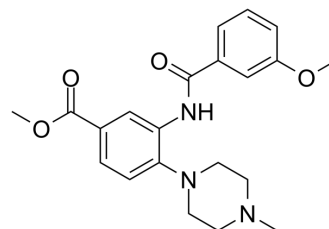


WDR5-0103

Cat. No.:	HY-19347		
CAS No.:	890190-22-4		
Molecular Formula:	C ₂₁ H ₂₅ N ₃ O ₄		
Molecular Weight:	383.44		
Target:	Histone Methyltransferase		
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 : 50 mg/mL (130.40 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.6080 mL	13.0399 mL	26.0797 mL
	5 mM	0.5216 mL	2.6080 mL	5.2159 mL
	10 mM	0.2608 mL	1.3040 mL	2.6080 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (6.52 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WDR5-0103 is a potent and selective WD repeat-containing protein 5 (WDR5) antagonist with K_d of 450 nM. IC₅₀ value: 450 nM (K_d) Target: WDR5 in vitro: WDR5-0103 inhibits MLL catalytic activity with an IC₅₀ value of 39±10 μM. An increase in MLL complex concentration resulted in proportional increase in IC₅₀ values for WDR5-0103 (83±10 and 280±12 μM at concentrations of 500 and 1000 nM of the core trimeric MLL complex respectively). These data are consistent with a mechanism of action in which WDR5-0103 antagonizes the interaction of WDR5 with MLL by competing with MLL for their mutual binding site on WDR5.

REFERENCES

[1]. Senisterra G, et al. Small-molecule inhibition of MLL activity by disruption of its interaction with WDR5. *Biochem J.* 2013 Jan 1;449(1):151-159.

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