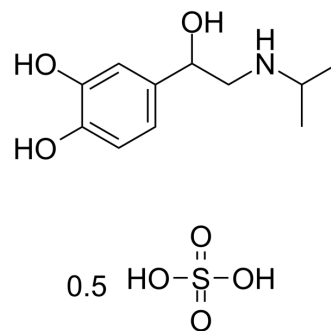


Isoprenaline hemisulfate

Cat. No.:	HY-108353A
CAS No.:	299-95-6
Molecular Formula:	C ₁₁ H ₁₇ NO _{3.1} /2H ₂ O ₄ S
Molecular Weight:	260.3
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 hemisulfate 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 hemisulfate (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 DMPPO)^[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 hemisulfate (oral, 0.27-0.64 μg/kg) is extensively metabolized 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>Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the</td> </tr> </table>	Animal Model:	Dogs ^[1]	Dosage:	0.27-0.64 μ g/kg	Administration:	oral	Result:	Excreted largely unchanged in urine, only one-third of the radioactivity in urine was in the
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Dosage:	0.27-0.64 μ g/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 G_i alpha-2 in rat heart. Circ Res. 1993 Mar;72(3):696-700.
- [5]. Lei M, et al. Modulation of delayed rectifier potassium current, i_K , 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

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

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