

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

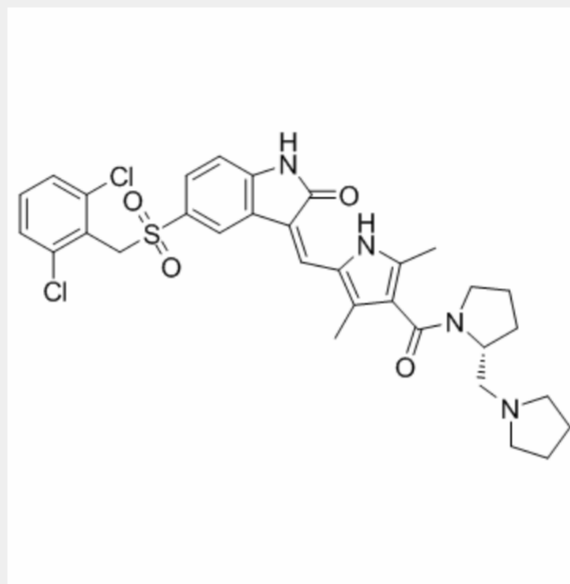
PHA-665752 is a potent, selective and ATP-competitive c-Met inhibitor with IC₅₀ of 9 nM, >50-fold selectivity for c-Met than RTKs or STKs.

IC50 value: 9 nM

Target: c-Met

in vitro: PHA-665752 significantly inhibits c-Met kinase activity with K_i 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].



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