

Tandutinib

Catalog No: tcsc0128



Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g



Specifications

CAS No:

387867-13-2

Formula:

$C_{31}H_{42}N_6O_4$

Pathway:

Protein Tyrosine Kinase/RTK

Target:

FLT3

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 36 mg/mL (63.98 mM)

Alternative Names:

MLN518;CT53518

Observed Molecular Weight:

562.7

Product Description

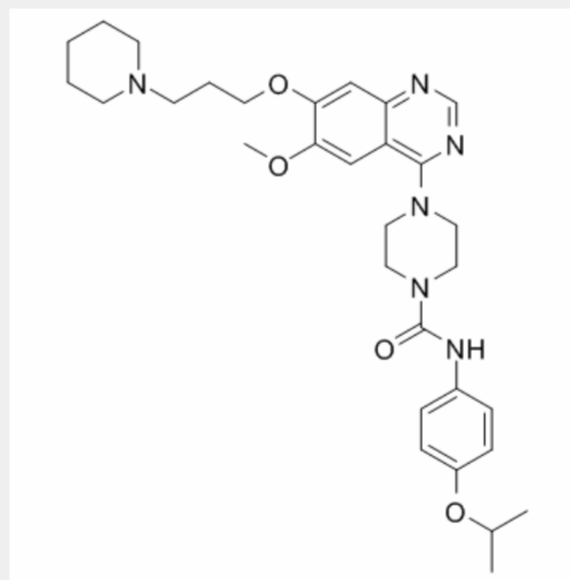
Tandutinib (MLN518, CT53518) is a potent FLT3 antagonist with IC50 of 0.22 μ M, also inhibits PDGFR and c-Kit, 15 to 20-fold higher potency for FLT3 versus CSF-1R and >100-fold selectivity for the same target versus FGFR, EGFR and KDR.

IC50 value: 0.22 μ M [1]

Target: Flt3; PDGFR β ; c-Kit

in vitro: Tandutinib has little activity against EGFR, FGFR, KDR, InsR, Src, Abl, PKC, PKA and MAPKs. Tandutinib inhibits IL-3-independent cell growth and FLT3-ITD autophosphorylation with an IC50 of 10-100 nM. Tandutinib also inhibits the proliferation of human leukemia Ba/F3 cells containing FLT3-ITD mutations with IC50 values of 10-30 nM, and the FLT3-ITD-positive Molm-13 and Molm-14 cells with an IC50 of 10 nM. In FLT3-ITD-positive Molm-14 cells but not the FLT3-ITD-negative THP-1 cells, Tandutinib treatment leads to significant apoptosis by 51% and 78% at 24 and 96 hours, respectively, due to specific FLT3 inhibition [1]. Tandutinib preferentially inhibits the growth of blast colonies from FLT3 ITD-positive compared with ITD-negative patients with AML, without affecting colony formation by normal human progenitor cells [2].

in vivo: Oral administration of Tandutinib at 60 mg/kg bid significantly increases the survival in mice bearing Ba/F3 cells expressing W51 FLT3-ITD mutant, and gives a significant reduction in mortality in a mouse bone marrow transplantation model [1]. Tandutinib treatment at 180 mg/kg twice daily has mild toxicity toward normal hematopoiesis, however, it is a dose at which Tandutinib is effective in treating FLT3 ITD-positive leukemia in mice [2].



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