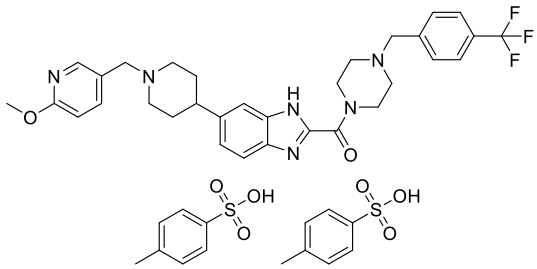
Product data sheet

MedKoo Cat#: 207128 Name: ASP4132 tosylate CAS#: 1640294-30-9 (tosylate) Chemical Formula: $C_{46}H_{51}F_3N_6O_8S_2$ Molecular Weight: 937.0632	
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:
ASP4132 is an AMPK activator with potential antineoplastic activity. Upon oral administration, ASP4132 affects oxidative phosphorylation in mitochondria of metabolically-active tumor cells, which reduces both energy production and tumor cell proliferation. Mitochondrial oxidative phosphorylation is hyperactivated in tumor cells and plays a key role in the promotion of tumor cell proliferation.

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	175.0	186.75

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.07 mL	5.34 mL	10.67 mL
5 mM	0.21 mL	1.07 mL	2.13 mL
10 mM	0.11 mL	0.53 mL	1.07 mL
50 mM	0.02 mL	0.11 mL	0.21 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. Xia YC, Zha JH, Sang YH, Yin H, Xu GQ, Zhen J, Zhang Y, Yu BT. AMPK activation by ASP4132 inhibits non-small cell lung cancer cell growth. Cell Death Dis. 2021 Apr 6;12(4):365. doi: 10.1038/s41419-021-03655-2. PMID: 33824293; PMCID: PMC8024326.
2. Kuramoto K, Yamada H, Shin T, Sawada Y, Azami H, Yamada T, Nagashima T, Ohnuki K. Development of a potent and orally active activator of adenosine monophosphate-activated protein kinase (AMPK), ASP4132, as a clinical candidate for the treatment of human cancer. Bioorg Med Chem. 2020 Mar 1;28(5):115307. doi: 10.1016/j.bmc.2020.115307. Epub 2020 Jan 8. PMID: 32007387.

In vivo study

1. Xia YC, Zha JH, Sang YH, Yin H, Xu GQ, Zhen J, Zhang Y, Yu BT. AMPK activation by ASP4132 inhibits non-small cell lung cancer cell growth. Cell Death Dis. 2021 Apr 6;12(4):365. doi: 10.1038/s41419-021-03655-2. PMID: 33824293; PMCID: PMC8024326.
2. Kuramoto K, Yamada H, Shin T, Sawada Y, Azami H, Yamada T, Nagashima T, Ohnuki K. Development of a potent and orally active activator of adenosine monophosphate-activated protein kinase (AMPK), ASP4132, as a clinical candidate for the treatment of human cancer. Bioorg Med Chem. 2020 Mar 1;28(5):115307. doi: 10.1016/j.bmc.2020.115307. Epub 2020 Jan 8. PMID: 32007387.

7. Bioactivity

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Biological target:

ASP4132 is an orally active, potent AMPK activator with an EC50 of 18 nM.

In vitro activity

The resulting in vitro pharmacological properties and aqueous solubility of 28 (ASP4132 tosylate) are summarized in Table 9. Compound 28 showed comparable cell growth inhibitory (IC50 = 0.014 μM) activity against MDA-MB-453 to that of compound 2. Furthermore, 28 showed relatively weak antiproliferative activity against SK-BR-3 (IC50 > 3 μM), indicating that the selectivity of cellular growth inhibitory activity observed in lead compound 2 was maintained in 28. In addition, the aqueous solubility of 28 was significantly higher than that of 2, especially in the Japanese Pharmacopoeia 2nd fluid for disintegration test (JP2: pH = 6.8) with taurocholic acid.

Reference: Bioorg Med Chem. 2020 Mar 1;28(5):115307. https://pubmed.ncbi.nlm.nih.gov/32007387/

In vivo activity

By recording tumor volumes this study found that oral administration of a single dose of ASP4132 (5 mg/kg body weight, for 21 days) largely inhibited NSCLC xenograft growth in SCID mice (Fig. 6A). This concentration was based on the recommendation from the supplier. Volumes of NSCLC xenografts with ASP4132 administration were significantly lower than those of vehicle control xenografts (Fig. 6A). Results showed that ASP4132 oral administration potently suppressed NSCLC xenograft growth in SCID mice (Fig. 6B). At Day-42 all tumors of the two groups were separated through surgery and weighted individually. Results in Fig. 6C demonstrated that ASP4132-treated NSCLC xenografts were dramatically lighter than the control tumors. Importantly, the mice body weights were not significantly different between the ASP4132 group and vehicle control group (Fig. 6D), indicating that mice should be well-tolerated to ASP4132 treatment regimen, and this study did not detect any apparent toxicities.

Reference: Cell Death Dis. 2021 Apr; 12(4): 365. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8024326/

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.