

Product Name: TG101348 (SAR302503) Revision Date: 01/10/2021



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TG101348 (SAR302503)

Cat. No.:	A4136
CAS No.:	936091-26-8
Formula:	C27H36N6O3S
M.Wt:	524.68
Synonyms:	Tg-101348,SAR-302503
Target:	Chromatin/Epigenetics
Pathway:	JAK
Storage:	Store at -20°C
	810

Solvent & Solubility

	≥26.23 mg/mL in DN	26.23 mg/mL in DMSO; insoluble in H2O; \geq 6.69 mg/mL in EtOH with gentle warming and ultrasonic			
In Vitro	Preparing Stock Solutions	Mass Solvent Concentration	1mg	5mg	10mg
	Slock Solutions	1 mM	1.9059 mL	9.5296 mL	19.0592 mL
	018	5 mM	0.3812 mL	1.9059 mL	3.8118 mL
	PELE	10 mM	0.1906 mL	0.9530 mL	1.9059 mL

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

Biological Activity

Shortsummary	JAK-2 inhibitor, potent and selective		
IC ₅₀ & Target	3 nM (JAK2)		
	Cell Viability Assay	and the second	
In Vitro	Cell Line:	Human erythroleukemic cell line (HEL) with JAK2V617F mutation; Ba/F3 cells	
	Preparation method:	Soluble in DMSO > 10 mM. 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:	0.1, 0.3, 1, 3, and 10 μM; 72 h.	

1 | www.apexbt.com

	Applications:	In HEL and Ba/F3 cells with JAK2V617F mutation, TG101348 (SAR302503)
		inhibits cell proliferation with IC50 values of 300 nM and 420 nM, respectively.
		TG101348 also reduces STAT5 phosphorylation and induces apoptosis in a
		dose-dependent way.
	Animal experiment	
	Animal models:	C57BI/6 mice; C57BL/6 mice intravenously injected with whole bone marrow expressing JAK2V617F.
	Dosage form:	30, 100, and 200 mg/kg; 0.5, 1, 3, 5, 7, and 24 hr postdose; administrated orally.60 mg/kg, 120 mg/kg; oral gavage twice daily; from day 28 on for 42 days.
In Vivo	Applications:	In C57Bl/6 mice, maximum plasma concentrations (Cmax) of TG101348 are 0.68, 3.58, and 4.28 µM at 3 h postdose at doses 30, 100, and 200 mg/kg, respectively. In mice with polycythemia vera induced by JAK2V617F, TG101348 reduces hematocrit by 5.1% and 17.9% at 60 mg/kg and 120 mg/kg, respectively. Also, TG101348 dose-dependently inhibits splenomegaly and polycythemia.
	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

1. Deng R, Zhang P, et al. "HDAC is indispensable for IFN-γ-induced B7-H1 expression in gastric cancer." Clin Epigenetics. 2018 Dec 11;10(1):153.PMID:30537988

2. Quan Y, Xu H, et al. "JAK-STAT Signaling Pathways and Inhibitors Affect Reversion of Envelope-Mutated HIV-1." J Virol. 2017 Apr 13;91(9). pii: e00075-17.PMID:28202754

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References

[1]. Wernig G, Kharas MG, Okabe R, et al. Efficacy of TG101348, a selective JAK2 inhibitor, in treatment of a murine model of JAK2V617F-induced polycythemia vera. Cancer Cell, 2008, 13(4): 311-320.

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

2 | www.apexbt.com

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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