Bezafibrate

Cat. No.: HY-B0637

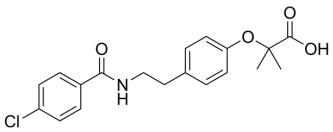
CAS No.: 41859-67-0

Molecular Formula: $C_{19}H_{20}ClNO_4$

Molecular Weight: 361.82

Target: PPAR

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor



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 : ≥ 50 mg/mL (138.19 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7638 mL	13.8190 mL	27.6381 mL
	5 mM	0.5528 mL	2.7638 mL	5.5276 mL
	10 mM	0.2764 mL	1.3819 mL	2.7638 mL

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

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 10 mg/mL (27.64 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bezafibrate is an agonist of PPAR, with EC_{50}s of 50 μM, 60 μM, 20 μM for human PPARα, PPARγ and PPARδ, and 90 μM, 55 μM, 110 μM for murine PPARα, PPARγ and PPARδ, respectively; Bezafibrate is used as an hypolipidemic agent.

IC_{50} & Target			
	hPPARδ	hPPARα	hPPARγ
	20 μM (EC50)	50 μM (EC50)	60 μM (EC50)

In Vitro	Bezafibrate is an agonist of PPAR, with EC_{50}s of 90 μM, 55 μM, 110 μM for murine PPARα, PPARγ and PPARδ, and 50 μM, 60 μM, 20 μM for human PPARα, PPARγ and PPARδ, respectively[1]. Bezafibrate (> 200 μM) shows significant cytotoxicity against human retinal microvascular endothelial cells (HRMECs) and human retinal pigment epithelial ARPE-19 cells. Bezafibrate (30-100 μM) suppresses tumor necrosis factor (TNF)α induced inflammatory factors and regulates TNFα induced nuclear factor (NF)-κB transactivation in HRMEC. Bezafibrate inhibits VEGF-induced HRMECs migration, and inhibits interleukin (IL)-1β-induced VEGF secretion of ARPE-19 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bezafibrate (0.5%) markedly reduces plasma lipid and glucose levels, and increases islet area in the pancreas in TallyHo mice. Bezafibrate also improves energy expenditure and metabolic flexibility. Moreover, Bezafibrate ameliorates steatosis, modifies lipid composition and increases mitochondrial mass in the liver[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cell viability is assessed using the CCK-8 kit. Hhuman retinal microvascular endothelial cells (HRMECs) or ARPE-19 cells are seeded at 5000 cells/well in medium containing 10% serum in 96-well plates. After a 24-h incubation, the medium is serum-starved with 1% FBS for 6 h, the CCK-8 reagent is added, and the absorbance of the resultant solution is measured at 450 nm by using a microplate reader at three time points, 24, 48, and 72 h after treatment with Bezafibrate (0, 10, 50, 100, 200, 500, and 1000 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	TallyHo mice are bred in our animal facility. Only male mice are used in the study, and mice receive a standard diet (SD), which is supplemented with 0.5% (w/w) Bezafibrate for the Bezafibrate groups for 8 weeks. Animals are killed by isoflurane overdose, and dissected tissues are prepared as stated below. All data represent samples taken after 8 weeks of Bezafibrate (or SD) treatment unless otherwise stated[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2023 Dec 25;8(1):457.
- Ecotoxicol Environ Saf. 2022 Jun 15;238:113611.
- BMC Cancer. 2019 Dec 2;19(1):1166.
- Biochem Biophys Res Commun. 2017 Feb 5;483(2):860-866.
- Poult Sci. 2019 Oct 1;98(10):4346-4358.

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REFERENCES

[1]. Willson TM, et al. The PPARs: from orphan receptors to drug discovery. J Med Chem. 2000 Feb 24;43(4):527-50.

[2]. Usui-Ouchi A, et al. The peroxisome proliferator-activated receptor pan-agonist bezafibrate suppresses microvascular inflammatory responses of retinal endothelial cells and vascular endothelial growth factor production in retinal pigmented epithelial cells. Int Immunopharmacol. 2017 Nov;52:70-76.

[3]. Franko A, et al. Bezafibrate ameliorates diabetes via reduced steatosis and improved hepatic insulin sensitivity in diabetic TallyHo mice. Mol Metab. 2017 Jan 6;6(3):256-266.

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

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