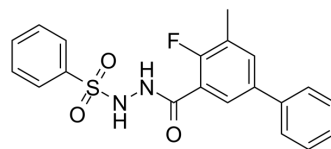


WM-8014

Cat. No.:	HY-102060		
CAS No.:	2055397-18-5		
Molecular Formula:	C ₂₀ H ₁₇ FN ₂ O ₃ S		
Molecular Weight:	384		
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 : ≥ 250 mg/mL (651.04 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.6042 mL	13.0208 mL	26.0417 mL
	5 mM	0.5208 mL	2.6042 mL	5.2083 mL
	10 mM	0.2604 mL	1.3021 mL	2.6042 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (6.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WM-8014 is an inhibitor of MOZ, a member of histone acetyltransferases, with an IC₅₀ of 55 nM.

IC₅₀ & Target

IC₅₀: 55 nM (MOZ)^[1]

In Vitro

WM-8014 (Compound 36) is an inhibitor of MOZ, with an IC₅₀ of 55 nM. WM-8014 causes cell senescence and suppresses the proliferation with IC₅₀s of 1.6 μM and 551 nM, respectively. WM-8014 also reduces mRNA coding for the MOZ gene Cdc6 by 65.1%^[1].

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

PROTOCOL

Kinase Assay ^[1]

To determine the inhibition of Moz activity by the test compounds, assay reactions are conducted in a volume of 8 μ L in 384-well low volume assay plates. The reactions are performed in assay buffer (100 mM Tris-HCl, pH 7.8, 15 mM NaCl, 1 mM EDTA, 0.01% Tween-20, 1 mM Dithiothreitol, and 0.02% m/v chicken egg white albumin). Reactions are set up with 0.4 μ M Acetyl coenzyme A (AcCoA), 50 nM N-terminal histone H4 peptide (sequence SGRGKGGKGLGKGGAKRHRKV-GGK-biotin), 10 nM MOZ enzyme, and an acetyl-lysine specific antibody (final dilution 1: 10000). 11-point dilution series of the compounds (WM-8014) of the invention are prepared in DMSO; a volume of 100 nL is transferred using a pin tool into assay plates containing substrates, before adding enzyme to start the reaction. Positive (no compound) and negative (AcCoA omitted) control reactions are included on the same plates and receive the same amount of DMSO as the compound treated wells. After adding all reagents, the plates are sealed with adhesive seals and incubated for 90 minutes at room temperature^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Discov. 2022 Mar 1;12(3):792-811.

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REFERENCES

[1]. ARYL SULFONOHYDRAZIDES. WO2016198507 A1.

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