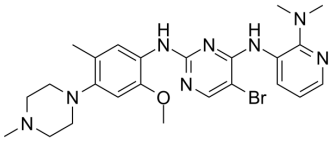
TP-5801

Cat. No.:	HY-147316
CAS No.:	2574474-81-8
Molecular Formula:	$C_{24}H_{31}BrN_8O$
Molecular Weight:	527.46
Target:	Others
Pathway:	Others
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (31.60 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8959 mL	9.4794 mL	18.9588 mL
	5 mM	0.3792 mL	1.8959 mL	3.7918 mL
	10 mM	0.1896 mL	0.9479 mL	1.8959 mL

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

BIOLOGICAL ACTIVITY

Description

TP-5801 is an orally active TNK1 (non-receptor tyrosine kinase) inhibitor (IC_{50}=1.40 nM), and shows anti-tumor activity[1].

IC_{50} & Target

IC50: 1.40 nM (TNK1)[1]

In Vitro

TP-5801 (10 pM-10 μM; 72 h) treatment inhibits TNK1-driven, BCR-ABL-driven and IL-3-driven Ba/F3 cell growth[1].
TP-5801 (1 nM-10 μM; 10 d) inhibits TNK1-dependent L540 cell growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Ba/F3 cells
Concentration:	10 pM-10 μM
Incubation Time:	72 hours
Result:	Inhibited TNK1-driven cell growth with IC_{50}s of 76.78 and 36.95 nM against WT TNK1 and AAA mutant cells, respectively. Inhibited BCR-ABL-driven and IL-3-driven Ba/F3 cell growth

	with IC_{50}s of 8.5 and 1.2 μM, respectively.

Cell Viability Assay[1]

Cell Line:	L540 cells
Concentration:	1, 10, 100, and 1000 nM
Incubation Time:	10 days
Result:	Inhibited TNK1-dependent L540 cell growth at low nM level.

In Vivo

TP-5801 (oral gavage; 10 mg/kg; once) treatment shows efficacy in the mouse survival model[1].
TP-5801 (oral gavage; 50 mg/kg; once daily; 7 d) treatment can inhibit localized tumor growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NOD/SCID mice injected with Ba/F3 luc cells expressing TNK1 AAA[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; 10 mg/kg; once
Result:	Showed no signs of toxicity and significantly prolonged lifespan.

Animal Model:	NOD/SCID mice implanted subcutaneously with Ba/F3 luc cells expressing TNK1 AAA or BCR-ABL[1]
Dosage:	50 mg/kg
Administration:	Oral gavage; 50 mg/kg; once daily; 7 days
Result:	Reduced phospho-STAT3 in TNK1-driven xenografts at 2 hours post-treatment, and tumor burden in mice xenografted.

REFERENCES

[1]. Tsz-Yin Chan, et al. TNK1 is a ubiquitin-binding and 14-3-3-regulated kinase that can be targeted to block tumor growth. Nat Commun. 2021 Sep 9;12(1):5337.

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