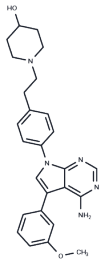
Data Sheet (Cat.No.T30855)

TargetMol

CGP77675

Chemical Properties



CAS No. : 234772-64-6

Formula: C26H29N5O2

Molecular Weight: 443.54

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	CGP77675 (ZINC1488120) is a potent and selective inhibitor of Src family kinase with IC50s of 5-20 and 40 nM for the phosphorylation of peptide substrates and autophosphorylation of purified Src. CGP77675 exhibits anticancer activity.
Targets(IC50)	EGFR,Bcr-Abl,Src,VEGFR
In vitro	CGP77675 inhibits Src, EGFR, KDR, v-Abl, and Lck with IC50s of 20, 150, 1000, 310, and 290 nM, respectively. CGP77675 dose-dependently inhibits phosphorylation of poly-Glu-Tyr (IC50 = 5.5 nM), and of the optimal Src substrate (OSS) peptide (IC50 = 16.7 nM). In rat fetal long bone cultures, CGP77675 inhibits the parathyroid hormone-induced bone resorption (IC50 = 0.8 μM). In Src-overexpressing IC8.1 cells, CGP77675 (0.04-10 μM) dose-dependently inhibits phosphorylation of Fak (IC50 = 0.2 μM) and paxillin(IC50 = 0.5 μM), but not of Src(IC50 = 5.7μM)[3].
In vivo	In female rats of the Sprague-Dawley-derived strain Tif:RAlf, CGP77675 (10 and 50 mg/kg;orally) partially prevents bone loss and rescues bone microarchitectural features. In male mice, CGP77675 (1, 5, and 25 mg/kg; s.c.) inhibits IL-1β-induced hypercalcemia without affecting serum amyloid protein levels[3].

Solubility Information

Solubility	DMSO: 72.5 mg/mL (163.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2.5 mg/mL (5.64 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	2.2546 mL	11.2729 mL	22.5459 mL
5 mM	0.4509 mL	2.2546 mL	4.5092 mL
10 mM	0.2255 mL	1.1273 mL	2.2546 mL
50 mM	0.0451 mL	0.2255 mL	0.4509 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

Breitenlechner CB, et al. Crystal structures of active SRC kinase domain complexes. J Mol Biol. 2005 Oct 21;353(2):222-31.

Zhang Q, et al. Inhibition of Src kinases combined with CD40 ligand blockade prolongs murine cardiac allograft survival. Transplantation. 2005 Oct 27;80(8):1112-20.

Missbach M, et al. A novel inhibitor of the tyrosine kinase Src suppresses phosphorylation of its major cellularsubstrates and reduces bone resorption in vitro and in rodent models in vivo. Bone. 1999 May;24(5):437-49.

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