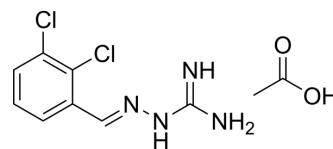


## Raphin1 acetate

Cat. No.:	HY-123960A
CAS No.:	2242616-04-0
Molecular Formula:	C <sub>10</sub> H <sub>12</sub> Cl <sub>2</sub> N <sub>4</sub> O <sub>2</sub>
Molecular Weight:	291
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 41.67 mg/mL (143.20 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		1 mg	5 mg	10 mg
		1 mM	3.4364 mL	17.1821 mL	34.3643 mL
		5 mM	0.6873 mL	3.4364 mL	6.8729 mL
	10 mM	0.3436 mL	1.7182 mL	3.4364 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (7.15 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (7.15 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (7.15 mM); Clear solution				

### BIOLOGICAL ACTIVITY

Description	Raphin1 acetate is an orally bioavailable, selective inhibitor of the regulatory phosphatase PPP1R15B (R15B). Raphin1 acetate binds strongly to the R15B-PP1c holophosphatase (K <sub>d</sub> =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 <sup>[1]</sup> .
IC <sub>50</sub> & Target	Kd: 33 nM (R15B-PP1c holophosphatase) <sup>[1]</sup>
In Vitro	Raphin1 acetate causes a rapid and transient accumulation of its phosphorylated substrate, resulting in a transient attenuation of protein synthesis <sup>[1]</sup> .

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?Raphin1 acetate inhibits the recombinant R15B-PP1c holoenzyme, but not the closely related R15A-PP1c, by interfering with substrate recruitment<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Raphin1 acetate improves weight of HD<sup>82Q</sup> 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 HD<sup>82Q</sup> mice<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## CUSTOMER VALIDATION

- Nature. 2023 Sep;621(7977):188-195.

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## REFERENCES

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

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