PROTAC FLT3/CDK9 degrader-1

Cat. No.: HY-148521

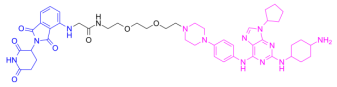
Molecular Formula: $C_{47}H_{61}N_{13}O_7$

Molecular Weight: 920.07

Target: CDK; FLT3; PROTACs; Apoptosis

Pathway: Cell Cycle/DNA Damage; Protein Tyrosine Kinase/RTK; PROTAC; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

PROTAC FLT3/CDK9 degrader-1 is a potent FLT3 and CDK9 dual PROTAC degrader. PROTAC FLT3/CDK9 degrader-1 induces apoptosis and effective degradation of target proteins FLT3 and CDK9. PROTAC FLT3/CDK9 degrader-1 has the potential for the research of FLT3-ITD mutated AML[1].

In Vitro

PROTAC FLT3/CDK9 degrader-1 (compound PROTAC 13) (0.004, 0.02, 0.1, 0.5, 2.5 μM; 16 h) decreases the expression of p-FLT3 Y589/591, p-STAT5 Y694, p-ERK1/2 T202/Y204 and induces apoptosis in a dose-dependent manner in MV4-11 cells[1].

PROTAC FLT3/CDK9 degrader-1 (0, 0.1, 0.5 μM; 16 h) decreases the degradation of target proteins when is pre-treated for 90 min with MG132 (HY-13259) (0.2 μM) in MV4-11 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	MV4-11, MV4-11 CRBN-def., MOLM-13, RS4-11, HL60, U937, THP-1, Kasumi-1, CEM, K562 cells
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Showed antiproliferative activity with IC_{50}s of 0.047, 0.119, 0.042, 1.014, 6.122, 9.507, 9.993, >10, >10, >10 μM for MV4-11, MV4-11 CRBN-def., MOLM-13, RS4-11, HL60, U937, THP-1, Kasumi-1, CEM, K562 cells, respectively.

Western Blot Analysis[1]

Cell Line:	MV4-11 cells
Concentration:	0.004, 0.02, 0.1, 0.5, 2.5 μM
Incubation Time:	16 h
Result:	Decreased the expression of p-FLT3 Y589/591, p-STAT5 Y694, p-ERK1/2 T202/Y204 in a dose-depent manner.

Apoptosis Analysis[1]

Cell Line:	MV4-11, CRBN-deficient MV4-11 cells
Concentration:	0.004, 0.02, 0.1, 0.5, 2.5 μM
Incubation Time:	16 h
Result:	Induced apoptosis with the activities of caspases 3 and 7 increased in a concentration-dependent manner in MV4-11 cells.

REFERENCES

[1]. Řezníčková E, et al. Modulation of FLT3-ITD and CDK9 in acute myeloid leukaemia cells by novel proteolysis targeting chimera (PROTAC). Eur J Med Chem. 2022 Dec 5;243:114792.

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