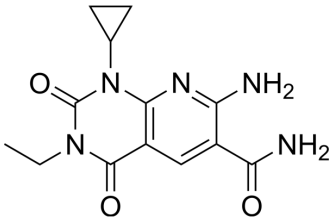
A-484954

Cat. No.:	HY-110096
CAS No.:	142557-61-7
Molecular Formula:	$C_{13}H_{15}N_5O_3$
Molecular Weight:	289.29
Target:	CaMK; Autophagy
Pathway:	Neuronal Signaling; Autophagy
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 : 25 mg/mL (86.42 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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 (8.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (6.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-484954 is a highly selective eukaryotic elongationfactor-2 kinase(eEF2K) inhibitor, with an IC_{50} of 280 nM.

IC_{50} & Target

IC50: 280 nM (eEF2K)[1].

In Vitro

A-484954 is a highly selective eEF2K inhibitor with an IC_{50} value of 280 nM against eEF2K in the enzymatic assay and little activity against a wide panel of serine/threonine and tyrosine kinases. In enzymatic assay, the IC_{50} value of A-484954 is increased as the concentration of ATP increased but unaffected by increasing concentrations of calmodulin[1].

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

In Vivo	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[2]. Long-term A-484954 treatment inhibits MCT-induced increases PA pressure. It is revealed that A-484954 inhibits MCT-induced PA hypertrophy and fibrosis but not impairment of endothelium-dependent and -independent relaxation. Furthermore, A-484954 inhibits MCT-induced NADPH oxidase-1 expression and ROS generation as well as matrix metalloproteinase-2 activation[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Med. 2023 Nov 6;220(11):e20230577.
- Molecules. 2023, 28(3), 1095.
- Sports Med Health Sci. 2024 Mar 8.
- bioRxiv. 2024 Mar 7.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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