

Data Sheet

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 Product Name
 :
 SAR 125844

 Cat. No.
 :
 PC-60463

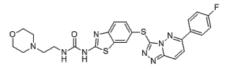
 CAS No.
 :
 1116743-46-4

 Molecular Formula
 :
 C₂₅H₂₃FN₈O₂S₂

Molecular Weight : 550.631

Target : c-Met (HGFR)

Solubility : 10 mM in DMSO



Biological Activity

SAR 125844 is a potent, highly selective, ATP-competitive **MET** receptor tyrosine kinase inhibitor with IC50 of 4.2 nM. SAR 125844 also inhibits H1094Y, Y1235D, M1250T, L1195V, and D1228H mutants with IC50 of 0.22, 1.7, 6.5, 65, and 81 nM, respectively.

SAR 125844 displays >100-fold selectivity over RON, and good selectivity in a panel of 275 human kinases.

SAR 125844 inhibits phosphorylation of wild-type MET, M1250T, Y1235D, and L1195V MET mutants with IC50 of 8.8, 30, 179, and 591 nM, respectively.

selectively inhibits proliferation in cells with MET gene amplification, promotes apoptosis and inhibits HGF-mediated tumor cell migration; displays potent antitumor activity in vivo models.

References

Egile C, et al. Mol Cancer Ther. 2015 Feb;14(2):384-94.

Ugolini A, et al. J Med Chem. 2016 Aug 11;59(15):7066-74.

Shitara K, et al. Oncotarget. 2017 Jun 16;8(45):79546-79555.

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

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