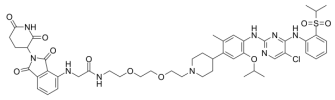
TL13-112

Cat. No.:	HY-123919
CAS No.:	2229037-19-6
Molecular Formula:	$C_{49}H_{60}ClN_9O_{10}S$
Molecular Weight:	1002.57
Target:	Anaplastic lymphoma kinase (ALK); PROTACs
Pathway:	Protein Tyrosine Kinase/RTK; PROTAC
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (99.74 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9974 mL	4.9872 mL	9.9744 mL
	5 mM	0.1995 mL	0.9974 mL	1.9949 mL
	10 mM	0.0997 mL	0.4987 mL	0.9974 mL

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

BIOLOGICAL ACTIVITY

Description

TL13-112 is a potent and selective ALK-PROTAC degrader and inhibits ALK activity with an IC_{50} value of 0.14 nM. TL13-112 also prompts the degradation of additional kinases including Aurora A, FER, PTK2 and RPS6KA1 with IC_{50} values of 8550 nM, 42.4 nM, 25.4 nM, and 677 nM, respectively. TL13-112 is comprised of the conjugation of Ceritinib (HY-15656) and the Cereblon ligand of Pomalidomide (HY-10984)[1].

IC_{50} & Target

Cereblon
2.4 μM (IC_{50})

In Vitro

TL13-112 binds to cereblon with an IC_{50} value of 2.4 uM[1].
TL13-112 (0.01 μM-1 μM; 16 hours) is selective for degradation of ALK with the DC_{50}s of 10 nM and 40 nM in H3122 cell and Karpas 299, respectively. ALK degradation acts at 4 hours of treatment in H3122 cells and at 8 hours of treatment in Karpas 299 cells. The maximum degradation achieves at 16 hours in both cell lines. [1].
TL13-112 (0.01 μM-1 μM; 16 hours) inhibits PTK2, ALK, FER, RPS6KA1 and Aurora A expression as a dose-dependent manner in H3122, Karpas 299, and Kelly cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]	
Cell Line:	H3122 and Karpas 299 cells
Concentration:	0.01 μM; 0.05 μM; 0.1 μM; 0.5 μM; 1 μM
Incubation Time:	16 hours
Result:	Inhibited ALK and Aurora A expression completely at 1 μM.

Western Blot Analysis[1]	
Cell Line:	H3122 and Karpas 299 cells
Concentration:	0.01 μM; 0.05 μM; 0.1 μM; 0.5 μM; 1 μM
Incubation Time:	16 hours
Result:	Decreased PTK2, ALK, FER, RPS6KA1 and Aurora A expression.

CUSTOMER VALIDATION

- Sci Transl Med. 2023 Jun 28;15(702):eabo3826.

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REFERENCES

[1]. Powell CE, et al. Chemically Induced Degradation of Anaplastic Lymphoma Kinase (ALK).J Med Chem. 2018 May 10;61(9):4249-4255.

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