Olodaterol hydrochloride

Cat. No.: HY-14301A CAS No.: 869477-96-3 Molecular Formula: $C_{21}H_{27}CIN_2O_5$

Molecular Weight: 422.9

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling 4°C, sealed storage, away from moisture Storage:

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	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.

In Vivo

1. Add each solvent one by one: PBS

Solubility: 14.29 mg/mL (33.79 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Olodaterol (BI1744) hydrochloride is a selective, long acting β_2 -adrenoceptor (β_2 -AR) agonist (EC₅₀=0.1 nM and pK_i= 9.14 for Description human β_2 -adrenoceptor, respectively). Olodaterol can be used for chronic obstructive pulmonary disease (COPD) and pulmonary fibrosis^{[1][2][3]}.

IC₅₀ & Target β2 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 receptorin 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 $\mu g/kg$; 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 μg/kg		
Administration:	Inhal.; 5 hours		
Result:	Induced a dose-dependent bronchoprotection.		
Animal Model:	Dogs		
Dosage:	0.3 and 0.6 μg/kg		
Administration:	Inhal.; 24 hours		
Result:	Olodaterol (0.6 $\mu g/kg$) induced a maximal bronchoprotection of approximately 60 % after 0.5 h.		

CUSTOMER VALIDATION

• 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 P

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

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