

Product Data Sheet

Product Name: LY2795050

Cat. No.: GC19500

Chemical Properties

Cas. No. 1346133-08-1

SMILES O=C(N)C1=CC=C(OC2=CC=C(CN3[C@H](C4=CC=CN=C4)CCC3)C=C2)C(Cl)=C1

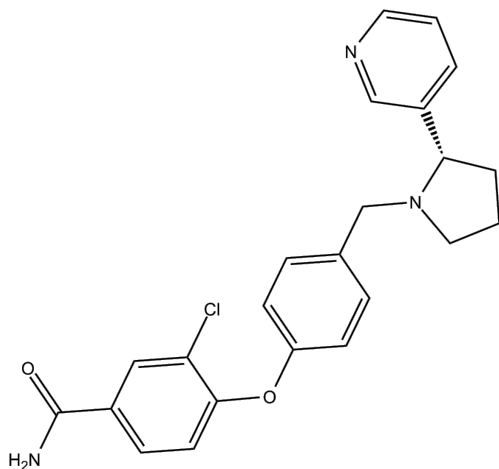
Formula $C_{23}H_{22}ClN_3O_2$ M.Wt 407.89

Solubility DMSO : 50 mg/mL (122.58 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

LY2795050 is a novel selective κ -opioid Receptor (KOR) antagonist ($IC_{50}=0.72$ nM) and has the potential as a PET tracer to image KOR in vivo. IC_{50} Value: 0.72 nM (κ -opioid Receptor); 25.8 nM (κ -opioid) [1] Target: κ -opioid Receptor in vitro: LY2795050 displays full antagonist activity and high binding affinity and selectivity for KOR with a K_i value of 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 KOR in primates [1]. The LY2795050 ED_{50} at MOR was

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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].

Reference:

- [1]. 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.
- [2]. 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.
- [3]. Kim SJ, Zheng MQ, Nabulsi N, Determination of the In Vivo Selectivity of a New κ -Opioid Receptor Antagonist PET Tracer 11C-LY2795050 in the Rhesus Monkey. *J Nucl Med*. 2013 Aug 5.

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