

MRTX-1719

Chemical Properties

CAS No. :	2630904-45-7
Formula:	C ₂₃ H ₁₈ ClFN ₆ O ₂
Molecular Weight:	464.88
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	MRTX-1719 is a potent and selective inhibitor of the PRMT5/MTA complex with an IC ₅₀ value of <10 nM against PRMT5/MTAMTAPDELSDMA cell lines.
Targets(IC ₅₀)	Histone Methyltransferase
In vitro	METHODS: To study the selectivity of MRTX1719 in reducing MTAP del tumor cell viability, 70 MTAP del and 26 MTAP WT human cancer cell lines were evaluated in a 5-day CellTiter-Glo (CTG) viability assay. RESULTS MRTX1719 selectively reduced the viability of MTAP del cell line, with minimal impact on MTAP WT cells. The median IC ₅₀ value of MRTX1719 in MTAP del cell line was 90 nmol/L, while the median IC ₅₀ value of MRTX1719 in MTAP WT cell line was IC ₅₀ is 2.2 μmol/L. [1] METHODS: MRTX1719 was studied in MTAP-del SDMA cells and MTAP-del active cell experiments. RESULTS IC ₅₀ = 8 nM in the MTAP-del SDMA experiment and IC ₅₀ = 12 nM in the MTAP-del activity experiment, with 82-fold and 74-fold selectivity over the corresponding MTAPWT experiment. [2]
In vivo	METHODS: MRTX1719 was studied in selected MTAP del cell line-derived xenograft (CDX) and patient-derived xenograft (PDX) models for 3 weeks at 100 mg/kg orally. RESULTS MRTX1719 showed significant anti-tumor activity in all models tested. [1] METHODS: Lu-99 is a human lung cancer cell line lacking MTAP/cdkn2a. When tumors reached ~200 mm ³ , MRTX1719 was administered by gavage (12.5, 25, 50, and 100 mg/kg) once daily until day 21. Tumor volumes were measured throughout the study. RESULTS MRTX1719 can inhibit the growth of Lu-99 cells in a concentration-dependent manner. [2]

Solubility Information

Solubility	DMSO: 83.33 mg/mL (179.25 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: < 8.33 mg/mL (17.92 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% (20%SEC-β-CD): < 8.3 mg/mL,Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Saline: < 8.33 mg/mL (17.92 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.

A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+90% Corn oil: 10 mg/mL (21.51 mM),Solution. 10% DMSO+90% (20% SBE- β -CD in Saline): < 8.33 mg/mL (17.92 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>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.</i>
---------------------	--

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1511 mL	10.7555 mL	21.5109 mL
5 mM	0.4302 mL	2.1511 mL	4.3022 mL
10 mM	0.2151 mL	1.0755 mL	2.1511 mL
50 mM	0.043 mL	0.2151 mL	0.4302 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

- Engstrom LD, et al. MRTX1719 Is an MTA-Cooperative PRMT5 Inhibitor That Exhibits Synthetic Lethality in Preclinical Models and Patients with MTAP-Deleted Cancer. *Cancer Discov.* 2023 Nov 1;13(11):2412-2431.
- Smith CR, et al. Fragment-Based Discovery of MRTX1719, a Synthetic Lethal Inhibitor of the PRMT5•MTA Complex for the Treatment of MTAP-Deleted Cancers. *J Med Chem.* 2022 Feb 10;65(3):1749-1766.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481