Peficitinib

Cat. No.: HY-19568

CAS No.: 944118-01-8

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

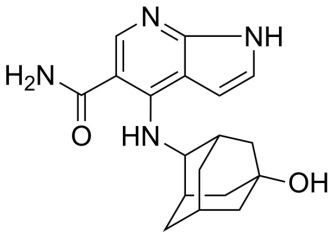
Molecular Weight: 326.39

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	2 years
	-20°C	1 year



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.
- Int Immunopharmacol. 2024 Mar 27:132:111931.
- Cancer Manag Res. 2018 Dec 28;11:389-399.

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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.

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