NSC 42834

Cat. No.: HY-15480

CAS No.: 195371-52-9

Molecular Formula: $C_{23}H_{24}N_2O$

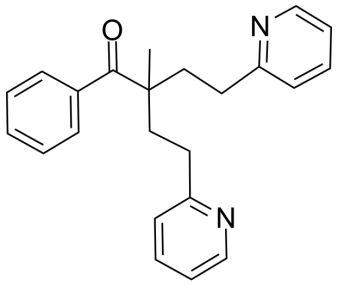
Molecular Weight: 344.45

Target: JAK

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

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (290.32 mM)

Ethanol : 100 mg/mL (290.32 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9032 mL	14.5159 mL	29.0318 mL
5 mM	0.5806 mL	2.9032 mL	5.8064 mL
10 mM	0.2903 mL	1.4516 mL	2.9032 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 (7.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NSC 42834 (JAK2 Inhibitor V), a novel specific inhibitor of Jak2, inhibits Jak2-V617F and Jak2-WT autophosphorylation in a dose-dependent manner but was not cytotoxic to cells at concentrations that inhibited kinase activity.

IC_{50} & Target

JAK2-WT	JAK2-V617F
15 μM (IC_{50})	28 μM (IC_{50})

In Vitro	NSC 42834 (JAK2 Inhibitor V) selectively inhibited Jak2 kinase function with no effect on Tyk2 or c-Src kinase function. NSC 42834 significantly inhibited proliferation of the Jak2-V617F-expressing, human erythroleukemia cell line, HEL 92.1.7. The NSC 42834-mediated reduction in cell proliferation correlated with reduced Jak2 and STAT3 tyrosine phosphorylation levels as well as marked cell cycle arrest. Finally, NSC 42834 inhibited the growth of hematopoietic progenitor cells isolated from the bone marrow of an essential thrombocythemia patient harboring the Jak2-V617F mutation and a polycythemia vera patient carrying a Jak2-F537I mutation. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Toxicology. 2022 Oct;480:153326.

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REFERENCES

[1]. Jacqueline Sayyah, Andrew Magis, David A. Ostrov, et al. Z3, a novel Jak2 tyrosine kinase small-molecule inhibitor that suppresses Jak2-mediated pathologic cell growth . Mol Cancer Ther 2008;7(8):2308-18.

[2]. Jacqueline Sayyah, Peter P. Sayeski. Jak2 inhibitors: Rationale and role as therapeutic agents in hematologic malignancies. Current Oncology Reports. 2009, 11(2): 117-124.

[3]. Ehab Atallah , Srdan Verstovsek . Prospect of JAK2 inhibitor therapy in myeloproliferative neoplasms. Expert Review of Anticancer Therapy. 2009,9 (5):663-670.

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