Olorinab

Cat. No.: HY-111110

CAS No.: 1268881-20-4

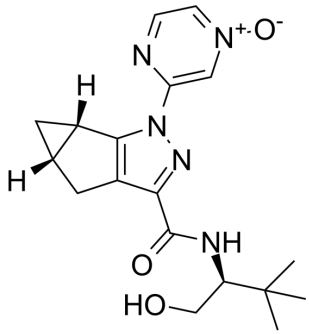
Molecular Formula: $C_{18}H_{23}N_5O_3$

Molecular Weight: 357.41

Target: Cannabinoid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (559.58 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7979 mL	13.9895 mL	27.9791 mL
5 mM	0.5596 mL	2.7979 mL	5.5958 mL
10 mM	0.2798 mL	1.3990 mL	2.7979 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (13.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (13.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (13.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Olorinab (APD 371) is a highly potent, selective and fully efficacious cannabinoid receptor type 2 (CB_2) agonist, with an EC_{50} of 6.2 nM for hCB_2.

IC_{50} & Target

EC50: 6.2 nM (hCB_2)[1].

In Vitro

A comprehensive in vitro profile of Olorinab (APD 371) (6) shows that single digit nanomolar potency and full intrinsic efficacy are maintained in all species assessed, and that Olorinab (APD 371) is highly selective for CB_2 over CB_1 in both binding and functional assays. Furthermore, Olorinab (APD 371) induces efficient receptor internalization (~106% relative to the CB1/2 agonist CP55,940) in CHO cells expressing HA-tagged rat CB_2 suggesting that, according to the hypothesis,

	Olorinab (APD 371) would be able to drive agonist-induced receptor recycling[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Olorinab (APD 371) significantly increases paw withdrawal thresholds at doses ≥3 mg/kg PO (ED_{50}=2.3 mg/kg). In a separate experiment, a single dose of Olorinab (APD 371) (10 mg/kg, PO) inhibits paw withdrawal threshold for up to 4 hours after administration. Seperately, the analgesic effects of Olorinab (APD 371) are shown to be highly likely mediated via activity at CB_2 receptors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Han S, et al. Discovery of APD371: Identification of a Highly Potent and Selective CB2 Agonist for the Treatment of Chronic Pain. ACS Med Chem Lett. 2017 Nov 30;8(12):1309-1313.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA