

Product Data Sheet

Chemical Properties

Product Name:	NSC 146109 hydrochloride	Me
Cas No.:	59474-01-0	
M.Wt:	316.85	
Formula:	C17H16N2S.HCl	.HCI S
		H ₂ N NH
Chemical Name:	(10-methylanthracen-9-yl)methyl carbamimidothioate;hydrochloride	
Canonical SMILES:	CC1=C2C=CC=CC2=C(C3=CC=CC=C13)CSC(=N)N.Cl	
Solubility:	Soluble in DMSO > 10 mM	
Storage:	Desiccate at RT	
General tips:	For obtaining a higher solubility , please warm the tube at 37 $^{\circ}$ C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20 $^{\circ}$ C for several months.	
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request	

Biological Activity

Targets :	Apoptosis
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Pathways: p53

Description:

NSC 146109 hydrochloride is a selective activator of p53 with IC50 value ranges from 2.5 to >5 $\mu g/mL$ [1].

Tumor protein p53 (p53) is a crucial protein in multicellular organisms and plays a pivotal role in

preventing cancer formation. [1].

NSC 146109 hydrochloride is a potent p53 activator and has a higher activity than reported p53 activator RITA. When tested with breast tumor cell line MCF-7 cells, NSC 146109 hydrochloride treatment induced cell apoptosis and decreased cell viability through activating p53 expression which in turn up-regulated the expression of p21 [2]. In 16 tumor cells, NSC 146109 hydrochloride showed no clear genetic basis for the tumorigenic cell selectivity while had different affinity to different cell lines [1]. When tested with head and neck cancer (HNC) cell lines (high-expression of MDM4 while low-expression of p53, NSC 146109 hydrochloride treatment significantly suppressed cell growth and promoted cell apoptosis in a dose-dependent manner [3].

In mice model with head and neck cancer (HNC) cells subcutaneous xenograft, administration of NSC 146109 hydrochloride markedly inhibited cell growth and decreased tumor volume alone or combined with chemotherapy drug cisplatin which was regarded as a promising strategy for treating HNC in clinic [3].

Reference:

[1]. Dolma, S., et al., Identification of genotype-selective antitumor agents using synthetic lethal chemical screening in engineered human tumor cells. Cancer Cell, 2003. 3(3): p. 285-96.
[2]. Wang, H. and C. Yan, A small-molecule p53 activator induces apoptosis through inhibiting MDMX expression in breast cancer cells. Neoplasia, 2011. 13(7): p. 611-9.

[3]. Roh, J.L., J.Y. Park, and E.H. Kim, XI-011 enhances cisplatin-induced apoptosis by functional restoration of p53 in head and neck cancer. Apoptosis, 2014. 19(11): p. 1594-602.

Product Citations

1.Miranda PJ, Buckley D, et al. "MDM4 is a rational target for treating breast cancers with mutant p53." J Pathol. 2017 Apr;241(5):661-670. PMID:28097652

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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