

Product data sheet



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| MedKoo Cat#: 407809 Name: AZD-5153 HNT salt CAS#: 1869912-40-2 (HNT salt) Chemical Formula: C ₃₆ H ₄₁ N ₇ O ₆ Molecular Weight: 667.767 | | |
| 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:

AZD-5153 HNT salt, is a 6-hydroxy-2-naphthoic acid salt of AZD-5153. AZD 5153 a potent and selective orally available, bivalent inhibitor of the bromodomain and extraterminal (BET) protein BRD4 (IC₅₀ = 5 nM). AZD5153 is highly Active against Hematologic Malignancies.

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 | 67.0 | 200.67 |
| Ethanol | 27.0 | 40.43 |

4. Stock solution preparation table:

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---------------------------------------|---------|---------|----------|
| 1 mM | 1.50 mL | 7.49 mL | 14.98 mL |
| 5 mM | 0.30 mL | 1.50 mL | 3.00 mL |
| 10 mM | 0.15 mL | 0.75 mL | 1.50 mL |
| 50 mM | 0.03 mL | 0.15 mL | 0.30 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

- Li X, Fu Y, Yang B, Guo E, Wu Y, Huang J, Zhang X, Xiao R, Li K, Wang B, Hu J, Sun C, Chen G. BRD4 Inhibition by AZD5153 Promotes Antitumor Immunity via Depolarizing M2 Macrophages. *Front Immunol.* 2020 Feb 28;11:89. doi: 10.3389/fimmu.2020.00089. PMID: 32184777; PMCID: PMC7058627.
- Shen G, Chen J, Zhou Y, Wang Z, Ma Z, Xu C, Jiang M. AZD5153 Inhibits Prostate Cancer Cell Growth in Vitro and in Vivo. *Cell Physiol Biochem.* 2018;50(2):798-809. doi: 10.1159/000494244. Epub 2018 Oct 11. PMID: 30308485.

In vivo study

- Zhang P, Li R, Xiao H, Liu W, Zeng X, Xie G, Yang W, Shi L, Yin Y, Tao K. BRD4 Inhibitor AZD5153 Suppresses the Proliferation of Colorectal Cancer Cells and Sensitizes the Anticancer Effect of PARP Inhibitor. *Int J Biol Sci.* 2019 Jul 21;15(9):1942-1954. doi: 10.7150/ijbs.34162. PMID: 31523195; PMCID: PMC6743290.
- Yin Y, Liu W, Shen Q, Zhang P, Wang L, Tao R, Li H, Ma X, Zeng X, Cheong JH, Song S, Ajani JA, Mills GB, Tao K, Peng G. The DNA Endonuclease Mus81 Regulates ZEB1 Expression and Serves as a Target of BET4 Inhibitors in Gastric Cancer. *Mol Cancer Ther.* 2019 Aug;18(8):1439-1450. doi: 10.1158/1535-7163.MCT-18-0833. Epub 2019 May 29. PMID: 31142662.

7. Bioactivity

Biological target:

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AZD5153 is a potent, selective, and orally available BET/BRD4 bromodomain inhibitor; disrupts BRD4 with an IC50 of 1.7 nM.

In vitro activity

M2-like macrophage markers were significantly abrogated by AZD5153, along with those cytokines involved in immune tolerance and immune evasion, such as IL-6, IL-10, and TGF- β . The similar results occurred when it came to murine bone marrow-derived macrophages (Supplementary Figure 3C). On the other hand, iNOS, an M1 marker associated with the activated immune response of macrophages, was clearly upregulated by AZD5153. IL-12 and its family member IL-23 were also consistently and significantly increased by AZD5153 (Figure 2A).

Reference: Front Immunol. 2020; 11: 89. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7058627/>

In vivo activity

As shown in Fig. 7A and B and Supplementary Fig. S9, mice injected with Mus81 knockdown cells had reduced number of lung metastasis nodes than the control group ($P < 0.05$). Similarly, AZD5153 treatment significantly inhibited lung metastasis compared with the control group ($P < 0.05$). However, AZD5153 had limited effect in the Mus81-depleted SGC7901 cells' group. H&E staining showed the pathology of lung metastasis, which confirmed that the lumps in the lung of the nude mice was formed by gastric cancer cells. IHC staining showed that AZD5153 inhibited the expression of Mus81 and ZEB1 in gastric cancer cells (Fig. 7C). Therefore, AZD5153 negatively regulated the metastasis-promoting effect of Mus81 in vivo.

Reference: Mol Cancer Ther. 2019 Aug;18(8):1439-1450. <https://pubmed.ncbi.nlm.nih.gov/31142662/>

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.