AURORA REMEDIES

CABERGOLINE

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Cabergoline is an oral medication, indicated for the treatment of hyperprolactinemic disorders, either idiopathic or due to pituitary adenomas.

Chemical: Cabergoline
CAS Name: (6~{a}~{R},9~{R},10~{a}~{R})-~{N}-[3-(dimethylamino)propyl]-~{N}-(ethylcarbamoyl)-7-prop-2-enyl-6,6~{a},8,9,10,10~{a}-hexahydro-4~{H}-indolo[4,3-fg]quinoline-9-carboxamide
Molecular Formula: C26H37N5O2
Molecular Weight: 451.615.

Prescription Medicine

CLINICAL PHARMACOLOGY

The secretion of prolactin by the anterior pituitary is mainly under hypothalamic inhibitory control, likely exerted through release of dopamine by tuberoinfundibular neurons. Cabergoline is a long-acting dopamine receptor agonist with a high affinity for D2 receptors. Results of in vitro studies demonstrate that cabergoline exerts a direct inhibitory effect on the secretion of prolactin by rat pituitary lactotrophs. Cabergoline decreased serum prolactin levels in reserpinized rats. Receptor-binding studies indicate that cabergoline has low affinity for dopamine D1, α1- and α2-adrenergic, and 5-HT1- and 5-HT2-serotonin receptors.

INDICATIONS AND USAGE

Cabergoline is indicated for the treatment of hyperprolactinemic disorders, either idiopathic or due to pituitary adenomas.

CONTRAINDICATIONS

Cabergoline ix contraindicated in patients with:
- Uncontrolled hypertension or known hypersensitivity to ergot derivatives.
- History of cardiac valvular disorders, as suggested by anatomical evidence of valvulopathy of any valve, determined by pre-treatment evaluation including echocardiographic demonstration of valve leaflet thickening, valve restriction, or mixed valve restriction-stenosis.
- History of pulmonary, pericardial, or retroperitoneal fibrotic disorders.)

PRECAUTIONS

General
Initial doses higher than 1.0 mg may produce orthostatic hypotension. Care should be exercised when administering cabergoline with other medications known to lower blood pressure.
Postpartum Lactation Inhibition or Suppression
Cabergoline is not indicated for the inhibition or suppression of physiologic lactation. Use of bromocriptine, another dopamine agonist for this purpose, has been associated with cases of hypertension, stroke, and seizures.
Hepatic Impairment
Since cabergoline is extensively metabolized by the liver, caution should be used, and careful monitoring exercised, when administering cabergoline to patients with hepatic impairment.
Psychiatric
Pathological gambling, increased libido, and hypersexuality have been reported in patients treated with dopamine agonists including cabergoline. This has been generally reversible upon reduction of the dose or treatment discontinuation.

ADVERSE REACTIONS

Adverse events that were reported at an incidence of < 1.0% in the overall clinical studies follow.
Body As a Whole: facial edema, influenza-like symptoms, malaise.
Cardiovascular System: hypotension, syncope, palpitations.
Digestive System: dry mouth, flatulence, diarrhea, anorexia.
Metabolic and Nutritional System: weight loss, weight gain.
Nervous System: somnolence, nervousness, paresthesia, insomnia, anxiety.
Respiratory System: nasal stuffiness, epistaxis.
Skin and Appendages: acne, pruritus.
Special Senses: abnormal vision.
Urogenital System: dysmenorrhea, increased libido.
The safety of cabergoline has been evaluated in approximately 1,200 patients with Parkinson's disease in controlled and uncontrolled studies at dosages of up to 11.5 mg/day which greatly exceeds the maximum recommended dosage of cabergoline for hyperprolactinemic disorders. In addition to the adverse events that occurred in the patients with hyperprolactinemic disorders, the most common adverse events in patients with Parkinson's disease were dyskinesia, hallucinations, confusion, and peripheral edema. Heart failure, pleural effusion, pulmonary fibrosis, and gastric or duodenal ulcer occurred rarely. One case of constrictive pericarditis has been reported.

DOSAGE AND ADMINISTRATION

The recommended dosage of cabergoline for initiation of therapy is 0.25 mg twice a week. Dosage may be increased by 0.25 mg twice weekly up to a dosage of 1 mg twice a week according to the patient's serum prolactin level. Before initiating treatment, cardiovascular evaluation should be performed and echocardiography should be considered to assess for valvular disease.
Dosage increases should not occur more rapidly than every 4 weeks, so that the physician can assess the patient's response to each dosage level. If the patient does not respond adequately, and no additional benefit is observed with higher doses, the lowest dose that achieved maximal response should be used and other therapeutic approaches considered. Patients receiving long term treatment with cabergoline should undergo periodic assessment of their cardiac status and echocardiography should be considered. After a normal serum prolactin level has been maintained for 6 months, cabergoline may be discontinued, with periodic monitoring of the serum prolactin level to determine whether or when treatment with cabergoline should be reinstituted. The durability of efficacy beyond 24 months of therapy with cabergoline has not been established.

STORAGE

Store at room temperature between 59-86 degrees Fahrenheit (15-30 degrees Celsius), away from light and moisture. Do not store in the bathroom. Keep all medicines away from children and pets.Do not flush medications down the toilet or pour them into a drain unless instructed to do so. Properly discard this product when it is expired or no longer needed. Consult your pharmacist or local waste disposal company for more details about how to safely discard your product.

PRESENTATION:

1mg tablets in blister packs of 10 tablets – 5 blisters per box (50 tablets).

AURORA REMEDIES, SINGAPORE
www.aurora-remedies.com