Product Data Sheet

Product Name: EG01377
Cat. No.: GC33272

Chemical Properties

Cas. No.	2227996-00-9		
SMILES	O=S(C1=CC(C2=CC=C(CN)C=C2)=CC3=C1OCC3)(NC4=C(C(N[C@@H](CCC/N=C(N)/N)C(O)=O)=O)SC=C4)=O		
Formula	$C_{26}H_{30}N_6O_6S_2$	M.Wt	586.68
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	EG01377		

Protocol

Kinase experiment: The 96-well plates are precoated with NP1 protein at 3 μg/mL overnight at 4°C. On the following day, the plates are treated with blocking buffer (PBS containing 1% BSA) and washed three times with wash buffer (PBS containing 0.1% Tween-20). The various concentrations of compounds (EG01377, etc.) diluted in PBS containing 1% DMSO are added, followed by addition of 0.25 nM of bt-VEGF-A165. After 2 h of incubation at room temperature, the plates are washed three times with wash buffer. The bound bt-VEGF-A165 to NP-1 is detected by streptavidin-horseradish peroxidase conjugates and the enzyme substrate, and measured using a plate reader at A450 nm with a reference wavelength at A595 nm. Nonspecific binding is determined in the absence of NP-1 coated wells of the plates[1].

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References:

[1]. Powell J, et al. Small Molecule Neuropilin-1 Antagonists Combine Antiangiogenic and Antitumor Activity with Immune Modulation through Reduction of Transforming Growth Factor Beta (TGFβ) Production in Regulatory T-Cells. J Med Chem. 2018 May 10;61(9):4135-4154.

Background

EG01377 is a neuropilin-1 (NRP1) antagonist, with a Kd of 1.32 μM for NRP1-b1, and IC50s of both 609 nM for NRP1-a1 and NRP1-b1, but shows no effect on NRP2; EG01377 has antiangiogenic, antimigratory, and antitumor effects.

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[1]. Powell J, et al. Small Molecule Neuropilin-1 Antagonists Combine Antiangiogenic and Antitumor Activity with Immune Modulation through Reduction of Transforming Growth

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