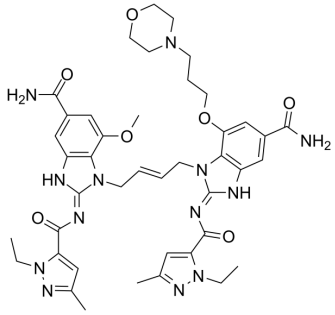
diABZI STING agonist-1 (Tautomerism)

Cat. No.:	HY-112921
CAS No.:	2138498-18-5
Molecular Formula:	$C_{42}H_{51}N_{13}O_7$
Molecular Weight:	849.94
Target:	STING
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	diABZI STING agonist-1 Tautomerism (compound 3) 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	EC50: 130 nM (human STNG in PBMCs) [1].
In Vitro	diABZI STING agonist-1 (Tautomerism) 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 (Tautomerism) (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 (Tautomerism) (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 (Tautomerism) (intravenous injection; 1.5 mg/kg; 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
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 EC50 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.
- Proc Natl Acad Sci U S A. 2023 Jan 31;120(5):e2213777120.
- Cancer Lett. 20 August 2022, 215885.
- J Invest Dermatol. 2021 Sep 24;S0022-202X(21)02227-2.

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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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