

SGX-523

Catalog No: tcsc0154



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1022150-57-7

Formula:

$C_{18}H_{13}N_7S$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

c-Met/HGFR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 3.6 mg/mL (10.02 mM)

Observed Molecular Weight:

359.41

Product Description

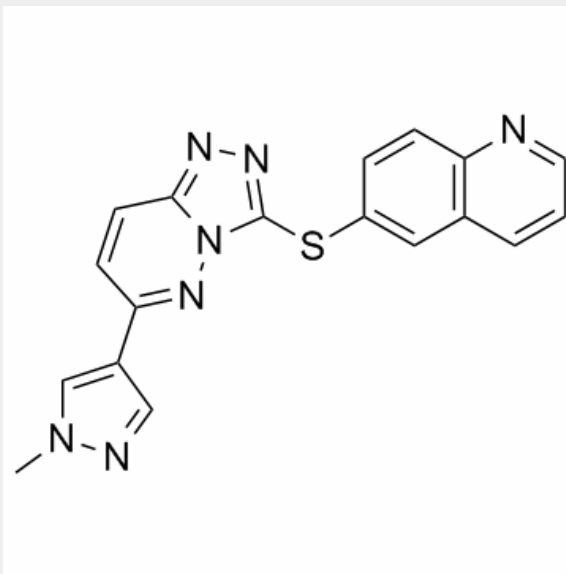
SGX-523 is a selective Met inhibitor with IC₅₀ of 4 nM, no activity to BRAFV599E, c-Raf, Abl and p38 α .

IC₅₀ value: 4 nM [1]

Target: Met

in vitro: SGX-523 belongs to the class of c-Met/hepatocyte growth factor receptor (HGFR) tyrosine kinase inhibitors. SGX-523 stabilizes MET in a unique inactive conformation that is inaccessible to other protein kinases, suggesting an explanation for its selectivity. SGX523 potently inhibits the purified MET catalytic domain but not the closely related receptor tyrosine kinase RON. SGX523 indicates ATP-competitive inhibition with higher apparent affinity for the less active, unphosphorylated form of MET [MET-KD(OP), with a K_i of 2.7 nM] versus the more active phospho-enzyme [MET-KD(3P), with a K_i of 23 nM], a phenomenon consistent with preferential binding to an inactive enzyme conformation. SGX523 inhibits MET-mediated signaling, cell proliferation and cell migration at nanomolar concentrations but had no effect on signaling dependent on other protein kinases, including the closely related RON, even at micromolar concentrations [1].

in vivo: SGX523 significantly retards the growth of preestablished GTL16 tumors when administered orally at doses of ≥ 10 mg/kg twice daily. SGX523 potently inhibits U87MG tumor growth; at 30 mg/kg dosed twice daily, SGX523 leads to clear regression of U87MG tumors. SGX523, dosed twice daily at 30 mg/kg, also retards the growth of H441 tumors with concomitant reduction in tumor MET autophosphorylation levels. SGX523 inhibition of MET in vivo is associated with the dose-dependent inhibition of growth of tumor xenografts derived from human glioblastoma, lung and gastric cancers, confirming the dependence of these tumors on MET catalytic activity [1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!