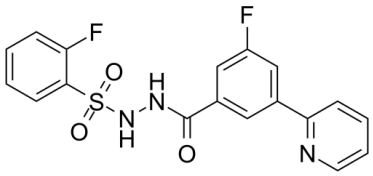
Data Sheet

Product Name:	WM-1119
Cat. No.:	CS-0022884
CAS No.:	2055397-28-7
Molecular Formula:	C18H13F2N3O3S
Molecular Weight:	389.38
Target:	Histone Acetyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 150 mg/mL (385.23 mM)



BIOLOGICAL ACTIVITY:

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. IC50 & Target: IC50: 0.25 μM (KAT6A in lymphoma cells), KD: 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 (IC_{50}=0.25 μM) is ninefold more active than WM-8014 (IC_{50}=2.3 μM), as expected on the basis of reduced protein binding[1]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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 conentrations **(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].

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.

CAIndexNames:

Benzoic acid, 3-fluoro-5-(2-pyridinyl)-, 2-[(2-fluorophenyl)sulfonyl]hydrazide

SMILES:

O=C(NNS(=O)(C1=CC=CC=C1F)=O)C2=CC(C3=NC=CC=C3)=CC(F)=C2

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

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