GXH-II-052

Cat. No.: HY-150684

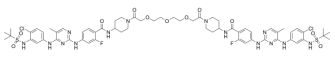
Molecular Formula: $C_{62}H_{76}Cl_2F_2N_{14}O_{11}S_2$

Molecular Weight: 1366.39

Target: Epigenetic Reader Domain

Pathway: Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

GXH-II-052 is a potent bivalent bromodomain and extraterminal domain (BET) inhibitor. GXH-II-052 shows binding potential for BRD4-1, BRD4-2, BRD4-T, BRDT-1, BRDT-2, BRDT-T with K_d values of 28, 9.1, 4.8, 0.6, 8.4, 2.6 nM, respectively. GXH-II-052 shows antiproliferative activity. GXH-II-052 decreases the expression of c-Myc[1].

IC_{50} & Target

BRD4-1 28 nM (Kd)	BRD4-2 9.1 nM (Kd)	BRD4-T 4.8 nM (Kd)	BRDT-1 0.6 nM (Kd)
BRDT-2 8.4 nM (Kd)	BRDT-T 2.6 nM (Kd)		

In Vitro

GXH-II-052 (0-10 μM; 72 h) shows antiproliferative activity with an IC_{50} value of 59 nM in MM1.S cells[1].

GXH-II-052 (0-10 μM; 6 h) decreases the expression of c-Myc in a dose dependent manner[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 growth inhibition with an IC_{50} value of 59 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

GXH-II-052 shows metabolic stability in mouse and human liver microsomes with an $T_{1/2}$ values of 33, 3.6 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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