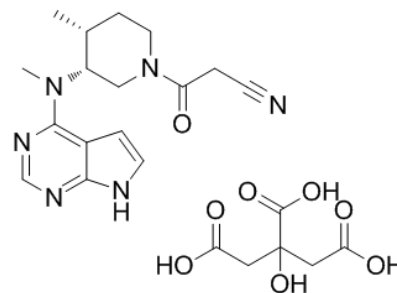


Product Name : Tofacitinib citrate
Cat. No. : PC-45817
CAS No. : 540737-29-9
Molecular Formula : C₂₂H₂₈N₆O₈
Molecular Weight : 504.4931
Target : JAK
Solubility : DMSO: ≥ 122.5 mg/mL



Biological Activity

A potent, specific, orally active inhibitor of JAK3 with IC₅₀ of 1 nM in cell-free assays; displays 20- to 100-fold less potency for JAK2 and JAK1 (IC₅₀=20 nM and 112 nM, respectively), and shows no potent activity against 30 other kinases at >3 μM (CaMK1, ROCK2, Lck, MST2, etc.); effectively inhibits a murine mixed lymphocyte reaction (MLR) with IC₅₀ of 91 nM, significantly prolongs survival in a murine model of heart transplantation and in cynomolgus monkeys receiving kidney transplants.

Rheumatoid Arthritis

Approved

References

Changelian PS, et al. Science. 2003 Oct 31;302(5646):875-8.

Jiang JK, et al. J Med Chem. 2008 Dec 25;51(24):8012-8.

Kudlacz E, et al. Am J Transplant. 2004 Jan;4(1):51-7.

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

E-mail: tech@probechem.com