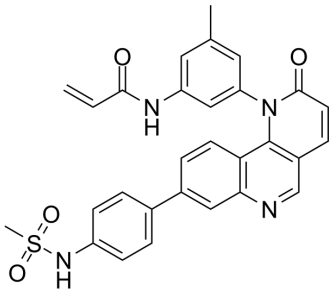
JS25

Cat. No.:	HY-151808
CAS No.:	2411771-95-2
Molecular Formula:	$C_{29}H_{24}N_4O_4S$
Molecular Weight:	524.59
Target:	Btk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (38.13 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9063 mL	9.5313 mL	19.0625 mL
	5 mM	0.3813 mL	1.9063 mL	3.8125 mL
	10 mM	0.1906 mL	0.9531 mL	1.9063 mL

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

BIOLOGICAL ACTIVITY

Description

JS25 is a selective and covalent inhibitor of BTK that inactivates BTK with an IC_{50} value of 5.8 nM by chelating Tyr551. JS25 inhibits cancer cells proliferation, pronounces cell death, and promotes murine xenograft model of Burkitt's lymphoma. JS25 effectively crosses the blood-brain barrier[1].

IC_{50} & Target

IC50: 5.8 nM (BTK), 49.0 nM (BMX), 440 nM (ITK), 190 nM (TXK), 220 nM (TEC), 2.60 μM (BLK)[1]

In Vitro

JS25 (0-50 μM; 72 h) inhibits the proliferation of myeloid and lymphoid B-cell cancer cell lines.JS25 shows inhibitory capability against BTK, BMX, ITK, TXK, TEC, and BLK with IC_{50}s of 28.5 nM, 49.0 nM, 0.44 μM, 0.19 μM, 0.22 μM, and 2.60 μM, respectively; shows little inhibition against other BTK pathway-related proteins (EGFR, ERBB2, and JAK3), with IC_{50}>3 μM. JS25 presents a more favorable selectivity profile than Ibrutinib (HY-10997) and Acalabrutinib (HY-17600)[1].
JS25 (10 μM; 0, 4, 15 h) degrades BTK and inhibits both the catalytic activity and the expression of BTK in tumor cells[1].
JS25 (10 μM; 72 h) inhibits the tumor growth of Burkitt's lymphoma and induces selective ex vivo cytotoxicity in primary diffuse large B-cell lymphoma (DLBCL) samples[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

JS25 (10 mg/kg and 20 mg/kg; i.p.; every 2 days, for 14 d) inhibits tumor growth and results a significant reduction in their secondary tumor formation in murine xenograft model of Burkitt's lymphoma[1].

JS25 (1, 2.5, and 5 μM; injection; every day for 2 days) decreases tumor burden in zebrafish patient-derived xenografts of chronic lymphocytic leukemia, wich efficacy is better than Ibrutinib (HY-10997)[1].

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

Animal Model:	Female adult BALB/c/NSG mice with Raji cells (s.c.)[1]
Dosage:	10 mg/kg and 20 mg/kg
Administration:	Intraperitoneal injection; every 2 days for 14 days
Result:	Caused a 30-40% reduction of the subcutaneous tumor and an overall reduction in the percentage of metastasis and secondary tumor formation.

REFERENCES

[1]. Sousa B B, et al. Selective Inhibition of Bruton's Tyrosine Kinase by a Designed Covalent Ligand Leads to Potent Therapeutic Efficacy in Blood Cancers Relative to Clinically Used Inhibitors[J]. ACS Pharmacology & Translational Science, 2022.

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