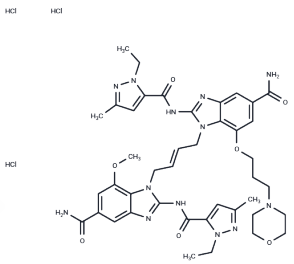
Data Sheet (Cat.No.T5516)

TargetMol

diABZI STING agonist-1 trihydrochloride

Chemical Properties



CAS No. : 2138299-34-8

Formula: C42H54Cl3N13O7

Molecular Weight: 959.32

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	diABZI STING agonist-1 (trihydrochloride) is a stimulator of interferon genes (STING) receptor agonist.
Targets(IC50)	STING
In vitro	diABZI STING agonist-1 trihydrochloride is a selective stimulator of interferon genes receptor (STING) agonist with EC50 values of 130 and 186 nM in humans and mice.
In vivo	**METHODS**: diABZI STING agonist-1 (trihydrochloride) (3mg/kg, intravenous injection) was administered to BALB/c mice to observe the pharmacokinetic spectrum in the mice. **RESULTS** diABZI STING agonist-1 (trihydrochloride) was systematically exposed, with a half-life of 1.4 h, and the systemic concentration was greater than the half-maximum effective concentration (EC50) of mouse STING (200 ng/ml). [1] **METHODS**: diABZI STING agonist-1 (trihydrochloride) (1.5 mg/kg, 1, 4 and 8 days, intravenous injection) in mice with subcutaneous CT-26 tumors was analyzed by tumor volume AUC. **RESULTS** diABZI STING agonist-1 (trihydrochloride) had a significant inhibitory effect on tumor growth and significantly improved survival rate (P<0.001). [1]
Animal Research	To evaluate the potential therapeutic effects of systemically administered diABZI STING agonist-1 trihydrochloride, tested the efficacy of intravenously delivered diABZI STING in a syngeneic mouse model of colorectal tumours (CT-26) in BALB/c mice.?We first established the pharmacokinetic profile of STING in BALB/c mice following intravenous injection of 3 mg/kg .?STING exhibited systemic exposure with a half-life of 1.4 h and achieved systemic concentrations greater than the half-maximal effective concentration (EC50) for mouse STING (~200 ng/ml).?Next, we tested an intermittent dosing paradigm in which 1.5 mg/kg STING was injected intravenously on days 1, 4, and 8 in mice with approximately 100 mm^3 subcutaneous CT-26 tumours.?Treatment with STING resulted in significant tumour growth inhibition as measured by tumour volume AUC analysis (P<0.001), and significantly improved survival (P<0.001) with 8 out of 10 mice remaining tumour free at the end of the study on day 43.

Solubility Information

Solubility	H2O: 5 mg/mL (5.21 mM),Sonication is recommended. DMSO: 15 mg/mL (15.64 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.08 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0424 mL	5.212 mL	10.4241 mL
5 mM	0.2085 mL	1.0424 mL	2.0848 mL
10 mM	0.1042 mL	0.5212 mL	1.0424 mL
50 mM	0.0208 mL	0.1042 mL	0.2085 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

Ramanjulu JM, et al. Design of amidobenzimidazole STING receptor agonists with systemic activity. Nature. 2018 Dec;564(7736):439-443.

Cao D, Duan L, Huang B, et al.The SARS-CoV-2 papain-like protease suppresses type I interferon responses by deubiquitinating STING.Science Signaling.2023, 16(783): eadd0082.