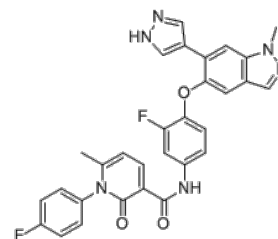


Product Name : Merestinib
Cat. No. : PC-20333
CAS No. : 1206799-15-6
Molecular Formula : C₃₀H₂₂F₂N₆O₃
Molecular Weight : 552.54
Target : c-Met (HGFR)
Solubility : 10 mM in DMSO



Biological Activity

Merestinib (LY2801653) is a potent, selective, type-II ATP competitive inhibitor of **c-Met** with K_i of 2 nM, inhibits c-MET wt and mutant Y1230C with IC_{50} of 42 and 54 nM, respectively.

LY2801653 inhibited MET auto-phosphorylation in HGF-stimulated H460 cells and S114 cells with IC_{50} of 35.2 and 59.2 nM, respectively.

LY2801653 inhibited growth of a panel of MET mutated variant clones with an IC_{50} ranging from 3-fold more potent (V1092I) to approximately 6-fold less potent (L1195V) compared with the growth inhibition of cells with the MET wild-type sequence.

LY2801653 demonstrated more potent anti-proliferative activity in cell lines with MET gene amplification (MKN45, Hs746T and H1993) than the cell lines without MET gene amplification (U-87MG, KATO-III).

LY2801653 (12 mg/kg, BID, oral) demonstrated in vivo anti-tumor activity in MET-dependent U-87MG and H441 xenograft models.

References

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Wu W, et al. Clin Cancer Res. 2013 Oct 15;19(20):5699-710.

Kawada I, et al. Cancer Res. 2014 Feb 1;74(3):884-95.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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