Peficitinib hydrobromide

Cat. No.: HY-19568A

CAS No.: 1353219-05-2

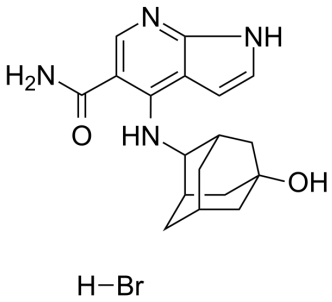
Molecular Formula: $C_{18}H_{23}BrN_4O_2$

Molecular Weight: 407.3

Target: JAK

Pathway: Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Peficitinib (ASP015K) hydrobromide 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.

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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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