Isoprenaline

Cat. No.: HY-108353

CAS No.: 7683-59-2

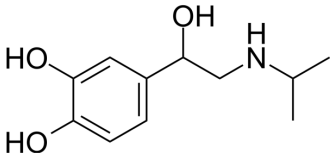
Molecular Formula: $C_{11}H_{17}NO_3$

Molecular Weight: 211.26

Target: Adrenergic Receptor; Endogenous Metabolite

Pathway: GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Isoprenaline 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].

In Vitro

Isoprenaline (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 (oral, 0.27-0. 64 μg/kg) is extensively metabolizes by a relatively small number of reactions in dogs[6].

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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]. 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.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. 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.

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

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