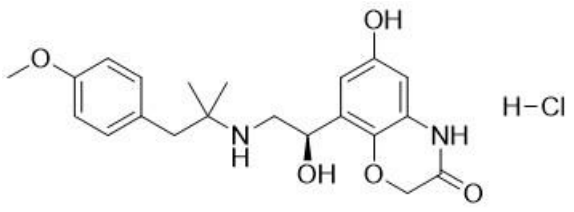
Product data sheet

MedKoo Cat#: 329649 Name: Olodaterol HCl CAS#: 869477-96-3 (HCl) Chemical Formula: $C_{21}H_{27}ClN_2O_5$ Molecular Weight: 422.91	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Olodaterol, also known as BI 1744, is a long acting beta-adrenoceptor agonist used as an inhalation for treating patients with chronic obstructive pulmonary disease (COPD), manufactured by Boehringer-Ingelheim. Olodaterol was approved by FDA in 2014 for the treatment of chronic obstructive pulmonary disease.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	80.0	189.2

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.36	11.82	23.65
5 mM	0.47	2.36	4.73
10 mM	0.24	1.18	2.36
50 mM	0.05	0.24	0.47

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Herrmann FE, Wollin L, Wirth J, Gantner F, Lämmle B, Wex E. Olodaterol shows anti-fibrotic efficacy in in vitro and in vivo models of pulmonary fibrosis. Br J Pharmacol. 2017 Nov;174(21):3848-3864. doi: 10.1111/bph.13982. Epub 2017 Sep 20. PMID: 28810065; PMCID: PMC5647188.

2. Bouyssou T, Casarosa P, Naline E, Pestel S, Konetzki I, Devillier P, Schnapp A. 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. doi: 10.1124/jpet.110.167007. Epub 2010 Apr 6. Erratum in: J Pharmacol Exp Ther. 2013 Jul;346(1):161. PMID: 20371707.

In vivo study

1. Herrmann FE, Wollin L, Wirth J, Gantner F, Lämmle B, Wex E. Olodaterol shows anti-fibrotic efficacy in in vitro and in vivo models of pulmonary fibrosis. Br J Pharmacol. 2017 Nov;174(21):3848-3864. doi: 10.1111/bph.13982. Epub 2017 Sep 20. PMID: 28810065; PMCID: PMC5647188.

2. Wex E, Kollak I, Duechs MJ, Naline E, Wollin L, Devillier P. The long-acting β2 -adrenoceptor agonist olodaterol attenuates pulmonary inflammation. Br J Pharmacol. 2015 Jul;172(14):3537-47. doi: 10.1111/bph.13143. Epub 2015 May 12. PMID: 25824824; PMCID: PMC4507158.

Product data sheet

7. Bioactivity

Biological target:

Olodaterol is a long acting beta-adrenoceptor agonist.

In vitro activity

The primary aim of this study was to assess the ability of olodaterol (Striverdi®, Boehringer Ingelheim), an inhaled long‐acting β2‐adrenoceptor agonist approved for the once‐daily maintenance treatment of COPD (Bouyssou et al., 2010a; van Noord et al., 2011), to inhibit pathogenic mechanisms involved in lung fibrosis. For this purpose, different in vitro assays were performed, including fibroblast proliferation and migration, myofibroblast differentiation and pro‐fibrotic mediator release using primary human lung cells from patients with IPF and control donors. Olodaterol inhibited the contractile properties of HLFs by approximately 85%. On the contrary, for IPF‐LF, the maximal inhibitory effect of olodaterol was 47% (Figure 4A). Summarizing the in vitro part of the data, there were no significant differences regarding the efficacy of olodaterol in inhibiting pro‐fibrotic mechanisms in HLF compared to IPF‐LF. This indicates that β2‐adrenoceptors are still functional in cells from fibrotic patients and builds a rationale for the efficacy of olodaterol in IPF

Br J Pharmacol. 2017 Nov; 174(21): 3848–3864. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5647188/

In vivo activity

In order to address the inhibitory activity of olodaterol to attenuate the fibrotic pathology in vivo, a mouse model of lung fibrosis induced by bleomycin was used. Treatment with inhaled olodaterol (1 mg·mL−1, q.d.) was either started at day 1 after bleomycin instillation for the preventive treatment or at day 7 for the therapeutic treatment. Bleomycin caused a maximal reduction of body weight at day 7 with a subsequent recovery phase. With preventive as well as therapeutic olodaterol treatment, the recovery back to control levels of body weight (at day 21) was accelerated (Figure 6A). On day 21, total cell counts were significantly increased in the BALF of mice stimulated with bleomycin (Figure 6B). Furthermore, the fibrosis‐relevant mediators, MMP‐9, TGF‐β and TIMP‐1, were increased in BALF of bleomycin‐treated animals (4.3‐fold, 5.6‐fold and 35.3‐fold, respectively) and were significantly inhibited by olodaterol inhalation by about 50 to 70%, regardless of treatment start (Table 2). In summary, the in vivo experiments support anti‐fibrotic actions of olodaterol both, in the bleomycin model, as well as in a model of TGFß‐induced fibrosis. In both models, the most prominent effects were seen with a preventive dosing regimen compared to the therapeutic approach.

Br J Pharmacol. 2017 Nov; 174(21): 3848–3864. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5647188/