For Research Use Only

Semaxinib

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Catalog Number: CM06871

产品信息

Catalog Number:
CM06871

CAS号:
204005-46-9

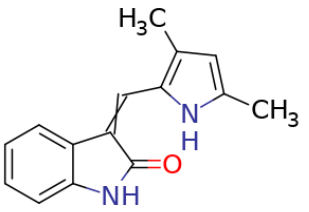
分子式:
$C_{15}H_{14}N_2O$

主要靶点:
VEGFR

主要通路:
蛋白酪氨酸激酶|血管生成

分子量:
238.28

溶解度:
DMSO:2.38 mg/mL (10 mM)



靶点活性

VEGFR2:1.23 μM

体外活性

SU5416 inhibits VEGF-driven mitogenesis in a dose-dependent manner with an IC50 of 0.04±0.02 μM (n=3). In contrast, SU5416 blocked FGF-dependent mitogenesis of HUVECs with an IC50 of 50 μM (n=10). The selective activity of SU5416 on Flk-1 is supported by the fact that testing of SU5416 using NIH 3T3 cells overexpressing either the EGF or insulin receptors indicated a complete lack of activity (IC50>100 μM). This observation is confirmed by immunoblotting after ligand stimulation. An IC50 of 20.26±5.2 μM (n=7), which is about 20-fold less in potency on PDGF-dependent autophosphorylation, is observed when SU5416 is tested in NIH 3T3 cells overexpressing the human PDGF receptor β [1].

体内活性

Daily administration of SU5416 (i.p., 3 mg/kg/day) inhibits the local growth of C6 tumors in the colon. A comparable level of growth inhibition (62% by day 16; P=0.001) is observed for tumors growing in the colon in comparison with ones growing in the hindflank region (54% by day 18; P=0.001). These results indicate that SU5416 could inhibit tumor growth at a site other than the subcutaneous implantation site, where the preexisting vasculature may be different[1]. Daily treatment with SU5416(25 mg/kg) results in a significantly lower tumor growth rate with tumor masses of up to 8% of that present in control animals by day 22 after implantation. Inhibition of tumor growth is clearly preceded by a marked reduction of the tissue area covered by the newly formed glioma microvasculature in the SU5416-treated group, indicating a reduced initial tumor vascularization[2].

细胞实验

SU5416 is dissolved in DMSO and stored,and then diluted with appropriate media (DMSO<0.5%) before use[1] 3T3Her2 and 488 g2M2 are NIH3T3 fibroblast cell lines engineered to overexpress Her2 and to express human PDGF-BB and human PDGF receptor β .Both cell lines are cultured in DMEM supplemented with 2% CS and 2 mM L-glutamine.C6,Calu 6,A375,A431,and SF767T are plated in their respective growth medium at 2×103 cells/100 μL/well in 96-well,flat-bottomed plates.SU5416 is serially diluted in media containing DMSO (<0.5%) and added to cultures of tumor cells 1 day after the initiation of culture.Cell growth is measured after 96 h using the sulforhodamine B method.IC50s are calculated by curve fitting using four-parameter analysis[1].

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.