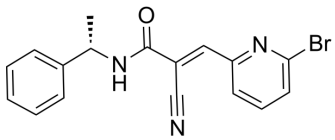
WP1066

Cat. No.:	HY-15312
CAS No.:	857064-38-1
Molecular Formula:	$C_{17}H_{14}BrN_3O$
Molecular Weight:	356.22
Target:	STAT; JAK; Apoptosis
Pathway:	JAK/STAT Signaling; Stem Cell/Wnt; Epigenetics; Protein Tyrosine Kinase/RTK; Apoptosis
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 : ≥ 44 mg/mL (123.52 mM)

Ethanol : 16.67 mg/mL (46.80 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8073 mL	14.0363 mL	28.0725 mL
5 mM	0.5615 mL	2.8073 mL	5.6145 mL
10 mM	0.2807 mL	1.4036 mL	2.8073 mL

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

BIOLOGICAL ACTIVITY

Description	WP1066 is an inhibitor of JAK2 and STAT3, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3.
IC_{50} & Target	JAK2 STAT3
In Vitro	WP1066 markedly inhibits the growth of HEL cells in a dose-dependent manner. The IC_{50} value for inhibition of the proliferation of HEL cells is 2.3 μM. WP1066 inhibits the growth of human HEL cells carrying the JAK2 V617F mutant isoform [1]. Blockade of p-STAT3 with WP1066 enhances the cytotoxic effects of CTX on the tumor. The IC_{50} doses of WP1066 for B16 cells is 2.43 μM (0.865 μg/mL)[2]. WP1066 inhibits AML blast colony-forming cell proliferation, suppresses normal BM progenitor proliferation at increased concentrations, and inhibits AML colony-forming cell proliferation[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	WP1066 (30 mg/kg, o.g.) exerts an additive effect to CTX inhibition of the p-STAT3 pathway within the tumor

	microenvironment[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Briefly, fresh low-density peripheral blood cells and various cell lines at the logarithmic phase of their growth are washed twice in RPMI 1640 containing 10% FCS and counted in a hemocytometer. Cell viability is assessed by the trypan blue (0.1%) staining method. Equal numbers of viable cells (5×10^4 per well) are incubated in a total volume of 100 μL of RPMI 1640 supplemented with 10% FCS alone or with WP1066 at increasing concentrations; the incubations are continued for up to 72 h in 96-well flat-bottomed plates at 37°C in a humidified 5% CO_2 atmosphere. Experiments for each condition are done in triplicate. After incubation, 20 μL of CellTiter96 One Solution Reagent are added to each well. The plates are then incubated for an additional 60 min at 37°C in a humidified 5% CO_2 atmosphere. Immediately after incubation, absorbance is read using a 96-well plate reader at a wavelength of 490 nm. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	To ascertain the inhibition of the immune populations within the spleen and peripheral blood compartments, tumor-bearing mice are treated with CTX, WP1066, or CTX in combination with WP1066, for 14 days. Single-cell suspensions are prepared from spleens and the peripheral blood of mice and single cells are surface-stained with FITC-conjugated anti-CD4 (L3T4) or PE-conjugated anti-CD8 (53-6.7) and are intracellularly stained with APC-conjugated-FoxP3 (clone FJK-16s). The cell number of $CD4^+$ and $CD8^+$ T cells in the peripheral blood is counted based on positive surface staining of the respective markers relative to the total cell count of PBMCs. The percentage of FoxP3+ Tregs is calculated within the peripheral blood and within the CD4 compartment. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2023 Oct 3;35(10):1688-1703.e10.
- Acta Neuropathol. 2021 Sep;142(3):537-564.
- Cell Death Dis. 2021 Jun 2;12(6):570.
- Acta Pharmacol Sin. 2020 Feb;41(2):218-228.
- J Transl Med. 2019 Apr 2;17(1):107.

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REFERENCES

[1]. Verstovsek S, et al. WP1066, a novel JAK2 inhibitor, suppresses proliferation and induces apoptosis in erythroid human cells carrying the JAK2 V617F mutation. Clin Cancer Res, 2008, (3), 788-796.

[2]. Hatiboglu MA, et al. The tumor microenvironment expression of p-STAT3 influences the efficacy of WP1066 in murine melanoma models. Int J Cancer, 2012, 131(1), 8-17

[3]. Ferrajoli A, et al. WP1066 disrupts Janus kinase-2 and induces caspase-dependent apoptosis in acute myelogenous leukemia cells. Cancer Res, 2007, 67(23), 11291-11299.

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

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