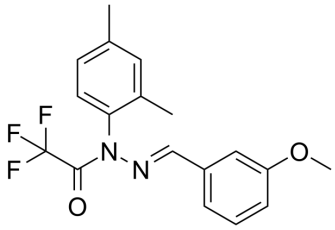
(E/Z)-J147

Cat. No.:	HY-13779
CAS No.:	1146963-51-0
Molecular Formula:	$C_{18}H_{17}F_3N_2O_2$
Molecular Weight:	350.34
Target:	Monoamine Oxidase; Dopamine Transporter
Pathway:	Neuronal Signaling
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 : ≥ 100 mg/mL (285.44 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8544 mL	14.2718 mL	28.5437 mL
5 mM	0.5709 mL	2.8544 mL	5.7087 mL
10 mM	0.2854 mL	1.4272 mL	2.8544 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: ≥ 1.88 mg/mL (5.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.88 mg/mL (5.37 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

(E/Z)-J147 is an exceptionally potent, orally active, neuroprotective agent for cognitive enhancement. (E/Z)-J147 can readily pass the blood brain barrier (BBB). (E/Z)-J147 can inhibit monoamine oxidase B (MAO B) and the dopamine transporter with EC_{50} values of 1.88 μM and 0.649 μM, respectively. (E/Z)-J147 has potential for the treatment of Alzheimer's disease (AD)[1][2][3].

IC_{50} & Target

MAO B; Dopamine transporter[2]

In Vitro

(E/Z)-J147 promotes HT22 and primary cell survival in a dose-dependent manner (EC_{50} value range of 0.06-0.115 μM) [2].

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

In Vivo

(E/Z)-J147 (diet is prepared by the addition of (E/Z)-J147 at 200ppm; 6 months) enhances memory and dendritic spine number in old mice[4].

The half life ($t_{1/2}$) of (E/Z)-J147 is calculated at 1.5 hrs in plasma and 2.5 hrs in brain (per oral (PO) administration at a single dose of 20 mg/kg)[2].

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

Animal Model:	24 male C57Bl/6 mice aged 24 months; using 8 month-old mice as controls[4]
Dosage:	200 ppm
Administration:	The diet was prepared by the addition of 200ppm; 6 months
Result:	While both young and old animals recognized when an object was moved a large distance (135 degrees), a reduction in the recognition index (RI) in aged mice was observed when the object was moved a smaller distance of 45 degrees. The reduction in the RI was reversed upon treatment with (E/Z)-J147.

REFERENCES

[1]. Wang M, et al. The first synthesis of [11C]J147, a new potential PET agent for imaging of Alzheimer's disease. Bioorg Med Chem Lett. 2013 Jan 15;23(2):524-7.

[2]. Chen Q, et al. A novel neurotrophic drug for cognitive enhancement and Alzheimer's disease. PLoS One. 2011;6(12):e27865.

[3]. Prior M, et al. Selecting for neurogenic potential as an alternative for Alzheimer's disease drug discovery. Alzheimers Dement. 2016 Jun;12(6):678-86.

[4]. Prior M, et al. The neurotrophic compound J147 reverses cognitive impairment in aged Alzheimer's disease mice. Alzheimers Res Ther. 2013 May 14;5(3):25.

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