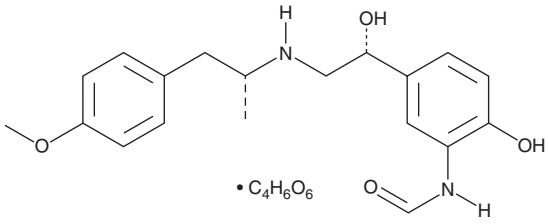
PRODUCT INFORMATION

Arformoterol (tartrate)

Item No. 23935

CAS Registry No.:	200815-49-2
Formal Name:	N-[2-hydroxy-5-[(1R)-1-hydroxy-2-[[(1R)-2-(4-methoxyphenyl)-1-methylethyl] amino]ethyl]phenyl]-formamide, (2R,3R)-2,3-dihydroxybutanedioate
Synonym:	(R,R)-Formoterol
MF:	$C_{19}H_{24}N_2O_4 \bullet C_4H_6O_6$
FW:	494.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 247, 284 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Arformoterol (tartrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the arformoterol (tartrate) in the solvent of choice. Arformoterol (tartrate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of arformoterol (tartrate) in these solvents is approximately 25 and 12.5 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of arformoterol (tartrate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of arformoterol (tartrate) in PBS, pH 7.2, is approximately 2.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Aformoterol is the (R,R)-enantiomer of the β_2-adrenergic receptor (β_2-AR) agonist formoterol (Item No. 15584).[1] It selectively binds to β_1- over β_2-ARs (K_ds = 2.9 and 113 nM, respectively) as well as β_3-adrenergic, B_2 bradykinin, neurokinin 1 (NK_1) and NK_2 receptors when used at concentrations up to 3 µM. Aformoterol induces cAMP accumulation in cultured human bronchial epithelial cells. *Ex vivo*, aformoterol (0.01-1,000 nM) induces dose-dependent relaxation of guinea pig tracheal strips precontracted with carbamoylcholine (Item No. 14486), ovalbumin, or histamine (pD_2s = 8.4, 9.5, and 9.5, respectively). *In vivo*, aformoterol reverses histamine- and ovalbumin-induced bronchoconstriction in guinea pigs (ED_{50}s = 1 and 40 nmol/kg, respectively). Formulations containing aformoterol have been used in the treatment of chronic obstructive pulmonary disease (COPD).

Reference

1. Handley, D.A., Senanayake, C.H., Dutczak, W., *et al.* Biological actions of formoterol isomers. *Pulm. Pharmacol. Ther.* **15(2)**, 135-145 (2002).

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