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

Golvatinib

Catalog No: tcsc0595

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
928037-13-2

Formula:
$C_{33}H_{37}F_2N_7O_4$

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

Target:
c-Met/HGFR;VEGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
E-7050

Observed Molecular Weight:
633.69

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Product Description

Golvatinib (E-7050) is a potent dual inhibitor of both **c-Met** and **VEGFR2** kinases with **IC_{50}**s of 14 and 16 nM, respectively.

IC50 & Target: IC50: 14 nM (c-Met), 16 nM (VEGFR2)[1]

In Vitro: Golvatinib (E7050) potently inhibits phosphorylation of both c-Met and VEGFR-2. Golvatinib also potently represses the growth of both c-met amplified tumor cells and endothelial cells stimulated with either HGF or VEGF. Golvatinib strongly inhibits the growth of MKN45, EBC-1, Hs746T, and SNU-5 tumor cells with IC_{50} values of 37, 6.2, 23, and 24 nM, respectively. The growth of A549, SNU-1 and 0MKN74 tumor cells is inhibited by Golvatinib with much higher IC_{50} values[1]. Golvatinib circumvents resistance to all of the reversible, irreversible, and mutant-selective EGFR-TKIs induced by exogenous and/or endogenous HGF in EGFR mutant lung cancer cell lines, by blocking the Met/Gab1/PI3K/Akt pathway *in vitro*. Golvatinib also prevents the emergence of gefitinib-resistant HCC827 cells induced by continuous exposure to HGF[2].

In Vivo: Golvatinib (E7050) shows inhibition of the phosphorylation of c-Met and VEGFR-2 in tumors, and strong inhibition of tumor growth and tumor angiogenesis in xenograft models. Treatment of some tumor lines containing c-met amplifications with high doses of Golvatinib (50-200 mg/kg) induced tumor regression and disappearance. In a peritoneal dissemination model, Golvatinib shows an antitumor effect against peritoneal tumors as well as a significant prolongation of lifespan in treated mice[1]. Golvatinib (E7050) plus Gefitinib results in marked regression of tumor growth associated with inhibition of Akt phosphorylation in cancer cells[2].