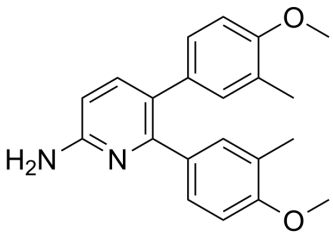
WSB1 Degrader 1

Cat. No.:	HY-141482
CAS No.:	2306039-66-5
Molecular Formula:	$C_{21}H_{22}N_2O_2$
Molecular Weight:	334.41
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (74.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9903 mL	14.9517 mL	29.9034 mL
	5 mM	0.5981 mL	2.9903 mL	5.9807 mL
	10 mM	0.2990 mL	1.4952 mL	2.9903 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: ≥ 2.08 mg/mL (6.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WSB1 Degrader 1 is a poten and orally active WSB1 (WD repeat and SOCS box-containing 1) degrader. WSB1 Degrader 1 has anticancer metastatic effects[1].

In Vitro

WSB1 Degrader 1 (compound 4; 0.25-2500 nM; 2-24 hours; H1299-WSB1 cells) treatment indues WSB1 degradation in time-dependent and dose-dependent manners[1].

WSB1 Degrader 1 (compound 4) exhibits potent antimigration efficacy in both KHOS and H460 cell lines with IC_{50} values of 39.1 μM and 24.47 μM, respectively[1].

WSB1 Degrader 1 (compound 4) significantly inhibits cancer cell migration under normoxia and hypoxia in KHOS cells. WSB1 Degrader 1 (5 μM) treatment elevates the levels of the RhoGDI2 protein in KHOS cells under hypoxia[1].

The wound-healing of H1299-WSB1 cells is significantly inhibited by treating with WSB1 Degrader 1. WSB1 Degrader 1 can only block the wound-healing capability of wild-type A2780 (A2780-WT) cells but not the A2780-WSB1/KO cells[1].

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

Western Blot Analysis[1]

Cell Line:	H1299-WSB1 cells
Concentration:	0.25 nM, 2.5 nM, 25 nM, 250 nM, 2500 nM
Incubation Time:	2 hours, 4 hours, 6 hours, 8 hours, 12 hours, 24 hours
Result:	Induced WSB1 degradation in time-dependent and dose-dependent manners.

In Vivo

WSB1 Degrader 1 (compound 4; 100 mg/kg; p.o.; daily; for 28 days) treatment can effectively inhibit the pulmonary metastasis of cancer cells[1].

In rats, after 100 mg/kg oral dosing or 160 mg/kg intraperitoneal dosing of WSB1 Degrader 1 (compound 4), the two ways of administration are observed with quick absorption (Tmax), but the former dosing displayed a fast clearance ($T_{1/2}$). Moreover, C_{max} and AUC_{0-t} values of WSB1 Degrader 1 in oral or intraperitoneal dosing groups showed acceptable blood exposure[1].

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

Animal Model:	Balb/c (nu/nu) mice bearing highly metastatic 4T1 breast cancer cells[1].
Dosage:	100 mg/kg/day
Administration:	p.o.; daily; for 28 days
Result:	Effectively inhibited the pulmonary metastasis of cancer cells.

REFERENCES

[1]. Jinxin Che, et al. Discovery of 5,6-Bis(4-methoxy-3-methylphenyl)pyridin-2-amine as a WSB1 Degrader to Inhibit Cancer Cell Metastasis. J Med Chem. 2021 Jun 1.

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