

DATA SHEET

Ephedrine Hydrochloride

PRESENTATION

Ephedrine Hydrochloride BP Tablets: 30mg. White round 5mm normal Convex tablets.

Each 30mg tablet contains 30mg Ephedrine Hydrochloride

USES

Actions

Clinical Uses. Ephedrine is a sympathomimetic agent with direct and indirect effects on adrenergic receptors. It has alpha- and beta-adrenergic activity and has pronounced stimulating effects on the nervous system. Ephedrine is useful in relieving bronchoconstriction and mucosal congestion associated with bronchial asthma, asthmatic bronchitis, chronic bronchitis, and bronchial spasms. It is often used prophylactically to prevent asthmatic attacks and is used as a nasal decongestant, as a mydriatic, and in certain allergic disorders. Although its bronchodilator action is weaker than that of isoproterenol, its oral effectiveness and prolonged duration of action make it valuable in the treatment of these conditions. Because of their oral effectiveness and greater bronchiolar selectivity, terbutaline and albuterol are gradually replacing Ephedrine for bronchodilation.

Pharmacokinetics Ephedrine increases arterial blood pressure in humans both by peripheral vasoconstriction and by cardiac stimulation. Heart rate and cardiac output are increased. Cardiovascular responses to Ephedrine may, however, be rather variable. In some cases, arterial blood pressure is not elevated and the peripheral resistance has been reported as increased, decreased, or unchanged. A single 25mg dose of Ephedrine Hydrochloride has been shown to increase heart rate and systolic blood pressure and reduce diastolic blood pressure without significant effects on psychomotor performance. In another study, systolic and diastolic blood pressure were increased while pulse rate was decreased after a 1mg.kg¹ dose of Ephedrine Hydrochloride.

Ephedrine has been shown to stimulate oxygen uptake and thermogenesis in humans. It relaxes bronchial smooth muscle and produces endocrine responses similar to, but much less marked than those produced by epinephrine. Hyperglycemia has been reported following Ephedrine administration. The central stimulant effects of

Ephedrine are more marked than those of epinephrine. In general, the effects of ephedrine are similar to those observed with epinephrine but are slower in onset, less potent, and longer in duration. However, in the uterus, Ephedrine produces dilatation of uterine muscle; Ephedrine is also less effective than epinephrine in elevating the blood sugar concentration.

A dose of 60-90mg ephedrine was required to produce a diastolic blood pressure of 90mmHg or above in healthy volunteers in a dose-ranging study using 30-90mg Ephedrine. The greatest change in heart rate found in this study was 12bpm after a 90mg dose.

Ephedrine produced a significant increase in specific airway conductance 1h after administration of a 25mg dose to patients with obstructive airways disease. The significant bronchodilatory effect was maintained over 4 h. Mean heart rate was significantly raised at 2 to 5h. Significant but mild stimulation of the human CNS occurs following a 50mg oral dose as assessed by increased tapping rates and ability of subjects to detect that they had received an active drug. Insomnia is a common side effect of therapeutic doses of Ephedrine. Amphetamine-like psychoses occur rarely in chronic Ephedrine abuse.

The preferred analytical technique for the determination of Ephedrine in plasma and urine is gas-liquid chromatography with electron capture detection. The limit of sensitivity is 2mg/L.

Ephedrine is rapidly and completely absorbed after oral administration. Maximum plasma concentrations of 45 to 140mg/L are obtained after a single oral dose of 22mg Ephedrine hydrochloride. It is rapidly and extensively distributed throughout the body, with accumulation in the liver, lungs, kidneys, spleen and brain. The volume of distribution ranges from 122 to 320L. The mean plasma half-life is about 6h (range 3-11h). Clearance is 13.6-44.3L.h⁻¹. Up to 95% of an oral dose may be excreted in the urine in 24 h; 55 to 75% as unchanged drug and the rest as metabolites. The urinary excretion of Ephedrine is pH dependent and is increased in acidic urine. In alkaline urine, excretion is reduced to 20-35% of the dose. Renal disease is likely to impair the elimination of Ephedrine with a corresponding increase in half-life. Wide interindividual variation in plasma levels has been observed after oral dosing. No change in disposition kinetics occurs on repeated dosing, suggesting that tolerance is a result of pharmacodynamic rather than pharmacokinetic factors.

Placental transfer of Ephedrine occurs and fetal blood levels of approximately 70% of those of maternal blood have been reported. Ephedrine is excreted in breast milk at concentrations that can affect the infant.

INDICATIONS

Ephedrine hydrochloride in doses of 15 to 60mg by mouth 3 to 4 times a day is of value in preventing bronchial spasm in asthma. Ephedrine salts in doses of up to 60mg 3 times daily are occasionally used in the treatment of narcolepsy. Ephedrine salts have been given by mouth for allergic disorders such as hay fever or urticaria.

DOSAGE AND ADMINISTRATION

Adults:

Therapeutic response and sensitivity to the adverse effects of Ephedrine vary widely in patients. Doses of 15 to 60mg are usually given three times daily. The upper dose limit is usually set by central nervous system effects. Because of its central stimulant effects, Ephedrine should not usually be given after 4pm. Tolerance to the effects of Ephedrine may develop on long-term usage.

CONTRAINDICATIONS

- 1. Ischemic heart disease**
since Ephedrine has positive inotropic and chronotropic effects on the heart, it should be avoided in patients with ischemic heart disease.
- 2. Hypertension**
Ephedrine increases blood pressure in humans and should be avoided in hypertensive patients. Over-the-counter acquisition of sympathomimetics should always be considered in hypertensive patients whose blood pressure control has suddenly deteriorated.
- 3. Thyrotoxicosis**
Patients with hyperthyroidism may be hypersusceptible to the effects of Ephedrine.
- 4. Prostatic hypertrophy**
Acute urinary retention may be precipitated in patients with prostatic hypertrophy.

WARNINGS AND PRECAUTIONS

Do not prescribe to neonates, or pregnant women.

Do not prescribe for patients with ischemic heart disease, hypertension, thyrotoxicosis, or prostatic hypertrophy.

Ephedrine is excreted in breast milk. Use by nursing mothers is not recommended because of the higher than usual risk for infants.

Caution should be used in infants because of the higher than usual risks with the use of ephedrine in these patients.

In the absence of information to the contrary, this medicine is presumed to be safe or unlikely to produce an effect on the ability to drive or use machinery

ADVERSE EFFECTS

In large doses ephedrine may give rise to side effects such as giddiness, headache, nausea, vomiting, sweating, thirst, tachycardia, precordial pain, palpitations, muscular weakness and tremors, anxiety, restlessness and insomnia.

Some patients may exhibit these symptoms with the usual therapeutic doses.

INTERACTIONS

The plasma half-life of dexamethasone was decreased when it was administered with ephedrine.

OVERDOSAGE

Recommended treatment consists of the following:

- Protecting patient's airway and supporting ventilation and perfusion.
- Monitoring and maintaining, within acceptable limits, patient's vital signs, blood gases, and serum electrolytes. Also monitoring electrocardiogram continuously.
- In alert patients, removing ephedrine from the stomach by inducing emesis with ipecac, followed by activated charcoal (as long as ileus is not present); in depressed or hyperactive patients, removing ephedrine by airway-protected gastric lavage.
- For supraventricular or ventricular tachycardias, administering a beta-adrenergic blocker, such as propranolol, by slow intravenous administration if necessary to control cardiac arrhythmias; however, in asthmatic patients, a cardioselective beta-adrenergic blocker (eg., acebutolol, atenolol, metoprolol) may be more appropriate. The beta-blocker should be used with caution in asthmatic patients because it could induce severe bronchospasm or an asthmatic attack.

- For marked hypertension, administering of intravenous fluids, elevation of legs, or administration of inotropic vasopressors, such as norepinephrine, should be considered.
- To control convulsions, administering diazepam. For refractory seizures, general anesthesia with thiopental or halothane and paralysis with a neuromuscular blocking agent may be necessary.
- Controlling pyrexia by cool applications and by slow intravenous administration of 1 mg of dexamethasone per kg of body weight.

PHARMACEUTICAL PRECAUTIONS

Shelf life 36 months from date of manufacture
Store below 25 deg C.

MEDICINE CLASSIFICATION

Controlled Drug B2

PACKAGE QUANTITIES

Ephedrine Tablets 30mg 100's

FURTHER INFORMATION

Nil

NAME AND ADDRESS

PSM Healthcare Ltd - Trading as API Consumer Brands
PO Box 76 401
Manukau City
Auckland 2241
Phone 09-279-7979

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