

E 2012

Catalog No: tcsc0293



Available Sizes

Size: 5mg

Size: 10mg

Size: 100mg



Specifications

CAS No:

870843-42-8

Formula:

$C_{25}H_{26}FN_3O_2$

Pathway:

Stem Cell/Wnt;Neuronal Signaling

Target:

γ -secretase; γ -secretase

Purity / Grade:

>98%

Solubility:

DMSO : \geq 50 mg/mL (119.19 mM)

Observed Molecular Weight:

419.49

Product Description

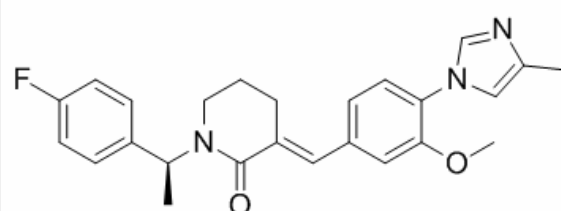
E 2012 is a potent γ -secretase modulator.

IC50 value:

Target: γ -secretase

In the present study, 9 dogs were treated with a single dose of the γ -secretase modulator E2012, the γ -secretase inhibitor LY450139, or vehicle with a dosing interval of 1 week. The isoform A β (1-37) was significantly increased in a dose-dependent manner in response to treatment with E2012, while A β (1-39), A β (1-40) and A(1-42) decreased [1].

E2012, a gamma secretase modulator without affecting Notch processing, aimed at Alzheimer's disease by reduction of amyloid β -42, induced cataract following repeated doses in the rat. E2012 inhibits 3 β -hydroxysterol Δ 24-reductase (DHCR24) at the final step in the cholesterol biosynthesis. In vivo lenticular concentration of E2012 after 13-week repeated dose with cataract was well above those where inhibition was observed in vitro. E2012 induces cataract in the rat by inhibiting DHCR24 at the final step of cholesterol synthesis with associated elevation in desmosterol within the lens, preceded by desmosterol changes that would serve as a predictive safety biomarker for lenticular opacity [2].



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