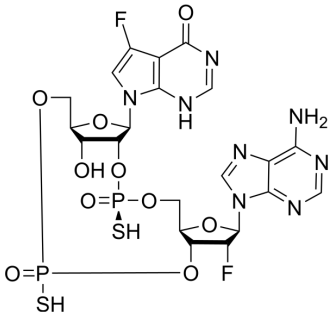
Dazostinag

Cat. No.:	HY-152861
CAS No.:	2553413-86-6
Molecular Formula:	$C_{21}H_{22}F_2N_8O_{10}P_2S_2$
Molecular Weight:	710.52
Target:	STING
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Dazostinag (TAK-676 free base) is an agonist of stimulator of interferon genes (STING) protein with antineoplastic activity. Dazostinag can serve as a playload to synthesis antibody-drug conjugates (ADCs)[1][2].
In Vitro	Dazostinag (ADC-1, linker-payload) (121 μM; 10 min-24 hr) exhibits an half-life ($t_{1/2}$) of 2.4 hr in rat liver tritosomes[1]. Dazostinag (ADC-1, linker-payload) activates human Guanylyl cyclase C (GCC) with an EC_{50} value of 0.068 nM in THP1 cells with R232 variant of human STING[1]. Dazostinag (ADC-1) (10 μg/mL; 0-96 hr) shows plasma stability in human, primate and mouse plasma[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dazostinag (ADC-1) (0.1 mg/kg; single dose) shows an half-life of 33 h and the AUC (last) value of 51432 h·nM in Balb/C mice bearing CT26-GCC tumors[1]. Dazostinag (ADC-1) (50 μg/kg, 100 μg/kg; i.v.; single dose, monitored for 2 weeks) significantly inhibits the growth of tumor in Balb/C mouse bearing GCC-expressing CT26 colon carcinoma mouse tumors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CT26 colon carcinoma model in female Balb/C mice (6-8 weeks old)[1]
Dosage:	50 μg/kg, 100 μg/kg
Administration:	IP; single dose, monitored for 15 days, measured two times per week
Result:	Significantly suppressed the volume of tumor in mice.

REFERENCES

[1]. Ishii Yumiko, et al. Antibody drug conjugates comprising STING modulators: World Intellectual Property Organization, WO2020229982. 2020-11-19.

[2]. WHO Drug Information-World Health Organization (WHO).

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

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