

EN4

Chemical Properties

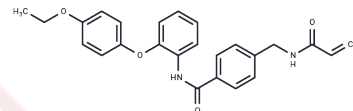
CAS No. : 1197824-15-9

Formula: C₂₅H₂₄N₂O₄

Molecular Weight: 416.47

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	EN4 (EN4 MYC inhibitor) MYC inhibitor is a covalent ligand that targets cysteine 171 (C171) of MYC. It is selective for c-MYC over N-MYC and L-MYC, and inhibits MYC transcriptional activity, downregulates MYC targets, and impairs tumorigenesis.
Targets(IC50)	c-Myc
In vitro	EN4 (50 μM; for 60 hours) treatment significantly decreases the protein levels of representative MYC-regulated target genes, including CDK2 and CDC25A. EN4 treatment also substantially reduces MYC levels. EN4 shows the strongest inhibition of both MYC/MAX binding to its DNA consensus sequence in vitro as well as MYC transcriptional activity in cells. EN4 inhibited MYC/MAX binding to the E-box response element DNA consensus sequence in a dose-responsive manner with an IC50 value of 6.7 μM. EN4 also inhibits MYC luciferase reporter activity in a dose-responsive manner with an IC50 value of 2.8 μM. EN4 (1-50 μM; for 72 hours) treatment significantly impairs 231MFP breast cancer cell proliferation in a dose-dependent manner, with >90% inhibition of proliferation at 50 μM. EN4 (50 μM; for 2 hours) treatment significantly reduced MYC thermal stability in 231MFP breast cancer cells.

Solubility Information

Solubility	DMSO: 245 mg/mL (588.28 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (7.92 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4011 mL	12.0057 mL	24.0113 mL
5 mM	0.4802 mL	2.4011 mL	4.8023 mL
10 mM	0.2401 mL	1.2006 mL	2.4011 mL
50 mM	0.048 mL	0.2401 mL	0.4802 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lydia Boike, et al. Discovery of a Functional Covalent Ligand Targeting an Intrinsically Disordered Cysteine within MYC. Cell Chem Biol. 2021 Jan 21;28(1):4-13.e17.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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