BRM/BRG1 ATP Inhibitor-1

Cat. No.: HY-119374

CAS No.: 2270879-17-7

Molecular Formula: $C_{11}H_9F_3N_4O_2S$

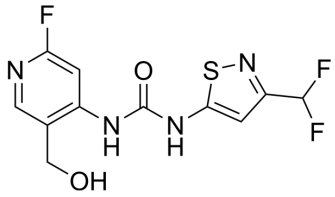
Molecular Weight: 318.27

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 : 250 mg/mL (785.50 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1420 mL	15.7099 mL	31.4199 mL
	5 mM	0.6284 mL	3.1420 mL	6.2840 mL
	10 mM	0.3142 mL	1.5710 mL	3.1420 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_{50}s are below 0.005 μM. BRM/BRG1 ATP Inhibitor-1 has anticancer activity [1].

IC_{50} & Target

IC50: ≤0.005 μM (BRM, BRG1)[1]

In Vitro

BRM/BRG1 ATP Inhibitor-1 (compound 14) (0-10 μM, 5 days) can inhibit the proliferation of cancer cells[1].
?BRM/BRG1 ATP Inhibitor-1 inhibits KRT80 gene expression in H1299 cells with the AAC_{50} (absolute AC_{50}) value of 0.01 μM and in RERF-LC-AI cells with an AAC_{50} value of 0.01 μM[1].

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

Cell Proliferation Assay[1]

Cell Line:	SKMEL5 melanoma cells and SBC-5 small cell carcinoma
Concentration:	0-10 μM
Incubation Time:	5 days
Result:	Inhibited the proliferation of SKMEL5 cells with an AAC_{50} (absolute AC_{50}) value of 0.004 μM and of SBC-5 cells with the AAC_{50}more than 10 μM.

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[1].

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[1]
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.
- Genome Res. 2023 Mar 16.

See more customer validations on www.MedChemExpress.com

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

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