Thalidomide-NH-C6-NH-Boc

Cat. No.: HY-130854

CAS No.: 2093536-13-9

Molecular Formula: $C_{24}H_{32}N_4O_6$

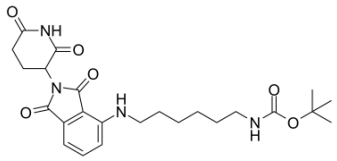
Molecular Weight: 472.53

Target: E3 Ligase Ligand-Linker Conjugate

Pathway: 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 (211.63 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1163 mL	10.5813 mL	21.1627 mL
5 mM	0.4233 mL	2.1163 mL	4.2325 mL
10 mM	0.2116 mL	1.0581 mL	2.1163 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.29 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Thalidomide-NH-C6-NH-Boc is a synthesized E3 ligase ligand-linker conjugate that incorporates the Thalidomide based cereblon ligand and a linker used for MI-389 (compound 22) synthesis. MI-389 is a potent phthalimide PROTAC degrader based on the multi-targeted receptor tyrosine kinase inhibitor sunitinib (HY-10255A)[1].

IC_{50} & Target

Cereblon

In Vitro

MI-389 (0-1 μM; 72 hours) decreases cell growth with an EC_{50} value of 21.3 nM, which is comparable to the cellular potency of sunitinib (EC_{50}=17.3 nM)[1].

MI-389 (0-500 nM; 72 hours) leads to GSPT1 destabilization fastly as a dosepdependent manner. It shows a complete GSPT1 depletion at 100 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Kasumi-1 cells (a c-KIT dependent acute myeloid leukemia (AML) cell line); GIST-T1
Concentration:	0-1 μM
Incubation Time:	72 hours
Result:	Outperform decreased-antiproliferative effect than sunitinib

Western Blot Analysis[1]

Cell Line:	Kasumi-1 cells (a c-KIT dependent acute myeloid leukemia (AML) cell line); GIST-T1
Concentration:	1 nM, 5 nM, 10 nM, 50 nM, 100 nM, 500 nM
Incubation Time:	4 hours
Result:	Decreased GSPT-1 protein expression.

REFERENCES

[1]. Mette Ishoey, et al. Translation Termination Factor GSPT1 Is a Phenotypically Relevant Off-Target of Heterobifunctional Phthalimide Degraders.ACS Chem Biol. 2018 Mar 16;13(3):553-560.

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