For Research Use Only

Axitinib

www.ptgcn.com

Catalog Number: CM00084

产品信息

Catalog Number:
CM00084

CAS号:
319460-85-0

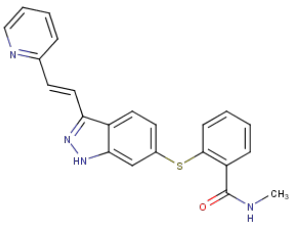
分子式:
$C_{22}H_{18}N_4OS$

主要靶点:
VEGFR|PDGFR|c-Kit

主要通路:
血管生成|蛋白酪氨酸激酶|蛋白酪氨酸激酶|蛋白酪氨酸激酶|血管生成

分子量:
386.47

溶解度:
DMSO:9.7 mg/mL (25 mM)



靶点活性

c-Kit:1.7 nM|PDGFRβ:1.6 nM|VEGFR2/KDR: 0.2 nM|VEGFR1/FLT1:0.1 nM|VEGFR2/Flk1:0.18 nM|VEGFR3: 0.1-0.3 nM

体外活性

方法：胶质瘤细胞 U87、T98 和 U251 用 Axitinib (0.1-100 μM) 处理 72 h，通过 MTT assay 测定细胞活力。**结果：**治疗 72 h 后，Axitinib 抑制 U87 和 T98 细胞的生长，IC50 值分别为 12.7 μM 和 8.5 μM。相反，U251 细胞对 Axitinib 介导的细胞毒性作用更具抵抗力。[1] **方法：**人上皮卵巢癌细胞 A2780、RMG1、HeyA8 和 HeyA8-MDR 用 Axitinib (1-4 μM) 处理 4 h，通过 Western Blot 检测靶点蛋白表达水平。**结果：**不同剂量的 Axitinib 治疗以剂量依赖的方式显著降低了 A2780、RMG1 和 HeyA 8 中磷酸化 EGFR2 的表达，但在 HeyA8 MDR 细胞中没有。[2]

体内活性

方法：为检测体内抗肿瘤活性，将 Axitinib (30 mg/kg，0.5% methyl cellulose) 口服给药给携带 A2780、RMG1 或 HeyA8 MDR 肿瘤的 BALB/c nude 小鼠，每天两次，持续 35-40 天。**结果：**在 A2780 和 RMG1 模型中，Axitinib 治疗组的肿瘤重量与对照组相比显著降低了 50%，但在 HeyA8 MDR 模型中差异不显著。[2]

动物实验

AG-013736, a receptor kinase inhibitor of VEGFRs and, at higher doses, PDGFRs (IC50 = 0.1 nmol/L for VEGFR-1, 0.2 nmol/L for VEGFR-2, 0.1–0.3 nmol/L for VEGFR-3, and 1.6 nmol/L for PDGFRβ; ref. 18), was provided by Pfizer Global Research and given once daily by gavage in a volume of 0.13 mL. Control animals received 0.5% carboxymethylcellulose drug carrier. Irradiations were done on nonanesthetized mice using a 137Cs source operating at 2.4 Gy/min. Mice were confined to plastic jigs with tumor-bearing legs extended through an opening in the side, allowing local irradiations. Fractionated doses were given in five daily 2 Gy fractions per week (omitting weekends). For combination treatments, radiotherapy was delivered first, and AG-013736 was given within ~4 h. Mice were sacrificed, and tumors were excised and then quick frozen (using liquid nitrogen) following 1, 2, or 3 weeks of treatment [3].

细胞实验

Endothelial or tumor cells were starved for 18 h in the presence of either 1% FBS (HUVEC) or 0.1% FBS (tumor cells). Axitinib was added and cells were incubated for 45 min at 37°C in the presence of 1 mmol/L Na3VO4. The appropriate growth factor was added to the cells, and after 5 min, cells were rinsed with cold PBS and lysed in the lysis buffer and a protease inhibitor cocktail. The lysates were incubated with immunoprecipitation antibodies for the intended proteins overnight at 4°C. Antibody complexes were conjugated to protein A beads and supernatants were separated by SDS-PAGE. The Super Signal West Dura kit was used to detect the chemiluminescent signal [1].

储存

store at low temperature,keep away from moisture,keep away from direct sunlight | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.