

# Axitinib



**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

**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<sub>50</sub> values of approximately 1.2 nM, 0.2 nM, and 0.1-0.3 nM, respectively. It also effectively inhibits PDGF-α (IC<sub>50</sub> = 5.0 nM), PDGF-β (IC<sub>50</sub> = 1.6 nM), and c-kit (IC<sub>50</sub> = 1.7 nM) (1). Axitinib exhibits little activity against a variety of off-target protein kinases when used at 1 μM (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<sub>22</sub>H<sub>18</sub>N<sub>4</sub>O<sub>5</sub>

## Molecular Weight

386.47 g/mol

## Purity

>99%

## CAS

319460-85-0

## Solubility

Soluble in DMSO at 33mg/ml and EtOH at 1.7mg/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

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.

## Trademarks and Patents

Cell Signaling Technology is a trademark of Cell Signaling Technology, Inc.

XP is a registered trademark of Cell Signaling Technology, Inc.

All other trademarks are the property of their respective owners. Visit [cellsignal.com/trademarks](http://cellsignal.com/trademarks) for more information.

## Limited Uses

Except as otherwise expressly agreed in a writing signed by a legally authorized representative of CST, the following terms apply to Products provided by CST, its affiliates or its distributors. Any Customer's terms and conditions that are in addition to, or different from, those contained herein, unless separately accepted in writing by a legally authorized representative of CST, are rejected and are of no force or effect.

Products are labeled with For Research Use Only or a similar labeling statement and have not been approved, cleared, or licensed by the FDA or other regulatory foreign or domestic entity, for any purpose. Customer shall not use any Product for any diagnostic or therapeutic purpose, or otherwise in any manner that conflicts with its labeling statement. Products sold or licensed by CST are provided for Customer as the end-user and solely for research and development uses. Any use of Product for diagnostic, prophylactic or therapeutic purposes, or any purchase of Product for resale (alone or as a component) or other commercial purpose, requires a separate license from CST. Customer shall (a) not sell, license, loan, donate or otherwise transfer or make available any Product to any third party, whether alone or in combination with other materials, or use the Products to manufacture any

commercial products, (b) not copy, modify, reverse engineer, decompile, disassemble or otherwise attempt to discover the underlying structure or technology of the Products, or use the Products for the purpose of developing any products or services that would compete with CST products or services, (c) not alter or remove from the Products any trademarks, trade names, logos, patent or copyright notices or markings, (d) use the Products solely in accordance with CST Product Terms of Sale and any applicable documentation, and (e) comply with any license, terms of service or similar agreement with respect to any third party products or services used by Customer in connection with the Products.