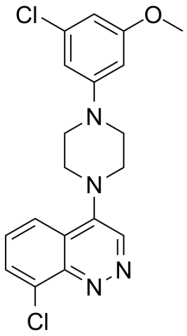
Vimentin-IN-1



Cat. No.:	HY-151424
CAS No.:	2319587-80-7
Molecular Formula:	$C_{19}H_{18}Cl_2N_4O$
Molecular Weight:	389.28
Target:	Proteasome
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 (64.22 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5688 mL	12.8442 mL	25.6885 mL
	5 mM	0.5138 mL	2.5688 mL	5.1377 mL
	10 mM	0.2569 mL	1.2844 mL	2.5688 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: 2.5 mg/mL (6.42 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Vimentin-IN-1 is a FiVe1 derivative, an orally active and selective anticancer agent. FiVe1 binds type III intermediate filament protein vimentin (VIM), to induce hyperphosphorylation of Ser56, resulting selective disruption of mitosis and multinucleation in transformed VIM-expressing mesenchymal cancer cells. Vimentin-IN-1 shows better oral bioavailability and pharmacokinetic profiles than FiVe1[1].

IC_{50} & Target

vimentin (VIM)[1]

In Vitro

Vimentin-IN-1 (compound 4e) (0-10 mM; 72 h) inhibits a marked improvement in potency with an IC_{50} value of 44 nM against HT-1080 fibrosarcoma, better than than FiVe1 (IC_{50}=1.6 μM, HT-1080)[1].
Vimentin-IN-1 (0.1 μM; 24 h) induces phosphorylation of VIM at Ser56[1].
Vimentin-IN-1 (100 μM; sampled at 0, 5, 15, 30, 45, and 60 min) exhibits poor stability with 0.0% remaining after 60 min of incubation in mouse liver microsome[1].

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

Cell Viability Assay[1]

Cell Line:	HT-1080, RD, and MCF-7 cells
Concentration:	0-10 mM
Incubation Time:	72 hours
Result:	Inhibited HT-1080, RD, and MCF-7 cells with IC_{50}s of 44 nM, 61 nM, and 49 nM, respectively.

In Vivo

Vimentin-IN-1 (compound 4e) (10 mg/kg; p.o.; single dose) shows better oral pharmacokinetic properties than Five1[1].

Pharmacokinetic properties of Vimentin-IN-1 in mice[1]

	Route	Dose (mg/kg)	$AUC_{0\text{-last}}$ (ng·h/mL)	$AUC_{0\text{-inf}}$ (ng·h/mL)	$T_{1/2}$ (h)	T_{max} (h)	T_{last} (h)	C_{max} (ng/mL)
4e	PO	10	371.33	534.33	4.68	0.67	8	154.67
4e	IP	1	208.33	211.33	0.59	0.25	4	197.00
Five1	PO	25	309.78	339.21	4.57	0.5	18	110.43

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

REFERENCES

[1]. Martínez-Peña F, et al. Synthesis and biological evaluation of novel FiVe1 derivatives as potent and selective agents for the treatment of mesenchymal cancers. Eur J Med Chem. 2022 Nov 15;242:114638.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA