Data Sheet (Cat.No.T21509)

TargetMol

CA 074

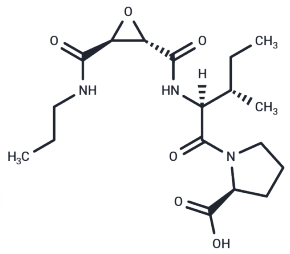
Chemical Properties

CAS No. : 134448-10-5

Formula: C18H29N3O6

Molecular Weight: 383.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	CA 074 is a potent inhibitor of cathepsin B with a Ki value of 2 to 5 nM.CA 074 inhibits ischemic hippocampal neuronal death in primates and attenuates retinopathy and optic neuritis in experimental autoimmune encephalomyelitis induced by SJL/J mice.
Targets(IC50)	Cysteine Protease
In vitro	CA-074, a synthetic analogue of E-64 developed through rational drug design, is an irreversible inhibitor of most lysosomal cysteine proteinases. It exploits the dipeptidylcarboxypeptidase activity of cathepsin B. With a Ki of 2 to 5 nM, CA-074 selectively inhibits cathepsin B within living cells, provided that experimental conditions allow significant fluid-phase endocytosis of the drug[2]. Notably, CA-074 demonstrates significantly greater inhibitory effects on purified rat cathepsin B (10000-30000 times) compared to cathepsin H and L, for which the initial Kis are about 40-200 μM[3].
In vivo	The intraperitoneal injection of compound CA-074 into rats effectively and selectively inhibits cathepsin B activity[1]. Following intravenous administration of CA-074 immediately after the ischemic insult, it preserves 67% of CA1 neurons from delayed neuronal death on day 5 in eight monkeys undergoing 20 minutes of brain ischemia. The extent of inhibition is excellent in three of eight monkeys and good in five of eight monkeys[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (260.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (10.43 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.608 mL	13.0398 mL	26.0797 mL
5 mM	0.5216 mL	2.608 mL	5.2159 mL
10 mM	0.2608 mL	1.304 mL	2.608 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Yamashima T, et al. Inhibition of ischaemic hippocampal neuronal death in primates with cathepsin B inhibitor CA-074: a novel strategy for neuroprotection based on 'calpain-cathepsin hypothesis'. Eur J Neurosci. 1998 May;10(5):1723-33.

Montaser M, et al. CA-074, but not its methyl ester CA-074Me, is a selective inhibitor of cathepsin B within living cells. Biol Chem. 2002 Jul-Aug;383(7-8):1305-8.

Towatari T, et al. Novel epoxysuccinyl peptides. A selective inhibitor of cathepsin B, in vivo. FEBS Lett. 1991 Mar 25;280(2):311-5.