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Zuschläge

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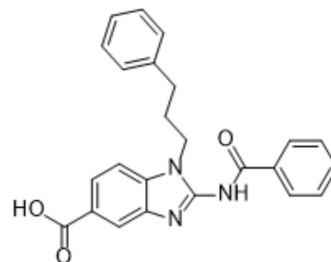
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BRD9539

Cat. No.:	HY-15647
CAS No.:	1374601-41-8
Molecular Formula:	C ₂₄ H ₂₁ N ₃ O ₃
Molecular Weight:	399.44
Target:	Histone Methyltransferase
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 : 16.67 mg/mL (41.73 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.5035 mL	12.5175 mL	25.0350 mL
		5 mM		0.5007 mL	2.5035 mL	5.0070 mL
		10 mM		0.2504 mL	1.2518 mL	2.5035 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: 1.67 mg/mL (4.18 mM); Suspended solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.67 mg/mL (4.18 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	BRD9539 is a histone methyltransferase G9a inhibitor with an IC ₅₀ of 6.3 μM. BRD9539 also inhibits PRC2 activity and is inactive against SUV39H1, NSD2 and DNMT1 ^[1] .	
IC ₅₀ & Target	G9a 6.3 μM (IC ₅₀)	PRC2
In Vitro	BRD9539 decreases ATP levels in a dose-dependent manner in HeLa cells ^[1] . BRD9539 is a more potent biochemical inhibitor than its methyl-ester analogue BRD4770, with 20% remaining G9a activity compared to 45% of BRD4770 at screening concentration. However, BRD9539 has no activity in cell-based assays, presumably due to impaired cell permeability compared to that of BRD4770. In addition, the activities of 16 other	

chromatin-modifying enzymes and 100 kinases involved in cell-cycle regulation and cancer cell biology are tested for activity in the presence of 5 or 10 μ M BRD9539; no activity is seen in any of these assays^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Theranostics. 2018 Apr 15;8(10):2884-2895.

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REFERENCES

[1]. Yuan Y et al. A small-molecule probe of the histone methyltransferase G9a induces cellular senescence in pancreatic adenocarcinoma. ACS Chem Biol. 2012 Jul 20;7(7):1152-7.

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

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