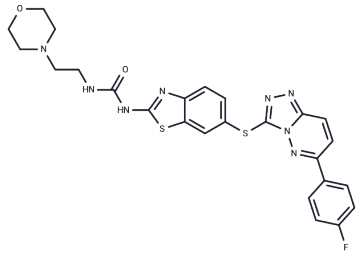
Data Sheet (Cat.No.T5467)

TargetMol
YOUR TARGET MOLECULES

SAR125844

Chemical Properties



CAS No. : 1116743-46-4

Formula: C25H23FN8O2S2

Molecular Weight: 550.63

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	SAR125844, a potent and highly selective inhibitor of the MET receptor tyrosine kinase (RTK), for intravenous administration. (IC50 value of 4.2 nmol/L)
Targets(IC50)	Apoptosis,c-Met/HGFR
In vitro	SAR125844 inhibits MET autophosphorylation in cell-based assays in the nanomolar range, and promotes low nanomolar proapoptotic and antiproliferative activities selectively in cell lines with MET gene amplification or pathway addiction.
Kinase Assay	SAR125844 was preincubated at room temperature with each enzyme for 30 minutes in a buffer containing 10 mmol/L MOPS-NaOH pH 7.0, 0.01% Tween 20, and 1 mmol/L dithiothreitol. The enzymatic reactions were initiated by the addition of a mix of 1 ng/μL of a biotinylated poly(glutamate-alanine-tyrosine) peptide ATP and MgCl2. After 5-minute incubation at room temperature, the reactions were stopped by the addition of anti-phosphotyrosine monoclonal antibody (mAb) PT-66 -Europium cryptate and streptavidin 61SAXLB. After two hours at room temperature, the emission signals at 620 and 665 nm were recorded with a GENios reader, with an excitation wavelength of 320 nm. The percentage of inhibition versus nontreated sample was estimated using the emission ratios at 665/620 nm.
Cell Research	MKN-45, Hs 746T, and SNU-5 cells were seeded in poly d-lysine 96-well plates in complete medium.?Plates were incubated with increasing SAR125844 concentrations for 1 hour, cell lysates were generated using standard procedures and pMETY1230/1234/1235 level evaluated.?IC50 values were calculated using the Biost@t-SPEED internal software and a 4-parameter logistic model
Animal Research	Long duration of MET kinase inhibition up to 7 days was achieved with a nanosuspension formulation of SAR125844.?Daily or every-2-days intravenous treatment of SAR125844 promoted a dose-dependent tumor regression in MET-amplified human gastric cancer models at tolerated doses without treatment-related body weight loss.

Solubility Information

Solubility	DMSO: 45 mg/mL (81.72 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8161 mL	9.0805 mL	18.161 mL
5 mM	0.3632 mL	1.8161 mL	3.6322 mL
10 mM	0.1816 mL	0.9081 mL	1.8161 mL
50 mM	0.0363 mL	0.1816 mL	0.3632 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Egile C, et al. The selective intravenous inhibitor of the MET tyrosine kinase SAR125844 inhibits tumor growth in MET-amplified cancer. Mol Cancer Ther. 2015 Feb;14(2):384-94.