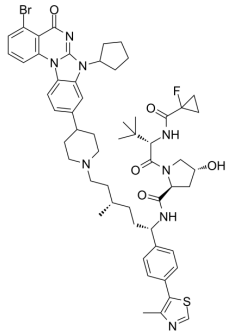
ACBI2

Cat. No.:	HY-151623
CAS No.:	2913161-19-8
Molecular Formula:	$C_{56}H_{68}BrFN_8O_5S$
Molecular Weight:	1064.16
Target:	PROTACs; Epigenetic Reader Domain
Pathway:	PROTAC; Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (117.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9397 mL	4.6985 mL	9.3971 mL
	5 mM	0.1879 mL	0.9397 mL	1.8794 mL
	10 mM	0.0940 mL	0.4699 mL	0.9397 mL

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

BIOLOGICAL ACTIVITY

Description

ACBI2 is a highly potent and orally active VHL PROTAC SMARCA2 degrader (EC_{50}: 7 nM), which selectively degrades SMARCA2 with a DC_{50} value of 1 nM in RKO cells. ACBI2 can be used in the research of lung cancer[1].

IC_{50} & Target		
	VHL 7 nM (EC50)	SMARCA2

In Vitro

ACBI2 degrades SMARCA2 and SMARCA4 in RKO cells, with DC_{50}s of 1 nM and 32 nM respectively[1].
ACBI2 (0.1 nM-1 μM, 4-18 h) rapidly and completely degrades SMARCA2 in two sensitive cell lines (A549 and NCI-H1568)[1].
ACBI2 (1 nM-1 μM, 18 h) significantly degrades SMARCA2 with clear selectivity over SMARCA4[1].
ACBI2 (0-1 μM; 18 h) dose-dependently degrades SMARCA2 in human whole blood[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

ACBI2 (80 mg/kg, p.o., once daily) significantly inhibits tumor growth in an A549 xenograft mice model[1].
ACBI2 (5-100 mg/kg, p.o., tumors collected 24 or 48 h after treatment) dose-dependently degrades tumor SMARCA2 in A549 engrafted tumor bearing mice (IHC staining)[1].
ACBI2 (30 mg/kg, p.o., mice) shows oral bioavailability of 22%[1].

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

Animal Model:	A549 xenograft mice model[1]
Dosage:	80 mg/kg
Administration:	Oral administration (p.o.), once daily
Result:	Inhibited tumor growth and was well tolerated.

REFERENCES

[1]. Kofink C, et al. A selective and orally bioavailable VHL-recruiting PROTAC achieves SMARCA2 degradation in vivo. Nat Commun. 2022 Oct 10;13(1):5969.

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