Monocrotaline

Cat. No.: HY-N0750

CAS No.: 315-22-0

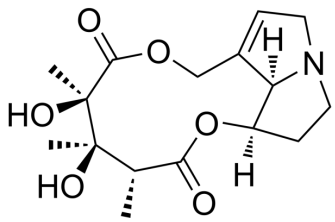
Molecular Formula: $C_{16}H_{23}NO_6$

Molecular Weight: 325.36

Target: Others

Pathway: Others

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

1M HCl : 100 mg/mL (307.35 mM; adjust pH to 1 with HCl)
DMSO : 25 mg/mL (76.84 mM; ultrasonic and warming and heat to 60°C)
H_2O : 2 mg/mL (6.15 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0735 mL	15.3676 mL	30.7352 mL
	5 mM	0.6147 mL	3.0735 mL	6.1470 mL
	10 mM	0.3074 mL	1.5368 mL	3.0735 mL

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

In Vivo

1. Add each solvent one by one: 20% HP-β-CD in saline
   Solubility: 21 mg/mL (64.54 mM); Clear solution; Need ultrasonic and warming and heat to 53°C
2. Add each solvent one by one: PBS
   Solubility: 4.17 mg/mL (12.82 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (7.68 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.68 mM); Suspended solution
5. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.39 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.39 mM); Clear solution
7. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.39 mM); Clear solution
8. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Monocrotaline is an 11-membered macrocyclic pyrrolizidine alkaloid. Monocrotaline inhibits OCT-1 and OCT-2 with IC_{50}s of 36.8 μM and 1.8 mM, respectively. Monocrotaline has antitumor activity and is cytotoxic to hepatocellular carcinoma cells. Monocrotaline is used to induce a model of pulmonary hypertension in rodents. [2][6][8].

IC_{50} & Target

OCT1	OCT2
36.8 μM (IC_{50})	1852.6 μM (IC_{50})

In Vitro

Monocrotaline a natural ligand exhibits dose-dependent cytotoxicity with potent antineoplastic activity. The in vitro cytotoxicity of monocrotaline is proved at IC_{50} 24.966 μg/mL and genotoxicity at 2 X IC_{50} against HepG2 cells[2].

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

Cell Viability Assay[2]

Cell Line:	HepG2 cells
Concentration:	25, 50, 100 and 200 μg/mL
Incubation Time:	48 h
Result:	Induced apoptosis rate was dose-dependent.

In Vivo

Induction of chronic progressive pulmonary hypertension (PH)

Background

Pulmonary arterial hypertension (PAH) is characterized by vascular remodeling of the distal pulmonary arterial circulation. PAH remodeling includes apoptosis and proliferation of pulmonary vascular endothelial cells, muscularization of distal pulmonary arterioles, deposition of extracellular matrix proteins, and perivascular inflammation; it is accompanied by increased pulmonary vascular resistance, leading to right ventricular (RV) failure and death. However, the cause of pulmonary vascular remodeling in PAH is still unclear. Monocrotaline induces right ventricular hypertrophy (RVH) and pulmonary vascular remodeling.

Specific Mmodeling Methods

Rat[10]: male • adult Sprague Dawley rats • 300-350 g

Administration: 60 mg/kg • sc for single dose • control group: saline solution

Mcie[11]: male • C57BL/6 mice • 6-8 weeks old

Administration: 600 mg/kg • sc • once weekly for 4 weeks

Note

1. Monocrotaline-induced pulmonary hypertension can be reversed by tail vein injection of 25 μg mouse mesenchymal stem cells in 100 μL PBS three hours after each injection. 2. Extracellular vesicles (EVs) isolated from the circulation or lungs of mice with monocrotaline-induced pulmonary hypertension induce right ventricular hypertrophy (RVH) and pulmonary vascular remodeling when injected into healthy mice.

Modeling Record

Molecular changes: ↑ expression of 5-HTR2A, 5-HTR2B and 5-HTT in lung homogenate; ↑ expression of IL-1b, IL6, TNF-a and MCP-1; ↑ levels of total collagen fibers and total pulmonary vascular collagen.

Physiological indicators: Increased right ventricular systolic pressure, right heart hypertrophy, gas exchange; collagen deposition in the pulmonary artery.

Correlated Product(s):
Terguride[10]

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

CUSTOMER VALIDATION

- Nat Commun. 2019 Aug 7;10(1):3551.
- Stem Cell Res Ther. 2022 Jul 16;13(1):316.
- Biomed Pharmacother. 2021 Jan;133:111081.
- Free Radic Biol Med. 2024 Apr 16:219:141-152.
- Respir Res. 2024 Apr 25;25(1):183.

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[2]. Wu XH, et al. Experimental animal models of pulmonary hypertension: Development and challenges. Animal Model Exp Med. 2022 Sep; 5(3):207-216.

[3]. Kusuma SS, et al. Antineoplastic activity of monocrotaline against hepatocellular carcinoma. Anticancer Agents Med Chem. 2014;14(9):1237-48.

[4]. Jin H, et al. Astragaloside IV blocks monocrotaline□induced pulmonary arterial hypertension by improving inflammation and pulmonary artery remodeling. Int J Mol Med. 2021 Feb;47(2):595-606.

[5]. Wilson DW, et, al. Mechanisms and pathology of monocrotaline pulmonary toxicity. Crit Rev Toxicol. 1992;22(5-6):307-25.

[6]. Chen JY, et al. An in vitro study on interaction of anisodine and monocrotaline with organic cation transporters of the SLC22 and SLC47 families. Chin J Nat Med. 2019 Jul;17(7):490-497.

[7]. Nogueira-Ferreira R, et al. Exploring the monocrotaline animal model for the study of pulmonary arterial hypertension: A network approach. Pulm Pharmacol Ther. 2015 Dec;35:8-16.

[8]. Zhao J, et al. Effects of paclitaxel intervention on pulmonary vascular remodeling in rats with pulmonary hypertension. Exp Ther Med. 2019 Feb;17(2):1163-1170.

[9]. Rafikova O,et al. Metabolic Changes Precede the Development of Pulmonary Hypertension in the Monocrotaline Exposed RatLung. PLoS One. 2016 Mar 3;11(3):e0150480.

[10]. Dumitrascu R, Kulcke C, Königshoff M, Kouri F, Yang X, Morrell N, Ghofrani HA, Weissmann N, Reiter R, Seeger W, Grimminger F, Eickelberg O, Schermuly RT, Pullamsetti SS. Terguride ameliorates monocrotaline-induced pulmonary hypertension in rats. Eur Respir J. 2011 May;37(5):1104-18.

[11]. Aliotta JM, Pereira M, Wen S, Dooner MS, Del Tatto M, Papa E, Goldberg LR, Baird GL, Ventetuolo CE, Quesenberry PJ, Klinger JR. Exosomes induce and reverse monocrotaline-induced pulmonary hypertension in mice. Cardiovasc Res. 2016 Jun 1;110(3):319-30.

Caution: Product has not been fully validated for medical applications. For research use only.

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