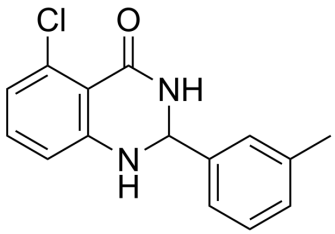
PBRM1-BD2-IN-5

Cat. No.:	HY-151532
CAS No.:	2819989-61-0
Molecular Formula:	$C_{15}H_{13}ClN_2O$
Molecular Weight:	272.73
Target:	Epigenetic Reader Domain
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 (366.66 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6666 mL	18.3331 mL	36.6663 mL
	5 mM	0.7333 mL	3.6666 mL	7.3333 mL
	10 mM	0.3667 mL	1.8333 mL	3.6666 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 (9.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PBRM1-BD2-IN-5 is a potent PBRM1 Bromodomain inhibitor with K_d values of 1.5 μM and 3.9 μM for PBRM1-BD2 and PBRM1-BD5, respectively, and an IC_{50} value of 0.26 μM for PBRM1-BD2. PBRM1-BD2-IN-5 reduces the binding of full-length PBRM1 within the PBAF complex in cell lysates to acetylated histone peptide. PBRM1-BD2-IN-5 can be used to research anticancer[1].

IC_{50} & Target

K_d: 1.5 μM (PBRM1-BD2), 3.9 μM (PBRM1-BD5)[1]
IC_{50}: 0.26 μM (PBRM1-BD2)[1]

In Vitro

PBRM1-BD2-IN-5 (compound 16) (0-10 μM; 5 days) inhibits PBRM1-expressed LNCaP cells, and reduces the binding of full-length PBRM1 within the PBAF complex in cell lysates to acetylated histone peptides[1].

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

Cell Viability Assay[1]

Cell Line:	LNCaP cells expressing lentiviral shPBRM1
Concentration:	0, 0.1, 1 and 10 μM
Incubation Time:	5 days
Result:	Exhibited a more significant effect on LNCaP viability in cells with native PBRM1 levels than in cells with PBRM1 knockdown. Reduced the binding of full-length PBRM1 within the PBAF complex in cell lysates to acetylated histone peptides.

REFERENCES

[1]. Shishodia S, et al. Selective and Cell-Active PBRM1 Bromodomain Inhibitors Discovered through NMR Fragment Screening. J Med Chem. 2022 Oct 13.

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