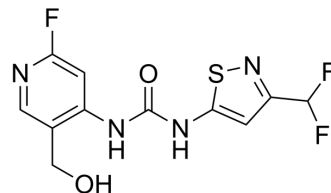


## BRM/BRG1 ATP Inhibitor-1

Cat. No.:	HY-119374		
CAS No.:	2270879-17-7		
Molecular Formula:	C <sub>11</sub> H <sub>9</sub> F <sub>3</sub> N <sub>4</sub> O <sub>2</sub> S		
Molecular Weight:	318		
Target:	Epigenetic Reader Domain		
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 (786.16 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.1447 mL	15.7233 mL	31.4465 mL
		5 mM	0.6289 mL	3.1447 mL	6.2893 mL
10 mM		0.3145 mL	1.5723 mL	3.1447 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: ≥ 2.08 mg/mL (6.54 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (6.54 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (6.54 mM); Clear solution				

### BIOLOGICAL ACTIVITY

Description	BRM/BRG1 ATP Inhibitor-1 (compound 14) is an orally active allosteric dual brahma homolog (BRM)/SWI/SNF related matrix associated actin dependent regulator of chromatin subfamily A member 2 (SMARCA2) and brahma related gene 1 (BRG1)/SMARCA4 ATPase activity inhibitor, both IC <sub>50</sub> s are below 0.005 μM. BRM/BRG1 ATP Inhibitor-1 has anticancer activity [1].
IC <sub>50</sub> & Target	IC <sub>50</sub> : <math>\lt; 0.005 \mu\text{M}</math> (BRM, BRG1) <sup>[1]</sup>

## In Vitro

BRM/BRG1 ATP Inhibitor-1 (compound 14) (0-10  $\mu\text{M}$ , 5 days) can inhibit the proliferation of cancer cells<sup>[1]</sup>.  
BRM/BRG1 ATP Inhibitor-1 inhibits KRT80 gene expression in H1299 cells with the AAC<sub>50</sub> (absolute AC<sub>50</sub>) value of 0.01  $\mu\text{M}$  and in RERF-LC-AI cells with an AAC<sub>50</sub> value of 0.01  $\mu\text{M}$ <sup>[1]</sup>.

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

Cell Proliferation Assay<sup>[1]</sup>

Cell Line:	SKMEL5 melanoma cells and SBC-5 small cell carcinoma
Concentration:	0-10 $\mu\text{M}$
Incubation Time:	5 days
Result:	Inhibited the proliferation of SKMEL5 cells with an AAC <sub>50</sub> (absolute AC <sub>50</sub> ) value of 0.004 $\mu\text{M}$ and of SBC-5 cells with the AAC <sub>50</sub> more than 10 $\mu\text{M}$ .

## In Vivo

BRM/BRG1 ATP Inhibitor-1 (compound 14) (oral administration, 7.5 or 20 mg/kg, everyday, 3 weeks) can inhibit tumor growth and inhibit KRT80 expression in a dose-dependent manner<sup>[1]</sup>.

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

Animal Model:	Female athymic nude mice with RERF-LC-AI tumor xenografts <sup>[1]</sup>
Dosage:	7.5 mg/kg, 20 mg/kg
Administration:	Oral administration; everyday; 3 weeks
Result:	Inhibited tumor growth by 21% and 55% at doses of 7.5 mg/kg and 20 mg/kg, respectively. Inhibited KRT80 expression by up to 90% at 20 mg/kg for 7 hours after administration.

## CUSTOMER VALIDATION

- Nature. 2023 Jun;618(7963):180-187.
- Immunity. 2023 Jun 13;56(6):1303-1319.e5.
- Nat Genet. 2021 Mar;53(3):269-278.
- Nat Commun. 2022 May 31;13(1):3016.
- Nucleic Acids Res. 2023 Nov 28;gkad1126.

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## REFERENCES

[1]. Papillon JPN, et al. Discovery of Orally Active Inhibitors of Brahma Homolog (BRM)/SMARCA2 ATPase Activity for the Treatment of Brahma Related Gene 1 (BRG1)/SMARCA4-Mutant Cancers. J Med Chem. 2018 Nov 21;61(22):10155-10172

**Caution: Product has not been fully validated for medical applications. For research use only.**

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