Homo-PROTAC pVHL30 degrader 1

Cat. No.: HY-111593

CAS No.: 2244684-49-7

Molecular Formula: $C_{58}H_{82}N_8O_{14}S_2$

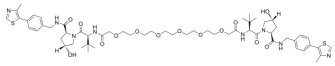
Molecular Weight: 1179.45

Target: PROTACs

Pathway: PROTAC

Storage: 4°C, stored under nitrogen

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (127.18 mM)

H_2O : 25 mg/mL (21.20 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8479 mL	4.2393 mL	8.4785 mL
	5 mM	0.1696 mL	0.8479 mL	1.6957 mL
	10 mM	0.0848 mL	0.4239 mL	0.8479 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 (2.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.12 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Homo-PROTAC pVHL30 degrader 1 is a potent pVHL30 degrader based on PROTAC[1], consists of two ligands of von Hippel-Lindau.

IC_{50} & Target

VHL

In Vitro

Homo-PROTAC pVHL30 degrader 1 dimerizes von Hippel-Lindau (VHL) with high avidity in vitro and induces potent, rapid and proteasome-dependent self-degradation of VHL in different cell lines, in a highly isoform-selective fashion and without

triggering a hypoxic response[1].

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

REFERENCES

[1]. Maniaci C, et al. Homo-PROTACs: bivalent small-molecule dimerizers of the VHL E3 ubiquitin ligase to induce self-degradation. Nat Commun. 2017 Oct 10;8(1):830.

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