For Research Use Only

CGP-53716

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Catalog Number: CM05814

产品信息

Catalog Number:
CM05814

CAS号:
152459-94-4

分子式:
$C_{23}H_{19}N_5O$

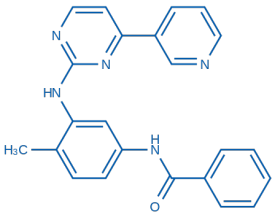
主要靶点:
PDGFR

主要通路:
Protein Tyrosine Kinase/RTK

分子量:
381.4299

MDL NO:
MFCD00945675

Pubchem ID:
9864718.0



描述

The growth factors, platelet-derived growth factor (PDGF) and basic fibroblast growth factor (bFGF) play major roles in enhanced smooth muscle cells growth in rodent blood vessels after vascular injury. Tyrosine kinase inhibition has been shown to be effective in blocking tyrosine phosphorylation at the PDGF and bFGF receptors in cultured fibroblast and vascular smooth muscle cells which in turn inhibits their proliferation[1]. CGP 53716 is a specific PDGFR tyrosine kinase inhibitor on SMC (smooth muscle cell) proliferation and migration in vitro and in neointimal formation *in vivo*[3]. CGP 53716 inhibited serum-induced cell growth in RASMC (rat aortic smooth muscle cells). And it completely blocked PDGF-BB tyrosine receptor autophosphorylation in RASMC and 3T3 cells, PDGF-BB-induced phosphorylation of mitogen-activated protein kinase at 1 μM in RASMC and inhibited PDGF-BB-induced c-Fos protein expression at 1 μM in RASMC; consistent with inhibition of PDGF-BB-induced DNA synthesis. Further, CGP 53716 inhibited PDGF-BB-, bFGF- and EGF-induced DNA synthesis in a concentration-dependent manner in each cell line. And it showed a 2- to 4-fold selectivity for PDGF-BB-stimulated DNA synthesis over bFGF or EGF in RASMC or 3T3 cells[1]. CGP 53716 inhibited dose dependently tyrosine phosphorylation of both the known PDGFRs: the PDGFR-α and PDGFR-β. After rat carotid artery ballooning injury *in vivo*, the migration of alpha-actin-positive cells on the luminal side of internal elastic lamina was decreased with 50 mg/kg/day of CGP 53716 from 38 ± 10 (control group) to 4 ± 2. Intima/media ratio was inhibited by 40% after 14 days in the CGP 53716-treated group (P=0.028) after rat aortic denudation[3].

储存

储存条件:

粉末	-20°C	3年
液体	-80°C	1年

运输条件:
Shipped in cold pack

参考文献

1 Inhibition of cell growth: effects of the tyrosine kinase inhibitor CGP 53716

2 Buchdunger E, Zimmermann J, et al. Selective inhibition of the platelet-derived growth factor signal transduction pathway by a protein-tyrosine kinase inhibitor of the 2-phenylaminopyrimidine class. Proc Natl Acad Sci U S A. 1995 Mar 28;92(7):2558-62.

3 Inhibition of platelet-derived growth factor receptor tyrosine kinase inhibits vascular smooth muscle cell migration and proliferation