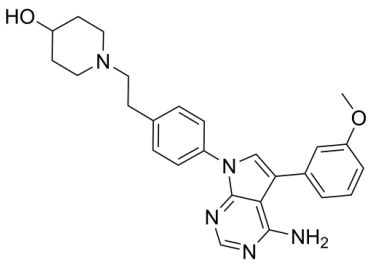
Data Sheet

Product Name:	CGP77675
Cat. No.:	CS-0054689
CAS No.:	234772-64-6
Molecular Formula:	$C_{26}H_{29}N_5O_2$
Molecular Weight:	443.54
Target:	Src
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 26.0 mg/mL (58.62 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

CGP77675 is an orally active and potent inhibitor of **Src** family kinases. CGP77675 inhibits phosphorylation of peptide substrates and autophosphorylation of purified Src (**IC_{50}**s of 5-20 and 40 nM, respectively), and also inhibits Src, EGFR, KDR, v-Abl, and Lck with **IC_{50}**s of 5-20, 40, 20, 150, 1000, 310, and 290 nM, respectively. Anticancer activity[1]. IC50 & Target: IC50: 0.02 μM (Src), 0.15 μM (EGFR), 1.0 μM (KDR), 0.31 μM (v-Abl), 0.29 μM (Lck)[1] ***In Vitro:*** CGP77675 dose dependently inhibits phosphorylation of poly-Glu-Tyr with an IC_{50} value of 5.5 nM, and of the optimal Src substrate (OSS) peptide with an IC_{50} value of 16.7 nM. These IC_{50} values are similar to the value obtained with chicken Src (20 nM)[1].

CGP77675 inhibits the parathyroid hormone-induced bone resorption in rat fetal long bone cultures with an IC_{50} of 0.8 μM[1].

CGP77675 (0.04-10 μM; 2 hours) potently inhibits tyrosine phosphorylation of the Src substrates Fak and paxillin, but has much less effect on Src (IC_{50} values 0.2, 0.5, and 5.7μM) in IC8.1 cells[1]. ***In Vivo:*** CGP77675 (1, 5, and 25 mg/kg; injected s.c.; twice a day) inhibits IL-1β-induced hypercalcemia in Mice[1].

CGP77675 (10 and 50 mg/kg; administered orally; twice a day for 6 weeks) partially prevents bone loss and rescues bone microarchitectural features in young ovx rats[1].

References:

[1]. 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.

CAIndexNames:

4-Piperidinol, 1-[2-[4-[4-amino-5-(3-methoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]phenyl]ethyl]-

SMILES:

OC1CCN(CCC2=CC=C(N3C=C(C4=CC=CC(OC)=C4)C5=C(N)N=CN=C53)C=C2)CC1

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