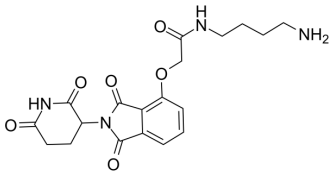
Thalidomide-O-amido-C4-NH2

Cat. No.:	HY-107438
CAS No.:	1799711-24-2
Molecular Formula:	$C_{19}H_{22}N_4O_6$
Molecular Weight:	402.4
Target:	E3 Ligase Ligand-Linker Conjugates
Pathway:	PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Thalidomide-O-amido-C4-NH2 (Cereblon Ligand-Linker Conjugates 6), a synthesized E3 ligase ligand-linker conjugate that incorporates the Thalidomide based cereblon ligand and a linker, can be used in the synthesis of PROTACs[1].
IC_{50} & Target	Cereblon
In Vitro	Thalidomide-O-amido-C4-NH2 is an amine intermediate (Compound 41), which can be used as is a heterobifunctional PROTAC BET degrader. The bromodomain and extra-terminal (BET) family proteins, consisting of BRD2, BRD3, BRD4, and testis-specific BRDT members, are epigenetic “readers” and play a key role in the regulation of gene transcription. BET proteins are considered to be attractive therapeutic targets for cancer and other human diseases. Recently, heterobifunctional small-molecule BET degraders have been designed based upon the proteolysis targeting chimera (PROTAC) concept to induce BET protein degradation[1]. Thalidomide-O-amido-C4-NH2 is a degron-linker (refer to Compound DL6-TL). Degron-linker-targeting ligand, wherein the linker is covalently bound lo at least one degron and at least one targeting ligand, the degron is a compound capable of binding to an ubiquitin ligase such as an E3 ubiquitin ligase (e g, cereblon), and the targeting ligand is capable of binding to the targeted protein (s)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhou B, et al. Discovery of a Small-Molecule Degrader of Bromodomain and Extra-Terminal (BET) Proteins withPicomolar Cellular Potencies and Capable of Achieving Tumor Regression.J Med Chem. 2018 Jan 25;61(2):462-481.

[2]. James Bradner, et al. Methods to induce targeted protein degradation through bifunctional molecules. WO 2017024317 A2.

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