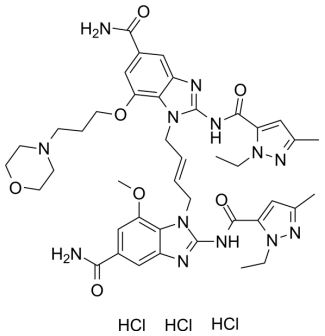
diABZI STING agonist-1 trihydrochloride

Cat. No.:	HY-112921B
CAS No.:	2138299-34-8
Molecular Formula:	$C_{42}H_{54}Cl_3N_{13}O_7$
Molecular Weight:	959.32
Target:	STING
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 90 mg/mL (93.82 mM; Need ultrasonic)
H_2O : 25 mg/mL (26.06 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0424 mL	5.2120 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (34.74 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

diABZI STING agonist-1 (trihydrochloride) is a selective stimulator of interferon genes (STING) receptor agonist, with EC_{50}s of 130, 186 nM for human and mouse, respectively.

IC_{50} & Target

STING[1].

In Vitro

diABZI STING agonist-1 is a selective stimulator of interferon genes (STING) receptor agonist, with EC_{50}s of 130, 186 nM for

human and mouse, respectively. At a concentration of 1 μM, diABZI STING agonist-1 (compound 3) demonstrates high selectivity against more than 350 kinases tested[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

diABZI STING agonist-1 trihydrochloride (subcutaneous injection; 2.5 mg/kg) induces STING-dependent activation of type-I interferon and pro-inflammatory cytokines in vivo[1].

diABZI STING agonist-1 trihydrochloride (intravenous injection; 3 mg/kg) exhibits systemic exposure with a half-life of 1.4 h and achieves systemic concentrations greater than the half-maximal effective concentration (EC_{50}) for mouse STING (200 ng/ml)[1].

diABZI STING agonist-1 trihydrochloride (intravenous injection; 1.5 mg/kg; days 1, 4 and 8; 43 days) results in significant tumour growth inhibition and significantly improves survival ($P < 0.001$) with 8 out of 10 mice remaining tumor free at the end of the study on day 43[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wild and Sting$^{-/-}$ C57Blk6 mice[1]
Dosage:	2.5 mg/kg
Administration:	Subcutaneous injection; 2.5 mg/kg
Result:	Activated secretion of IFNβ, IL-6, TNF, and CXCL1 in wild-type but not Sting$^{-/-}$ mice.

Animal Model:	Syngeneic mouse model of colorectal tumours (CT-26) in BALB/c mice[1]
Dosage:	3 mg/kg
Administration:	Intravenous injection; 3 mg/kg
Result:	Exhibited a half-life of 1.4 hours and achieved systemic concentrations greater than EC_{50} for mouse STING (200 ng/ml).

Animal Model:	Syngeneic mouse model of colorectal tumours (CT-26) in BALB/c mice[1]
Dosage:	1.5 mg/kg
Administration:	Intravenous injection; 1.5 mg/kg; 43 days
Result:	Resulted in significant tumour growth inhibition and improved survival.

CUSTOMER VALIDATION

- Nat Nanotechnol. 2021 Sep 30.
- Protein Cell. 2021 Oct 22;1-21.
- Mol Cell. 2023 Apr 14;S1097-2765(23)00243-5.
- Cell Death Differ. 2023 Nov 25.
- Proc Natl Acad Sci U S A. 2023 Jan 31;120(5):e2213777120.

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REFERENCES

[1]. Ramanjulu JM, et al. Design of amidobenzimidazole STING receptor agonists with systemic activity. Nature. 2018 Nov 7.

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