SALBUTAMOL

(also known as Albuterol)

Inhalation Aerosol / Solution / Powder

Comprehensive Medication Guide & Prescribing Information

Initial U.S. Approval: 1981 • Selective β2-Adrenergic Agonist (SABA)

IMPORTANT DISCLAIMER

This document is a comprehensive educational guide compiled in the style and tone of an official medication package insert / prescribing information leaflet. It is based on publicly available FDA-approved labeling (US: albuterol) and SmPC data (EU/UK: salbutamol) for products such as Ventolin® HFA, ProAir® HFA, and generics. It is NOT a substitute for professional medical advice, diagnosis, or treatment. Always consult a qualified healthcare provider and the official product labeling before using any medication.

HIGHLIGHTS OF PRESCRIBING INFORMATION

These highlights do not include all the information needed to use SALBUTAMOL (ALBUTEROL) safely and effectively. See full prescribing information for complete details.

INDICATIONS AND USAGE

Salbutamol is a short-acting beta2-adrenergic agonist (SABA) indicated for:

- Treatment or prevention of bronchospasm in patients aged 4 years and older with reversible obstructive airway disease (e.g., asthma).
- Prevention of exercise-induced bronchospasm in patients aged 4 years and older.

DOSAGE AND ADMINISTRATION

- For oral inhalation only.
- Treatment or prevention of bronchospasm (adults & children ≥4 years): 2 inhalations every 4 to 6 hours. Some patients may require only 1 inhalation every 4 hours. Do not exceed recommended frequency.
- Prevention of exercise-induced bronchospasm: 2 inhalations 15 to 30 minutes before exercise.
- Prime the inhaler before first use, after 2 weeks of non-use, or if dropped (typically 4 test sprays into the air).
- Clean the actuator at least once a week with warm water and allow to air-dry completely.

DOSAGE FORMS AND STRENGTHS

Inhalation aerosol (HFA): 90 mcg salbutamol (albuterol) base per actuation (equivalent to 108 mcg salbutamol sulfate). Nebulizer solutions and dry powder inhalers also available in various strengths.

CONTRAINDICATIONS

- Hypersensitivity to salbutamol/albuterol or any component of the formulation.
- Non-intravenous formulations must not be used to arrest uncomplicated premature labour or threatened abortion.

WARNINGS AND PRECAUTIONS

- Paradoxical bronchospasm: Discontinue immediately and institute alternative therapy.
- Deteriorating asthma: Increasing need for doses signals loss of control; re-evaluate and consider anti-inflammatory therapy (e.g., inhaled corticosteroids).
- Cardiovascular effects: Use with caution in patients with coronary insufficiency, cardiac arrhythmias, or hypertension.
- Do not exceed recommended dose — excessive use may be fatal.
- Hypokalemia may occur; monitor in high-risk patients.

ADVERSE REACTIONS

Most common (≥3%): throat irritation, upper respiratory tract infection/inflammation, cough, musculoskeletal pain. Other: tremor, tachycardia, palpitations, headache, nervousness.

FULL PRESCRIBING INFORMATION

1 INDICATIONS AND USAGE

Salbutamol (albuterol) is indicated for the treatment or prevention of bronchospasm in adult and pediatric patients aged 4 years and older with reversible obstructive airway disease, and for the prevention of exercise-induced bronchospasm in patients aged 4 years and older.

It is a short-acting beta2-agonist (SABA) used as a reliever (rescue) medication. It should not be used as the sole or main treatment for patients with severe or unstable asthma; concomitant anti-inflammatory therapy (typically inhaled corticosteroids) is recommended.

2 DOSAGE AND ADMINISTRATION

2.1 Recommended Dosage – Inhalation Aerosol (HFA MDI)

For oral inhalation only.

Treatment or prevention of bronchospasm (adults and children ≥4 years): 2 inhalations repeated every 4 to 6 hours. In some patients, 1 inhalation every 4 hours may be sufficient. More frequent administration or a greater number of inhalations is not recommended.

Prevention of exercise-induced bronchospasm: 2 inhalations 15 to 30 minutes before exercise.

If a previously effective dosage regimen fails to provide the usual response, this may be a sign of destabilization of asthma and requires re-evaluation of treatment.

2.2 Priming and Cleaning

Prime the inhaler before using for the first time, when it has not been used for more than 2 weeks, or when it has been dropped: shake well and release 4 test sprays into the air, away from the face.

Clean the plastic actuator at least once a week by removing the canister, washing the actuator under warm running water for 30 seconds, shaking off excess water, and allowing it to air-dry completely (overnight if possible). Reassemble when dry. Failure to clean regularly may result in medication not being delivered properly.

2.3 Nebulizer Solution (typical adult dosing)

2.5 mg nebulized 3–4 times daily as needed. Pediatric dosing is weight- or age-based (e.g., 0.63–1.25 mg for younger children) and must be determined by a physician.

3 DOSAGE FORMS AND STRENGTHS

- Inhalation aerosol (HFA): 90 mcg salbutamol base (108 mcg salbutamol sulfate) per actuation. Canisters typically contain 200 metered actuations with a dose counter.
- Dry powder inhalers (e.g., Accuhaler/Diskus-type): commonly 100 mcg or 200 mcg per inhalation.
- Nebulizer solution: various concentrations (e.g., 0.63 mg/3 mL, 1.25 mg/3 mL, 2.5 mg/3 mL, 5 mg/mL).
- Oral tablets and syrup formulations also exist in some markets but are less commonly used for acute relief.

4 CONTRAINDICATIONS

1. History of hypersensitivity to salbutamol/albuterol or any component of the specific product (including propellants or lactose in dry-powder formulations).
2. Non-intravenous formulations of salbutamol must not be used to stop uncomplicated premature labour or threatened abortion.

5 WARNINGS AND PRECAUTIONS

5.1 Paradoxical Bronchospasm

Salbutamol can produce paradoxical bronchospasm, which may be life-threatening. If it occurs, the preparation should be discontinued immediately and alternative therapy instituted. Paradoxical bronchospasm, when associated with inhaled formulations, frequently occurs with the first use of a new canister or device.

5.2 Deterioration of Asthma

Asthma may deteriorate acutely over a period of hours or chronically over several days or longer. If the patient needs more doses of salbutamol than usual, this may be a marker of destabilization of asthma and requires re-evaluation of the patient and treatment regimen, giving special consideration to the possible need for anti-inflammatory treatment (e.g., corticosteroids).

5.3 Use of Anti-Inflammatory Agents

The use of beta-adrenergic agonist bronchodilators alone may not be adequate to control asthma in many patients. Early consideration should be given to adding anti-inflammatory agents (e.g., corticosteroids).

5.4 Cardiovascular Effects

Salbutamol, like all other beta-adrenergic agonists, can produce clinically significant cardiovascular effects in some patients, as measured by pulse rate, blood pressure, and/or symptoms. Although such effects are uncommon after administration of salbutamol at recommended doses, if they occur the drug may need to be discontinued. In addition, beta-agonists have been reported to produce ECG changes such as flattening of the T wave, prolongation of the QTc interval, and ST segment depression. Use with caution in patients with cardiovascular disorders, especially coronary insufficiency, cardiac arrhythmias, and hypertension.

5.5 Do Not Exceed Recommended Dose

Fatalities have been reported in association with excessive use of inhaled sympathomimetic drugs in patients with asthma. The exact cause of death is unknown, but cardiac arrest following an unexpected development of a severe acute asthmatic crisis and subsequent hypoxia is suspected.

5.6 Immediate Hypersensitivity Reactions

Immediate hypersensitivity reactions may occur after administration of salbutamol sulfate, as demonstrated by rare cases of urticaria, angioedema, rash, bronchospasm, anaphylaxis, and oropharyngeal edema.

5.7 Coexisting Conditions

Salbutamol should be used with caution in patients with convulsive disorders, hyperthyroidism, or diabetes mellitus, and in patients who are unusually responsive to sympathomimetic amines. Large doses of intravenous salbutamol have been reported to aggravate pre-existing diabetes mellitus and ketoacidosis.

5.8 Hypokalemia

Beta-adrenergic agonist medications may produce significant hypokalemia in some patients, possibly through intracellular shunting, which has the potential to produce adverse cardiovascular effects. The decrease is usually transient, not requiring supplementation. Particular caution is advised in acute severe asthma because hypokalemia may be potentiated by hypoxia and by concomitant treatment with xanthine derivatives, steroids, and diuretics.

6 ADVERSE REACTIONS

6.1 Clinical Trials Experience

The most common adverse reactions (≥3% and more common than placebo in clinical trials) include:

Adverse Reaction	Salbutamol (%)	Placebo (%)
Throat irritation	10	—
Viral respiratory infections	7	—
Upper respiratory inflammation	5	—

Cough	5	—
Musculoskeletal pain	5	—

Other reported reactions include tremor, nervousness, headache, tachycardia, palpitations, dizziness, and muscle cramps. Incidence generally increases with higher doses.

6.2 Postmarketing Experience

The following adverse reactions have been identified during post-approval use: paradoxical bronchospasm, hoarseness, arrhythmias (including atrial fibrillation, supraventricular tachycardia, extrasystoles), hypersensitivity reactions (urticaria, angioedema, rash, anaphylaxis), hypokalemia, hypertension, peripheral vasodilatation, angina, myocardial ischemia, CNS stimulation, hyperactivity, sleeplessness, and metabolic acidosis.

7 DRUG INTERACTIONS

Beta-blockers: Beta-adrenergic receptor blocking agents not only block the pulmonary effect of beta-agonists such as salbutamol, but may also produce severe bronchospasm in asthmatic patients. Therefore, patients with asthma should not normally be treated with beta-blockers. Under certain circumstances (e.g., as prophylaxis after myocardial infarction), there may be no acceptable alternative; cardioselective beta-blockers could be considered, although they should be administered with caution.

Diuretics: The ECG changes and/or hypokalemia that may result from administration of non-potassium-sparing diuretics (such as loop or thiazide diuretics) can be acutely worsened by beta-agonists. Caution is advised.

Digoxin: Mean decreases of 16–22% in serum digoxin levels have been demonstrated after single-dose intravenous and oral administration of salbutamol. Monitor digoxin levels.

MAOIs and Tricyclic Antidepressants: Salbutamol should be administered with extreme caution to patients being treated with monoamine oxidase inhibitors or tricyclic antidepressants, or within 2 weeks of discontinuation of such agents, because the action of salbutamol on the vascular system may be potentiated.

Other short-acting sympathomimetic aerosol bronchodilators: 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.

8 USE IN SPECIFIC POPULATIONS

8.1 Pregnancy

Available data from published epidemiological studies and postmarketing case reports of pregnancy outcomes following inhaled salbutamol use do not consistently demonstrate a risk of major birth defects or miscarriage. There are clinical considerations for poorly controlled asthma during pregnancy (increased risk of preeclampsia, prematurity, low birth weight). Animal studies have shown developmental toxicity (cleft palate in mice, delayed ossification in rabbits) at high multiples of the human dose. Salbutamol is not indicated for the management of preterm labour.

8.2 Lactation

There are no available data on the presence of salbutamol in human milk, the effects on the breastfed infant, or the effects on milk production. The low systemic concentrations after inhalation suggest that any amount present would be low. The developmental and health benefits of breastfeeding should be considered along with the mother’s clinical need for salbutamol.

8.3 Pediatric Use

The safety and effectiveness of salbutamol inhalation aerosol in pediatric patients 4 years of age and older have been established. The safety and effectiveness in children younger than 4 years of age have not been established.

8.4 Geriatric Use

Clinical studies did not include sufficient numbers of subjects aged 65 and over to determine whether they respond differently from younger subjects. In general, dose selection for an elderly patient should be cautious, usually starting at the low end of the dosing range.

10 OVERDOSAGE

The expected symptoms with overdosage are those of excessive beta-adrenergic stimulation and/or occurrence or exaggeration of any of the symptoms listed under Adverse Reactions (e.g., seizures, angina, hypertension or hypotension, tachycardia with rates up to 200 beats/min, arrhythmias, nervousness, headache, tremor, dry mouth, palpitation, nausea, dizziness, fatigue, malaise, insomnia, hyperglycemia, hypokalemia, metabolic acidosis).

Treatment consists of discontinuation of salbutamol together with appropriate symptomatic therapy. The judicious use of a cardioselective beta-receptor blocker may be considered, bearing in mind that such medication can produce bronchospasm. There is insufficient evidence to determine if dialysis is beneficial.

11 DESCRIPTION

Salbutamol (INN; also known as albuterol in the United States) is a selective beta2-adrenergic bronchodilator. The sulfate salt is commonly used in pharmaceutical formulations.

Chemical name: α1-[(tert-Butylamino)methyl]-4-hydroxy-m-xylene-α,α′-diol sulfate (2:1) (salt).

Molecular formula: (C13H21NO3)2·H2SO4

Molecular weight: 576.7

Salbutamol sulfate is a white to off-white crystalline powder, freely soluble in water. Inhalation aerosols use HFA-134a (norflurane) as propellant. Dry-powder formulations may contain lactose.

12 CLINICAL PHARMACOLOGY

12.1 Mechanism of Action

Salbutamol is a relatively selective beta2-adrenergic bronchodilator. Activation of beta2-adrenergic receptors on airway smooth muscle leads to the activation of adenyl cyclase and to an increase in the intracellular concentration of cyclic-3′,5′-adenosine monophosphate (cyclic AMP). This increase in cyclic AMP leads to activation of protein kinase A, which inhibits phosphorylation of myosin and lowers intracellular ionic calcium concentrations, resulting in relaxation of airway smooth muscle. Salbutamol relaxes the smooth muscles of all airways, from the trachea to the terminal bronchioles. Increased cyclic AMP concentrations are also associated with inhibition of release of mediators from mast cells in the airway.

In vitro studies and in vivo pharmacologic studies have demonstrated that salbutamol has a preferential effect on beta2-adrenergic receptors compared with isoproterenol. While it is recognized that beta2-adrenergic receptors are the predominant receptors on bronchial smooth muscle, data indicate that there is a population of beta2-receptors in the human heart existing in a concentration between 10% and 50%.

12.3 Pharmacokinetics

Because of the short half-life and rapid clearance, systemic levels after therapeutic inhaled doses are low. After inhalation, a portion of the dose is absorbed via the lungs and the remainder is swallowed and undergoes first-pass metabolism. Peak plasma concentrations typically occur within 30–60 minutes. The terminal half-life is approximately 3.5–5 hours. Salbutamol is metabolized primarily by conjugation to the inactive 4′-O-sulfate. Elimination is mainly renal.

16 HOW SUPPLIED / STORAGE AND HANDLING

Salbutamol inhalation aerosol is typically supplied as a pressurized metered-dose inhaler with a dose counter, containing 200 actuations. Store at controlled room temperature 20–25 °C (68–77 °F); excursions permitted to 15–30 °C (59–86 °F). Store with the mouthpiece down. Do not puncture the canister or expose to temperatures above 49 °C (120 °F), as the contents may burst. Discard when the dose counter reads 000 or when the product expires. Keep out of reach of children.

17 PATIENT COUNSELING INFORMATION

Advise the patient to read the FDA-approved patient labeling (Patient Information and Instructions for Use).

Instruct patients on proper inhaler technique, priming, and weekly cleaning of the actuator.

Advise patients that the anti-inflammatory component of their asthma therapy should not be stopped even if they feel better.

Inform patients that increasing use of the reliever inhaler may indicate worsening asthma control and that they should contact their physician.

Advise patients to seek medical attention if they experience paradoxical bronchospasm, significant cardiovascular symptoms, or if the medication becomes less effective.

PATIENT INFORMATION

SALBUTAMOL (sal-BYOO-ta-mol) / ALBUTEROL Inhalation Aerosol

What is salbutamol?

Salbutamol (also called albuterol in the United States) is a prescription inhaled medicine used to treat or prevent bronchospasm in people aged 4 years and older who have reversible obstructive airway disease such as asthma. It is also used to prevent breathing problems caused by exercise.

Salbutamol belongs to a group of medicines called short-acting beta2-agonists (SABAs) or “reliever” (rescue) inhalers. It works by relaxing the muscles around the airways so that air can move in and out of the lungs more easily.

Who should not use salbutamol?

Do not use salbutamol if you:

- are allergic to salbutamol, albuterol, or any of the ingredients in your specific product
- have a severe milk-protein allergy (relevant for some dry-powder formulations that contain lactose)

What should I tell my healthcare provider before using salbutamol?

Tell your healthcare provider about all of your medical conditions, including if you:

- have heart problems, high blood pressure, or an irregular heartbeat
- have seizures (convulsions)
- have thyroid problems (hyperthyroidism)
- have diabetes
- have low potassium levels in your blood
- are pregnant or plan to become pregnant
- are breastfeeding or plan to breastfeed

Tell your healthcare provider about all the medicines you take, including prescription and over-the-counter medicines, vitamins, and herbal supplements. Especially tell your doctor if you take other asthma or COPD medicines, beta-blockers, diuretics, digoxin, or antidepressants.

How should I use salbutamol?

- Use salbutamol exactly as your healthcare provider tells you to use it. Do not use more than prescribed.
- Salbutamol is for oral inhalation only.
- Prime the inhaler before first use, if not used for more than 2 weeks, or if dropped.
- Clean the plastic mouthpiece at least once a week with warm water and let it air-dry completely.
- The usual dose for treating or preventing symptoms is 2 puffs every 4 to 6 hours as needed. Do not take more than recommended.
- For exercise-induced symptoms, take 2 puffs 15–30 minutes before exercise.
- If your symptoms get worse or you need to use your inhaler more often than usual, contact your doctor right away — this may mean your asthma is getting worse.

What are the possible side effects of salbutamol?

Salbutamol can cause serious side effects, including:

- Worsening trouble breathing, coughing, and wheezing (paradoxical bronchospasm). Stop using salbutamol and call your doctor right away or get emergency medical care.
- Heart problems, including faster heart rate, chest pain, or higher blood pressure.
- Possible death in people with asthma who use too much salbutamol.
- Serious allergic reactions (rash, hives, swelling of the face/mouth/tongue, breathing problems). Call your doctor or get emergency help.
- Changes in laboratory blood levels (low potassium, high blood sugar).

Common side effects include: sore throat, upper respiratory tract infection, cough, muscle or bone pain, tremor (shaking), nervousness, and headache.

These are not all the possible side effects. Call your doctor for medical advice about side effects. You may report side effects to the FDA at 1-800-FDA-1088.

How should I store salbutamol?

- Store at room temperature between 68°F and 77°F (20°C and 25°C).
- Store the inhaler with the mouthpiece down.
- Do not puncture the canister or throw it into a fire or incinerator. The contents are under pressure.
- Keep out of the reach of children.

General information about the safe and effective use of salbutamol

Medicines are sometimes prescribed for purposes other than those listed in a Patient Information leaflet. Do not use salbutamol for a condition for which it was not prescribed. Do not give it to other people, even if they have the same symptoms you have. It may harm them.

This Patient Information summarizes the most important information about salbutamol. If you would like more information, talk with your healthcare provider.

END OF DOCUMENT

This comprehensive guide is intended for educational purposes only.
Always refer to the official prescribing information and consult a licensed healthcare professional.

Compiled in the style of a medication package insert • Based on publicly available FDA & SmPC labeling data