

# Monticare

4mg, 5mg  
10mg, Tablets  
( Montelukast )

گولیاں  
مونٹی کیٹر  
(مونٹیلوکاسٹ)

## DRUG DESCRIPTION

Montelukast sodium, the active ingredient in MONTICAR, is a selective and orally active leukotriene receptor antagonist that inhibits the eystemyl leukotriene Cys LT, type 1 receptor. The empirical formula is C<sub>17</sub>H<sub>15</sub>ClNNAO<sub>3</sub>S.

## INDICATIONS

MONTICARE is indicated for the prophylaxis and treatment of asthma in adults and pediatric patients.

MONTICARE is indicated for prevention of exercise-induced broncho-constriction in patients 15 years of age and older.

MONTICARE is indicated for the relief of symptoms of allergic rhinitis (seasonal allergic rhinitis in adult and pediatric patients)

## DOSAGE AND ADMINISTRATION

As advised by the doctor Generally recommended dosage

For adults and adolescents 15 years of age and older is one 10-mg tablet

For pediatric patients 6 to 14 years of age is one 5-mg tablets.

For pediatric patients 2 to 5 years of age is one 4-mg tablets.

MONTICARE should be taken once daily once daily in the evening.

Exercise-Induced Bronchoconstriction (EIB) in Patients 15 years of age and Older

For adults and adolescents 15 years of age and older is one 10-mg tablets

For pediatric patients 6 to 14 years of age is one 5-mg tablet.

For pediatric patients 2 to 5 years of age is one 4-mg tablet.

MONTICARE should be taken once daily in the evening.

Exercise-Induced Bronchoconstriction (EIB) in patients 15 years of Age and Older.

For prevention of EIB, a single dose of MONTICARE should be taken, at least 2 hours before exercise. An additional dose of MONTICARE should not be taken within 24 hours of a previous dose. Patients already taking one tablet daily for another indication (including chronic asthma) should not take an additional dose to prevent EIB.

Asthma and Allergic Rhinitis in patients 12 years of Age and Older.

Patients with both asthma and allergic rhinitis should take only one tablet daily in the evening

## Mechanism of Action

Montelukast is an orally active compound that binds with high affinity and selectivity to the CysLT1 receptor (in preference to other pharmacologically important airway receptors, such as the prostanoid, cholinergic, or beta-adrenergic receptor)

Montelukast inhibits physiologic actions of LTD<sub>4</sub> at the CysLT receptor without any agonist activity

## Pharmacokinetics

### Absorption

Montelukast is rapidly absorbed following oral administration After administration of the 10-mg tablet to fasted adults, the mean peak montelukast plasma concentration (C<sub>max</sub>) is achieved in 3 to 4 hours (T<sub>max</sub>). The mean oral bioavailability is 64%. The oral bioavailability and C<sub>max</sub> are not influenced by a standard meal in the morning.

For the 5-mg tablet, the mean C<sub>max</sub> is achieved in 2 to 2.5 hours after administration to adults in the fasted state. The mean oral bioavailability is 73% in the fasted state versus 63% when administered with a standard meal in the morning. For the 4 mg tablet the mean C<sub>max</sub> is achieved 2 hours after administration in pediatric patients 2 to 5 years of age in the fasted state mg tablet, the mean C<sub>max</sub> is achieved 2-hour after administration in pediatric patients 2 to 5 years of age in the fasted state

### Distribution

Montelukast is more than 99% bound to plasma proteins. The steady state volume of distribution of montelukast averages between 8 to 11 liters. Studies in rats with radio labelled montelukast indicate minimal distribution across the blood-brain barrier. In addition, concentrations of radio labelled material at 24 hours post dose were minimal in all other tissues.

### Metabolism

Montelukast is extensively metabolized. The plasma concentrations of metabolites of montelukast are undetectable at steady state in adults and pediatric patients

### Elimination

The plasma clearance of montelukast averages 45 mL/min in healthy adults. Montelukast and its metabolites are excreted almost exclusively via the bile. In several studies, the mean plasma half-life of montelukast ranged from 2.7 to 5.5 hours in healthy young adults.

### Special Populations

**Gender:** The pharmacokinetics of montelukast are similar in males and females.

**Elderly:** The pharmacokinetic profile and the oral bioavailability of a single 10-mg oral dose of montelukast are similar in elderly and younger adults. The plasma half-life of montelukast is slightly longer in the elderly. No dosage adjustment in the elderly is required.

**Hepatic Insufficiency:** Patients with mild-to-moderate hepatic insufficiency and clinical evidence of cirrhosis had evidence of decreased metabolism of montelukast resulting in 41% (90%CI=7% 85%) higher mean montelukast area under the plasma concentration curve (AUC) following a single 10-mg dose. The elimination of montelukast was slightly prolonged compared with that in healthy subjects (mean half-life, 7.4 hours). No dosage adjustment is required in patients with mild-to-moderate hepatic insufficiency.

**Renal Insufficiency:** Since montelukast and its metabolites are not excreted in the urine, the pharmacokinetics of montelukast were not evaluated in patients with renal insufficiency. No dosage adjustment is recommended in these patients.

### PRECAUTIONS

#### General

MONTICARE is not indicated for use in the reversal of bronchospasm in acute asthma attacks, including status asthmaticus. Patients should be advised to have appropriate rescue medication available. Therapy with MONTICARE can be continued during acute exacerbations of asthma. Patients who have exacerbations of asthma after exercise should have available for rescue a short-acting inhaled B-agonist. While the dose of inhaled corticosteroid may be reduced gradually under medical supervision, MONTICARE should not be abruptly substituted for inhaled or oral corticosteroids. Patients with known aspirin sensitivity should continue avoidance of aspirin or non-steroidal anti-inflammatory agents while taking MONTICARE. Although MONTICARE is effective in improving airway function in asthmatics with documented aspirin sensitivity, it has not been shown to truncate bronchoconstrictor response to aspirin and other non-steroidal anti-inflammatory drugs in aspirin-sensitive asthmatic patients. **Pregnancy Category B**

MONTICARE should be used during pregnancy only if clearly needed.

#### Nursing Mothers

Because many drugs are excreted in human milk, caution should be exercised when MONTICARE is given to a nursing mother.

#### Pediatric Use

Safety and efficacy profiles in this age group are similar to those seen in adults.

#### Geriatric Use

No overall differences in safety, effectiveness or response were observed between older and younger patients, but greater sensitivity of some older individuals cannot be ruled out.

### OVERDOSE

No mortality occurred following single oral doses of montelukast up to 5000mg/kg in mice (estimated exposure was approximately 335 and 210 times the AUC for adults and children, respectively, at the maximum recommended daily oral dose) and rats (estimated exposure was approximately 230 and 145 times the AUC for adults and children, respectively, at the maximum recommended daily oral dose).

### CONTRAINDICATIONS

Established or possible hypersensitivity to any component of this medicine.

#### PRECAUTION:

- Use as prescribed by the physician.
- Keep out of the reach of children.
- Store at room temperature.
- Protect from direct sunlight, heat & moisture

#### PRESENTATION:

Monticare is available as:

Monticare 4 mg=2x7's Tab/pack.

Monticare 5 mg=2x7's Tab/pack

Monticare 10 mg = 2x7's Tab/pack.

ہدایات:

دواڈاکٹرکی ہدایت کے مطابق استعمال کریں۔

بچوں کی پہنچ سے دور رکھیں۔

کمرے کے درجہ حرارت پر رکھیں۔

سورج کی روشنی، گرمی اور نمی سے محفوظ رکھیں۔



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