PRODUCT INFORMATION



Filgotinib-d₄ Item No. 28875

CAS Registry No.: 2041095-50-3

Formal Name: N-[5-[4-[(1,1-dioxido-4-thiomorpholinyl)

> methyl]phenyl][1,2,4]triazolo[1,5-a]pyridin-2-yl]-cyclopropane-2,2,3,3-d₄-carboxamide

Synonym: GLPG0634-d₄ $C_{21}H_{19}D_4N_5O_3S$ 429.5 MF:

FW:

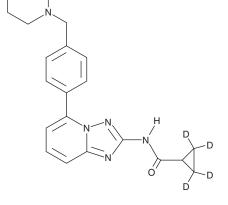
Chemical Purity: ≥98% (Filgotinib)

Deuterium

Incorporation: ≥99% deuterated forms (d_1-d_4) ; ≤1% d_0

Supplied as: A solid -20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Filgotinib- d_{α} is intended for use as an internal standard for the quantification of filgotinib (Item No. 17669) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Filgotinib- d_{a} is supplied as a solid. A stock solution may be made by dissolving the filgotinib- d_{a} in the solvent of choice, which should be purged with an inert gas. Filgotinib- d_a is soluble in DMSO and acetonitrile:methanol (1:1).

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 AbI, 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 IC₅₀ 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).

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

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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