CLENBUTEROL®

Clenbuterol Hydrochloride, Ph.Eur.5.5, Micronized grade
Bronchodilator and Anti-asthmatic drug

Molecular Formula: $C_{12}H_{18}C_{12}N_2OHCl$
Molecular Weight: 313.7 gm/mol.

DESCRIPTION:
Clenbuterol®, brand of Clenbuterol Hydrochloride tablets, is a direct-acting sympathomimetic with mainly beta-adrenergic activity and a selective action on $beta_2$ receptor (a $beta_2$ agonist). Each tablet contains 20 and 40 microgram of Clenbuterol Hydrochloride, Ph.Eur.5.5, micronized grade. It is designated chemically as 1-(4-Amino-3,5-dichlorophenyl)-2-*tert*-butylaminoethanol hydrochloride.It occurs as white or almost white crystalline powder. Soluble in water and in alcohol; slightly soluble in acetone. 5% solution in water has a pH of 5.0 to 7.0.

Each tablet also contains lactose monohydrate, sodium starch glycolate, polyvidone 25,000, microcrystalline cellulose and magnesium stearate as excipients. The 40 mcg tablet also contains yellow ferric oxide (E172) and indigo carmine aluminium lake (E132) as colouring agent and the 20 mcg tablet do not contains any colouring agent.

Clenbuterol® acts through selective stimulation of the β2-receptors. It differs from other β2-specific sympathomimetic by the low effective dose, long biological half-life, rapid and complete absorption by oral administration. It has properties similar to those of salbutamol. It is used as a bronchodilator in the management of reversible airways obstruction, as in asthma and certain patients with chronic obstructive pulmonary disease.

CLINICAL PHARMACOLOGY:
Clenbuterol® is a beta-2-adrenergic agonist relaxing airway muscle tissue and providing bronchodilation. Clenbuterol® increases aerobic capacity, pulse, perspiration, and blood pressure; increasing basal metabolic rate and temperature.
Clenbuterol® exerts a pronounced action on the metabolism; with both anabolic and anti-catabolic effects. Clenbuterol® has been demonstrated to increase the rate of protein synthesis in muscle tissue and to increase protein to fat ratio.
Clenbuterol® has an oral bioavailability of 89 to 98 percent with a half-life of 36 to 48 hours after oral use.

INDICATIONS:
Prophylaxis and therapy of bronchospasm in bronchial asthma, chronic obstructive pulmonary disease, chronic bronchitis and bronchitis associated with emphysema.

CONTRAINDICATIONS:
The use of Clenbuterol® is contraindicated in the following:
1. Children or geriatric patients.
2. Women who are pregnant or may become pregnant.
3. In patients with cardiovascular disease, hypertension, or history of cardiovascular events.
4. In patients with current or historical myocardial infarction; liver or renal insufficiency; tachycardia, other cardiac dysrhythmias, myocarditis, aortic stenosis, mitral valve prolapse, hypokalemia or unmanaged diabetes.
5. In patients with elevated intraocular pressure, adrenal tumors and hyperthyroidism (Thyrotoxicosis).
6. Concomitantly with other CNS stimulants, adrenergic, or hypertensive agents.
7. In patients with hypersensitivity to clenbuterol or other ingredients.
8. In patients with a history of GI bleeding, ulceration of the stomach, or gastritis.

PRECAUTIONS:
Clenbuterol® can produce significant cardiovascular effects in some patients as evidenced by elevated pulse rate, blood pressure changes and/or ECG changes. The patients who use Clenbuterol® should be monitored for changes in heart rate, arterial blood pressure, and/or oxygen saturation of arterial blood. Urticaria and tachycardia may be observed during the first few days of treatment; if this occurs; discontinue and consult physician immediately.
Not for use by nursing mothers due to unknown effects from excreted milk.
During initial treatment, increased attention and caution should be exercised when driving or using machinery as Clenbuterol® may produce tremor and anxiety.
Use in pregnancy: Although animal experiments showed no teratogenic effects, Clenbuterol® should not be used during the 1st trimester of pregnancy because of its labour-inhibiting action and it should not be taken shortly before childbirth.

WARNINGS: Keep out of the reach of children. In case of accidental ingestion, contact a physician immediately.

OVERDOSAGE:
Overdose Clenbuterol Hydrochloride may be characterized by: tremor of the fingers, significant sweating, headache, and tachycardia. Extreme and severe overdose cases have presented with collapse, seizures, coma, and death. In cases of overdose, Clenbuterol® use should be discontinued. Stomach lavage with activated charcoal, forced diuresis, and symptomatic therapy should be performed. In doses higher than prescribed, Clenbuterol® may aggravate existing asthma. In all such cases, seek emergency medical assistance.

Overdose Treatment: Symptoms disappear immediately upon administration of a β-blocker. Treatment of overdosage should be cumulative at short interval, depending upon the clinical picture. It should be noted that the action of Clenbuterol® can extend beyond that of the antagonist, so that it may be necessary to repeat the administration of the β-blocker.

DRUG INTERACTION:
Clenbuterol® may enhance the action and side effects of other beta-adrenergic mimetics, theophylline, and anti-cholinergics.
Beta-blockers may inhibit the action of Clenbuterol®; thus a risk of bronchospasm exists with concomitant treatment.
Clenbuterol may alter the action of hypoglycemic agents, insulin sensitizers, insulin, and insulin secretagogues. Adjustment of dosage may be required. Monitor for loss of glycemic control.
There is an increased risk of arrhythmia with concomitant administration of chalogenated carbohydrates for narcosis; e.g. during surgery.
Concomitant use with diuretics and digitalis glycosides requires periodic monitoring of serum electrolytes.
Concomitant use with other CNS stimulants should be avoided given the cumulative stimulatory effects and increased risk of adverse events.
Concomitant use with MAO-inhibitors and tri-cyclic antidepressants may produce cardiac dysrhythmias.

ADVERSE REACTIONS:
CNS: Nervousness, tremor, ataxia, dizziness, restlessness, agitation, anxiety, insomnia, and headache.
Cardiovascular: palpitations, tachycardia, hypertension, angina, ventricular extrasystole, myocardial infarction; rarely subaortic stenosis.
Metabolic: Increased basal temperature/pyrexia, diaphoresis and electrolyte disturbances; elevated serum CK; thrombocytopenia, hypoglycaemia, rarely thyrotoxicosis.
Respiratory: paradoxical bronchial spasm.
Other: Urticaria, facial oedema, cramping, relaxation of uterine lining, gastric irritation, nausea, vomiting, increased appetite, dry mouth, constipation, cough and local irritation, difficulty in urination & urinary retention, and hypersensitivity reactions.

DOSAGE AND ADMINISTRATION:
A usual dose is 20 microgram twice daily by mouth; doses of up to 40 microgram twice daily occasionally been given.

HOW SUPPLIED:
– **Clenbuterol®** 20 microgram is supplied in bottle of 200 white tablets
– **Clenbuterol®** 40 microgram is supplied in bottle of 100 green tablets

For shelf-life please refer to the imprint on the pack.

Keep out of reach of children.

Should be at controlled room temperatures 15-30°C (59-86°F)

Protect from sun light

This drug has not been shown to be safe and effective for the enhancement of athletic performance!

Manufactured and Distributed by: LA Pharma S.r.l.

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