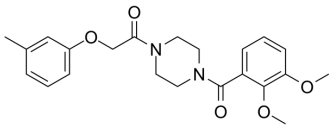
MS37452

Cat. No.:	HY-119344
CAS No.:	423748-02-1
Molecular Formula:	$C_{22}H_{26}N_2O_5$
Molecular Weight:	398.45
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (250.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5097 mL	12.5486 mL	25.0972 mL
	5 mM	0.5019 mL	2.5097 mL	5.0195 mL
	10 mM	0.2510 mL	1.2549 mL	2.5097 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MS37452 is a potent inhibitor of CBX7 chromodomain binding to H3K27me3, with a K_d of 27.7 μM. MS37452 can derepress transcription of polycomb repressive complex target gene p16/CDKN2A by displacing CBX7 binding to the INK4A/ARF locus in prostate cancer cells[1].

IC_{50} & Target

CBX7[1]

In Vitro

MS37452 (125-500 μM; 12 hours) significantly increases INK4A/ARF transcript levels up to 25% and 60% for 250 μM and 500 μM, respectively, as compared to the DMSO control[1].
MS37452 (250 μM; 2 hours) treats human PC3 prostate cancer cells for 2 hours reducing CBX7 occupancy across the INK4A/ARF locus[1].

MS37452 (200 μM; 5 days) combined with doxorubicin results in consistently decreased cell viability compared to DMSO treated and single drug treatment[2].
MS37452 (200 μM; 5 days), which is a CBX7 chromodomain inhibitor (CBX7i), in combination with doxorubicin is a novel therapeutic strategy[2].

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

RT-PCR[1]

Cell Line:	PC3 cells
Concentration:	125-500 μM
Incubation Time:	12 hours
Result:	Up-regulated INK4A/ARF expression up to 25% and 60% for 250 μM and 500 μM, respectively.

Cell Viability Assay[2]

Cell Line:	Glioblastoma multiforme (GBM) U118MG cells
Concentration:	PRT4165 40 μM, PTC209 200 nM, DZnep 25 μM, GSK343 400 nM, MS37452 200 μM, Doxorubicin 200 nM, temozolomide 50 μM, SAHA 1 μM
Incubation Time:	5 days
Result:	Identified several combinations that resulted in consistently decreased cell viability compared to DMSO treated and single drug treatment: SAHA/TMZ and MS37452/doxorubicin.

REFERENCES

[1]. Ren C, et al. Small-molecule modulators of methyl-lysine binding for the CBX7 chromodomain. Chem Biol. 2015;22(2):161-168.

[2]. Connelly KE, et al. CBX Chromodomain Inhibition Enhances Chemotherapy Response in Glioblastoma Multiforme. Yale J Biol Med. 2016;89(4):431-440.

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