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

Tofacitinib (citrate)

Catalog No: tcsc0928

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Specifications

CAS No:
540737-29-9

Formula:
$C_{22}H_{28}N_6O_8$

Pathway:
Epigenetics;Stem Cell/Wnt;JAK/STAT Signaling

Target:
JAK;JAK;JAK

Purity / Grade:
>98%

Solubility:

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DMSO : ≥ 122.5 mg/mL (242.82 mM); H2O : 5 mg/mL (9.91 mM; Need ultrasonic and warming)

Alternative Names:
Tasocitinib citrate;CP-690550 citrate

Observed Molecular Weight:
504.49

Product Description

Tofacitinib citrate inhibits **JAK3** with **IC_{50}** of 1 nM while inhibiting **JAK2**, **JAK1**, Rock-II and Lck with **IC_{50}** values of 20 nM, 112 nM, 3,400 nM and 3,870 nM, respectively.

IC50 & Target: IC50: 1 nM (JAK3), 20 nM (JAK2), 112 nM (JAK1)[1]

In Vitro: Tofacitinib (CP-690550) citrate binds potentially at JAK3 and JAK2 as 2.2 nM and 5 nM (K_d). The report includes additional binding for Tofacitinib at Camk1 (K_d of 5,000 nM), DCamkL3 (K_d of 4.5 nM), Mst2 (K_d of 4,300 nM), Pkn1 (K_d of 200 nM), Rps6ka2 (Kin.Dom.2-C-terminal) (K_d of 1,400 nM), Rps6ka6 (Kin.Dom.2-C-terminal) (K_d of 1,200 nM), Snark (K_d of 420 nM), Tnk1 (K_d of 640 nM) and Tyk2 (K_d of 620 nM)[1]. K562, KCL22, and THP-1 cells are exposed to different doses of Imatinib (IMA) or JAK inhibitors for 72 h to quantify the effects of tyrosine kinase inhibitor (TKI) activity. Cell growth inhibition is then evaluated using the MTT assay. The proliferation of K562 and KCL22 cells, but not THP-1 cells, is inhibited by IMA in a concentration-dependent manner. The IC_{50} value of IMA is 0.28 μM for K562 and 0.17 μM for KCL22. Although treatment with Tofacitinib (TOF) or Ruxolitinib (RUX) alone does not suppress cell proliferation, both Tofacitinib and Ruxolitinib make the K562 and KCL22 more sensitive to IMA[4].

In Vivo: Animals that are treated with Tofacitinib show a significantly lower production of anti-drug antibodies (ADAs) compare with PEG-treated control mice (for five weeks after initial immunization, p[2]. Based on previous dose-response studies, a daily dose of Tofacitinib of 6.2 mg/kg is selected to provide 80% inhibition of hind paw volume and plasma exposure capable of suppressing the JAK1 and JAK3 signaling pathways for >4 hours[3].