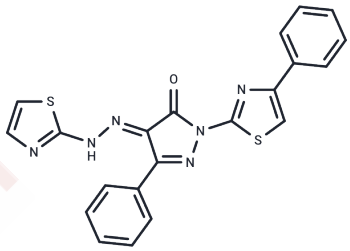
Data Sheet (Cat.No.T5104)

TargetMol

BTSA1

Chemical Properties



CAS No. : 314761-14-3

Formula: C21H14N6OS2

Molecular Weight: 430.51

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	BTSA1 is a BAX activator that binds with high affinity and specificity to the N-terminal activation site and induces conformational changes to BAX leading to BAX-mediated apoptosis.
Targets(IC50)	Apoptosis,Bcl-2 Family
In vitro	BTSA1 has no capacity to directly activate the pro-apoptotic homolog BAK. BTSA1 treatment potently and dose-responsively induces membrane translocation of recombinant soluble BAX to the mitochondrial membrane, which is followed by induction of BAX oligomerization. BTSA1-induced BAX activation promotes apoptosis in cancer cells. BTSA1 reduces the viability of all AML cell lines in a dose-dependent manner with IC50 values ranged between 1 and 4 μM, which leads to complete effect within 24 hr treatment. It induces dose-dependent caspase-3/7 activation in all five AML cell lines[1].
In vivo	BTSA1 potently suppresses human acute myeloid leukemia (AML) xenografts and increases host survival without toxicity. It is well-tolerated in mice with no toxic effects on healthy hematopoiesis, including healthy stem cell-enriched (LSK) cells, common myeloid progenitors, granulocyte-monocyte progenitors, and megakaryocyte-erythrocyte progenitors. BTSA1 has a substantial half-life in mouse plasma (T1/2 = 15 hr) and oral bioavailability (%F = 51), while a 10 mg/kg dose reaches sufficient levels (~15 μM) of BTSA1 to induce BAX activation and apoptosis in leukemia cells. Thus, BTSA1 is orally bioavailable with excellent pharmacokinetics, has significant anti-tumor activity in leukemia xenografts by promoting apoptosis, and at therapeutically effective doses it does not show any detectable toxicity in the hematopoietic system or other tissues[1].

Solubility Information

Solubility	DMSO: 60 mg/mL (139.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3228 mL	11.6141 mL	23.2283 mL
5 mM	0.4646 mL	2.3228 mL	4.6457 mL
10 mM	0.2323 mL	1.1614 mL	2.3228 mL
50 mM	0.0465 mL	0.2323 mL	0.4646 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

Reyna DE, et al. Direct Activation of BAX by BTSA1 Overcomes Apoptosis Resistance in Acute Myeloid Leukemia. Cancer Cell. 2017 Oct 9;32(4):490-505.e10.