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

Merestinib dihydrochloride

Catalog No: tcsc1438

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1206801-37-7

Formula:
$C_{30}H_{24}Cl_2F_2N_6O_3$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
c-Met/HGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
LY2801653 (dihydrochloride)

Observed Molecular Weight:
625.45

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Product Description

Merestinib dihydrochloride (LY2801653 dihydrochloride) is a type-II ATP competitive, slow-off inhibitor of **MET** tyrosine kinase with a dissociation constant ($\mathbf{K_i}$) of 2 nM.

IC50 & Target: Ki: 2 nM (c-Met)[1]

In Vitro: Merestinib demonstrates effects on MET pathway-dependent cell scattering and cell proliferation. The mean IC_{50} value (n=6 determinations) of Merestinib for inhibition of MET auto-phosphorylation in HGF-stimulated H460 cells is 35.2±6.9 nM and the IC_{50} for MET auto-phosphorylation in S114 cells is 59.2 nM. Transfection with the MET variants confers growth-factor independence and treatment with Merestinib inhibits growth of these MET variant clones with an IC_{50} ranging from 3-fold more potent (V1092I) to approximately 6-fold less potent (L1195V) compare with the growth inhibition of cells with the MET wild-type sequence[1]. Merestinib (2, 5, and 10 μM) reduces the number of viable TFK-1 and SZ-1 cells in a dose and time dependent manner, and significant inhibits wound healing for TFK-1 and SZ-1 cell lines. Merestinib inhibits cell invasion in TFK-1 and SZ-1 cells in a concentration dependent manner[2].

In Vivo: Merestinib (LY2801653) demonstrates anti-tumor effects in MET amplified (MKN45), MET autocrine (U-87MG, and KP4) and MET over-expressed (H441) xenograft models; and in vivo vessel normalization effects. Merestinib (LY2801653) is a type-II ATP competitive, slow-off inhibitor of MET tyrosine kinase with a pharmacodynamic residence time (K_{off}) of 0.00132 min^{-1} and $t_{1/2}$ of 525 min. Merestinib (LY2801653) treatment inhibits MET phosphorylation with a composite TED50 (50 % target inhibition dose) of 1.2 mg/kg and a composite TED90 (90 % target inhibition dose) of 7.4 mg/kg[1]. Merestinib (LY2801653) (20 mg/kg) reduces TFK-1 tumor growth significantly relative to vehicle control. Merestinib (LY2801653) inhibits the growth of intra- and extrahepatic CCC xenograft tumors[2].