

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists

 $\begin{tabular}{lll} \textbf{Product Name} & :A-485 \\ \textbf{Cat.No.} & :URK-V689 \\ \textbf{CAS No.} & :1889279-16-6 \\ \textbf{Molecular Formula} & :C_{25}H_{24}F_4N_4O_5 \\ \textbf{Molecular Weight} & :536.484 \\ \end{tabular}$

Target :Histone Acetyltransferase(HAT)

Solubility :10 nM in DMSO

N N N N F F

Biological Activity

A-485 (A485) is a potent, selective and, cell and in vivo active p300/CBP catalytic inhibitor with IC50 of 9.8/2.6 nM.

A-485 displays selectivity for p300/CBP over other HATs and histone methyltransferases in cells.

A-485 dose-dependently decreases H3K27Ac in prostate adenocarcinoma PC-3 cells with EC50 of 73 nM.

A-485 selectively inhibits proliferation in lineage-specific tumour types.

A-485 inhibits the AR transcriptional program in both androgen-sensitive and castration-resistant prostate cancer and inhibits tumour growth in a castration-resistant xenograft model.

References

1. Lasko LM, et al. Nature. 2017 Oct 5;550(7674):128-132.

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