For Research Use Only Tyrphostin AG 879



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Catalog Number: CM04458

产品信息

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CM04458 CAS号:

148741-30-4 分子式: C₁₈H₂₄N₂OS

主要靶点:

Apoptosis|EGFR|PDGFR|HER|Trk

receptor

JAK/STAT信号通路|凋亡|蛋白酪氨酸激酶|血管生成

分子量: 316.46 溶解度:

DMSO:31.7 mg/mL (100 mM),Ethanol:7.9 mg/mL (25 mM) H₃C CH₃

CH₃

靶点活性

HER2/ErbB2:1 µ M

体外活性

AG879 inhibits growth of FET6 $^{\rm q}$ S26X cells in a concentration-dependent manner. [1] AG879(10 nM) blocks the activation of PAK1 and suppresses RAS-induced malignant transformation of NIH 3T3 cells. AG879(<1 $^{\rm p}$ M) inhibits the Tyr-phosphorylation of ERK and its association with PAK1 in v-Ha-RAS-transformed NIH 3T3 fibroblasts. [2] AG 879 dose-dependently reduce MCF-7 cell numbers and show already a significant effect at 0.4 mM through inhibiting DNA synthesis and mitotic. AG 879(<20 $^{\rm p}$ M) inhibits activation of ERK-1/2 in MCF-7 cell. AG 879(5 $^{\rm p}$ M) decreases expression of Hsp90 client proteins RAF-1 and HER-2. [3] AG879(20 $^{\rm p}$ M) dramatically decreases proliferation with a variable increase in apoptosis in Cell lines from human leiomyosarcoma (HTB-114, HTB-115, HTB-88), rhabdomyosarcoma (HTB-82, TE-671), prostatic adenocarcinoma (PC-3), acute promyelocytic leukemia (HL-60) and histiocytic lymphoma (U-937). [4]

体内活性

AG879(2 mg) induces a decrease in cancer growth in athymic NOD/SCID mice grafted with HTB-114 or HL-60. [4] AG 879(20 mg/kg) treatment keeps 50% of mice absolutely free of RAS-induced sarcomas, and dramatically reduces the size of the growing sarcomas in the nude mice carrying v-Ha-RAS transformed NIH 3T3 cells. [5]

细胞实验

Cells are grown in 96-well plates containing 100 & mu;L medium per well. Ten microliters of MTT solution (5 mg/ml in PBS) is added to each well and incubation continued for 4 h at 37 °C. Subsequently, 100 & mu;L 10% SDS in 0.01 M HCl is added. After incubation at 37& deg;C overnight, absorption is measured at 550 nm in an ELISA reader using a reference filter of 690 nm.(Only for Reference)

描述

Tyrphostin AG 879 effectively inhibits HER2/ErbB2 (IC50: 1 $\,\mu$ M), 100- and 500-fold higher selective to ErbB2 than EGFR and PDGFR.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years