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Caberlin

(Cabergoline)

0.5 mg Tablets

کیبرلن

(کیبرگولین)

۰.۵ ملی گرام گولیاں

Composition:
Each tablet contains:
Cabergoline..... 0.5mg

CLINICAL PHARMACOLOGY
Mechanism of Action:
Cabergoline is a dopamine receptor agonist that works by stimulating dopamine (D2) receptors in the pituitary gland. This reduces the release of prolactin, a hormone responsible for milk production and other reproductive functions. As a result, cabergoline lowers elevated prolactin levels and helps restore normal hormonal balance.

Pharmacodynamics:
The pharmacodynamic effects of cabergoline have been studied in healthy volunteers, puerperal women and hyperprolactinemic patients. After a single oral administration of cabergoline (0.3 - 1.5 mg), a significant decrease in serum PRL levels was observed in each of the populations studied. The effect is prompt (within 3 hours from administration) and persistent (up to 7 - 28 days in healthy volunteers and hyperprolactinemic patients, and up to 14 - 21 days in puerperal women). The PRL- lowering effect is dose-related both in terms of degree of effect and duration of action.
With regard to the endocrine effects of cabergoline not related to the antiprolactinaemic effect, available data from humans confirm the experimental findings in animals indicating that the test compound is endowed with a very selective action with no effect on basal secretion of other pituitary hormones or cortisol. The pharmacodynamic actions of cabergoline not correlated with the therapeutic effect only relate to blood pressure decrease. The maximal hypotensive effect of cabergoline as single dose usually occurs during the first 6 hours after drug intake and is dose-dependent both in terms of maximal decrease and frequency.

PHARMACOKINETICS
The pharmacokinetic and metabolic profiles of cabergoline have been studied in healthy volunteers of both sexes and in female hyperprolactinemic patients.
After oral administration of the labelled compound, radioactivity was rapidly absorbed from the gastrointestinal tract as the peak of radioactivity in plasma was between 0.5 and 4 hours. Ten days after administration about 18% and 72% of the radioactive dose was recovered in urine and faeces, respectively. Unchanged drug in urine accounted for 2- 3% of the dose.
In urine, the main metabolite identified was 6-allyl-8β-carboxy-ergoline, which accounted for 4-6% of the dose. Three additional metabolites were identified in urine, which accounted overall for less than 3% of the dose. The metabolites have been found to be much less potent than cabergoline in inhibiting prolactin secretion in vitro. Cabergoline biotransformation was also studied in plasma of healthy male volunteers treated with [14C]-cabergoline: a rapid and extensive biotransformation of cabergoline was shown.
The low urinary excretion of unchanged cabergoline has been confirmed also in studies with non-radioactive product. The elimination half-life of cabergoline, estimated from urinary excretion rates, is long (63-68 hours in healthy volunteers (using a radio-immuno assay), 79-115 hours in hyperprolactinemic patients (using a HPLC method).
On the basis of the elimination half-life, steady state conditions should be achieved after 4 weeks, as confirmed by the mean peak plasma levels of cabergoline obtained after a single dose (37 ± 8 pg/ml) and after a 4-week multiple regimen (101 ± 43 pg/ml).
In vitro experiments showed that the drug at concentrations of 0.1-10 ng/ml is 41- 42% bound to plasma proteins. Food does not appear to affect absorption and disposition of cabergoline.

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INDICATIONS:

Inhibition/suppression of physiological lactation

• Cabergoline is used to stop breast milk production (lactation) soon after childbirth, stillbirth, abortion or miscarriage. It can also be used if you do not want to continue to breast-feed your baby once you have started.

• Cabergoline can also be used to treat other conditions caused by hormonal disturbance which can result in high levels of prolactin being produced. This includes lack of periods, infrequent and very light menstruation, periods in which ovulation does not occur and secretion of milk from your breast without breast-feeding. Also, in conditions in which high levels of prolactin are due to unknown causes (idiopathic hyperprolactinemia) or are caused by tumors of the pituitary gland in both men and women.

• Cabergoline mimics the action of dopamine to reduce the production of prolactin in the blood. Prolactin is the hormone which stimulates the breast to produce milk.

• Cabergoline should only be used in adults. It is not suitable for children under the age of 16 years.

• You must talk to a doctor or pharmacist if you do not feel better or if you feel worse

SPECIAL POPULATION

Pregnancy

If you are pregnant, think you may be pregnant or are planning to have a baby, ask your doctor or pharmacist for advice before taking this medicine. You should also take care not to become pregnant for at least one month once you have stopped taking this medicine. If you become pregnant during treatment with Cabergoline, stop taking Cabergoline and inform your doctor who will then monitor your pregnancy as Cabergoline can result in congenital abnormalities if you use it during pregnancy.

Breast-feeding

As Cabergoline will stop you producing milk for your baby, you should not take this medicine if you plan to breast-feed. If you need to take this medicine, you should use another method of feeding your baby.

DOSAGE & ADMINISTRATION

Always take this medicine exactly as your doctor has told you.

Take Cabergoline by mouth with or after food. Taking the tablets with food may help reduce nausea or stomach upset. The tablet can be divided into equal halves if needed.

To prevent breast milk production after childbirth

The recommended dose is 1 mg (two 0.5 mg tablets) taken as a single dose within the first 24 hours after giving birth.

To stop breast milk production after it has started

The recommended dose is 0.25 mg (half of a 0.5 mg tablet) every 12 hours for 2 days.

To treat high prolactin levels (hyperprolactinaemia)

Treatment usually starts with 0.5 mg per week, taken as one dose or divided into two doses during the week. Your doctor may gradually increase the dose depending on how you respond to the treatment and your blood test results. The usual maintenance dose is 1 mg per week, although some patients may require a lower or higher dose.

Do not take more than the dose prescribed by your doctor. The maximum dose is 3 mg per day. Your doctor may perform blood tests during treatment to monitor your prolactin levels and adjust your dose if necessary.

Children and adolescents

Cabergoline is not recommended for children and adolescents under 16 years of age, as its safety and effectiveness have not been established in this age group.

Older people

Cabergoline can be used in older adults. Your doctor will determine the appropriate dose and monitor your treatment as needed.

If you take more Cabergoline than you should

If you or someone else has taken more Cabergoline than prescribed, contact your doctor or go to the nearest hospital immediately. Take the medicine pack with you if possible.

If you forget to take Cabergoline

Take the missed dose as soon as you remember. If it is almost time for your next dose, skip the missed dose and take your next dose at the usual time. Do not take a double dose to make up for a forgotten dose.

If you stop taking Cabergoline

Do not stop taking this medicine unless your doctor tells you to. Stopping treatment may cause your prolactin levels to increase again.

ROUTE OF ADMINISTRATION

For oral administration only.

ADVERSE REACTIONS

These symptoms can be severe:

• Abnormal or unusual thoughts.

• Heart valve and related disorders e.g., inflammation (pericarditis) or leaking of fluid in the pericardium (pericardial effusion). This is a very common side effect (may affect more than 1 in 10 people). The early symptoms may be one or more of the following: difficulty breathing, shortness of breath, pounding heart, feeling faint, chest pain, back pain, pelvic pain or swollen legs. These may be the first signs of a condition called pulmonary fibrosis, which can affect the lungs, heart/heart valves or lower back.

• Development of a widespread itchy rash, difficulty breathing with or without wheezing, feeling faint, unexplained swelling of the body or tongue or any other symptoms which appear to come on rapidly after taking this medication and make you feel unwell. These may be indicative of an allergic reaction.

Inability to resist the impulse, drive or temptation to perform an action that could be harmful to you or others, which may include:

• Strong impulse to gamble excessively despite serious personal or family consequences.

• Aggression and altered or increased sexual interest and behavior of significant concern to you or to others, for example, an increased sexual drive.

• Uncontrollable excessive shopping or spending.

• Binge eating (eating large amounts of food in a short time period) or compulsive eating (eating more food than normal and more than is needed to satisfy your hunger).

Very common: may affect more than 1 in 10 people:

• drowsiness,
• nausea,
• headache,
• dizziness,
• vertigo,
• stomach pain,
• indigestion,
• inflamed stomach lining,
• fatigue,
• lack of bodily strength,
• weakness.

Common: may affect up to 1 in 10 people:

• constipation,
• blurred vision,
• low blood pressure after childbirth which may not have any symptoms,
• breast pain,
• depression,
• sleep disturbances,
• excessive daytime drowsiness/sleepiness,
• vomiting,
• low blood pressure,
• hot flushes.

Uncommon: may affect up to 1 in 100 people:

• loss of hair,
• severe itching,
• hypersensitivity reaction,
• shortness of breath,
• fainting,
• nosebleed,
• leg cramps,
• swelling due to accumulation of fluid in the tissues (oedema),
• rash,
• irregular or strong heartbeat (palpitations),
• pins and needles sensation,
• decrease in hemoglobin in women whose periods had stopped and then re-started,
• temporary partial vision loss,
• cold hands and feet.

Rare: may affect up to 1 in 1000 people:

• pain in the stomach.
• Not known: frequency cannot be estimated from the available data:
• abnormal liver and abnormal blood tests of liver function,

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• breathing problems with inadequate intake of oxygen,
• chest pain,
• tremor,
• an increase in the level of some enzymes in the blood,
• abnormal vision,
• episodes of sudden sleep onset,
• seeing or hearing things that are not really there (hallucinations),
• delusions,
• psychotic disorder.

CONTRAINDICATIONS
Hypersensitivity to cabergoline, any of the excipients.
History of pulmonary, pericardial and retroperitoneal fibrotic disorders.
Cabergoline is contraindicated in patients with hepatic insufficiency and with toxemia of pregnancy. Cabergoline should not be co-administered with anti- psychotic medications or administered to women with a history of puerperal psychosis.
For long-term treatment: Evidence of cardiac valvopathy as determined by pre- treatment echocardiography.

WARNINGS AND PRECAUTIONS
Talk to your doctor or pharmacist before taking this medicine if you have or had any of the following conditions:
• Disease that involves the heart and blood vessels (cardiovascular disease)
• Cold hands and feet (Raynaud's syndrome)
• Gnawing pain in the abdomen when hungry (peptic ulcer) or bleeding from the stomach and intestines (gastrointestinal bleeding)
• History of serious mental disease, particularly psychotic disorders
• Reduced liver function
• Kidney function abnormality or kidney disease
• Increased blood pressure after giving birth
• Fibrotic reactions (scar tissue) affecting your heart, lungs or abdomen. In case you are treated with Cabergoline for a long period, your physician will check before starting treatment whether your heart, lungs and kidneys are in good condition. They will also have an echocardiogram (an ultrasound test of the heart) taken before treatment is started and at regular intervals during treatment. If fibrotic reactions occur treatment will have to be discontinued
• Low blood pressure (postural hypotension) or you are taking any medicines to lower your blood pressure.
If you have just given birth, you may be more at risk of certain conditions. These may include high blood pressure, heart attack, convulsion, stroke, or mental health problems. Therefore, your doctor will need to check your blood pressure regularly during the treatment. Speak immediately to your doctor if you experience high blood pressure, chest pain or unusually severe or persistent headache (with or without vision problems).

DRUG INTERACTIONS
Tell your doctor or pharmacist if you are taking, have recently taken or might take any other medicines, including medicines obtained without a prescription.
Cabergoline may affect the way some medicines work, and some medicines may affect how Cabergoline works or increase the risk of side effects.
In particular, tell your doctor if you are taking:
• **Medicines used to treat mental health conditions** (such as chlorpromazine, haloperidol, phenothiazines, butyrophenones, or thioxanthenes), as they may reduce the effect of Cabergoline.
• **Medicines used to treat nausea and vomiting** (such as metoclopramide), as they may reduce the effectiveness of Cabergoline.
• **Other ergot medicines** (such as bromocriptine, pergolide, lisuride, ergotamine, dihydroergotamine, or ergometrine), as taking them with Cabergoline is generally not recommended.
• **Macrolide antibiotics** (such as erythromycin), as they may increase the amount of Cabergoline in your body and increase the risk of side effects.
• **Medicines used to lower blood pressure,** as taking them with Cabergoline may cause your blood pressure to become too low, making you feel dizzy or faint.

OVERDOSAGE
Symptoms of overdose would likely be those of over-stimulation of dopamine receptors e.g., nausea, vomiting, gastric complaints, postural hypotension, confusion/psychosis or hallucinations.
Supportive measures should be taken to remove any unabsorbed drug and maintain blood pressure, if necessary. In addition, the administration of dopamine antagonist drugs may be advisable.

PRESENTATION
Caberline 0.5mg Tablets available in blister packs of 1x10's & 2x10's.

Dosage:
As directed by the physician.

Instructions:
Store below 30˚C in a dry place, protect from light.
To be dispensed on the prescription of a registered medical practitioner only. Keep out of the reach of children.

خوراک : ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔
ہدایات : دوا کو ۳۰ ڈگری سینٹی گریڈ سے کم درجہ حرارت پر روشنی سے بچا کر خشک جگہ پر رکھیں۔
صرف رجسٹرڈ ڈاکٹر کے نسخے پر ہی فروخت کریں۔
بچوں کی پہنچ سے دور رکھیں۔

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