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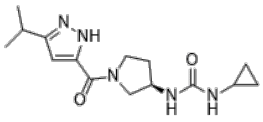
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TK-129
Cat. No.	:	PC-22358
CAS No.	:	3031476-73-7
Molecular Formula	:	$C_{15}H_{23}N_5O_2$
Molecular Weight	:	305.38
Target	:	Histone Demethylase
Solubility	:	10 mM in DMSO



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Biological Activity

TK-129 is a highly potent, selective, and orally efficacious **KDM5B** ((lysine demethylase 5B, JARID1B) inhibitor with IC50 of 44 nM in homogeneous time-resolved fluorescence assay (HTRF).
TK-129 also potently inhibits KDM5A with an IC50 value of 0.079 μM but is less effective toward KDM5C (IC50 = 0.353 μM).
TK-129 increases the expression level of KDM5B substrate H3K4me3 protein in neonatal rat cardiac fibroblasts (NRCFs), with low cytotoxicity.
TK-129 alleviates Ang II-induced activation, migration, and proliferation of myocardial fibroblasts in NRCFs.
TK-129 alleviates myocardial remodeling induced by isoproterenol (ISO) in pathological myocardial remodeling in vivo.

References

Kai Tang, et al. ***J Med Chem***. 2022 Oct 13;65(19):12979-13000.

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