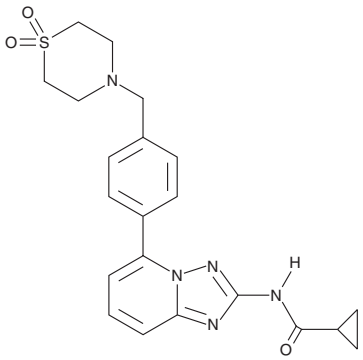
PRODUCT INFORMATION

Filgotinib

Item No. 17669

CAS Registry No.:	1206161-97-8
Formal Name:	N-[5-[4-[(1,1-dioxido-4-thiomorpholinyl)methyl]phenyl][1,2,4]triazolo[1,5-α]pyridin-2-yl]-cyclopropanecarboxamide
Synonym:	GLPG0634
MF:	$C_{21}H_{23}N_5O_3S$
FW:	425.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 300 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

Filgotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the filgotinib in the solvent of choice. Filgotinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of filgotinib in these solvents is approximately 15 mg/ml.

For maximum solubility in aqueous buffers, filgotinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Filgotinib has a solubility of approximately 0.15 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Filgotinib is a JAK1 inhibitor (IC_{50} = 10 nM).[1] It is selective for JAK1 over JAK3 (IC_{50} = 810 nM) but also inhibits JAK2 and tyrosine kinase 2 (Tyk2; IC_{50}s = 28 and 116 nM, respectively), as well as Abl, FLT1, -3, and -4, FMS, Mer, and TBK1 activity by greater than 35% in a panel of 177 tyrosine kinases at 1 µM. Filgotinib inhibits IL-6-induced phosphorylation of STAT1 in $CD4^+$ T cells with an IC_{50} value of 629 nM in isolated human whole blood. It reduces hind paw macrophage and T cell infiltration and bone erosion in a rat model of collagen-induced arthritis when administered at doses ranging from 0.1 to 30 mg/kg per day for 15 days.

Reference

1. Van Rompaey, L., Galien, R., van der Aar, E.M., *et al.* Preclinical characterization of GLPG0634, a selective inhibitor of JAK1, for the treatment of inflammatory diseases. *J. Immunol.* **191(7),** 3568-3577 (2013).

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