# EPZ020411 hydrochloride

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Cat. No.:	HY-12970A
CAS No.:	2070015-25-5
Molecular Formula:	C <sub>25</sub> H <sub>39</sub> CIN <sub>4</sub> O <sub>3</sub>
Molecular Weight:	479.06
Target:	Histone Methyltransferase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	4°C, stored under nitrogen
	* In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)

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**Product** Data Sheet

## SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (104.37 mM; Need ultrasonic) 0.1 M HCL : 50 mg/mL (104.37 mM; ultrasonic and warming and adjust pH to 2 with HCl and heat to 60°C) H <sub>2</sub> O : 20 mg/mL (41.75 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
		1 mM	2.0874 mL	10.4371 mL	20.8742 mL	
		5 mM	0.4175 mL	2.0874 mL	4.1748 mL	
		10 mM	0.2087 mL	1.0437 mL	2.0874 mL	
	Please refer to the sol	ubility information to select the ap	propriate solvent.			
In Vivo	1. Add each solvent c Solubility: 25 mg/r	one by one: PBS nL (52.19 mM); Clear solution; Need	l ultrasonic and warm	ing and heat to 60°C		
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.22 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.22 mM); Clear solution					
	4. Add each solvent c Solubility: ≥ 2.5 mg	one by one: 10% DMSO >> 90% cor g/mL (5.22 mM); Clear solution	n oil			

<b>BIOLOGICAL ACTIV</b>	ІТҮ		
Description	EPZ020411 hydrochloride is a PRMT1 and PRMT8. EPZ02041	selective inhibitor of PRMT6 with 1 hydrochloride can be used for t	n an IC <sub>50</sub> of 10 nM, it has >10 folds selectivity for PRMT6 over the research of $cancer^{[1][2]}$ .
IC <sub>50</sub> & Target	PRMT6 0.01 μM (IC <sub>50</sub> )	PRMT1 0.119 μΜ (IC <sub>50</sub> )	PRMT8 0.223 μΜ (IC <sub>50</sub> )

In Vitro	EPZ020411 hydrochloride (0 EPZ020411 hydrochloride (2 survival <sup>[2]</sup> . MCE has not independently Western Blot Analysis <sup>[1]</sup>	-20 μM; 24 h) decreases H3R2 methylation in A3 0-40 μM; 6 h) reduces neomycin- and cisplatin-in confirmed the accuracy of these methods. They	75 cells <sup>[1]</sup> . nduced cell apoptosis and increases hair cell are for reference only.
	Cell Line:	A375 cells	
	Concentration:	0-20 μΜ	
	Incubation Time:	24 hours	
	Result:	Dose-dependently decreased H3R2 methyla	tion in A375 cells with an IC $_{\rm 50}$ of 0.634 $\mu M.$
	Cell Viability Assay <sup>[2]</sup>		
	Cell Line:	Cultured cochleae cells	
	Concentration:	20 and 40 μM	
	Incubation Time:	6 hours	
	Result:	Suppressed the apoptotic cascade induced b cisplatin-induced apoptosis in the hair cells deposed. Reduced hair cell loss caused by ci	by aminoglycosides and also inhibited of the cochlear explants after pretreatment splatin treatment.
In Vivo	EPZ020411 hydrochloride (1 mice with acute ototoxicity i Pharmacokinetic Parameter	0 mg/kg; i.p. once) reduces neomycin- and cispl model <sup>[2]</sup> . rs of EPZ020411 hydrochloride in rats <sup>[1]</sup> .	atin-induced hearing loss in C57BL/6J wild-type
		Rats IV 1 mg/kg	Rats SC 5 mg/kg
	CL (mL/min/kg)	19.7±1.0	
	V <sub>ss</sub> (L/kg)	11.1±1.6	
	t <sub>1/2</sub> (h)	8.54±1.43	9.19±1.60
	t <sub>max</sub> (h)		0.444
	C <sub>max</sub> (ng/mL)		844±306
	AUC <sub>0-τ</sub> (h∙ng/mL	) 745±34	2456±135
	AUC <sub>0-inf</sub> (h•ng/mL	_) 846±45	2775±181
	E (06)		65 6+4 3

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

Animal Model:

C57BL/6J wild-type mice at P28 with acute ototoxicity  $model^{[2]}$ 

Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg once
Result:	Significantly reduced neomycin- and cisplatin-induced HC loss and showed no effect without neomycin injection with mice.

### **CUSTOMER VALIDATION**

- Acta Pharmacol Sin. 2021 Apr 13.
- EMBO Rep. 2018 Dec;19(12):e46377.
- Exp Cell Res. 2022 Nov 16;422(1):113413.

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### REFERENCES

[1]. He Y, et al. Inhibition of Protein arginine methyltransferase 6 reduces reactive oxygen species production and attenuates aminoglycoside- and cisplatin-induced hair cell death. Theranostics. 2020 Jan 1;10(1):133-150.

[2]. Mitchell LH, et al. Aryl Pyrazoles as Potent Inhibitors of Arginine Methyltransferases: Identification of the First PRMT6 ToolCompound. ACS Med Chem Lett. 2015 Apr 6;6(6):655-659.

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

 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