

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Raphin1 acetate
Cat. No.	:	PC-21976
CAS No.	:	2242616-04-0
Molecular Formula	:	C ₁₀ H ₁₂ Cl ₂ N ₄ O ₂
Molecular Weight	:	291.13
Target	:	Protein Phosphatase/PTP
Solubility	:	10 mM in DMSO

N-N-NH OH

Biological Activity

Raphin1 acetate (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 Kd 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

Krzyzosiak A, et al. Cell. 2018 Aug 23;174(5):1216-1228.e19.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com