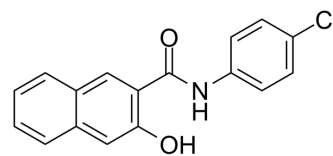


## Naphthol AS-E

<b>Cat. No.:</b>	HY-104068		
<b>CAS No.:</b>	92-78-4		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>12</sub> ClNO <sub>2</sub>		
<b>Molecular Weight:</b>	297.74		
<b>Target:</b>	Histone Acetyltransferase; Epigenetic Reader Domain		
<b>Pathway:</b>	Epigenetics		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 41.67 mg/mL (139.95 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	3.3586 mL	16.7932 mL	33.5864 mL
		5 mM	0.6717 mL	3.3586 mL	6.7173 mL
10 mM		0.3359 mL	1.6793 mL	3.3586 mL	
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 2.5 mg/mL (8.40 mM); Suspended solution; Need ultrasonic  2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.40 mM); Clear solution				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Naphthol AS-E is a potent and cell-permeable inhibitor of KIX-KID interaction. Naphthol AS-E directly binds to the KIX domain of CBP (K <sub>d</sub> :8.6 μM), blocks the interaction between the KIX domain and the KID domain of CREB with IC <sub>50</sub> of 2.26 μM. Naphthol AS-E can be used for cancer research.
<b>IC<sub>50</sub> &amp; Target</b>	KIX-KID 2.26 μM (IC <sub>50</sub> )
<b>In Vitro</b>	CREB (cyclic AMP-response element-binding protein) is a downstream transcription factor of a multitude of signaling pathways emanating from receptor tyrosine kinases or G-protein coupled receptors. CREB can not be activated until it is phosphorylated at Ser133 and its subsequent binding to CREB-binding protein (CBP)

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through the kinase-inducible domain (KID) in CREB and KID-interacting (KIX) domain in CBP.

In a cell-based CREB Renilla luciferase reporter assay, Naphthol AS-E inhibits CREB-mediated gene transcription with an  $IC_{50}$  of 2.29  $\mu$ M. In HEK293T-based complementation assay, Naphthol AS-E dose-dependently inhibited Renilla luciferase activity with an  $IC_{50}$  of 2.9  $\mu$ M by directly binding to CBP's KIX domain ( $K_d$  ~8.6  $\mu$ M using a recombinant KIX).

Naphthol AS-E exhibits low  $\mu$ M activity in inhibiting the proliferation of all these cancer cells, which is consistent with its cellular CREB inhibition potency. The average  $GI_{50}$  values for A549, MCF-7, MDA-MB-231 and MDA-MB-468 are approximately 2.9 $\mu$ M, 2.81 $\mu$ M, 2.35 $\mu$ M and 1.46 $\mu$ M, respectively.

Naphthol AS-E (2.5  $\mu$ M-10  $\mu$ M; 48 hours) decreases the expression of anti-apoptotic protein Bcl-2. The expression of VEGF is also decreased.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## REFERENCES

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- [1]. Fuchun Xie, et al. Synthesis and Evaluation of an O-Aminated Naphthol AS-E as a Prodrug of CREB-mediated Gene Transcription Inhibition. *Lett Org Chem*. 2013 Jun;10(5):380-384.
- [2]. Bingbing X Li, et al. Discovery of a small-molecule inhibitor of the KIX-KID interaction. *Chembiochem*. 2009 Nov 23;10(17):2721-4.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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