CETRIZ®

CETIRIZINE DIHYDROCHLORIDE PREPARATIONS

COMPOSITION:

CETRIZ® Tablets: Each tablet contains: Cetirizine Dihydrochloride BP 10mg

CETRIZ® Syrup: Each 5ml contains: Cetirizine Dihydrochloride BP 5mg

CLINICAL PHARMACOLOGY

Cetirizine is an anti-allergic agent that is a human metabolite of hydroxyzine. It exerts its antihistaminic activity through selective inhibition of peripheral H1, receptors. Cetirizine has negligible anticholinergic and antiserotonergic activity. Cetirizine shows negligible penetration into the brain and as such exhibits low potential for drowsiness for normal therapeutic doses. Cetirizine is rapidly absorbed following oral administration, the time to achieve peak plasma concentration with 10mg being approximately 1 hour. A peak to plasma concentration of 311 ng/ml is eventually attained following multiple 10mg daily oral dosage and no accumulation occurs.

Presence of food in the gastrointestinal tract only delays the absorption of Cetirizine following oral administration, but the blood profile remains unaffected. Skin wheal and flare formation caused by intradermal injection of histamine in most subjects is inhibited within 20 minutes to 1 hour and persists for at least 24 hours following oral administration of 5mg to 20mg of Cetirizine.

Prolonged administration of 10mg daily dose for a period of 35 days has failed to demonstrate development of tolerance to the antihistaminic effects of Cetirizine. Allergic reactions caused by histamine and other mediators or histamine releasers when induced by intradermal injection of these agents are inhibited by the administration of Cetirizine. Cetirizine also inhibits the response to a cold challenge in patients with cold-induced urticaria. Cetirizine blocks bronchoconstriction induced by inhalation of nebulized histamine in patients with mild asthma. 93% of Cetirizine is bound to plasma proteins with plasma concentrations of 25 to 1000 ng/ml. The elimination half-life in healthy subjects is 8.3 hours with an apparent total clearance of about 53 ml per minute. In the elderly, the elimination half-life increases and may be related to decreased renal function.

Cetirizine is metabolized in the liver by O-dealkylation to a metabolite with insignificant antihistaminic activity. About 70% of an administered dose is excreted in urine and 10% in faeces. 50% of the drug excreted in urine is in the unchanged form. Slight interaction with theophylline 400mg daily and 20mg Cetirizine daily results in reduction of Cetirizine clearance by about 16%. Half-life of Cetirizine increases 3 fold and its urine clearance decreases by 70% in patients with moderately impaired renal function and having creatinine clearance of between 11 - 31 ml per minute. Similar events are observed in cases of liver malfunction.

INDICATION AND USAGE:

CETRIZ® is indicated for the relief of symptoms associated with both seasonal and perennial allergic rhinitis. It effectively treats the symptoms associated with these two conditions which are sneezing, rhinorrhea, nasal pruritus, ocular pruritus, redness of the eyes; tearing and post nasal discharge.

CETRIZ® is also indicated for the treatment of uncomplicated skin manifestations of chronic idiopathic urticaria as it significantly reduces the occurrence, severity and duration of hives and pruritus.

DOSAGE AND ADMINISTRATION:

CETRIZ® is administered orally as a single daily dose, with or without food and at varying times convenient to the patient as follows;

Adults and children 6 years and older; 5mg or 10mg initially depending on the severity of the symptoms :

Children 2 to 5 years; 2.5mg initially once daily.

The dosage may be increased to 5mg given either as a single daily dose or 2.5mg in two doses per day depending on the severity of the symptoms or on the patient's response.

CONTRA-INDICATIONS:

The administration of **CETRIZ®** is contraindicated in those patients known to be hypersensitive to Cetirizine or hydroxyzine or to any of the ingredients of **CETRIZ®**

PRECAUTIONS:

- Though **CETRIZ®** lacks significant sedative effects, somnolence has been observed in a few patients. Patients on **CETRIZ®** medication should therefore be cautioned to exercise due care when driving or operating machinery.
- Concurrent use of alcohol or other CNS depressants should be avoided as they will further reduce mental alertness and additionally impair CNS performance.
- **CETRIZ®** should be used in pregnancy only if clearly needed as there are no adequate and well controlled studies to verify its safety in pregnancy.
- The use of **CETRIZ®** in nursing mothers is not recommended as Cetirizine is excreted in human breast milk and its safety in children below 2 years is yet to be established.

ADVERSE EFFECTS:

Most adverse reactions with therapy involving **CETRIZ®** are mild or moderate. Although **CETRIZ®** has insignificant sedative effect in most patients, the most common adverse reaction with an incidence of about 6% is somnolence and is dose related in such persons. Other common adverse reactions are fatigue, dry mouth, dizziness and pharyngitis, headache and nausea. In children some other adverse reactions that have been observed include abdominal pain, diarrhoea, vomiting, coughing, bronchospasm and epistaxis.

OVERDOSAGE:

The most significant clinical sign observed in overdosing in adults is somnolence. In children increased irritability and restlessness occurs initially followed by drowsiness. In case of overdosage symptomatic or supportive therapy should be instituted as **CETRIZ®** has no known specific antidote and is neither effectively removed by dialysis.

STORAGE CONDITIONS: Store in a dry place below 30°C. Protect from light. Keep all medicines out of reach of children.

SHELF LIFE: As per the product label.

LEGAL CATEGORY: Pharmacy Medicines (PM)

PRESENTATION: CETRIZ® tablets is available in blister pack of 10 x 10 tablets. **CETRIZ®** syrup is available in 60ml in amber coloured bottles in unit box.

DATE OF LAST REVIEW : May 2017

LICENCE HOLDER: LABORATORY & ALLIED LTD.

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