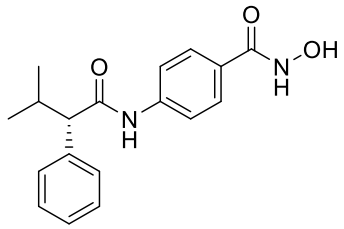
Product data sheet

MedKoo Cat#: 200275 Name: AR-42 CAS#: 935881-37-1 Chemical Formula: $C_{18}H_{20}N_2O_3$ Exact Mass: 312.14739 Molecular Weight: 312.36	
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:
AR-42, also known as (S)-HDAC-42; AR-42; NSC-736012; OSU-42; OSU-HDAC-42; OSUHDAC-42, is a broad-spectrum deacetylase inhibitor of both histone and non-histone proteins, which has demonstrated greater potency and activity in solid tumors and hematological malignancies when compared in preclinical studies to vorinostat (also known as "SAHA" or Zolinza®), the first of two marketed compound in the class. AR-42 may possess additional histone-independent mechanisms, which may contribute to its superior profile in vitro and in vivo.

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	63.0	201.69
Ethanol	63.0	201.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.20 mL	16.01 mL	32.01 mL
5 mM	0.64 mL	3.20 mL	6.40 mL
10 mM	0.32 mL	1.60 mL	3.20 mL
50 mM	0.06 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. Zhu Y, Yuan T, Zhang Y, Shi J, Bai L, Duan X, Tong R, Zhong L. AR-42: A Pan-HDAC Inhibitor with Antitumor and Antiangiogenic Activities in Esophageal Squamous Cell Carcinoma. Drug Des Devel Ther. 2019 Dec 19;13:4321-4330. doi: 10.2147/DDDT.S211665. PMID: 31908417; PMCID: PMC6930838.
2. Chen YJ, Wang WH, Wu WY, Hsu CC, Wei LR, Wang SF, Hsu YW, Liaw CC, Tsai WC. Novel histone deacetylase inhibitor AR-42 exhibits antitumor activity in pancreatic cancer cells by affecting multiple biochemical pathways. PLoS One. 2017 Aug 22;12(8):e0183368. doi: 10.1371/journal.pone.0183368. PMID: 28829799; PMCID: PMC5567660.

In vivo study

1. Su L, Wang S, Yuan T, Xie X, Fu X, Ji P, Zhong L, Liu W. Anti-oral Squamous Cell Carcinoma Effects of a Potent TAZ Inhibitor AR-42. J Cancer. 2020 Jan 1;11(2):364-373. doi: 10.7150/jca.32436. PMID: 31897232; PMCID: PMC6930442.
2. Duan S, Gong X, Liu X, Cui W, Chen K, Mao L, Jun S, Zhou R, Sang Y, Huang G. Histone deacetylase inhibitor, AR-42, exerts antitumor effects by inducing apoptosis and cell cycle arrest in Y79 cells. J Cell Physiol. 2019 Dec;234(12):22411-22423. doi: 10.1002/jcp.28806. Epub 2019 May 17. PMID: 31102271.

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7. Bioactivity

Biological target:

AR-42 (HDAC-42) is an HDAC inhibitor with IC50 of 30 nM.

In vitro activity

As depicted in Figure 2B, AR-42 effectively restrained the viability of ESCC cells Eca109 and TE-1 in MTT assay with IC50 values of 0.44 μM and 0.28 μM, respectively, which is slightly more potent than that of another approved pan-HDAC inhibitor vorinostat (Corresponding IC50 values for vorinostat are 0.91 μM and 0.78 μM, respectively). As a commonly used clinical chemotherapy drug for ESCC, cisplatin inhibited ESCC cell viability at micromolar concentrations. In addition, the clone formation test was performed to evaluate the anti-ESCC activity after long-term treatment with AR-42. The colonies of both ESCC cells decreased dose-dependently after being treated with AR-42 (Figure 2C).

Reference: Drug Des Devel Ther. 2019; 13: 4321–4330. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6930838/

In vivo activity

Oral administrations of AR-42 at 25 and 50 mg/kg potently inhibited tumor growth in a dose-dependent manner with tumor growth inhibition rates of 54.5% and 85.1%, respectively (Fig. 7A). No significant weight loss was observed in AR-42 treated groups compared with vehicle group (data not shown). Additionally, as shown in Fig. 7B, AR-42 at 50 mg/kg evidently restrained TAZ expression in vivo. Meanwhile, the immunohistochemical assays showed that AR-42 could also lead to a significant decrease in Ki67-positive tumor cells (proliferating cells) and substantial increase in TUNEL-positive tumor cells (apoptotic cells) in xenograft model (Fig. (Fig.7B7B and and7C).7C). To sum up, AR-42 could also inhibit the expression of TAZ in vivo, thus exerting its effects of anti-proliferation and pro-apoptosis in SCC9 xenograft.

Reference: J Cancer. 2020; 11(2): 364–373. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6930442/