

TO-1187

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TO-1187 is a selective HDAC6 PROTAC degrader with a DC50 of 5.81 nM. It enhances the ubiquitination and subsequent degradation of HDAC6, making it useful for research in hematological malignancies and solid tumors.
Targets(IC50)	HDAC,PROTACs
In vitro	TO-1187, administered at 100 nM for 6 hours, exhibits highly selective degradation of HDAC6 in human multiple myeloma cells (MM.1S) with a D max of 94% and a DC 50 of 5.81 nM. However, when applied at 100 nM for 72 hours, it shows no significant antiproliferative activity in MM.1S cells, indicating low toxicity. The compound demonstrates dose-dependent HDAC6 degradation capability in HeLa cells across the 1-10000 nM range. In MM.1S cells, pre-treatment with 100 nM TO-1187 for 1 hour, followed by 6-hour treatment, leads to HDAC6 degradation via CRBN E3 ligase and the proteasome. Notably, at 100 nM for 6 hours, TO-1187 exclusively degrades HDAC6 without affecting other proteins, such as CRBN substrates IKZF1, IKZF3, CK1 α , SALL4, and GSPT1, confirming its high selectivity.
In vivo	TO-1187 (5 mg/kg, i.v., single administration) significantly reduces liver HDAC6 protein levels in C57BL/6J mouse models, indicating its effective in vivo degradation activity.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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