

# Motesanib

Catalog No: tcsc0028



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg



## Specifications

**CAS No:**

453562-69-1

**Formula:**

$C_{22}H_{23}N_5O$

**Pathway:**

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

**Target:**

VEGFR;c-Kit

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 30$  mg/mL (80.33 mM)

**Alternative Names:**

AMG 706;

### Observed Molecular Weight:

373.45

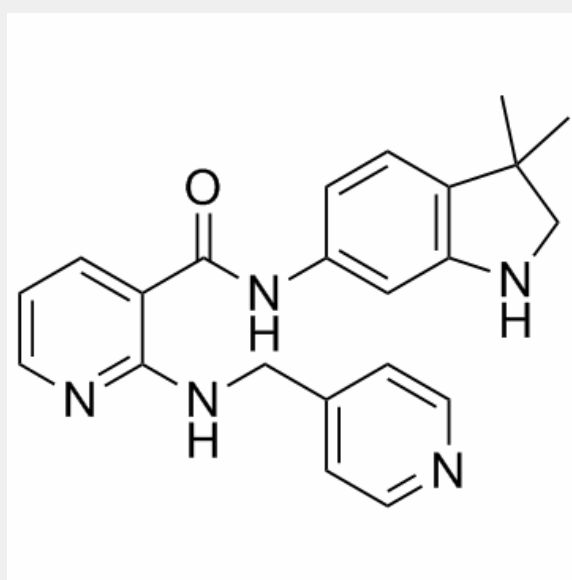
## Product Description

Motesanib is a potent ATP-competitive inhibitor of **VEGFR1/2/3** with **IC<sub>50</sub>**s of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approx 10-fold more selective for VEGFR than PDGFR and Ret.

IC50 & Target: IC50: 2 nM (VEGFR1), 3 nM (VEGFR2), 6 nM (VEGFR3)

**In Vitro:** Motesanib has broad activity against the human VEGFR family, and displays > 1000 selectivity against EGFR, Src, and p38 kinase. Motesanib significantly inhibits VEGF-induced cellular proliferation of HUVECs with an IC<sub>50</sub> of 10 nM, while displaying little effect at bFGF-induced proliferation with an IC<sub>50</sub> of >3,000 nM. Motesanib also potently inhibits PDGF-induced proliferation and SCF-induced c-kit phosphorylation with IC<sub>50</sub> of 207 nM and 37 nM, respectively, but not effective against the EGF-induced EGFR phosphorylation and cell viability of A431 cells<sup>[1]</sup>. Although displaying little antiproliferative activity on cell growth of HUVECs alone, Motesanib treatment significantly sensitizes the cells to fractionated radiation<sup>[2]</sup>.

**In Vivo:** Motesanib (100 mg/kg) significantly inhibits VEGF-induced vascular permeability in a time-dependent manner. Oral administration of Motesanib twice daily or once daily potently inhibits, in a dose-dependent manner, VEGF-induced angiogenesis using the rat corneal model with ED<sub>50</sub> of 2.1 mg/kg and 4.9 mg/kg, respectively. Motesanib induces a dose-dependent tumor regression of established A431 xenografts by selectively targeting neovascularization in tumor cells<sup>[1]</sup>. Motesanib in combination with radiation displays significant anti-tumor activity in head and neck squamous cell carcinoma (HNSCC) xenograft models<sup>[2]</sup>. Motesanib treatment also induces significant dose-dependent reductions in tumor growth and blood vessel density of MCF-7, MDA-MB-231, or Cal-51 xenografts, which can be markedly enhanced when combined with docetaxel or tamoxifen<sup>[3]</sup>.



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