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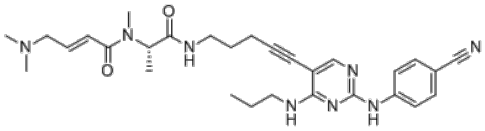
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	FF-10101
Cat. No.	:	PC-38618
CAS No.	:	1472797-69-5
Molecular Formula	:	$C_{29}H_{38}N_8O_2$
Molecular Weight	:	530.677
Target	:	FLT3
Solubility	:	10 mM in DMSO



Biological Activity

FF-10101 (FF10101) is a potent, selective, and irreversible FLT3 inhibitor with IC50 of 0.14 nM (FLT3 Wt), covalent binds to the C695 residue of FLT3.
FF-10101 potently inhibited the phosphorylation of FLT3-ITD than that of FLT3-ITD-C695S (IC50 values 2.4 nM and 79 nM, respectively).
FF-10101 potently and selectively inhibits the growth of mutant FLT3-expressing leukemia cells in vitro (MV4-11 c ell, IC50=0.83 nM), potently inhibits kinase activities of wild-type FLT3 and FLT3-D835Y with IC50 values of 0.20 nM and 0.16 nM, respectively.
FF-10101 demonstrated high kinase selectivity to wild-type FLT3 with >30-fold margins against the other kinases except for FMS and KIT with IC50 values of 0.94 nM and 2.0 nM, respectively.
FF-10101 showed growth inhibitory effects on all tested types of FLT3-TKD mutation- and FLT3-ITD with D835Y, Y842C, Y842H, or F691L mutation-expressing 32D cells with GI50 values from 0.43 nM to 6.1 nM.
FF-10101 (2, 5, and 10 mg/kg) demonstrated anti-leukemic effects in in vivo models, FF-10101 more potently inhibited the growth of tumors with FLT3-ITD-D835Y and FLT3-ITD-F691L than quizartinib.

References

Yamaura T, et al. Blood. 2018 Jan 25;131(4):426-438.

Ferng TT, et al. Mol Cancer Ther. 2022 Apr 8:OF1-OF11.

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