Data Sheet (Cat.No.T13010)

TargetMol

STAT3-IN-3

Chemical Properties

CAS No. : 2361304-26-7

Formula: C27H26BrN3O6S

Molecular Weight: 600.48

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	STAT3-IN-3 is a potent and highly selective targeted STAT3 inhibitor with significant anti-proliferative activity. It effectively induces apoptosis in breast cancer cells. As a mitochondrially targeted STAT3 inhibitor with great research potential, it has good application value in tumor mechanism exploration and anti-cancer research.
In vitro	Methods: In vitro cell experiments and molecular biology assays were used to evaluate the effects of STAT3-IN-3 on the phosphorylation levels of STAT3 and its upstream and downstream related kinases, tumor cell proliferation, target gene expression, and STAT3 DNA-binding ability. Meanwhile, the levels of reactive oxygen species (ROS), mitochondrial membrane potential, and cleavage levels of apoptosis-related proteins were detected to clarify its pro-apoptotic mechanism. Results: 1.STAT3-IN-3 did not alter the phosphorylation levels of STAT1, JAK2, Src, and Erk1/2, indicating a certain degree of target specificity in its action. 2.STAT3-IN-3 significantly inhibited the proliferation of various tumor cells, with IC_{50} values of 1.43 μM, 1.89 μM, 2.88 μM, and 3.33 μM for MDA-MB-231, HCT-116, HepG2, and MCF-7 cells, respectively. 3.STAT3-IN-3 effectively inhibited the tyrosine and serine phosphorylation of STAT3, reduced the DNA-binding activity of STAT3, and downregulated the expression of downstream target genes Bcl-2 and Cyclin D1. 4.Treatment of cells with 1-4 μM STAT3-IN-3 for 24 hours increased intracellular ROS production, decreased mitochondrial membrane potential, thereby activating the mitochondrial apoptotic pathway. Meanwhile, it promoted the cleavage of caspase-9, caspase-3, and PARP proteins, initiating the cellular apoptotic program [1].
In vivo	Methods: A 4T1 breast cancer xenograft animal model was used. STAT3-IN-3 was administered via daily intraperitoneal injection at a dose of 10 mg/kg to 20 mg/kg for 14 consecutive days, and its in vivo antitumor effect was observed and evaluated. Results: STAT3-IN-3 (10-20 mg/kg, intraperitoneal injection once daily for 14 consecutive days) significantly inhibited the growth of 4T1 breast cancer xenografts in vivo, showing good in vivo antitumor activity [1].

Solubility Information

Solubility	DMSO: 4 mg/mL (6.66 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6653 mL	8.3267 mL	16.6533 mL
5 mM	0.3331 mL	1.6653 mL	3.3307 mL
10 mM	0.1665 mL	0.8327 mL	1.6653 mL
50 mM	0.0333 mL	0.1665 mL	0.3331 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

Cai G, et al. Discovery of fluorescent coumarin-benzo[b]thiophene 1, 1-dioxide conjugates as mitochondria-targeting antitumor STAT3 inhibitors. Eur J Med Chem. 2019 Jul 15;174:236-251.

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