Dapansutrile

Cat. No.: HY-17629

CAS No.: 54863-37-5

Molecular Formula: $C_4H_7NO_2S$

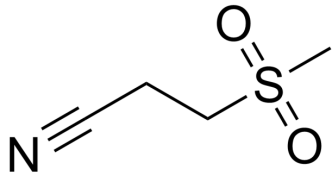
Molecular Weight: 133.17

Target: NOD-like Receptor (NLR)

Pathway: Immunology/Inflammation

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 : ≥ 100 mg/mL (750.92 mM)

H_2O : 36.67 mg/mL (275.36 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	7.5092 mL	37.5460 mL	75.0920 mL
5 mM	1.5018 mL	7.5092 mL	15.0184 mL
10 mM	0.7509 mL	3.7546 mL	7.5092 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 120 mg/mL (901.10 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (15.62 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (15.62 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (15.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dapansutrile is a potent, orally active and selective NLRP3 inflammasome inhibitor. Dapansutrile has anti-inflammatory activity and decreases immune factor levels. Dapansutrile can be used for research of inflammatory diseases[1][2].

IC_{50} & Target	NLRP3

In Vivo

Dapansutrile (3.75 g/kg; p.o.; daily, for 22 d) ameliorates neurological decline and nervous tissue damage in experimental autoimmune encephalomyelitis (EAE) mice[1].
Dapansutrile (3.75 g/kg; p.o.; daily, for 22 d) attenuates the protein levels of pro-inflammatory cytokines in the spinal cord of experimental autoimmune encephalomyelitis (EAE) mice[1].
Dapansutrile (6-600 mg/kg; i.p.; once; male ICR (CD1) mice) reduces infarct size and reduces caspase-1 activity in the heart[2].
Dapansutrile (6-600 mg/kg; i.p.; once, for 24 hours and 7 days; mice subjected to acute myocardial infarction) preserves cardiac function following myocardial ischemia-reperfusion injury[2].

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

Animal Model:	EAE-induced female adult C57BL/6 (8–10 weeks old)[1]
Dosage:	3.75 g/kg
Administration:	Oral administration; daily, for 22 days
Result:	Ameliorated the neurological deficits of EAE disease. Reduced the levels of IL-1β, L-18 , TNFα, CXCL-1 and IL-6. Showed ~2-fold reduction in the infiltration of T cells ($CD45^+$, $CD3^+$). Reduced the accumulation macrophages ($CD45^{high}$, $CD11b^+$, $F4/80^+$), microglia ($CD45^{low}$, $CD11b^+$), and other cells ($CD45^+$, $CD11b^-$, $CD3^-$, $CD24^+$).

Animal Model:	Male ICR (CD1) mice (8-12 weeks old)[2]
Dosage:	6, 60, and 600 mg/kg
Administration:	Intraperitoneal injection; once
Result:	Reduced infarct size in a dose-dependent manner.

Animal Model:	Mice subjected to acute myocardial infarction (AMI)[2]
Dosage:	6, 60, and 600 mg/kg
Administration:	Intraperitoneal injection; once, for 24 hours and 7 days
Result:	Preserved left ventricular (LV) systolic function at 24 hours. Increased in cardiac function at 7 days of reperfusion when compared with the control mice.

CUSTOMER VALIDATION

- Hum Exp Toxicol. 2022 Jan-Dec;41:9603271221145401.

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REFERENCES

[1]. Sánchez-Fernández A, et, al. OLT1177 (Dapansutrile), a Selective NLRP3 Inflammasome Inhibitor, Ameliorates Experimental Autoimmune Encephalomyelitis Pathogenesis. Front Immunol. 2019 Nov 1;10:2578.

[2]. Toldo S, et, al. The NLRP3 Inflammasome Inhibitor, OLT1177 (Dapansutrile), Reduces Infarct Size and Preserves Contractile Function After Ischemia Reperfusion Injury in the Mouse. J Cardiovasc Pharmacol. 2019 Apr;73(4):215-222.

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