METASPRAY Nasal Spray (Mometasone furoate monohydrate)

Composition

Each spray delivers:
Mometasone Furoate Monohydrate USP ....... 50 mcg
Mometasone Furoate Monohydrate equivalent to:
Mometasone Furoate USP .......... 0.05% w/v
Benzalkonium Chloride NF ..........0.01% w/v (as preservative)
Phenyl Ethyl Alcohol USP .......... 0.25% v/v (as preservative)

Dosage Form

Intranasal spray

Pharmacology

Pharmacodynamics

Mometasone furoate monohydrate, the active component of METASPRAY, is an anti-inflammatory corticosteroid. Mometasone furoate monohydrate is a topical glucocorticosteroid with local anti-inflammatory properties at doses that are not systemically active.

The precise mechanism of corticosteroid action on allergic rhinitis is not known. Corticosteroids have been shown to have a wide range of effects on multiple cell types (e.g., mast cells, eosinophils, neutrophils, macrophages, and lymphocytes) and mediators (e.g., histamine, eicosanoids, leukotrienes, and cytokines) involved in inflammation.

It is likely that much of the mechanism for the anti-allergic and anti-inflammatory effects of mometasone furoate lies in its ability to inhibit the release of mediators of allergic reactions. Mometasone furoate monohydrate significantly inhibits the release of leukotrienes from leucocytes of allergic patients.

In cell culture, mometasone furoate monohydrate demonstrated high potency in the inhibition of the synthesis and release of IL-1, IL-5, IL-6 and TNF alpha. It is also a potent inhibitor of leukotriene production. In addition, it is an extremely potent inhibitor of the production of the Th2 cytokines, IL-4 and IL-5, from human CD4+ T-cells.

Pharmacokinetics

Absorption

Mometasone furoate monohydrate administered as a nasal spray suspension has very low bioavailability (<1%) in plasma using a sensitive assay with a lower quantitation limit (LOQ) of 0.25 pcg/mL.

Distribution

The in vitro protein binding for mometasone furoate monohydrate was reported to be 98–99% in the concentration range of 5–500 ng/mL.

Metabolism
Studies have shown that any portion of a dose of mometasone furoate monohydrate that is swallowed and absorbed undergoes extensive metabolism to multiple metabolites. There are no major metabolites detectable in plasma. Upon in vitro incubation, one of the minor metabolites formed is 6beta-hydroxy-mometasone furoate. In human liver microsomes, the formation of the metabolite is regulated by cytochrome P450 3A4 (CYP3A4).

**Elimination**
Following intravenous administration, the effective plasma elimination half-life of mometasone furoate monohydrate is 5.8 hours. Any absorbed drug is excreted as metabolites mostly via the bile, and to a limited extent, into the urine.

### Indications

**METASPRAY** is indicated for the treatment of seasonal and perennial allergic rhinitis symptoms in adults and paediatric patients, 2 years of age and older. **METASPRAY** is indicated for the relief of nasal congestion associated with seasonal allergic rhinitis in adults and paediatric patients, 2 years of age and older. **METASPRAY** is indicated for the prophylaxis of seasonal allergic rhinitis symptoms in adult and adolescent patients, 12 years and older. **METASPRAY** is also indicated in the treatment of nasal polyps in those aged 18 and above.

### Dosage And Administration

#### Treatment of Seasonal or Perennial Allergic Rhinitis

**Adults and adolescents (12 years of age and older)**

The usual recommended dose is two sprays in each nostril once daily (total dose of 200 mcg). Once symptoms are controlled, dose reduction to one spray in each nostril (total dose of 100 mcg) may be effective for maintenance. If symptoms are inadequately controlled, the dose may be increased to a maximum dose of four sprays in each nostril once daily (total dose of 400 mcg). Dose reduction is recommended following control of symptoms.

**Children (2–11 years of age)**

The usual recommended dose is one spray in each nostril once daily (total dose of 100 mcg).

#### Treatment of Nasal Congestion Associated with Seasonal Allergic Rhinitis

**Adults and Adolescents (12 Years of Age and Older)**

The recommended dose for treatment of nasal congestion associated with seasonal allergic rhinitis is two sprays (50 mcg of mometasone furoate in each spray) in each nostril once daily (total daily dose of 200 mcg).

**Children (2 to 11 Years of Age)**

The recommended dose for treatment of nasal congestion associated with seasonal allergic rhinitis is one spray (50 mcg of mometasone furoate in each spray) in each nostril once daily (total daily dose of 100 mcg).

#### Prophylaxis of Seasonal Allergic Rhinitis

**Adults and Adolescents (12 Years of Age and Older)**

The recommended dose for prophylaxis treatment of nasal symptoms of seasonal allergic rhinitis is 2 sprays (50 mcg of mometasone furoate in each spray) in each nostril once daily (total daily dose of 200 mcg).

In patients with a known seasonal allergen that precipitates nasal symptoms of seasonal allergic rhinitis, prophylaxis with **METASPRAY** Nasal Spray 50 mcg (200 mcg/day) is recommended 2 to 4 weeks prior to the anticipated start of the pollen season.

**METASPRAY** demonstrated a clinically significant onset of action within 12 hours after the first dose in some patients with seasonal allergic rhinitis; however, the full benefit of the treatment may not be achieved in the first 48 hours. Therefore, the patient should continue regular use to achieve full therapeutic benefit.
Treatment of Nasal Polyps

**Adults (18 years of age and older)**

The usual recommended starting dose for polyposis is two sprays (50 mcg of mometasone furoate in each spray) in each nostril once daily (total daily dose of 200 mcg). If after 5–6 weeks, symptoms are inadequately controlled, the dose may be increased to a dose of two sprays in each nostril twice daily (total daily dose of 400 mcg). The dose should be reduced following control of symptoms. If no improvement in symptoms is seen after 5–6 weeks of twice-daily administration, alternative therapies should be considered.

**Contraindications**

Hypersensitivity to any of the ingredients of this preparation contraindicates its use.

**Warnings And Precautions**

Local nasal effects such as epistaxis were observed more frequently in patients receiving mometasone furoate nasal spray.

Patients who are on drugs that suppress the immune system are more susceptible to infections than healthy individuals. Chickenpox and measles, for example, can take a more serious or even fatal course in non-immune children or adults on corticosteroids. In such children or adults who have not had these diseases, particular care should be taken to avoid exposure.

In clinical studies with mometasone furoate monohydrate nasal spray, the development of localized infections of the nose and pharynx, caused by Candida albicans, has occurred only rarely. When such an infection develops, use of mometasone furoate monohydrate nasal spray, should be discontinued and appropriate local or systemic therapy instituted, if needed.

Nasal corticosteroids should be used with caution, if at all, in patients with active or quiescent tuberculous infection of the respiratory tract, or in untreated fungal, bacterial, systemic viral infections, or ocular herpes simplex.

Rarely, immediate hypersensitivity reactions may occur after the intranasal administration of mometasone furoate monohydrate. Extremely rare instances of wheezing have been reported. Discontinue, if such reactions occur.

Rare instances of nasal septum perforation and increased intraocular pressure have also been reported following the intranasal application of aerosolized corticosteroids. As with any long-term topical treatment of the nasal cavity, patients using mometasone furoate monohydrate nasal spray over several months or longer should be examined periodically for possible changes in the nasal mucosa.

Because of the inhibitory effect of corticosteroids on wound healing, patients who have experienced recent nasal septum ulcers, nasal surgery, or nasal trauma should not use a nasal corticosteroid until healing has occurred.

Close follow-up is warranted in patients who experience a change in vision and who have a history of history of intraocular pressure, glaucoma and/or cataracts.

When intranasal corticosteroids are used at higher than recommended dosages or in susceptible individuals at recommended dosages, systemic corticosteroid effects such as hypercorticism and adrenal suppression may appear. If such changes occur, the dosage should be discontinued slowly.

Routine monitoring in paediatric patients receiving mometasone furoate nasal spray to check for any reduction in growth velocity should be considered.

**Drug Interactions**
A clinical interaction study was conducted with loratadine. No interactions were observed.

Hepatic Impairment

The observed peak plasma concentrations of mometasone furoate appear to increase with severity of hepatic impairment, however, the numbers of detectable levels were few.

Pregnancy

**Pregnancy Category C**

There are no adequate and well-controlled studies in pregnant women. Mometasone furoate monohydrate nasal spray, like other corticosteroids, should be used during pregnancy only if the potential benefits justify the potential risk to the foetus.

Lactation

It is not known if mometasone furoate monohydrate is excreted in human milk. Because other corticosteroids are excreted in human milk, caution should be used when mometasone furoate monohydrate nasal spray is administered to nursing women.

Paediatric Use

Intranasal corticosteroids may cause a reduction in growth velocity when administered to paediatric patients. The potential growth effects of prolonged treatment should be weighed against the clinical benefits obtained and the availability of safe and effective non-corticosteroid treatment alternatives.

Geriatric use

A total of 280 patients above 64 years of age with allergic rhinitis or nasal polyps (age range 64 to 86 years) have been treated with Mometasone Nasal Spray, 50 mcg for up to 3 or 4 months, respectively. The adverse reactions reported in this population were similar in type and incidence to those reported by younger patients.

Undesirable Effects

Undesirable effects, which occurred in less than 5% but greater than or equal to 2% of mometasone furoate monohydrate adult and adolescent patients (aged 12 years and older) treated with 200 mcg/day doses (regardless of relationship to treatment), and more frequently than in the placebo group, included: arthralgia, asthma, bronchitis, chest pain, conjunctivitis, diarrhoea, dyspepsia, earache, flu-like symptoms, myalgia, nausea, nasal irritation, otitis media, wheezing, and upper respiratory tract infection.

Other adverse events from controlled clinical trials in seasonal allergic and perennial allergic rhinitis included headache, viral infection, pharyngitis, epistaxis/ blood tinged mucus, coughing, upper respiratory tract infection, dysmenorrhoea, musculoskeletal pain, sinusitis and vomiting.

In clinical trials conducted in patients with nasal congestion with seasonal allergic rhinitis, adverse events that occurred more frequently in patients treated with mometasone nasal spray included sinus headache and epistaxis.

Rare cases of nasal ulcers, and nasal and oral candidiasis were also reported in patients treated with mometasone furoate monohydrate nasal spray, primarily in patients treated for longer than 4 weeks.

In postmarketing surveillance of this product, cases of nasal burning and irritation, anaphylaxis and angio-oedema, and rare cases of nasal septal perforation have been reported. Disturbances of taste and smell have been reported very rarely.
**Overdosage**

If recommended doses of intranasal corticosteroids are exceeded or if individuals are particularly sensitive or predisposed by virtue of recent systemic steroid therapy, symptoms of hypercorticism may occur, including very rare cases of menstrual irregularities, acneiform lesions, and Cushingoid features. If such changes occur, topical corticosteroids should be discontinued slowly, consistent with accepted procedures for discontinuing oral steroid therapy.

Intranasal administration of 1,600 mcg (4 times the recommended dose of mometasone furoate monohydrate nasal spray) to healthy human volunteers, daily for 29 days, was well tolerated with no increased incidence of adverse events.

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**Packaging Information**

**METASPRAY NASAL SPRAY**
Sales pack contains 100 metered doses

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