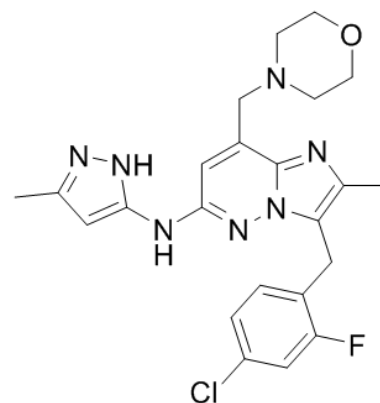


**Product Name** : LY2784544  
**Cat. No.** : PC-43343  
**CAS No.** : 1229236-86-5  
**Molecular Formula** : C<sub>23</sub>H<sub>25</sub>ClFN<sub>7</sub>O  
**Molecular Weight** : 469.9423  
**Target** : JAK  
**Solubility** : 10 mM in DMSO



## Biological Activity

LY2784544 (Gandotinib) is a potent, selective and ATP-competitive inhibitor of **JAK2 V617F**, effectively inhibits JAK2V617F-driven signaling and cell proliferation in Ba/F3 cells (IC<sub>50</sub>=20 and 55 nM, respectively).

LY2784544 inhibits JAK2 and JAK3 in biochemical assays with IC<sub>50</sub> of 3 nM and 48 nM, respectively.

LY2784544 is much less potent at inhibiting IL-3-stimulated wild-type JAK2-mediated signaling and cell proliferation (IC<sub>50</sub>=1183 and 1309 nM, respectively).

LY2784544 effectively inhibits STAT5 phosphorylation in Ba/F3-JAK2V617F-GFP ascitic tumor cells (TED<sub>50</sub>=12.7 mg/kg) and significantly reduces Ba/F3-JAK2V617F-GFP tumor burden in the JAK2V617F-induced MPN model.

LY2784544 also is a potent **GPR39** agonist with pEC<sub>50</sub> of 9.36 (at 316 uM ZnCl<sub>2</sub>).

## References

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Telford BJ, et al. *Mol Cancer Ther.* 2015 May;14(5):1213-23.

Gunerka P, et al. *Eur J Pharmacol.* 2015 Oct 15;765:188-97.

Sato S, et al. *Mol Pharmacol.* 2016 Dec;90(6):726-737.

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

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