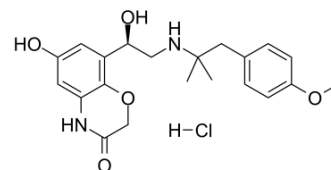


Olodaterol hydrochloride

Cat. No.:	HY-14301A		
CAS No.:	869477-96-3		
Molecular Formula:	C ₂₁ H ₂₇ ClN ₂ O ₅		
Molecular Weight:	422.9		
Target:	Adrenergic Receptor		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H₂O : 250 mg/mL (591.16 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.3646 mL	11.8231 mL	23.6463 mL
	5 mM	0.4729 mL	2.3646 mL	4.7293 mL
	10 mM	0.2365 mL	1.1823 mL	2.3646 mL

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

BIOLOGICAL ACTIVITY

Description

Olodaterol (BI1744) hydrochloride is a selective, long acting β_2 -adrenoceptor (β_2 -AR) agonist (EC_{50} =0.1 nM and pK_i =9.14 for human β_2 -adrenoceptor, respectively). Olodaterol can be used for chronic obstructive pulmonary disease (COPD) and pulmonary fibrosis^{[1][2][3]}.

IC₅₀ & Target

β_2 adrenoceptor
0.1 nM (EC50)

In Vitro

Olodaterol hydrochloride (0.1~10 nM; fibroblasts) interferes with FGF-induced phosphorylation of signalling cascades^[2].
 Olodaterol hydrochloride (0.001~10 nM; fibroblasts) attenuates growth factor-induced motility and proliferation^[2].
 Olodaterol hydrochloride (0.001~1000 nM; 0.5 hours; fibroblasts) increases intracellular cAMP in a concentration-dependent manner. Olodaterol hydrochloride (0~10 nM; 0.5 hours; fibroblasts) concentration-dependently inhibits the PICP increase with maximal efficacy of 70 % at 10 nM. Olodaterol hydrochloride has a subnanomolar affinity for the β_2 -AR (pK_i =9.14) and is selective for this receptor in comparison with the β_1 -AR and β_3 -AR subtypes^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Western Blot Analysis^[2]

Cell Line:	Fibroblasts
Concentration:	0.1~10 nM
Incubation Time:	
Result:	Interfered with FGF-induced phosphorylation of signalling cascades.

Cell Proliferation Assay^[2]

Cell Line:	Fibroblasts
Concentration:	0.001~10 nM
Incubation Time:	
Result:	Attenuated growth factor-induced motility and proliferation.

In Vivo

Olodaterol (1 mg/kg; inhal.; 21 days) accelerats body weights recovery back to control levels (at day 21) and attenuats TGF- β -induced lung fibrosis^[2].

Olodaterol (0.1~3 μ g/kg; inhal.; 5 hours) induces a dose-dependent bronchoprotection^[3].

Olodaterol (0.3 and 0.6 μ g/kg; inhal.; 24 hours) induces a maximal bronchoprotection of approximately 60 % after 0.5 hours^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lung fibrosis C57BL/6 mice
Dosage:	1 mg/mL
Administration:	Inhal.; 21 days
Result:	Accelerated body weight recovery back to control levels (at day 21) and attenuated TGF- β -induced lung fibrosis.

Animal Model:	Guinea Pigs
Dosage:	0.1~3 μ g/kg
Administration:	Inhal.; 5 hours
Result:	Induced a dose-dependent bronchoprotection.

Animal Model:	Dogs
Dosage:	0.3 and 0.6 μ g/kg
Administration:	Inhal.; 24 hours
Result:	Olodaterol (0.6 μ g/kg) induced a maximal bronchoprotection of approximately 60 % after 0.5 h.

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- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

- [1]. Xing G, et al. Design, synthesis and biological evaluation of 8-(2-amino-1-hydroxyethyl)-6-hydroxy-1,4-benzoxazine-3(4H)-one derivatives as potent β 2-adrenoceptor agonists. *Bioorg Med Chem.* 2020;28(1):115178.
- [2]. Herrmann FE, et al. Olodaterol shows anti-fibrotic efficacy in in vitro and in vivo models of pulmonary fibrosis. *Br J Pharmacol.* 2017;174(21):3848-3864.
- [3]. Bouyssou T, et al. Pharmacological characterization of olodaterol, a novel inhaled beta2-adrenoceptor agonist exerting a 24-hour-long duration of action in preclinical models [published correction appears in *J Pharmacol Exp Ther.* 2013 Jul;346(1):161]. *J Pharmacol Exp Ther.* 2010;334(1):53-62.
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Caution: Product has not been fully validated for medical applications. For research use only.

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