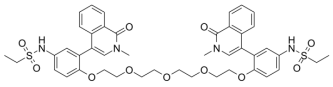
NC-III-49-1

Cat. No.:	HY-150683
Molecular Formula:	$C_{44}H_{50}N_4O_{11}S_2$
Molecular Weight:	875.02
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

NC-III-49-1 is a potent bivalent bromodomain and extraterminal domain (BET) inhibitor. NC-III-49-1 shows binding potential for BRD4-1, BRD4-2, BRD4-T, BRDT-1, BRDT-2, BRDT-T with K_d values of 0.095, 0.32, 0.29, 0.089, 5.5, 0.058 nM, respectively. NC-III-49-1 shows antiproliferative activity. NC-III-49-1 decreases the expression of c-Myc[1].

IC_{50} & Target

BRD4-1 0.095 nM (Kd)	BRD4-2 0.032 nM (Kd)	BRD4-T 0.29 nM (Kd)	BRDT-1 0.089 nM (Kd)
BRDT-2 5.5 nM (Kd)	BRDT-T 0.058 nM (Kd)		

In Vitro

NC-III-49-1 (0-10 μM; 72 h) shows antiproliferative activity with an IC_{50} value of 0.69 nM in MM1.S cells[1].
NC-III-49-1 (0-10 μM; 6 h) decreases the expression of c-Myc in a dose dependent manner[1].
.NC-III-49-1 shows inhibition by interact with both KAc sites[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MM1.S cells
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Showed subnanomolar growth inhibition with an IC_{50} value of 0.69 nM in multiple myeloma MM1.S cells.

Western Blot Analysis[1]

Cell Line:	MM1.S cells
Concentration:	0-10 μM
Incubation Time:	6 h
Result:	Decreased the expression of c-Myc in a dose dependent manner.

In Vivo	NC-III-49-1 shows metabolic stability in human and mouse liver microsomes with an $T_{1/2}$ values of <2.3, <2.3 min, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Guan X, et al. Bivalent BET Bromodomain Inhibitors Confer Increased Potency and Selectivity for BRDT via Protein Conformational Plasticity. J Med Chem. 2022 Jul 22.

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