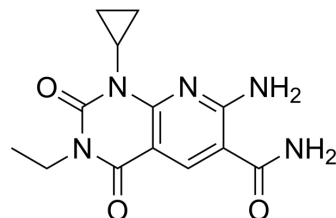


## A-484954

<b>Cat. No.:</b>	HY-110096		
<b>CAS No.:</b>	142557-61-7		
<b>Molecular Formula:</b>	C <sub>13</sub> H <sub>15</sub> N <sub>5</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	289.29		
<b>Target:</b>	CaMK; Autophagy		
<b>Pathway:</b>	Neuronal Signaling; Autophagy		
<b>Storage:</b>	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<sub>2</sub>O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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<sub>50</sub> of 280 nM.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 280 nM (eEF2K)<sup>[1]</sup>.

#### In Vitro

A-484954 is a highly selective eEF2K inhibitor with an IC<sub>50</sub> 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<sub>50</sub> value of A-484954 is increased as the concentration of ATP increased but unaffected by increasing concentrations of calmodulin<sup>[1]</sup>.  
 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<sup>[2]</sup>. 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<sup>[3]</sup>. 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.

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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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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