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产品名称: **FMK 9a**
产品别名: **FMK 9a**

生物活性:					
Description	FMK 9a is an autophagin-1 inhibitor with IC50 values of 80 and 73 μM in FRET and LRA assay.				
IC50 & Target	IC50: 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 IC50 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] .				
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].				
Solvent&Solubility	In Vitro: DMSO : ≥ 150 mg/mL (382.24 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		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
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。				
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.				
实验参考:					
Kinase Assay	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].				
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.				