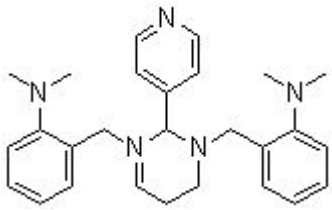
Product Introduction

GANT61

GANT61 is an inhibitor for **GLI1** as well as GLI2-induced transcription, inhibits **hedgehog** with **IC50** of 5 μM, displays selectivity over other pathways, such as TNF and glucocorticoid receptor gene transactivation.

Technical Data:

Molecular Weight (MW):	429.6	
Formula:	C27H35N5	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO <1 mg/mL (<1 mM)	
	Water <1 mg/mL (<1 mM)	
	Ethanol 12 mg/mL (27 mM)	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	500579-04-4	

Biological Activity

GANT61 is an inhibitor for GLI1 as well as GLI2-induced transcription. GANT61 inhibits the DNA binding ability of GLI1. GANT61 inhibits hedgehog signaling with IC50 of 5 μM, displays selectivity over other pathways, such as TNF signaling/NFκB activation, glucocorticoid receptor gene transactivation, and the

Ras–Raf–Mek–Mapk cascade. GANT61 efficiently inhibited in vitro tumor cell proliferation in a GLI-dependent manner. [1] GANT61 induces apoptosis in chronic lymphocytic leukemia cells (CLL), but not in normal B lymphocytes. [2] GANT61 induces robust cytotoxicity and abolishs the clonogenicity in human colon carcinoma cell lines. [3] GANT61 induces inhibition of DNA replication in early S-phase in human colon carcinoma cell lines, leading to DNA damage signaling involving an ATM–Chk2 axis and induction of cell death. [4] GANT61 (30 μM) causes growth arrest and apoptosis in acute myeloid leukemia (AML) cells. [5]

In nude mice injected with GLI1-positive 22Rv1 prostate cancer cells, GANT61 induces growth regression until no tumor is palpable. [1] In nude mice carrying SK-N-AS neuroblastoma xenografts, GANT61 treatment (oral gavage, 50 mg/kg) significantly inhibits tumor growth at Day 12 , as the tumor volume is reduced to 63% compared with controls. [6]

References

[1] Lauth M, et al. Proc Natl Acad Sci, 2007, 104(20), 8455-8560

[2] Desch P, et al. Oncogene, 2010, 29(35), 4885-4895.

[3] Mazumdar Y, et al. Cancer Res, 2011, 71(3), 1092-1102.

[4] Mazumdar T, et al. Cancer Res, 2011,71(17), 5904-5914.

[5] Pan D, et al. Leuk Res, 2012, 36(6), 742-748.

[6] Wickstorm M, et al. Int J Cancer, 2013, 132(7), 1516-1524.

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