HDAC6 degrader-3

Cat. No.: HY-152134

CAS No.: 2785404-83-1

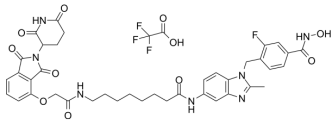
Molecular Formula: $C_{41}H_{41}F_4N_7O_{11}$

Molecular Weight: 883.8

Target: HDAC; PROTACs

Pathway: Cell Cycle/DNA Damage; Epigenetics; PROTAC

Storage: -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (113.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1315 mL	5.6574 mL	11.3148 mL
	5 mM	0.2263 mL	1.1315 mL	2.2630 mL
	10 mM	0.1131 mL	0.5657 mL	1.1315 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 (2.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HDAC6 degrader-3 is a potent and selective HDAC6 degrader via ternary complex formation and the ubiquitin-proteasome pathway with a DC_{50} value of 19.4 nM. HDAC6 degrader-3 has IC_{50}s of 4.54 nM and 0.647 μM for HDAC6 and HDAC1, respectively. HDAC6 degrader-3 causes strong hyperacetylation of α-tubulin[1].

IC_{50} & Target				
	HDAC6 19.4 nM (ID50)	HDAC6 4.54 nM (IC_{50})	HDAC1 0.647 μM (IC_{50})	Cereblon

In Vitro

HDAC6 degrader-3 (compound B4; 100-1000 nM; 24 h) demonstrates potent HDAC6 degradation as well as hyperacetylation of α-tubulin[1].

HDAC6 degrader-3 (0.5-50 μM; 72 h) does not display any inhibitory effects on the cellular viability of leukemic cell lines (697, HL-60, KASUMI-1, MV4-11, REH, THP-1, SKNO-1, MOLM-13)[1].

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

Western Blot Analysis[1]

Cell Line:	U266 multiple myeloma cell line
Concentration:	100 nM and 1000 nM
Incubation Time:	24 h
Result:	Demonstrated potent HDAC6 degradation as well as hyperacetylation of α-tubulin.

REFERENCES

[1]. Laura Sinatra, et al. Solid-Phase Synthesis of Cereblon-Recruiting Selective Histone Deacetylase 6 Degraders (HDAC6 PROTACs) with Antileukemic Activity. J Med Chem. 2022 Dec 22;65(24):16860-16878.

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