

Vatalanib

✓ 5 mg

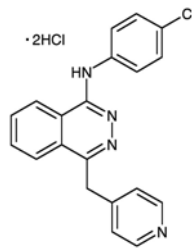
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For Research Use Only. Not For Use In Diagnostic Procedures.

Background: Vatalanib is a multi-targeted tyrosine kinase inhibitor. Researchers performing *in vitro* kinase assays show that vatalanib inhibits VEGFR-1, -2, and -3 with IC₅₀ values of approximately 77 nM, 37 nM, and 640 nM, respectively. Vatalanib also inhibited PDGFR and c-kit at sub micromolar concentrations, but had no activity against several other kinases, including c-Met, EGFR, c-Src, and v-Abl up to 10 μM (1). Vatalanib inhibits VEGF-induced autophosphorylation in HUVE and VEGFR-2 transfected CHO cells with an IC₅₀ of 17 nM and 34 nM, respectively, and effectively blocks VEGF-stimulated HUVE cell proliferation (1). Research studies have demonstrated that vatalanib inhibits proliferation of multiple myeloma (MM) cells in a dose-dependant manner and blocks VEGF-induced ERK phosphorylation and cell migration in MM.1S cells (2). Dose-dependent apoptosis in chronic lymphocytic leukemia (CLL) cells by vatalanib and pazopanib has been observed (3).

Molecular Formula: C₂₀H₁₅ClN₄•2HCl



Molecular Weight: 419.73 g/mol

Solubility: Soluble in DMSO at 10 mg/ml with warming. Very poorly soluble in ethanol. Soluble in water at 100 mg/ml.

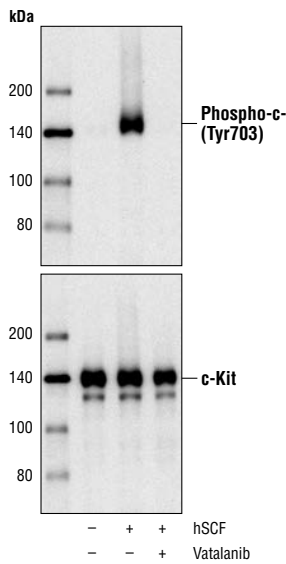
Purity: >99%

Directions for Use: Vatalanib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 5 mg in 1.19 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 0.5-50 μM 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.

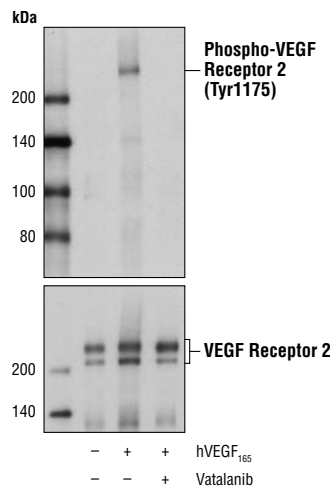
Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Background References:

- (1) Wood, J.M. et al. (2000) *Cancer Res* 60, 2178-89.
- (2) Lin, B. et al. (2002) *Cancer Res* 62, 5019-26.
- (3) Paesler, J. et al. (2010) *Clin Cancer Res* 16, 3390-8.



Western blot analysis of extracts from NCI-H526 cells, serum-starved overnight and untreated (-) or pretreated with Vatalanib (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).



Western blot analysis of extracts from HUVE cells, serum-starved overnight and untreated (-) or pretreated with Vatalanib (1000 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).