Product Data Sheet

Product Name: MET kinase-IN-2
Cat. No.: GC68018

Chemical Properties

Cas. No.	2101241-90-9		
Formula	$C_{33}H_{27}FN_4O_4$	M.Wt	562.59
Solubility	DMSO : 100 mg/mL (177.75 mM; Need ultrasonic)	Storage	4°C, protect from light, stored under nitrogen
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MET kinase-IN-2		

Background

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].

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].

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].

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

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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).

[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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