Web: www.taiclone.com
Tel: +886-2-2735-9682
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PF-04217903

Catalog No: tcsc0147

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
956905-27-4

Formula:
$C_{19}H_{16}N_8O$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
c-Met/HGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
372.38

Product Description

PF-04217903 is a selective ATP-competitive c-Met inhibitor with IC50 of 4.8 nM, susceptible to oncogenic mutations (no activity to

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Y1230C mutant).

IC50 value: 4.8 nM [1]

Target:

in vitro: Being more selective than staurosporine or PF-02341066, PF-04217903 displays >1000-fold selectivity for c-Met over a panel of 208 kinases, although more susceptible to oncogenic mutations of c-Met that attenuate potency than PF-02341066. In addition to WT c-Met, PF-04217903 displays similar potency to inhibit the activity of c-Met-H1094R, c-Met-R988C, and c-Met-T1010I with IC50 of 3.1 nM, 6.4 nM, and 6.7 nM, respectively, but has no inhibitory activity against c-Met-Y1230C with IC50 of >10 μM [1]. PF-04217903 in combination with sunitinib significantly inhibits endothelial cells, but not the tumor cells B16F1, Tib6, EL4, and LLC [2] PF-04217903 significantly inhibits the clonogenic growth of LXFA 526L and LXFA 1647L with IC50 values of 16 nM, and 13 nM, respectively, yielding an additive effect when in combination with cetuximab [3].

in vivo: Although unable to inhibit tumor growth in the sunitinib-sensitive B16F1 and Tib6 tumor models, the combination of PF-04217903 and sunitinib significantly inhibits tumor growth in sunitinib-resistant EL4, and LLC tumor models compared with sunitinib or PF-04217903 alone by significantly blocking vascular expansion, indicating a functional role for HGF/c-Met axis in the sunitinib-resistant tumors [2].