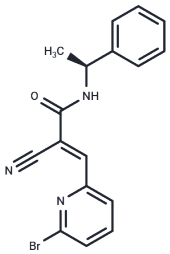
Data Sheet (Cat.No.T2156)

TargetMol

WP1066

Chemical Properties



CAS No. :	857064-38-1
Formula:	C17H14BrN3O
Molecular Weight:	356.22
Storage:	Store at low temperature,Keep away from direct sunlight Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	WP1066 is a inhibitor of JAK2 (IC50: 2.30 μM) and STAT3 (IC50: 2.43 μM) in HEL cells; shows activity to JAK2, STAT3/5, and ERK1/2, not JAK1 and JAK3. WP1066 has been used in trials studying the treatment of Melanoma, Brain Cancer, Solid Tumors, and Central Nervous System Neoplasms.
Targets(IC50)	Apoptosis,STAT,JAK
In vitro	WP1066 dose-dependently and significantly inhibits the growth of HEL cells harboring the JAK2 V617F mutation (IC20/IC50/IC80: 0.8/2.3/3.8 μM). In acute leukemia, HEL cells expressing the JAK2 V617F mutation, WP1066 (0.5-4.0 μM) inhibits the phosphorylation of JAK2, STAT3, STAT5, and ERK1/2, without affecting the phosphorylation of JAK1 and JAK3. WP1066 (0.5-3.0 μM) dose-dependently suppresses the proliferation of AML cells derived from patients, as well as OCIM2 and K562 AML cell lines. At concentrations of 0.5, 1.0, 2.0, 3.0, or 4.0 μM, WP1066 dose-dependently reduces the protein levels of JAK2 and pJAK2, along with the phosphorylation levels of STAT3, STAT5, and AKT in OCIM2 and K562 cells. WP1066 (1, 2, or 3 μM) activates procaspase-3 and cleaves PARP, inducing apoptosis in OCIM2 and K562 cells in a dose-dependent manner. WP1066 (2 μM) inhibits the proliferation of OCIM2 cells by inducing the accumulation of cells in the G0-G1 phase of the cell cycle. At 5 μM, WP1066 prevents STAT3 phosphorylation, and at 2.5 μM, it significantly inhibits the survival and proliferation of Caki-1 and 786-O renal cancer cells. WP1066 (5 μM) also suppresses the expression of HIF1α and HIF2α and the production of VEGF in Caki-1 and 786-O renal cancer cells.
In vivo	In Caki-1 xenografted mice, continuous administration of WP1066 (40 mg/kg/day, p.o.) for 19 days significantly inhibited tumor growth while concurrently reducing phosphorylated STAT3 immunostaining and lowering the length of CD34-positive blood vessels.
Cell Research	The 3, [4,5-dimethylthiazol-2-yl]-5-[3-carboxymethoxyphenyl]-2-[4-sulfophenyl]-2H-tetrazolium (MTT) assay is done using an MTT-based cell proliferation/cytotoxicity assay system. 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 × 104 per well) are incubated in a total volume of 100 μL of RPMI 1640 supplemented with 10% FCS alone or with WP1066 at

Cell Research	increasing concentrations; the incubations are continued for up to 72 h in 96-well flat-bottomed plates at 37 °C in a humidified 5% CO2 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% CO2 atmosphere. Immediately after incubation, absorbance is read using a 96-well plate reader at a wavelength of 490 nm.(Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (701.81 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 4 mg/mL (11.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0561 mL	0.2807 mL	0.5615 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Verstovsek S, et al. Clin Cancer Res, 2008, (3), 788-796.
Li M, Yu H. Identification of WP1066, an inhibitor of JAK2 and STAT3, as a Kv1. 3 potassium channel blocker. British Journal of Pharmacology. 2021 Jul;178(13):2617-2631. doi: 10.1111/bph.15441. Epub 2021 May 20.
Hatiboglu MA, et al. Int J Cancer, 2012, 131(1), 8-17
Ma X, Xu W, Jin X, et al.Telocinobufagin inhibits osteosarcoma growth and metastasis by inhibiting the JAK2/STAT3 signaling pathway.European Journal of Pharmacology.2023: 175529.
Hu Z, Sui Q, Jin X, et al.IL6-STAT3-C/EBPβ-IL6 positive feedback loop in tumor-associated macrophages promotes the EMT and metastasis of lung adenocarcinoma.Journal of Experimental & Clinical Cancer Research.2024, 43(1): 63.
Ferrajoli A, et al. Cancer Res, 2007, 67(23), 11291-11299.
Horiguchi A, et al. Br J Cancer, 2010, 102(11), 1592-1599.
Li M, Yu H. Identification of WP1066, an inhibitor of JAK2 and STAT3, as a Kv1. 3 potassium channel blocker[J]. . British Journal of Pharmacology. 2021

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