



# SZABO SCANDIC

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### SZABO-SCANDIC Handels GmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

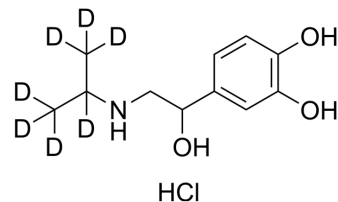
[mail@szabo-scandic.com](mailto:mail@szabo-scandic.com)

[www.szabo-scandic.com](http://www.szabo-scandic.com)

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## Isoprenaline-d<sub>7</sub> hydrochloride

Cat. No.:	HY-B0468S
CAS No.:	2517584-04-0
Molecular Formula:	C <sub>11</sub> H <sub>11</sub> D <sub>7</sub> ClNO <sub>3</sub>
Molecular Weight:	254.76
Target:	Endogenous Metabolite; Adrenergic Receptor; Isotope-Labeled Compounds
Pathway:	Metabolic Enzyme/Protease; GPCR/G Protein; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Isoprenaline-d <sub>7</sub> (hydrochloride) is a deuterated labeled Isoprenaline (hydrochloride) <sup>[1]</sup> . Isoprenaline (Isoproterenol) hydrochloride is a non-selective, orally active $\beta$ -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 <sup>[2][3][4][5][6][7]</sup> .
<b>In Vitro</b>	<p>Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs<sup>[1]</sup>.</p> <p>Isoprenaline (Isoproterenol) hydrochloride (300 nM, 3 min) increases particulate cGMP- and cilostamide-inhibited, low-K<sub>m</sub> cAMP phosphodiesterase (cAMP-PDE) activity by about 100% in intact rat fat cells<sup>[2]</sup>.</p> <p>Isoprenaline inhibits insulin-stimulated glucose transport activity in rat adipocytes. Isoprenaline, in the absence of adenosine, promotes a time-dependent (t<sub>1/2</sub> approximately 2 min) decrease in the accessibility of insulin-stimulated cell surface GLUT4 of &gt; 50%, which directly correlated with the observed inhibition of transport activity<sup>[3]</sup>.</p> <p>Isoprenaline (5 nM and 10 <math>\mu</math>M) 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)<sup>[4]</sup>.</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<sup>[5]</sup>.</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 Ca<sup>2+</sup> current<sup>[6]</sup>.</p> <p>Isoprenaline (20 nM) increases the spontaneous pacemaker rate of sino-atrial node pacemaker cells by 16% in rabbit isolated pacemaker cells<sup>[6]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>Isoprenaline (Isoproterenol) hydrochloride (oral, 0.27-0.64 <math>\mu</math>g/kg) is extensively metabolized by a relatively small number of reactions in dogs<sup>[7]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### REFERENCES

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

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- [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]. M E Conolly, et al. Metabolism of isoprenaline in dog and man. *Br J Pharmacol*
- [4]. 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.
- [5]. 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.
- [6]. 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.
- [7]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019 Feb;53(2):211-216.
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**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](mailto:tech@MedChemExpress.com)

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