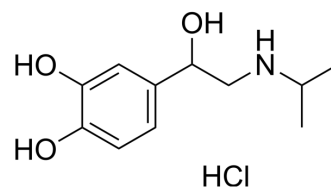


Isoprenaline hydrochloride

Cat. No.:	HY-B0468
CAS No.:	51-30-9
Molecular Formula:	C ₁₁ H ₁₈ ClNO ₃
Molecular Weight:	247.72
Target:	Adrenergic Receptor; Endogenous Metabolite
Pathway:	GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 80 mg/mL (322.95 mM; Need ultrasonic)
 H₂O : ≥ 50 mg/mL (201.84 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	4.0368 mL	20.1841 mL	40.3682 mL
	5 mM	0.8074 mL	4.0368 mL	8.0736 mL
	10 mM	0.4037 mL	2.0184 mL	4.0368 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (403.68 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (8.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (8.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (8.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Isoprenaline (Isoproterenol) hydrochloride is a non-selective, orally active β-adrenergic receptor agonist. Isoprenaline has potent peripheral vasodilator, bronchodilator, and cardiac stimulating activities. Isoprenaline can be used for the research of bradycardia and bronchial asthma^{[1][2][3][4][5][6]}.

IC₅₀ & Target	β adrenergic receptor								
In Vitro	<p>Isoprenaline (Isoproterenol) hydrochloride (300 nM, 3 min) increases particulate cGMP- and cilostamide-inhibited, low-K_m cAMP phosphodiesterase (cAMP-PDE) activity by about 100% in intact rat fat cells^[1].</p> <p>Isoprenaline inhibits insulin-stimulated glucose transport activity in rat adipocytes. Isoprenaline, in the absence of adenosine, promotes a time-dependent (t_{1/2} approximately 2 min) decrease in the accessibility of insulin-stimulated cell surface GLUT4 of > 50%, which directly correlated with the observed inhibition of transport activity^[2].</p> <p>Isoprenaline (5 nM and 10 μM) increases cyclic AMP levels and this effect is potentiated by cilostamide (10 mM), by rolipram, a cyclic AMP-specific PDE (PDE 4) inhibitor (10 mM) and by cyclic GMP-elevating agents (50 nM ANF or 30 nM SNP plus 100 nM DMPP0)^[3].</p> <p>Isoprenaline increases the transcriptional activity of Gi alpha-2 gene to 140% of the control value, whereas gene specific hybridization for Gs alpha remains unchanged^[4].</p> <p>Isoprenaline (20 nM) increases the amplitude of total iK and causes a negative shift of approximately 10 mV in the activation curve for iK, both in the absence and in the presence of 300 nM nisoldipine to block the L-type Ca²⁺ current^[5].</p> <p>Isoprenaline (20 nM) increases the spontaneous pacemaker rate of sino-atrial node pacemaker cells by 16% in rabbit isolated pacemaker cells^[5].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Isoprenaline (Isoproterenol) hydrochloride (oral, 0.27-0. 64 μg/kg) is extensively metabolizes by a relatively small number of reactions in dogs^[6].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Dogs^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.27-0. 64 μg/kg</td> </tr> <tr> <td>Administration:</td> <td>oral</td> </tr> <tr> <td>Result:</td> <td> <p>Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the form of the O-methyl metabolite.</p> <p>Showed plasma radioactivity was almost entirely as conjugated isoprenaline and this metabolite accounted for more than 80% of radioactivity in urine.</p> <p>.Showed heart rate returned to base-line values when high plasma concentrations.</p> </td> </tr> </table>	Animal Model:	Dogs ^[1]	Dosage:	0.27-0. 64 μg/kg	Administration:	oral	Result:	<p>Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the form of the O-methyl metabolite.</p> <p>Showed plasma radioactivity was almost entirely as conjugated isoprenaline and this metabolite accounted for more than 80% of radioactivity in urine.</p> <p>.Showed heart rate returned to base-line values when high plasma concentrations.</p>
Animal Model:	Dogs ^[1]								
Dosage:	0.27-0. 64 μg/kg								
Administration:	oral								
Result:	<p>Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the form of the O-methyl metabolite.</p> <p>Showed plasma radioactivity was almost entirely as conjugated isoprenaline and this metabolite accounted for more than 80% of radioactivity in urine.</p> <p>.Showed heart rate returned to base-line values when high plasma concentrations.</p>								

CUSTOMER VALIDATION

- Science. 2020 Dec 4;370(6521):eaay2002.
- Circulation. 2018 Jun 5;137(23):2497-2513.
- Nat Commun. 2020 Sep 25;11(1):4857.
- Cell Death Differ. 2022 Nov 3.
- Biofabrication. 2022 Oct 4.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. M E Conolly, et al. Metabolism of isoprenaline in dog and man. Br J Pharmacol

[2]. Degerman E, et al. Evidence that insulin and isoprenaline activate the cGMP-inhibited low-K_m cAMP phosphodiesterase in rat fat cells by phosphorylation. Proc Natl Acad Sci U S A. 1990 Jan;87(2):533-7

-
- [3]. Vannucci SJ, et al. Cell surface accessibility of GLUT4 glucose transporters in insulin-stimulated rat adipose cells. Modulation by isoprenaline and adenosine. *Biochem J.* 1992 Nov 15;288 (Pt 1):325-30.
- [4]. Delpy E, et al. Effects of cyclic GMP elevation on isoprenaline-induced increase in cyclic AMP and relaxation in rat aortic smooth muscle: role of phosphodiesterase 3. *Br J Pharmacol.* 1996 Oct;119(3):471-8.
- [5]. Muller FU, et al. Isoprenaline stimulates gene transcription of the inhibitory G protein alpha-subunit Gi alpha-2 in rat heart. *Circ Res.* 1993 Mar;72(3):696-700.
- [6]. Lei M, et al. Modulation of delayed rectifier potassium current, iK, by isoprenaline in rabbit isolated pacemaker cells. *Exp Physiol.* 2000 Jan;85(1):27-35.
-

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA