Ebanex®

Ebastine

Description: Ebanex® (Ebastine) is a long acting and selective H_1-histamine receptor anatagonist. After repeated administration inhibition of peripheral receptor remain at a constant level. Ebastine is rapidly absorbed and undergoes extensive first pass metabolism following oral administration. Ebastine is almost totally converted to the pharmacologically active acid metabolites, carebastine.
It does not penetrate the blood-brain barrier and thus allows an effective block of the H_1 receptor in peripheral tissue without a central side effect, i.e not causing sedation or drowsiness.

Mode of action: Ebanex® (Ebastine), a piperidine derivative, is a long-acting, nonsedating, second-generation histamine receptor antagonist that binds preferentially to peripheral H_1 receptors. It is metabolised to active metabolite, carebastine. It has antihistaminic, antiallergic activity and prevents histamine-induced bronchoconstriction. It does not have significant sedative or antimuscarinic actions.

Pharmacokinetics: Ebanex® (Ebastine) is rapidly absorbed and undergoes extensive first-pass metabolism following oral administration. Ebastine is almost totally converted to the pharmacologically active acid metabolite, carebastine. After a single 10-mg oral dose, peak plasma levels of the metabolite occur at 2.6-4 hrs and achieve levels of 80-100 ng/ml. The $T^1/_2$ of the acid metabolite is between 15-19 hrs with 66% of the drug being excreted in the urine mainly as conjugated metabolites. Following the repeated administration of 10 mg once daily, steady-state was achieved in 3-5 days with peak plasma levels ranging from 130-160 ng/ml. In vitro studies with human liver microsomes show that ebastine is metabolized to carebastine predominantly via the CYP3A4 pathway. Concurrent administration of ebastine with ketoconazole or erythromycin (both CYP3A4 inhibitors) to healthy volunteers was associated with significantly increased plasma concentrations of ebastine and carebastine, especially with ketoconazole. Both ebastine and carebastine are highly protein bound, 95%. In elderly subjects, no statistically significant changes were observed in the pharmacokinetics compared to those of young adult volunteers. In patients with renal insufficiency, the elimination $T^1/_2$ of carebastine was increased to 23-26 hrs. Similarly, in patients with hepatic insufficiency, the $T^1/_2$ is increased to 27 hrs.

Composition: Ebanex® 10 mg Tablet: Each Tablet contains Ebastine BP 10 mg.
Ebanex® 50 ml Oral Solution: Each 5 ml oral solution contains Ebastine BP 5 mg.

Indications: Ebastine is indicated for the symptomatic treatment of:
Seasonal and perennial allergic rhinitis, Idiopathic chronic urticaria.

Dosage & administration: 10 mg (one tablet) once a day.

Age	mg (teaspoon)	Dose/Day
2 - 5 years	2.5 - 5 (0.5-1)	Once daily
6 - 12 years	5 - 10 (1-2)	Once daily
Adult	10 (2)	Once daily

Ebastine may be taken with or without food.

Contraindications: Patients with a known hypersensitivity to ebastine or any of its ingredients.
The safety of ebastine during pregnancy and lactation has not been established.

Side effects: The most commonly reported side effects with ebastine were headache, dry mouth and drowsiness. Other less commonly reported adverse events of ebastine include: Pharyngitis, abdominal pain, dyspepsia, asthenia, epistaxis, rhinitis, sinusitis, nausea and insomnia.

Use in pregnancy & lactation: The safety of ebastine during human pregnancy has not been established. Studies in rats and rabbits do not indicate any direct or indirect harmful effects with respect to the development of the embryo or fetus or the course of gestation and peri- and postnatal development. No ogenic effects have been identified in animals.
However, there are no well-controlled studies in pregnant women and reproductive studies are not always predictive of human response. Therefore, ebastine should be used during pregnancy only if clearly needed.
It is not known whether ebastine is excreted in human milk, therefore, ebastine should not be used during lactation.

Precautions: Caution is advised when used in hepatic impairment, renal insufficiency, QTc interval prolongation, Pregnancy, lactation.

Drug interactions: Concomitant use of ketoconazole, itraconazole, clarithromycin or erythromycin may increase plasma levels of ebastine and cause QTc interval prolongation.

Over dosage: In studies conducted at a high dosage, no clinically meaningful signs or symptoms were observed up to 100 mg given once daily.There is no specific antidote for ebastine. Gastric lavage, monitoring of vital functions including ECG and symptomatic treatment should be carried out.

Storage: Store in a cool (Below 25˚ C temperature) and dry place protected from light.

Packaging
Ebanex® 10 mg Tablet: Each carton contains 14X3 tablets in blister pack.
Ebanex® 50 ml Oral Solution: Each carton contains a bottle having 50 ml oral solution, 2.5 ml dropper & 5 ml plastic spoon.

Opsonin Pharma
Ideas for healthcare

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Manufactured by
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