# Fedratinib

**Cat. No.:** HY-10409

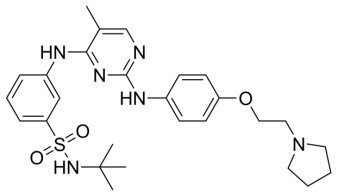
**CAS No.:** 936091-26-8

**Molecular Formula:** $C_{27}H_{36}N_6O_3S$

**Molecular Weight:** 525

**Target:** JAK; 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 | 2 years |
| | -20°C | 1 year |

## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 125 mg/mL (238.10 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.9048 mL | 9.5238 mL | 19.0476 mL |
| | 5 mM | 0.3810 mL | 1.9048 mL | 3.8095 mL |
| | 10 mM | 0.1905 mL | 0.9524 mL | 1.9048 mL |

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

**In Vivo**

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (19.05 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.87 mg/mL (5.47 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 2.87 mg/mL (5.47 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.96 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.96 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.96 mM); Clear solution

## BIOLOGICAL ACTIVITY

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|---|---|
| **Description** | Fedratinib (TG-101348) is a potent, selective, ATP-competitive and orally active JAK2 inhibitor with $IC_{50}$s of 3 nM for both JAK2 and JAK2V617F kinase. Fedratinib shows 35- and 334-fold selectivity over JAK1 and JAK3, respectively. Fedratinib induces cancer cell apoptosis and has the potential for myeloproliferative disorders research[1][2]. |

| **$IC_{50}$ & Target** | | | | |
|---|---|---|---|---|
| JAK2<br>3 nM ($IC_{50}$) | JAK2(V617F)<br>3 nM ($IC_{50}$) | Flt3<br>15 nM ($IC_{50}$) | Ret<br>48 nM ($IC_{50}$) |

**In Vitro**

Fedratinib (TG101348) inhibits proliferation of a human erythroblast leukemia (HEL) cell line that harbors the JAK2V617F mutation, as well as a murine pro-B cell line expressing human JAK2V617F (Ba/F3 JAK2V617F), with an $IC_{50}$ value of approximately 300 nM for either line. Proliferation of parental Ba/F3 cells was inhibited to a comparable level, with an $IC_{50}$ value of ⊠420 nM[1].

?Exposure of these cells to Fedratinib (TG101348) (0.1 μM, 0.3 μM, 1 μM, 3 μM, and 10 μM) reduces STAT5 phosphorylation at concentrations that parallel the concentrations required to inhibit cell proliferation[1].

?Fedratinib (TG101348) (0.1 μM, 0.3 μM, 1 μM, 3 μM, and 10 μM) induces apoptosis in both HEL and Ba/F3 JAK2V617F cells in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Fedratinib (TG101348; 60-120 mg/kg; oral gavage; twice daily; for 42 days; C57Bl/6 mice) shows a dose-dependent reduction in polycythemia and a marked dose-dependent reduction in splenomegaly of treated animals[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | C57Bl/6 mice induced by the JAK2V617F mutation[1] |
| Dosage: | 60 mg/kg, 120 mg/kg |
| Administration: | Oral gavage; twice daily; for 42 days |
| Result: | Showed a statistically significant reduction in hematocrit and leukocyte count, a dose-dependent reduction/elimination of extramedullary hematopoiesis. |

## CUSTOMER VALIDATION

- Nature. 2023 Jun;618(7963):151-158.
- Signal Transduct Target Ther. 2022 Feb 23;7(1):52.
- Signal Transduct Target Ther. 2020 Dec 26;5(1):295.
- Mol Cancer. 2023 May 20;22(1):86.
- Mol Cancer. 2021 May 29;20(1):80.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Wernig G, et al. Efficacy of TG101348, a selective JAK2 inhibitor, in treatment of a murine model of JAK2V617F-induced polycythemia vera. Cancer Cell. 2008 Apr;13(4):311-20.

[2]. Geron I, et al. Selective inhibition of JAK2-driven erythroid differentiation of polycythemia vera progenitors. Cancer Cell. 2008 Apr;13(4):321-30.

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

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