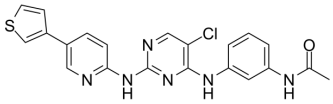
Cathepsin C-IN-5

Cat. No.:	HY-146584
CAS No.:	2825567-97-1
Molecular Formula:	$C_{21}H_{17}ClN_6OS$
Molecular Weight:	436.92
Target:	Cathepsin
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (45.77 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2887 mL	11.4437 mL	22.8875 mL
	5 mM	0.4577 mL	2.2887 mL	4.5775 mL
	10 mM	0.2289 mL	1.1444 mL	2.2887 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cathepsin C-IN-5 (compound SF38) is a potent, selective and orally active Cathepsin C inhibitor with IC_{50}s of 59.9 nM, 4.26 μM, >5 μM, >5 μM, >5 μM for Cat C, Cat L, Cat S, Cat B, Cat K, respectively. Cathepsin C-IN-5 inhibits the Cat C activity in bone marrow and blood. Cathepsin C-IN-5 decreases the activation of NSPs (neutrophil serine proteases). Cathepsin C-IN-5 shows anti-inflammatory activity[1].

IC_{50} & Target

IC_{50}: 59.9 nM (Cat C); 4.26 μM (Cat L); >5 μM (Cat S); >5 μM (Cat B); >5 μM (Cat K)[1]

In Vitro

Cathepsin C-IN-5 (compound SF38) shows inhibition in THP-1 and U937 cells with IC_{50}s of 115.4, 70.2 nM, respectively[1].

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

In Vivo

Cathepsin C-IN-5 (1500 mg/kg) shows no significant weight loss or toxic reaction within 7 days after the administration in ICR mice[1].

Cathepsin C-IN-5 (10 mg/kg for p.o.; 2 mg/kg for i.v.) shows good bioavailability with F=42.07%[1].

Cathepsin C-IN-5 (2, 10, 50 mg/kg; p.o.) shows effective antiinflammatory activity and potential protective effect in an animal model of ALI[1].

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

Animal Model:	C57BL/6 male mice (acute lung injury (ALI) mice model)[1]
Dosage:	2, 10, 50 mg/kg (one hour after administration, received LPS (20 mg/kg))
Administration:	P.o.
Result:	Decreased the levels of proinflammatory cytokines (TNF-a, IL-6, and GM-CSF) and increased the the concentration of the anti-inflammatory cytokine (IL-10) in a dose-dependent manner.

REFERENCES

[1]. Chen X, et al. Non-peptidyl non-covalent cathepsin C inhibitoEEr bearing a unique thiophene-substituted pyridine: Design, structure-activity relationship and anti-inflammatory activity in vivo. Eur J Med Chem. 2022 Jun 5;236:114368.

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