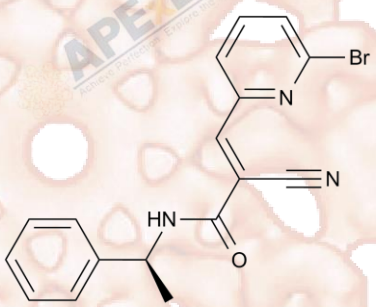
Product Data Sheet

WP1066



Cat. No.: A4140
CAS No.: 857064-38-1
Formula: C17H14BrN3O
M.Wt: 356.22
Synonyms:
Target: Chromatin/Epigenetics
Pathway: JAK
Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾17.8 mg/mL in DMSO; ⩾24.6 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	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

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

Biological Activity

Shortsummary	JAK2/STAT3 inhibitor,cell-permeable
IC_{50} & Target	2.3 μM (JAK2), 2.43 μM (STAT3)

In Vitro

Cell Viability Assay

Cell Line:	HEL cells carrying the mutant JAK2 V617F isoform
Preparation method:	The solubility of this compound in DMSO is > 17.8 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0 ~ 6 μM; 72 hrs

	Applications:	In HEL cells carrying the mutant JAK2 V617F isoform, WP1066 markedly inhibited cell growth in a dose-dependent manner, with the IC20, IC50 and IC80 values of 0.8, 2.3 and 3.8 μM, respectively. At the concentrations of 0.5, 1.0, 2.0, 3.0 and 4.0 μM, WP1066 inhibited phosphorylation of JAK2, STAT3, STAT5 as well as ERK1/2, without affecting phosphorylation of JAK1 and JAK3.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice bearing Caki-1 cells
	Dosage form:	40 mg/kg; p.o.; q.d., 5 days on and 2 days off for 19 days
	Applications:	In nude mice bearing Caki-1 cells, WP1066 significantly inhibited tumor growth. The immunohistochemical analysis results of Caki-1 xenograft tumors showed that there was little p-STAT3 immunostaining in the WP1066 treatment group which had similar total STAT3 immunostaining as the vehicle group. The results suggested that WP1066 inhibited STAT3 phosphorylation without altering STAT3 expression.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Srdan Verstovsek, Taghi Manshouri, Alfonso Quintas-Cardama, David Harris, Jorge Cortes, Francis J. Giles, Hagop Kantarjian, Waldemar Priebe, and Zeev Estrov. WP1066, a novel JAK2 inhibitor, suppresses proliferation and induces apoptosis in erythroid human cells carrying the JAK2 V617F mutation. Clin Cancer Res 2008; 14: 788-796.

[2]. A Horiguchi, T Asano, K Kuroda, A Sato, J Asakuma, K Ito, M Hayakawa, M Sumitomo and T Asano. STAT3 inhibitor WP1066 as a novel therapeutic agent for renal cell carcinoma. British Journal of Cancer 2010; 102: 1592-1599.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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