# JAK2-IN-7

**Cat. No.:** HY-131906

**CAS No.:** 2593402-36-7

**Molecular Formula:** $C_{26}H_{33}N_7O$

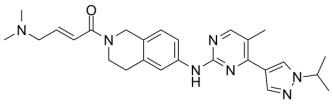
**Molecular Weight:** 459.59

**Target:** JAK; FLT3; Apoptosis

**Pathway:** Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Apoptosis

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 250 mg/mL (543.96 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.1759 mL | 10.8793 mL | 21.7585 mL |
| 5 mM | 0.4352 mL | 2.1759 mL | 4.3517 mL |
| 10 mM | 0.2176 mL | 1.0879 mL | 2.1759 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

JAK2-IN-7 is a selective JAK2 inhibitor with $IC_{50}$s of 3, 11.7, and 41 nM for JAK2, SET-2, and Ba/$F3^{V617F}$ cells, respectively. JAK2-IN-7 possesses >14-fold selectivity over JAK1, JAK3, FLT3. JAK2-IN-7 stimulates cell cycle arrest in the G0/G1 phase and induces tumor cellapoptosis. Antitumor activities[1].

**$IC_{50}$ & Target**

| JAK1 | JAK2 | JAK3 | Tyk2 |
|---|---|---|---|
| 42 nM ($IC_{50}$) | 3 nM ($IC_{50}$) | 94 nM ($IC_{50}$) | 75 nM ($IC_{50}$) |

| | |
|---|---|
| | FLT3<br>62 nM ($IC_{50}$) |
| **In Vitro** | JAK2-IN-7 (compound 13ac) (0-1000 nM; 2 hours) inhibits JAK2 and STAT5 phosphorylation in a dose-dependent manner in SET-2 and Ba/F3-JAK2$^{V617F}$ cells[1].<br>JAK2-IN-7 (10-160 nM; 24 hours) induces cell arrest in the G0/G1 phase[1].<br>JAK2-IN-7 (0.05-1.6 μM; 2 hours) induces apoptosis in SET-2 cells[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

Cell Cycle Analysis[1]

| | |
|---|---|
| Cell Line: | SET-2 cells |
| Concentration: | 10-160 nM |
| Incubation Time: | 24 hours |
| Result: | Induced cell arrest in the G0/G1 phase in a concentration-dependent manner. |

Apoptosis Analysis[1]

| | |
|---|---|
| Cell Line: | SET-2 cells |
| Concentration: | 0.05-1.6 μM |
| Incubation Time: | 2 hours |
| Result: | Induced apoptosis in SET-2 cells. |

**In Vivo**

JAK2-IN-7 (15-60 mg/kg; p.o.; daily for 16 days) shows potent in vivo antitumor efficacy with 82.3% tumor growth inhibition in the SET-2 xenograft model[1].
JAK2-IN-7 (30-60 mg/kg; p.o.; q.d. for 16 day) significantly ameliorates the disease symptoms in a Ba/F3-JAK2V617F allograft model, with 77.1% normalization of spleen weight, which was more potent than Ruxolitinib[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | SET-2 cell-inoculated xenograft NOD/SCID mouse model[1] |
| Dosage: | 15, 30, and 60 mg/kg |
| Administration: | Orally daily for 16 days |
| Result: | Exhibited a significant tumor growth inhibition of 82.3% without obvious weight change. |

## REFERENCES

[1]. Yang T, et al. N-(Pyrimidin-2-yl)-1,2,3,4-tetrahydroisoquinolin-6-amine Derivatives as Selective Janus Kinase 2 Inhibitors for the Treatment of Myeloproliferative Neoplasms [published online ahead of print, 2020 Nov 30]. J Med Chem. 2020;10.1021/acs.jmedchem.0c01488.



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