17-07-2019

Beceptor™ Tablets

(E b a s t i n e)

COMPOSITION

Beceptor™ 10mg Tablets:
Each film coated tablet contains:
Ebastine BP……..10mg

Beceptor™ 20mg Tablets:
Each film coated tablet contains:
Ebastine BP……..20mg

DRUG DESCRIPTION

Beceptor™ is a non-sedating second generation antihistamine which is used for allergic disorders. Its chemical name is 1-[4-(1, 1-Dimethylethyl)phenyl]-4-[4-(diphenylmethoxy)-1-piperidinyl]-1-butanone.The molecular weight of ebastine is 469.67, and the molecular formula is $C_{32}H_{39}NO_2$

CLINICAL PHARMACOLOGY

PHARMACODYNAMICS:
Pharmacotherapeutic group: Other antihistamines for systemic use
ATC code: R06A X22
Mechanism of action: Ebastine has been shown to produce a rapid and long-lasting inhibition of histamine induced effect and to have a strong affinity towards H1-receptors. Following oral administration, neither ebastine nor its metabolites cross the blood brain barrier. This characteristic is consistent with the low sedative profile seen in the results of experiments studying the effects of ebastine on the central nervous system. In vitro and in vivo data demonstrate that ebastine is a potent, long-lasting and highly selective histamine H1–receptor antagonist devoid of untoward CNS actions and anticholinergic effects.

PHARMACOKINETICS:
Absorption: Rapid; Time to peak, plasma (metabolite): 2.6 to 4 hours; steady state level: 3 to 5 days. Metabolism: Extensive first pass metabolism following oral administration, converted to the pharmacologically active acid metabolite, carebastine almost completely predominantly via the CYP3A4 pathway. Half-life elimination: Metabolite: between 15 and 19 hours; Excretion: Urine (66 % as conjugated metabolites. Protein binding: Ebastine and carebastine > 97 %. Renal insufficiency: The elimination half-life of carebastine is prolonged to 23 - 26 hours. Hepatic insufficiency: Half-life is 27 hours.

INDICATIONS AND DOSAGE

INDICATIONS AND USAGE:
Beceptor™ tablet is indicated for the symptomatic treatment of:
• Allergic rhinitis (seasonal and perennial) whether or not associated with allergic conjunctivitis
• Idiopathic chronic urticaria
• Allergic dermatitis

DOSAGE AND ADMINISTRATION:
Method of Administration:
Oral Route: **Beceptor™** film-coated tablets should be taken unchewed with liquid. Ebastine can be taken at meal times or independently of meals.
Dosage:
Allergic rhinitis: For children 12 years and above and adults, the following dosage recommendations apply: 1 film-coated tablet (10mg ebastine) once daily. In cases of severe symptoms and for perennial allergic rhinitis, the dose may be increased to 2 film-coated tablets (20mg ebastine) once daily.
Urticaria: For adults above 18 years, the following dosage recommendations apply: 1 film-coated tablet (10mg ebastine) once daily.
Duration of Use:
Treatment may be prolonged until symptoms disappear. The physician decides on the duration of use. For allergic rhinitis there is clinical experience of use for a duration of up to 1 year and for urticaria of unclear origin, for up to 3 months.

SPECIAL POPULATIONS:
Paediatric population: The experience in children under the age of 12 years is limited.
Geriatric population: No dose adjustment is needed.
Renal impairment: No dose adjustment is necessary for treatment up to 5 days.
Hepatic impairment: No dose adjustment is needed in patients with mild to moderate hepatic insufficiency for treatment up to 7 days. A dosage of 10mg should not be exceeded in patients with severe hepatic insufficiency, since no clinical studies have been conducted with a higher dose than 10mg.

OVERDOSAGE

In studies conducted at a high dosage, no clinically meaningful signs or symptoms were observed up to 100mg given once-daily. There is no specific antidote for ebastine. Gastric lavage, monitoring of vital functions including ECG monitoring with evaluation of the QT interval for at least 24 hours, symptomatic treatment and gastric lavage are indicated.

CONTRAINDICATIONS

Hypersensitivity to the active substance or to any of other excipients. Ebastine must not be used for the treatment of urticaria in adolescents below the age of 18 years, as at present there is only little experience in this age group and no experience in children below 12 years of age.

WARNINGS AND PRECAUTIONS

CONCERNS RELATED TO ADVERSE EFFECTS:
Not reported.

DISEASE-RELATED CONCERNS:
Risks of QT prolongation: As with other antihistamines, caution must be exercised when using ebastine in patients known to be at cardiac risk such as those with long QT syndrome, hypokalemia, treatment with any drug known to produce an increase in QT interval or inhibit CYP3A4 enzyme systems such as azole antifungals and macrolide antibiotics.
Hepatic impairment: Ebastine should be used with caution in patients with severe hepatic insufficiency.
Galactose intolerance: Ebastine tablet contains lactose monohydrate and should not be administered in patients with rare hereditary problems of galactose intolerance, the Lapp lactase deficiency or glucose-galactose malabsorption.

CONCURRENT DRUG THERAPY ISSUES:
Drug-drug interactions: Potentially significant interactions may exist, requiring dose or frequency adjustment, additional monitoring, and/or selection of alternative therapy. Refer to Drug Interactions section for more detailed information.

OTHER WARNING AND PRECAUTIONS:
Acute allergic problems: Since ebastine reaches its therapeutic effect between 1 and 3 hours after administration, it should not be used in emergency acute allergic problems.
Skin allergy tests: Ebastine may interfere results of skin allergy tests, so it is advisable not to perform them after 5-7 days after stopping treatment.

SPECIAL POPULATIONS:
Pregnancy: There are limited amount of data from the use of ebastine in pregnant women. Animal studies do not indicate direct or indirect harmful effects with respect to reproductive toxicity. As a precautionary measure, it is preferable to avoid the use of ebastine during pregnancy.
Lactation: It is not known whether the active substance is excreted in human milk. High protein binding (>97%) of ebastine and its main metabolite, carebastine, suggest no excretion of drug into breast milk. As a precautionary measure, it is preferable to avoid the use of ebastine during lactation.

EFFECTS ON ABILITY TO DRIVE AND USE MACHINES:
Ebastine has negligible influence on the ability to drive and use machines. Most patients treated with ebastine may drive or carry out other activities that require a good reaction capacity. However, in order to identify sensitive subjects who react unusually to ebastine, it is advisable to know the individual reactions before a patient drives or carries out complicated activities.

210 mm

120mm

ADVERSE REACTIONS

1%-10%:
Gastrointestinal disorders: dry mouth
Nervous system disorders: somnolence, headache

<1%, POST MARKETING AND/OR CASE REPORTS:
Psychiatric disorders: nervousness, insomnia.
Nervous system disorders: dizziness, hypesthesia, dysaesthesia
Cardiac disorders: palpitations, tachycardia.
Respiratory, thoracic and mediastinal disorders: epistaxis, pharyngitis, rhinitis, sinusitis
Gastrointestinal disorders: vomiting, abdominal pain, nausea, dyspepsia.
Hepato-biliary disorders: abnormal liver function test.
Skin and subcutaneous tissue disorders: urticaria, rash, dermatitis.
Reproductive system and breast disorders: menstrual disorders.
General disorders and administration site conditions: edema, asthenia.

CARCINOGENESIS, MUTAGENESIS, IMPAIRMENT OF FERTILITY:
Carcinogenic and mutagenic data is not available. There are no fertility data with ebastine in humans. Animal studies have not shown adverse effects on fertility.

REPORTING OF SUSPECTED ADVERSE REACTIONS:
Reporting suspected adverse reactions after authorization of the medicinal product is important. It allows continued monitoring of the benefit/risk balance of the medicinal product. Healthcare professionals and patients/carers are asked to report any suspected adverse reactions at safety@samikhi.com or call on +92 (0) 21 34383400 (Office hours and out of office hours). Also, adverse event may be reported via website: www.samipharmapk.com

DRUG INTERACTIONS
Ketoconazole or itraconazole and erythromycin: Pharmacokinetic interactions have been observed when ebastine is given with ketoconazole or itraconazole and erythromycin. These interactions resulted in increased plasma concentrations of ebastine and to a lesser extent of carebastine which were, nevertheless, not associated with any clinically significant pharmacodynamic consequences.
Rifampicin: Pharmacokinetic interactions have been observed when ebastine is given with rifampicin. These interactions could result in lower plasma concentrations and reduced antihistamine effects.
Theophylline, warfarin, cimetidine, diazepam or alcohol: No interactions have been reported between ebastine and theophylline, warfarin, cimetidine, diazepam or alcohol.
Antihistamines: It can enhance the effects of other antihistamines.

FOOD INTERACTION:
When ebastine is administered with food, there is a 1.5 to 2.0 fold increase in the plasma levels and the AUC of the main active acid metabolite of ebastine. This increase does not alter the T_{max}. The administration of ebastine with food does not cause a modification in its clinical effect.

STABILITY
See expiry on the pack.

AVAILABILITY
Beceptor™ 10mg tablets in a pack of 10's
Beceptor™ 20mg tablets in a pack of 10's

INSTRUCTIONS
Dosage as advised by physician.
To be sold on the prescription of registered medical practitioner only.
Keep out of the reach of children.
Avoid exposure to heat, light and humidity.
Store between 15 to 30°C.
Improper storage may deteriorate the medicine.
Store in the original package in order to protect from moisture.

Please read the contents carefully before use.
This package insert is regularly reviewed and updated.

بی سیپٹر™ ٹیبلٹ
(ایبیسٹین)

خوراک: ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔
صرف رجسٹرڈ ڈاکٹر کے نسخے کے مطابق فروخت کریں۔
بچوں کی پہنچ سے دور رکھیں۔
دوا کو دھوپ، گرمی اور نمی سے محفوظ ۱۵ سے ۳۰ ڈگری سینٹی گریڈ کے درمیان میں رکھیں
ورنہ دوا خراب ہو جائیگی۔
دوا کو نمی سے محفوظ رکھنے کے لیے اسکی اصل پیکنگ میں رکھیں۔

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210 mm
120mm