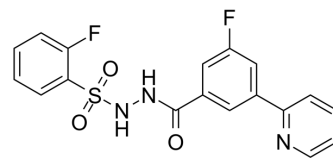


WM-1119

Cat. No.:	HY-102058		
CAS No.:	2055397-28-7		
Molecular Formula:	C ₁₈ H ₁₃ F ₂ N ₃ O ₃ S		
Molecular Weight:	389		
Target:	Histone Acetyltransferase		
Pathway:	Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (321.34 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		10 mg	
	1 mM	2.5707 mL	12.8535 mL	25.7069 mL
	5 mM	0.5141 mL	2.5707 mL	5.1414 mL
	10 mM	0.2571 mL	1.2853 mL	2.5707 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.43 mM); Clear solution Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.35 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.35 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	WM-1119 is a highly potent and selective KAT6A inhibitor, with an IC ₅₀ of 0.25 μM for KAT6A in lymphoma cells, the binding K _D values of WM-1119 with KAT6A, KAT5 and KAT7 are 2 nM, 2.2 μM, 0.5 μM , respectively ^[1] .
IC ₅₀ & Target	IC ₅₀ : 0.25 μM (KAT6A in lymphoma cells), K _D : 2 nM (KAT6A), 2.2 μM (KAT5), 0.5 μM (KAT7) ^[1] .
In Vitro	WM-1119 induces cell cycle exit and cellular senescence without causing DNA damage. WM-1119 is 1,100-fold and 250-fold more active against KAT6A than against KAT5 or KAT7, respectively, and so shows greater specificity for KAT6A than does

WM-8014. Treatment of MEFs with WM-1119 results in cell cycle arrest in G1 and a senescence phenotype similar to that seen upon treatment with WM-8014. Notably, the activity of WM-1119 in this cell-based assay is an order of magnitude greater than WM-8014 and WM-1119 is able to induce cell cycle arrest at 1 μM . Treatment with WM-1119 inhibits the proliferation of the EMRK1184 lymphoma cells in vitro, WM-1119 ($\text{IC}_{50}=0.25 \mu\text{M}$) is ninefold more active than WM-8014 ($\text{IC}_{50}=2.3 \mu\text{M}$), as expected on the basis of reduced protein binding^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

By day 14, the cohorts that are treated four times per day with WM-1119 have arrested tumour growth, with the exception of one mouse that does not respond. Spleen weights in the WM-1119-treatment group (treated four times per day) are substantially lower than spleen weights in the vehicle-treated group. Treatment with WM-1119 three times per day leads to a significant reduction in tumour burden and spleen weight, but is not as effective as treatment four times per day. WM-1119 is well-tolerated; mice show no generalized ill effects and weight loss is not observed. The proportion and overall number of tumour cells is substantially reduced by WM-1119 treatment (four times per day)^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]

Mice^[1]

Male C57BL/6-albino (B6(Cg)-Tyr^{c-2J}/J) mice are injected intravenously with 100,000 EMRK1184 cells transfected with a luciferase-expression construct. Lymphoma growth is monitored. Three days after the lymphomacell transplant, all mice show luciferase activity, which indicate the expansion of lymphoma cells. Mice are then divided randomly into WM-1119-treatment with different concentrations (1, 2.5, 5, 10 μM) and vehicle-control groups. Because WM-1119 is rapidly cleared after intraperitoneal injection, with the plasma concentration decreasing to below 1 μM after 4-6 h cohorts of mice are injected every 8 h (three times per day, two cohorts of three mice per treatment group) or every 6 h (four times per day, two cohorts of three and six mice per treatment group)^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Discov. 2022 May 2;candisc.0646.2021.
- Cancer Discov. 2022 Mar 1;12(3):792-811.
- Oncogene. 2021 Apr;40(15):2711-2724.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Baell JB et al. Inhibitors of histone acetyltransferases KAT6A/B induce senescence and arrest tumour growth. Nature. 2018 Aug;560(7717):253-257.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA