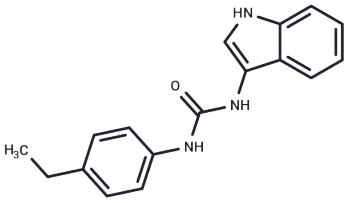
Data Sheet (Cat.No.T5674)

TargetMol®
YOUR TARGET MOLECULES

H-151

Chemical Properties



CAS No. : 941987-60-6
Formula: C17H17N3O
Molecular Weight: 279.34
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	H-151 is a highly potent and selective STING antagonist. H-151 covalently binds to Cys91 of STING and inhibits palmitoylation of Cys91, thereby inhibiting STING activity. H-151 can be used in the study of autoinflammatory diseases in vivo and ex vivo.
Targets(IC50)	STING
In vitro	**METHODS**: Mouse monocyte macrophage RAW264.7 was treated with H-151 (0.25-2 μM) for 1 h, and then stimulated with rmCIRP (1 μg/mL) for 24 h. The level of IFN-β was measured by ELISA. **RESULTS**: IFN-β was dose-dependently reduced in the culture supernatant of cells pretreated with H-151, which inhibited eCIRP-induced activation of STING in vitro. [1] **METHODS**: Human monocytes THP-1 were treated with H-151 (0.5 μM) for 2 h, and the expression levels of target proteins were measured by Western Blot. **RESULTS**: H-151 inhibited the phosphorylation of TBK1, and H-151 effectively inhibited the activation of hsSTING. [2]
In vivo	**METHODS**: To assay in vivo activity, H-151 (750 nmol, 200 μL) was administered intraperitoneally to Trex1-/- Ifnb1Δβ-luc/Δβ-luc reporter mice once daily for seven days. **RESULTS**: When administered for one week, H-151 showed significant efficacy in Trex1-/- mice expressing a bioluminescent IFNβ reporter gene. [2] **METHODS**: To test the role in cisplatin-induced acute kidney injury (AKI), H-151 (7 mg/kg) was administered intraperitoneally to C57BL/6J mice with cisplatin-induced AKI three times a day. **RESULTS**: H-151 treatment significantly ameliorated cisplatin-induced renal injury, as evidenced by improvement in renal function, renal morphology, and renal inflammation.H-151 may be a potential therapeutic agent for the treatment of AKI, possibly by inhibiting STING-mediated inflammation and mitochondrial damage. [3]

Solubility Information

Solubility	Ethanol: 14.08 mg/ml (50.42 mM),Sonication is recommended. DMSO: 55 mg/mL (196.89 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5799 mL	17.8993 mL	35.7987 mL
5 mM	0.716 mL	3.5799 mL	7.1597 mL
10 mM	0.358 mL	1.7899 mL	3.5799 mL
50 mM	0.0716 mL	0.358 mL	0.716 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.

Reference

Song P, Yang W, Lou K F, et al. UNC13D inhibits STING signaling by attenuating its oligomerization on the endoplasmic reticulum. EMBO reports. 2022: e55099
Kobritz M, et al. H151, A SMALL MOLECULE INHIBITOR OF