

Product Data Sheet

Product Name: Olorinab (APD 371)

Cat. No.: GC30504

Chemical Properties

Cas. No. 1268881-20-4

SMILES O=C(C1=NN(C(N=CC=2)=CN2=O)C3=C1C[C@@]4([H])[C@]3([H])C4)N[C@H](CO)C(C)(C)C

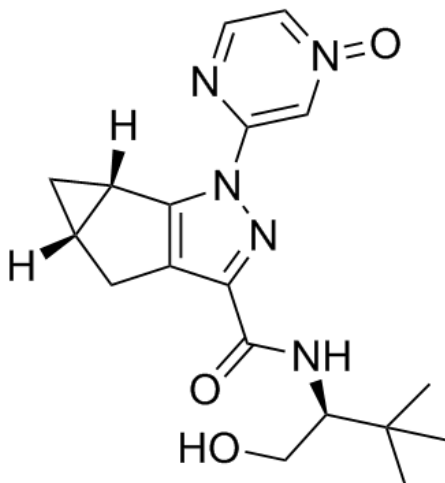
Formula $C_{18}H_{23}N_5O_3$ M.Wt 357.41

Solubility DMSO : 200 mg/mL (559.58 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Olorinab (APD 371) is a highly potent, selective and fully efficacious cannabinoid receptor type 2 (CB2) agonist, with an EC₅₀ of 6.2 nM for hCB2.

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

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Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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highly selective for CB2 over CB1 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 CB2 suggesting that, according to the hypothesis, Olorinab (APD 371) would be able to drive agonist-induced receptor recycling[1].

Olorinab (APD 371) significantly increases paw withdrawal thresholds at doses ≥ 3 mg/kg PO (ED₅₀=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. Separately, the analgesic effects of Olorinab (APD 371) are shown to be highly likely mediated via activity at CB2 receptors[1].

[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.

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