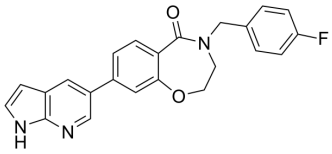
TNIK-IN-3

Cat. No.:	HY-145293		
CAS No.:	2754265-25-1		
Molecular Formula:	$C_{23}H_{18}FN_3O_2$		
Molecular Weight:	387.41		
Target:	DAPK		
Pathway:	Apoptosis		
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 : 83.33 mg/mL (215.10 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5812 mL	12.9062 mL	25.8124 mL
	5 mM	0.5162 mL	2.5812 mL	5.1625 mL
	10 mM	0.2581 mL	1.2906 mL	2.5812 mL

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

BIOLOGICAL ACTIVITY

Description

TNIK-IN-3 is a potent, selective and orally active inhibitor of Traf2- and Nck-interacting protein kinase (TNIK), with an IC_{50} of 0.026 μM. TNIK-IN-3 could also inhibit Flt4 (IC_{50}=0.030 μM), Flt1 (IC_{50}=0.191 μM) and DRAK1 (IC_{50}=0.411 μM). TNIK-IN-3 can be used for the research of colorectal cancer[1].

IC_{50} & Target

IC50: 0.026 μM (TNIK)[1], 0.030 μM (Flt4)[1], 0.191 μM (Flt1)[1], 0.411 μM (DRAK1)[1]

In Vitro

TNIK-IN-3 (compound 21k) inhibits Aurora-A, GCK, and MLK3 with IC_{50}s of 0.517 μM, 3.657 μM, and 4.552 μM, respectively[1].

TNIK-IN-3 (0.1-100 μM; 3 days) inhibits the viability of HCT116 and DLD-1 cells, with IC_{50}s of 4.26 μM and 8.00 μM, respectively[1].

TNIK-IN-3 (2.5-40 μM; 10 days) dose-dependently inhibits the colony formation of HCT116 and DLD-1 cells[1].

TNIK-IN-3 (5-20 μM; 48 h) inhibits the migration of HCT116 and DLD-1 cells[1].

TNIK-IN-3 (5-40 μM; 48 h) dose-dependently inhibits the expression ofLRP5 and LRP6 proteins, Wnt target genes AXIN2 and c-Myc in HCT116 cells[1].

TNIK-IN-3 (5-20 μM; 48 h) significantly suppresses the phosphorylation of JNK1/2 in Hela cells[1].

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

Cell Viability Assay[1]

Cell Line:	HCT116 and DLD-1 cells
Concentration:	0.1-100 μM
Incubation Time:	3 days
Result:	Inhibited cell viability in a dose-dependent manner.

Cell Viability Assay[1]

Cell Line:	HCT116 cells
Concentration:	5, 10, 20, 40 μM
Incubation Time:	48 hours
Result:	Inhibited the expression of Wnt target genes AXIN2 and c-Myc, LRP5 and LRP6 proteins.

In Vivo

TNIK-IN-3 (compound 21k) (100-150 mg/kg; p.o. twice daily for 18 days) inhibits tumor growth in a dose-dependent manner [1].

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

Animal Model:	Six-week-old female NOD-SCID mice were injected with HCT116 cells[1]
Dosage:	100, 150 mg/kg
Administration:	P.o. twice daily for 18 days
Result:	Significantly inhibited tumor growth at a dose of 150 mg/kg. No obvious weight loss and no other side effects were observed.

REFERENCES

[1]. Li Y, et, al. Discovery of 3,4-Dihydrobenzo[f][1,4]oxazepin-5(2 H)-one Derivatives as a New Class of Selective TNIK Inhibitors and Evaluation of Their Anti-Colorectal Cancer Effects. J Med Chem. 2022 Jan 5.

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