

Product Data Sheet

Product Name: Raphin1 acetate

Cat. No.: GC38539

Chemical Properties

Cas. No. 2242616-04-0

SMILES N=C(N/N=C/C1=CC=CC(Cl)=C1Cl)N.CC(O)=O

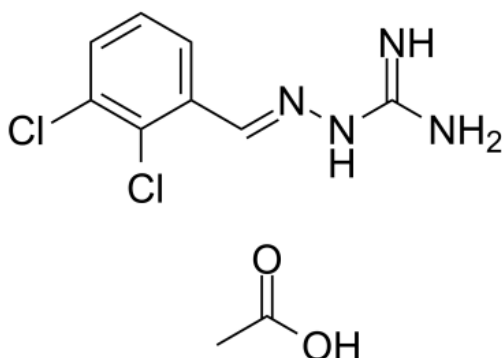
Formula C10H12Cl2N4O2 M.Wt 291.13

Solubility DMSO: 41.67 mg/mL (143.13 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Raphin1 acetate is an orally bioavailable, selective inhibitor of the regulatory phosphatase PPP1R15B (R15B). Raphin1 acetate binds strongly to the R15B-PP1c holophosphatase ($K_d=33$ nM), and shows ~30-fold selective in binding R15B-PP1c over R15A-PP1c. Raphin1 acetate crosses the blood-brain barrier, and reduces organismal and molecular deficits in a mouse model of a protein misfolding disease[1].

Raphin1 acetate causes a rapid and transient accumulation of its phosphorylated substrate, resulting

Caution: Product has not been fully validated for medical applications. For research use only.

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in a transient attenuation of protein synthesis[1].Raphin1 acetate inhibits the recombinant R15B-PP1c holoenzyme, but not the closely related R15A-PP1c, by interfering with substrate recruitment[1].

Raphin1 acetate improves weight of HD82Q mice treated from 4 to ~10 weeks of age with 2 mg/kg of Raphin1 once a day by oral gavage. Raphin1 acetate also decreases SDS-insoluble huntingtin assemblies and nuclear inclusions in the cortex of HD82Q mice[1].

[1]. Krzyzosiak A, et al. Target-Based Discovery of an Inhibitor of the Regulatory Phosphatase PPP1R15B. Cell. 2018 Aug 23;174(5):1216-1228.e19.

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