

# 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

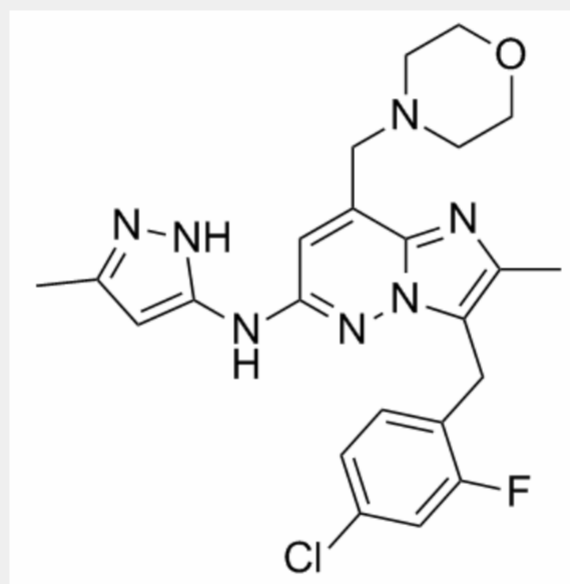
## Product Description

Gandotinib (LY2784544) is a potent **JAK2** inhibitor with **IC<sub>50</sub>** of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC<sub>50</sub> 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)<sup>[1]</sup>

**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<sub>50</sub>=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<sub>50</sub>=1183 and 1309 nM, respectively). Gandotinib (LY2784544) potently inhibits the JAK2V617F signaling (IC<sub>50</sub>=20 nM) but, remarkably, shows very minimal activity against the IL-3-activated wild-type JAK2 signaling with an IC<sub>50</sub> of 1183 nM. LY2784544 inhibits the proliferation of JAK2V617F-expressing cells (IC<sub>50</sub>=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<sub>50</sub>=1309 nM). Gandotinib (LY2784544) is potent in the cell-based TF-1 JAK2 assay (IC<sub>50</sub>=45 nM) and had the desired threshold selectivity in the NK-92 JAK3/JAK1 heterodimer assay (942 nM)<sup>[1]</sup>.

**In Vivo:** Gandotinib (LY2784544) effectively inhibits STAT5 phosphorylation in Ba/F3-JAK2V617F-GFP (green fluorescent protein) ascitic tumor cells (TED<sub>50</sub>=12.7 mg/kg) and significantly reduces (P50=13.7 mg/kg, twice daily)<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!