

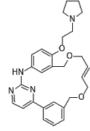
Data Sheet

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Product Name : Pacritinib
Cat. No. : PC-22993
CAS No. : 937272-79-2
Molecular Formula : C₂₈H₃₂N₄O₃
Molecular Weight : 472.59

Solubility : 10 mM in DMSO



Biological Activity

Target

Pacritinib (SB1518) is a potent, selective JAK2/FLT3 inhibitor with IC50 of 23 and 19 nM for JAK2(WT) and JAK2(V617F), and IC50 of 22 nM for FLT3.

Pacritinib (SB1518) selectivity against JAK1 and JAK3 (IC(50) = 1280 and 520 nM, respectively).

Pacritinib (SB1518) shows potent effects on cellular JAK/STAT pathways, inhibiting tyrosine phosphorylation on JAK2 (Y221) and downstream STATs.

Pacritinib (SB1518) has potent anti-proliferative effects on myeloid and lymphoid cell lines driven by mutant or wild-type JAK2 or FLT3, resulting from cell cycle arrest and induction of apoptosis.

Pacritinib (SB1518) significantly reduces splenomegaly and hepatomegaly in a JAK2(V617F)-driven disease model. Pacritinib (SB1518) dose-dependently inhibits intra-tumor JAK2/STAT5 signaling, leading to tumor growth inhibition in a subcutaneous model generated with SET-2 cells derived from a JAK2(V617F) patient with megakaryoblastic leukemia.

References

Hart S, et al. Blood Cancer J. 2011 Nov;1(11):e44.

William AD, et al. J Med Chem. 2011 Jul 14;54(13):4638-58.

Hart S, et al. Leukemia. 2011 Nov;25(11):1751-9.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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