



PF-06651600

Catalog No: tcsc0020243

Available Sizes
Size: 5mg
Size: 10mg
Size: 25mg
Size: 50mg
Specifications
<b>CAS No:</b> 1792180-81-4
Formula: C <sub>15</sub> H <sub>19</sub> N <sub>5</sub> O
Pathway: Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling
<b>Target:</b> JAK;JAK;JAK
Purity / Grade: >98%
Solubility: DMSO : 150 mg/mL (525.69 mM; Need ultrasonic and warming)
Observed Molecular Weight: 285.34

## **Product Description**

PF-06651600 is a potent **JAK3**-selective inhibitor with an  ${
m IC}_{f 50}$  of 33.1 nM.



IC50 & Target: IC50: 33.1 nM (JAK3)<sup>[1]</sup>

In Vitro: PF-06651600 is a potent JAK3-selective inhibitor which can inhibit the JAK3 kinase activity with an IC $_{50}$  of 33.1 nM but without activity (IC $_{50}$ >10 000 nM) against JAK1, JAK2, and TYK2. PF-06651600 inhibits the phosphorylation of STAT5 elicited by IL-2, IL-4, IL-7, and IL-15 with IC $_{50}$  values of 244, 340, 407, and 266 nM, respectively. PF-06651600 also inhibits the phosphorylation of STAT3 elicited by IL-21 with an IC $_{50}$  of 355 nM. Functional assessment in T-cell differentiation assays demonstrate that PF-06651600 suppresses Th1 and Th17 differentiation as measured by IFNγ, after 5 days under Th1 conditions, and IL-17 production, after 6 days under Th17 conditions, with IC $_{50}$  values of 30 nM and 167 nM, respectively. PF-06651600 also suppresses Th1 and Th17 function as measured by the inhibition of IFNγ production (IC $_{50}$ =48 nM) and IL-17 production (IC $_{50}$ =269 nM) in cells that have been previously differentiated and rested before being treated with PF-06651600<sup>[1]</sup>.

In Vivo: In the rat adjuvant-induced arthritis (AIA) model, PF-06651600 reduces paw swelling with an unbound EC<sub>50</sub> of 169 nM. Similarly, PF-06651600 significantly reduces disease severity in the experimental autoimmune encephalomyelitis (EAE) mouse model when dosed either therapeutically at 30 or 100 mg/kg or prophylactically at 20 and 60 mg/kg. The efficacy of PF-06651600 in these two rodent models of inflammatory and autoimmune diseases illustrates that JAK3-selective inhibition can be sufficient to have disease modifying effects in human diseases<sup>[1]</sup>.

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