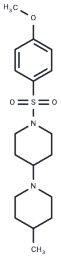
Data Sheet (Cat.No.T22632)

TargetMol

TASIN-1

Chemical Properties



CAS No. : 792927-06-1

Formula: C18H28N2O3S

Molecular Weight: 352.49

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	TASIN-1 is a small molecule inhibitor of mutant adenomatous polyposis coli (APC).
Targets(IC50)	Apoptosis,APC/C,Caspase,JNK,ROS
In vitro	In two authentic human CRC cell lines HCT116 (WT APC) and DLD1 (truncated APC1417), TASIN-1 exhibited potent and selective toxicity toward DLD1 cells (IC50: 70 nM but not toward HCT116 cells (IC50 >50 μM)). TASIN-1 also reduced the endogenous cholesterol biosynthesis rate. TASIN-1 exerted its killing effects primarily by depleting cholesterol through inhibition of emopamil-binding protein (EBP) activity. However, knockdown of truncated APC (>90%) expression desensitized DLD1 cells to TASIN-1, suggesting that APC is required for TASIN-1's cytotoxicity [1].
In vivo	In nude mice with established DLD1 and HT29 tumors, intraperitoneal injection of TASIN-1 twice daily for 18 days reduced the size of tumor xenografts and tumor growth rates. TASIN-1 resulted in the appearance of apoptotic cells with fragmented nuclei and induced an increase in cleaved caspase 3 and cleaved PARP1. However, TASIN-1 did not inhibit tumor growth in HCT116 xenografts. In a genetically engineered CRC mouse model, TASIN-1 significantly reduced tumor formation in the colons of CPC [1].

Solubility Information

Solubility	DMSO: 55 mg/mL (156.03 mM),Sonication is recommended. Ethanol: 12.02 mg/mL (34.1 mM),Sonication is recommended. H2O: 2.45 mg/mL (6.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.837 mL	14.1848 mL	28.3696 mL
5 mM	0.5674 mL	2.837 mL	5.6739 mL
10 mM	0.2837 mL	1.4185 mL	2.837 mL
50 mM	0.0567 mL	0.2837 mL	0.5674 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

Bai R L, Pettit G R, Hamel E. Binding of dolastatin 10 to tubulin at a distinct site for peptide antimitotic agents near the exchangeable nucleotide and vinca alkaloid sites. Journal of Biological Chemistry, 1990, 265(28): 17141-17149.
Zhang L, Kim SB, Luitel K, Shay JW. Cholesterol Depletion by TASIN-1 Induces Apoptotic Cell Death through the ER Stress/ROS/JNK Signaling in Colon Cancer Cells. Mol Cancer Ther. 2018 May;17(5):943-951.
Wang W, Zhang L, Morlock L, Williams NS, Shay JW, De Brabander JK. Design and Synthesis of TASIN Analogues Specifically Targeting Colorectal Cancer Cell Lines with Mutant Adenomatous Polyposis Coli (APC). J Med Chem. 2019 May 23;62(10):5217-5241.