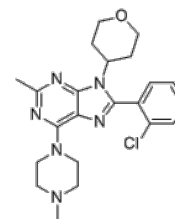


Product Name : LY2828360
Cat. No. : PC-47097
CAS No. : 1231220-79-3
Molecular Formula : C₂₂H₂₇ClN₆O
Molecular Weight : 426.949
Target : Cannabinoid Receptor
Solubility : 10 mM in DMSO



Biological Activity

LY2828360 is a potent **CB2 receptor agonist** with similar affinity for human and rat CB2 receptors with K_i of 40.3 nM and 36.7 nM, respectively, shows EC₅₀ of 20.1 nM in [³⁵S]-GTPγS assays.

LY2828360 displays no agonist activity for CB1 receptor (EC₅₀>10 μM).

LY2828360 is a slowly acting but efficacious G protein-biased CB2 agonist, inhibiting cAMP accumulation and activating extracellular signal-regulated kinase 1/2 signaling while failing to recruit arrestin, activate inositol phosphate signaling, or internalize CB2 receptors.

LY2828360 shows good CNS penetration and potent oral activity in a preclinical model of joint pain induced by intra-articular monoiodoacetic acid.

LY2828360 (0.3 mg/kg p.o.) produces a dose-related reversal of pain using incapitance testing, demonstrating equivalent efficacy to the nonsteroidal anti-inflammatory drug diclofenac in the monoiodoacetic acid model.

References

Lin X, et al. *Mol Pharmacol*. 2018 Feb;93(2):49-62.

Iyer V, et al. *Eur J Pharmacol*. 2020 Nov 5;886:173544.

Hollinshead SP, *J Med Chem* 56:5722–5733.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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