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

BMS 777607

Catalog No: tcsc0227

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1025720-94-8

Formula:
$C_{25}H_{19}ClF_2N_4O_4$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
c-Met/HGFR;TAM Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 39 mg/mL (76.04 mM)

Alternative Names:
BMS 817378

Observed Molecular Weight:
512.89

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Product Description

BMS 777607 is a **Met-related** inhibitor for **c-Met**, **Axl**, **Ron** and **Tyro3** with **IC_{50}**s of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM, respectively, and 40-fold more selective for Met-related targets than Lck, VEGFR-2, and TrkA/B, with more than 500-fold greater selectivity versus all other receptor and non receptor kinases.

IC50 & Target: IC50: 3.9 nM (c-Met), 1.1 nM (Axl), 1.8 nM (Ron), 4.3 nM (Tyro3)

In Vitro: BMS 777607 is a selective ATP-competitive Met kinase inhibitor which potently blocks the autophosphorylation of c-Met with IC_{50} of 20 nM in GTL-16 cell lysates, and demonstrates selective inhibition of proliferation in Met-driven tumor cell lines, such as GTL-16 cell line, H1993 and U87[1]. BMS 777607 inhibits hepatocyte growth factor (HGF)-triggered c-Met autophosphorylation with IC_{50} of 50 [2]. Application of BMS 777607 (appr 10 μM) to the highly metastatic murine KHT cells for 2 hours potently eliminates basal levels of autophosphorylated c-Met with IC_{50} of 10 nM without affecting the total c-Met, leading to dose-dependent inhibition of phosphorylation of downstream signaling molecules including ERK, Akt, p70S6K and S6. Treatment with BMS 777607 (appr 1 μM) for 24 hours potently inhibits the KHT cell scatter, motility and invasion at doses in the nanomolar range which consists with MET gene knockdown, and modestly affects cell proliferation and colony formation[3].

In Vivo: Oral administration of BMS 777607 (6.25-50 mg/kg) significantly reduces tumor volumes of the GTL-16 human tumor xenografts in athymic mice with no observed toxicity[1]. Administration of BMS 777607 (25 mg/kg/day) decreases the number of KHT lung tumor nodules (28.3%), improves the morphological hemorrhage, and significantly impairs the metastatic phenotype in the 6-8 week-old female C3H/HeJ mice injected with rodent fibrosarcoma KHT cells without apparent systemic toxicity compared to the control treatment. A low dose of BMS 777607 (10 mg/kg) also offers a mild but not significant inhibition of lung nodule formation compared to the vehicle control[3].