

# PF-06651600

Catalog No: tcsc0020243



## Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg



## Specifications

**CAS No:**

1792180-81-4

**Formula:**

$C_{15}H_{19}N_5O$

**Pathway:**

Epigenetics; Stem Cell/Wnt; JAK/STAT Signaling

**Target:**

JAK; JAK; JAK

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 150 mg/mL (525.69 mM; Need ultrasonic and warming)

**Observed Molecular Weight:**

285.34

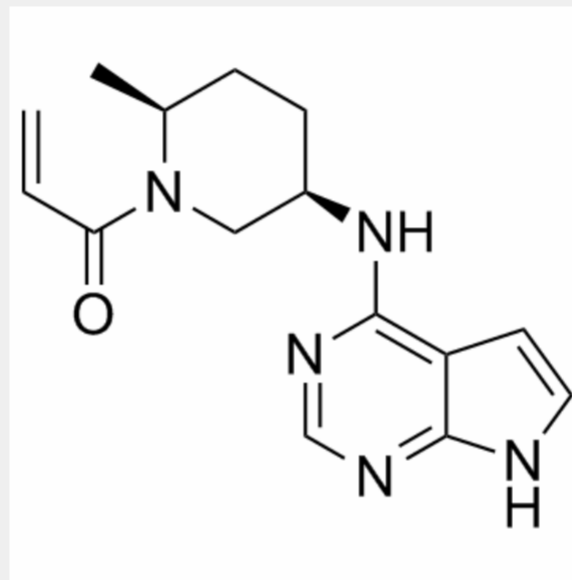
## Product Description

PF-06651600 is a potent **JAK3**-selective inhibitor with an **IC<sub>50</sub>** 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<sub>50</sub> of 33.1 nM but without activity (IC<sub>50</sub> > 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<sub>50</sub> values of 244, 340, 407, and 266 nM, respectively. PF-06651600 also inhibits the phosphorylation of STAT3 elicited by IL-21 with an IC<sub>50</sub> of 355 nM. Functional assessment in T-cell differentiation assays demonstrate that PF-06651600 suppresses Th1 and Th17 differentiation as measured by IFN $\gamma$ , after 5 days under Th1 conditions, and IL-17 production, after 6 days under Th17 conditions, with IC<sub>50</sub> values of 30 nM and 167 nM, respectively. PF-06651600 also suppresses Th1 and Th17 function as measured by the inhibition of IFN $\gamma$  production (IC<sub>50</sub> = 48 nM) and IL-17 production (IC<sub>50</sub> = 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!