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产品名称：WP1066
产品别名：WP1066

生物活性：

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 IC50 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 IC50 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].
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].
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

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

Cell Assay	(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_2atmosphere. 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. [1]
Animal Administration	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. [2]
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.