(S,R,S)-AHPC-Me hydrochloride

Cat. No.: HY-42424

CAS No.: 1948273-03-7

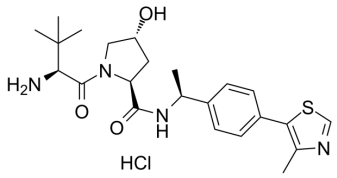
Molecular Formula: $C_{23}H_{33}ClN_4O_3S$

Molecular Weight: 481.05

Target: Ligands for E3 Ligase

Pathway: 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

H_2O : 100 mg/mL (207.88 mM; Need ultrasonic)
DMSO : ≥ 63 mg/mL (130.96 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0788 mL	10.3939 mL	20.7879 mL
5 mM	0.4158 mL	2.0788 mL	4.1576 mL
10 mM	0.2079 mL	1.0394 mL	2.0788 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (207.88 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.32 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(S,R,S)-AHPC-Me hydrochloride (VHL ligand 2 hydrochloride) is the (S,R,S)-AHPC-based VHL ligand used in the recruitment of the von Hippel-Lindau (VHL) protein[1]. (S,R,S)-AHPC-Me hydrochloride can be used to synthesize ARV-771, a von Hippel-Landau (VHL) E3 ligase-based BET PROTAC degrader. ARV-771 potently degrades BET protein in castration-resistant prostate cancer (CRPC) cells with a DC_{50} <1 nM[2].

IC_{50} & Target	VHL

REFERENCES

[1]. WO/2017/030814A1

[2]. Raina K, et al. PROTAC-induced BET protein degradation as a therapy for castration-resistant prostate cancer. Proc Natl Acad Sci U S A. 2016 Jun 28;113(26):7124-9.

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