Bardoxolone

Cat. No.: HY-14909

CAS No.: 218600-44-3

Molecular Formula: $C_{31}H_{41}NO_4$

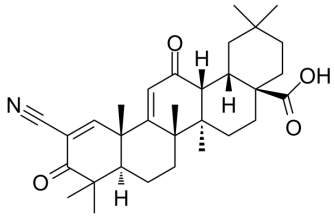
Molecular Weight: 491.66

Target: Keap1-Nrf2; Necroptosis

Pathway: NF-κB; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (203.39 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0339 mL	10.1696 mL	20.3393 mL
5 mM	0.4068 mL	2.0339 mL	4.0679 mL
10 mM	0.2034 mL	1.0170 mL	2.0339 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 (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bardoxolone (CDDO) is a novel nuclear regulatory factor (Nrf-2) activator for the study of chronic kidney disease. Bardoxolone is a potent necroptosis inhibitor that inhibits Z-VAD-FMK-induced necroptosis[1][2].

IC_{50} & Target

Nrf-2[1]

PROTOCOL

Animal

Monkeys[3]

Administration [3][4]	Two separate studies are conducted in cynomolgus Monkeys. In one, cynomolgus Monkeys (n=9 per sex/dose group) are orally administered Bardoxolone methyl at 5, 30, and 300 mg/kg once daily for 12 months, with an interim analysis at 6 months and a postdose recovery analysis 4 weeks after the final dose, in a GLP environment. In a second study, female cynomolgus Monkeys (n=6 for vehicle and n=12 for treatment) are administered Bardoxolone methyl (30 mg/kg per day), as above, once daily for 28 days. Mice[4] Male C57BL/6J mice are used. After 1 week of acclimatisation to the institutional animal facility (temperature 22°C, 12 h light/dark cycle), the animals are divided into three groups (n=7): (1) mice fed a normal diet (LFD group); (2) mice fed a high-fat diet (HFD group) (40% fat); and (3) mice fed the same HFD and supplemented with BARD in drinking water (10 mg/kg body weight) (HFD/BARD group). The dose and oral administration of BARD are chosen. After 21 weeks, all mice are euthanized using CO2 asphyxiation. Part of the mesenteric fat mass in each animal is collected and stored at −80°C for Western blot analysis. Another portion of the mesenteric fat depot is fixed in 4% paraformaldehyde and embedded in paraffin for the determination of morphology and immunohistochemistry. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2020 Mar;579(7799):433-437.
- Cell Mol Immunol. 2022 Jun 23;1-11.
- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2996-3005.
- Biomed Pharmacother. 2023 Oct 2:167:115618.
- J Invest Dermatol. 2021 Jul 6;S0022-202X(21)01416-0.

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REFERENCES

[1]. Sun Q, et al. Bardoxolone and bardoxolone methyl, two Nrf2 activators in clinical trials, inhibit SARS-CoV-2 replication and its 3C-like protease. Signal Transduct Target Ther. 2021 May 29;6(1):212.

[2]. Wang Y, et al. Discovery of bardoxolone derivatives as novel orally active necroptosis inhibitors. Eur J Med Chem. 2021 Feb 15;212:113030.

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