

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

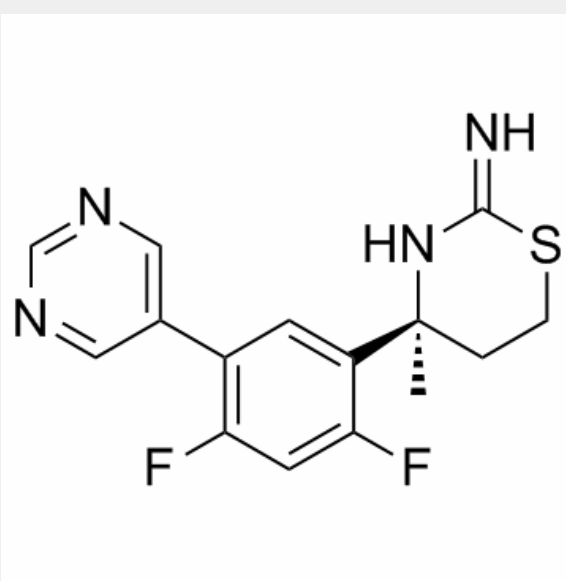
LY2811376 is the first orally available non-peptidic **β -secretase (BACE1)** inhibitor with **IC₅₀** of 239 nM-249 nM, that acts to

decrease A β secretion with **EC₅₀** 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 A β secretion with a half-maximal effective concentration (EC₅₀) of appr 300 nM. LY2811376 treatment of primary neuronal cultures of PDAPP transgenic mouse produces a concentration-dependent decrease in A β secretion with an EC₅₀ of appr 100 nM^[1]. LY2811376 has good ADME properties (BACE1 IC₅₀=240 nM, cellular potency IC₅₀=300 nM) and selectivity (BACE2 and cathepsin D selectivity: appr 10- and 65-fold, respectively)^[3]. LY2811376 reduces the A β 40 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 A β as well as sAPP β 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 A β ¹⁻⁴⁰ PD observed in the SAD study^[1]. LY2811376 (30 mg/kg, p.o.) can lead to a 60% decrease in the soluble A β s 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!