### Vacuolin-1

Cat. No.:	HY-118630
CAS No.:	351986-85-1
Molecular Formula:	C <sub>26</sub> H <sub>24</sub> IN <sub>7</sub> O
Molecular Weight:	577.42
Target:	PIKfyve; Autophagy
Pathway:	PI3K/Akt/mTOR; Autophagy
Storage:	- <b>20°C, stored under nitrogen</b> * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

# SOLVENT & SOLUBILITY

#### In Vitro DMSO: 10 mg/mL (17.32 mM; ultrasonic and warming and heat to 60°C) Mass Solvent 1 mg 5 mg 10 mg Concentration Preparing 1 mM 1.7318 mL 8.6592 mL 17.3184 mL **Stock Solutions** 5 mM 0.3464 mL 1.7318 mL 3.4637 mL 10 mM 0.1732 mL 0.8659 mL 1.7318 mL Please refer to the solubility information to select the appropriate solvent. 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline In Vivo Solubility: 1 mg/mL (1.73 mM); Suspended solution; Need ultrasonic 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1 mg/mL (1.73 mM); Clear solution

BIOLOGICAL ACTIVITY		
Description	Vacuolin-1 is a potent and cell-permeable lysosomal exocytosis inhibitor. Vacuolin-1 blocks the Ca <sup>2+</sup> -dependent exocytosis of lysosomes and prevents the release of lysosomal content without affecting the process of resealing. vacuolin⊠1 is a potent and selective PIKfyve inhibitor and inhibits late⊠stage autophagy by impairing lysosomal maturation. Vacuolin-1 can induce vacuole formation and increase the percentage of enucleated cells <sup>[1][2][4]</sup> .	
In Vitro	Vacuolin-1 (1 μM; Pretreatment 1 hour) blocks ionomycin-induced exocytosis of lysosomes but not of enlargeosomes. It results in vacuolation of lysosomes (A) and complete inhibition of the surface expression of Lamp-1 <sup>[1]</sup> . ?Vacuolin-1 (1 μM; 20-180 min) disrupts the segregation of inner and limiting membranes characteristic of endosomes and lysosomes. Ultrastructural analysis also shows that vacuolin-1 favours fusion of inner and limiting membranes <sup>[1]</sup> . ?Vacuolin-1 (5 or 10 μM; 2 hours) blocks the Ca <sup>2+</sup> -dependent release of β-hexosaminidase from lysosomes. In HeLa cells, ionomycin results in the expected release of 18-20% of lysosomal β-hexosaminidase. But when cells pretreated with	

## Product Data Sheet

vacuolin-1, releases no more  $\beta$ -hexosaminidase compared with cells that are not exposed to ionomycin (4%)<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### **CUSTOMER VALIDATION**

- Basic Res Cardiol. 2022 Apr 7;117(1):20.
- PLoS Pathog. 2023 Feb 24;19(2):e1011202.
- bioRxiv. 2023 Aug 28.

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#### REFERENCES

[1]. Keerthivasan G, et al. Vesicle trafficking plays a novel role in erythroblast enucleation. Blood. 2010 Oct 28;116(17):3331-40.

[2]. Jan Cerny, et al. The small chemical vacuolin-1 inhibits Ca(2+)-dependent lysosomal exocytosis but not cell resealing. EMBO Rep. 2004 Sep;5(9):883-8.

[3]. Osamu Sano, et al. Vacuolin-1 inhibits autophagy by impairing lysosomal maturation via PIKfyve inhibition. FEBS Lett. 2016 Jun;590(11):1576-85.

[4]. Yingying Lu, et al. Vacuolin-1 potently and reversibly inhibits autophagosome-lysosome fusion by activating RAB5A. Autophagy

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

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