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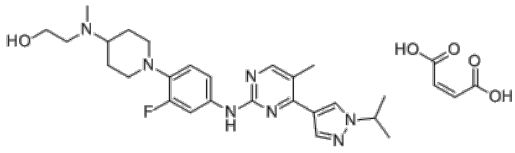
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Flonoltinib maleate
Cat. No.	:	PC-38006
CAS No.	:	2568842-57-7
Molecular Formula	:	$C_{29}H_{38}FN_7O_5$
Molecular Weight	:	583.665
Target	:	JAK
Solubility	:	10 mM in DMSO



Biological Activity

Flonoltinib maleate is a potent, highly selective, dual **JAK2/FLT3** inhibitor with IC50 of 0.8, 1.4, and 15 nM for JAK2, JAK2V617F, and FLT3, respectively.
Flonoltinib displays 650-900 folds more selectivity to JAK2 than JAK1 and JAK3, and ~80 folds greater selectivity for JAK2 over TYK2.
Flonoltinib binds to JH1, JH2, and JH2V617F of JAK2 with KD values of 20.9, 3.14 and 5.21 uM, respectively, demonstrates high inhibitory activity and selectivity for JAK2 JH2 protein.
Flonoltinib inhibits GM-CSF-induced p-STAT5, which involve JAK2/JAK2 signaling with IC50 of 0.12 uM, but not IFN-α-induced p-STAT1 (IC50>5 uM).|
Flonoltinib exhibits anti-proliferative IC50 values of <0.5 uM on JAK2V617F mutant cell lines, with stronger anti-proliferative activity in mutant (Ba/F3-JAK2V617F) cell lines (IC50=0.2 uM) than wild-type cells (Ba/F3-JAK2WT, IC50= 0.39 uM).
Flonoltinib also inhibits FLT3 mutant tumor cell lines with IC50 <0.1 uM.
Flonoltinib (15 and 30 mg/kg) demonstrates robust antitumor activity in Ba/F3-JAK2 V617F disease model. Flonoltinib also shows efficacy against JAK2V617F bone marrow transplantation (BMT) mouse model of myelofibrosis in vivo, orally active.

References

Hu M, et al. ***Blood Cancer J.*** 2022 Mar 7;12(3):37.

Zhu J, et al. ***Biomed Pharmacother.*** 2021 May;137:111373.

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