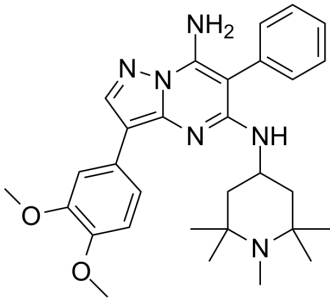
WF-47-JS03

Cat. No.:	HY-133551
CAS No.:	2561413-77-0
Molecular Formula:	$C_{30}H_{38}N_6O_2$
Molecular Weight:	514.66
Target:	RET
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (121.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9430 mL	9.7152 mL	19.4303 mL
	5 mM	0.3886 mL	1.9430 mL	3.8861 mL
	10 mM	0.1943 mL	0.9715 mL	1.9430 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: ≥ 2.08 mg/mL (4.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WF-47-JS03 is a potent and selective RET kinase inhibitor with IC_{50}s of 1.7 nM and 5.3 nM for KIF5B-RET transfected Ba/F3 cells and CCDC6-RET transfected LC-2/ad lung cancer cells, respectively. WF-47-JS03 demonstrates >500-fold selectivity against kinase insert domain receptor (KDR). Effective brain penetration[1].

In Vitro

WF-47-JS03 inhibits Tel-KDR transfected Ba/F3 cells and Ba/F3 wild-type cell lines with IC_{50}s of 0.99 and 1.5 μM, respectively [1].

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

In Vivo

WF-47-JS03 significantly inhibits tumor growth in RIE KIF5B-RET xenograft mice and is well tolerated at 1, 3, and 10 mg/kg in the 10 day study[1].

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

Animal Model:	Female 6-8 week old Harlan Foxn1 nude mice with RIE-RET-KIF5B transgenic cell line
Dosage:	1, 3, 10 mg/kg
Administration:	Dosed orally, 1x daily for 10 days
Result:	Inhibited tumor growth in RIE KIF5B-RET xenograft mice.

REFERENCES

[1]. Casey J N Mathison , et al. Efficacy and Tolerability of Pyrazolo[1,5- a]pyrimidine RET Kinase Inhibitors for the Treatment of Lung Adenocarcinoma. ACS Med Chem Lett. 2020 Feb 12;11(4):558-565.

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