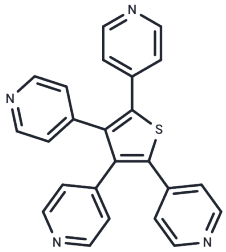
Data Sheet (Cat.No.T15370)

TargetMol

GANT 58

Chemical Properties



CAS No. : 64048-12-0

Formula: C24H16N4S

Molecular Weight: 392.48

Storage: 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	GANT 58 (NSC-75503) is a potent antagonist of Gli. Which inhibits GLI1-induced transcription (IC50: 5 μM).
Targets(IC50)	Hedgehog/Smoothened
In vitro	GANT58 is a downstream inhibitor of Hh signaling and it also is an indeed inhibitor of Hh signaling downstream of Smo and Sufu. GANT58 potently inhibits in vitro tumor cell proliferation in a GLI-dependent manner and successfully blocks cell growth using human prostate cancer cells harboring downstream activation of the Hh pathway[1]. GANT58 has been shown to inhibit transcriptional activation by GLI1 (as well as by the other GLI species) and it has been shown to inhibit GLI transactivation[2]. GANT58 mainly acts at the nuclear level because transcription induced by GLI1 with a mutated nuclear export signal is still blocked.
In vivo	Nude mice are treated with every second-day s.c. injections at a concentration of 50 mg/kg of cyclopamine, GANT61, GANT58, or solvent only (n=4-5 for each group). Although mice treated with these compounds showed no such signs of toxicity, this protocol is also introduced for the GANTs to be able to compare all compounds. All injections are done 2-3 cm away from the tumors. Suppression of tumor cell growth is observed for all compounds, during an 18-day treatment period. Treatment with cyclopamine or GANT58 results in the inhibition of additional xenograft growth and limited the increase in tumor size[1].

Solubility Information

Solubility	DMSO: 9.09 mg/mL (23.16 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: 0.5 mg/mL (1.27 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5479 mL	12.7395 mL	25.479 mL
5 mM	0.5096 mL	2.5479 mL	5.0958 mL
10 mM	0.2548 mL	1.274 mL	2.5479 mL
50 mM	0.051 mL	0.2548 mL	0.5096 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

Lauth M, et al. Inhibition of GLI-mediated transcription and tumor cell growth by small-molecule antagonists. Proc Natl Acad Sci U S A. 2007 May 15;104(20):8455-60.
Joo J, et al. GLI1 is a central mediator of EWS/FLI1 signaling in Ewing tumors. PLoS One. 2009 Oct 27;4(10):e7608.

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