

Product Data Sheet

Product Name: Ceapin-A7

Cat. No.: GC61606

Chemical Properties

Cas. No. 2323027-38-7

SMILES O=C(NC1=CN(CC2=CC=C(C(F)(F)F)C=C2C(F)(F)F)N=C1)C3=NOC(C4=CC=CO4)=C3

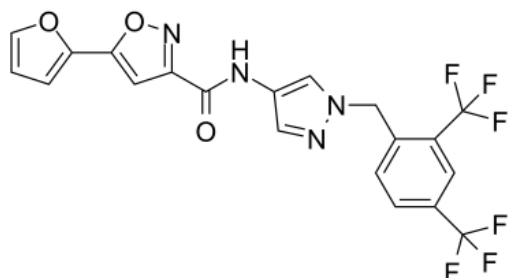
Formula $C_{20}H_{12}F_6N_4O_3$ M.Wt 470.32

Solubility DMSO: 100 mg/mL (212.62 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines ACHN and 786-O cells (human renal cell carcinoma cell lines)

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ACHN and 786-O cells were maintained in RPMI-1640 medium supplemented Preparation Method with 10% fetal bovine serum (FBS) at 37°C, 5% CO₂. Cells were treated with Ceapin-A7 at a concentration of 20μM for 5 hours.

Reaction
Conditions 20μM; 5h

Applications Ceapin-A7 significantly down-regulated the expression of PINK1 and BNIP3 in NR3C1-knockdown ccRCC cells. Ceapin-A7 also reversed the increased LC3 expression and decreased P62 expression induced by NR3C1 knockdown, indicating inhibition of mitophagy. Additionally, Ceapin-A7 treatment rescued the reduced proliferation and migration capabilities of ccRCC cells caused by NR3C1 knockdown.

Animal experiment [2]:

Animal models DBA/1J mice with collagen-induced arthritis (CIA)

CIA mice were intraperitoneally administered Ceapin-A7 (10mg/kg) three times Preparation Method per week from day 22 to day 45 post-immunization. Control groups received vehicle or etanercept (2mg/kg).

Dosage form 10mg/kg/day; i.p.

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Applications

Ceapin-A7 administration significantly reduced arthritis severity scores and paw swelling in CIA mice, comparable to etanercept treatment. Ceapin-A7 treatment decreased bone erosion parameters (Tb.Sp) while increasing bone mineral density (Tb.BMD) and trabecular number (Tb.N). Additionally, Ceapin-A7 reduced serum levels of inflammatory cytokines including IL-6, IL-8, TNF- α and IL-1 β . Histological analysis showed Ceapin-A7 ameliorated synovial hyperplasia, pannus formation and cartilage destruction.

References:

- [1] Yan M, Wang J, Wang H, et al. Knockdown of NR3C1 inhibits the proliferation and migration of clear cell renal cell carcinoma through activating endoplasmic reticulum stress-mitophagy. J Transl Med. 2023 Oct 8;21(1):701.
- [2] Ge L, Wang T, Shi D, et al. ATF6 α contributes to rheumatoid arthritis by inducing inflammatory cytokine production and apoptosis resistance. Front Immunol. 2022 Oct 10;13:965708.

Background

Ceapin-A7 is a selective blocker of endoplasmic reticulum stress ATF6 α signaling (IC₅₀ = 0.59 μ M)^[1]. Ceapin-A7 can inhibit Th17 cell differentiation^[2]. Ceapin-A7 protects cells from Zika virus infection and also has the function of increasing the radiosensitivity of cancer cells^[3-4].

In vitro, pretreatment of ccRCC cells (ACHN and 786-O) with Ceapin-A7 (20 μ M) for 24 hours reduced the protein expression of PINK1 and BNIP3, and promoted cell proliferation and migration^[5]. Pretreatment of bovine pulmonary artery endothelial cells (BPAEC) with Ceapin-A7 (15 μ M) for 24 hours, followed by stimulation with LPS (1 μ g/ml) for 2 hours, significantly enhanced the phosphorylation activation of STAT3, JAK2, and JNK, while exacerbating the inhibition of cell proliferation^[6].

In vivo, Ceapin-A7 (10mg/kg) was administered via intraperitoneal injection three times per week to DBA/1J mice with collagen-induced arthritis (CIA), from day 22 to day 45, Ceapin-A7 significantly alleviated arthritis severity and joint bone erosion^[7]. Ceapin-A7 (1 μ M) was administered via drinking

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water to curdlan-induced SKG mice, from week 1 until week 12. Ceapin-A7 significantly alleviated spinal ankylosis and osteophyte formation[8].

References:

- [1] Fakir S, Sigdel M, Sarker MMR, et al. Ceapin-A7 suppresses the protective effects of Octreotide in human and bovine lung endothelial cells. *Cell Stress Chaperones*. 2025 Feb;30(1):1-8.
- [2] Kubra KT, Akhter MS, Saini Y, et al. Activating transcription factor 6 protects against endothelial barrier dysfunction. *Cell Signal*. 2022 Nov;99:110432.
- [3] Kern J, Schilling D, Schneeweis C, et al. Identification of the unfolded protein response pathway as target for radiosensitization in pancreatic cancer. *Radiother Oncol*. 2024 Feb;191:110059.
- [4] Mufrih M, Chen B, Chan SW. Zika Virus Induces an Atypical Tripartite Unfolded Protein Response with Sustained Sensor and Transient Effector Activation and a Blunted BiP Response. *mSphere*. 2021 Jun 30;6(3):e0036121.
- [5] Yan M, Wang J, Wang H, et al. Knockdown of NR3C1 inhibits the proliferation and migration of clear cell renal cell carcinoma through activating endoplasmic reticulum stress-mitophagy. *J Transl Med*. 2023 Oct 8;21(1):701.
- [6] Kubra KT, Barabutis N. Ceapin-A7 potentiates lipopolysaccharide-induced endothelial injury. *J Biochem Mol Toxicol*. 2023 Nov;37(11):e23460.
- [7] Ge L, Wang T, Shi D, et al. ATF6 α contributes to rheumatoid arthritis by inducing inflammatory cytokine production and apoptosis resistance. *Front Immunol*. 2022 Oct 10;13:965708.
- [8] Ma M, Li H, Wang P, et al. ATF6 aggravates angiogenesis-osteogenesis coupling during ankylosing spondylitis by mediating FGF2 expression in chondrocytes. *iScience*. 2021 Jun 28;24(7):102791.

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