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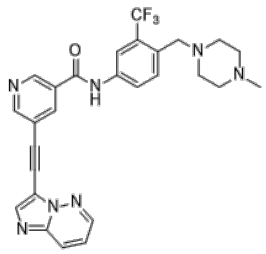
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HSN748
Cat. No.	:	PC-22539
CAS No.	:	2409925-53-5
Molecular Formula	:	$C_{27}H_{24}F_3N_7O$
Molecular Weight	:	519.53
Target	:	FLT3
Solubility	:	10 mM in DMSO



CAS: 2409925-53-5

Biological Activity

HSN748 is potent, selective, type II inhibitor of **FLT3** (Kd=0.15 nM) and **FLT3 mutants** (FLT3 D835Y, KD=1.4 nM), shows potently effective against drug-resistant secondary mutations of FLT3.
HSN748 preferentially binds the nonphosphorylated form of ABL (dissociation constant, or Kd = 0.29 nM) compared with the phosphorylated (active) enzyme (Kd = 0.74 nM).
HSN748 inhibits a panel of clinically relevant FLT3 mutations, including FLT3ITD–F691L and FLT3ITD–D835Y, with Kd in the sub-to-low nanomolar range with the exception of FLT3ITD–D835Y.
HSN748 potently inhibits cell growth of murine BaF3 cells expressing FLT3ITD (IC50=0.04 nM), FLT3ITD–F691L (gatekeeper mutation, IC50=1.52 nM), and FLT3ITD–D835Y (activation loop mutation).
HSN748 is superior in inhibiting FLT3 mutant–driven cell lines compared with all other FLT3 inhibitors tested in vitro.
HSN748 exhibits inhibitory effect on the tumor growth and survival advantage of MOLM14 and MOLM14-FLT3ITD–F691L-recipient mice.
HSN748 shows antileukemic activity against FLT3ITD in drug-resistant AML, relapsed/refractory AML, and in AML bearing a combination of epigenetic mutations of TET2 along with FLT3ITD.

References

Baskar Ramdas, et al. ***J Clin Invest.*** 2024 Jun 17;134(12):e169245.

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

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