# Axitinib

**货号：**A0361

**储存条件：**粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

## 产品描述

VEGF/VEGFR (vascular endothelial growth factor/vascular endothelial growth factor receptor) pathway plays a key role in tumor angiogenesis by promotion of vascular and lymphatic endothelial, as well as survival, and invasion, thus resulting in neovascularization, tumor growth and metastasis. Axitinib is a selective and potent VEGFR inhibitor with IC50 values of 0.1nM, 0.18nM, 0.2nM and 0.1nM-0.3nM for VEGFR1/FLT1, VEGFR2/Flk1, VEGFR2/KDR and VEGFR3, as well as less potent to PDGFRβ, Kit and PDGFRα with IC50 value of 1.6nM, 1.7nM and 5.0nM (measured by enzymatic assays), respectively. Treatment with Axitinib at concentration ranging in 1-300nM for 45min caused inhibition on downstream signaling induced by VEGF (50ng/ml), including p-AKT (>1nM), p-eNOS (>1nM) and p-ERK (>10nM), in a dose-dependent manner in HUVECs. Axitinib inhibited dose dependently VEGF-stimulated (20ng/ml) growth of HUVECs (for 3 days) with IC50 of 0.17nM, as well as blocked the sprouting and tube formation of human microvascular endothelial cell spheroids at 3, 6, 12.5, 25, and 50nM (for 7 days). Oral treatment with Axitinib at dose ranging in 3-150mg/kg showed dose-dependently tumor growth inhibition in MV522 tumor model, with reduced Ki-67 (marked cell division) and increased caspase-3 in the tumor. Axitinib also enhanced antitumor efficacy of chemotherapeutic agents, including docetaxel in LLC and human breast cancer models, carboplatin in a human ovarian cancer model and gemcitabine in a human pancreatic cancer model, as well as produced significant antimetastasis activity combined with bevacizumab in M24met model.

## 产品信息

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| **CAS 号** | 319460-85-0 | |
| **分子式** | C22H1gN4OS | |
| **分子量** | 386.47 | |
| **别名** | AG 013736 | |
| **溶解度** | DMSO | 24.0 mg/mL(62.1 mM) warming |
| | Water | insoluble |

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