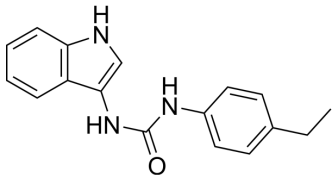
H-151

Cat. No.:	HY-112693
CAS No.:	941987-60-6
Molecular Formula:	$C_{17}H_{17}N_3O$
Molecular Weight:	279.34
Target:	STING
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (357.99 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5799 mL	17.8993 mL	35.7987 mL
	5 mM	0.7160 mL	3.5799 mL	7.1597 mL
	10 mM	0.3580 mL	1.7899 mL	3.5799 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 5% Tween-80 >> 90% PBS
   Solubility: 2.5 mg/mL (8.95 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (7.45 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

H-151 is a potent, selective and covalent antagonist of STING that has noteworthy inhibitory activity both in cells and in vivo. H-151 reduces TBK1 phosphorylation and suppresses STING palmitoylation. H-151 can be used for the research of autoinflammatory disease[1].

IC_{50} & Target

STING[1]

In Vitro

H-151 (0.02-2 μM) reduces IFNβ luciferase reporter measurements of HEK293T cells[1].
?H-151 (0.5 μM; 2 h) inhibits the phosphorylation of TBK1 in THP-1 cells[1].

	?H-151 (1 μM; 3 h) suppresses hsSTING palmitoylation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	H-151 (750 nmol per mouse; a single i.p.) markedly reduces systemic cytokine responses in CMA-treated mice[1]. ?H-151 (750 nmol per mouse; i.p. daily for 7 d) exhibits notable efficacy in Trex1$^{?/?}$ mice that expressed a bioluminescent IFN β reporter[1]. ?H-151 (750 nmol per mouse; i.p.) reaches effective systemic levels, displays a short half-life in the serum and forms an adduct to mmSTING in wild-type mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2021 Jul 28;S1550-4131(21)00325-9.
- Neuron. 2022 Nov 4;S0896-6273(22)00961-8.
- Exp Mol Med. 2022 Feb;54(2):129-142.
- Cancer Res. 2021 Feb 15;canres.2370.2020.
- Proc Natl Acad Sci U S A. 2023 Jan 31;120(5):e2213777120.

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REFERENCES

[1]. Haag SM, et al. Targeting STING with covalent small-molecule inhibitors. Nature. 2018 Jul;559(7713):269-273.

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