Mifobate

Cat. No.: HY-100277

CAS No.: 76541-72-5

Molecular Formula: $C_{11}H_{17}ClO_7P_2$

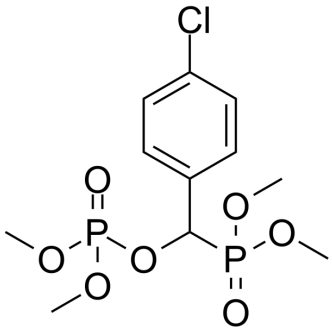
Molecular Weight: 358.65

Target: PPAR

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

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (278.82 mM; Need ultrasonic)

H_2O : 35.87 mg/mL (100.01 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7882 mL	13.9412 mL	27.8823 mL
5 mM	0.5576 mL	2.7882 mL	5.5765 mL
10 mM	0.2788 mL	1.3941 mL	2.7882 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (139.41 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mifobate (SR-202) is a potent and specific PPARγ antagonist. Mifobate (SR-202) selectively inhibits Thiazolidinedione (TZD)-induced PPARγ transcriptional activity (IC_{50}=140 μM). Mifobate (SR-202) does not affect basal or ligand-stimulated transcriptional activity of PPARα, PPARβ, or the farnesoid X receptor (FXR). Mifobate (SR-202) shows antiobesity and antidiabetic effects[1].

IC_{50} & Target

PPARγ

	140 μM (IC_{50})
In Vitro	Mifobate (100-400 μM; pretreated with 24 hours) significantly inhibits BRL 49653- and hormone-induced adipocyte differentiation of 3T3-L1 cells in a dose-dependent manner after 6 days[1]. Mifobate is able to both interact specifically with PPARγ and inhibit its agonist-dependent interaction with the coactivator steroid receptor coactivator-1 (SRC-1). Mifobate (SR-202) inhibits TZD-stimulated recruitment of the coactivator steroid receptor coactivator-1. Mifobate blocks adipocyte differentiation induced either by thiazolidinediones or by the combination of dexamethasone, insulin, and 3-isobutyl-1-methylxanthine (IBMX)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mifobate (400 mg/kg; Feed for 20 days) increases insulin sensitivity in ob/ob mice[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eight-week-old male ob/ob mice[1]
Dosage:	400 mg/kg
Administration:	Feed (food admixture maintained for 20 days)
Result:	Prevented the time-dependent increase in glucose concentrations.

CUSTOMER VALIDATION

- Drug Dev Res. 2020 Nov;81(7):859-866.

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REFERENCES

[1]. Rieusset J, et al. A new selective peroxisome proliferator-activated receptor gamma antagonist with antiobesityand antidiabetic activity. Mol Endocrinol. 2002 Nov;16(11):2628-44.

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