Data Sheet (Cat.No.T30855L)

TargetMol

CGP77675 hydrate

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

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 hydrate is an orally active, potent inhibitor of Src family kinases. It inhibits the phosphorylation of peptide substrates and autophosphorylation of purified Src (IC50: 5-20 and 40 nM, respectively), as well as Src, EGFR, KDR, v-Abl, and Lck with IC50s of 0.02, 0.15, 1.0, 0.31, and 0.29 μM, respectively. CGP77675 hydrate may be useful for treating diseases associated with elevated bone loss and has anticancer activity [1].
Targets(IC50)	Others,Src
In vitro	CGP77675 hydrate effectively suppresses phosphorylation of poly-Glu-Tyr and the optimal Src substrate (OSS) peptide with IC50 values of 5.5 nM and 16.7 nM, respectively, aligning closely with chicken Src inhibition (20 nM). It also prevents parathyroid hormone-driven bone resorption in rat fetal long bone cultures at a 0.8 μM IC50. In IC8.1 cells exhibiting Src overexpression, CGP77675 hydrate (0.04-10 μM; 2 hours) significantly reduces tyrosine phosphorylation of Src substrates Fak and paxillin with IC50 values of 0.2 and 0.5 μM, respectively, while having a lesser impact on Src itself (5.7 μM). Viability tests on MC3T3-E1 cells treated with 0.2, 1, and 5 μM for three days show no adverse effects on cell health. Additionally, western blot analysis reveals a dose-dependent inhibition of Fak and paxillin phosphorylation without affecting Src in Src-overexpressing IC8.1 cells.
In vivo	CGP77675 hydrate administered subcutaneously at dosages of 1, 5, and 25 mg/kg twice daily effectively inhibits interleukin-1β (IL-1β)-induced hypercalcemia in male mice (Tif: MAGf; Novartis Animal Farm) weighing 25-30 g, without impacting serum amyloid protein levels [1]. Additionally, when given orally at doses of 10 and 50 mg/kg twice daily for six weeks to eight-week-old female Sprague-Dawley-derived Tif:RAlf rats (175-209 g), it partially prevents bone loss and improves bone microarchitecture in young ovariectomized (ovx) rats, showcasing its potential in preserving bone health [1].

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