

Product Data Sheet

Product Name: JAK3-IN-1

Cat. No.: GC33046

Chemical Properties

Cas. No. 1805787-93-2

SMILES O=C(C=C)NC1=CC(CNC2=NC(NC3=C(OC)C=C(N4CCN(C)CC4)C=C3)=NC=C2Cl)=CC=C1

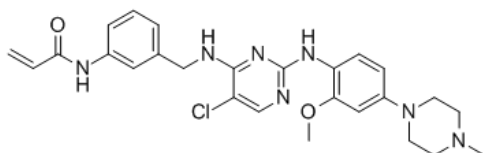
Formula C26H30ClN7O2 M.Wt 508.02

Solubility DMSO : ≥ 47 mg/mL (92.52 mM) Storage Store at -20°C

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

JAK3-IN-1 is a potent JAK3 inhibitor with IC₅₀ of 4.8 nM, also inhibits JAK1 (IC₅₀ = 896 nM) and JAK2 (IC₅₀ = 1050 nM). IC₅₀ value: 4.8 nM [1] Target: JAK3 in vitro: JAK3-IN-1 provides a set of useful tools to pharmacologically interrogate JAK3-dependent biology. JAK3-IN-1 completely inhibits IL-4 induced p-STAT6 at a concentration of 500 nM and only partially inhibits IFN β -induced p-STAT1 at a concentration of 5.0 μ M. In a similar way, JAK3-IN-1 exhibits consistent potency and selectivity for JAK3 in leukemia cancer cell lines: TALL-1 and OCL-AML5. [1] in vivo: JAK3-IN-1 demonstrates

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

reasonable pharmacokinetic properties, with moderate T_{1/2} of 1.4 h, area under the curve (AUC) value of 795 ng.h/mL following a 10 mg/kg oral dose and good oral bioavailability of 66%. [1]

[1]. Tan L, et al. Development of Selective Covalent Janus Kinase 3 Inhibitors. J Med Chem. 2015 Aug 27;58(16):6589-6606.

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