

Tafetinib

Chemical Properties

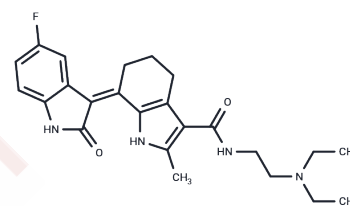
CAS No. : 1032265-57-8

Formula: C₂₄H₂₉FN₄O₂

Molecular Weight: 424.51

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	Tafetinib (SIM-010603) is a novel potent and orally available tyrosine kinase inhibitor with anti-angiogenic and anti-tumour activity.
Targets(IC50)	c-RET,c-Kit,Tyrosine Kinases,VEGFR
In vivo	Tafetinib, a promising multi-targeted receptor tyrosine kinase (RTK) inhibitor, is now being considered for evaluation in a phase clinical trial. In this work, the subchronic toxicity of Tafetinib in SD rats and beagle dogs has been characterized. Rats and dogs received Tafetinib orally (0-20 and 0-10mg/kg/day, respectively) on a consecutive daily dosing schedule for 28 days following a 14-day recovery period. Sunitinib was used as a positive control. The No Observed Adverse Effect Level (NOAEL) of Tafetinib was 5mg/kg/day for rats, and undefined for dogs. The treatment resulted in unscheduled mortality in dogs receiving 10mg/kg of Tafetinib or Sunitinib. The adverse effects of Tafetinib on rats and dogs mainly included gastrointestinal toxicity, skeletal toxicity, myelosuppression, thymus atrophy, bronchopneumonia, cardiovascular dysfunction, and pancreatic toxicity. Similar observations have also been noted with this class of RTK signaling inhibitors and are consistent with pharmacologic perturbations of physiologic/angiogenic processes associated with the intended molecular targets. Most treatment-induced effects were reversible or showed ongoing recovery upon discontinuation of treatment. Tafetinib has shown a comparable toxicity effect on beagle dogs, while better tolerability on SD rats when compared to Sunitinib.[2]

Solubility Information

Solubility	DMSO: < 1 mg/mL (insoluble or slightly soluble) H ₂ O: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3557 mL	11.7783 mL	23.5566 mL
5 mM	0.4711 mL	2.3557 mL	4.7113 mL
10 mM	0.2356 mL	1.1778 mL	2.3557 mL
50 mM	0.0471 mL	0.2356 mL	0.4711 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

Shao F, et al. Simultaneous quantification of tafetinib (SIM010603), a novel potent inhibitor of receptor tyrosine kinase, and its major metabolite in dog plasma by HPLC-ESI/MS/MS and its application to a pharmacokinetic study. *J Pharm Biomed Anal.* 2013 Jul-Aug;81-82:50-5.

Mao Y, et al. Evaluation of subchronic toxicity of SIM010603, a potent inhibitor of receptor tyrosine kinase, after 28-day repeated oral administration in SD rats and beagle dogs. *Food Chem Toxicol.* 2012 May;50(5):1256-70.

Wang D, et al. Preclinical anti-angiogenesis and anti-tumor activity of SIM010603, an oral, multi-targets receptor tyrosine kinases inhibitor. *Cancer Chemother Pharmacol.* 2012 Jan;69(1):173-8

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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