Monocast®

Tablet

Description

The active ingredient of Monocast tablet is Montelukast Sodium INN. Montelukast is a selective and orally active leukotriene receptor antagonist that inhibits the cysteinyl leukotriene CysLT1 receptor. The cysteinyl leukotrienes (LTC4, LTD4, LTE4) are products of Arachidonic acid metabolism and are released from various cells, including mast cells and oeosinophils. 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 oeosinophils and certain myeloid stem cells). CysLTs have been correlated with the pathophysiology of asthma and allergic rhinitis. In asthma, leukotriene-mediated effects include airway oedema, smooth muscle contraction, and altered cellular activity associated with the inflammatory process. In allergic rhinitis, CysLTs are released from the nasal mucosa after allergen exposure during both early and late phase reactions and are associated with symptoms of allergic rhinitis. Intranasal challenge with CysLTs has been shown to increase nasal airway resistance and symptoms of nasal obstruction.

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 β adrenergic receptors). Montelukast inhibits physiologic actions of LTD4 at the CysLT1 receptor without any agonist activity.

Indications

Monocast is indicated for the prophylaxis and chronic treatment of asthma in adults and paediatric patients 12 months of age and older.

Monocast is indicated for the relief of symptoms of seasonal allergic rhinitis in adults and paediatric patients 2 years of age and older.

Dosage and Administration
General information : Monocast 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 individualised to suit patients' needs. Patients with both asthma and seasonal allergic rhinitis should take only one tablet daily in the evening.

Adults and adolescents 15 years of age and older with asthma or seasonal allergic rhinitis : The dosage is one 10 mg tablet daily.

Paediatric patients 6 to 14 years of age with asthma or seasonal allergic rhinitis : The dosage is one 5 mg chewable tablet daily. No dosage adjustment within this age group is necessary.

Paediatric patients 2 to 5 years of age with asthma or seasonal allergic rhinitis : The dosage is one 4 mg chewable tablet daily.

Paediatric patients 12 to 23 months of age with asthma : The dosage is one 4 mg chewable tablet daily to be taken in the evening. Safety and effectiveness in paediatric patients younger than 12 months of age have not been established.

Contraindication
Hypersensitivity to any component of this product.

Precautions
General : Montelukast 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 Montelukast can be continued during acute exacerbation of asthma. While the dose of inhaled corticosteroid may be reduced gradually under medical supervision, montelukast should not be abruptly substituted for inhaled or oral corticosteroids. Montelukast should not be used as monotherapy for the treatment and management of exercise induced bronchospasm. Patients who have exacerbation of asthma after exercise should continue to use their usual regimen of inhaled β agonist as prophylaxis and have available for rescue a short acting inhaled β agonist. Patients with known Aspirin sensitivity should continue avoidance of aspirin or non-steroidal anti-inflammatory

agents while taking Montelukast. Although montelukast 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.

Eosinophilic Conditions : In rare cases, patients on therapy with Montelukast 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. A causal association between montelukast and these underlying conditions has not been established.

Drug Interactions

Montelukast has been administered with other therapies routinely used in the prophylaxis and chronic treatment of asthma with no apparent increase in adverse reactions. In drug interaction studies, the recommended clinical dose of montelukast did not have clinically important effects on the pharmacokinetics of the following drugs : Theophylline, Prednisolone, oral contraceptives (Norethindrone 1 mg/Ethinyl Oestradiol 35 μg), Terfenadine, Digoxin, and Warfarin.

Although additional specific interaction studies were not performed, Montelukast was used concomitantly with a wide range of commonly prescribed drugs in clinical studies without clinically evident adverse interactions. These medications included thyroid hormones, sedative hypnotic, non-steroidal anti-inflammatory agents, benzodiazepines, and decongestants.

Phenobarbital, which induces hepatic metabolism, decreased the AUC of Montelukast approximately 40% following a single 10 mg dose of Montelukast. No dosage adjustment for Montelukast is recommended. It is reasonable to employ appropriate clinical monitoring when potent cytochrome P450 enzyme inducers, such as Phenobarbital or Rifampin, are co-administered with Montelukast.

Side Effects

Adolescents and Adults 15 years of age and older : In placebo-controlled clinical trials, Montelukast has been evaluated for safety in approximately 2600 adolescent and adult patients of 15 years and older, the following adverse experiences reported with Montelukast occurred in greater than or equal to 1% of patients. General : Asthenia/fatigue, Fever, Pain; Gastrointestinal : Dyspepsia, Gastroenteritis; Nervous System/Psychiatric : Dizziness, Headache; Respiratory System : Congestion, Cough, Influenza; Skin : Rash; Laboratory adverse experiences : ALT increase, AST increase, Pyuria.

Paediatric patients 6 to 14 years of age : In paediatric patients receiving montelukast, the following events occurred with a frequency ≥ 2% are diarrhoea, laryngitis, pharyngitis, nausea, otitis, sinusitis, and viral infection. With prolonged treatment, the adverse profile did not change significantly.

Use in Special Populations

Pregnancy : Montelukast crosses the placenta following oral dosing in rats and rabbits. There are, however, no adequate and well controlled studies in pregnant women. Because animal reproduction studies are not always predictive of human response, Montelukast should be used during pregnancy only if clearly needed.

Lactation : It is not known if Montelukast is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when Montelukast is given to a nursing mother.

Paediatric use : Safety and efficacy of Montelukast has been established in adequate and well controlled studies in paediatric patients with asthma and allergic rhinitis between age 1 to 14 years. Long term trials evaluating the effect of chronic administration of Montelukast on linear growth in paediatric patients have not been conducted.

Geriatric use : Of the total number of subjects in clinical studies of Montelukast, 3.5% were 65 years of age and over and 0.4% were 75 years of age and over. No overall differences in safety or effectiveness were observed between these subjects and younger subjects. But greater sensitivity of some older individuals cannot be ruled out.

Commercial Packs

Monocast® 10 Tablet : Box containing 1 blister strip of 10 film coated tablets. Each tablet contains Montelukast Sodium INN equivalent to 10 mg Montelukast.

Monocast® 5 Tablet : Box containing 2 blister strips of 20 chewable tablets. Each tablet contains Montelukast Sodium INN equivalent to 5 mg Montelukast.

Monocast® 4 Tablet : Box containing 2 blister strips of 20 chewable tablets. Each tablet contains Montelukast Sodium INN equivalent to 4 mg Montelukast.