

Product Data Sheet

Product Name: A 484954

Cat. No.: GC12739

Chemical Properties

Cas. No. 142557-61-7

Chemical Name 7-amino-1-cyclopropyl-3-ethyl-2,4-dioxo-1,2,3,4-tetrahydropyrido[2,3-d]pyrimidine-6-carboxamide

SMILES O=C(N(CC)C1=O)N(C2=NC(N)=C(C(N)=O)C=C21)C3CC3

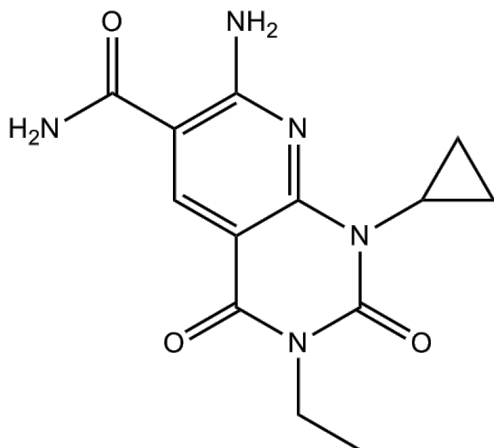
Formula $C_{13}H_{15}N_5O_3$ M.Wt 289.29

Solubility <2.89mg/ml in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

A 484954 is a selective inhibitor of eEF2K with IC₅₀ value of 0.28 μM [1].

Eukaryotic elongation factor-2 kinase (eEF2K) is a calcium/calmodulin-dependent protein kinase and regulates protein synthesis. It phosphorylates eEF2 and inhibits the activity of eEF2 [1].

A 484954 is a selective eEF2K inhibitor. A 484954 inhibited eEF2K and the phosphorylation of eEF2.

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

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While, it didn't inhibit cancer cell growth. In enzymatic assay, the IC₅₀ value of A-484954 was increased in an ATP-dependent way but not affected by the concentrations of calmodulin. In H1299 cells, A 484954 inhibited the phosphorylation of eEF2 but didn't affect the protein level of eEF2. In PC3 cells, A 484954 (10 μ M) inhibited peEF2 by 50% and the inhibition reached the maximum level at 100 μ M. While A 484954 didn't inhibit cell proliferation. In PC3 cells, NH125 induced eEF2 phosphorylation, while A 484954 had little effect on peEF2 induced by NH125 [1].

Reference:

[1]. Chen Z, Gopalakrishnan SM, Bui MH, 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, 286(51): 43951-43958.

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