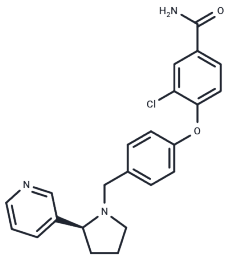
Data Sheet (Cat.No.TQ0091)

LY2795050

Chemical Properties



CAS No. : 1346133-08-1

Formula: C23H22ClN3O2

Molecular Weight: 407.89

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	LY2795050 is a novel specific κ-Opioid Receptor antagonist (IC50: 0.72 nM).
Targets(IC50)	Opioid Receptor
In vitro	LY2795050 displays full antagonist activity and high binding affinity and selectivity for κ-opioid Receptor (Ki: 0.72 nM) [1].
In vivo	In the brain, (11)C-LY2795050 displayed fast uptake kinetics (regional activity peak times of <20 min) and an uptake pattern consistent with the distribution of κ-Opioid Receptor (KOR) in primates [1]. The LY2795050 ED50 at MOR was 119 μg/kg based on a 1-site model for 11C-carfentanil. The 1-site binding model was also deemed sufficient to describe the specific binding of 11C-LY2795050 at KOR. The ED50 at KOR estimated from the 1-site model was 15.6 μg/kg. Thus, the ED50 ratio for MOR:KOR was 7.6 [2].

Solubility Information

Solubility	DMSO: 50 mg/mL (122.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.9 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.049 mL	0.2452 mL	0.4903 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Mitch, Charles H.; Quimby, Steven J.; Diaz, Nuria; et al. Discovery of Aminobenzyloxyarylamides as κ Opioid Receptor Selective Antagonists: Application to Preclinical Development of a κ Opioid Receptor Antagonist Receptor Occupancy Tracer. Journal of Medicinal Chemistry (2011), 54(23), 8000-8012.
Zheng MQ, Nabulsi N, Kim SJ, Synthesis and evaluation of 11C-LY2795050 as a κ-opioid receptor antagonist radiotracer for PET imaging. J Nucl Med. 2013 Mar;54(3):455-63.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481