

# BMS-690514

Catalog No: tcsc0244



## Available Sizes

**Size:** 2mg

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

859853-30-8

**Formula:**

$C_{19}H_{24}N_6O_2$

**Pathway:**

Protein Tyrosine Kinase/RTK;JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

**Target:**

VEGFR;EGFR;EGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 25$  mg/mL (67.86 mM)

**Observed Molecular Weight:**

368.43

## Product Description

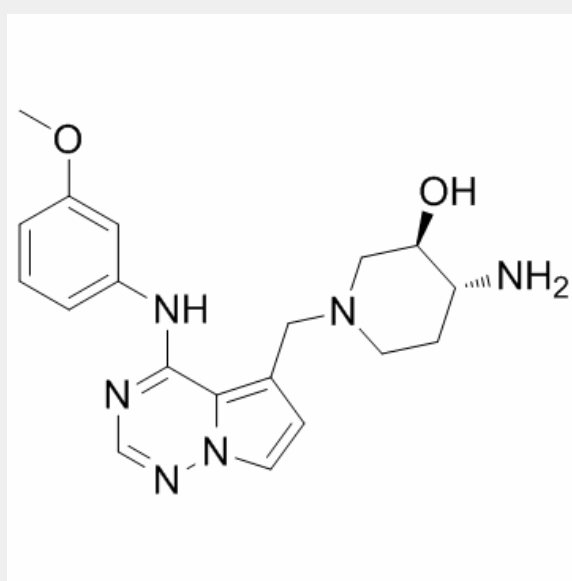
BMS-690514 is a potent and orally active inhibitor of **EGFR** and **VEGFR**; has **IC<sub>50</sub>**s of 5, 20 and 60 nM for EGFR, HER 2 and HER 4,

respectively.

IC50 & Target: IC50: 5 nM (EGFR), 20 nM (HER2), 60 nM (HER4)<sup>[1]</sup>

**In Vitro:** BMS-690514 targets several critical signaling pathways: human epidermal growth factor receptor (HER)/ErbB, angiogenesis signaling through VEGFR2, lymphangiogenesis through VEGFR3, and also shows activity against VEGFR1, Flt-3, and Lck. Permeability of BMS-690514 in Caco-2 cells is in the intermediate range with a moderate potential to be a P-gp substrate<sup>[2]</sup>. BMS-690514 inhibits members of the VEGFR family with IC<sub>50</sub> values in the range of 25 to 50 nM. Non-small cell lung tumor cells with exon 19 deletion (HCC4006, HCC827, and PC9) are highly sensitive to BMS-690514, which inhibits their proliferation with IC<sub>50</sub> values of 2 to 35 nM. Tumor cell lines with EGFR gene amplification (DiFi, NCI-H2073, A431) are also highly sensitive to inhibition by BMS-690514. Tumor cell lines that are dependent on HER2 signaling are also found to be highly sensitive to BMS-690514. Breast and gastric tumor cell lines that have HER2 gene amplification (N87, SNU-216, AU565, BT474, KPL4, and HCC202) are inhibited with IC<sub>50</sub> values of 20 to 60 nM<sup>[1]</sup>.

**In Vivo:** BMS-690514 has been shown to be efficacious in a broad spectrum of tumor xenografts. At doses that are efficacious and well tolerated in the animal models, BMS-690514 inhibits tumor cell proliferation and tumor blood flow<sup>[1]</sup>. The oral bioavailability of BMS-690514 is 78% in mice, 100% in rats, 8% in monkeys, and 29% in dogs. BMS-690514 is able to cross the blood-brain barrier with a brain-to-plasma ratio of 1. The preclinical ADME properties of BMS-690514 suggest good oral bioavailability in humans and metabolism by multiple pathways including oxidation and glucuronidation<sup>[2]</sup>.



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