Product Data Sheet

Product Name: NT157
Cat. No.: GC12712

Chemical Properties

Cas. No.	1384426-12-3		
Chemical Name	(E)-3-(3-bromo-4,5-dihydroxyphenyl)-N-(3,4,5-trihydroxybenzyl)prop-2-enethioamide		
SMILES	OC1=C(O)C=C(/C=C/C(NCC2=CC(O)=C(O)C(O)=C2)=S)C=C1Br		
Formula	$C_{16}H_{14}BrNO_5S$	M.Wt	412.26
Solubility	≥ 50mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	NT157		

Protocol

Cell experiment [1]:

Cell lines	H1299 and H460 cells
Preparation Method	H1299 and H460 cells were seeded at a density of 1×10^3 cells per well in 6-well plates and incubated for 24h, then treated with NT157 (3.2, 6.4, and 12.5μM) for 7 days. Colonies were fixed and stained with 1% crystal violet solution, and the number of colonies was counted to assess clonogenic capacity.
Reaction Conditions	3.2, 6.4, and 12.5μM; 7 days

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Applications	Treatment with NT157 significantly inhibited colony formation in a dose-dependent manner, reducing the colony formation rates of H1299 and H460 cells to 1.4% and 0.8% of the control group, respectively, at a concentration of 12.5μM.

Animal experiment [2]:

Animal models	Mice carrying A375SM xenograft tumors
Preparation Method	A375SM cells were injected subcutaneously into mice, and administration of NT157 (70mg/kg) by intravenous injection was initiated 10 days later, thrice weekly for 4 weeks. Samples for immunohistochemistry were taken 48h following the last treatment.
Dosage form	70mg/kg; thrice weekly; 4 weeks; i.v.
Applications	Treatment with NT157 significantly reduced the level of pY(705)Stat3 in tumor tissue.

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References:
[1] DE MIRANDA L B L, LIMA K, COELHO-SILVA J L, et al. NT157 exerts antineoplastic activity by targeting JNK and AXL signaling in lung cancer cells[J]. Scientific Reports, 2022, 12(1): 17092.
[2] FLASHNER-ABRAMSON E, KLEIN S, MULLIN G, et al. Targeting melanoma with NT157 by blocking Stat3 and IGF1R signaling[J]. Oncogene, 2016, 35(20): 2675-2680.

Background

NT157 is a selective inhibitor of insulin receptor substrate-1/-2 (IRS-1/2)[1]. IRS-1/2 are important cytoplasmic adaptor proteins that mediate insulin and insulin-like growth factor 1 (IGF-1) signaling, playing critical roles in glucose metabolism, cell growth, and development[2]. NT157 exerts its effects by inducing apoptosis and disrupting key signaling pathways and is commonly used in drug research and development for various diseases, including melanoma, prostate cancer, and colorectal cancer[3,4].

In vitro, pretreatment of osteosarcoma MG-63 and U-2OS cells with NT157 (3μM) for 24h significantly inhibited cell migration ability, accompanied by downregulation of IRS-2 protein[5]. Treatment of H1299 and H460 cells with NT157 (3.2, 6.4, 12.5μM) for 7 days resulted in a dose-dependent and significant inhibition of colony formation ability; at the concentration of 12.5μM, the colony formation rates of H1299 and H460 cells decreased to 1.4% and 0.8% of the control group, respectively[6].

In vivo, NT157 (100mg/kg; twice daily) was administered via intraperitoneal injection for 4 weeks in a nude mouse model of lung metastasis of hepatocellular carcinoma established by tail vein injection of SMMC-7721 cells, significantly reducing the incidence of lung metastasis compared to the control group[7]. NT157 (70mg/kg; thrice weekly)

was administered via intravenous injection for 4 weeks in mice subcutaneously inoculated with A375SM cells, 48h after the final dose, pY(705)Stat3 levels in tumor tissues were significantly reduced[4].

References:

[1] DE QUEIROZ G N, LIMA K, DE MIRANDA L B L, et al. NT157 exhibits antineoplastic effects by targeting IRS and STAT3/5 signaling in multiple myeloma[J]. Hematology, Transfusion and Cell Therapy, 2024, 46: S112-S121.
[2] RABIEE A, KRÜGER M, ARDENKJÆR-LARSEN J, et al. Distinct signalling properties of insulin receptor substrate (IRS)-1 and IRS-2 in mediating insulin/IGF-1 action[J]. Cellular Signalling, 2018, 47: 1-15.
[3] IBUKI N, GHAFFARI M, REUVENI H, et al. The tyrphostin NT157 suppresses insulin receptor substrates and augments therapeutic response of prostate cancer[J]. Molecular Cancer Therapeutics, 2014, 13(12): 2827-2839.
[4] FLASHNER-ABRAMSON E, KLEIN S, MULLIN G, et al. Targeting melanoma with NT157 by blocking Stat3 and IGF1R signaling[J]. Oncogene, 2016, 35(20): 2675-2680.
[5] GAROFALO C, CAPRISTO M, MANCARELLA C, et al. Preclinical effectiveness of selective inhibitor of IRS-1/2 NT157 in osteosarcoma cell lines[J]. Frontiers in Endocrinology, 2015, 6: 74.
[6] DE MIRANDA L B L, LIMA K, COELHO-SILVA J L, et al. NT157 exerts antineoplastic activity by targeting JNK and AXL signaling in lung cancer cells[J]. Scientific Reports, 2022, 12(1): 17092.
[7] YU S Z, WANG Y, LV K J, et al. NT157 inhibits HCC migration via downregulating the STAT3/Jab1 signaling pathway[J]. Technology in Cancer Research & Treatment, 2021, 20: 15330338211027916.

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