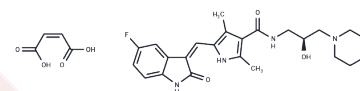


SU14813 maleate

Chemical Properties

CAS No. :	849643-15-8
Formula:	C ₂₇ H ₃₁ FN ₄ O ₈
Molecular Weight:	558.56
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	SU14813 maleate is an inhibitor of multi-targeted receptor tyrosine kinases (IC ₅₀ s: 2, 50, 4, 15 nM for VEGFR1, VEGFR2, PDGFR β , and KIT).
Targets(IC ₅₀)	c-Kit, PDGFR, VEGFR
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 IC ₅₀ values of 5.2, 9.9, and 11.2 nM, respectively. SU14813 inhibits the growth of U-118MG (IC ₅₀ : 50-100 nM).
In vivo	'SU14813 inhibits VEGFR-2, PDGFR- β , and FLT3 phosphorylation in xenograft tumors in a dose- and time-dependent manner. The plasma concentration required for in vivo target inhibition is estimated to be 100 to 200 ng/mL. As monotherapy, SU14813 demonstrates broad and potent antitumor activity, causing 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 μ g/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

A DRUG SCREENING EXPERT

Solubility	DMSO: 100 mg/mL (179.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (5.91 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7903 mL	8.9516 mL	17.9032 mL
5 mM	0.3581 mL	1.7903 mL	3.5806 mL
10 mM	0.179 mL	0.8952 mL	1.7903 mL
50 mM	0.0358 mL	0.179 mL	0.3581 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

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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