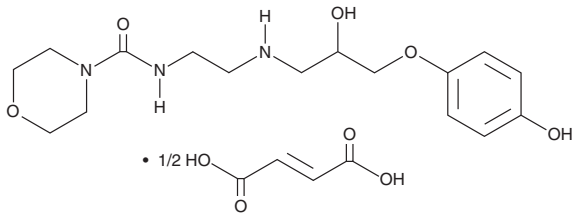
PRODUCT INFORMATION

Xamoterol (hemifumarate)

Item No. 24267

CAS Registry No.: 73210-73-8
Formal Name: N-[2-[[2-hydroxy-3-(4-hydroxy phenoxy)propyl]amino]ethyl]-4-morpholinecarboxamide, (E)-2-butenedioate (2:1)
Synonym: ICI 118587
MF: $C_{16}H_{25}N_3O_5 \bullet 1/2C_4H_4O_4$
FW: 397.4
Purity: ≥98%
UV/Vis.: λ_{max}: 289 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

Xamoterol (hemifumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the xamoterol (hemifumarate) in the solvent of choice, which should be purged with an inert gas. Xamoterol (hemifumarate) is soluble in the organic solvent DMSO. It is also soluble in water. The solubility of xamoterol (hemifumarate) in DMSO and water is approximately 100 and 50 mM, respectively. We do not recommend storing the aqueous solution for more than one day.

Description

Xamoterol is a partial agonist of β_1-adrenergic receptors (β_1-ARs) with an EC_{50} value of 80 nM for the generation of cyclic AMP (cAMP) in neonatal rat cardiomyocyte cultures.[1] It increases spontaneous contraction of isolated rat right atria (EC_{50} = 4.67 nM).[2] *In vivo*, xamoterol increases heart rate in beagle dogs (ED_{50} = 3.2 µg/kg) and in a rat model of spontaneous heart failure (ED_{50} = 6 µg/kg), an effect that is reversed by the selective β_1-AR antagonist betaxolol (Item No. 18625) but not the selective β_2-AR antagonist ICI 118551 (Item No. 15591).[1,3] Formulations containing xamoterol have been used in the treatment of heart failure.

References

1. Willette, R.N., Aiyar, N., T.-L., Y., *et al.* *In vitro* and *in vivo* characterization of intrinsic sympathomimetic activity in normal and heart failure rats. *J. Pharmacol. Exp. Ther.* **289(1)**, 48-53 (1999).
2. Kowalski, M.T., Haworth, D., Lu, X., *et al.* Comparison of the effects of xamoterol and isoprenaline on rat cardiac β-adrenoceptors: Studies of function and regulation. *Br. J. Pharmacol.* **99(1)**, 27-30 (1990).
3. Nuttall, A. and Snow, H.M. The cardiovascular effects of ICI 118,587: A β_1-adrenoceptor partial agonist. *Br. J. Pharmacol.* **77(2)**, 381-388 (1982).

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