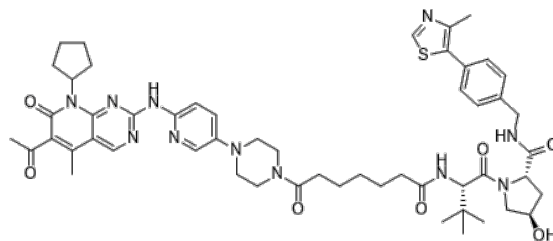


Product Name : Cyclin D1 degrader MS28
Cat. No. : PC-26498
CAS No. : 2229974-73-4
Molecular Formula : C₅₃H₆₇N₁₁O₇S
Molecular Weight : 1002.25
Target : PROTAC
Solubility : 10 mM in DMSO



CAS: 2229974-73-4

Biological Activity

Cyclin D1 degrader MS28 (XY028-133) is a potent, selective and first-in-class PROTAC degrader of cyclin D1 through recruiting the CDK4/6-cyclin D1 complex to VHL E3 ligase, effectively degrades cyclin D1 in Calu-1 cells with DC50 of 950 nM and Dmax of 90% (8 h).

MS28 displays modest degradation effects on CDK4/6 with DC50 > 10 μM and Dmax < 50% at the 8 h time point.

MS28 at 0.3 μM reduces the CDK6 protein level close to 50%, while it has no effect on CDK4.

MS28 preferentially degrades Cyclin D1 over CDK4/6.

MS28 degrades cyclin D1 by recruiting the CDK6-cyclin D1 complex to VHL, degrades cyclin D1 in a VHL-, CDK6- and UPS-dependent manner.

MS28 does not degrade cyclin D2 or other major cyclins and CDKs, such as cyclin B1 and CDK2.

MS28 effectively suppressed the proliferation of Calu-1 cells with GI50 of 1.0 μM, significantly reduced the mRNA levels of E2F target genes at 8 h of treatment in Calu-1 cells.

MS28 phenocopied the effect of CCND1 KO in Calu-1 cells, inhibited the clonogenicity of Calu-1 cells.

References

JIN, Jian, et al. Patent. US2017/065027.

Yan Xiong, et al. J Am Chem Soc. 2022 Dec 14;144(49):22622-22632.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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