

Gandotinib

Catalog No: tcsc0426



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1229236-86-5

Formula:

$C_{23}H_{25}ClFN_7O$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

VEGFR;FLT3;JAK;JAK;JAK;FGFR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

LY2784544

Observed Molecular Weight:

469.94

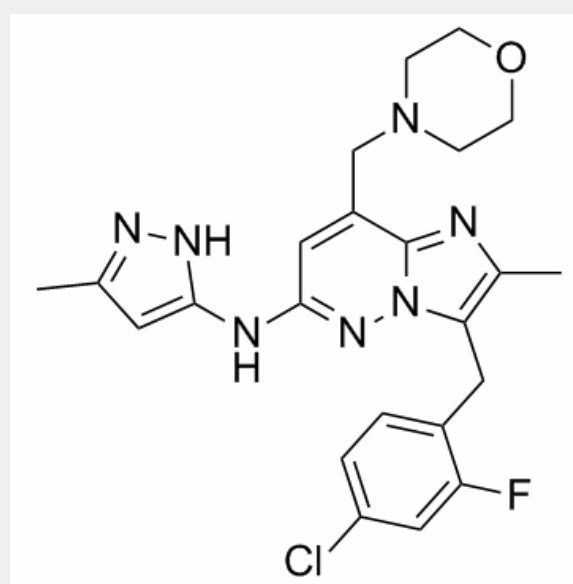
Product Description

Gandotinib (LY2784544) is a potent **JAK2** inhibitor with **IC₅₀** of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC₅₀ of 4, 25, 32, 44, and 95 nM.

IC50 & Target: IC50: 2.52±0.49 nM (JAK2), 19.8±3 nM (JAK1), 48±14.9 nM (JAK3), 4 nM (FLT3), 32 nM (FGFR2)^[1]

In Vitro: Gandotinib (LY2784544), a potent, selective and ATP-competitive inhibitor of janus kinase 2 (JAK2) tyrosine kinase. LY2784544 effectively inhibits JAK2V617F-driven signaling and cell proliferation in Ba/F3 cells (IC₅₀=20 and 55 nM, respectively). In comparison, Gandotinib (LY2784544) is much less potent at inhibiting interleukin-3-stimulated wild-type JAK2-mediated signaling and cell proliferation (IC₅₀=1183 and 1309 nM, respectively). Gandotinib (LY2784544) potently inhibits the JAK2V617F signaling (IC₅₀=20 nM) but, remarkably, shows very minimal activity against the IL-3-activated wild-type JAK2 signaling with an IC₅₀ of 1183 nM. LY2784544 inhibits the proliferation of JAK2V617F-expressing cells (IC₅₀=55 nM) and is markedly less potent as an inhibitor of the proliferation of IL-3-stimulated wild-type JAK2 expressing Ba/F3 cells (IC₅₀=1309 nM). Gandotinib (LY2784544) is potent in the cell-based TF-1 JAK2 assay (IC₅₀=45 nM) and had the desired threshold selectivity in the NK-92 JAK3/JAK1 heterodimer assay (942 nM)^[1].

In Vivo: Gandotinib (LY2784544) effectively inhibits STAT5 phosphorylation in Ba/F3-JAK2V617F-GFP (green fluorescent protein) ascitic tumor cells (TED₅₀=12.7 mg/kg) and significantly reduces (P50=13.7 mg/kg, twice daily)^[1].



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