

$\alpha$ -NETA

## Chemical Properties

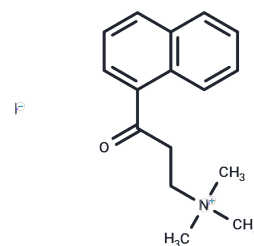
CAS No. : 115066-04-1

Formula: C<sub>16</sub>H<sub>20</sub>INO

Molecular Weight: 369.24

Storage: Store at low temperature, Keep away from moisture  
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	$\alpha$ -NETA is a potent choline acetyltransferase (ChA) inhibitor and an ALDH1A1 and chemokine-like receptor 1 (CMKLR1) antagonist. Anti-cancer activity, Cholinesterase and acetylcholinesterase (AChE) inhibition, Dose-dependent reduction of body weight and fasting blood glucose levels in STZ-induced diabetic mice, Significantly reduced expression of renal injury markers, May be CEP-9722 can be used for the study of diabetic nephropathy.
Targets(IC50)	Apoptosis, Cholinesterase (ChE), Dehydrogenase, Chemerin Receptor
In vitro	$\alpha$ -NETA is a potent, non-competitive inhibitor of choline acetyltransferase (ChAT) with an IC <sub>50</sub> of 9 $\mu$ M. $\alpha$ -NETA is also a potent inhibitor of aldehyde dehydrogenase 1A1 (ALDH1A1) with an IC <sub>50</sub> of 0.04 $\mu$ M, and acts as a chemokine-like receptor 1 (CMKLR1) antagonist with an IC <sub>50</sub> of 0.38 $\mu$ M. $\alpha$ -NETA inhibits both ChE and AChE less than they do, with IC <sub>50</sub> s of 84 $\mu$ M and 300 $\mu$ M, respectively.[3] $\alpha$ -NETA is also a potent, non-competitive inhibitor of ChAT. Compared to cholinesterase (ChE) and acetylcholinesterase (AChE), $\alpha$ -NETA has a weaker inhibitory effect on these two enzymes, with IC <sub>50</sub> s of 84 $\mu$ M and 300 $\mu$ M, respectively.[2] In cellular experiments, $\alpha$ -NETA (50–150 nM, 24 h) reduced the viability of several cell lines in a dose-dependent manner. At doses of 2.5–10.0 $\mu$ g/mL and a treatment time of 24 hours, it resulted in epithelial ovarian cancer (EOC) cell death, which was associated with cell membrane blistering and cytoplasmic leakage. In addition, $\alpha$ -NETA treatment significantly increased the expression levels of focal death-related proteins in EOC cells. [3] $\alpha$ -NETA has unique fluorescence properties with naphthalene-based fluorescence excitation spectra with maxima at 255 nm and 297 nm, and an emission spectrum with a maximum at 437 nm.[1]
In vivo	In in vivo studies, $\alpha$ -NETA (intraperitoneal injection, 0.125 mg/kg every other day for 20 days) was able to significantly reduce the volume and weight of tumors in mice.[3] In an experimental autoimmune encephalomyelitis (EAE) model, $\alpha$ -NETA (subcutaneous injection, 3 mg/kg or 10 mg/kg once daily for 30 days) significantly delayed the onset of EAE at a dose of 3 mg/kg, whereas a dose of 10 mg/kg completely suppressed the clinical signs of EAE in female C57BL/6 mice up to 9 days after its onset. [2]

## Solubility Information

Solubility	DMSO: 60 mg/mL (162.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.42 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7083 mL	13.5413 mL	27.0827 mL
5 mM	0.5417 mL	2.7083 mL	5.4165 mL
10 mM	0.2708 mL	1.3541 mL	2.7083 mL
50 mM	0.0542 mL	0.2708 mL	0.5417 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

## Reference

- Sastry BV, et al. Relationships between chemical structure and inhibition of choline acetyltransferase by 2-(alpha-naphthoyl)ethyltrimethylammonium and related compounds. *Pharmacol Res Commun.* 1988 Sep;20(9):751-71.
- Graham KL, et al. A novel CMKLR1 small molecule antagonist suppresses CNS autoimmune inflammatory disease. *PLoS One.* 2014 Dec 1;9(12):e112925.
- Qiao L, et al.  $\alpha$ -NETA induces pyroptosis of epithelial ovarian cancer cells through the GSDMD/caspase-4 pathway. *FASEB J.* 2019 Nov;33(11):12760-12767.

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