Product Datasheet

LY2801653

Catalog No. **BP-02132** · CAS **1206799-15-6** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-03**

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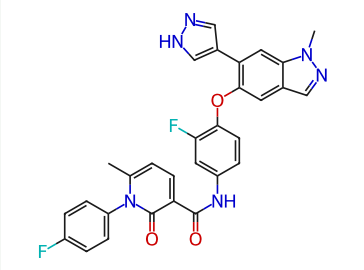
Target	Research area
c-Met/HGFR; FLT3; ROS Kinase; Discoidin Domain Receptor	**Cancer**

Molecular formula	$C_{30}H_{22}F_2N_6O_3$
Molecular weight	**552.5309**

STRUCTURE



DESCRIPTION

Merestinib (LY2801653) is a potent, orally bioavailable c-Met inhibitor (Ki=2 nM) with anti-tumor activities. Merestinib (LY2801653) also has potent activity against MST1R (IC50=11 nM), FLT3 (IC50=7 nM), AXL (IC50=2 nM), MERTK (IC50=10 nM), TEK (IC50=63 nM), ROS1, DDR1/2 (IC50=0.1/7 nM) and MKNK1/2 (IC50=7 nM) .

BIOLOGICAL ACTIVITY

In Vitro

Merestinib (LY2801653) demonstrates effects on MET pathway-dependent cell scattering and cell proliferation. The mean IC50 value (n=6 determinations) of Merestinib (LY2801653) for inhibition of MET auto-phosphorylation in HGF-stimulated H460 cells is 35.2±6.9 nM and the IC50 for MET auto-phosphorylation in S114 cells is 59.2 nM. Merestinib (LY2801653) also inhibits MST1R (IC50=11 nM), AXL (IC50=2 nM), MERTK (IC50=10 nM), TYRO3 (IC50=28 nM), ROS1, PDGFRA (IC50=41 nM), FLT3 (IC50=7 nM), TEK (IC50=63 nM), DDR1/2 (IC50=0.1/7 nM) and MKNK1/2 (IC50=7 nM)[1].

Transfection with the MET variants confers growth-factor independence and treatment with Merestinib (LY2801653) inhibits growth of these MET variant clones with an IC50 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 (LY2801653) (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 (LY2801653) inhibits cell invasion in TFK-1 and SZ-1 cells in a concentration dependent manner.

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 (Koff) of 0.00132 min-1 and t1/2 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.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Yan SB, et al. LY2801653 is an orally bioavailable multi-kinase inhibitor with potent activity against MET, MST1R, and other oncoproteins, and displays anti-tumor activities in mouse xenograft models. Invest New Drugs. 2013 Aug;31(4):833-44.

[2].Barat S, et al. Targeting c-MET by LY2801653 for treatment of cholangiocarcinoma. Mol Carcinog. 2016 Jan 12.

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