Data Sheet (Cat.No.TQ0080)

SU14813 maleate

Chemical Properties

CAS No.:	849643-15-8
Formula:	C27H31FN4O8
Molecular Weight:	558.56
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	SU14813 maleate is an inhibitor of multi-targeted receptor tyrosine kinases (IC50s: 2, 50, 4, 15 nM for VEGFR1, VEGFR2, PDGFRβ, and KIT).
In vitro	SU14813 inhibits cellular ligand-dependent phosphorylation of VEGFR-2 (transfected NIH 3T3 cells), PDGFR-β (transfected NIH 3T3 cells), KIT (Mo7e cells), and FLT3-internal tandem duplication (FLT3-ITD; MV4;11 cells) as well as FMS/CSF1R (transfected NIH 3T3 cells). SU14813 inhibits VEGFR-2, PDGFR-β, and KIT phosphorylation in porcine aorta endothelial cells overexpressing these targets, with cellular IC50 values of 5.2, 9.9, and 11.2 nM, respectively. SU14813 inhibits the growth of U-118MG (IC50: 50-100 nM).
In vivo	SU14813 inhibits VEGFR-2, PDGFR-β, and FLT3 phosphorylation in xenograft tumors in a dose- and time-dependent fashion. The plasma concentration required for in vivo target inhibition is estimated to be 100 to 200 ng/mL. Used as monotherapy, SU14813 exhibits broad and potent antitumor activity resulting in regression, growth arrest, or substantially reduced growth of various established xenografts derived from human or rat tumor cell lines.
Cell Research	Passages 4 to 5 human umbilical vein endothelial cells are grown to subconfluency in EGM2 medium containing 10% FBS, endothelial cell growth supplement, and 10 μg/mL sodium heparin. The cells are seeded in 96-well plates at 10,000 per well in F12K medium and 10% FBS. The next day, cells are starved for 18 hours in F12K+1% FBS and then incubated with SU14813 in various concentrations. 45 minutes later, 20 ng/mL growth factor [VEGF or basic fibroblast growth factor (bFGF)] is introduced into the assay. Three days later, cell numbers are determined using the MTT assay.
Animal Research	SU14813 is evaluated for its efficacy and synergism in combination with the microtubule inhibitor docetaxel in docetaxel-resistant murine LLC model. SU14813 is administered p.o. twice daily (BID) at doses of 10, 40, 80, or 120 mg/kg beginning on day 5 after tumor implantation. Docetaxel 40 mg/kg (mouse maximum tolerated dose) is administered i.v. thrice-weekly also beginning on day 5 after tumor implantation.

Solubility Information

Solubility	DMSO: 100 mg/mL (179.03 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.79 mL	8.952 mL	17.903 mL
5 mM	0.358 mL	1.79 mL	3.581 mL
10 mM	0.179 mL	0.895 mL	1.79 mL
50 mM	0.036 mL	0.179 mL	0.358 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Patyna S, et al. SU14813: a novel multiple receptor tyrosine kinase inhibitor with potent antiangiogenic and antitumor activity. Mol Cancer Ther. 2006 Jul;5(7):1774-82.

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