Isoprenaline hydrochloride

Cat. No.: HY-B0468

CAS No.: 51-30-9

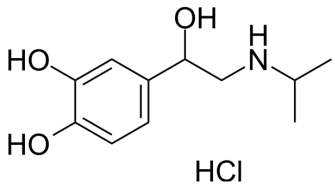
Molecular Formula: $C_{11}H_{18}ClNO_3$

Molecular Weight: 248

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 (322.58 mM; Need ultrasonic)
H_2O : ≥ 50 mg/mL (201.61 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0323 mL	20.1613 mL	40.3226 mL
5 mM	0.8065 mL	4.0323 mL	8.0645 mL
10 mM	0.4032 mL	2.0161 mL	4.0323 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (403.23 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.39 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.39 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].

IC_{50} & Target	β adrenergic receptor
In Vitro	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]. Isoprenaline inhibits insulin-stimulated glucose transport activity in rat adipocytes. Isoprenaline, in the absence of adenosine, promotes a time-dependent (t1/2 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]. 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 DMPPO)[3]. 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]. 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 Ca^{2+} current[5]. Isoprenaline (20 nM) increases the spontaneous pacemaker rate of sino-atrial node pacemaker cells by 16% in rabbit isolated pacemaker cells[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Isoprenaline (Isoproterenol) hydrochloride (oral, 0.27-0. 64 μg/kg) is extensively metabolizes by a relatively small number of reactions in dogs[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Dogs[1]
Dosage:	0.27-0. 64 μg/kg
Administration:	oral
Result:	Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the form of the O-methyl metabolite. Showed plasma radioactivity was almost entirely as conjugated isoprenaline and this metabolite accounted for more than 80% of radioactivity in urine. .Showed heart rate returned to base-line values when high plasma concentrations.

CUSTOMER VALIDATION

- Science. 2020 Dec 4;370(6521):eaay2002.
- Circulation. 2018 Jun 5;137(23):2497-2513.
- Cell Mol Immunol. 2023 Jan 5.
- ACS Nano. 2023 Oct 18.
- Nat Commun. 2020 Sep 25;11(1):4857.

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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.

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