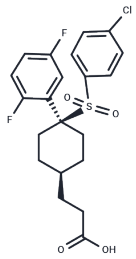
Data Sheet (Cat.No.T2625)

MK-0752

Chemical Properties



CAS No. : 471905-41-6

Formula: C21H21ClF2O4S

Molecular Weight: 442.9

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	MK-0752, a γ-secretase inhibitor, reduces Aβ40 production(IC50=5 nM).
Targets(IC50)	Beta Amyloid,Gamma-secretase
In vitro	In guinea pigs, oral administration of MK-0752 (10-30 mg/kg) resulted in a dose-dependent reduction of Aβ40 in the plasma, brain, and cerebrospinal fluid. Similarly, in monkeys, MK-0752 (240 mg/kg) was capable of reducing the production of Aβ in the brain.
In vivo	In human SH-SY5Y cells, MK-0752 significantly reduces Aβ40 in a dose-dependent manner with an IC50 of 5 nM.
Kinase Assay	Protein kinase assays : Protein kinase assays are either done in-house by ELISA-based assay methods (Kit, KDR, PDGFRα, and PDGFRβ) or by a radiometric method. In-house ELISA assays used poly(Glu:Tyr) as the substrate bound to the surface of 96-well assay plates; phosphorylation is then detected using an antiphosphotyrosine antibody conjugated to HRP. The bound antibody is then quantitated using ABTS as the peroxidase substrate by measuring the absorbance at 405/490 nm. All assays uses purified recombinant kinase catalytic domains that are either expressed in insect cells or in bacteria. The Kit and EGFR protein used for in-house assays are prepared internally; other enzymes are obtained. Recombinant Kit protein is expressed as an NH2-terminal glutathione S-transferase fusion protein in insect cells and is initially purified as a nonphosphorylated (nonactivated) enzyme with a relatively high Km for ATP (400 μM). In some assays, an activated (tyrosine phosphorylated) form of the enzyme is prepared by incubation with 1 mM ATP for 1 hour at 30 °C. The phosphorylated protein is then passed through a desalting column to remove the majority of the ATP and stored at ?80 °C in buffer containing 50% glycerol. The resultant preparation has a considerably higher specific activity and a lower Km for ATP (25 μM) than the initial nonphosphorylated preparation. The inhibition of Kit autophosphorylation by OSI-930 is assayed by incubation of the nonphosphorylated enzyme at 30 °C in the presence of 200 μM ATP and various concentrations of OSI-930. The reaction is stopped by removal of aliquots into SDS-PAGE sample buffer followed by heating to 100 °C for 5 minutes. The degree of phosphorylation of Kit is then determined by immunoblotting for both total Kit and phosphorylated Kit.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 89 mg/mL (200.95 mM),Sonication is recommended. Ethanol: 45 mg/mL (101.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0452 mL	0.2258 mL	0.4516 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Cook JJ, et al. J Neurosci, 2010, 30(19), 6743-6750.
Harrison H, et al. Cancer Res, 2010, 70(2), 709-718.

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