

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 Suprastat

 Cat. No.
 :
 PC-72090

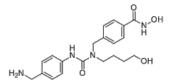
 CAS No.
 :
 2707431-93-2

 Molecular Formula
 :
 C₂₀H₂₆N₄O₄

 Molecular Weight
 :
 386.452

Target : HDAC

Solubility : 10 mM in DMSO



Biological Activity

Suprastat is a novel potent, selective inhibitor of histone deacetylase 6 (**HDAC6**) with IC50 of 0.9 nM. Suprastat did not show activity against the class IV isoform HDAC11 up to 50 uM, >290-fold selectivity over class I isoform HDAC1-HDAC3 and HDAC8 and 1000-fold selectivity over class IIa isoform HDAC4, HDAC5, HDAC7, and HDAC9. Suprastat increased the levels of acetylated α -tubulin (Ac- α -tubulin) in WM164 human melanoma cell line at 0.1-10 uM, the increase in Ac- α -tubulin was also associated with a slight increase in the levels of acetylated histone H3 (Ac-H3).

Suprastat mediated immunomodulatory effects by affecting HDAC6 interaction with the STAT3 transcription factor, decreased expression of IL10 gene, dose-dependent increase in the levels of $Ac-\alpha$ -tubulin in compound treated RAW 264.7 macrophages, decreased Y705 phosphorylation of STAT3.

A combination of Suprastat and anti-PD1 immunotherapy enhances antitumor immune response, mediated by a decrease of protumoral M2 macrophages and increased infiltration of antitumor CD8+ effector and memory T-cells.

References

Satish Noonepalle, et al. *J Med Chem*. 2020 Sep 24;63(18):10246-10262.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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