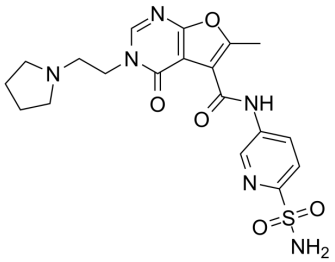
DY-46-2

Cat. No.:	HY-151136
CAS No.:	1105110-83-5
Molecular Formula:	$C_{19}H_{22}N_6O_5S$
Molecular Weight:	446.48
Target:	DNA Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	DY-46-2 is a high potency and selectivity novel non-nucleoside DNA methyltransferase 3A (DNMT3A) inhibitor with an IC_{50} value of 0.39 μM[1].
IC_{50} & Target	DNMT3A 0.39 μM (IC_{50})
In Vitro	DY-46-2 (0.1-100 μM, 24, 48 and 72 h) has an inhibitory activity of DNMT3A with an IC_{50} value of 0.39 μM, which increases linearly with DNA concentration (IDT-01)[1]. DY-46-2 (0.1-100 μM, 24, 48 and 72 h) has inhibitory activity against DNMT1, DNMT3B and G9a with IC_{50} values of 13.0 μM, 105 μM and ⊠500 μM, respectively[1]. DY-46-2 (0.1-100 μM, 24, 48 and 72 h) has cell viability in cancer cells with IC_{50} values of 0.7 μM, 0.3 μM, 0.7 μM, 0.5 μM, 2.1 μM, 1.7 μM and 91 μM for THP-1, HCT116, U937, K562, A549, DU145 and PBMC cell, respectively[1]. DY-46-2 (0.1-100 μM, 24, 48 and 72 h) markedly inhibits the proliferation of cancer cells and shows low cytotoxicity in peripheral blood mononuclear cells (PBMCs)[1]. DY-46-2 (1 μM, 72 h) obviously decreases DNMT3A protein levels, as well as reactive expression of a silenced TSG (p53) in HCT116 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	THP-1, HCT116, U937, K562, A549, DU145 and PBMC cell
Concentration:	0.1-100 μM
Incubation Time:	24, 48 and 72 h
Result:	Had remarkable inhibitory potency in the dose- and time-dependent manners and no cytotoxicity in non-tumoral PBMCs (IC_{50} >100 μM).

Cell Proliferation Assay[1]

Cell Line:	THP-1, HCT116, U937, K562, A549, DU145 and PBMC cell
Concentration:	0.1-100 μM

Incubation Time:	24, 48 and 72 h
Result:	Exhibited high anti-proliferative activity with a micromolar range cytotoxicity in all cancer cells.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	1 μM
Incubation Time:	72 h
Result:	Decreased DNMT3A and p53 protein levels in the HCT116 cells, apparently sufficient to reactive expression of a silenced TSG (p53).

REFERENCES

[1]. J. Yu, et al. Discovery of novel non-nucleoside inhibitors with high potency andselectivity for DNA methyltransferase 3A. European Journal of Medicinal Chemistry (2022).

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