

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:

DMSO : \geq 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

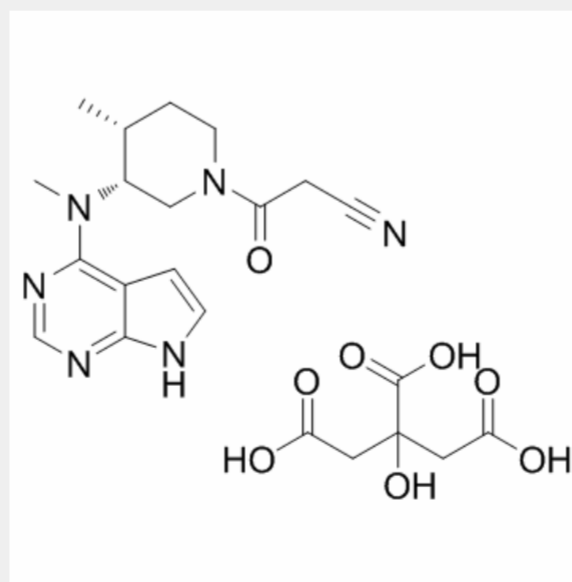
Product Description

Tofacitinib citrate inhibits **JAK3** with **IC₅₀** of 1 nM while inhibiting **JAK2**, **JAK1**, Rock-II and Lck with **IC₅₀** 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 **IC₅₀** value of IMA is 0.28 μ M for K562 and 0.17 μ M 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].



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