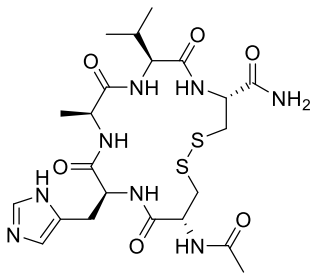
Product data sheet

MedKoo Cat#: 201350 Name: Exherin free base CAS#: 229971-81-7 (free base) Chemical Formula: $C_{22}H_{34}N_8O_6S_2$ Exact Mass: 570.20427 Molecular Weight: 570.68	
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:

Exherin, also known as ADH-1, is a small, cyclic pentapeptide vascular-targeting agent with potential antineoplastic and antiangiogenic activities. ADH-1 selectively and competitively binds to and blocks N-cadherin, which may result in disruption of tumor vasculature, inhibition of tumor cell growth, and the induction of tumor cell and endothelial cell apoptosis. N-cadherin, a cell-surface transmembrane glycoprotein of the cadherin superfamily of proteins involved in calcium-mediated cell-cell adhesion and signaling mechanisms; may be upregulated in some aggressive tumors and the endothelial cells and pericytes of some tumor blood vessels. Note: The old CAT# for this product was 201350A

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	2.2	3.86

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.75 mL	8.76 mL	17.52 mL
5 mM	0.35 mL	1.75 mL	3.50 mL
10 mM	0.18 mL	0.88 mL	1.75 mL
50 mM	0.04 mL	0.18 mL	0.35 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. Lammens T, Swerts K, Derycke L, De Craemer A, De Brouwer S, De Preter K, Van Roy N, Vandesompele J, Speleman F, Philippé J, Benoit Y, Beiske K, Bracke M, Laureys G. N-cadherin in neuroblastoma disease: expression and clinical significance. PLoS One. 2012;7(2):e31206. doi: 10.1371/journal.pone.0031206. Epub 2012 Feb 15. PMID: 22355346; PMCID: PMC3280274.
2. Shintani Y, Fukumoto Y, Chaika N, Grandgenett PM, Hollingsworth MA, Wheelock MJ, Johnson KR. ADH-1 suppresses N-cadherin-dependent pancreatic cancer progression. Int J Cancer. 2008 Jan 1;122(1):71-7. doi: 10.1002/ijc.23027. PMID: 17721921.

In vivo study

1. Shintani Y, Fukumoto Y, Chaika N, Grandgenett PM, Hollingsworth MA, Wheelock MJ, Johnson KR. ADH-1 suppresses N-cadherin-dependent pancreatic cancer progression. Int J Cancer. 2008 Jan 1;122(1):71-7. doi: 10.1002/ijc.23027. PMID: 17721921.
2. Li H, Price DK, Figg WD. ADH1, an N-cadherin inhibitor, evaluated in preclinical models of angiogenesis and androgen-independent prostate cancer. Anticancer Drugs. 2007 Jun;18(5):563-8. doi: 10.1097/CAD.0b013e328020043e. PMID: 17414625.

7. Bioactivity

Product data sheet

Biological target:

ADH-1, an N-cadherin antagonist, inhibits N-cadherin mediated cell adhesion.

In vitro activity

The number of viable cells in culture was measured using the CellTiter-Glo luminescent Cell Viability assay (Promega) at 12 h, 24 h and 48 h after addition of ADH-1 (0.25 mg/ml; 0.50 mg/ml and 1 mg/ml). ADH-1 proved to be a potent inducer of cell death in NB cell lines when added at 1 mg/ml. At this concentration, more than 50% of NB cells underwent cell death 24 h after addition (Fig. 5). Interestingly, no effect was seen on the survival of fibroblasts and N-cadherin negative epithelial cells (Fig. 5). These observations were confirmed by flow cytometric determination of PI and FITC-Annexin V levels (Figure S3).

Reference: PLoS One. 2012; 7(2): e31206. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3280274/

In vivo activity

ADH-1 significantly prevented tumor growth in vivo (Fig. 4b). In agreement with the IVIS data, control mice had significantly larger tumors than mice treated with ADH-1 (Fig. 4c). Control mice had significant invasion of tumor nodules into the peritoneal cavity, while tumors in mice treated with ADH-1 were small and were restricted to the pancreas. Figure 5a shows H&E staining of the stomach and a disseminated nodule of a typical control mouse (Fig. 5a, panel a). The tumor occupied the space under the villi of the stomach, indicating that N-cadherin overexpressing BxPC-3 cells were invasive. Figure 5a, panel c shows a tumor localized in the pancreas of a typical mouse treated with ADH-1. Furthermore, H&E staining showed that micro-metastases were present in 4 out of 8 the lungs of control mice (Fig. 5a, panel b), whereas no metastases were seen in mice treated with ADH-1. These data suggest that ADH-1 prevented tumor cell invasion and metastasis in an orthotopic model for pancreatic cancer using N-cadherin overexpressing BxPC-3 cells.

Reference: Int J Cancer. 2008 Jan 1;122(1):71-7. https://pubmed.ncbi.nlm.nih.gov/17721921/

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.