PRESCRIBING INFORMATION

Entex® LA

Pseudoephedrine Hydrochloride 120 mg - Guaifenesin 600 mg
Sustained-Release Tablets

DECONGESTANT - EXPECTORANT

Purdue Pharma
575 Granite Court
Pickering, Ontario
L1W 3W8

DATE OF REVISION:
September 17, 2009

Control No.: 131853

PRESCRIBING INFORMATION

NAME OF DRUG

Entex® LA

Pseudoephedrine Hydrochloride 120 mg - Guaifenesin 600 mg

Sustained-Release Tablets

THERAPEUTIC CLASSIFICATION

Decongestant - Expectorant

ACTIONS

Pseudoephedrine is an alpha-adrenergic receptor agonist (sympathomimetic) which produces vasoconstriction by stimulating alpha-receptors within the mucosa of the respiratory tract. Clinically, pseudoephedrine shrinks swollen mucous membranes, reduces tissue hyperemia, edema and nasal congestion, and increases nasal airway patency.

Guaifenesin promotes lower respiratory tract drainage by thinning bronchial secretions, lubricates irritated respiratory tract membranes through increased mucus flow, and facilitates removal of viscous, inspissated mucus. As a result of these combined actions, sinus and bronchial drainage is improved, and dry, nonproductive coughs become more productive.

A study was conducted in 30 healthy subjects to determine the bioavailability and pharmacokinetics of the **Entex LA** tablet under steady state conditions relative to corresponding immediate release pseudoephedrine hydrochloride and guaifenesin liquids.

One **Entex LA** tablet was administered every 12 hours for 9 consecutive doses, and the immediate release liquids (300 mg guaifenesin and 60 mg pseudoephedrine HCl) were administered four times daily for 18 consecutive doses.

Plasma levels of guaifenesin and pseudoephedrine for the tablets and for the immediate release liquids were determined at various times over a 12 hour dosing interval.

The extent of absorption of guaifenesin and pseudoephedrine as measured by the AUC (area under the plasma concentration-time curve) were comparable for **Entex LA** and the immediate release liquids.

INDICATIONS

Entex LA reduces nasal congestion, helps decongest sinus openings and promote nasal and/or sinus drainage. **Entex LA** helps bronchial tubes by thinning mucus and loosening phlegm in conjunction with other measures for productive (wet) coughs such as ensuring hydration by drinking water and increasing air humidity, as needed.

CONTRAINDICATIONS

Entex LA is contraindicated in individuals with known hypersensitivity to sympathomimetics, severe hypertension, or in patients receiving MAO inhibitors.

WARNINGS/PRECAUTIONS

This product should not be taken by persons who have high blood pressure, peripheral vascular disease, heart or thyroid disease, prostate disease, glaucoma, diabetes, persistent/chronic cough; or by pregnant/nursing women, or by persons taking high blood pressure medication or an antidepressant containing a monoamine oxidase inhibitor, except under the advice and supervision of a physician.

Information for Patients:

- Consult a physician if symptoms worsen, last for more than a week, are accompanied by high fever (>38^{o}C) or the production of thick yellow/green phlegm, or if you have peripheral vascular disease, glaucoma, high blood pressure, heart or thyroid disease, diabetes or prostate disease.
- Do not use if you are allergic to any of the ingredients. Discontinue use if allergic reactions such as wheezing, rash or itching develop.
- Do not give with any other cough and cold medications since harm may occur, unless recommended by a healthcare practitioner.
- Consult a healthcare practitioner prior to combining with any other medications, including natural health products, prescription drugs or nonprescription drugs.
- Do not exceed recommended single and maximum daily dose. Overdose may result in harm.

In Case of Overdose: Call a Regional Poison Control Centre and/or your doctor and/or your local emergency number immediately, or go to your local hospital emergency, even if you do not notice any signs or symptoms. Keep all medicines out of the reach of children.

Do not crush or chew tablets.

Drug Interactions: **Entex LA** should not be used in patients taking other sympathomimetics or MAO inhibitors.

Drug/Laboratory Test Interactions: Guaifenesin has been reported to interfere with clinical laboratory determinations of urinary 5-hydroxyindole-acetic acid (5-HIAA) and urinary vanillylmandelic acid (VMA).

Use in Pregnancy: Animal reproduction studies have not been conducted with **Entex LA**. It is also not known whether **Entex LA** can cause fetal harm when administered to a pregnant woman or can affect reproduction capacity. **Entex LA** should not be used in pregnancy unless the potential benefits outweigh the possible risks.

Nursing Mothers: It is not known whether the drugs in **Entex LA** are excreted in human milk. Because many drugs are excreted in human milk and because of the potential for serious adverse reactions in nursing infants, a decision should be made whether to discontinue nursing or to discontinue the product, taking into account the importance of the drug to the mother.

Use in Children: **Entex LA** is not recommended for children under 12 years of age.

ADVERSE REACTIONS

Possible adverse reactions include nervousness, insomnia, restlessness, dizziness, headache, nausea, or gastric irritation. These reactions rarely, if ever, require discontinuation of therapy. Chest tightness has been reported on occasion. Urinary retention may occur in patients with prostatic hypertrophy.

SYMPTOMS AND TREATMENT OF OVERDOSE

The treatment of overdosage should provide symptomatic and supportive care. If the amount ingested is considered dangerous or excessive, induce vomiting with ipecac syrup unless the patient is convulsing, comatose, or has lost the gag reflex, in which case perform gastric lavage using a large bore tube. If indicated, follow with activated charcoal and saline cathartic. Since the effects of **Entex LA** may last up to 12 hours, continue treatment for at least that length of time.

DOSAGE AND ADMINISTRATION

Adults and children 12 years of age and over: one (1) tablet twice daily (every 12 hours), maximum 2 tablets daily.

Do not exceed the single and maximum daily dose. Do not use for longer than 7 days.

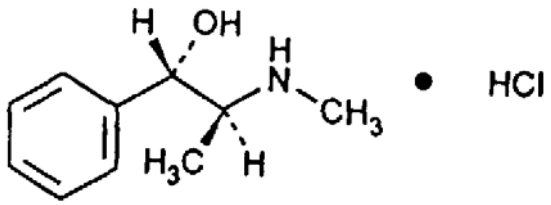
Entex LA is not recommended for children under 12 years of age.

Tablets **may be broken in half** for ease of administration without affecting release of medication but **should not be crushed or chewed** prior to swallowing.

PHARMACEUTICAL INFORMATION

Proper Name: Pseudoephedrine Hydrochloride

Structural Formula:



Molecular Formula: $C_{10}H_{15}NO \cdot HCl$

Chemical Name: Benzenemethanol, alpha-[(1-methylamino)ethyl]-, hydrochloride (R*S*), (±).

Molecular Weight: 201.69

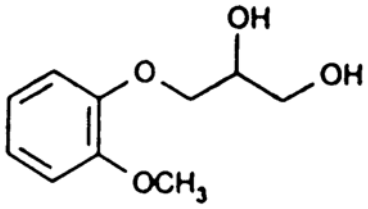
Appearance: Fine white, to off-white, crystalline powder, having a characteristic odor.

Solubility: Very soluble in water, freely soluble in alcohol.

Melting Range: 182-186°C.

Proper Name: Guaifenesin

Structural Formula:



Molecular Formula: $C_{10}H_{14}O4$

Chemical Name: 3-(2-methoxyphenoxy)-1,2-propanediol

Molecular Weight: 198.22

Appearance: White to slightly gray, crystalline powder. May have a slight characteristic odor.

Solubility: Soluble in water, in alcohol, in chloroform, and in propylene glycol; sparingly soluble in glycerin.

Melting Range: 78-82°C.

AVAILABILITY

Each yellow, capsule-shaped, scored tablet is imprinted with "Entex LA" on one side and is unmarked on the scored side. Each tablet contains: pseudoephedrine hydrochloride 120 mg and guaifenesin 600 mg in a special base to provide a prolonged 12-hour therapeutic effect.

Non-Medicinal Ingredients:

Each tablet contains compressible sugar, D&C Yellow No. 10, FD&C Yellow No. 6, hydroxypropylcellulose, hydroxypropyl methylcellulose, magnesium stearate, polyethylene glycol, silicon dioxide, stearic acid and titanium dioxide.

Supplied in cartons of 16 individually foil-packed tablets.

Storage Recommendations:

Store below 30°C protected from moisture.

REFERENCES

1. Norwich Eaton Pharmaceuticals, Inc. The steady-state pharmacokinetics and bioavailability of a controlled release ENTEX LA tablet relative to corresponding immediate release liquids in humans. Final Report. Medical Project No. 91010-806.75-0968 and Research Project No. 806.03.51AA (June 17) 1993.

2. Federal Register, 41 (176): 38312. Establishment of a monograph for OTC cold, cough, allergy, bronchodilator and antiasthmatic products, (September 9) 1976.