Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 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_{50}**s of 5, 20 and 60 nM for EGFR, HER 2 and HER 4,

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respectively.

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

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[2]. BMS-690514 inhibits members of the VEGFR family with IC_{50} 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_{50} 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_{50} values of 20 to 60 nM[1].

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[1]. 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[2].