# **Product data sheet**



MedKoo Cat#: 406110		
Name: AZ-628		
CAS#: 878739-06-1		
Chemical Formula: C <sub>27</sub> H <sub>25</sub> N <sub>5</sub> O <sub>2</sub>		_
Exact Mass: 451.20083		N H H
Molecular Weight: 451.5197		N N N
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	, v v v
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-628 is a selective, orally available Raf inhibitor with excellent pharmacokinetic properties and robust tumor growth inhibition in xenograft studies ( (IC50 values are 29, 34 and 105 nM for c-Raf1, B-RafV600E and wild-type B-Raf, respectively). AZ-628 inhibits growth, and induces cell cycle arrest and apoptosis in colon and melanoma cell lines with the B-RafV600E mutation.

#### 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	53.79	119.13
DMSO:PBS (pH 7.2)	0.5	1.11
(1:1)		
DMF	25.0	55.37
Ethanol	0.25	0.55

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.21 mL	11.07 mL	22.15 mL
5 mM	0.44 mL	2.21 mL	4.43 mL
10 mM	0.22 mL	1.11 mL	2.21 mL
50 mM	0.04 mL	0.22 mL	0.44 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. Wang JQ, Teng QX, Lei ZN, Ji N, Cui Q, Fu H, Lin L, Yang DH, Fan YF, Chen ZS. Reversal of Cancer Multidrug Resistance (MDR) Mediated by ATP-Binding Cassette Transporter G2 (ABCG2) by AZ-628, a RAF Kinase Inhibitor. Front Cell Dev Biol. 2020 Dec 8;8:601400. doi: 10.3389/fcell.2020.601400. PMID: 33364237; PMCID: PMC7753047.
- 2. Jeon J, Noh HJ, Lee H, Park HH, Ha YJ, Park SH, Lee H, Kim SJ, Kang HC, Eyun SI, Yang S, Kim YS. TRIM24-RIP3 axis perturbation accelerates osteoarthritis pathogenesis. Ann Rheum Dis. 2020 Dec;79(12):1635-1643. doi: 10.1136/annrheumdis-2020-217904. Epub 2020 Sep 7. PMID: 32895234; PMCID: PMC7677493.

In vivo study

TBD

#### 7. Bioactivity

Biological target:

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AZ 628 is a pan-Raf kinase inhibitor with IC50s of 105, 34 and 29 nM for B-Raf, B-RafV600E, and c-Raf-1, respectively.

#### In vitro activity

Based on the results displayed in Figure 2, AZ-628 at the concentration of 1 or 3 µM significantly reversed the resistance to mitoxantrone, SN-38 and topotecan in H460/MX20 (Figures 2A,C,E) and S1-M1-80 (Figures 2B,D,F) cells. It is noteworthy that AZ-628 at 3 µM showed better reversal effects than the positive ABCG2 modulator KO143 in both H460/MX20 and S1-M1-80. AZ-628 did not alter the cytotoxicity of cisplatin, a non-substrate of ABCG2.

Reference: Front Cell Dev Biol. 2020; 8: 601400. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7753047/

### In vivo activity

#### **TBD**

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.