

Data Sheet

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Target

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 G-749

 Cat. No.
 :
 PC-42981

 CAS No.
 :
 1457983-28-6

 Molecular Formula
 :
 C₂₅H₂₅BrN₆O₂

 Molecular Weight
 :
 521.409

Solubility : DMSO: 25 mg/mL

: FLT3

Biological Activity

Denfivontinib (G-749) is a potent, broad-spetrum **FLT-3** inhibitor with IC50 of 0.4 and 0.6 nM against wt FLT-3 and FLT3-D835Y mutant, respectively.

G-749 also shows potent and sustained inhibition of the FLT3 mutants including FLT3-ITD, FLT3-ITD/N676D, and FLT3-ITD/F691L in cellular assays.

G-749 also inhibits RTKs Ret, FLT1, AxI, Fms, FGFR-1/3 (IC50=9-30 nM), and Aurora A and B (IC50=6-24 nM) inhibits autophosphorylation of FLT3 with IC50 of <8 nM in FLT3-WT bearing RS4-11 and in FLT3-ITD harboring MV4-11 and Molm-14 cells.

G-749 shows potent antileukemic activity in patient blasts harboring FLT3-ITD, FLT3-TKD, and FLT3-ITD/TKD mutations through inhibition of pFLT3 and pERK1/2 both in vitro and in vivo, overcomes drug resistance of AC220 and/or PKC412.

References

Lee HK, et al. *Blood*. 2014 Apr 3;123(14):2209-19.

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

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