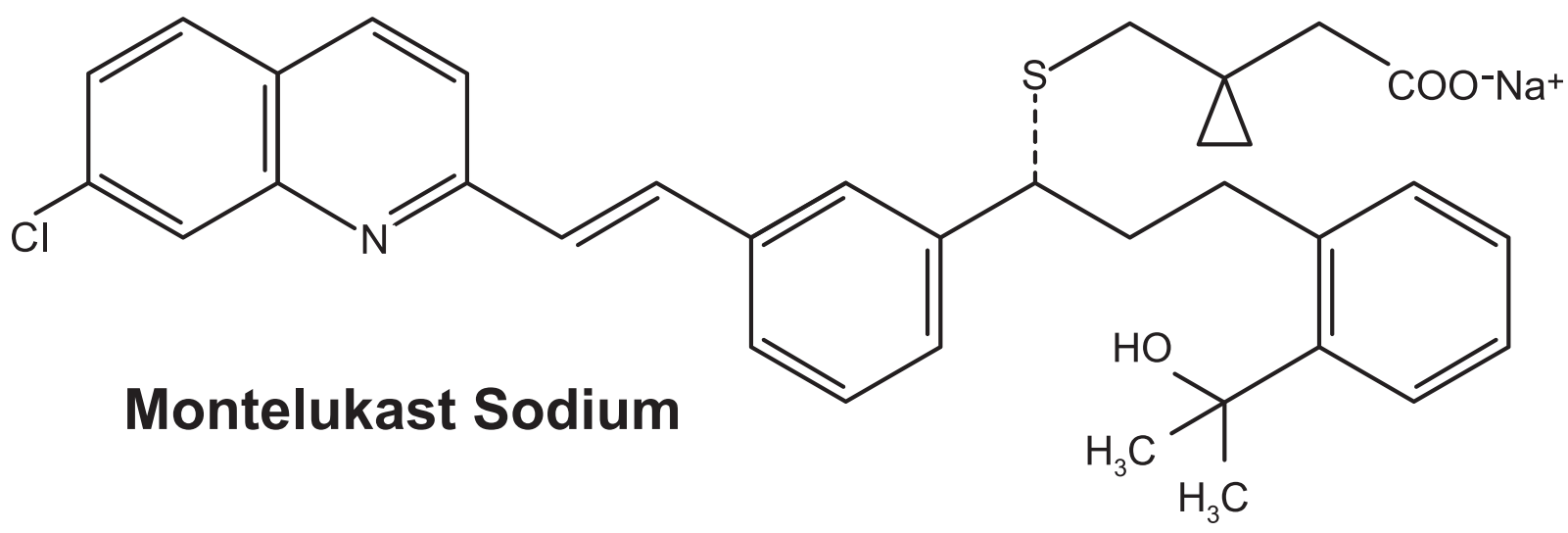
RespiCare®
(Montelukast Sodium)
Chewable Tablets U.S.P.

ریسپی کیئر
۴ ملی گرام
۵ ملی گرام
(مونٹی لوکاسٹ سوڈیم) ٹیبلٹس یو۔ایس۔پی۔
چبانے والی گولیاں

DESCRIPTION

RespiCare® (Montelukast Sodium) is a selective and orally active leukotriene receptor antagonist that inhibits the cysteinyl leukotriene CysLT1 receptor, stimulation of which by circulating leukotrienes is thought to play a role in the pathogenesis of asthma. It suppresses both early and late bronchoconstrictor responses to inhaled antigens or irritants, but is not suitable for the management of acute attack of asthma. Montelukast Sodium is described chemically as [R-(E)-1-[[[1-[3[2- (7-chloro-2-quinolinyl) ethenyl] phenyl] -3-[2(1-hydroxy-1methyelhyl) phenyl] propyl]thio]methyl] cyclopropaneacetic acid, monosodium salt. The molecular formula is C35H35ClNNaO3S and the structural formula is:



Montelukast Sodium

QUALITATIVE & QUANTITATIVE COMPOSITION

RespiCare® (Montelukast Sodium) is available for oral administration as:

RespiCare® 4mg Chewable Tablets U.S.P.
Each chewable tablet contains:
Montelukast Sodium U.S.P. eq. to Montelukast 4mg

RespiCare® 5mg Chewable Tablets U.S.P.
Each chewable tablet contains:
Montelukast Sodium U.S.P. eq. to Montelukast 5mg

RespiCare® 10mg Tablets U.S.P.
Each film-coated tablet contains:
Montelukast Sodium U.S.P. eq. to Montelukast 10mg

RespiCare® 4mg Sachet U.S.P.
Each sachet contains:
Montelukast Sodium U.S.P. eq. to Montelukast 4mg

CLINICAL PHARMACOLOGY

Mechanism of Action: RespiCare® (Montelukast Sodium) is a competitive, selective and orally active leukotriene D4 (cysteinyl leukotriene CysLT1) receptor antagonist. The cysteinyl leukotrienes (LTC4, LTD4, LTE4) are products of arachidonic acid metabolism and the released from various cells, including mast cells and eosinophilis. These eicosanoids bind to cysteinyl leukotriene (CysLT) Receptor. Binding of cysteinyl leukotriens to leukotriene receptor has been correlated with the pathophysiology of asthma, including airway edema, smooth muscle contraction, and altered cellular activity associated with the inflammatory process, factors that contribute to the signs and symptoms of asthma. Thus, montelukast sodium inhibits physiologic actions of LTD4 at the CysLT1 receptors, without any agonist activity.

Pharmacokinetics: Absorption: Montelukast sodium is rapidly absorbed following oral administration. Peak plasma concentrations of Montelukast sodium are achieved in 2 to 4 hours after oral administration. The mean oral bioavailability is 64%.

Distribution: Montelukast sodium is more than 99% bound to plasma proteins. The mean plasma half-life of Montelukast sodium ranged from 2.7 to 5.5 hours in healthy young adults. The pharmacokinetics of Montelukast sodium is nearly linear for oral doses up to 50mg.

Metabolism: Montelukast sodium is extensively metabolized in the liver by cytochrome P450 isoenzymes. CYP3A4, CYP2A6 and CYP2C9. Therapeutic plasma concentrations of Montelukast sodium do not inhibits cytochromes P450 3A4, 2C9, 1A2, 2A6, 2C19, or 2D6.

Elimination: The plasma clearance of Montelukast sodium averages 45ml/min in healthy adults. Montelukast sodium and its metabolites are excreted principally in the feces via the bile.

Special populations: Elderly, pediatric, males, females and patients with renal insufficiency have similar plasma pharmacokinetic profiles as young adults.

Hepatic insufficiency: Patients with mild-to-moderate hepatic insufficiency and clinical evidence of cirrhosis had evidence of decreased metabolism and prolonged elimination half-life of Montelukast sodium resulting in 41% higher mean area under the plasma concentration curve (AUC) following a single 10mg dose. No dosage adjustment is required in patients with mild-to-moderate hepatic insufficiency.

THERAPEUTIC INDICATIONS

RespiCare® (Montelukast sodium) is indicated for the prophylaxis and chronic treatment of asthma including:

- The prevention of day and night time symptoms.
- The treatment of aspirin-sensitive asthmatic patients.
- The prevention of exercised-induced bronchoconstriction.

RespiCare® (Montelukast sodium) is also indicated for the relief of symptoms of seasonal allergic rhinitis in adults and pediatric patients 2 years of age and older.

DOSAGE AND ADMINISTRATION (Tablets)

The therapeutic effect of montelukast sodium on parameters of asthma control occurs within one day. RespiCare® (Montelukast sodium) tablets and chewable tablets can be taken with or without food. Patients should be advised to continue taking the drug while their asthma is controlled as well as during periods of worsened asthma. RespiCare® (Montelukast sodium) should be taken once daily. For asthma, the dose should be taken in the evening. For seasonal allergic rhinitis, the time of administration may be individualized to suit patient needs. Patients with both asthma and seasonal allergic should take only one tablet daily in the evening. Adults & Adolescents 15 Years of Age and Older with Asthma or Seasonal Allergic Rhinitis: The dosage for adults and adolescents 15 years of age and older is one 10mg tablets daily. Pediatric Patients 6 to 14 years of age with asthma or seasonal allergic Rhinitis: The dosage for pediatric patients 6 to 14 years of age is one 5mg chewable tablet daily. Pediatric patients 2 to 5 years of age with asthma or seasonal allergic Rhinitis: The dosage for pediatric patients 2 to 5 years of age is one 4mg chewable tablet daily. Use of RespiCare® (Montelukast sodium) in relation to other treatment for asthma RespiCare® can be added to a patient's existing treatment regi-

men.

DOSAGE AND ADMINISTRATION (Sachet)

Posology: This medicinal product is to be given to a child under adult supervision. The dosage for paediatric patients 6 months to 5 years of age is one sachet of 4 mg granules daily to be taken in the evening. No dosage adjustment within this age group is necessary. Efficacy data from clinical trials in paediatric patients 6 months to 2 years of age with persistent asthma are limited. Patients should be evaluated after 2 to 4 weeks for response to montelukast treatment. Treatment should be discontinued if a lack of response is observed. Montelukast Granules formulation is not recommended below 6 months of age.

Method of administration: Montelukast can be administered either directly in the mouth, or mixed with a spoonful of cold or room temperature soft food (e.g. applesauce, ice cream, carrots and rice). The sachet should not be opened until ready to use. After opening the sachet, the full dose of granules must be administered immediately (within 15 minutes). If mixed with food, granules must not be stored for future use. Montelukast are not intended to be dissolved in liquid for administration. However, liquids may be taken subsequent to administration. Montelukast can be administered without regard to the timing of food ingestion.

General recommendations: The therapeutic effect of Montelukast on parameters of asthma control occurs within one day. Patients should be advised to continue taking Montelukast even if their asthma is under control, as well as during periods of worsening asthma. No dosage adjustment is necessary for patients with renal insufficiency, or mild to moderate hepatic impairment. There are no data on patients with severe hepatic impairment. The dosage is the same for both male and female patients.

ADVERSE EFFECTS

• Montelukast sodium is generally well tolerated. However, following are the adverse effects reported which usually were mild and did not required discontinuation of therapy.

• Hypersensitivity reactions (including anaphylaxis, angioedema, Rash, pruritus, urticaria and very rarely, hepatic eosinophilic infiltration):

• Dream abnormalities, hallucinations, palpitations, drowsiness, irritability, restlessness, insomnia, increased sweating, headache;

• Nausea, vomiting, dyspepsia, diarrhea, abdominal pain; Myalgia including muscle cramps;

Increased bleeding tendency, bruising edema;
Tremor, dry mouth vertigo, arthralgia

CONTRAINDICATIONS

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

PRECAUTIONS

General:

• Montelukast sodium should not be abruptly substituted for inhaled or oral corticosteroids. However the dose of inhaled corticosteroids may be reduced gradually under medical supervision.

• Although a casual relationship with leukotriene receptor antagonism has not been

established, caution and appropriate clinical monitoring is recommended when systemic corticosteroid reduction is considered in patients receiving Montelukast sodium.

• Montelukast sodium should not be used a monotherapy for the treatment and management of exercise-induced asthma. Patients who have exacerbations of asthma after exercise should continue to use their usual regimen of inhaled-agonists as prophylaxis and should have it available as and when required.

• Montelukast sodium does not block bronchoconstrictor response to aspirin or non-steroidal anti-inflammatory drugs in aspirin-sensitive asthmatic patients. Such patients should continue to avoid aspirin and other non-steroidal anti-inflammatory drugs.

• Caution should be exercised when using montelukast sodium with bronchodilator therapy. When clinical response is apparent the bronchodilator therapy should be reduced.

Pregnancy: Montelukast sodium has not been studied in pregnant women. It should use during pregnancy only if clearly needed.

Nursing Mothers: It is not known if Montelukast sodium is excreted in human milk. Because many drugs are excreted in human milk, cautions should be exercised when RespiCare® is given to a nursing mother.

DRUG INTERACTION

It is recommended that clinical monitoring be conducted when potent hepatic enzyme inducers such as phenytoin, phenobarbital, or rifampicin are given with Montelukast sodium. No dosage adjustment for RespiCare® is recommended.

DOSAGE:

As directed by the physician.

INSTRUCTIONS:

Store at 20°C-25°C, excursions permitted to 15°C-30°C. Protect from sunlight & moisture. Keep all medicines out of the reach of children. To be sold on the prescription of a registered medical practitioner only.

PRESENTATION

RespiCare® (Montelukast Sodium) chewable tablets U.S.P. 4mg are available in Alu/Alu blister pack of 1x14's with leaflet.

RespiCare® (Montelukast Sodium) chewable tablets U.S.P. 5mg are available in Alu/Alu blister pack of 1x14's with leaflet.

RespiCare® (Montelukast Sodium) tablets 10mg U.S.P. are available in Alu/Alu blister pack of 2x7's with leaflet.

RespiCare® (Montelukast Sodium) Sachets 4mg U.S.P. are available in 1x14's with leaflet.

خوراک : معالج کی ہدایت کے مطابق استعمال کریں۔
ہدایات : ۲۰ سے ۲۵ ڈگری سینٹی گریڈ پر رکھیں محفوظ رکھنے کی حد ۱۵ سے ۳۰ ڈگری سینٹی گریڈ ہے۔
سورج کی روشنی اور نمی سے محفوظ رکھیں۔ تمام دوائیں بچوں کی پہنچ سے دور رکھیں۔ صرف رجسٹرڈ ڈاکٹر کے نسخہ پر فروخت کریں۔

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ISO 9001:2015 ISO 14001:2015 ISO 45001:2018