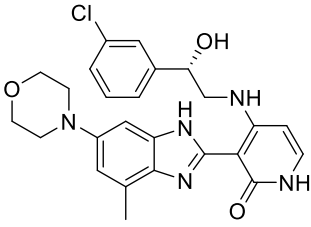


Product data sheet



MedKoo Cat#: 200521 Name: BMS-536924 CAS#: 468740-43-4 Chemical Formula: C ₂₅ H ₂₆ ClN ₅ O ₃ Exact Mass: 479.17242 Molecular Weight: 479.96	
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-536924 is a potent small molecule inhibitor of IGF-IR, which shows antitumor activity in multiple tumor models, including sarcoma.

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	51.0	106.26
DMF	12.0	25.0
DMF:PBS (pH 7.2) (1:9)	0.1	0.21

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.08 mL	10.42 mL	20.84 mL
5 mM	0.42 mL	2.08 mL	4.17 mL
10 mM	0.21 mL	1.04 mL	2.08 mL
50 mM	0.04 mL	0.21 mL	0.42 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

- Adachi Y, Ohashi H, Imsumran A, Yamamoto H, Matsunaga Y, Taniguchi H, Noshio K, Suzuki H, Sasaki Y, Arimura Y, Carbone DP, Imai K, Shinomura Y. The effect of IGF-I receptor blockade for human esophageal squamous cell carcinoma and adenocarcinoma. *Tumour Biol.* 2014 Feb;35(2):973-85. doi: 10.1007/s13277-013-1131-2. Epub 2013 Sep 13. PMID: 24026884.
- Jenkins CR, Shevchuk OO, Giambra V, Lam SH, Carboni JM, Gottardis MM, Holzenberger M, Pollak M, Humphries RK, Weng AP. IGF signaling contributes to malignant transformation of hematopoietic progenitors by the MLL-AF9 oncoprotein. *Exp Hematol.* 2012 Sep;40(9):715-723.e6. doi: 10.1016/j.exphem.2012.05.003. Epub 2012 May 18. PMID: 22613471.

In vivo study

- Zhou Q. BMS-536924, an ATP-competitive IGF-1R/IR inhibitor, decreases viability and migration of temozolomide-resistant glioma cells in vitro and suppresses tumor growth in vivo. *Onco Targets Ther.* 2015 Apr 2;8:689-97. doi: 10.2147/OTT.S80047. PMID: 25897243; PMCID: PMC4396459.

7. Bioactivity

Biological target:

Product data sheet



BMS-536924 is an orally active, competitive and selective insulin-like growth factor receptor (IGF-1R) kinase and insulin receptor (IR) inhibitor with IC50s of 100 nM and 73 nM, respectively.

In vitro activity

Treatment of mouse MLL-AF9 acute myelogenous leukemia cells with BMS-536924, an IGF1R/insulin receptor-selective tyrosine kinase inhibitor, blocked cell growth, suggesting its efficacy in this model may be due to inhibition of insulin receptor and/or related tyrosine kinases, and raising the possibility that similar IGF1R inhibitors in clinical development may be acting through alternate/related pathways.

Reference: Exp Hematol. 2012 Sep;40(9):715-723.e6. <https://pubmed.ncbi.nlm.nih.gov/22613471/>

In vivo activity

The results show that the low dose of BMS-536924 (20 mg/kg) led to an intermediate level of tumor growth suppression, whereas the high dose of BMS-536924 (40 mg/kg) led to a significant inhibition on M059K-R and M059K tumor growth (Figure 5A and B) ($P < 0.05$). Consistent with the in vitro apoptosis data showed above, BMS-536924 induced dramatic apoptotic characteristics in tumors as examined using TUNEL, DAPI, and hematoxylin and eosin (H&E) staining assays (Figure 5C). At the same time, administration of BMS-536924 was well-tolerated by healthy mice, without significant signs of overt toxicity on the main mice organs, including lung, liver, and kidney, or loss of weight ($P < 0.05$) (Figure 5D).

Reference: Onco Targets Ther. 2015; 8: 689–697. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4396459/>

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.