

Vatalanib



Orders: 877-616-CELL (2355)
orders@cellsignal.com

Support: 877-678-TECH (8324)

Web: info@cellsignal.com
cellsignal.com

3 Trask Lane | Danvers | Massachusetts | 01923 | USA

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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

Purity

>99%

CAS

212141-51-0

Solubility

Soluble in DMSO at 20mg/ml and H₂O at 100mg/ml.

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.

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.

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.

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