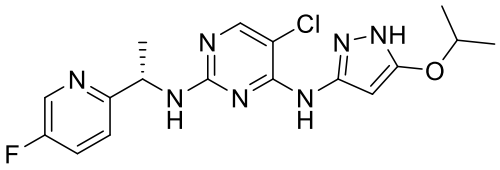
Product data sheet

MedKoo Cat#: 524320 Name: AZ-23 CAS#: 915720-21-7 Chemical Formula: $C_{17}H_{19}ClFN_7O$ Exact Mass: 391.13236 Molecular Weight: 391.83	
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:
AZ-23 is a potent and selective tyrosine kinase (Trk) inhibitor having potential for therapeutic utility in neuroblastoma and multiple other cancers.

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	125.0	319.02

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.55 mL	12.76 mL	25.52 mL
5 mM	0.51 mL	2.55 mL	5.10 mL
10 mM	0.26 mL	1.28 mL	2.55 mL
50 mM	0.05 mL	0.26 mL	0.51 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. Thress K, Macintyre T, Wang H, Whitston D, Liu ZY, Hoffmann E, Wang T, Brown JL, Webster K, Omer C, Zage PE, Zeng L, Zweidler-McKay PA. Identification and preclinical characterization of AZ-23, a novel, selective, and orally bioavailable inhibitor of the Trk kinase pathway. Mol Cancer Ther. 2009 Jul;8(7):1818-27. doi: 10.1158/1535-7163.MCT-09-0036. Epub 2009 Jun 9. PMID: 19509272.

In vivo study

1. Thress K, Macintyre T, Wang H, Whitston D, Liu ZY, Hoffmann E, Wang T, Brown JL, Webster K, Omer C, Zage PE, Zeng L, Zweidler-McKay PA. Identification and preclinical characterization of AZ-23, a novel, selective, and orally bioavailable inhibitor of the Trk kinase pathway. Mol Cancer Ther. 2009 Jul;8(7):1818-27. doi: 10.1158/1535-7163.MCT-09-0036. Epub 2009 Jun 9. PMID: 19509272.

7. Bioactivity
Biological target:

AZ-23 is an ATP-competitive and orally bioavailable Trk kinase A/B/C inhibitor with IC50s of 2 nM (TrkA), 8 nM (TrkB), 24 nM (FGFR1), 52 nM (Flt3), 55 nM (Ret), 84 nM (MuSk), 99 nM (Lck), respectively.

In vitro activity

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As shown in Table 1, AZ-23 was found to potently inhibit Trk-dependent survival in the MCF10A-TrkA-Δ cells (EC_{50} 1.51 nmol/L) with a >1,600-fold window over EGF-driven survival in the parental MCF10A cells (EC_{50} 2,430 nmol/L). A similar selectivity assay was done using the erythroid leukemia TF-1 cell line. TF-1 cells were originally derived from a patient with AML and express endogenous levels of wild-type TrkA. Using this assay, AZ-23 was found to inhibit NGF-mediated survival (EC_{50} 1.01 nmol/L), a result similar to that seen in the engineered MCF10A-TrkA-Δ cells (Table 1). AZ-23 had no effect on GM-CSF driven proliferation at concentrations up to 1 μmol/L. Together, these data suggest that AZ-23 is a potent and selective inhibitor of survival in cell lines whose growth is mediated by activation through the Trk-NGF kinase pathway.

Reference: Mol Cancer Ther. 2009 Jul;8(7):1818-27. https://pubmed.ncbi.nlm.nih.gov/19509272/

In vivo activity

Mice were dosed once or twice daily, by oral gavage, for 4 days at 10 or 50 mg/kg. As seen in Fig. 3B and C, statistically significant, dose-dependent inhibition of tumor growth and growth delay after treatment cessation was observed. All doses/schedules of AZ-23 caused regressions during treatment. At the higher dose level, both schedules caused tumor regressions in >80% of animals and prevented tumor regrowth after the last dose for ~3 to 4 days. AZ-23 was well tolerated at doses up to 100 mg/kg twice daily for 14 days with minimal body weight loss (<5%) seen during the dosing period in all treatment groups, including vehicle, and no signs of clinical distress. At high doses (50–100 mpk, twice daily), some reversible weight gain was observed.

Reference: Mol Cancer Ther. 2009 Jul;8(7):1818-27. https://pubmed.ncbi.nlm.nih.gov/19509272/

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.