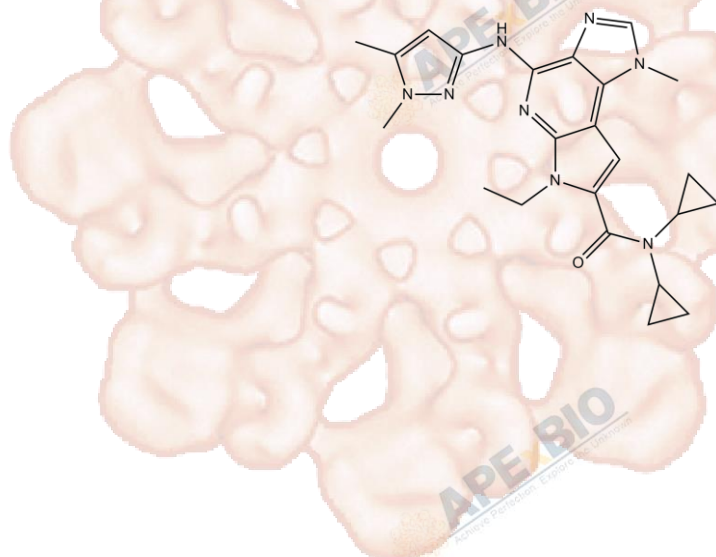


Product Data Sheet

BMS-911543

Cat. No.:	A4152
CAS No.:	1271022-90-2
Formula:	C23H28N8O
M.Wt:	432.52
Synonyms:	
Target:	JAK/STAT Signaling
Pathway:	JAK
Storage:	Store at -20°C



Solvent & Solubility

≥43.3 mg/mL in DMSO with gentle warming; insoluble in H₂O; ≥9.8 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.3120 mL	11.5602 mL	23.1203 mL
	5 mM	0.4624 mL	2.3120 mL	4.6241 mL
	10 mM	0.2312 mL	1.1560 mL	2.3120 mL

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

Biological Activity

Shortsummary

JAK2 inhibitor, selective small molecule

 IC₅₀ & Target

1 nM (JAK2)

Cell Viability Assay

In Vitro

Cell Line:	SET2 and Ba/F3 cells engineered to express JAK2V617F; human platelets; primary hematopoietic progenitor cells isolated from MPN patients that expressed JAK2V617F, JAK2EXON12 or MPLW515L mutations
Preparation method:	This compound is soluble in DMSO. 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:	0-10 µM; 6, 16 or 24 h
	Applications:	In SET2 and Ba/F3 cells engineered to express JAK2V617F, BMS-911543 exhibited a dose-dependent anti-proliferative effect with IC50 values of 60 and 70 nM, respectively. In human platelets, BMS-911543 inhibited TPO-stimulated pSTAT5 in a dose-dependent manner. In primary hematopoietic progenitor cells isolated from MPN patients that expressed JAK2V617F, JAK2EXON12 or MPLW515L mutations, BMS-911543 inhibited EPO-mediated burst forming unit-erythroid (BFU-E) colony growth with IC50 ranging from <0.150 to ~0.9 µM.
In Vivo	Animal experiment	
	Animal models:	BALB/c mice; athymic mice xenografted with SET2 cells
	Dosage form:	5, 10 and 30mg/kg, 18h; 1, 2, 5 and 10 mg/kg, orally administered
	Applications:	In BALB/c mice treated with BMS-911543, platelets were isolated and treated with TPO to induce the pSTAT5. At 30mg/kg, BMS-911543 fully suppressed pSTAT5 induction at all time points (1–18 h post dose). BMS-911543 induced ~75% reduction up to 18 h at 10mg/kg. 5mg/kg BMS-911543 revealed a roughly 50% reduction in TPO-stimulated pSTAT5 by ~8 h. In athymic mice xenografted with SET2 cells, 10mg/kg BMS-911543 showed 90–100% inhibition of pSTAT5 up to 7 h post dose.
	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] Purandare AV, McDevitt TM, Wan H, You D, Penhallow B, Han X, Vuppugalla R, Zhang Y, Ruepp SU, Trainor GL, Lombardo L, Pedicord D, Gottardis MM, Ross-Macdonald P, de Silva H, Hosbach J, Emanuel SL, Blat Y, Fitzpatrick E, Taylor TL, McIntyre KW, Michaud E, Mulligan C, Lee FY, Woolfson A, Lasho TL, Pardanani A, Tefferi A, Lorenzi MV. Characterization of BMS-911543, a functionally selective small-molecule inhibitor of JAK2. *Leukemia*. 2012 Feb;26(2):280-8.
- [2]. Wan H1, Schroeder GM1, Hart AC1, et al. Discovery of a Highly Selective JAK2 Inhibitor, BMS-911543, for the Treatment of Myeloproliferative Neoplasms. *ACS Med Chem Lett*. 2015 Jul 12;6(8):850-5.

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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