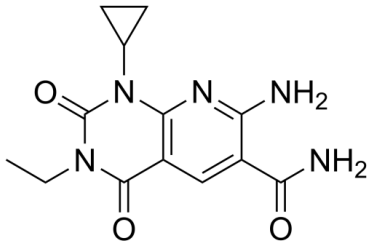
Data Sheet

Product Name:	A-484954
Cat. No.:	CS-0032949
CAS No.:	142557-61-7
Molecular Formula:	$C_{13}H_{15}N_5O_3$
Molecular Weight:	289.29
Target:	Autophagy; CaMK
Pathway:	Autophagy; Neuronal Signaling
Solubility:	H_2O : < 0.1 mg/mL;DMSO : 25 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

A-484954 is a highly selective eukaryotic elongationfactor-2 kinase**(eEF2K)** inhibitor, with an **IC_{50}** of 280 nM. IC50 & 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]. ***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].

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.

CAIndexNames:

Pyrido[2,3-d]pyrimidine-6-carboxamide, 7-amino-1-cyclopropyl-3-ethyl-1,2,3,4-tetrahydro-2,4-dioxo-

SMILES:

O=C(N1CC)N(C2CC2)C3=C(C=C(C(N)=O)C(N)=N3)C1=O

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

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