



上海源叶生物科技有限公司
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产品名称:

**3-Phenyl-1-(4-phenyl-2-thiazolyl)-4-[(4-chloro-3-nitrophenyl)hydrazone]-1
H-pyrazole-4,5-dione**

产品别名: C 87

生物活性:

Description	C87 is a novel small-molecule TNFα inhibitor; potently inhibits TNFα-induced cytotoxicity with an IC50 of 8.73 μM.				
IC50 & Target	IC50: 8.73 μM (TNFα-induced cytotoxicity)[1]				
In Vitro	C87 directly binds to TNFα, potently inhibits TNFα-induced cytotoxicity (IC50=8.73 μM) and effectively blocks TNFα-triggered signaling activities. C87 exhibits good solubility and consistent dose-dependent functions in vitro. C87 completely blocks TNFα-induced activation of caspase-3 and caspase-8. The activity of c-Jun N-terminal kinase (JNK) is significantly reduced by C87 in L929 cells. C87 also prevents the degradation of IκBα in cells treated with TNFα. C87 potently blocks multiple signaling transduction pathways and downstream target gene activation triggered by TNFα[1].				
In Vivo	C87 attenuates TNFα-induced inflammation, thereby markedly reducing injuries to the liver and improving animal survival. C87 injection delays the incidence of death and increases the survival rate by two folds compared with the vehicle control. The level of alanine transaminase and aspartate transaminase is consistently reduced in mice with C87 treatment[1].				
Solvent&Solubility	In Vitro: DMSO : 9.33 mg/mL (18.55 mM; Need ultrasonic and warming) H2O : < 0.1 mg/mL (insoluble)				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	1.9883 mL	9.9417 mL	19.8835 mL
		5 mM	0.3977 mL	1.9883 mL	3.9767 mL
		10 mM	0.1988 mL	0.9942 mL	1.9883 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]. Ma L, et al. A novel small-molecule tumor necrosis factor α inhibitor attenuates inflammation in a hepatitis mouse model. J Biol Chem. 2014 May 2;289(18):12457-66.				
实验参考:					
Cell Assay	The inhibition of the cytotoxic effect of human TNFα by compounds (C87) is measured in L929 cell line. L929 cells are seeded at a density of 10 ⁴ cells/well in a 96-well plate and incubated for 24 h in RPMI 1640 with 10% FBS at 37 °C. Compounds (C87) are added to the cells with 1 μg/mL actinomycin D and 1 ng/mL TNFα and then incubated at 37 °C for 20 h before analysis. Cell survival is measured using the MTT method[1].				



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Animal Administration	BALB/c mice are used in the study. Before LPS/GalN challenge (LPS (50 µg/kg) and D-GalN (1.2g/kg)), mice are injected intraperitoneally with C87 (12.5 mg/kg), Enbrel (4 mg/kg), or vehicle, respectively, at 1, 8, and 16 h. Blood is collected with retro-orbital sampling. Activities of alanine transaminase and aspartate transaminase are detected. Liver tissues are collected and fixed in 10% formalin, and sections are stained with hematoxylin and eosin[1].
References	[1]. Ma L, et al. A novel small-molecule tumor necrosis factor α inhibitor attenuates inflammation in a hepatitis mouse model. J Biol Chem. 2014 May 2;289(18):12457-66.



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