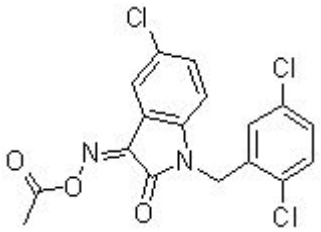
Product Introduction

LDN-57444

LDN-57444 is a reversible, competitive **proteasome** inhibitor for Uch-L1 with **IC50** of 0.88 μM, 28-fold selectivity over isoform Uch-L3.

Technical Data:

Molecular Weight (MW):	397.64	
Formula:	$C_{17}H_{11}Cl_3N_2O_3$	
Solubility (25°C)	DMSO 11 mg/mL	
*** <1 mg/ml means slightly soluble or insoluble:**	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	668467-91-2	

Biological Activity

Treatment with 50 μM LDN-57444 for 24 h leads to 70% inhibition of the proteasome activity. LDN-57444 causes a significant and concentration-dependent decrease in cell viability at concentrations above 25 μM and the cell viability reduced to 61.81% at 50 μM. LDN-57444 is able to cause cell death through the apoptosis pathway by decreasing the activity of ubiquitin proteasome system and increasing the levels of highly ubiquitinated proteins, both of which can activate unfolded protein response. The apoptosis

induced by LDN-57444 may be triggered by the activation of endoplasmic reticulum stress (ERS). [4] LDN-57444 causes dramatic alterations in synaptic protein distribution and spine morphology in vivo. Treatment with LDN also results in a rapid fall of Uch-L1 activity, but proteasome inhibition has no effect on cAMP levels over a period of several hours. [3]

References

[1] Liu Y, et al. Chem Biol, 2003, 10(9), 837-846.

[2] Cartier AE, et al. PLoS One, 2012, 7(4), e34713.

[3] Gong B, et al. Cell, 2006, 126(4), 775-788.

[4] Tan YY, et al. Mol Cell Biochem, 2008, 318(1-2), 109-115.

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