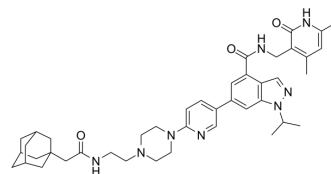


## MS1943

<b>Cat. No.:</b>	HY-133129		
<b>CAS No.:</b>	2225938-17-8		
<b>Molecular Formula:</b>	C <sub>42</sub> H <sub>54</sub> N <sub>8</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	718.93		
<b>Target:</b>	Histone Methyltransferase; Apoptosis		
<b>Pathway:</b>	Epigenetics; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 125 mg/mL (173.87 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
<b>Preparing Stock Solutions</b>	<b>1 mM</b>	1.3910 mL	6.9548 mL	13.9096 mL
	<b>5 mM</b>	0.2782 mL	1.3910 mL	2.7819 mL
	<b>10 mM</b>	0.1391 mL	0.6955 mL	1.3910 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 6.25 mg/mL (8.69 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 6.25 mg/mL (8.69 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 6.25 mg/mL (8.69 mM); Clear solution</li> </ol>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	MS1943 is a first-in-class, orally bioavailable EZH2 selective degrader, with an IC <sub>50</sub> of 120 nM. MS1943 significantly reduces EZH2 protein levels in numerous triple-negative breast cancer (TNBC) and other cancer and noncancerous cell lines. MS1943 effectively blocks proliferation of multiple TNBC and other cancer cell lines <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	EZH2 120 nM (IC <sub>50</sub> )

## In Vitro

MS1943 (0.625-5  $\mu$ M; 3 days) inhibits cell growth with an GI<sub>50</sub> of 2.2  $\mu$ M<sup>[1]</sup>.

MS1943 (0.625-5  $\mu$ M; 4 days) induces cell death in MDA-MB-468 cells. MS1943 effectively reduces EZH2 levels in BT549, HCC70 and MDA-MB-231 TNBC cells, as well as KARPAS-422 and SUDHL8 lymphoma cells and PNT2 non-cancerous prostate cells<sup>[1]</sup>.

MS1943 (1.25-5.0  $\mu$ M; 2 days) inhibits EZH2 and SUZ12 protein levels in a concentration- and time-dependent manner, without affecting EED protein levels, whereas the H3K27me3 mark was also suppressed<sup>[1]</sup>.

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

### Cell Viability Assay<sup>[1]</sup>

Cell Line:	MDA-MB-468 cells
Concentration:	0.625, 1.25, 2.5, 5 $\mu$ M
Incubation Time:	3 days
Result:	Inhibits cell growth with an GI <sub>50</sub> of 2.2 $\mu$ M.

### Western Blot Analysis<sup>[1]</sup>

Cell Line:	MDA-MB-468 cells
Concentration:	1.25, 2.5, 5.0 $\mu$ M
Incubation Time:	2 days
Result:	Reduced EZH2 protein levels in a concentration- and time-dependent manner.

## In Vivo

MS1943 (150 mg/kg body weight; i.p.; once daily for 36 days) suppresses tumor growth<sup>[1]</sup>.

MS1943 induces apoptosis in the MDA-MB-468 xenograft model<sup>[1]</sup>.

A single i.p. injection of MS1943 at 50 mg/kg body weight achieved a peak plasma concentration (C<sub>max</sub>) of 2.9  $\mu$ M and resulted in plasma concentrations above its cellular IC<sub>50</sub> value for ~2h. A single 150 mg/kg body weight p.o. dose achieved C<sub>max</sub> of 1.1  $\mu$ M, but plasma concentrations were below the cellular IC<sub>50</sub> value<sup>[1]</sup>.

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

Animal Model:	Eight-week-old female BALB/c nude mice (MDA-MB-468 xenografts) <sup>[1]</sup>
Dosage:	150 mg/kg body weight
Administration:	i.p.; once daily for 36 days
Result:	Suppresses tumor growth.

## REFERENCES

[1]. Ma A, et al. Discovery of a first-in-class EZH2 selective degrader. Nat Chem Biol. 2020 Feb;16(2):214-222.

**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