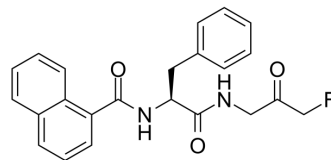


FMK 9a

Cat. No.:	HY-100522		
CAS No.:	1955550-51-2		
Molecular Formula:	C ₂₃ H ₂₁ FN ₂ O ₃		
Molecular Weight:	392.42		
Target:	Autophagy		
Pathway:	Autophagy		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 210 mg/mL (535.14 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.5483 mL	12.7414 mL	25.4829 mL
	5 mM	0.5097 mL	2.5483 mL	5.0966 mL
	10 mM	0.2548 mL	1.2741 mL	2.5483 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	FMK 9a is an autophagin-1 inhibitor with IC ₅₀ values of 80 and 73 μM in FRET and LRA assay.
IC₅₀ & Target	IC ₅₀ : 80 nM (autophagin-1, FRET assay); 73 nM (autophagin-1, LRA assay) ^[1]
In Vitro	ATG4B or autophagin-1 is a cysteine protease that cleaves ATG8 family proteins. ATG4B plays essential roles in the autophagosome formation and the autophagy pathway. FMK 9a shows strong inhibition of ATG4B, with IC ₅₀ values of 80 and 73 nM in the TR-FRET and cellular-based LRA assays, respectively. LC-MS/MS study indicates that FMK 9a forms an

irreversible covalent bond with the more reactive thiol group of Cys74 located at the catalytic site of ATG4B and thus inactivates ATG4B proteolytic activity^[1].

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

In Vivo

FMK 9a shows moderate solubility (LYSA: 41 µg/mL) and high human and mouse liver microsome clearances of 13.9 and 70 mL/kg per minute^[1].

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

PROTOCOL

Kinase Assay ^[1]

FMK 9a is dissolved in DMSO. 5 µL of serial-diluted FMK 9a (1% DMSO) in assay buffer is added into 5 µL of purified ATG4B (final 0.1 nM) in 384-well plate, and the solution is incubated at room temperature (rt) for 30 min. Then, 5 µL of His-GATE-16-GST (final 20 nM) is added into the wells, and the solution is incubated for another 30 min. 5 µL of detection solution (final 2 nM of Eu-anti-His and 20 nM of Ulight-anti-GST) is added, and the resulting mixture is incubated at rt for 40 min^[1].

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

CUSTOMER VALIDATION

- Autophagy. 2022 Mar 8;1-18.
- Research Square Preprint. 2021 Jul.

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REFERENCES

[1]. Qiu Z, et al. Discovery of Fluoromethylketone-Based Peptidomimetics as Covalent ATG4B (Autophagin-1) Inhibitors. ACS Med Chem Lett. 2016 Jun 25;7(8):802-6.

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

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