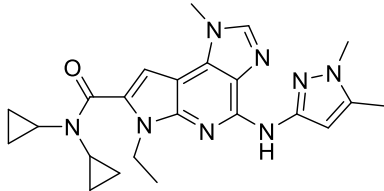


Product data sheet



MedKoo Cat#: 205767 Name: BMS-911543 CAS#: 1271022-90-2 Chemical Formula: C ₂₃ H ₂₈ N ₈ O Exact Mass: 432.23861 Molecular Weight: 432.52142	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

BMS-911543 is an orally available small molecule targeting a subset of Janus-associated kinase (JAK) with potential antineoplastic activity. JAK2 inhibitor BMS-911543 selectively inhibits JAK2, thereby preventing the JAK/STAT (signal transducer and activator of transcription) signaling cascade, including activation of STAT3. This may lead to an induction of tumor cell apoptosis and a decrease in cellular proliferation. JAK2, often upregulated or mutated in a variety of cancer cells, mediates STAT3 activation and plays a key role in tumor cell proliferation and survival.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	25.0	57.80
DMF	30.0	69.36
DMF:PBS (pH 7.2) (1:1)	0.5	1.16
Ethanol	17.0	39.30

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.31 mL	11.56 mL	23.12 mL
5 mM	0.46 mL	2.31 mL	4.62 mL
10 mM	0.23 mL	1.16 mL	2.31 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Mace TA, Shakya R, Elnaggar O, Wilson K, Komar HM, Yang J, Pitarresi JR, Young GS, Ostrowski MC, Ludwig T, Bekaii-Saab T, Bloomston M, Lesinski GB. Single agent BMS-911543 Jak2 inhibitor has distinct inhibitory effects on STAT5 signaling in genetically engineered mice with pancreatic cancer. *Oncotarget*. 2015 Dec 29;6(42):44509-22. doi: 10.18632/oncotarget.6332. PMID: 26575024; PMCID: PMC4792572.

2. Wan H, Schroeder GM, Hart AC, Inghrim J, Grebinski J, Tokarski JS, Lorenzi MV, You D, Mcdevitt T, Penhallow B, Vuppugalla R, Zhang Y, Gu X, Iyer R, Lombardo LJ, Trainor GL, Ruepp S, Lippy J, Blat Y, Sack JS, Khan JA, Stefanski K, Slecza B, Mathur A, Sun JH, Wong MK, Wu DR, Li P, Gupta A, Arunachalam PN, Pragalathan B, Narayanan S, K C N, Kuppusamy P, Purandare AV. 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. doi: 10.1021/acsmchemlett.5b00226. PMID: 26288683; PMCID: PMC4538448.

Product data sheet



In vivo study

1. Mace TA, Shakya R, Elnaggar O, Wilson K, Komar HM, Yang J, Pitarresi JR, Young GS, Ostrowski MC, Ludwig T, Bekaii-Saab T, Bloomston M, Lesinski GB. Single agent BMS-911543 Jak2 inhibitor has distinct inhibitory effects on STAT5 signaling in genetically engineered mice with pancreatic cancer. *Oncotarget*. 2015 Dec 29;6(42):44509-22. doi: 10.18632/oncotarget.6332. PMID: 26575024; PMCID: PMC4792572.
2. Pomicter AD, Eiring AM, Senina AV, Zabriskie MS, Marvin JE, Prchal JT, O'Hare T, Deininger MW. Limited efficacy of BMS-911543 in a murine model of Janus kinase 2 V617F myeloproliferative neoplasm. *Exp Hematol*. 2015 Jul;43(7):537-45.e1-11. doi: 10.1016/j.exphem.2015.03.006. Epub 2015 Apr 24. PMID: 25912019; PMCID: PMC4487517.

7. Bioactivity

Biological target:

BMS-911543 is a selective JAK2 inhibitor, with IC50s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (IC50, 75, 360, 66 nM, respectively).

In vitro activity

In cellular assays, BMS-911543 showed potent antiproliferative activity in the SET-2 as well as BaF3-V617F engineered cell lines (both dependent upon JAK2 pathway), with IC50 values of 60 and 70 nM, respectively. The antiproliferative activity of BMS-911543 in SET-2 and BaF3-V617F cells correlated with similar activity on constitutively active pSTAT5 (IC50 80 and 65 nM, respectively).

Reference: *ACS Med Chem Lett*. 2015 Aug 13; 6(8): 850–855. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4538448/>

In vivo activity

BMS-911543 treated mice had an overall reduction in BLI signal as compared to the vehicle controls (Figure 2B). Consistent with BLI data, further histological analysis of pancreata from treated mice showed fewer foci of PDAC, with a shift toward PanIN lesions when compared to vehicle controls (Figure 2C–2D and Supplementary Figure S1). In addition, BMS-911543-treated mice had 35% fewer Ki67 positive cells as compared to vehicle treated animals ($p = 0.023$; Figure 2E–2F).

Reference: *Oncotarget*. 2015 Dec 29; 6(42): 44509–44522. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4792572/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.