VH032

Cat. No.: HY-120217

CAS No.: 1448188-62-2

Molecular Formula: $C_{24}H_{32}N_4O_4S$

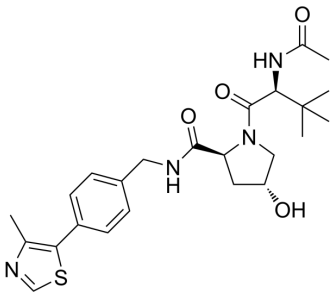
Molecular Weight: 472.6

Target: Ligands for E3 Ligase

Pathway: PROTAC

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (211.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1160 mL	10.5798 mL	21.1595 mL
	5 mM	0.4232 mL	2.1160 mL	4.2319 mL
	10 mM	0.2116 mL	1.0580 mL	2.1160 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: ≥ 3.5 mg/mL (7.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.5 mg/mL (7.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VH032 is a VHL ligand used in the recruitment of the von Hippel-Lindau (VHL) protein. VH032 is a VHL/HIF-1α interaction inhibitor with a K_d[1][2][3].

IC_{50} & Target

VHL

In Vitro

PROTACs contain two different ligands connected by a linker; one is a ligand for an E3 ubiquitin ligase and the other is for the target protein. PROTACs exploit the intracellular ubiquitin-proteasome system to selectively degrade target proteins[1].

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

CUSTOMER VALIDATION

- J Med Chem. 2023 Jun 2.
- Arch Biochem Biophys. 2022 May 30;721:109194.

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REFERENCES

[1]. Michael Zengerle, et al. Selective Small Molecule Induced Degradation of the BET Bromodomain Protein BRD4. ACS Chem Biol. 2015 Aug 21;10(8):1770-7.

[2]. Carles Galdeano, et al. Structure-guided design and optimization of small molecules targeting the protein-protein interaction between the von Hippel-Lindau (VHL) E3 ubiquitin ligase and the hypoxia inducible factor (HIF) alpha subunit with in vitro nanomolar affinities. J Med Chem. 2014 Oct 23;57(20):8657-63.

[3]. Kwok-Ho Chan, et al. Impact of Target Warhead and Linkage Vector on Inducing Protein Degradation: Comparison of Bromodomain and Extra-Terminal (BET) Degraders Derived from Triazolodiazepine (JQ1) and Tetrahydroquinoline (I-BET726) BET Inhibitor Scaffolds. J Med Chem. 2018 Jan 25;61(2):504-513.

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