PROTAC TBK1 degrader-2

Cat. No.: HY-112557

CAS No.: 2052306-13-3

Molecular Formula: $C_{53}H_{74}BrN_9O_9S$

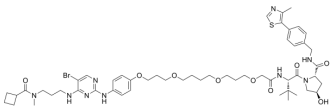
Molecular Weight: 1093.18

Target: PROTACs; IKK

Pathway: PROTAC; NF-κB

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (100.62 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9148 mL	4.5738 mL	9.1476 mL
	5 mM	0.1830 mL	0.9148 mL	1.8295 mL
	10 mM	0.0915 mL	0.4574 mL	0.9148 mL

Please refer to the solubility information to select the appropriate solvent.

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA