ZXH-3-26

Cat. No.: HY-122826

CAS No.: 2243076-67-5

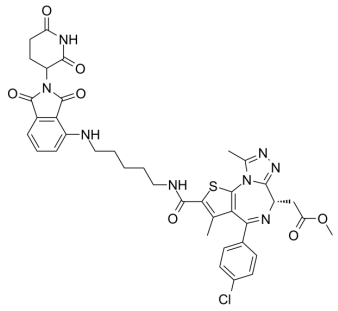
Molecular Formula: $C_{38}H_{37}ClN_8O_7S$

Molecular Weight: 785.27

Target: PROTACs; Epigenetic Reader Domain

Pathway: PROTAC; Epigenetics

Storage: -20°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (254.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2734 mL	6.3672 mL	12.7345 mL
	5 mM	0.2547 mL	1.2734 mL	2.5469 mL
	10 mM	0.1273 mL	0.6367 mL	1.2734 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: ≥ 5 mg/mL (6.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZXH-3-26 is a PROTAC connected by ligands for Cereblon and BRD4 with a $DC_{50/5h}$ of 5 nM. The $DC_{50/5h}$ refers to half-maximal degradation after 5 hours of treatment of ~ 5 nM[1].

IC_{50} & Target		
	BRD4 5 nM (DC50, 5 hours of treatment)	Cereblon

In Vitro

ZXH-3-26 represents the first small molecule to allow pharmacologic targeting of BRD4 without significant inhibition or degradation of BRD2/3[1].
ZXH-3-26 shows activity exclusively on the first bromodomain of BRD4, and spares degradation of BRD2/3 at concentrations 10 μM. Immunoblot analysis confirms that ZXH-3-26 degrades endogenous BRD4 with comparable efficacy compared to the best pan-BET degrader dBET6, while being inactive on BRD2/3[1].
ZXH-3-26 engages CRBN in a conformation distinct[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Nowak RP, et al. Plasticity in binding confers selectivity in ligand-induced protein degradation. Nat Chem Biol. 2018 Jul;14(7):706-714.

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