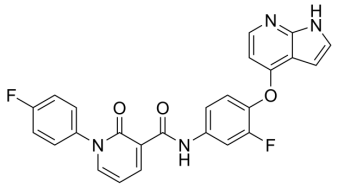
MET kinase-IN-4

Cat. No.:	HY-18309
CAS No.:	888719-03-7
Molecular Formula:	$C_{25}H_{16}F_2N_4O_3$
Molecular Weight:	458.42
Target:	c-Met/HGFR; VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (218.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1814 mL	10.9070 mL	21.8141 mL
	5 mM	0.4363 mL	2.1814 mL	4.3628 mL
	10 mM	0.2181 mL	1.0907 mL	2.1814 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MET kinase-IN-4 is an orally active Met kinase inhibitor. MET kinase-IN-4 has potent Met kinase inhibitory activity with an IC_{50} value of 1.9 nM. MET kinase-IN-4 can be used for the research of cancer[1].

IC_{50} & Target

IC50: 1.9 nM (Met); 4 nM (Flt-3); 27 nM (VEGFR-2)[1]

In Vitro

MET kinase-IN-4 (Compound 2) has potent Met kinase inhibitory activity with an IC_{50} value of 1.9 nM[1].
MET kinase-IN-4 inhibits Flt-3 and VEGFR-2 kinases with IC_{50} values of 4 and 27 nM, respectively[1].
MET kinase-IN-4 (3 μM) shows good metabolic stability in both human and mouse liver microsomes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

MET kinase-IN-4 (Compound 2) (i.v., p.o.; 5, 10 mg/kg) possesses a favorable pharmacokinetic profile in mice[1].
MET kinase-IN-4 (p.o.; 6.25, 12.5, 25 and 50 mg/kg; once a day) demonstrates significant in vivo antitumor activity in the GTL-16 human gastric carcinoma xenograft model[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice[1]
Dosage:	5, 10 mg/kg
Administration:	IV, PO
Result:	Showed extensive extravascular distribution and a favorable half-life.

Animal Model:	Nude mice[1]
Dosage:	6.25, 12.5, 25 and 50 mg/kg
Administration:	PO, once a day
Result:	Showed antitumor activity in dose-dependet.

REFERENCES

[1]. Kyoung Soon Kim, et al. Discovery of pyrrolopyridine-pyridone based inhibitors of Met kinase: synthesis, X-ray crystallographic analysis, and biological activities. J Med Chem. 2008 Sep 11;51(17):5330-41.

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