

## Product Data Sheet

Product Name: Tofacitinib-d3 (citrate)

Cat. No.: GC49692

### Chemical Properties

Cas. No. N/A

SMILES O=C(CC#N)N1CC[C@@H](C)[C@@H](N(C([2H]))([2H])[2H])C2=NC=NC3=C2C=CN3)C1.OC(CC(O)=O)(C(O)=O)CC(O)=O

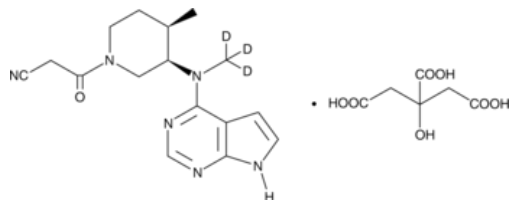
Formula C16H17D3N6O • C6H8O7 M.Wt 507.5

Solubility DMSO : 28.57 mg/mL (56.29 mM; Storage -20°C  
 Need ultrasonic); H<sub>2</sub>O : 3.33 mg/mL  
 (6.56 mM; Need ultrasonic)

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



### Background

Tofacitinib-d<sub>3</sub> (citrate) is intended for use as an internal standard for the quantification of tofacitinib by GC- or LC-MS. Tofacitinib is a potent, cell-permeable inhibitor of all JAK isoforms (IC<sub>50</sub>s = 6.1, 12, and 8 nM for JAK1, JAK2, and JAK3, respectively).<sup>1</sup> It is selective for JAK1-3 over ROCK-2 and Lck (IC<sub>50</sub>s = 3,400 and 3,870 nM, respectively) as well as 28 additional kinases in enzyme assays (IC<sub>50</sub>s = >10,000 nM). It inhibits IL-2-mediated phosphorylation of JAK3 and STAT5 when used at a concentration of 30 ng/ml.<sup>2</sup> 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,

**Caution: Product has not been fully validated for medical applications. For research use only.**

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including rheumatoid arthritis and ulcerative colitis.<sup>2,3,4,5</sup>

1.Haan, C., Rolvering, C., Raulf, F., et al.Jak1 has a dominant role over Jak3 in signal transduction through  $\gamma$ c-containing cytokine receptorsChem. Biol.18(3)314-323(2011) 2.Changelian, P.S., Flanagan, M.E., Ball, D.J., et al.Prevention of organ allograft rejection by a specific Janus kinase 3 inhibitorScience302(5646)875-878(2003) 3.Flanagan, M.E., Blumenkopf, T.A., Brissette, W.H., et al.Discovery of CP-690,550: A potent and selective Janus kinase (JAK) inhibitor for the treatment of autoimmune diseases and organ transplant rejectionJ. Med. Chem.53(24)8468-8484(2010) 4.Cutolo, M.The kinase inhibitor tofacitinib in patients with rheumatoid arthritis: Latest findings and clinical potentialTher. Adv. Musculoskelet. Dis.5(1)3-11(2013) 5.Sandborn, W.J., Ghosh, S., Panes, J., et al.Tofacitinib, an oral Janus kinase inhibitor, in active ulcerative colitisN. Engl. J. Med.367(7)616-624(2012)

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