Levocet-M Leaflet
Size: A6

Levocet-M®
(Levocetirizine Dihydrochloride and Montelukast Sodium Tablet)

Quantitative composition.
Each film coated tablet contains : **Levocetirizine Dihydrochloride 5mg and Montelukast (as sodium) 10mg**
Pharmaceutical form: Tablet.
Excipients: Ethyl cellulose ,Isopropyl alcohol ,Microcrystalline cellulose, Purified talcum Magnesium stearate, Croscarmellose sodium, Hypromellose (6cps),Propylene glycol ,Titanium dioxide and Dichloromethane.
Pharmacology:
Montelukast is Leukotriene receptor antagonists. It selectively antagonizes leukotriene D_4 (LTD_4) at the cysteinyl leukotriene receptor, $CysLT_1$, in the human airway. Montelukast inhibits the actions of LTD_4 at the $CysLT_1$ receptor, preventing airway edema, smooth muscle contraction, and enhanced secretion of thick, viscous mucus. Levocetirizine is the (R) enantiomer of cetirizine, a potent and selective antagonist of peripheral H1-receptors.
In vitro binding studies revealed that levocetirizine has an affinity for the human H1-receptor 2-fold higher than that of cetirizine.
Pharmacokinetics:
The pharmacokinetics of Levocetirizine are linear with dose- and time-independent with low inter-subject variability. Levocetirizine is rapidly and extensively absorbed following oral administration. Peak plasma concentrations are achieved 0.9 h after dosing. The highest tissue levels are found in liver and kidneys, the lowest in the CNS compartment. Levocetirizine is 90% bound to plasma proteins. The distribution of levocetirizine is restrictive, as the volume of distribution is 0.4 l/kg. The extent of metabolism of levocetirizine in humans is less than 14% of the dose and therefore differences resulting from genetic polymorphism or concomitant intake of enzyme inhibitors are expected to be negligible. Metabolic pathways include aromatic oxidation, N- and O- dealkylation and taurine conjugation. Dealkylation pathways are primarily mediated by CYP 3A4 while aromatic oxidation involved multiple and/or unidentified CYP isoforms. The plasma half-life in adults is 7.9 ± 1.9 hours. The mean apparent total body clearance is 0.63 ml/min/kg. The major route of excretion of levocetirizine and metabolites is via urine, accounting for a mean of 85.4% of the dose. Excretion via feces accounts for only 12.9% of the dose. Levocetirizine is excreted both by glomerular filtration and active tubular secretion. Montelukast is rapidly absorbed following oral administration. The mean peak plasma concentration (C_{max}) is achieved three hours (T_{max}) after administration in adults in the fasted state. Montelukast is more than 99% bound to plasma proteins. The steady-state volume of distribution of montelukast averages 8-11 litres. Montelukast is extensively metabolised. In studies with therapeutic doses, plasma concentrations of metabolites of montelukast are undetectable at steady state in adults and children. The plasma clearance of montelukast averages 45 ml/min in healthy adults. Following an oral dose of radiolabeled montelukast, 86% of the radioactivity was recovered in 5-day faecal collections and <0.2% was recovered in urine. Coupled with estimates of montelukast oral bioavailability, this indicates that montelukast and its metabolites are excreted almost exclusively *via* the bile.
Indications: Relief of nasal and ocular symptoms of seasonal perennial allergic rhinitis and chronic idiopathic urticaria.
Administration and Dosage:
To be taken orally.
The dosage for adults 15 years of age and older with asthma, or with asthma and concomitant perennial seasonal allergic rhinitis is one tablet daily to be taken in the evening.
Not recommended for children and the tablet should be swallowed with a sufficient amount of fluid
Contraindications:
Hypersensitivity to Levocetirizine or Montelukast sodium, or to any of the excipients, to hydroxyzine or to any piperazine derivatives.
Patients with severe renal impairment at less than 10 ml/min creatinine clearance.
Patients with rare hereditary problems of galactose intolerance, the Lapp lactase deficiency or glucose- galactose malabsorption
Warning and Precautions:
Do not exceed the stated dose.
At therapeutic doses, no clinically significant interactions have been demonstrated with alcohol (for a blood alcohol level of 0.5 g/L).
Nevertheless, precaution is recommended if alcohol is taken concomitantly.
Caution in epileptic patients and patients at risk of convulsions.
Drug interaction:
Due to the pharmacokinetic, pharmacodynamic and tolerance profile of Levocetirizine, no interactions are expected with this antihistamine.
In drug-interactions studies, the recommended clinical dose of Montelukast did not have clinically important effects on the pharmacokinetics of the following medicinal products: theophylline, prednisone, prednisolone, oral contraceptives (ethinyl estradiol/ norethindrone 35/1), terfenadine, digoxin and warfarin.
Pregnancy and Lactation: Caution should be exercised when prescribing to pregnant or breast feeding women because levocetirizine passes into breast milk.
Effects on ability to drive and use machines: Patients intending to drive, engaging in potentially hazardous activities or operating machinery should not exceed the recommended dose and should take their response to the medicinal product into account. In these sensitive patients, concurrent use with alcohol or other CNS depressants may cause additional reductions in alertness and impairment of performance.
Adverse reactions:
Montelukast and levocetirizine are generally well tolerated. Common side effects which might be seen with the combination, are dyspepsia, abdominal pain, rash,dizziness,headache,fatigue and somnolence .sometimes, hypersensitity ,irritability, restnessness,insomnia,vomiting and diarrhoea.in rare cases, patients may present with system eosinophilia, sometimes presenting with clinical feature of consistent with churg-strauss syndrome.
Overdosage and treatment:
Symptom of overdose include: confusion, diarrhoea, dizziness, fatigue, headache, malaise, mydriasis, pruritus, restlessness, sedation, somnolence, stupor, tachycardia, tremor and urinary retention. Should overdose occur, symptomatic or supportive treatment is recommended. Gastric lavage should be considered following ingestion of a short occurrence. Levocetirizine/Montelukast is not effectively removed by dialysis.
Presentation: Blister packs of 2 x 10`s in a unit box.
Shelf life: 2 years from the date of manufacture.
Storage:
Store in dry place, below 30°C. Protect from direct sunlight.
Keep all medicines out of reach of children.
Distribution category: Prescription only medicine (POM).
Manufactured by:

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