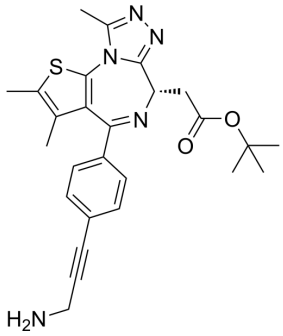
PROTAC BRD4 Degrader-7

Cat. No.:	HY-136857
CAS No.:	2413382-30-4
Molecular Formula:	$C_{26}H_{29}N_5O_2S$
Molecular Weight:	475.61
Target:	Epigenetic Reader Domain; Ligands for Target Protein for PROTAC; PROTACs
Pathway:	Epigenetics; PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PROTAC BRD4 Degrader-7 is a potent bromodomain BRD4 degrader extracted from patent WO2020055976A1, example 1a, has IC_{50}s of 15.5 and 12.3 nM for BRD4-BD1 and BRD4-BD2, respectively[1]. PROTAC BRD4 Degrader-7 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	BRD4 BD1 15.5 nM (IC_{50})	BRD4 BD2 12.3 nM (IC_{50})
In Vitro	PROTAC BRD4 Degrader-7 shows an IC_{50} of 27.1 nM in BRD4 Full Length Binding assay. PROTAC BRD4 Degrader-7 degrades BRD4 with an EC_{50} of 1.4 nM for PC3-Steapl cells and an IC_{50} of 1.3 nM for EoL-1 Cells. PROTAC BRD4 Degrader-7 inhibits PC-3-STEAP-1 and EoL-l proliferation with IC_{50}s of 6.6 and 2.2 nM, respectively. PROTAC BRD4 Degrader-7 inhibits MYC expression in MV-4-11 cells with an IC_{50} of 2.9 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Robert Anthony BLAKE, et al. Tert-butyl (s)-2-(4-(phenyl)-6h-thieno[3,2-f][1,2,4]triazolo[4,3-a] [1,4]diazepin-6-yl) acetate derivatives and related compounds as bromodomain brd4 inhibitors for treating cancer. WO2020055976A1.

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