MINISTRY OF HEALTH OF THE RUSSIAN FEDERATION

Stamp: Ministry of Health of Russia LP-003460-250221. Approved.

INSTRUCTION LEAFLET
FOR MEDICAL USE OF THE MEDICINAL PRODUCT
Espa-Bastin®

Product licence number: ЛП-003460

Brand name: Espa-Bastin®

Generic name: Ebastine

Pharmaceutical form: film-coated tablets

Product formulation:

Each film-coated tablet contains:

Ядро таблетки:

Active ingredients: Ebastine – 10,00 mg/20,00 mg;

Excipients: microcrystalline cellulose – 85,99 mg/171,00 mg, sodium carboxymethyl starch (type A) – 3,00 mg/6,00 mg, anhydrous colloidal silicon dioxide – 1,00 mg/2,00 mg, magnesium stearate – 0,50 mg/1,00 mg.

Film coat Opadry Y-1-7000 white consisting of: hypromellose-5cP – 4,69 mg/9,38 mg, titanium dioxide – 2,34 mg/4,68 mg, macrogol 400 – 0,47 mg/0,94 mg.

Description: For 10 mg dosage: round, flat-cylindrical film-coated tablets of white to off-white color with a score line on one side.

For 20 mg dosage: round (slightly) biconvex film-coated tablets of white to off-white color with a score line on one side.

Pharmacotherapeutic group: antiallergic drug – H_1- histamine receptor blocker.

ATC code: R06AX22

Pharmacological properties

Pharmacodynamic properties

Long-acting H_1- histamine receptor blocker. After a single oral administration, the antihistamine effect begins after 1 hour and lasts for 48 hours. In case of chronic administration, a high level of blockade of peripheral H_1- histamine receptors remains without any progression of tachyphylaxis. After a 5-day course of treatment, antihistamine activity persists for 72 hours due to the action of the active metabolite.

The drug does not have a pronounced anticholinergic and sedative effect, does not penetrate the blood-brain barrier. There was no effect of the drug on the QT interval on the ECG at a dose of 100 mg, which is a dose that exceeded the recommended daily dose (20 mg) fivefold.

Pharmakokinetics

After oral administration, the drug is rapidly absorbed and almost completely metabolized in the liver, turning into an active metabolite of Carebastine. After a single dose of 20 mg of the drug, the maximum concentration of Carebastine in the blood plasma is reached in 1-3 hours and is equal to 157 ng/ml.

With a daily administration of the drug in a dose of 10 mg to 40 mg, the equilibrium concentration is achieved in 3-5 days; it does not depend on the administered dose and is equal to 130-160 ng/ml. The plasma protein binding of Ebastine and Carbastine is more than 95%.

The half-life of Carabastine is from 15 to 19 hours, 66% of the drug is excreted in the form of conjugated metabolite via the kidneys.

Food intake has no effect on the clinical effects of the drug.

In elderly patients, pharmacokinetic parameters do not change significantly. In case of renal failure, the half-life increases to 23-26 hours, and in case of hepatic failure, it is up to 27 hours, but the concentration of the drug does not exceed therapeutic values.

Indications

- allergic rhinitis of various etiology (seasonal and/or all-season);
- urticaria fever of various etiologies, including chronic idiopathic form.

Contra-indications

- hypersensitivity to the components of the drug;
- pregnancy;
- period of breastfeeding;
- children's age up to 12 years;
- severe liver dysfunction (Child-Pugh class C) *(for a dosage of 20 mg)*.

Carefully

- patients with an increased QT interval on the ECG;
- patients with hypokalemia;
- patients with renal insufficiency;
- patients with mild to moderate impaired hepatic function (Child-Pugh class A, B) *(for a dosage of 20 mg)*.
- severe liver dysfunctions (Child-Pugh class C) *(for a dosage of 10 mg)*;
- when taken simultaneously with Ketoconazole or Itraconazole, Erythromycin, Rifampicine, due to a possible increase in the risk of QT interval elongation on the ECG.

Administration during pregnancy and breast-feeding period

Pregnancy

There is limited information on the use of Ebastinee in pregnancy. The use of Ebastine is

contraindicated during pregnancy.

Breast-feeding period

Ebastine is contraindicated for lactating mothers, since it is not known whether Ebastine is excreted in breast milk. The high degree of binding of Ebastine and its main metabolite, Carbastine, to proteins (>97%) does not imply the excretion of Ebastine in breast milk.

If it is necessary to use Ebastine during lactation, it is required to stop breastfeeding.

Fertility

There is no data on the effect of Ebastine on human fertility.

Posology and method of administration

Orally, without regard to timing of food.

Adults and children over 12 years old are recommended to start therapy with a dose of 10 mg once a day, using the drug Espa-Bastin®, film-coated tablets, 10 mg. In case of insufficient effectiveness, it is recommended to use a double dose, i.e. Espa-Bastin®, film-coated tablets, 20 mg, 1 tablet (20 mg) once a day.

The course of treatment will be determined by the disappearance of the symptoms of the disease.

Elderly patients: No dose adjustment required.

Patients with impaired renal function: No dose adjustment required.

*Patients with mild to moderate impaired hepatic function (*Child-Pugh class A, B*):* No dose adjustment required.

In severely impaired liver function (Child-Pugh class C), the daily dose should not exceed 10 mg, therefore it is recommended to use the drug Espa-Bastin®, film-coated tablets, 10 mg.

Adverse drug reaction

According to the World Health Organization (WHO), unwanted effects previously observed in clinical trials and in the course of post-marketing use of the drug, are classified according to their frequency of development as follows: very often (≥ 1/10), often (≥ 1/100, <1 / 10), rarely (≥ 1/10000, <1 / 1000).

Psychiatric disorders:

rarely: nervousness, insomnia;

Regarding the central and peripheral nervous system:

very often: headache;

often: sleepiness;

rarely: dizziness, hypesthesia, dysgeusia;

Regarding the gastrointestinal tract:

often: mouth cavity xerosis;

rarely: vomiting, abdominal pain, nausea, dyspepsia;

Regarding the cardiovascular system:

rarely: palpitation, tachycardia;

Regarding the liver and biliary tract:

rarely: hepatitis, cholestasis, abnormal liver function tests (increased activity of hepatic transaminases, GGT, alkaline phosphatase and/or bilirubin);

Regarding the skin and subcutaneous adipose tissue:

rarely: urticaria fever, rash, dermatitis;

Regarding the reproductive system:

rarely: menstrual irregularities;

Regarding the immune system:

rarely: hypersensitivity responses (anaphylaxis, angioedema);

general and local response:

rarely: edemas, asthenia.

The adverse drug reactions observed in clinical studies in children over 12 years of age (a group of 460 children) did not differ from the reactions noted in adults.

Overdosage

When administering up to 100 mg of Ebastine per day, clinically significant symptoms of overdose are not observed.

No specific antidote was detected.

Treatment: gastric lavage, control of vital body functions, including ECG monitoring and symptomatic therapy.

Interaction with other medicinal products

With the simultaneous use of Ebastine with Ketoconazole or Itraconazole and Erythromycin, the risk of QT interval elongation on the ECG may increase.

Some pharmacokinetic interactions were observed with the simultaneous administration of Ebastine with Rifampicin.

These interactions can lead to a decrease in the concentration of Ebastine in blood plasma and have an inhibitory influence on the antihistamine effect.

Ebastine does not interact with Theophylline, Warfarin, Cimetidine, Diazepam, Ethanol and ethanol-containing drugs.

Precaution

Ebastine can cause erroneous results of allergy skin tests. Therefore, it is recommended to carry out such tests no earlier than 5-7 days after Ebastine withdrawal.

Take precautions when administering Ebastine in patients with mild to moderate impaired hepatic function (Child-Pugh class A and B) *(for a dosage of 20 mg).*

Take precautions when administering Ebastine in patients with severely impaired liver function (Child-Pugh class C) *(for a dosage of 10 mg)*.

Effects on ability to drive and use machines

In therapeutic doses, it does not affect the ability to drive and use machines.

In case of side effects from the central nervous system, such as sleepiness, take precautions when driving vehicles and engaging in other potentially hazardous activities that require increased concentration of attention and high speed of psychomotor reactions.

Pharmaceutical form and presentation

Film-coated tablets, 10 mg, 20 mg.

10 film-coated tablets in A1-PVC/PVDC blister card. 1 blister card in an outer carton along with instructions for use.

Storage conditions

Store at a temperature not higher than 25ºC.

Keep out of reach of young children.

Expiry date

5 years.

Do not use after the expiry date.

Dispensing requirements

No prescription.

Marketing authorization holder:

Esparma GmbH,
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Manufactured by:
Advance Pharma GmbH,
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Manager for the guarantee of the drug circulation

in the EAEU and CIS countries

of the Representative office of the company "Esparma GmbH"

/signature/ I.S. Tsetseruk

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