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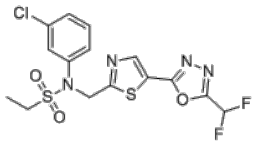
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TYA-018
Cat. No.	:	PC-49250
CAS No.	:	2653254-31-8
Molecular Formula	:	$C_{15}H_{13}ClF_2N_4O_3S_2$
Molecular Weight	:	434.861
Target	:	HDAC
Solubility	:	10 mM in DMSO



Biological Activity

TYA-018 (TYA018) is a potent, isoform-selective inhibitor of **HDAC6** with IC50 of 10 nM, inhibits tubulin acetylation (Ac-Tubulin) with EC50 of 120 nM in cell-based assay in induced pluripotent stem cell-derived cardiomyocytes (iPSC-CMs).
TYA-018 displays >2500-fold selectivity compared with other zinc-dependent HDACs, shows no any off-target activity of on nuclear HDACs by measuring acetylated lysine on histone H3 and H4.
TYA-018 (15 mg/kg by oral gavage) reduced Nppb expression and sarcomere damage and prevented heart failure in BAG3 cardiomyocyte-knockout (BAG3cKO) mouse model of Dilated cardiomyopathy (DCM), partially restored protein expression of FLNC, PINK1, VDAC2, and p62 to amounts similar to WT mice.
TYA-018 treatment reduces mitochondrial content, decreases apoptotic nuclei, and increases LC3 puncta in hearts of BAG3cKO mice.
TYA-018 treatment enriches targets associated with muscle contraction, protein and fatty acid metabolism, and oxidative phosphorylation in BAG3cKO mice.

References

Jin Yang, et al. ***Sci Transl Med.*** 2022 Jul 6;14(652):eabl5654.

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