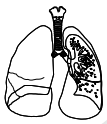

Sultolin® 100 Inhaler

Salbutamol

Short Acting Bronchodilator Inhalant

COMPOSITION

Sultolin® 100 Inhaler : Metered-dose aerosol delivers Salbutamol BP 100 µg per puff.

PHARMACOLOGY

Salbutamol is a selective β_2-adrenoceptor agonist with effects on smooth and skeletal muscle. These include bronchodilation, relaxation of uterine muscle and tremor. Binding of a β-stimulant to the receptor unit activates to generate cyclic AMP from ATP. Cyclic AMP inhibits actin-myosin linkage thus causing relaxation of smooth muscle.

Salbutamol also has an anti-allergic effect on mast cells causing inhibition of release of bronchoconstrictor mediators including histamine, neutrophil chemotactic factor (NCF) and prostaglandin D_2 (PGD_2).

All of these events contribute to the effectiveness of Salbutamol as inhibitor of the early asthmatic response, indicative of their ability to provide symptomatic relief in asthma. As such they are the first line treatment used on an "as required" basis for the reversal of acute asthma attacks.

In general, only 10% or less of an inhaled drug from a pressurized aerosol is deposited in the airways and the reminder is swallowed.

No significant metabolism is done by the lungs. The reminder drug that is swallowed has a considerable presystemic metabolism. The major metabolite is a sulphate conjugate, salbutamol 4-O-sulfate which is probably formed in the bowel mucosa and is inactive.

Because of its gradual absorption from the bronchi, systemic levels of salbutamol are low after inhalation of recommended dose. Peak plasma concentration occurs within 2-4 hours.

The proportion of circulating drug that is protein bound is approximately 10%.

After inhalation via conventional aerosol, the pattern of excretion is similar to that after oral treatment. Approximately 72% of the inhaled dose are excreted within 24 hours in the urine and consist of 28% of unchanged drug and 44% as metabolite. About 4% of the dose are excreted in feces. Salbutamol has an average elimination half-life of 3.8 hours.

The plasma half-life of salbutamol has been estimated to range from about 2 to as much as 7 hour.

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INDICATION

1. Salbutamol is indicated both for treatment and prophylaxis of bronchial asthma, and also for treatment of other conditions such as bronchitis and emphysema with associated reversible airway obstruction.
2. Salbutamol acts rapidly and may be used when necessary to relieve attacks of acute dyspnoea.
3. It is given prophylactically to prevent Exercise-induced asthma.
4. Salbutamol inhaler is indicated for treating bronchospasm in patients with coexisting heart disease or hypertension.

DOSAGE AND ADMINISTRATION

Adults: For the relief of bronchospasm and for managing intermittent episodes of asthma, one or two inhalations may be administered as a single dose. The recommended dose for chronic maintenance or prophylactic therapy is two inhalations three or four times a day.

To prevent exercise-induced bronchospasm, two inhalations should be taken at least 15 minutes before exertion.

Children: One inhalation is the recommended dose for the relief of acute bronchospasm in the maintenance of episodic asthma or before exercise. One inhalation should be administered for three or four times a day for routine maintenance or prophylactic therapy. These dosage may be increased to two inhalations per dose, if necessary.

For optimum results, it should be used regularly. The bronchodilator effect of each administration of inhaled Salbutamol inhaler lasts for at least four hours, except in patients whose asthma is becoming worse. Such patients should be warned not to increase their use of inhaler, but should seek medical advice.

CONTRAINDICATION AND PRECAUTION

General: Salbutamol as with all sympathomimetic amines, should be used with caution in patients with cardiovascular disorders, especially coronary insufficiency, cardiac arrhythmia, and hypertension; in patients with convulsive disorders, hyperthyroidism, or diabetes mellitus; and in patients who are unusually responsive to sympathomimetic amines.

Information for patients: The action of salbutamol aerosol may last up to 6 hours and therefore should not be used more frequently than

recommended. Increasing the number of frequency of doses without consulting your physician can be dangerous. If recommended dosage does not provide relief of symptoms or symptoms become worse, seek immediate medical attention. While taking inhalation aerosol, other inhaled medicines should not be used unless prescribed.

SIDE EFFECT

Mild tremor and headache have been rarely reported. They usually disappear with continued treatment. There have been very rare reports of transient muscle cramps.

Hypersensitivity reactions including angioedema and urticaria, bronchospasm, hypotension and collapse have been reported very rarely.

Controlled clinical studies and other clinical experiences have shown that inhaled salbutamol, like other beta-adrenergic agonist drugs, can produce a significant cardiovascular effect in some patients, as measured by pulse rate, blood pressure, symptoms, and/or ECG changes.

As with other inhalation therapy, the potential for paradoxical bronchospasm should be kept in mind. If it occurs, the preparation should be discontinued immediately and alternative therapy should be instituted.

DRUG INTERACTION

Potentially hazardous interactions :

No interactions of this kind have been described.

Other significant interactions :

Other sympathomimetic aerosol bronchodilator should not be used concomitantly with salbutamol. If additional adrenergic drugs are to be administered by any route, they should be used with caution to avoid deleterious cardiovascular effects.

Treatment with diuretics may augment the hypokalemia that occurs with large doses of salbutamol. The effects of salbutamol are inhibited by β-antagonists such as propranolol.

Salbutamol should be administered with caution to patients being treated with monoamine oxidase inhibitors or tricyclic antidepressants, since the action of salbutamol on the vascular system may be potentiated.

Sultolin® 100 Inhaler

USE IN PREGNANCY AND LACTATION

Although there is no evidence that Sultolin® 100 inhaler is teratogenic, it should be used in the first trimester only if absolutely essential.

No adverse effects have been reported in the breast-fed babies of mothers taking the drugs by inhalation.

OVERDOSAGE

As with all sympathomimetic aerosol medications, cardiac arrest and even death may be associated with abuse. The preferred antidote for overdose with salbutamol inhaler is cardioselective beta-blocker, such as metoprolol tartarate. But β-blockers should be used with caution in patients with a history of bronchospasm. Dialysis is not appropriate treatment for overdose of salbutamol inhalation aerosol.

STORAGE CONDITION

- The inhaler should be stored below 30˚C, protected from direct sunlight, heat and from frost. The canister should not be broken, punctured or burnt, even when apparently empty.
- Keep away from eyes. Keep out reach of children.

HOW SUPPLIED

Sultolin® 100 inhaler : Each canister contains salbutamol BP 20 mg (minimum 200 puffs). Each puff delivers salbutamol BP 100 µg.