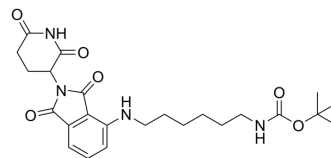


Thalidomide-NH-C6-NH-Boc

Cat. No.:	HY-130854		
CAS No.:	2093536-13-9		
Molecular Formula:	C ₂₄ H ₃₂ N ₄ O ₆		
Molecular Weight:	472.53		
Target:	E3 Ligase Ligand-Linker Conjugates		
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)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	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] .
IC₅₀ & 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 dose-dependent 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 μ M
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.

[2]. Ishoey M, 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.

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

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