LY2795050

Cat. No.: HY-15708

CAS No.: 1346133-08-1

Molecular Formula: $C_{23}H_{22}ClN_3O_2$

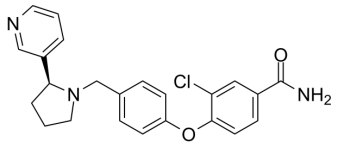
Molecular Weight: 407.89

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (122.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4516 mL	12.2582 mL	24.5164 mL
	5 mM	0.4903 mL	2.4516 mL	4.9033 mL
	10 mM	0.2452 mL	1.2258 mL	2.4516 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: ≥ 2.5 mg/mL (6.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY2795050 is a short-acting selective κ(kappa)-opioid receptor (KOR) antagonist. LY2795050 has high affinity for the KOR with K_i value of 0.72 nM. LY2795050 can be used for the research of central nervous system dysfunction[1][2].

IC_{50} & Target

Ki: 0.72 nM (KOR); Kb: 0.63 nM (KOR)[1]

In Vitro

LY2795050 displays high affinity with a K_i value of 0.72 nM for the KOR and has antagonist activity with a K_b value of 0.63 nM [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LY2795050 (i.p., 0.032-0.1mg/kg, 30 min) prevents dose-dependent grooming deficits produced by U50,488 in male and female mice[2].

LY2795050 (i.p., 0.032-0.1mg/kg, 0-150 min) has sexual dimorphism in some behavioral effects[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J mice[2] (gonadally intact, adult, male and female)
Dosage:	0.032-0.1 mg/kg
Administration:	i.p., 0.032-0.1mg/kg, 30 min
Result:	Could dose-dependently prevent the self-grooming deficits caused by U50,488 with a 30-min pre-treatment. Not effected in blocking the effects of U50,488 with smaller dose (0.032mg/kg) in either males or females. Decreased immobility in the FST in males at a dose of 0.1mg/kg, but not in females, up to a dose of 0.32mg/kg. Prevented and also reversed (at 0.32mg/kg) the locomotor-depressant effects of U50,488 (10mg/kg), in males and females.

REFERENCES

[1]. Ming-Qiang Zheng, et al. Synthesis and evaluation of 11C-LY2795050 as a κ-opioid receptor antagonist radiotracer for PET imaging. J Nucl Med

[2]. Eduardo R Butelman, et al. Profile of a short-acting κ-antagonist, LY2795050, on self-grooming behaviors, forced swim test and locomotor activity: sex comparison in mice. J Psychopharmacol. 2021 May;35(5):579-590.

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