

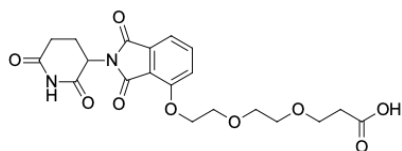
Thalidomide-O-PEG2-carboxylic acid

<http://www.lumiprobe.com/p/thalidomide-o-peg2-acid>

Thalidomide-containing building block with PEG2-linker and carboxylic group for convenient PROTAC molecule assembly via conjugation with amino-functionalized linkers and target protein ligands. The carboxylic acid functional group should be activated with peptide coupling reagents like PyBOP or carbodiimides like EDC to form a stable amide linkage with amines. PEG2 is a hydrophilic linker providing a good separation between the parts of the PROTAC molecule.

Proteolysis targeting chimeras (PROTACs) are cell-permeable heterobifunctional molecules that can remove specific proteins from the cell. One end of such molecule contains a ligand to bind to the target, and the second end recruits the E3 ligase complex. Close proximity results in substrate polyubiquitination and subsequent protein degradation by cellular proteasome.

There are several types of E3 ligases that are practically suitable for such a purpose. Thalidomide is the ligand capable of recruiting Cereblon (CRBN) E3 ligase.



Structure of Thalidomide-O-PEG2-Acid

General properties

Appearance: off-white solid

Molecular weight: 434.40

Molecular formula: $C_{20}H_{22}N_2O_9$

Solubility: in DMSO, DMF

Quality control: NMR 1H and HPLC-MS (95+%)

Storage conditions: 24 months after receipt at $-20^{\circ}C$ in the dark. Transportation: at room temperature for up to 3 weeks. Desiccate.

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