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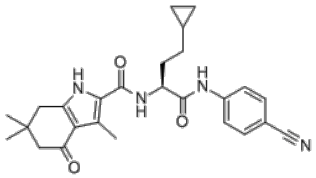
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RK-701
Cat. No.	:	PC-49612
CAS No.	:	2648855-18-7
Molecular Formula	:	$C_{26}H_{30}N_4O_3$
Molecular Weight	:	446.55
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

RK-701 (RK701) is a potent, highly selective and reversible histone lysine methyltransferases **G9a** and G9a-like protein (**GLP**) inhibitor with IC50 of 23-27 nM and 53 nM, respectively.
RK-701 is a histone H3 substrate-competitive inhibitor, displays >1000-fold selectivity against other methyltransferases.
In contrast to G9a inhibitors such as UNC0638, RK-701 shows essentially no cytotoxic effect on the viability of normal cells, including rat myoblast cell line H9c2 and HUDEP-2 cells.
RK-701 treatment (0.3 uM) for primary human CD34+ hematopoietic cells significantly increased γ-globin mRNA expression, the level of HbF expression, and the percentage of HbF-expressing cells without affecting cell viability or erythroid differentiation.
RK-701 induced fetal globin expression both in human erythroid cells and in mice.
RK-701 selectively upregulates BGLT3 by inhibiting the recruitment of two major γ-globin repressors in complex with G9a onto the BGLT3 gene locus through CHD4, a component of the NuRD complex.
RK-701 is a promising lead compound for the development of therapeutic agents for sickle cell disease (SCD), and a excellent chemical tool thus far for investigating the physiological roles of G9a both in vitro and in vivo.

References

Shohei Takase, et al. ***Nat Commun***. 2023 Jan 12;14(1):23.

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