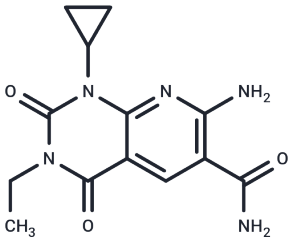
Data Sheet (Cat.No.TQ0137)

TargetMol

A-484954

Chemical Properties



CAS No. : 142557-61-7

Formula: C13H15N5O3

Molecular Weight: 289.29

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	A-484954 (A 484954) is a highly specific eukaryotic elongation factor-2 (eEF2, IC50: 280 nM) inhibitor.
Targets(IC50)	CaMK,Parasite,Autophagy
In vitro	A-484954 is a selective eEF2K inhibitor and little activity against a wide panel of serine/threonine and tyrosine kinases. In the enzymatic assay, the IC50 value of A-484954 is increased as the concentration of ATP increased but unaffected by increasing concentrations of calmodulin [1].
In vivo	Long-term A-484954 treatment inhibits MCT-induced increases PA pressure. Furthermore, A-484954 inhibits MCT-induced NADPH oxidase-1 expression and ROS generation as well as matrix metalloproteinase-2 activation [2]. A484954 causes relaxation in E (+) and E (-) aorta or mesenteric artery precontracted with NA. Pretreatment with L-NAME but not indomethacin or cimetidine partially inhibits the A484954-induced relaxation in mesenteric artery [3].

Solubility Information

Solubility	H2O: Insoluble, DMSO: 24.5 mg/mL (84.69 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: 2 mg/mL (6.91 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	3.4567 mL	17.2837 mL	34.5674 mL
5 mM	0.6913 mL	3.4567 mL	6.9135 mL
10 mM	0.3457 mL	1.7284 mL	3.4567 mL
50 mM	0.0691 mL	0.3457 mL	0.6913 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

Chen Z, et al. 1-Benzyl-3-cetyl-2-methylimidazolium iodide (NH125) induces phosphorylation of eukaryotic elongation factor-2 (eEF2): a cautionary note on the anticancer mechanism of an eEF2 kinase inhibitor. J Biol Chem. 2011 Dec 23;286(51):43951-8.

Piserchio A, Isiorho E A, Dalby K N, et al.Structure of the complex between calmodulin and a functional construct of eukaryotic elongation factor 2 kinase bound to an ATP-competitive inhibitor.Journal of Biological Chemistry.2023: 104813.

Kameshima S, et al. Eukaryotic elongation factor 2 kinase mediates monocrotaline-induced pulmonary arterial hypertension via reactive oxygen species-dependent vascular remodeling. Am J Physiol Heart Circ Physiol. 2015 May 15;308(10):H1298-305.

Kodama T, et al. Mechanisms underlying the relaxation by A484954, a eukaryotic elongation factor 2 kinase inhibitor, in rat isolated mesenteric artery. J Pharmacol Sci. 2018 May;137(1):86-92.