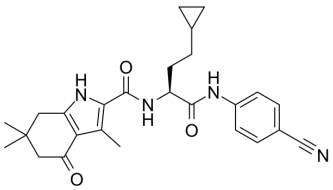
RK-701

Cat. No.:	HY-152775
CAS No.:	2648855-18-7
Molecular Formula:	$C_{26}H_{30}N_4O_3$
Molecular Weight:	446.54
Target:	Histone Methyltransferase
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 : 100 mg/mL (223.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2394 mL	11.1972 mL	22.3944 mL
	5 mM	0.4479 mL	2.2394 mL	4.4789 mL
	10 mM	0.2239 mL	1.1197 mL	2.2394 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: 2.5 mg/mL (5.60 mM); Clear solution; Need ultrasonic and warming and heat to 80°C
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.60 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

RK-701 is an highly selective and non-genotoxic inhibitor of G9a with IC_{50} value of 23-27 nM. RK-701 selectively up-regulates HbF, γ- Globin, BGLT3 expression, down-regulates H3K9me2 expression. RK-701 also has inhibition for BCL11A and ZBTB7A [1].

IC_{50} & Target

G9a
23-27 nM (IC_{50})

In Vitro

RK-701 (0.01-3 μM; 4 d) up-regulates the expression level of HbF and γ-globin mRNA without affecting cell viability or erythroid differentiation. RK-701 increases the proportion of HbF expressing cells in a concentration-dependent manner. In HUDEP-2 cells and human primary $CD34^+$ cells, RK-701 also selectively up-regulates the expression level of BGLT3 and

reduces the proportion of H3K9me2 in β-globin[1].
RK-701 (1 μM; 4 d) significantly reduces the proportion of BCL11A and ZBTB7A in BGLT3 without increasing the expression of BGLT3 in HUDEP-2 cells under the absence of BCL11A or ZBTB7A[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HUDEP-2 cells and $CD34^+$-derived cells.
Concentration:	0.01, 0.03, 0.1, 0.3, 1 and 3 μM.
Incubation Time:	4 d.
Result:	Showed activation for HbF, γ- Globin and BGLT3.

Cell Viability Assay[1]

Cell Line:	HUDEP-2 cells.
Concentration:	1 μM.
Incubation Time:	4 d.
Result:	Showed inhibition for BCL11A and ZBTB7A.

In Vivo

RK-701 (20 mg/kg and 50 mg/kg; i.p.; 5 weeks, each week for 5 consecutive days) selectively increases mouse embryos ε Y-globin and significantly down-regulates the expression level of H3K9me2 in peripheral blood cells. RK-701 has low toxicity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Takase S, et al. A specific G9a inhibitor unveils BGLT3 lncRNA as a universal mediator of chemically induced fetal globin gene expression. Nat Commun. 2023 Jan 12;14(1):23.

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