

Product Data Sheet

Product Name: JS25
Cat. No.: GC73364

Chemical Properties

Cas. No. 2411771-95-2

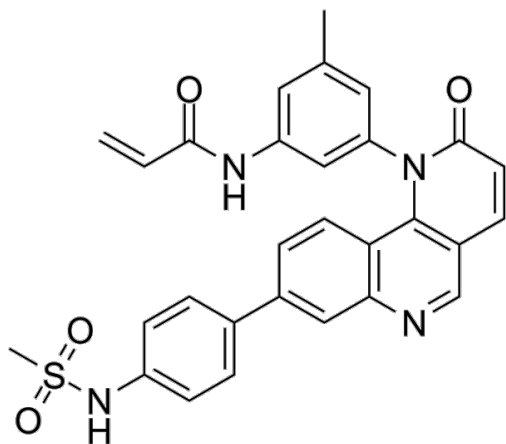
Formula $C_{29}H_{24}N_4O_4S$ M.Wt 524.59

Solubility DMSO : 20 mg/mL (38.13 mM; ultrasonic and warming and heat to 60°C) Storage 4°C, sealed storage, away from moisture

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

JS25 is a selective and covalent inhibitor of BTK that inactivates BTK with an IC₅₀ 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.

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 IC₅₀s of 28.5 nM, 49.0

Caution: Product has not been fully validated for medical applications. For research use only.

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nM, 0.44 μ M, 0.19 μ M, 0.22 μ M, and 2.60 μ M, respectively; shows little inhibition against other BTK pathway-related proteins (EGFR, ERBB2, and JAK3), with $IC_{50} > 3 \mu$ M. JS25 presents a more favorable selectivity profile than Ibrutinib and Acalabrutinib [1]. JS25 (10 μ M; 0, 4, 15 h) degrades BTK and inhibits both the catalytic activity and the expression of BTK in tumor cells [1]. JS25 (10 μ M; 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].

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 μ M; injection; every day for 2 days) decreases tumor burden in zebrafish patient-derived xenografts of chronic lymphocytic leukemia, with efficacy is better than Ibrutinib [1].

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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