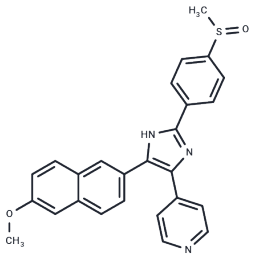
Data Sheet (Cat.No.T6335)

TargetMol

Tie2 kinase inhibitor 1

Chemical Properties



CAS No. : 948557-43-5

Formula: C26H21N3O2S

Molecular Weight: 439.53

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tie2 kinase inhibitor 1 (Tie2 kinase inhibitor), an optimized compound of SB-203580, is selective to Tie2 with IC50 of 0.25 μM, which is 200-fold more effective than p38.
Targets(IC50)	Tie-2
In vitro	In HEL cells, Tie2 kinase inhibitor 1（IC50=232 nM） displays medium cellular activities. Tie2 kinase inhibitor 1 shows a medium inhibitory activity against Tie2 tyrosine kinase 1. Tie2 kinase inhibitor 1 is more specific for Tie2 than p38 (IC50=50 μM), and is a >10-fold specificity than VEGFR2, VEGFR3, and PDGFR1β.
In vivo	In a matrigel mouse model of angiogenesis, Tie2 kinase inhibitor 1 reduces angiogenesis. At doses of 25 and 50 mg/kg (i.p., b.i.d) for Tie2 kinase inhibitor 1, results on a reduction of 41% and 70% of angiogenesis, respectively. In a MOPC-315 plasmacytoma xenograft model, Tie2 kinase inhibitor treatment results in a modest dose-dependent delay in tumor growth.
Kinase Assay	Enzymatic HDAC activity assays: 40 μL enzyme buffer (15 mM Tris HCl pH 8.1, 0.25 mM EDTA, 250 mM NaCl, 10% v:v glycerol) containing HDAC1, 3, 6 or 8 activity, 29 μL enzyme buffer and 1 μL resminostat at different concentrations are added to a 96-well microtitre plate and the reaction started by the addition of 30μL substrate peptide Ac-NH-GGK(Ac)-AMC (HDAC1, 3 and 6 assays, final concentrations 6 μM for HDAC1, 10 μM for HDAC6 and 25 μM for HDAC3/DAD) or Ac-RHK(Ac)K(Ac)-AMC (HDAC8 assay, final concentration 50 μM). After incubation for 3 hours (HDAC1, HDAC6, HDAC8) or 2 hours (HDAC3) at 30°C, the reaction is terminated by the addition of 25 μL stop solution (50 mM Tris HCl pH 8, 100 mM NaCl, 0.5 mg/mL trypsin and 2 μM trichostatin A [TSA]). After incubation at room temperature for further 40 min, fluorescence is measured using a multilabel counter (extinction 355 nm, emission 460 nm) for quantification of AMC generated by tryptic cleavage of the deacetylated peptide. For the calculation of the IC50, the fluorescence in wells without test compound (1% DMSO, negative control) is set as 100% enzymatic activity and the fluorescence in wells with 2 μM TSA (positive control) is set at 0% enzymatic activity (background fluorescence substracted).
Animal Research	In MOPC-315 plasmacytoma xenograft model, Tie2 kinase inhibitor 1(≤50 mg), which is dissolved in 5% EtOH+5%cremophor+90% water, is injected intraperitoneally.

Solubility Information

Solubility	DMSO: 13.51 mg/mL (30.74 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.28 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2752 mL	11.3758 mL	22.7516 mL
5 mM	0.455 mL	2.2752 mL	4.5503 mL
10 mM	0.2275 mL	1.1376 mL	2.2752 mL
50 mM	0.0455 mL	0.2275 mL	0.455 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Semones M, et al. Bioorg Med Chem Lett, 2007, 17(17), 4756-4760.