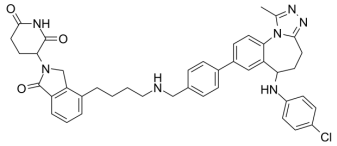
GXF-111

Cat. No.:	HY-153414
Molecular Formula:	$C_{42}H_{42}ClN_7O_3$
Molecular Weight:	728.28
Target:	Epigenetic Reader Domain; PROTACs
Pathway:	Epigenetics; PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GXF-111, a PROTAC molecule, can promote selective degradation of cellular BRD3 and BRD4-L. GXF-111 has binding affinities for BRD3 BD1 and BRD3 BD2 with K_i values of 11.97 nM and 2.35 nM, respectively. GXF-111 can be used for the research of cancer[1].
IC_{50} & Target	Ki: 11.97 nM (BRD3 BD1); 2.35 nM (BRD3 BD2) [1]. IC50: 6.31 nM (MV4-11 cell); 95.2 nM (MM.1 S cell) [1].

In Vitro

GXF-111 (Compound 24) has binding affinities for BRD3 BD1 and BRD3 BD2 with K_i values of 11.97 nM and 2.35 nM, respectively[1].
GXF-111 has cellular activities in MV4-11 and MM.1 S cell lines with IC_{50} values of 6.31 nM and 95.2 nM, respectively[1].
GXF-111 (2, 10 nM; 24 h) has potent cell growth inhibition activities for degradation of BET family proteins in MM.1 S cells[1].
GXF-111 (4 days) has anti-proliferative activity in 7 human cancer cell lines with IC_{50} values range from 6.31 nM to 17.25 μM[1].

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

Western Blot Analysis[1]

Cell Line:	MM.1 S cells；MM.1 S, HGC-27, and MCF-7 cells；Multiple cancer cell lines
Concentration:	2, 10 nM; 0.1, 0.3, 1, 3 nM; 30 nM; 3, 10, 30, 100, 300 nM
Incubation Time:	24 h; 8 h; 1, 3, 6, 8, 24 h; 24 h
Result:	Efficiently depleted BRD3 and BRD4-L in MM.1 S cells at 2 nM. Dose-dependently depleted BRD3 and BRD4-L and partially depleted BRD2 at 10 nM. Had good degradation kinetics of BET proteins in three selected cancer cell lines, i.e. MM.1S, MCF-7, and HGC-27 cells. Only BRD3 and BRD4-L, were depleted dose-dependently in five cancer cell lines, i.e. HGC27, MCF-7, A549, Hela, and HepG2 cells.

Cell Cycle Analysis[1]

Cell Line:	MM.1 S cell
Concentration:	1, 3, 10, 30, 100, 300 nM

Incubation Time:	24 h
Result:	Dose-dependently induced cell cycle arrest at G1 phase.

REFERENCES

[1]. Yan Z, et al. Selective degradation of cellular BRD3 and BRD4-L promoted by PROTAC molecules in six cancer cell lines. Eur J Med Chem. 2023;254:115381.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA