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

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Product Description

Gandotinib (LY2784544) is a potent **JAK2** inhibitor with **IC_{50}** of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC_{50} 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 (IC_{50}=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 (IC_{50}=1183 and 1309 nM, respectively). Gandotinib (LY2784544) potently inhibits the JAK2V617F signaling (IC_{50}=20 nM) but, remarkably, shows very minimal activity against the IL-3-activated wild-type JAK2 signaling with an IC_{50} of 1183 nM. LY2784544 inhibits the proliferation of JAK2V617F-expressing cells (IC_{50}=55 nM) and is markedly less potent as an inhibitor of the proliferation of IL-3-stimulated wild-type JAK2 expressing Ba/F3 cells (IC_{50}=1309 nM). Gandotinib (LY2784544) is potent in the cell-based TF-1 JAK2 assay (IC_{50}=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 (TED_{50}=12.7 mg/kg) and significantly reduces (P50=13.7 mg/kg, twice daily)[1].