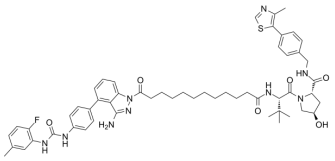
VEGFR-2-IN-39

Cat. No.:	HY-160259
CAS No.:	2353417-86-2
Molecular Formula:	$C_{55}H_{66}FN_9O_6S$
Molecular Weight:	1000.23
Target:	VEGFR; PROTACs
Pathway:	Protein Tyrosine Kinase/RTK; PROTAC
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (199.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9998 mL	4.9989 mL	9.9977 mL
	5 mM	0.2000 mL	0.9998 mL	1.9995 mL
	10 mM	0.1000 mL	0.4999 mL	0.9998 mL

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

BIOLOGICAL ACTIVITY

Description

VEGFR-2-IN-39 (PROTAC-5) is a PROTAC targeting VEGFR-2 (IC_{50}: 208.6 nM). VEGFR-2-IN-39 has low toxicity.VEGFR-2-IN-39 inhibits the proliferation of EA.hy926, one of HUVECs, in a concentration-dependent manner, with an IC_{50} of 38.65 μM[1].

IC_{50} & Target

VEGFR2

In Vitro

VEGFR-2-IN-39 (0-60 μM; 0-72 h) can efficiently reduce the protein levels of VEGFR-2 in a dose-dependent manner[1].
VEGFR-2-IN-39 (10-40 μM; 72 h) prolongs the S phase of the cell cycle in HUVECs[1].
VEGFR-2-IN-39 (0.1-40 μM; 72 h) induces apoptosis in HUVECs in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	HUVECs
Concentration:	0-60 μM
Incubation Time:	0-72 h

Result:	VEGFR-2 levels by up to 60% at a concentration of 40 μmol/L, with rapid degradation visible as early as 24 hours and nearly complete by 48 hours.

Cell Cycle Analysis[1]

Cell Line:	HUVECs
Concentration:	10-40 μM
Incubation Time:	0-72 h
Result:	Resulted in a significant increase in the proportion of cells in the S phase, indicating a halt or delay in the progression of the cell cycle. Correspondingly, there was a notable reduction in the number of cells in the G1 phase.

Apoptosis Analysis[1]

Cell Line:	HUVECs
Concentration:	0.1-40 μM
Incubation Time:	0-72 h
Result:	Resulted in a significant dose-dependent increase in the percentage of apoptotic cells. The percentage of late apoptotic cells increased as follows: control (untreated) : 5.34% 10 μM: 6.94% 20 μM: 8.32% 30 μM: 15.6% 40 μM: 48.7%

REFERENCES

[1]. Shan Y, et al. Discovery of novel anti-angiogenesis agents. Part 11: Development of PROTACs based on active molecules with potency of promoting vascular normalization. Eur J Med Chem. 2020 Nov 1;205:112654.

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