

30 Tablets

Montelukast+ Levocetirizine

HIKAST

10 mg/5 mg Film Coated Tablet
Leukotriene Receptor Antagonist/Antihistamine



Composition:

Each film coated bilayered tablet contains:
Montelukast (as sodium) 10 mg
(equivalent to 10.38 mg Montelukast sodium)
Levocetirizine dihydrochloride 5 mg

Indications:

Relief of symptoms associated with seasonal and persistent allergic rhinitis.

Pharmacokinetic properties

Montelukast Sodium:

Absorption:

Montelukast is rapidly absorbed following oral administration. For the 10 mg film-coated tablet, the mean peak plasma concentration (C_{max}) is achieved three hours (T_{max}) after administration in adults in the fasted state. The mean oral bioavailability is 64%.

The oral bioavailability and C_{max} are not influenced by a standard meal. Safety and efficacy were demonstrated in clinical trials where the 10 mg film-coated tablet was administered without regard to the timing of food ingestion. For the 5 mg chewable tablet, the C_{max} is achieved in two hours after administration in adults in the fasted state. The mean oral bioavailability is 73% and is decreased to 63% by a standard meal.

Distribution:

Montelukast is more than 99% bound to plasma proteins. The steady-state volume of distribution of montelukast averages 8-11 liters.

Studies in rats with radiolabelled montelukast indicate minimal distribution across the blood-brain barrier. In addition, concentrations of radiolabeled material at 24 hours post-dose were minimal in all other tissues.

Biotransformation:

Montelukast is extensively metabolised. In studies with therapeutic doses, plasma concentrations of metabolites of montelukast are undetectable at steady state in adults and children.

In vitro studies using human liver microsomes indicate that cytochromes P450 3A4, 2A6 and 2C9 are involved in the metabolism of montelukast. Based on further *in vitro* results in human liver microsomes, therapeutic plasma concentrations of montelukast do not inhibit cytochromes P450 3A4, 2C9, 1A2, 2A6, 2C19, or 2D6. The contribution of metabolites to the therapeutic effect of montelukast is minimal.

Elimination:

The plasma clearance of montelukast averages 45 ml/min in healthy adults. Following an oral dose of radiolabelled 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 bio-availability, this indicates that montelukast and its metabolites are excreted almost exclusively via the bile.

Characteristics in patients:

No dosage adjustment is necessary for the elderly or mild to moderate hepatic insufficiency.

Studies in patients with renal impairment has not been undertaken. Because montelukast and its metabolites are eliminated by the biliary route, no dose adjustment is anticipated to be necessary in patients with renal impairment. There are no data on the pharmacokinetics of montelukast in patients with severe hepatic insufficiency (Child-Pugh score > 9).

With high doses of montelukast (20- and 60-fold the recommended adult dose), a decrease in plasma theophylline concentration was observed. This effect was not seen at the recommended dose of 10 mg once daily.

Levocetirizine Dihydrochloride:

The pharmacokinetics of levocetirizine are linear with dose and time-independent with low inter-subject variability. The pharmacokinetic profile is the same when given as the single enantiomer or when given as cetirizine. No chiral inversion occurs during the process of absorption and elimination.

Absorption:

Levocetirizine is rapidly and extensively absorbed following oral administration. Peak plasma concentrations are achieved 0.9 h after dosing. Steady state is achieved after two days. Peak concentrations are typically 270 ng/ml and 308 ng/ml following a single and a repeated 5 mg o.d dose, respectively. The extent of absorption is dose-independent and is not altered by food, but the peak concentration is reduced and delayed.

Distribution:

No tissue distribution data are available in humans, neither concerning the passage of levocetirizine through the blood-brain-barrier. In rats and dogs, 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.

Biotransformation:

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. Levocetirizine had no effect on the activities of CYP isoenzymes 1A2, 2C9, 2C19, 2D6, 2E1 and 3A4 at concentrations well above peak concentrations achieved following a 5 mg oral dose. Due to its low metabolism and absence of metabolic inhibition potential, the interaction of levocetirizine with other substances, or vice-versa, is unlikely.

Elimination:

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.

Renal impairment:

The apparent body clearance of levocetirizine is correlated to the creatinine clearance. It is therefore recommended to adjust the dosing intervals of levocetirizine, in patients with moderate and severe renal impairment. In anuric end stage renal disease subjects, the total body clearance is decreased by approximately 80% when compared to normal subjects. The amount of levocetirizine removed during a standard 4-hour hemodialysis procedure was < 10%.
· 5 mg on alternate days if eGFR 30-50 ml/min/1.73 m²;
· 5 mg every 3 days if eGFR 10-30 ml/min/1.73 m²;
avoid if eGFR less than 10 ml/min/1.73 m².

Dosing and Mode of Administration

- * **Adults and children over 15 years:** 1 tablet (10 mg of Montelukast and 5 mg of Levocetirizine) once daily in the evening.
- * **Children 6 to 14 years:** 1 chewable tablet (5 mg of Montelukast and 5 mg of Levocetirizine) once daily in the evening.
- * **Children 2 to 6 years:** 1 Fast - melt tablet (4 mg of Montelukast and 2.5 mg of Levocetirizine) once daily in the evening.
- * **Infants up to 6 months:** Use and dose must be determined by a doctor.

Contraindications

- Severe renal (CrCl < 10 ml/min) or hepatic impairment.
- Children 6-11 years old with renal impairment.
- Pregnancy and lactation.

Special warnings and precautions for use

- May impair ability to drive or operate machinery.
- Children less than 2 years old.

Pregnancy and lactation

It is not recommended during pregnancy due to potential fetal risks and should be avoided during breastfeeding.

Overdose

Montelukast sodium:

In chronic asthma studies, montelukast has been administered at doses up to 200 mg/day to adult patients for 22 weeks and in short term studies, up to 900 mg/day to patients for approximately one week without clinically important adverse experiences. There have been reports of acute overdose in post-marketing experience and clinical studies with montelukast. These include reports in adults and children with a dose as high as 1,000 mg (approximately 61 mg/kg in a 42 month old child). The clinical and laboratory findings observed were consistent with the safety profile in adults and paediatric patients. There were no adverse experiences in the majority of overdose reports.

Symptoms of overdose

The most frequently occurring adverse experiences were consistent with the safety profile of montelukast and included abdominal pain, somnolence, thirst, headache, vomiting, and psychomotor hyperactivity.

Management of overdose

No specific information is available on the treatment of overdose with montelukast. It is not known whether montelukast is dialysable by peritoneal- or haemodialysis.

Levocetirizine Dihydrochloride:

Symptoms

Symptoms of overdose may include drowsiness in adults. In children, agitation and restlessness may initially occur, followed by drowsiness.

Management of overdoses

There is no known specific antidote to levocetirizine. Should overdose occur, symptomatic or supportive treatment is recommended. Gastric lavage may be considered shortly after ingestion of the drug. Levocetirizine is not effectively removed by haemodialysis.

Storage:

Store below 30°C.
Protect from light and moisture.
Keep out of reach of children.

Packing information

Blister pack of 10 tablets.

Caution:

Food, Drugs, Devices and Cosmetics Act prohibits dispensing without prescription.

ADR Statement:

For suspected adverse drug reaction, report to the FDA: www.fda.gov.ph and BIOCare: pv@biocarelifesciences.com
Seek medical attention at the first sign of any adverse drug reaction.

FDA Reg. No.: DRP-16871

Date of First Authorization/Renewal of the

Authorization: 31.07.2025

Date of Revision of Package Insert: February 2, 2026

Imported and distributed by:



Biocare Lifesciences, Inc.

4th Floor, 393 Goodwill Bldg.,
Senator Gil Puyat Ave.
Brgy, Bel-Air, Makati City,
Metro Manila.

Manufactured by

MSN Laboratories Private Limited,
(Formulations Division), Plot No. 42,
Anrich Industrial Estate, Bollaram,
Sangareddy District - 502325,
Telangana, India.

B33959-00