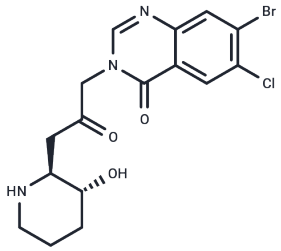
Data Sheet (Cat.No.T6856)

TargetMol

Halofuginone

Chemical Properties



CAS No. : 55837-20-2

Formula: C16H17BrClN3O3

Molecular Weight: 414.68

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Halofuginone (RU-19110), the competitive inhibitor of prolyl-tRNA synthetase(Ki=18.3 nM), could also down-regulate Smad3 and blocked TGF-β signaling at 10 ng/ml in the mammal.
Targets(IC50)	Calcium Channel,Parasite,DNA/RNA Synthesis,Sodium Channel,TGF-beta/Smad
In vitro	In mammals, halofuginone at 10 ng/ml down-regulates Smad3, blocking TGF-β signaling and preventing both the differentiation of fibroblasts to myofibroblasts and the transitioning of epithelial cells to mesenchymal cells[2].
In vivo	Halofuginone clearly extends the survival times of the parasite-infected mice. Oral treatment with halofuginone at doses of 0.2 and 1 mg/kg has an apparent curative effect for the infected mice. The subcutaneous administration of 0.2 mg of halofuginone per kg likewise extends the survival times of the infected mice, but none of the mice is cured. The mice in the 5-mg/kg dose groups die before the completion of treatment with the drug either orally or subcutaneously. Subcutaneous treatment with halofuginone appears to be more toxic to mice than oral treatment[3].
Kinase Assay	Assay of ProRS activity: The prolyl tRNA synthetase domain of human EPRS (ProRS) is expressed in E.coli with a 6-his tag and purified. Enzymatic activity is assayed using incorporation of 3H Pro into the tRNA fraction essentially, except that the charged tRNA fraction is isolated by rapid batchwise binding to Mono Q sepharose and quantitated by liquid scintillation counting. For all kinetic assays, the concentration of active enzyme in the reaction is 40 nM. Similar inhibition by HF is seen using the human ProRS domain purified from bacteria and full length EPRS purified from rat liver.
Cell Research	Primary murine CD4+ CD25– T cells are activated through the TCR in Th17 polarizing conditions in the presence of either 10 nM MAZ1310 or HF and amino acid supplements. Th17 differentiation is assayed in the absence or presence of HF or borrelidin, with or without 1 mM threonine or proline supplementation. MEFs are treated with or without HF (50 nM) and/or Proline (2 mM) for 4 hours (CHOP, S100A4) or 24 hours (ColIA1, Col1A2). (Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 4.15 mg/mL (10 mM),Sonication is recommended.

H2O: < 1 mg/mL (insoluble or slightly soluble),
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4115 mL	12.0575 mL	24.115 mL
5 mM	0.4823 mL	2.4115 mL	4.823 mL
10 mM	0.2411 mL	1.2057 mL	2.4115 mL
50 mM	0.0482 mL	0.2411 mL	0.4823 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.

Reference

Keller TL, et al. Nat Chem Biol. 2012, 8(3):311-317.
Nelson EF, et al. Molecular Vision. 2012, 18:479-487.
McLaughlin NP, et al. Bioorg Med Chem. 2014, 22(7):11993-22004.
Jiang S, et al. Antimicrob Agents Chemother. 2005, 49(3):1169-1176.
Tsuchida K, et al. Halofuginone enhances the chemo-sensitivity of cancer cells by suppressing NRF2 accumulation. Free Radic Biol Med. 2017 Feb;103:236-247.