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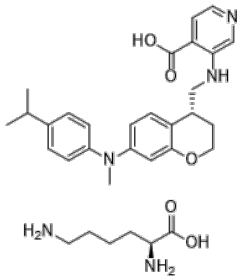
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TACH101 L-lysine
Cat. No.	:	PC-22581
CAS No.	:	2908753-10-4
Molecular Formula	:	$C_{32}H_{43}N_5O_5$
Molecular Weight	:	577.73
Target	:	Histone Demethylase
Solubility	:	10 mM in DMSO



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Biological Activity

TACH101 (Zavondemstat, QC8222, TACH 101) L-lysine is a reversible, α-ketoglutarate competitive, selective and potent inhibitor of KDM4 isoforms A-D with IC50 values of 80 nM against all four isoforms.
TACH101 weakly inhibits KDM5 family members with IC50 of 140-400 nM, and shows significantly lower potency against KDM2, KDM3, KDM6, and KDM7 family members.
TACH101 demonstrated potent increase of H3K36me3 levels (EC50 <0.001 uM, HTRF) in KYSE-150 cell line engineered to overexpress KDM4C and showed potent anti-proliferative activity in multiple cell lines in OncoPanel.
Sub-micromolar levels of TACH101 induced apoptosis in human colorectal (HT-29), esophageal (KYSE-150), and triple negative breast cancer (MDA-MB-231) cell lines with EC50s of 0.033-0.092 uM.
TACH101 triggered effective tumor growth control in xenograft models including colorectal, esophageal, gastric, breast, and lymphoma with tumor growth inhibition of up to 100%.

References

Chandtip Chandhasin, et al. Anticancer Drugs. 2023 Nov 1;34(10):1122-1131.

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