# Peficitinib

**Cat. No.:** HY-19568

**CAS No.:** 944118-01-8

**Molecular Formula:** $C_{18}H_{22}N_4O_2$

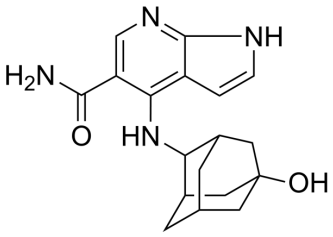
**Molecular Weight:** 326.39

**Target:** JAK

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

**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 : ≥ 60 mg/mL (183.83 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.0638 mL | 15.3191 mL | 30.6382 mL |
| | 5 mM | 0.6128 mL | 3.0638 mL | 6.1276 mL |
| | 10 mM | 0.3064 mL | 1.5319 mL | 3.0638 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: ≥ 2.5 mg/mL (7.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.66 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Peficitinib (ASP015K) is an orally active JAK inhibitor, with $IC_{50}$s of 3.9, 5.0, 0.7 and 4.8 nM for JAK1, JAK2, JAK3 and Tyk2, respectively[1].

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

| JAK3 | JAK1 | Tyk2 | JAK2 |
|---|---|---|---|
| 0.7 nM ($IC_{50}$) | 3.9 nM ($IC_{50}$) | 4.8 nM ($IC_{50}$) | 5 nM ($IC_{50}$) |

**In Vitro**

Peficitinib hydrobromide (0-100 nM; 3 days) inhibits IL-2-induced T cell proliferation in a concentration-dependent manner [1].

?Peficitinib hydrobromide (10-1000 nM) inhibits IL-2-induced STAT5 phosphorylation in a concentration-dependent manner

with a mean $IC_{50}$ of 124 nM in rat whole blood, and inhibits STAT5 phosphorylation with a mean $IC_{50}$ of 127 nM in human lymphocytes[1].

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

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | Splenocytes from male Lewis rats |
| Concentration: | 0-100 nM |
| Incubation Time: | 3 days |
| Result: | Inhibited IL-2-induced T cell proliferation in a concentration-dependent manner with an $IC_{50}$ of 10 nM. |

**In Vivo**

Peficitinib hydrobromide (1-30 mg/kg; p.o.; once daily for 24 days) shows dose-dependent efficacy both in prophylactic and therapeutic dosing regimens in an adjuvant-induced arthritis rat model[1].

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

| | |
|---|---|
| Animal Model: | Seven-weeks-old female Lewis rats, adjuvant-induced arthritis (AIA) model[1] |
| Dosage: | 1, 3, 10, and 30 mg/kg |
| Administration: | Oral administration, once daily for 24 days |
| Result: | Significantly inhibited the increase in paw volume at doses of 1 mg/kg or greater with an $ED_{50}$ value of 2.7 mg/kg (95% confidence interval: 1.5–4.2 mg/kg). Significantly reduced the bone destruction score at 10 mg/kg or greater and almost fully ameliorated both paw swelling and bone destruction scores at 30 mg/kg. |

## CUSTOMER VALIDATION

- Talanta. 2020 Feb 1;208:120450.
- Cells. 2019 Jun 9;8(6). pii: E561.
- Cancer Manag Res. 2018 Dec 28;11:389-399.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Ito M, et al. A novel JAK inhibitor, peficitinib, demonstrates potent efficacy in a rat adjuvant-induced arthritis model. J Pharmacol Sci. 2017 Jan;133(1):25-33.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA