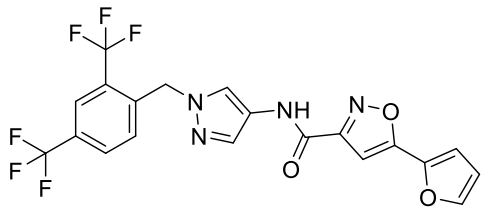
Product data sheet

MedKoo Cat#: 463833 Name: Ceapin-A7 CAS#: 2323027-38-7 Chemical Formula: $C_{20}H_{12}F_6N_4O_3$ Exact Mass: 470.0814 Molecular Weight: 470.3314	
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:
Ceapin-A7 is a novel ATF6 inhibitor, mediating a neomorphic interaction between ATF6 and the peroxisomal membrane protein ABCD3, keeping ATF6 in a trafficking-incompetent oligomeric state.

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	100	212.62

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.13 mL	10.63 mL	21.26 mL
5 mM	0.43 mL	2.13 mL	4.25 mL
10 mM	0.21 mL	1.06 mL	2.13 mL
50 mM	0.04 mL	0.21 mL	0.43 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. Gallagher CM, Garri C, Cain EL, Ang KK, Wilson CG, Chen S, Hearn BR, Jaishankar P, Aranda-Diaz A, Arkin MR, Renslo AR, Walter P. Ceapins are a new class of unfolded protein response inhibitors, selectively targeting the ATF6α branch. Elife. 2016 Jul 20;5:e11878. doi: 10.7554/eLife.11878. PMID: 27435960; PMCID: PMC4954757.

2. Xue F, Lu J, Buchl SC, Sun L, Shah VH, Malhi H, Maiers JL. Coordinated signaling of activating transcription factor 6α and inositol-requiring enzyme 1α regulates hepatic stellate cell-mediated fibrogenesis in mice. Am J Physiol Gastrointest Liver Physiol. 2021 May 1;320(5):G864-G879. doi: 10.1152/ajpgi.00453.2020. Epub 2021 Mar 17. PMID: 33728997; PMCID: PMC8202196.

In vivo study

TBD

7. Bioactivity
Biological target:

Ceapin-A7 is a selective blocker of ATF6α signaling in response to ER stress, with an IC50 of 0.59 μM.

In vitro activity

Product data sheet

Since changes in cell viability may be due to cytostatic and/or cytotoxic effects, it was next determined whether Ceapin-A7 displayed increased apoptosis in response to ER stress. To this end, cells were stained with annexin V, which measures phosphoserine flipping as a marker for apoptotic cells, and 7-aminoactinomycin D (7AAD), a membrane impermeable dye taken up only by cells with compromised plasma membranes as a marker for late apoptotic / necrotic cell death. Cells were treated with or without ER stressor at different concentrations in the absence or presence of Ceapin-A7 and analyzed the cells by flow cytometry (Figure 6C). Cells treated with Ceapin-A7 alone showed no difference in cell death compared to vehicle alone, consistent with previous work demonstrating that homozygous ATF6α knockout mice are viable and fertile. At low concentrations of ER stress (10 nM Tg), inhibition of ATF6α did not enhance cytotoxicity, however as the concentration of ER stressor was increased (30 nM and 90 nM), ATF6α inhibition resulted in a two-fold increase in apoptotic cells compared to cells treated with ER stressor alone. Thus human cells treated with ER stress and Ceapin-A7 phenocopy the results obtained using genetic ablation of ATF6α in mouse models. Ceapins therefore define a first-in-class series of ATF6α inhibitors that selectively blocks ATF6α and not ATF6β, SREBP or other UPR branches without relying on inhibition of the proteases that are also used by other critical signaling pathways.

Reference: Elife. 2016 Jul 20;5:e11878. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27435960/

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.