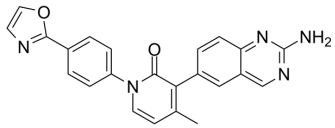
c-Kit-IN-5-1

Cat. No.:	HY-18302
CAS No.:	1003311-62-3
Molecular Formula:	$C_{23}H_{17}N_5O_2$
Molecular Weight:	395.41
Target:	c-Kit
Pathway:	Protein Tyrosine Kinase/RTK
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 : 25 mg/mL (63.23 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5290 mL	12.6451 mL	25.2902 mL
	5 mM	0.5058 mL	2.5290 mL	5.0580 mL
	10 mM	0.2529 mL	1.2645 mL	2.5290 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: 1.67 mg/mL (4.22 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.67 mg/mL (4.22 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

c-Kit-IN-5 is potent inhibitor of c-Kit, with IC_{50}s of 22 nM and 16 nM in kinase assay and cell assay, respectively. c-Kit-IN-5 shows more than 200-fold selectivity for c-Kit over KDR, p38, Lck, and Src. c-Kit-IN-5 also exhibits desirable pharmacokinetic properties[1].

In Vitro

c-Kit-IN-5 (compound 25) shows more than 200-fold selectivity against KDR, p38, Lck, and Src (IC_{50}=>5.0, 40, 7.8 , and >5.0 μM, respectively)[1].

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

In Vivo

c-Kit-IN-5 (compound 25) (1 mg/kg; i.v.) exhibits CL (0.46 L/h/kg) and V_{dss} (1.59 L/kg) in rats[1].
c-Kit-IN-5 (10 mg/kg; p.o.) exhibits AUC_{0-t}(9860 ng•h/mL) C_{max}(1230 ng/mL), $T_{1/2}$ (2.6 h), and F (39%) in rats[1].

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

REFERENCES

[1]. Hu E, et, al. Discovery of aryl aminoquinazoline pyridones as potent, selective, and orally efficacious inhibitors of receptor tyrosine kinase c-Kit. J Med Chem. 2008 Jun 12;51(11):3065-8.

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