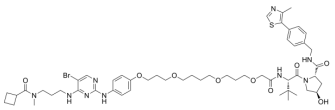
PROTAC TBK1 degrader-2

Cat. No.:	HY-112557
CAS No.:	2052306-13-3
Molecular Formula:	$C_{53}H_{74}BrN_9O_9S$
Molecular Weight:	1093.18
Target:	PROTACs; IKK
Pathway:	PROTAC; NF-κB
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	PROTAC TBK1 degrader-2 is a Ligands for Target Protein for PROTAC. PROTAC TBK1 degrader-2 is a potent degrader based on the serine/threonine kinase TANK-binding kinase 1 (TBK1) (DC_{50}=15 nM; K_d=4.6 nM) with a maximum efficiency of 96%. PROTAC TBK1 degrader-2 also targets to IkB kinase IKKε (IC_{50}=8.7 nM), with low selectivity over TBK1 (IC_{50}=1.3 nM)[1].
IC_{50} & Target	IC50: 1.3 nM (TBK1), 8.7 nM (IKKε)[1]
In Vitro	PROTAC TBK1 degrader-2 (compound 3i) (100 nM) mediates degradation of TBK1 abrogated by VHL ligand 2 (10 μM) or proteasome inhibitor Carfilzomib (HY-10455) (100 nM)[1]. PROTAC TBK1 degrader-2 (0-3 μM) exhibits poor selectivity for TBK1 over IKKε (IC_{50} of 1.3 nM vs 8.7 nM), but has no effect on the levels of IKKε, at concentrations of more than 50-fold above its TBK1 DC_{50}[1]. PROTAC TBK1 degrader-2 (100 nM; 300 M; 72 h) is not synthetically lethal in K-Ras mutant versus wild type cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	K-Ras mutant cell lines (H23, A549, and H1792) and K-Rras wild type cell lines (H2110 and HCC827)
Concentration:	100 nM, 300 nM
Incubation Time:	72 hours
Result:	Lacked synthetically lethality in K-Ras mutant versus wild type cells.

REFERENCES

[1]. Crew AP, et al. Identification and Characterization of Von Hippel-Lindau-Recruiting Proteolysis Targeting Chimeras (PROTACs) of TANK-Binding Kinase 1. J Med Chem. 2018 Jan 25;61(2):583-598.

Caution: Product has not been fully validated for medical applications. For research use only.

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