PROTAC CDK12/13 Degrader-1

Cat. No.: HY-151110

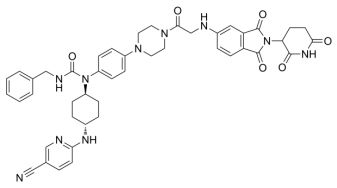
Molecular Formula: $C_{45}H_{46}N_{10}O_6$

Molecular Weight: 822.91

Target: CDK; PROTACs

Pathway: Cell Cycle/DNA Damage; PROTAC

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



BIOLOGICAL ACTIVITY

Description

PROTAC CDK12/13 Degrader-1 (7f) is a highly selective cell cycle protein-dependent kinase CDK12/CDK13 dual degrader with the DC_{50} values of 2.2 nM and 2.1 nM, respectively. PROTAC CDK12/13 Degrader-1 has anti-proliferative activity and can be used in breast cancer research[1].

IC_{50} & Target

CDK12	CDK13
2.2 nM (DC50)	2.1 nM (DC50)

In Vitro

PROTAC CDK12/13 Degrader-1 (7f) (0.02-10 μM, 150 h) significantly inhibits the proliferation of MFM223 and MDA-MB-231 cells in a dose-dependent manner[1].

PROTAC CDK12/13 Degrader-1 (7f) (500 nM, 4 h) can significantly degrade CDK12 and CDK13 of MFM223 and MDA-MB-231 cells in a dose-dependent manner[1].

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

Immunofluorescence[1]

Cell Line:	MDA-MB-231 cell lines
Concentration:	1.0 μM
Incubation Time:	15 hours
Result:	Showed 88% degradation for CDK12 and 74% for CDK13. Acted on CDK12 with the DC_{50} value of 2.2 nM, and acted on CDK13 with the DC_{50} value of 2.1 nM.

In Vivo

The pharmacokinetic parameters of PROTAC CDK12/13 Degrader-1 (7f) in rats[1].

Parameters	oral (20 mg/kg)	iv (10 mg/kg)	ip (20 mg/kg)	iv (2.5 mg/kg)
$t_{1/2}$ (h)	-	5.28	10.85	5.8
T_{max} (h)	5.33	0.08	2.17	0.08

C_{max} (ng/mL)	7.73	19892.4	24.79	1498.5
C_{max} (ng/mL)	7.73	19892.4	24.79	1498.5
AUC_{0-t}(h*ng/mL)	21.83	7193.3	284.8	383.9
$AUC_{0-\infty}$(h*ng/mL)	-	7242.7	318.5	391.55
CL (mL/h/kg)	-	1406.5	-	6495.4
F (%)	0.15	-	10.63	-

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

REFERENCES

[1]. Jianzhang Yang, et al. Discovery of a Highly Potent and Selective Dual PROTAC Degrader of CDK12 and CDK13. J Med Chem. 2022 Aug 8.

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