

Mecost®

[Montelukast Sodium]

10mg Tablets , 4mg Sachets (Paediatric Powder)

DESCRIPTION:

MECOST (Montelukast Sodium) is a leukotriene receptor antagonist (LTRA) used for the maintenance and treatment of asthma and to relieve symptoms of seasonal allergies rhinitis.

QUALITATIVE AND QUANTITATIVE COMPOSITION:

MECOST (Montelukast Sodium) is available for oral administration as:

1.MECOST 10mg Tablet

Each film coated tablet contains:
Montelukast Sodium equivalent to Montelukast.....10mg
(Product Specs.: USP)

2.MECOST 4mg Sachet (Paediatric Powder)

Each sachet contains:
Montelukast Sodium equivalent to Montelukast.....4mg
(Product Specs.: USP)

CLINICAL PHARMACOLOGY:

Mechanism of Action:

The cysteinyl leukotrienes (LTC₄, LTD₄, LTE₄) are products of arachidonic acid metabolism and are released from various cells, including mast cells and eosinophils. These eicosanoids bind to cysteinyl leukotriene (CysLT) receptors. The CysLT type-1 (CysLT₁) receptor is found in the human airway (including airway smooth muscle cells and airway macrophages) and on other pro-inflammatory cells (including eosinophils and certain myeloid stem cells). CysLTs have been correlated with the pathophysiology of asthma and allergic rhinitis. Montelukast is an orally active compound that binds with high affinity and selectivity to the CysLT₁ receptor. Montelukast inhibits physiologic actions of LTD₄ at the CysLT₁ receptor without any agonist activity.

Pharmacokinetics:

Absorption:

Montelukast is rapidly absorbed following oral administration. After administration of the 10mg tablet to fasted adults, the mean peak montelukast plasma concentration (C_{max}) is achieved in 3 to 4 hours (T_{max}). The mean oral bioavailability is 64%. The oral bioavailability and C_{max} are not influenced by a standard meal in the morning. After administration of the 4mg oral powder formulation in the fasted state. A high fat meal in the morning did not affect the AUC of montelukast oral powder; however, the meal decreased C_{max} by 35% and prolonged T_{max} from 2.3 ± 1.0 hours to 6.4 ± 2.9 hours.

Distribution:

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

Metabolism:

Montelukast Sodium is extensively metabolized in the liver by cytochrome P450 isoenzymes CYP3A4, CYP2A6 and CYP2C9.

Excretion:

Montelukast and its metabolites are excreted almost exclusively via the bile. The mean plasma half-life of montelukast ranges from 2.7 to 5.5 hours in young adults.

Special Populations:

Hepatic & Renal Insufficiency:

No dosage adjustment is necessary for the elderly, or for patients with renal insufficiency, or mild to moderate hepatic impairment. There are no data on patients with severe hepatic impairment.

Paediatric Patients:

In children 6 to 11 months of age, the systemic exposure to montelukast and the variability of plasma montelukast concentrations are higher than those observed in adults. The systemic exposure in children 12 to 23 months of age is less variable, but is still higher than that observed in adults.

THERAPEUTIC INDICATIONS:

- For the prophylaxis and chronic treatment of asthma in adults and paediatric patients 12 months of age and older.
- For the relief of symptoms of seasonal allergic rhinitis in patients 2 years of age and older and perennial allergic rhinitis in patients 6 months of age and older.
- For prevention of exercise-induced bronchoconstriction (EIB) in patients 15 years of age and older.

DOSAGE AND ADMINISTRATION:

The following doses are recommended:

Indications	Age	Dosage (mg)
MECOST 10mg Tablet		
Asthma	15 years and older	10mg Tablet OD
Allergic Rhinitis		
Perennial Allergic Rhinitis		10mg Tablet should be taken at least 2 hours before exercise*
For prevention of Exercise-Induced Bronchoconstriction (EIB)		
MECOST 4mg Sachet		
Asthma	12 to 23 months of age	4mg Sachet OD
	2 to 5 years	
Allergic Rhinitis	2 to 5 years	
	6 to 23 months of age	
Perennial Allergic Rhinitis	2 to 5 years	

* Patients with both **asthma** and **allergic rhinitis** should take only one **MECOST** dose daily in the evening without

regard of time of food ingestion.

*An additional dose of **MECOST** should not be taken within 24 hours of a previous dose. Patients already taking **MECOST** daily for another indication (including chronic asthma) should not take an additional dose to prevent EIB. All patients should have available for rescue a short acting β -agonist.

Instructions for Administration of Paediatric Powder:

- **MECOST** 4mg Sachet can be administered either directly in the mouth, dissolved in 1 teaspoonful (5mL) of cold or room temperature baby formula or breast milk, or mixed with a spoonful of cold or room temperature soft foods, only applesauce, carrots, rice, or ice cream should be used.
- The packet should not be opened until ready to use.
- After opening the packet, the full dose (with or without mixing with baby formula, breast milk, or food) must be administered within 15 minutes. If mixed with baby formula, breast milk, or food, **MECOST** Sachet must not be stored for future use. Discard any unused portion.
- **MECOST** Sachet is not intended to be dissolved in any liquid other than baby formula or breast milk for administration. However, liquids may be taken subsequent to administration.
- **MECOST** Sachet can be administered without regard to the time of meals.

CONTRAINDICATIONS:

- Montelukast Sodium is contraindicated in a patient who has shown hypersensitivity to the drug or any of its components.
- Montelukast Sodium is not indicated for use in acute asthma attacks including status asthmaticus.

PRECAUTIONS:

Concomitant Corticosteroid Use: Dose of inhaled corticosteroid may be reduced gradually under medical supervision, montelukast should not be abruptly substituted for inhaled or oral corticosteroids.

Aspirin Sensitivity: Patients with known aspirin sensitivity should continue avoidance of aspirin or non-steroidal antiinflammatory agents while taking montelukast.

Neuropsychiatric Events: Neuropsychiatric events have been reported in adult, adolescent, and paediatric patients taking **MECOST**. Patients should be instructed to notify their prescriber if these changes occur.

Prescribers should carefully evaluate the risks and benefits of continuing treatment with **MECOST** if such events occur.

Eosinophilic Conditions: Patients with asthma on therapy with **MECOST** may present with systemic eosinophilia, sometimes presenting with clinical features of vasculitis consistent with Churg-Strauss syndrome, a condition which is often treated with systemic corticosteroid therapy. These events usually, but not always, have been associated with the reduction of oral corticosteroid therapy. Physicians should be alert to eosinophilia, vasculitic rash, worsening pulmonary symptoms, cardiac complications, and/or neuropathy presenting in their patients.

Pregnancy:

MECOST should be used during pregnancy only if the potential benefit justifies the potential risk to the fetus.

Lactation:

Use with caution in nursing mother.

DRUG INTERACTIONS:

Montelukast is metabolised by CYP3A4, caution should be exercised, particularly in children, when montelukast is co-administered with inducers of CYP3A4, such as **phenytoin**, **phenobarbital** and **rifampicin**.

ADVERSE REACTIONS:

Following are the adverse effects reported which are mild and did not require discontinuation of therapy.

Increased bleeding tendency, hypersensitivity reactions, dream abnormalities including nightmares, hallucinations, insomnia, anxiety, depression, drowsiness, seizure, diarrhoea, dry mouth, dyspepsia, nausea, vomiting, elevated liver enzymes.

OVERDOSAGE:

In the event of overdose, it is reasonable to employ the usual supportive measures; e.g., remove unabsorbed material from the gastrointestinal tract, employ clinical monitoring, and institute supportive therapy, if required.

INSTRUCTIONS:

- Store below 30°C.
- Protect from light & moisture.

- Keep out of reach of children.

To be sold on prescription of a registered medical practitioner only.

HOW SUPPLIED:

MECOST (Montelukast Sodium) 10mg Tablets are available in pack of 14's.

MECOST (Montelukast Sodium) 4mg Sachets are available in pack of 14's.

خود را کہ: ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔

ہدایات: دوا کو ۳۰ ڈگری سینٹی گریڈ سے کم درجہ حرارت پر رکھیں۔

روشنی اور نمی سے بچائیں۔ بچوں کی تکلف سے دور رکھیں۔

انتہاء: صرف رجسٹرڈ ڈاکٹر کے نسخے کے مطابق فروخت کریں۔



Manufactured by:
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