

Product Data Sheet

Product Name: α -NETA
Cat. No.: GC45213

Chemical Properties

Cas. No. 115066-04-1

SMILES O=C(CC[N+](C)(C)C)C1=CC2=CC=CC=C2C=C1.[I-]

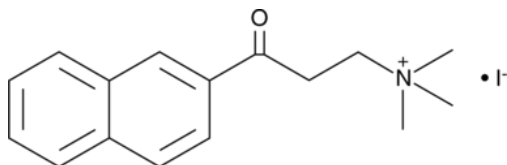
Formula C16H20NO•I M.Wt 369.2

Solubility DMSO: 3mg/ml, Methanol: Soluble Storage Store at -20°C, protect from light, stored under nitrogen

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 size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines HTR8/SVneo cells (immortalized human trophoblast cells)

HTR8/SVneo cells were maintained in DMEM/F12 medium supplemented with 10% fetal bovine serum (FBS) at 37°C with 5% CO₂. Cells were infected with Preparation Method LV-hChemerin-GFP lentivirus to overexpress chemerin, selected with puromycin, and subsequently exposed to either 1% DMSO (vehicle control) or 3μM α -NETA dissolved in DMSO.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

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Reaction
Conditions 3 μ M; 24-48h

Applications α -NETA prevented the inhibitory effects of chemerin overexpression on cell migration, invasion, and tube formation in co-culture with human umbilical vein endothelial cells (HUVECs).

Animal experiment [2]:

Animal models C57BL/6 mice (male, 8-10 weeks old)

Preparation Method Mice were fed a high-fat diet (HFD, 40 kcal% fat, 2% fructose) for 12 weeks to induce obesity. Then, mice in the α -NETA group received daily treatments of α -NETA (30mg/kg) via gavage for 4 weeks. Mice in the α -NETA+PD group received daily treatment of α -NETA plus PD98059 (0.3mg/kg via tail vein injection). At the end of intervention, mice were sacrificed for analysis of body weight, liver histology, ileal CMKLR1 mRNA, and serum parameters.

Dosage form 30mg/kg; daily gavage; for 4 weeks

Applications α -NETA reduced body weight gain in HFD-induced obese mice. Combined with PD98059, α -NETA further reduced body weight and alleviated hepatic steatosis. α -NETA down-regulated CMKLR1 mRNA expression in ileum. No impact on ileal ZO-1 or pERK protein expression, and no significant changes in serum endotoxin levels.

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References:

- [1] Tan L, Chen Z, Sun F, et al. Placental trophoblast-specific overexpression of chemerin induces preeclampsia-like symptoms. Clin Sci (Lond). 2022 Feb 25;136(4):257-272.
- [2] Wang D, Mahmud I, Thakur VS, et al. GPR1 and CMKLR1 Control Lipid Metabolism to Support the Development of Clear Cell Renal Cell Carcinoma. Cancer Res. 2024 Jul 2;84(13):2141-2154.

Background

α -NETA is a non-competitive inhibitor of choline acetyltransferase (ChAT; $IC_{50}=9\mu M$). α -NETA inhibits ALDH1A1 ($IC_{50}=0.04\mu M$) and antagonizes the activity of chemokine-like receptor 1 (CMKLR1), cholinesterase (ChE; $IC_{50}=84\mu M$), and acetylcholinesterase (AChE; $IC_{50}=300\mu M$). α -NETA can be used in research related to cancer and diabetic nephropathy^[1-4].

In vitro, α -NETA (5 μM) pretreated human gastric cancer AGS cells for 16-24 hours. α -NETA inhibited Chemerin-induced cell migration and invasion and suppressed cell morphological transformation^[5]. α -NETA (3 μM) treated chemerin-overexpressing human trophoblast cells (HTR8/SVneo) for 24-48 hours. α -NETA induced cell membrane rupture, cytoplasmic leakage, and activated the GSDMD/caspase-4-mediated pyroptosis pathway by increasing the expression of caspase-4 and GSDMD and the secretion of IL-18^[6].

In vivo, α -NETA (30mg/kg; once daily) was administered by gavage to high-fat diet-induced obese C57BL/6 mice for 4 weeks. α -NETA reduced body weight in the mice^[7]. α -NETA (10mg/kg; three times per week) was administered via intraperitoneal injection to nude mice bearing UOK101 cell xenograft tumors and SCID mice bearing human ccRCC PDX (UTSW-XP296) models (subcutaneous and orthotopic implantation) for 70 days. α -NETA significantly inhibited tumor growth and reduced lipid deposition within the tumors, while no significant changes in animal health status were observed^[8].

References:

- [1] Sastry BV, Jaiswal N, Janson V, et al. Relationships between chemical structure and inhibition of choline acetyltransferase by 2-(α -naphthoyl)ethyltrimethylammonium and related compounds. Pharmacol Res Commun. 1988 Sep;20(9):751-71.
- [2] Graham KL, Zhang JV, Lewén S, et al. A novel CMKLR1 small molecule antagonist suppresses

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CNS autoimmune inflammatory disease. PLoS One. 2014 Dec 1;9(12):e112925.

[3] Qiao L, Wu X, Zhang J, et al. α -NETA induces pyroptosis of epithelial ovarian cancer cells through the GSDMD/caspase-4 pathway. FASEB J. 2019 Nov;33(11):12760-12767.

[4] Aleksandrov AA, Polyakova NV, Vinogradova EP, et al. The TAAR5 agonist α -NETA causes dyskinesia in mice. Neurosci Lett. 2019 Jun 21;704:208-211.

[5] Kumar JD, Aolymat I, Tiszlavicz L, et al. Chemerin acts via CMKLR1 and GPR1 to stimulate migration and invasion of gastric cancer cells: putative role of decreased TIMP-1 and TIMP-2. Oncotarget. 2019 Jan 4;10(2):98-112.

[6] Tan L, Chen Z, Sun F, et al. Placental trophoblast-specific overexpression of chemerin induces preeclampsia-like symptoms. Clin Sci (Lond). 2022 Feb 25;136(4):257-272.

[7] Zheng C, Zheng Y, Chen X, et al. α -NETA down-regulates CMKLR1 mRNA expression in ileum and prevents body weight gains collaborating with ERK inhibitor PD98059 in turn to alleviate hepatic steatosis in HFD-induced obese mice but no impact on ileal mucosal integrity and steatohepatitis progression. BMC Endocr Disord. 2023 Jan 10;23(1):9.

[8] Wang D, Mahmud I, Thakur VS, et al. GPR1 and CMKLR1 Control Lipid Metabolism to Support the Development of Clear Cell Renal Cell Carcinoma. Cancer Res. 2024 Jul 2;84(13):2141-2154.

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