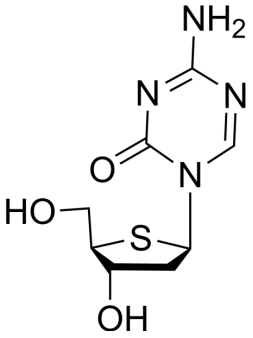
5-Aza-4'-thio-2'-deoxycytidine

Cat. No.:	HY-139015
CAS No.:	169514-76-5
Molecular Formula:	$C_8H_{12}N_4O_3S$
Molecular Weight:	244.27
Target:	DNA Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	5-Aza-4'-thio-2'-deoxycytidine (5-Aza-T-dCyd) is an orally active DNA methyltransferase I (DNMT1) inhibitor. 5-Aza-4'-thio-2'-deoxycytidine, a sulfur-containing deoxy-cytidine analog, has the potential for DNA hypomethylating and has antitumor effects[1].
IC_{50} & Target	DNMT1
In Vitro	5-Aza-4'-thio-2'-deoxycytidine (5-Aza-T-dCyd; 72 h) inhibits leukemia lines: CCRF-CEM (IC_{50}=0.2 μM), KG1a (IC_{50}=0.06 μM). 5-Aza-4'-thio-2'-deoxycytidine also decreases viability in the NCI-H23 lung carcinoma (IC_{50}=4.5 μM), HCT-116 colon carcinoma (IC_{50}=58 μM) and IGROV-1 ovarian carcinoma (IC_{50}=36 μM)[1]. 5-Aza-4'-thio-2'-deoxycytidine (0.1-20 μM; 96 h) markedly depletes DNMT1 in NCI-H23 lung, HCT-116 colon and IGROV-1 ovarian cells. 5-Aza-4'-thio-2'-deoxycytidine (0.1, 0.5, 1 μM; 96 h) results in marked depletion of DNMT1 in CCRF-CEM and KG1a myeloid leukemia cells[1]. 5-Aza-4'-thio-2'-deoxycytidine (1 μM; 96 h) induce CpG demethylation and re-expression of the p15 tumor suppressor gene[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NCI-H23 lung, HCT-116 colon and IGROV-1 ovarian cells
Concentration:	1, 5, 10, 20 μM
Incubation Time:	96 h
Result:	Markedly depleted DNMT1 in cells.

In Vivo	5-Aza-4'-thio-2'-deoxycytidine (6.7, 10 mg/kg/day; IP; for 9 days) is effective against NCI-H23 tumor xenografts[1]. 5-Aza-4'-thio-2'-deoxycytidine (5 mg/kg/day; IP; for 9 days) decreases DNMT1 levels in tumors of CCRF-CEM tumors mice xenografts[1]. 5-Aza-4'-thio-2'-deoxycytidine (1.5 mg/kg; ip; QD×5 rest and repeat 3 cycles) provides modest suppression of tumor growth as well in the HCT116 colon carcinoma, OVCAR3 ovarian tumor xenograft model. 5-Aza-4'-thio-2'-deoxycytidine has minimal antitumor effect in the HL-60 leukemia xenografts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Young female athymic nu/nu mice with NCI-H23 tumor fragment[1]
Dosage:	6.7 or 10 mg/kg
Administration:	IP; daily for 9 days
Result:	Had antitumor efficacy against NCI-H23 tumor xenografts.

REFERENCES

[1]. Jaideep V Thottassery, et al. Novel DNA methyltransferase-1 (DNMT1) depleting anticancer nucleosides, 4'-thio-2'-deoxycytidine and 5-aza-4'-thio-2'-deoxycytidine. Cancer Chemother Pharmacol. 2014 Aug;74(2):291-302.

[2]. Joel Morris, et al. F-aza-T-dCyd (NSC801845), a Novel Cytidine Analog, in Comparative Cell Culture and Xenograft Studies with the Clinical Candidates T-dCyd, F-T-dCyd, and Aza-T-dCyd. Mol Cancer Ther. 2021 Apr;20(4):625-631.

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