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

PHA-665752

Catalog No: tcsc0137

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
477575-56-7

Formula:
$C_{32}H_{34}Cl_2N_4O_4S$

Pathway:
Protein Tyrosine Kinase/RTK;Autophagy

Target:
c-Met/HGFR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (77.93 mM; Need ultrasonic); H2O :

Observed Molecular Weight:
641.61

Product Description

PHA-665752 is a potent, selective and ATP-competitive c-Met inhibitor with IC50 of 9 nM, >50-fold selectivity for c-Met than RTKs or STKs.

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IC50 value: 9 nM

Target: c-Met

in vitro: PHA-665752 significantly inhibits c-Met kinase activity with Ki of 4 nM, and exhibits >50-fold selectivity for c-Met compared with various tyrosine and serine-threonine kinases. PHA-665752 potently inhibits the HGF-stimulated c-Met autophosphorylation with IC50 of 25-50 nM. PHA-665752 also significantly blocks HGF- and c-Met-dependent functions such as cell motility and cell proliferation with IC50 of 40-50 nM and 18-42 nM, respectively. In addition, PHA-665752 potently inhibits HGF-stimulated or constitutive phosphorylation of mediators of downstream of c-Met such as Gab-1, ERK, Akt, STAT3, PLC-γ, and FAK in multiple tumor cell lines [1]. PHA-665752 inhibits cell growth in TPR-MET-transformed BaF3 cells with IC50 of
in vivo: Administration of PHA-665752 induces a dose-dependent tumor growth inhibition of S114 xenografts by 20 %, 39% and 68%, at dose of 7.5, 15, and 30 mg/kg/day, respectively [1]. PHA665752 treatment significantly reduces the tumor growth of NCI-H69, NCI-H441 and A549 in mouse xenografts by 99%, 75%, and 59%, respectively. PHA665752 also significantly inhibits angiogenesis by >85%, due to decreasing the production of vascular endothelial growth factor and increasing the production of the angiogenesis inhibitor thrombospondin-1 [3].