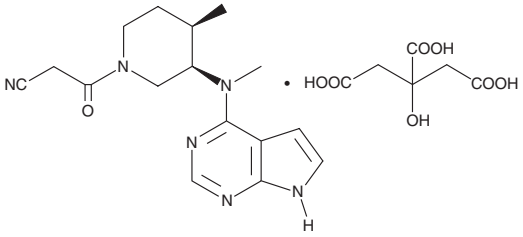
PRODUCT INFORMATION

Tofacitinib (citrate)

Item No. 11598

CAS Registry No.:	540737-29-9
Formal Name:	(3R,4R)-4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4R-ylamino)-β-oxo-1-piperidinepropanenitrile, 2-hydroxy-1,2,3-propanetricarboxylate
Synonym:	CP 690,550
MF:	$C_{16}H_{20}N_6O \bullet C_6H_8O_7$
FW:	504.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 287 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tofacitinib (citrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the tofacitinib (citrate) in the solvent of choice, which should be purged with an inert gas. Tofacitinib (citrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of tofacitinib (citrate) in these solvents is approximately 10 and 5 mg/ml, respectively.

Tofacitinib (citrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tofacitinib (citrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tofacitinib (citrate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tofacitinib is a potent, cell-permeable inhibitor of all JAK isoforms (IC_{50}s = 6.1, 12, and 8 nM for JAK1, JAK2, and JAK3, respectively).[1] It is selective for JAK1-3 over ROCK2 and Lck (IC_{50}s = 3,400 and 3,870 nM, respectively) as well as 28 additional kinases in enzyme assays (IC_{50}s = >10,000 nM). It inhibits IL-2-mediated phosphorylation of JAK3 and STAT5 when used at a concentration of 30 ng/ml.[2] Tofacitinib prevents rejection and prolongs survival in murine and cynomolgus monkey models of heterotopic heart and kidney transplantation, respectively. Formulations containing tofacitinib have been used in the prevention of organ allograft rejection as well as in the treatment of the inflammatory or autoimmune components of a host of diseases, including rheumatoid arthritis and ulcerative colitis.[2-5]

References

1. Haan, C., Rolvering, C., Raulf, F., *et al. Chem. Biol.* **18(3)**, 314-323 (2011).
2. Changelian, P.S., Flanagan, M.E., Ball, D.J., *et al. Science* **302(5646)**, 875-878 (2003).
3. Flanagan, M.E., Blumenkopf, T.A., Brissette, W.H., *et al. J. Med. Chem.* **53(24)**, 8468-8484 (2010).
4. Cutolo, M. *Ther. Adv. Musculoskelet. Dis.* **5(1)**, 3-11 (2013).
5. Sandborn, W.J., Ghosh, S., Panes, J., *et al. N. Engl. J. Med.* **367(7)**, 616-624 (2012).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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