

Store at
-20°C

Axitinib

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#12961

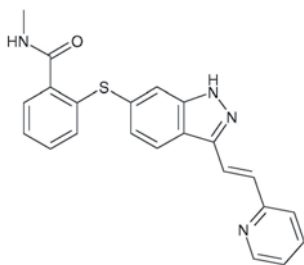
5 mg

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rev. 07/01/16

For Research Use Only. Not For Use In Diagnostic Procedures.

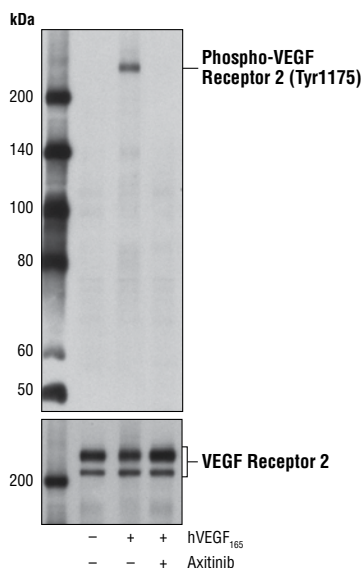
Background: Axitinib is a selective inhibitor of VEGFR, PDGFR, and c-kit tyrosine kinases. Researchers performing cellular phosphorylation assays have shown that axitinib very potently inhibits VEGF-1, -2, and -3 with IC_{50} values of approximately 1.2 nM, 0.2 nM, and 0.1–0.3 nM, respectively. It also effectively inhibits PDGF- α (IC_{50} = 5.0 nM), PDGF- β (IC_{50} = 1.6 nM), and c-kit (IC_{50} = 1.7 nM) (1). Axitinib exhibits little activity against a variety of off-target protein kinases when used at 1 μ M (1). It inhibits VEGF-induced endothelial cell proliferation, survival, and tube formation, as well as phosphorylation of downstream targets Akt, eNOS, and ERK1/2 in a dose-dependent manner (1). Research studies demonstrate that axitinib suppresses T cell proliferation in a dose dependent manner through G2/M mitotic arrest, while apoptosis is largely prevented through stabilization of Mcl-1 and inactivation of caspase-9 (2). Axitinib has been shown to reduce both hypoxic-induced tissue permeability and overexpression/secretion of VEGF and PDGF in HUVE and RPE cells, as well as alter junction protein expression (3).

Molecular Formula: $C_{22}H_{18}N_4OS$ **Molecular Weight:** 386.47 g/mol**Solubility:** Soluble in DMSO at 33 mg/ml and ethanol at 1.7 mg/ml; very poorly soluble in water with maximum solubility in water at ~10–50 μ M.**Purity:** >99%

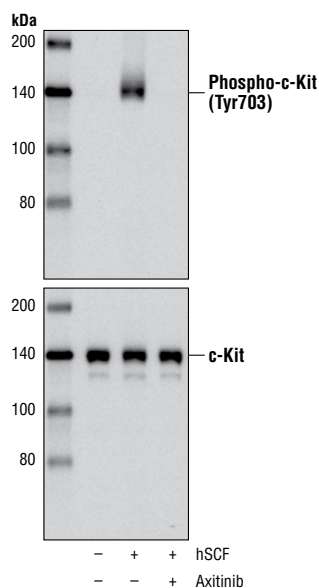
Directions for Use: Axitinib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 5 mg in 1.29 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 1–1000 nM for 0.5–2 hr prior to treating with a stimulator. It can also be used alone, with varying treatment times lasting up to 72 hr.

Background References:

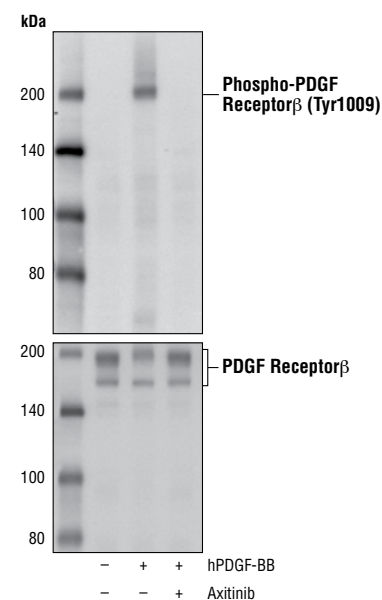
- (1) Hu-Lowe, D.D. et al. (2008) *Clin Cancer Res* 14, 7272–83.
- (2) Stehle, F. et al. (2013) *J Biol Chem* 288, 16334–47.
- (3) Kernt, M. et al. (2012) *Growth Factors* 30, 49–61.



Western blot analysis of extracts from HUVE cells, serum-starved overnight and untreated (-) or pretreated with Axitinib (1 nM, 2 hr; +) prior to treatment with Human Vascular Endothelial Growth Factor-165 (hVEGF165) #8065 (50 ng/ml, 5 min; +), using Phospho-VEGF Receptor 2 (Tyr1175) (19A10) Rabbit mAb #2478 (upper) or VEGF Receptor 2 (55B11) Rabbit mAb #2479 (lower).



Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.



Western blot analysis of extracts from NIH/3T3 cells, serum-starved overnight and untreated (-) or pretreated with Axitinib (100 nM, 2 hr; +) prior to treatment with Human Platelet-Derived Growth Factor BB (hPDGF-BB) #8912 (100 ng/ml, 5 min; +), using Phospho-PDGF Receptor β (Tyr1009) (42F9) Rabbit mAb #3124 (upper) or PDGF Receptor β (28E1) Rabbit mAb #3169 (lower).

◀ Western blot analysis of extracts from NCI-H526 cells, serum-starved overnight and untreated (-) or pretreated with Axitinib (1000 nM, 2 hr; +) prior to treatment with Human Stem Cell Factor (hSCF) #8925 (100 ng/ml, 5 min; +), using Phospho-c-Kit (Tyr703) (D12E12) Rabbit mAb #3073 (upper) or c-Kit (D13A2) XP[®] Rabbit mAb #3074 (lower).

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