Product Data Sheet

Product Name: Vimentin-IN-1
Cat. No.: GC68437

Chemical Properties

Cas. No.	2319587-80-7		
Formula	$C_{19}H_{18}Cl_2N_4O$	M.Wt	389.28
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Vimentin-IN-1		

Background

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].

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].

Cell Viability Assay[1]

Cell Line: HT-1080, RD, and MCF-7 cells

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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.

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

[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.

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