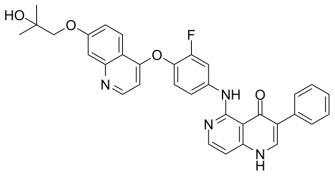
MET kinase-IN-2

Cat. No.:	HY-131065
CAS No.:	2101241-90-9
Molecular Formula:	$C_{33}H_{27}FN_4O_4$
Molecular Weight:	562.59
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (177.75 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7775 mL	8.8875 mL	17.7749 mL
	5 mM	0.3555 mL	1.7775 mL	3.5550 mL
	10 mM	0.1777 mL	0.8887 mL	1.7775 mL

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

BIOLOGICAL ACTIVITY

Description

MET kinase-IN-2 is a potent, selective, orally bioavailable MET kinase inhibitor with an IC_{50} of 7.4 nM. MET kinase-IN-2 has antitumor activity[1].

In Vitro

MET kinase-IN-2 (compound 20j; 72 hours) inhibits U-87 MG, NIH-H460, HT-29, and MKN-45 cell lines with IC_{50}s ranging 2.9 to 4.5 μM[1].

MET kinase-IN-2 inhibits AXL, Flt4, KDR, Mer, TEK, and TYRO3 with IC_{50}s ranging from 16.5 to 198 nM[1].

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

In Vivo

MET kinase-IN-2 (3-37.5 mg/kg; p.o.; 7 days per week for 3 weeks) exhibits statistically significant tumor growth inhibition in the U-87 MG 24 xeograft model[1].

MET kinase-IN-2 treatment shows that the C_{max}, $AUC_{0-\infty}$, $T_{1/2}$, CL, and F% values are 1.5 μg/mL, 10.7 μg•h/mL, 4.9 hours, 0.5 L/h/kg, and F=32%, respectively[1].

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

Animal Model:	4-6 weeks old Female nude mice (U-87 MG xenograft model)[1]

Dosage:	3, 6, 12.5, 37.5 mg/kg
Administration:	P.o.; 7 days per week for 3 weeks
Result:	Induced dose-dependent tumor growth inhibition.

Animal Model:	Male SD rats[1]
Dosage:	5 mg/kg
Administration:	P.o. (Pharmacokinetic Analysis)
Result:	Displayed favorable overall PK profiles, with maximal plasma concentration (C_{max}=1.5 μg/mL, 5-fold higher to that of IV), plasma exposure ($AUC_{0-\infty}$=10.7 μg•h/mL, 9.7-fold higher to that of IV), half-life ($T_{1/2}$=4.9 h, 4.9-fold longer to that of IV), total clearance CL (0.5 L/h/kg; 10-fold lower to that of IV), and oral bioavailability (F=32%, 2.7-fold higher to that of IV) after oral dose of 5 mg/kg (10 mg/kg for IV).

REFERENCES

[1]. Chen T, et al. Discovery of 1,6-naphthyridinone-based MET kinase inhibitor bearing quinoline moiety as promising antitumor drug candidate. Eur J Med Chem. 2020;192:112174.

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