of skin atrophy.

The following local adverse reactions have been reported infrequently with the use of other topical corticosteroids: irritation, hypertrichosis, hypopigmentation, perioral dermatitis, allergic contact dermatitis, maceration of the skin, secondary infection, striae and miliaria.

Systemic adverse reactions, such as vision blurred, have also been reported with the use of topical corticosteroids.

CONTRAINDICATIONS:

ELOMET Ointment 0.1% is contraindicated in patients who are sensitive to mometasone furoate, to other corticosteroids or to any component of these preparations.

PRECAUTIONS:

If irritation or sensitization develops with the use of ELOMET Ointment, treatment should be discontinued and appropriate therapy instituted.

In the presence of an infection, use of an appropriate antifungal or antibacterial agent should be instituted. If a favorable response does not occur promptly, the corticosteroid should be discontinued until the infection is controlled adequately.

Any of the side effects that have been reported following systemic use of corticosteroids, including adrenal suppression, may also occur with topical corticosteroids, especially in infants and children.

Systemic absorption of topical corticosteroids will be increased if extensive body surface areas are treated or if the occlusive technique is used. Suitable precautions should be taken under these conditions or when long-term use is anticipated, particularly in infants and children. Pediatric patients may demonstrate greater susceptibility to topical corticosteroid-induced hypothalamic-pituitary axis suppression and Cushing’s syndrome than mature patients because of a larger skin surface area to body weight ratio. Use of topical corticosteroids in children

should be limited to the least amount compatible with an effective therapeutic regimen. Chronic corticosteroid therapy may interfere with growth and development of children.

Visual disturbance may be reported with systemic and topical (including, intranasal, inhaled and intraocular) corticosteroid use. If a patient presents with symptoms such as blurred vision or other visual disturbances, the patient should be considered for referral to an ophthalmologist for evaluation of possible causes of visual disturbances which may include cataract, glaucoma or rare diseases such as central serous chorioretinopathy (CSCR) which have been reported after use of systemic and topical corticosteroids.

ELOMET Ointment is not for ophthalmic use.

USAGE DURING PREGNANCY AND IN NURSING WOMEN:

Since safe use of ELOMET Ointment in pregnant women has not been established, topical corticosteroids should be used during pregnancy only if the potential benefit justifies potential risk to the fetus. Drugs of this class should not be used on pregnant patients in large amounts or for prolonged periods of time.

It is not known whether topical administration of corticosteroids could result in sufficient systemic absorption to produce detectable quantities in breast milk. Systemically administered corticosteroids are secreted into breast milk in quantities not likely to have a deleterious effect on the infant. Nevertheless, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the importance of the drug to the mother.

DRUG INTERACTION: No known data.

INCOMPATIBILITIES: No known data.

OVERDOSAGE:

Symptoms: Excessive, prolonged use of topical corticosteroids can suppress pituitary-adrenal function resulting in secondary adrenal insufficiency.

Treatment: Appropriate symptomatic treatment is indicated. Acute hypercorticoid symptoms are virtually reversible. Treat electrolyte imbalance, if necessary. In cases of chronic toxicity, slow withdrawal of corticosteroids is advised.

HOW SUPPLIED:

Tubes of 5 g and 15 g.
Not all presentations may be available locally.

STORAGE: Store below 30°C.

Shelf-life information can be found on the outer carton of the product.
Keep medicines out of reach of children.
Jauhi ubat daripada kanak-kanak.

Further information can be obtained from the doctor or the pharmacist.

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