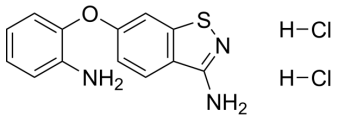
M62812

Cat. No.:	HY-103639A
CAS No.:	613263-00-6
Molecular Formula:	$C_{13}H_{13}Cl_2N_3OS$
Molecular Weight:	330.23
Target:	Toll-like Receptor (TLR)
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (151.41 mM; Need ultrasonic)
0.1 M HCL : ≥ 50 mg/mL (151.41 mM)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	3.0282 mL	15.1410 mL	30.2819 mL
5 mM	0.6056 mL	3.0282 mL	6.0564 mL
10 mM	0.3028 mL	1.5141 mL	3.0282 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.57 mM); Clear solution
3. Add each solvent one by one: Saline
   Solubility: 2.5 mg/mL (7.57 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

M62812 is a toll-like receptor 4 (TLR4) signaling inhibitor. M62812 inhibits endothelial and leukocyte activation and prevents lethal septic shock in mice. M62812 can reduces LPS-induced coagulation and inflammatory responses. M62812 can be used for the research of sepsis[1].

In Vitro

M62812 (10 μg/mL; 6 h) completely inhibits LPS-induced NF-κB activation in NF-κB luciferase-expressing cells with an IC_{50} of 2.4 μg/mL[1].

M62812 (3 μg/mL; 6 h) completely inhibits LPS-induced TNF-α production in peripheral blood mononuclear cells with an IC_{50} of 0.7 μg/mL[1].
M62812 (3 μg/mL; 6 h) completely inhibits the production of IL-6 and E-selection in human endothelial cells with IC_{50}s of 0.43 μg/mL and 1.4 μg/mL[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

M62812 (i.v.; 10-20 mg/kg; single dose) is protective and reduces inflammatory and coagulation parameters in a D-galactosamine-sensitized endotoxin shock mouse model[1].
M62812 (i.v.; 20 mg/kg; once a day for three days) prevents mice from lethality in a murine cecal ligation and puncture model [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	D-galactosamine-sensitized endotoxin shock mouse model[1]
Dosage:	10-20 mg/kg
Administration:	Intravenous administration (i.v.)
Result:	Prevented elevation of TNF-α, IL-6, soluble E-selectin, thrombin/antithrombin complexes and glutamic pyruvic transaminase activity at 20 mg/kg. Prolonged survival in a d-galactosamine-sensitized endotoxin shock mouse model.

Animal Model:	Cecal ligation and puncture mouse model[1]
Dosage:	20 mg/kg
Administration:	Intravenous administration (i.v.); once a day for three days
Result:	Reduced mortality in a murine cecal ligation and puncture model.

REFERENCES

[1]. Nakamura M, et al. Toll-like receptor 4 signal transduction inhibitor, M62812, suppresses endothelial cell and leukocyte activation and prevents lethal septic shock in mice. Eur J Pharmacol. 2007 Aug 27;569(3):237-43.

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