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

OSI-930

Catalog No: tcsc0174

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
728033-96-3

Formula:
$C_{22}H_{16}F_3N_3O_2S$

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

Target:
VEGFR;c-Fms;c-Kit

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
443.44

Product Description

OSI-930 is a potent inhibitor of Kit, KDR and CSF-1R with IC50 of 80 nM, 9 nM and 15 nM, respectively; also potent to Flt-1, c-Raf and Lck and low activity against PDGFRα/β, Flt-3 and Abl.

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IC50 value: 9 nM(VEGFR2); 15 nM(CSF1R); 80 nM (Kit activated) [1]

Target: VEGFR2/Kit/CSF1R

in vitro: OSI-930 inhibits the cell proliferation in the HMC-1 cell line with IC50 of 14 nM without significant effect on growth of the COLO-205 cell line that does not express a constitutively active mutant receptor tyrosine kinase. Moreover, OSI-930 also induces apoptosis in HMC-1 cell line with EC50 of 34 nM [1]. A recent study shows that OSI-930 inactivates purified, recombinant cytochrome P450 (P450) 3A4 with a Ki of 24 μM in a time- and concentration-dependent mode [2].

in vivo: OSI-930, administrated at the maximally efficacious dose of 200 mg/kg by oral gavage, exhibits potent antitumor activity in a broad range of preclinical xenograft models including HMC-1, NCI-SNU-5, COLO-205 and U251 xenograft models [1].