MK-0752

Cat. No.: HY-10974

CAS No.: 471905-41-6

Molecular Formula: $C_{21}H_{21}ClF_2O_4S$

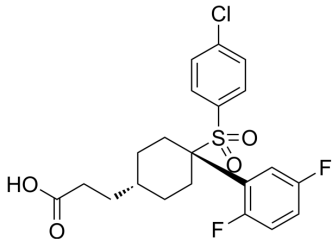
Molecular Weight: 442.9

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 : ≥ 100 mg/mL (225.78 mM)

Ethanol : 10 mg/mL (22.58 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent, Mass	1 mg	5 mg	10 mg
1 mM	2.2578 mL	11.2892 mL	22.5785 mL
5 mM	0.4516 mL	2.2578 mL	4.5157 mL
10 mM	0.2258 mL	1.1289 mL	2.2578 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 (5.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-0752 is a potent, orally active and specific γ-secretase inhibitor, showing dose-dependent reduction of Aβ40 with an IC_{50} of 5 nM in human SH-SY5Y cells. MK-0752 crosses the blood-brain barrier. MK-0752 reduces newly generated CNS Aβ in vivo [1][2].

In Vivo

MK-0752 (60-240 mg/kg; p.o.) decreases the generation of newly produced Aβ in the brain of rhesus monkeys[1].

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

Animal Model:	Male rhesus monkeys[1]
Dosage:	60-240 mg/kg
Administration:	P.o.
Result:	Generation of new Aβ was partially blocked with administration of 60 mg/kg, and nearly completely blocked at the 240 mg/kg dose as indicated by the dose-dependent decrease in the amount of $^{13}C_6$-leucine-labeled Aβ.

CUSTOMER VALIDATION

- EMBO Mol Med. 2017 Jul;9(7):950-966.
- Int J Mol Sci. 2022, 23(11), 5980.
- J Cell Physiol. 2021 Feb;236(2):1237-1251.
- J Biol Chem. 2019 Jul 19;294(29):11276-11285.
- J Cell Sci. 2021 Oct 8;jcs.258432.

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REFERENCES

[1]. Cook JJ, et al. Acute gamma-secretase inhibition of nonhuman primate CNS shifts amyloid precursor protein (APP) metabolism from amyloid-beta production to alternative APP fragments without amyloid-beta rebound. J Neurosci. 2010;30(19):6743-6750.

[2]. Krop I, et al. Phase I pharmacologic and pharmacodynamic study of the gamma secretase (Notch) inhibitor MK-0752 in adult patients with advanced solid tumors. J Clin Oncol. 2012;30(19):2307-2313.

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