HEMTAC CDK4/6 degrader 1

Cat. No.: HY-152263

CAS No.: 2821803-61-4

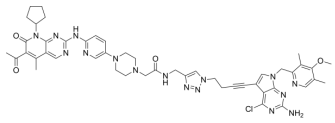
Molecular Formula: $C_{48}H_{53}ClN_{16}O_4$

Molecular Weight: 953.49

Target: PROTACs; CDK; Apoptosis

Pathway: PROTAC; Cell Cycle/DNA Damage; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

HEMTAC CDK4/6 degrader 1 is a PROTAC connected by ligands for HSP90 and CDK4/6 with a K_d value of 35.7 μM. HEMTAC CDK4/6 degrader 1 induces CDK4/6 degradation in B16F10 melanoma cells. HEMTAC CDK4/6 degrader 1 arrests cell cycle at G0/G1 phase and induces apoptosis. HEMTAC CDK4/6 degrader 1 can be used in research of cancer[1]. HEMTAC CDK4/6 degrader 1 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target	CDK4	CDK6

In Vitro

HEMTAC CDK4/6 degrader 1 (compound 26; 0.01-1 μM; 24 h) induces CDK4 and CDK6 degradation in the treated B16F10 cells with a DC_{50} value (the drug concentration that results in 50% protein degradation) of approximately 26 and 19 nM and a D_{max} (maximal percent degradation) of 88 and 92%, respectively[1].

HEMTAC CDK4/6 degrader 1 (0.01-100 μM; 72 h) has anti-proliferative activity against a broad range of human cancer cell lines[1].

HEMTAC CDK4/6 degrader 1 (250 nM; 24 or 48 h) induces B16F10 cells to undergo apoptosis in a dose-dependent manner and arrests cell cycle at G0/G1 phase[1].

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

Cell Viability Assay[1]

Cell Line:	B16F10, A375, HepG2, MDA-MB-231, and A549 cells
Concentration:	0.01-100 μM
Incubation Time:	72 hours
Result:	Inhibited cell growth in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	B16F10 cells
Concentration:	250 nM
Incubation Time:	48 hours
Result:	Induced cell apoptosis in a dose-dependent manner.

Cell Cycle Analysis[1]

Cell Line:	B16F10 cells
Concentration:	250 nM
Incubation Time:	48 hours
Result:	Increased the amount of B16F10 cells in the G0/G1 phase.

Western Blot Analysis[1]

Cell Line:	B16F10 cells
Concentration:	0.01, 0.05, 0.1, 0.5, and 1 μM
Incubation Time:	24 hours
Result:	Induced CDK4/6 degradation in a dose-dependent manner.

In Vivo

HEMTAC CDK4/6 degrader 1 (compound 26; 20 and 40 mg/kg; i.p.; daily, for 15 d) has antitumor efficacy in C57BL/6J mice bearing B16F10 melanoma xenografts[1].

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

Animal Model:	C57BL/6J mice bearing B16F10 melanoma xenografts[1]
Dosage:	20 and 40 mg/kg
Administration:	intraperitoneal injection; daily, for 15 days
Result:	Inhibited tumor growth and resulted in fewer CDK4/6-positive cells and led to much more necrosis.

REFERENCES

[1]. Li Z, et, al. Targeted Protein Degradation Induced by HEMTACs Based on HSP90. J Med Chem. 2023 Jan 12;66(1):733-751.

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