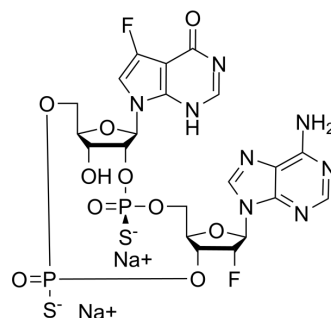


Dazostinag disodium

Cat. No.:	HY-148029
CAS No.:	2553413-93-5
Molecular Formula:	C ₂₁ H ₂₀ F ₂ N ₈ Na ₂ O ₁₀ P ₂ S ₂
Molecular Weight:	754.48
Target:	STING
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Dazostinag disodium (TAK-676) is an agonist of STING, triggering the activation of STING signaling pathway and type I interferons. Dazostinag disodium is also a modulator of immune system, resulting complete regressions and durable memory T-cell immunity. Dazostinag disodium promotes durable IFN-dependent antitumor immunity ^[1] .								
IC₅₀ & Target	STING, Type I interferons ^[1]								
In Vitro	<p>Dazostinag disodium (1.1, 3.3, and 10 μM; 2 h) dose-dependently activates the STING-TBK1-IRF3 pathway in THP1-Dual human AML cells and CT26.WT cells, but is critically dependent on STING expression^[1].</p> <p>Dazostinag disodium (0-1 μM; 24 h) exerts in vitro immune cell activation function in mouse BM-derived dendritic cells in a dose-dependent manner^[1].</p> <p>Dazostinag disodium (0-1 μM; 24 h) promotes the activation of dendritic cells (DC), natural killer (NK) cells, and T cells, with activation EC50s of 1.27 μM (MoDC), 0.32 μM (BMDC), 0.271 μM (NK), 0.216 μM (CD8⁺), 0.249 μM (CD4⁺) at 24 h, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>THP1-Dual human AML cells and CT26.WT cells</td> </tr> <tr> <td>Concentration:</td> <td>1.1, 3.3, 10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>2 hours</td> </tr> <tr> <td>Result:</td> <td>Increased pTBK1, pSTING, pIRF3 protein level in a dose-dependent manner. Not induced the phosphorylation of pTBK1 (S172) or pIRF3 (S396) in the absence of STING expression.</td> </tr> </table>	Cell Line:	THP1-Dual human AML cells and CT26.WT cells	Concentration:	1.1, 3.3, 10 μM	Incubation Time:	2 hours	Result:	Increased pTBK1, pSTING, pIRF3 protein level in a dose-dependent manner. Not induced the phosphorylation of pTBK1 (S172) or pIRF3 (S396) in the absence of STING expression.
Cell Line:	THP1-Dual human AML cells and CT26.WT cells								
Concentration:	1.1, 3.3, 10 μM								
Incubation Time:	2 hours								
Result:	Increased pTBK1, pSTING, pIRF3 protein level in a dose-dependent manner. Not induced the phosphorylation of pTBK1 (S172) or pIRF3 (S396) in the absence of STING expression.								
In Vivo	<p>Dazostinag disodium (0.025-2 mg/kg; i.v.; single dose) is well tolerated, exhibits dose-proportional pharmacokinetics in plasma, and exhibits higher exposure in tumor in mice^[1].</p> <p>Dazostinag disodium (1 mg/kg/d, 2 mg/kg/d; i.v.; 13 d) shows anti-tumor function on BALB/c mice bearing A20 syngeneic tumors/CT26.WT syngeneic tumors model^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>BALB/c mice bearing A20 syngeneic tumors/CT26.WT syngeneic tumors model^[1]</td> </tr> </table>	Animal Model:	BALB/c mice bearing A20 syngeneic tumors/CT26.WT syngeneic tumors model ^[1]						
Animal Model:	BALB/c mice bearing A20 syngeneic tumors/CT26.WT syngeneic tumors model ^[1]								

Dosage:	1 or 2 mg/kg/day
Administration:	Intravenous injection; for 3, 6, 9, 12 day, respectively
Result:	Resulted in significant T cell-dependent in vivo antitumor activity. Induced dose-dependent cytokine responses and increased the activation and proliferation of immune cells within the TME and tumor-associated lymphoid tissue.

REFERENCES

[1]. Elizabeth CC, et al. TAK-676: A Novel Stimulator of Interferon Genes (STING) Agonist Promoting Durable IFN-dependent Antitumor Immunity in Preclinical Studies. *Cancer Research Communications*. 2022. 2(6): 489–502.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA