Cabergoline

(cabergoline)

THERAPEUTIC CLASS
Dopamine receptor agonist

DEA CLASS
RX

INDICATIONS
Treatment of hyperprolactinemic disorders, either idiopathic or due to pituitary adenomas.

ADULT DOSAGE
Adults: Initial: 0.25mg 2X/week. Titrate: May increase by 0.25mg 2X/week q4 weeks up to 1mg 2X/week according to serum prolactin level. D/C after normal serum prolactin level has been maintained for 6 months and periodically monitor serum prolactin level to determine whether or when therapy should be reinstituted. Elderly: Start at lower end of dosing range.

ADMINISTRATION
Oral route.

HOW SUPPLIED
Tab: 0.5mg* *scored [8 tabs]

CONTRAINDICATIONS
Uncontrolled HTN, history of pulmonary, pericardial, or retroperitoneal fibrotic disorders, and history of cardiac valvular disorders, as suggested by anatomical evidence of valvulopathy of any valve, determined by pretreatment evaluation including echocardiographic demonstration of valve leaflet thickening, valve restriction, or mixed valve restriction-stenosis.

WARNINGS/PRECAUTIONS
Avoid with pregnancy-induced HTN (eg, preeclampsia, eclampsia, postpartum HTN) unless potential benefits outweigh the risks. All patients should undergo cardiovascular (CV) evaluation, including echocardiogram; do not administer if valvular disease is detected. Cardiac valvulopathy, pleural/pericardial and retroperitoneal fibrosis reported; perform echocardiographic monitoring q6-12 months or as clinically indicated with the presence of signs/symptoms (eg, edema, new cardiac murmur, dyspnea, congestive heart failure). D/C if new valvular regurgitation, valvular restriction, or valve leaflet thickening develops. Do not use with history of cardiac/extracardiac fibrotic disorders. Fibrotic disorders may have an insidious onset; monitor for manifestations of progressive fibrosis. Following diagnosis of pleural effusion or pulmonary fibrosis, d/c of therapy was reported to result in improvement of signs/symptoms. Use the lowest effective dose and periodically reassess the need for continuing therapy. Caution with hepatic impairment or severe hepatic insufficiency (Child-Pugh score >10). Initial doses >1mg may produce orthostatic hypotension. Not indicated for inhibition/suppression of physiologic lactation. Pathological gambling, increased libido, and hypersexuality reported; generally reversible upon dose reduction or d/c. Caution in elderly.

ADVERSE REACTIONS
N/V, constipation, abdominal pain, headache, dizziness, postural hypotension, fatigue, somnolence, depression, asthenia, dyspepsia, vertigo.

DRUG INTERACTIONS
Avoid with D_2-antagonists (eg, phenothiazines, butyrophenones, thioxanthenes, metoclopramide). Caution with other drugs that lower BP or with other medications associated with valvulopathy.

PREGNANCY AND LACTATION
Category B, not for use in nursing.

MECHANISM OF ACTION
Dopamine receptor agonist; suspected to exert a direct inhibitory effect on secretion of prolactin, decreasing serum prolactin levels.

PHARMACOKINETICS
Absorption: C_{max}=30-70pg/mL, T_{max}=2-3 hrs. **Distribution:** Plasma protein binding (40-42%). **Metabolism:** Liver via hydrolysis (extensive). **Elimination:** Urine (22%, <4% unchanged), feces (60%); $T_{1/2}$=63-69 hrs.

ASSESSMENT
Assess for uncontrolled HTN, history of pulmonary/pericardial/retroperitoneal/cardiac/extracardiac fibrotic disorders, history of cardiac valvular disorders, known hypersensitivity to ergot derivatives, pregnancy-induced HTN, valvular disease, hepatic impairment, pregnancy/nursing status,

possible drug interactions, or any other conditions where treatment is contraindicated or cautioned. Perform CV evaluation and echocardiography prior to therapy. Obtain baseline erythrocyte sedimentation rate (ESR), chest x-ray, and SrCr.

MONITORING

Monitor for signs/symptoms of cardiac valvulopathy, pleuropulmonary disease, renal insufficiency, ureteral/abdominal vascular obstruction, cardiac failure, manifestations of progressive fibrosis, hepatic impairment, pathological gambling, increased libido, and hypersexuality. Periodically reassess the need for continuing therapy. Conduct clinical and diagnostic monitoring to assess the risk of cardiac valvulopathy. Monitor serum prolactin levels, ESR, chest x-ray, and SrCr.

PATIENT COUNSELING

Instruct to notify physician if pregnancy is suspected or confirmed, or if plan to become pregnant. Inform to consult physician if SOB, persistent cough, difficulty in breathing when lying down, swelling of extremities, and other adverse reactions develop.

STORAGE

20-25°C (68-77°F).