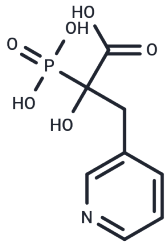
Data Sheet (Cat.No.T16289)

TargetMol

NE 10790

Chemical Properties



CAS No. : 152831-36-2

Formula: C8H10NO6P

Molecular Weight: 247.14

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	NE 10790 (3-PEHPC) is a phosphonocarboxylate analogue of the potent bisphosphonate risedronate and is a weak anti-resorptive agent. Although NE10790 was a poor inhibitor of FPP synthase
Targets(IC50)	Others,Transferase
In vitro	NE 10790 did inhibit prenylation in J774 macrophages and osteoclasts, but only of proteins of molecular mass approximately 22-26 kDa, the prenylation of which was not affected by peptidomimetic inhibitors of either farnesyl transferase (FTI-277) or geranylgeranyl transferase I (GGTI-298).?These 22-26-kDa proteins were shown to be geranylgeranylated by labelling J774 cells with [(3)H]geranylgeraniol.?Furthermore, NE10790 inhibited incorporation of [(14)C]mevalonic acid into Rab6, but not into H-Ras or Rap1, proteins that are modified by FTase and GGTase I, respectively.?These data demonstrate that NE10790 selectively prevents Rab prenylation in intact cells.?In accord, NE10790 inhibited the activity of recombinant Rab GGTase in vitro, but did not affect the activity of recombinant FTase or GGTase I. NE10790 therefore appears to be the first specific inhibitor of Rab GGTase to be identified.?In contrast to risedronate, NE10790 inhibited bone resorption in vitro without markedly affecting osteoclast number or the F-actin 'ring' structure in polarized osteoclasts.?However, NE10790 did alter osteoclast morphology, causing the formation of large intracellular vacuoles and protrusion of the basolateral membrane into large, 'domed' structures that lacked microvilli.?The anti-resorptive activity of NE10790 is thus likely due to disruption of Rab-dependent intracellular membrane trafficking in osteoclasts[1].

Solubility Information

Solubility	H2O: 1 mg/mL (4.05 mM),Sonication is recommended. DMSO: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0463 mL	20.2314 mL	40.4629 mL
5 mM	0.8093 mL	4.0463 mL	8.0926 mL
10 mM	0.4046 mL	2.0231 mL	4.0463 mL
50 mM	0.0809 mL	0.4046 mL	0.8093 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

Coxon FP, et al. Identification of a novel phosphonocarboxylate inhibitor of Rab geranylgeranyl transferase that specifically prevents Rab prenylation in osteoclasts and macrophages. J Biol Chem. 2001 Dec 21;276(51):48213-22.
Hald A , Hansen R R , Thomsen M W , et al. Cancer-induced bone loss and associated pain-related behavior is reduced by risedronate but not its phosphonocarboxylate analog NE-10790[J]. 2009, 125(5):1177-1185.