

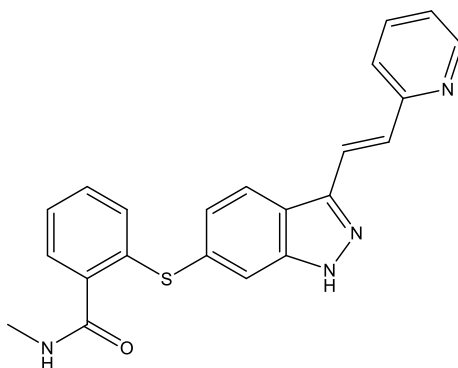
Catalog # 10-2118

Axitinib

CAS# 319460-85-0

N-Methyl-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]benzamide

Lot # X106716



Potent inhibitor of VEGFR-2, -3, and -1, IC₅₀ = 0.2, 0.1-0.3, and 1.2 nM respectively¹ displaying minimal activity against a panel of ~100 protein kinases. Inhibits angiogenesis and vascular permeability.² Also inhibits BCR-ABL1 (T315I) with high potency, K_i = 149 pM for autophosphorylated ABL1 (T315I).³ Inhibits proliferation of Ba/F3 cells expressing BCR-ABL1 (T315I). Clinically useful anticancer agent.⁴ Axitinib downregulated STAT3 expression leading to a significant decrease in immunosuppressive myeloid-derived suppressor cells.⁵ It increased CD8+ T cells, reduced myeloid-derived suppressor cells, and suppressed the expressions of proinflammatory cytokines in B116F1 melanoma cells.⁶

- 1) Hu-Lowe *et al.* (2008), *Nonclinical antiangiogenesis and antitumor activities of axitinib (AG-013736), an oral, potent and selective inhibitor of vascular endothelial growth factor receptor tyrosine kinases 1,2,3*; *Cancer Res.*, **14** 7272
- 2) Ma and Waxman (2008), *Modulation of the antitumor activity of metronomic cyclophosphamide by the angiogenesis inhibitor axitinib*; *Mol. Cancer Ther.*, **7** 79
- 3) Pemovska *et al.* (2015), *Axitinib effectively inhibits BCR-ABL1(T315I) with a distinct binding conformation*; *Nature*, **519** 102
- 4) Rixe *et al.* (2007), *Axitinib treatment in patients with cytokine-refractory metastatic renal-cell cancer; a phase II study*; *Lancet Oncol.*, **8** 975
- 5) Yuan *et al.* (2014), *Axitinib augments antitumor activity in renal cell carcinoma via STAT3-dependent reversal of myeloid-derived suppressor cell accumulation*; *Biomed.Pharmacother.* **68** 751
- 6) Zhang *et al.* (2014), *Axitinib, a selective inhibitor of vascular endothelial growth factor receptor, exerts an anticancer effect in melanoma through promoting antitumor immunity*; *Anticancer Drugs* **25** 204

PHYSICAL DATA

Molecular Weight:	386.47
Molecular Formula:	C ₂₂ H ₁₈ N ₄ OS
Purity:	99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 30 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied, desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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