E 2012

Cat. No.: HY-10016

CAS No.: 870843-42-8

Molecular Formula: $C_{25}H_{26}FN_3O_2$

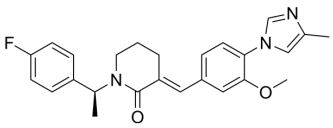
Molecular Weight: 419.49

Target: γ-secretase

Pathway: Neuronal Signaling; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (119.19 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3838 mL	11.9192 mL	23.8385 mL
	5 mM	0.4768 mL	2.3838 mL	4.7677 mL
	10 mM	0.2384 mL	1.1919 mL	2.3838 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.96 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.96 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

E 2012 is a potent gamma (γ) secretase modulator without affecting Notch processing. E 2012 inhibits 3β-hydroxysterol Δ24-reductase (DHCR24) at the final step in the cholesterol biosynthesis. E 2012 aims at Alzheimer's disease by reduction of amyloid β-42, and induces cataract following repeated doses in the rat[1].

In Vitro

E2012 has concentration-dependent inhibitory effects on cholesterol biosynthesis in primary culture of rat hepatocytes and HepG2 cells with IC_{50}s of 11.0, and 15.1 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	In vivo lenticular concentration of E 2012 after 13-week repeated dose with cataract was well above those where inhibition is observed in vitro. E 2012 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2021 Jan 21;184(2):521-533.e14.
- Nat Commun. 2013;4:2246.
- Cell Rep. 2015 May 5;11(5):689-96.
- Stem Cell Reports. 2017 Apr 11;8(4):870-882.
- J Neurochem. 2013 May;125(4):610-9.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Nakano-Ito K, et al. E2012-induced cataract and its predictive biomarkers. Toxicol Sci. 2014 Jan;137(1):249-58.

[2]. Portelius E, Van Broeck B, Andreasson U, Gustavsson MK, Mercken M, Zetterberg H, Borghys H, Blennow K.Acute effect on the Aβ isoform pattern in CSF in response to γ-secretase modulator and inhibitor treatment in dogs.J Alzheimers Dis. 2010;21(3):1005-12.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite F, Monmouth Junction, NJ 08852, USA