

---

**Product Data Sheet**

---

Product Name: JAK-IN-1  
Cat. No.: GC31999

**Chemical Properties**

Cas. No. 1334673-53-8

SMILES O=C(C1=CNC2=NC=C(C3CC3)N=C21)N[C@@H](C(N4CC(C#N)C4)=O)C(C)(C)C

Formula  $C_{20}H_{24}N_6O_2$  M.Wt 380.44

Solubility DMSO : 66.67 mg/mL (175.24 mM; Need ultrasonic) 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

**Protocol****Cell experiment:**

Carboxyfluorescein succinimidyl ester (CFSE)-labeled human PBMCs were exposed to JAK-IN-1 prior to stimulation with anti-CD3/anti-CD28 antibodies. Cell proliferation was then measured by CFSE dilutions as detected by flow cytometry in CD4 positive and CD8 positive T cells after staining with fluorochrome-conjugated antibodies[1]

**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

---

### Animal experiment:

Mice[1]Adult (10–12 weeks old) C57BL/6 mice are treated with JAK-IN-1 (0.3, 1, 3, 10, 30, and 100 mg/kg). Mice received a single oral suspension dose of JAK-IN-1 or vehicle alone. Two hours after treatment by oral gavage, mice were euthanized for collecting whole blood in heparinized tubes[1].

### References:

[1]. Soth M, et al. 3-Amido pyrrolopyrazine JAK kinase inhibitors: development of a JAK3 vs JAK1 selective inhibitor and evaluation in cellular and in vivo models. J Med Chem. 2013 Jan 10;56(1):345-56.

### Background

JAK-IN-1 is a JAK1/2/3 inhibitor with IC50s of 0.26, 0.8 and 3.2 nM, respectively. JAK-IN-1 shows improved selectivity for JAK3 over JAK1.

JAK-IN-1 inhibits the proliferation of human CD4 and CD8 T cells in a dose-dependent manner upon stimulation by anti-CD3/anti-CD28 antibody-coated beads partially mimicking the activation signals brought to a Tcell by an antigen-presenting cell. JAK-IN-1 is active in both mechanistic and functional cell-based assays using T-cells, one of the major cell types in which JAK3 is potentially relevant[1].

JAK-IN-1 is JAK3 selective in vivo, as judged by higher potency inhibiting JAK1/JAK3- vs JAK2- or JAK1/JAK2/TYK2-driven signaling in whole blood assays. JAK-IN-1 potently inhibits IL-2 stimulated plasma concentrations of JAK-IN-1 for each dose. JAK-IN-1 prevents IL-2-driven STAT5 phosphorylation in a dose- and concentration-dependent manner, with approximately 50% inhibition observed at the 10 mg/kg dose (plasma concentration ~480 nM)[1].

**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

---

[1]. Soth M, et al. 3-Amido pyrrolopyrazine JAK kinase inhibitors: development of a JAK3 vs JAK1 selective inhibitor and evaluation in cellular and in vivo models. J Med Chem. 2013 Jan 10;56(1):345-56.

**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**