Sunitinib

✓ 10 mg



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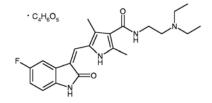
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For Research Use Only. Not For Use In Diagnostic Procedures.

Background: Sunitinib, also known as SU11248, is a multi-tyrosine kinase inhibitor widely known to target PDGFR, VEGFR, KIT, and FLT3, and therefore has both antitumor and antiangiogenic activities. Cellular phosphorylation assays show that sunitinib effectively inhibits ligand-dependent phosphorylation of these receptor tyrosine kinases in nanomolar concentrations and can also inhibit ligand-dependent cellular proliferation (1-3). Sunitinib displays greater than 10-fold selectively for PDGFRB and VEGFR over numerous other kinases, including EGFR, Cdk2, Met, IGF -1R, Abl, and src (2). Inhibition of CSF-1 (4) and RET (5) by sunitinib has also been observed.

Molecular Formula: C₂₂H₂₇FN₄O₂•C₄H₆O₅



Molecular Weight: 532.56 g/mol

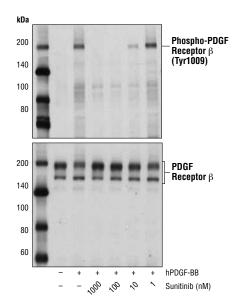
Solubility: Soluble in DMSO at 40 mg/ml; poorly soluble in ethanol and water with maximum solubility in water \sim 10-50 μ M.

Purity: >99%

Directions for Use: Sunitinib is supplied as a lyophillized powder. For a 5 mM stock, reconstitute the 10 mg in 3.76 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used at 0.1– $10\,\mu$ M either as a pretreatment for 0.5–2 hr prior to treating with a stimulator or is used alone with varying treatment times lasting up to 24 hr.

Background References:

- (1) Abrams, T.J. et al. (2003) Mol Cancer Ther 2, 471-8.
- (2) Mendel, D.B. et al. (2003) Clin Cancer Res 9, 327-37.
- (3) O'Farrell, A.M. et al. (2003) Blood 101, 3597-605.
- (4) Guo, J. et al. (2006) Mol Cancer Ther 5, 1007-13.
- (5) Broutin, S. et al. (2011) Clin Cancer Res 17, 2044-54.



Western blot analysis of extracts from NIH/3T3 cells, serumstarved overnight and untreated or treated with hPDGF-BB #8912 (100 ng/ml, 5 min) either with or without Sunitinib pretreatment (2 hr) at the indicated concentrations, using Phospho-PDGF Receptor β (Tyr1009) (42F9) Rabbit mAb #3124 (upper) or PDGF Receptor β (28E1) Rabbit mAb #3169 (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.