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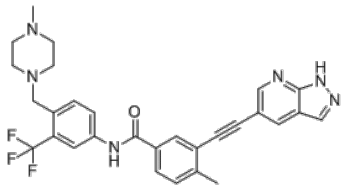
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Olverembatinib
Cat. No.	:	PC-38306
CAS No.	:	1257628-77-5
Molecular Formula	:	$C_{29}H_{27}F_3N_6O$
Molecular Weight	:	532.22
Target	:	Bcr-Abl
Solubility	:	



Biological Activity

Olverembatinib (HQP1351, GZD824) is an orally bioavailable multikinase inhibitor targeting a broad spectrum of mutant KIT kinases (KIT-V559D IC50=1. 4 nM); Olverembatinib also inhibits Bcr-Abl (WT) and Bcr-Abl (T315I) with IC50 of 0.34 nM and 0.68 nM, respectively. HQP1351 strongly inhibited wild-type KIT kinase and KIT kinases with primary mutations within exon 11 (L576P and V559D), with an inhibition rate of over 90% at 10 nM. HQP1351 showed strong inhibitory effect on KIT kinase with secondary mutations in the ATP-binding pocket (V559D/T670I and V559D/V654A). The inhibition rates on KIT with A-loop mutations (A829P, D816H and D816 V) were relatively weaker at 10 nM (20–40%). HQP1351 exhibited potent binding affinities to additional kinases at 10 nM, including BRAF (V600E), DDR1, FLT3, PDGFRB, RET (M918T), TAK1 and TIE2. HQP1351 shows antiproliferative activity in GIST cells with KIT mutations (GIST T1 cells IC50=27 nM), inhibits colony formation, cell migration and invasion, induces cell cycle arrest and cell apoptosis, regulates KIT oncogenic signaling proteins in vitro. HQP1351 exhibits antitumor activity in GIST xenograft models in vivo. Olverembatinib (HQP1351) also is a potent Omicron NTD-mediated cytokine release inhibitor.

References

Liu X, et al. Cell Biosci. 2019 Oct 26;9:88.

Fang DD, et al. Transl Oncol. 2022 Jan;15(1):101244.

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