Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

LY2811376

Catalog No: tcsc0987

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1194044-20-6

Formula:
$C_{15}H_{14}F_2N_4S$

Pathway:
Neuronal Signaling

Target:
Beta-secretase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 31 mg/mL (96.77 mM)

Observed Molecular Weight:
320.36

Product Description

LY2811376 is the first orally available non-peptidic **β-secretase (BACE1)** inhibitor with **IC_{50}** of 239 nM-249 nM, that acts to

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decrease Aβ secretion with **EC_{50}** of 300 nM, and demonstrates to have 10-fold selectivity towards BACE1 over BACE2, and more than 50-fold inhibition over other aspartic proteases including cathepsin D, pepsin, or renin.

IC50 & Target: IC50: 239-249 nM (BACE1)

In Vitro: In an APP-overexpressing human embryonic kidney cell line, LY2811376 treatment yields a concentration-dependent decrease in Aβ secretion with a half-maximal effective concentration (EC_{50}) of appr 300 nM. LY2811376 treatment of primary neuronal cultures of PDAPP transgenic mouse produces a concentration-dependent decrease in Aβ secretion with an EC_{50} of appr 100 nM[1]. LY2811376 has good ADME properties (BACE1 IC_{50}=240 nM, cellular potency IC_{50}=300 nM) and selectivity (BACE2 and cathepsin D selectivity: appr 10- and 65-fold, respectively)[3]. LY2811376 reduces the Aβ40 levels in cortex and plasma without change of health and weight in a dose-dependent manner[4].

In Vivo: LY2811376 (10, 30, and 100 mg/kg, p.o.) results in dose-dependent, significant reductions in Aβ as well as sAPPβ and C99, the proximal cleavage products of APP proteolysis by BACE1. LY2811376 produces dose-dependent decreases in all APP-related PD markers of BACE1 inhibition in PDAPP mice. Low (30 mg) and high (90 mg) doses of LY2811376 investigated in the CSF sampling study are based on PK and plasma $Aβ^{1-40}$ PD observed in the SAD study[1]. LY2811376 (30 mg/kg, p.o.) can lead to a 60% decrease in the soluble Aβs in mouse cortex[2]. LY2811376 (100 mg/kg, p.o.) decreases the spine density and formation in mice. LY2811376 (100 mg/kg every 12 hours over 16 days) causes a reduction in the frequency of sEPSC and mEPSC, whereas the effects of LY2811376 on the amplitude of sEPSC fails to reach significance[4].