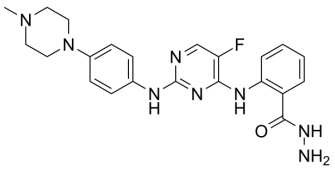
RSH-7

Cat. No.:	HY-151961
CAS No.:	2764609-97-2
Molecular Formula:	$C_{22}H_{25}FN_8O$
Molecular Weight:	436.49
Target:	Btk; FLT3
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 : 83.33 mg/mL (190.91 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2910 mL	11.4550 mL	22.9100 mL
	5 mM	0.4582 mL	2.2910 mL	4.5820 mL
	10 mM	0.2291 mL	1.1455 mL	2.2910 mL

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

BIOLOGICAL ACTIVITY

Description

RSH-7 is a potent BTK and FLT3 inhibitor with IC_{50}s of 47, 12 nM, respectively. RSH-7 induces apoptosis and shows antiproliferative activities. RSH-7 inhibits BTK and FLT3 signaling and shows anti-tumor activity[1].

IC_{50} & Target

IC_{50}: 47 nM (BTK); 12 nM (FLT3)[1]

In Vitro

RSH-7 (1-1000 nM; 72 h) shows antiproliferative activities with IC_{50}s of 17, 3, 11, 930 nM for Jeko-1, MV-4-11, Molt4, K562 cells, respectively[1].

RSH-7 (30, 150, 750 nM; 72 h) decreases the expression of p-BTK (TYR223), p-PLCγ(Tyr1217), p-FLT3 (Tyr589), p-STAT5 (TYR694) in a dose-dependent manner[1].

RSH-7 (30, 150, 750 nM; 72 h) induces apoptosis and increases the expression of BAX, p53, cleaved caspase 3 in a dose dependen manner in jeko-1 cells[1].

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

Cell Viability Assay[1]

Cell Line:	Jeko-1, MV-4-11, Molt4, K562 cells
Concentration:	1-1000 nM
Incubation Time:	72 h
Result:	Showed antiproliferative activities with IC_{50}s of 17, 3, 11, 930 nM for Jeko-1, MV-4-11, Molt4, K562 cells, respectively.

Western Blot Analysis[1]

Cell Line:	jeko-1 cells
Concentration:	30, 150, 750 nM
Incubation Time:	72 h
Result:	Reduced both BTK, PLCγ2, FLT3 and STAT5 phosphorylation in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	jeko-1 cells
Concentration:	30, 150, 750 nM
Incubation Time:	72 h
Result:	Dose-dependently induced cell apoptosis and upregulated the expression of pro-apoptotic protein BAX, p53, cleaved caspase 3.

In Vivo

RSH-7 (25, 50 mg/kg; i.p.; daily for 16 days) shows anti-tumor activity with significantly and dose-dependently suppresses the tumor growth in mouse[1].

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

Animal Model:	Female NOD/SCID mice (jeko-1 cell-inoculated xenograft NOD/SCID mice models)[1]
Dosage:	25, 50 mg/kg
Administration:	I.p.; daily for 16 days
Result:	Suppressed tumor growth in a dose-dependent manner, with tumor growth inhibition (TGI) values of 66.95% and 79.78% at doses of 25 and 50 mg/kg,

Animal Model:	Female NOD/SCID mice (MV4-11 cell-inoculated xenograft NOD/SCID mice models)[1]
Dosage:	10, 20 mg/kg
Administration:	I.p.; daily for 21 days
Result:	Significantly and dose-dependently suppressed the tumor growth with the TGI rates of 74.23% and 94.84% at the dosage of 10 and 20 mg/kg, respectively.

REFERENCES

[1]. Ran F, et al. Development of novel hydrazidoarylaminopyrimidine-based BTK/FLT3 dual inhibitors with potent in vivo anti-hematological malignancies effects. Eur J Med Chem. 2023 Jan 5;245(Pt 1):114913.

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