Telukast

Montelukast Tablet

Presentation

Telukast 4: Each chewable tablet contains Montelukast 4 mg (as Montelukast Sodium INN).
Telukast 5: Each chewable tablet contains Montelukast 5 mg (as Montelukast Sodium INN).
Telukast 10: Each film coated tablet contains Montelukast 10 mg (as Montelukast Sodium INN).

Pharmacodynamic Properties

Montelukast is a selective & competitive leukotriene receptor antagonist, that inhibits the cysteinyl leukotriene $CysLT_1$ to occupy the receptors. The occupation of leukotriene receptors by the cysteinyl leukotriene ($CysLT_1$) has been correlated with the pathophysiology of asthma. Montelukast demonstrates virtually no affinity for adrenergic, histamine, serotonin, muscarinic or prostanoid receptors.

Pharmacokinetic Properties

Montelukast is rapidly absorbed following oral administration. For the 10 mg film-coated tablet, the mean peak plasma concentration (Cmax) is achieved three hours (Tmax) after administration in adults in the fasted state. The mean oral bioavailability is 64%. The oral bioavailability and Cmax are not influenced by a standard meal. For the 5 mg chewable tablet, the Cmax 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. For the 4 mg chewable tablet, the mean Cmax is achieved in two hours after administration in pediatric patients 2 to 5 years of age in the fasted state. Montelukast is more than 99% bound to plasma proteins. In vitro studies using human liver microsomes indicate that cytochrome P450 3A4, 2A6 and 2C9 are involved in the metabolism of Montelukast. Montelukast and its metabolites are excreted almost exclusively via the bile.

Indications

Telukast is indicated for the prophylaxis and chronic treatment of asthma and for the relief of symptoms of allergic rhinitis in adults and pediatric patients 6 month of age and older.

Dosage & Administration

Telukast 4: The dosage for pediatric patients 2 to 5 years of age is one 4 mg chewable tablet daily to be taken in the evening. Telukast 4 should be taken one hour before or 2 hours after food. No dosages adjustment within this age group is necessary.

Telukast 5: The dosage for pediatric patients 6 to 14 years of age is one 5 mg chewable tablet daily to be taken in the evening. Telukast 5 should be taken one hour before or 2 hours after food. No dosages adjustment within this age group is necessary.

Telukast 10: The dosage for adults and adolescents 15 years of age and older with asthma and concomitant seasonal allergic rhinitis, is one 10 mg tablet daily to be taken in the evening.

Use in pediatric patient: Safety and efficacy of Montelukast have been established in adequate and well-controlled studies in pediatric patients with asthma 6 to 14 years of age and in pediatric patients less than 12 months of age have not been established. Safety and efficacy profiles in this age group are similar to those seen in adults.

Contraindications

Montelukast is contraindicated in patients with hypersensitivity to it.

Side Effects

Montelukast is usually well-tolerated. However, gastro-intestinal disturbances, dry mouth, thirst; hypersensitivity reactions including anaphylaxis, angioedema and skin reactions; asthenia, dizziness, agitation, restlessness, paraesthesia, headache, sleep disorders(insomnia, drowsiness, abnormal dreams, nightmares); upper respiratory tract infections, fever, arthralgia, myalgia; palpitations, increased bleeding tendency, cholestatic hepatitis, raised serum transaminases, oedema hallucinations, and seizures also reported.

Precautions

Montelukast is not indicated for use in the reversal bronchospasm in acute asthma attacks, including status asthmaticus. Montelukast should not be abruptly substituted for inhaled or oral corticosteroids. Montelukast should not be use monotherapy for the treatment and management of exercise induced bronchospasm. 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 truncate bronchoconstrictor response to aspirin and other non steroidal anti-inflammatory drugs in aspirin-sensitive asthmatic patients.

Drug Interactions

Montelukast may be administered with other therapies routinely used in the prophylaxis and chronic treatment of asthma. In drug-interactions studies, the recommended clinical dose of montelukast did not have clinically important effects on the pharmacokinetics of the following medicinal products: theophylline, prednisone, prednisolone, oral contraceptives (norethindrone 1 mg / ethinyl estradiol 35 mcg), terfenadine, digoxin and warfarin.

Since montelukast is metabolised by CYP 3A4, caution should be exercised, particularly in children, when montelukast is co-administered with inducers of CYP 3A4, such as phenytoin, phenobarbital and rifampicin.

Use in Pregnancy and Lactation

There are no adequate and well-controlled studies in pregnant women. Montelukast should be used during pregnancy only if clearly needed. Because many drugs are excreted in human milk, caution should be exercised when Montelukast is given to a nursing mother.

Use in Children

Safety and efficacy of the use of Montelukast in the children of 6 to 14 years of age has been supported and established with various well-controlled and sufficient clinical studies. Thereby the safety and efficacy profile of Montelukast in the above mentioned age group is similar to those of adult patients.

Storage Condition

Keep out of the reach of children. Store in a cool and dry place, away from light and moisture.

Commercial Pack

Telukast 4 : Each box contains 3 Alu-Alu blister packs of 10 chewable tablets.
Telukast 5 : Each box contains 2 Alu-Alu blister packs of 10 chewable tablets.
Telukast 10 : Each box contains 2 Alu-Alu blister packs of 10 film coated tablets.

Manufactured by :

general **GENERAL** Pharmaceuticals Ltd.
Gazipur, Bangladesh

GENERAL Pharmaceuticals Ltd. ISO 9001:2008 Certified Company