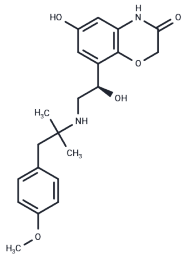
Data Sheet (Cat.No.T3457)

TargetMol

Olodaterol

Chemical Properties



CAS No. : 868049-49-4

Formula: C21H26N2O5

Molecular Weight: 386.45

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Olodaterol is a novel, long-acting beta2-adrenergic agonist (LABA) that exerts its pharmacological effect by binding and activating beta2-adrenergic receptors located primarily in the lungs.
Targets(IC50)	Adrenergic Receptor
In vitro	Olodaterol shows a potent, nearly full agonistic response at the hβ2-adrenoceptor (EC50=0.1 nM; intrinsic activity=88% compared with isoprenaline) and a significant selectivity profile (241- and 2299-fold against the hβ1- and hβ3-ARs, respectively). Likewise, olodaterol is able to potently reverse contraction induced by different stimuli in isolated human bronchi[2].
In vivo	Olodaterol is a long acting β2-agonist that induces bronchodilation up to 24 h after dosing in patients with chronic obstructive pulmonary disease (COPD) . Olodaterol dose-dependently attenuates cell influx and pro-inflammatory mediator release in murine and guinea pig models of pulmonary inflammation. Olodaterol attenuates pro-inflammatory mediator release from human parenchymal explants and whole blood and reduced expression of CD11b adhesion molecules on granulocytes, but without direct effects on IL-8-induced neutrophil transwell migration[3]. Once-daily olodaterol 5 μg is an effective therapy in improving lung function and symptomatic outcomes in patients with moderate to very severe (COPD) receiving other maintenance therapy, with a satisfactory safety profile[4].
Cell Research	To determine the functional potency of the different agonists against the different hβ-ARs, changes in intracellular cAMP levels are determined with CHO cells in suspension and a 384-well plate format. In brief, cells are stimulated with the respective agonists at different concentrations in Hanks' buffered saline solution. Cells are lysed by using Alphascreen reagents. After 2 h, plates are read on an Envision plate reader. The concentration of cAMP in the samples is calculated from a standard curve[2].

Solubility Information

Solubility	DMSO: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5877 mL	12.9383 mL	25.8766 mL
5 mM	0.5175 mL	2.5877 mL	5.1753 mL
10 mM	0.2588 mL	1.2938 mL	2.5877 mL
50 mM	0.0518 mL	0.2588 mL	0.5175 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Bouyssou T, et al. Discovery of olodaterol, a novel inhaled beta2-adrenoceptor agonist with a 24 h bronchodilatory efficacy. Bioorg Med Chem Lett. 2010 Feb 15;20(4):1410-4.
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. J Pharmacol Exp Ther. 2010 Jul;334(1):53-62.
Wex, E., Kollak, I., Duechs, M., Naline, E., Wollin, L., & Devillier, P. (2015). The long-acting β2-adrenoceptor agonist olodaterol attenuates pulmonary inflammation. British Journal Of Pharmacology, 172(14), 3537-3547. doi: 10.1111/bph.13143
Ramadan WH, et al. Olodaterol for the treatment of chronic obstructive pulmonary disease. Am J Health Syst Pharm. 2016 Aug 1;73(15):1135-43.