

S49076

Catalog No: tcsc6191

 \checkmark Available Sizes

 Size: 2mg

 Size: 5mg

 Size: 10mg

 Size: 50mg

 Size: 100mg

 \checkmark Specifications

 CAS No: 1265965-22-7

 Formula: $C_{22}H_{22}N_4O_4S$

Pathway: Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target: c-Met/HGFR;FGFR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 31 mg/mL (70.70 mM)

Observed Molecular Weight:

438.5

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Product Description

S49076 is a novel, potent inhibitor of MET, AXL/MER, and FGFR1/2/3 with IC₅₀ values below 20 nM.

IC50 & Target: IC50:18 nM (FGFR1), 17 nM (FGFR2), 15 nM (FGFR3), 1 nM (MET), 7 nM (AXL), 2 nM (MER)^[1]

In Vitro: S49076 potently blocks cellular phosphorylation of MET, AXL, and FGFRs and inhibits downstream signaling. S49076 inhibits the proliferation of MET- and FGFR2-dependent gastric cancer cells, blocks MET-driven migration of lung carcinoma cells, and inhibits colony formation of hepatocarcinoma cells expressing FGFR1/2 and AXL. Total inhibition of MET phosphorylation is seen after 2 hours of incubation with 10 nM S49076 and an with an IC₅₀ of 2 nM. S49076 inhibits MET phosphorylation on this site in GTL-16 gastric carcinoma cells with an IC₅₀ value of 3 nM. The IC₅₀ for AXL inhibition by S49076 is 56 nM. S49076 inhibits AXL signaling via AKT with an IC₅₀ of 33 nM^[1].

In Vivo: In tumor xenograft models, a good pharmacokinetic/pharmacodynamic relationship for MET and FGFR2 inhibition following oral administration of S49076 is established and correlated well with impact on tumor growth. MET, AXL, and the FGFRs have all been implicated in resistance to VEGF/VEGFR inhibitors such as bevacizumab. Combination of S49076 with bevacizumab in colon carcinoma xenograft models leads to near total inhibition of tumor growth. S49076 alone caused tumor growth arrest in bevacizumab-resistant tumors^[1].



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