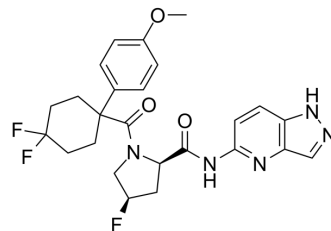


DS-9300

Cat. No.:	HY-152241
CAS No.:	2259641-46-6
Molecular Formula:	C ₂₅ H ₂₆ F ₃ N ₅ O ₃
Molecular Weight:	501.5
Target:	Histone Acetyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 125 mg/mL (249.25 mM)
 * "≥" means soluble, but saturation unknown.

Solvent	Mass	Concentration		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.9940 mL	9.9701 mL	19.9402 mL
	5 mM	0.3988 mL	1.9940 mL	3.9880 mL
	10 mM	0.1994 mL	0.9970 mL	1.9940 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

DS-9300 is a potent, orally active, selective EP300/CBP HAT inhibitor with an IC₅₀ value of 28 nM. DS-9300 has anticancer activity and can be used in prostate cancer disease research^[1].

In Vitro

DS-9300 inhibits the growth of prostate cancer cell lines with the IC₅₀ values of 0.6, 6.5, 3.4 and 287.2 nM for VCaP, 22Rv1, LNCaP and PC3 cells, respectively, indicating that it could effectively inhibit the growth of prostate cancer cell lines by inhibiting histone acetylation and down-regulating PSA expression^[1].

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

In Vivo

DS-9300 (p.o., 0.3-3 mg/kg, once daily, 33days) shows dose-dependent antitumor activity with 39%, 74% and 109% tumor growth inhibition at 0.3, 1 and 3 mg/kg, respectively, and no significant toxic effects in Castrated VCaP xenograft mouse model^[1].

The pharmacokinetic parameters of DS-9300 in BALB/c mice

PO(10 mg/kg)

IV(1 mg/kg)

AUC, AUC ₀ (μM*h)	C _{max} (μM)	T _{1/2} (h)	Vd _{ss} (L/kg)	CL, CL ₀ (mL/min/kg)	F%
130, 5.33	34	2.4	0.14	1.6, 39	62

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

REFERENCES

[1]. Ryutaro Kanada, et al. Discovery of DS-9300: A Highly Potent, Selective, and Once-Daily Oral EP300/CBP Histone Acetyltransferase Inhibitor. J Med Chem. 2023 Jan 12;66(1):695-715.

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

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