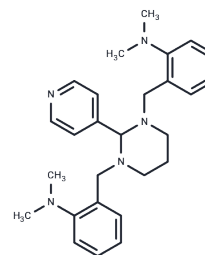


GANT 61

Chemical Properties

CAS No. : 500579-04-4
Formula: C₂₇H₃₅N₅
Molecular Weight: 429.6
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	GANT 61 (GANT61) is an inhibitor for Gli1 and Gli2.
Targets(IC50)	Hedgehog/Smoothed, Autophagy
In vitro	Nude mice bearing SK-N-AS neuroblastoma xenografts administered orally with 50 mg/kg GANT61 exhibited significant tumor growth inhibition on day 12 of the experiment, achieving a 63% reduction in tumor volume compared to the control group. Additionally, in nude mice injected with GLI1-positive 22Rv1 prostate cancer cells, GANT61 induced tumor regression to the point where no discernible tumors were observed.
In vivo	GANT61 effectively inhibits tumor cell proliferation in vitro through a GLI-dependent mechanism. At a concentration of 30 μM, GANT61 halts growth and induces apoptosis in acute myeloid leukemia cells. It exhibits potent cytotoxicity towards human colon cancer cell lines, eradicating colony formation. GANT61 specifically targets chronic lymphocytic leukemia cells over normal B lymphocytes, triggering apoptosis. In the early S phase of human colon cancer cell lines, GANT61 induces DNA replication inhibition leading to DNA damage signaling through the ATM-Chk2 axis and consequent cell death. Furthermore, GANT61 inhibits GLI1's DNA binding capability and suppresses the hedgehog signaling pathway with an IC ₅₀ of 5 μM, displaying higher selectivity over other pathways (such as TNF signaling/NFκB activation, glucocorticoid receptor gene transcription, and the Ras-Raf-Mek-Mapk cascade).
Kinase Assay	Dual Luciferase Assay: HEK293 cells are transfected with GLI1 expression plasmid, together with the reporter plasmids 12xGliBSLuc and R-Luc on 10-cm plates (day 0). Twenty-four hours later, cells are seeded in white 96-well plates with clear bottom at a density of 15,000 cells per well. Cells are allowed to attach, and compounds are added at a final concentration of 10 μM in DMSO (0.5% final DMSO concentration) (day 1.5). Cells are grown for another 24 h, subsequently lysed, and then analyzed by using the Dual Luciferase kit.
Cell Research	BrdU Incorporation Assay. Subconfluent cells are grown in reduced FBS (2.5%) for 48 h in the presence of 5 μM test compound (or DMSO) on white 96-well plates with clear bottom. Subsequently, cells are labeled for 2 h with BrdU, fixed, and analyzed. (Only for Reference)

Solubility Information

Solubility	DMSO: 4.3 mg/mL (10 mM),Sonication is recommended. Ethanol: 43 mg/mL (100 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3277 mL	11.6387 mL	23.2775 mL
5 mM	0.4655 mL	2.3277 mL	4.6555 mL
10 mM	0.2328 mL	1.1639 mL	2.3277 mL
50 mM	0.0466 mL	0.2328 mL	0.4655 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.

Reference

Lauth M, et al. Proc Natl Acad Sci, 2007, 104(20), 8455-8560
Zheng H T, Fu T, Zhang H Y, et al. Progesterone-regulated Hsd11b2 as a barrier to balance mouse uterine corticosterone. Journal of Endocrinology. 2020, 244(1):

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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