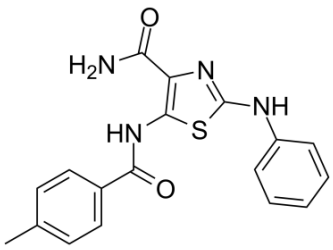
KY-05009

Cat. No.:	HY-124745
CAS No.:	1228280-29-2
Molecular Formula:	$C_{18}H_{16}N_4O_2S$
Molecular Weight:	352.41
Target:	MAP4K; Wnt; Apoptosis
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt; 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 (236.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8376 mL	14.1880 mL	28.3760 mL
	5 mM	0.5675 mL	2.8376 mL	5.6752 mL
	10 mM	0.2838 mL	1.4188 mL	2.8376 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: ≥ 2.08 mg/mL (5.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KY-05009 is an ATP-competitive Traf2- and Nck-interacting kinase (TNIK) inhibitor with a K_i of 100 nM. KY-05009 pharmacologically inhibits TGF-β1-induced epithelial-to-mesenchymal transition (EMT) in human lung adenocarcinoma cells. KY-05009 inhibits the protein expression of TNIK and transcriptional activity of Wnt target genes and induces apoptosis in cancer cells. KY-05009 exerts anti-cancer activity[1].

IC_{50} & Target

TNIK
100 nM (Ki)

In Vitro

KY-05009 (0.1-30 μM; 24 hours; RPMI8226 cells) treatment inhibits the proliferation of RPMI8226 cells in a dose-dependent manner[1].
KY-05009 (1-3 μM; 48-72 hours; RPMI8226 cells) treatment induces caspase-dependent apoptosis in RPMI8226 cells in a dose-dependent manner[1].

KY-05009 (3 μM; 1 hour; RPMI8226 cells) treatment suppresses the transcriptional activity of Wnt signaling-related genes, including TNIK, CTNNB1, TCF7, and TCF4[1].

.KY-05009 (3 μM; 9 hours; RPMI8226 cells) treatment inhibits the IL-6-induced interaction between TCF4 and β-catenin and the phosphorylation of TCF4[1].

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

Cell Proliferation Assay[1]

Cell Line:	RPMI8226 cells
Concentration:	0.1 μM, 0.3 μM, 1 μM, 3 μM, 10 μM, 30 μM
Incubation Time:	24 hours
Result:	Inhibited the proliferation of RPMI8226 cells.

Apoptosis Analysis[1]

Cell Line:	RPMI8226 cells
Concentration:	1 μM, 3 μM, 10 μM
Incubation Time:	48 hours, 72 hours
Result:	Induced the binding of fluorescent Annexin V and 7-amino-actinomycin D (7-AAD) uptake.

RT-PCR[1]

Cell Line:	RPMI8226 cells
Concentration:	3 μM
Incubation Time:	1 hour
Result:	Suppressed the transcriptional activity of Wnt signaling-related genes, including TNIK, CTNNB1, TCF7, and TCF4.

Western Blot Analysis[1]

Cell Line:	RPMI8226 cells
Concentration:	3 μM
Incubation Time:	9 hours
Result:	The IL-6-induced interaction between TCF4 and β-catenin and the phosphorylation of TCF4 were inhibited.

REFERENCES

[1]. Lee Y, et al. Synergistic inhibition effect of TNIK inhibitor KY-05009 and receptor tyrosine kinase inhibitor dovitinib on IL-6-induced proliferation and Wnt signaling pathway in human multiple myeloma cells. Oncotarget. 2017 Jun 20;8(25):41091-41101.

Caution: Product has not been fully validated for medical applications. For research use only.

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