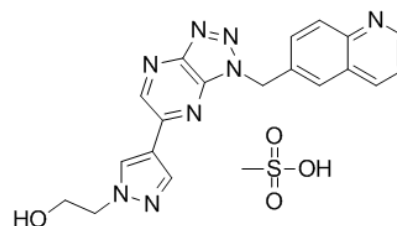


Product Name : PF-04217903 methanesulfonate
Cat. No. : PC-42833
CAS No. : 956906-93-7
Molecular Formula : C₂₀H₂₀N₈O₄S
Molecular Weight : 468.489
Target : c-Met (HGFR)
Solubility : 10 mM in DMSO



Biological Activity

PF-04217903 is a potent, selective, ATP-competitive c-Met kinase inhibitor with K_i of 4.8 nM, inhibits HGF-stimulated tyrosine phosphorylation of wild type c-Met with IC_{50} of 7.3 nM in cell-based assays; shows similar potency for inhibition of c-Met phosphorylation in mIMCD3 mouse epithelial cells (IC_{50} =6.9 nM) and MDCK cell (IC_{50} =9.5 nM); also exhibits inhibitory activities against c-Met mutations including V1092I, H1094R, M1250T, R988C, and T11010I (IC_{50} =530 nM), but has little to no activity against mutants Y1230C and Y1235D; inhibits tumor cell proliferation, survival, migration/invasion both in vitro and in vivo.

Solid Tumors

Phase 1 Discontinued

References

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Cui JJ, et al. J Med Chem. 2012 Sep 27;55(18):8091-109.

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

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