



## **Motesanib**

**Catalog No: tcsc0028** 

Available Sizes
Size: 10mg
Size: 50mg
Size: 100mg
Size: 200mg
Size: 500mg
Specifications
CAS No: 453562-69-1
Formula: C <sub>22</sub> H <sub>23</sub> N <sub>5</sub> O
Pathway: Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK
<b>Target:</b> VEGFR;c-Kit
Purity / Grade: >98%
<b>Solubility:</b> DMSO : ≥ 30 mg/mL (80.33 mM)
<b>Alternative Names:</b> AMG 706;





## **Observed Molecular Weight:**

373.45

## **Product Description**

Motesanib is a potent ATP-competitive inhibitor of **VEGFR1/2/3** with  $IC_{50}$ s of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is appr 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  $IC_{50}$  of 10 nM, while displaying little effect at bFGF-induced proliferation with an  $IC_{50}$  of >3,000 nM. Motesanib also potently inhibits PDGF-induced proliferation and SCF-induced c-kit phosphorylation with  $IC_{50}$  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>. Althouth 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  $ED_{50}$  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>.

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!