



New Zealand Data Sheet

APO-CETIRIZINE

Cetirizine Hydrochloride 10mg

Presentation

APO-CETIRIZINE 10mg tablets are white, oval tablets deep-scored, engraved '10mg' on one side, 'APO' on the other. Each tablet contains 10mg of Cetirizine Hydrochloride and typically weighs 160mg.

Uses

Actions

Cetirizine, a human metabolite of hydroxyzine, is a histamine H₁-receptor antagonistic anti-allergic compound; its principal effects are mediated via selective inhibition of peripheral activity. H₁-receptors. Cetirizine hydrochloride is distinguished from other histamine H₁-receptor antagonists by the presence of a carboxylic acid function. This difference may be partly responsible for the selectivity of cetirizine hydrochloride seen in pharmacologic models and its distinctive pharmacokinetic properties in humans. Cetirizine has no significant sedative or antimuscarinic activity. Cetirizine does not readily penetrate into the CNS. Cetirizine exhibits greater affinity for peripheral H₁-receptors than for central H₁-receptors. Cetirizine exhibits weak anticholinergic effects. There is no evidence that tolerance to the antihistaminic effects of cetirizine hydrochloride occurs or that cetirizine hydrochloride has any abuse potential or dependence liability.

Pharmacokinetics

Cetirizine is rapidly absorbed from the gastro-intestinal tract after oral administration with peak plasma levels after a 10mg dose are approximately 300ng/mL and occur about one hour after dosing. The onset of activity occurs within 20 to 60 minutes and persists for at least 24 hours following a single dose. Bioavailability is unchanged and time to peak plasma concentrations delayed when administered with food.

Cetirizine is approximately 93% bound to plasma proteins. The plasma elimination half-life is approximately 8-9 hours and does not change with multiple dosing. Pharmacokinetics are dose independent and plasma levels are proportional to the dose administered over the clinically studied range of 5 to 20mg.

Cetirizine is less extensively metabolised than other antihistamines and approximately 60% of an administered dose is excreted unchanged in 24 hours. The high bioavailability associated with generally low inter-subject variation in blood level is attributable primarily to first-pass metabolism. Only one metabolite has been identified in humans – the product of oxidative dealkylation of the terminal carboxymethyl group. The antihistaminic activity of this metabolite is negligible.

Indications

APO-CETIRIZINE is indicated for the relief of:

Nasal symptoms associated with seasonal and perennial allergic rhinitis, such as sneezing, rhinorrhea and nasal pruritus, as well as non-nasal symptoms associated with conjunctivitis such as ocular pruritus and tearing.

Symptoms and signs of in various types of pruritus and urticaria including chronic idiopathic urticaria. It significantly reduces the occurrence, severity and duration of hives.

Dosage and Administration

Adults and children 6 years of age and over:

One APO-CETIRIZINE tablet once daily. In Children this can be administered in 2 divided doses of 5mg or a once daily dose of 10mg. If a sufficient response is not obtained the dose may be increased to the maximum daily dose of 20mg.

Children 2 – 6 years of age:

Half an APO-CETIRIZINE (5mg) tablet once daily.

Contraindications

APO-CETIRIZINE is contraindicated in patients who have shown hypersensitivity to the drug or its components.

Warnings and Precautions

Do not exceed the recommended dose.

Driving/Use of Machinery

APO-CETIRIZINE is presumed to be safe or unlikely to produce an effect on the ability to drive or use machinery. However, the individual response should be determined before driving or performing other tasks that require alertness.

Use in Pregnancy and Lactation

CATEGORY B2

The safe use of APO-CETIRIZINE during pregnancy or lactation has not been established and therefore the compound should only be used if the potential benefit outweighs the potential risk to the fetus or the infant. Since APO-CETIRIZINE is excreted in breast milk and because of the increased risk of antihistamines for infants, particularly newborns and premature infants, a decision should be made whether to discontinue nursing or discontinue APO-CETIRIZINE use.

Use in Children

The safety and efficacy of APO-CETIRIZINE in children younger than 2 years of age have not been established. Long term safety and efficacy of APO-CETIRIZINE in children between the ages of 2 and 12 have not been demonstrated. Therefore it is desirable that APO-CETIRIZINE not be administered to children between the ages of 2 and 12 for longer than 14 days, unless recommended by a physician.

Use in patients with Liver Impairment

Patients with severe liver impairment should be administered a lower initial dose because they may have reduced clearance of APO-CETIRIZINE; an initial dose of 5mg once daily or 10mg every other day is recommended.

Adverse Effects

In clinical trials the incidences of adverse effects associated with cetirizine hydrochloride have been evaluated in more than 6000 patients treated with daily doses ranging from 5 to 20mg. The most common adverse effects were somnolence (7.4%), fatigue (3.3%) and Dry mouth (2.7%). The incidence of somnolence associated with cetirizine hydrochloride was dose related and predominantly mild to moderate. Most adverse effects reported during cetirizine hydrochloride treatment were mild to moderate. There was no difference by gender or by body weight with regard to the incidence of adverse reactions. From clinical trials the following adverse effects occurred in less than 1% of those studied: Nausea, Dizziness, Insomnia, weight increase, abdominal pain, anxiety, rash, dry skin, pruritus, urticaria and taste loss.

Interactions

No clinically significant drug interactions have been found with theophylline, pseudoephedrine, cimetidine, erythromycin and ketoconazole. Epidemiologic data suggests that there also would not be interaction with other macrolide antibiotics or imidazole antifungals. In clinical trials, cetirizine hydrochloride has been safely administered with beta-agonists, non-steroidal anti-inflammatory drugs, oral contraceptives, narcotic analgesics, corticosteroids, H₂-antagonists, cephalosporins, penicillins, thyroid hormones and thiazide diuretics. Interaction studies with

cetirizine hydrochloride and alcohol or diazepam indicate that cetirizine hydrochloride does not increase alcohol-induced or diazepam-induced impairment of motor and mental performance.

Laboratory Test Interactions

APO-CETIRIZINE should be discontinued approximately 48 hours prior to skin testing procedures since antihistamine may prevent or diminish otherwise positive reactions to dermal reactivity indicators.

Overdosage

No reports of fatalities or life-threatening conditions have been associated with an overdose of cetirizine. Somnolence, tachycardia, rash, fatigue, urinary retention, pruritus and tremor have been reported with overdoses of 60 to 300mg of Cetirizine. There is no specific antidote to a cetirizine overdose. In the event of overdosage, treatment, which should be started immediately, is symptomatic and supportive. Discontinuation of use, gastric lavage (except in patients with impaired consciousness) and support of vital functions are advised. Cetirizine is not effectively removed by dialysis

Pharmaceutical Precautions

Store below 25°C.
Protect from heat, light and moisture.

Medicine Classification

Pharmacy Only Medicine

Package Quantities

Bottles of 100, 200 and 500 tablets.
Blister packs of 15 and 30 tablets.

Further Information

Tablets contain Lactose and Cornstarch.

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