

Product Data Sheet

Product Name: GLPG0634 analogue

Cat. No.: GC17222

Chemical Properties

Cas. No. 1206101-20-3

Chemical Name (E)-N-(5-(4-((6-cyanopyridin-3-yl)methoxy)phenyl)-[1,2,4]triazolo[1,5-a]pyridin-2(3H)-ylidene)cyclopropanecarboxamide

SMILES N#CC1=NC=C(COC2=CC=C(C3=CC=CC4=N/C(NN34)=N\C(C5CC5)=O)C=C2)C=C1

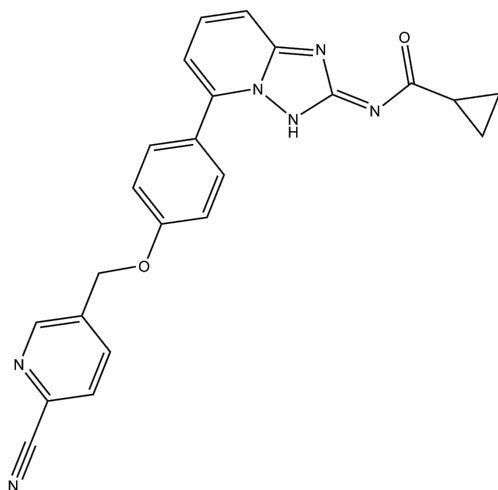
Formula $C_{23}H_{18}N_6O_2$ M.Wt 410.43

Solubility $\geq 31.67\text{mg/mL}$ in DMSO with gentle warming Storage 4°C , protect from light, stored under nitrogen

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

GLPG0634 is a potent and selective inhibitor of JAK1 with IC_{50} values of 10, 28, 810 and 116 nM for JAK1, JAK2, JAK3 and TYK2, respectively [1].

Janus kinase 1 (JAK1) is a member of the JAK family and is a non-receptor tyrosine kinase. JAKs

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

play an important role in the immune system. JAK1 is a potential target for the treatment of immune-inflammatory diseases [1].

GLPG0634 is a potent and selective JAK1 inhibitor. GLPG0634 inhibited JAK1, JAK2, JAK3 and TYK2 with IC₅₀ values of 10, 28, 810 and 116 nM, respectively. In CD4⁺ T cells, GLPG0634 significantly reduced IL-6-induced STAT1 phosphorylation with IC₅₀ value of 629 nM, which suggested the inhibition of JAK1. In CD33⁺ T cells, GLPG0634 reduced GM-CSF-induced STAT5 phosphorylation with IC₅₀ value of 17.5 μ M, suggesting the inhibition of JAK2. GLPG0634 inhibited the differentiation of Th1 and Th2 cells mediated by IL-4 and IL-12, respectively. Also, GLPG0634 inhibited Th17 differentiation induced by TGF- β , IL-23, IL-6 and IL-1 β [1].

In rats, GLPG0634 significantly reduced the mRNA levels of Mx2, which were modulated by IFN- α -JAK1/TYK2 signaling. In collagen-induced arthritis (CIA) rat model, GLPG0634 significantly reduced the infiltration of inflammatory cells and reduced the levels of IL-6, IP-10, XCL1 and MCP-1 [1].

Reference:

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA