Data Sheet (Cat.No.T71767)

TargetMol

WX2-43

Chemical Properties

CAS No. :	723754-14-1
Formula:	C17H21ClN2
Molecular Weight:	288.82
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	WX2-43 is a PRMT5-KLF4 inhibitor. Biotin-labeled WX2-43 binds to GST-PRMT5 with a dissociation constant Kd of 11.0 nM. It binds to the L400 to M500 amino acid region of PRMT5 protein, effectively blocking the interaction between KLF4 and PRMT5 and inhibiting KLF4 methylation modification. Functionally, WX2-43 downregulates p21 expression and upregulates Bax level, thereby suppressing the progression of triple-negative breast tumors. It can be applied to mechanism research of triple-negative breast cancer and colorectal cancer.
Targets(IC50)	Bcl-2 Family
In vitro	Methods: Biotinylated WX2-43 was used for target binding assay to determine its binding affinity with PRMT5. Cell viability detection, cell phenotypic analysis and immunofluorescence staining were performed to evaluate its activity and selectivity in different cell models, as well as its effects on cell morphology and proliferation. Results: : 1.Target binding affinity: Biotinylated WX2-43 (0.1 nM-10 μM, incubation for 2 h) could specifically bind to PRMT5 with a dissociation constant (Kd) of 11.0 nM. 2.Cell viability inhibition: WX2-43 (0.03-10 μM, treatment for 24 h) inhibited cell viability in cisplatin-treated MEFs in a KLF4-dependent manner. 3.Cell selectivity: WX2-43 exhibited stronger activity in triple-negative breast cancer (TNBC) cell lines with EC_{50} values of 0.44-0.96 μM, which was significantly higher than that in normal mammary epithelial cell lines (EC_{50}: 3.62-23.34 μM) [1]. 4.Effects on cell phenotype and proliferation: WX2-43 could induce a mesenchymal-like cell phenotype in a two-dimensional (2D) AKPS culture system, alter colony morphology and size, remodel the actin cytoskeleton, and reduce the frequency of Ki-67+ proliferative cells [2].
In vivo	Methods: Nude mice bearing triple-negative breast cancer tumors were given intraperitoneal injection to observe the inhibitory effect of WX2-43 on tumor growth in vivo. Results: WX2-43 (40-80 mg/kg, intraperitoneal injection, twice a week for 4 consecutive weeks) could significantly inhibit the tumor progression of triple-negative breast cancer in nude mice [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4624 mL	17.3118 mL	34.6236 mL
5 mM	0.6925 mL	3.4624 mL	6.9247 mL
10 mM	0.3462 mL	1.7312 mL	3.4624 mL
50 mM	0.0692 mL	0.3462 mL	0.6925 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

Zhou Z, et al. A novel small-molecule antagonizes PRMT5-mediated KLF4 methylation for targeted therapy. EBioMedicine. 2019;44:98-111

Borrelli C, et al. In vivo interaction screening reveals liver-derived constraints to metastasis. Nature. 2024;632 (8024):411-418.