Olodaterol

Cat. No.: HY-14301

CAS No.: 868049-49-4

Molecular Formula: $C_{21}H_{26}N_2O_5$

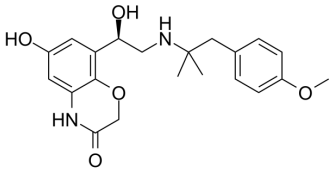
Molecular Weight: 386.44

Target: Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

H_2O : 6.2 mg/mL (16.04 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5877 mL	12.9386 mL	25.8772 mL
	5 mM	0.5175 mL	2.5877 mL	5.1754 mL
	10 mM	0.2588 mL	1.2939 mL	2.5877 mL

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

BIOLOGICAL ACTIVITY

Description

Olodaterol (BI1744) 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].

IC_{50} & Target

β2 adrenoceptor
0.1 nM (EC50)

In Vitro

Olodaterol (0.001~10 nM; fibroblasts) attenuates growth factor-induced motility and proliferation[2].
Olodaterol (0.1~10 nM; fibroblasts) interferes with FGF-induced phosphorylation of signalling cascades[2].
Olodaterol (0.001~1000 nM; 30 minutes; fibroblasts) increases intracellular cAMP in a concentration-dependent manner.
Olodaterol (0~10 nM; 30 minutes; fibroblasts) concentration-dependently inhibits the PICP increase with maximal efficacy of 70 % at 10 nM. Olodaterol 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 weight recovery back to control levels (at day 21) and attenuats TGF-β-induced lung fibrosis[2].
Olodaterol (0.1~3 μg/kg; inhal.; 5 hours) induces a dose-dependent bronchoprotection[3].
Olodaterol (0.3 and 0.6 μg/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 μg/kg) induced a maximal bronchoprotection of approximately 60 % after 0.5 hours.

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 β2-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

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