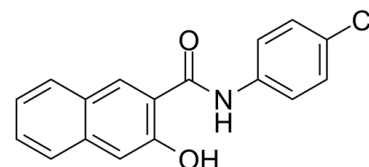


Data Sheet

Product Name:	Naphthol AS-E
Cat. No.:	CS-0028070
CAS No.:	92-78-4
Molecular Formula:	C ₁₇ H ₁₂ ClNO ₂
Molecular Weight:	297.74
Target:	Epigenetic Reader Domain; Histone Acetyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : 41.67 mg/mL (139.95 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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_d :8.6 μ M), blocks the interaction between the KIX domain and the KID domain of CREB with **IC₅₀** of 2.26 μ M. Naphthol AS-E can be used for cancer research. **In Vitro:** 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) 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 **IC₅₀** of 2.29 μ M. In HEK293T-based complementation assay, Naphthol AS-E dose-dependently inhibited Renilla luciferase activity with an **IC₅₀** of 2.9 μ M by directly binding to CBP's KIX domain (K_d ~8.6 μ M using a recombinant KIX).

Naphthol AS-E exhibits low μ M activity in inhibiting the proliferation of all these cancer cells, which is consistent with its cellular CREB inhibition potency. The average **GI₅₀** values for A549, MCF-7, MDA-MB-231 and MDA-MB-468 are approximately 2.9 μ M, 2.81 μ M, 2.35 μ M and 1.46 μ M, respectively.

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

References:

[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.

CAIndexNames:

2-Naphthalenecarboxamide, N-(4-chlorophenyl)-3-hydroxy-

SMILES:

O=C(C1=C(O)C=C2C=CC(=C1)NC3=CC=C(Cl)C=C3

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

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