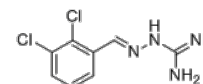


Product Name : Raphin1
Cat. No. : PC-35503
CAS No. : 2022961-17-5
Molecular Formula : C₈H₈Cl₂N₄
Molecular Weight : 231.08
Target : Protein Phosphatase/PTP
Solubility : 10 mM in DMSO



Biological Activity

Raphin1 (PPP1R15B inhibitor Raphin1) is a potent, selective, BBB-penetrant, orally bioavailable inhibitor of regulatory subunit of protein phosphatase 1, **PPP1R15B**, binds to the R15B-PP1c holophosphatase with K_d of 33 nM, 30-fold selective in binding R15B-PP1c over R15A-PP1c and does not bind to PP1c.

Raphin1 at 10 uM selectively inhibits R15B, but not R15A, in cells in the holophosphatase SPR assay, inducing a transient increase of eIF2a phosphorylation and attenuation of protein synthesis.

Raphin1 inhibits dephosphorylation of eIF2a by R15B-PP1c, but not by R15APP1c, promotes proteasome- and p97-dependent degradation of R15B.

Raphin1 demonstrates efficacy in a mouse model of Huntington's disease in vivo.

References

Agnieszka Krzyzosiak, et al. Target-Based Discovery of an Inhibitor of the Regulatory Phosphatase PPP1R15B. *Cell*. July 26, 2018 DOI: <https://doi.org/10.1016/j.cell.2018.06.030>.

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

E-mail: tech@probechem.com