Monomethyl fumarate

Cat. No.: HY-103252

CAS No.: 2756-87-8

Molecular Formula: $C_5H_6O_4$

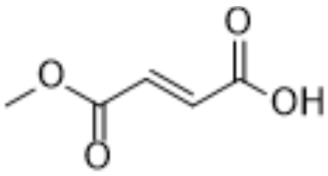
Molecular Weight: 130.1

Target: GPR109A; Drug Metabolite

Pathway: GPCR/G Protein; Metabolic Enzyme/Protease

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (384.32 mM; Need ultrasonic)

H_2O : 10 mg/mL (76.86 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	7.6864 mL	38.4320 mL	76.8639 mL
	5 mM	1.5373 mL	7.6864 mL	15.3728 mL
	10 mM	0.7686 mL	3.8432 mL	7.6864 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 8.33 mg/mL (64.03 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (19.22 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (19.22 mM); Clear solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (19.22 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Monomethyl fumarate, an active metabolite of Dimethyl fumarate (DMF), is a potent GPR109A agonist. Monomethyl fumarate has the potential for multiple neuroprotective pathways and other models of retinal disease[1][2][3].

In Vitro

Monomethyl fumarate completely inhibits forskolin induced cAMP synthesis with an IC_{50} of 70 nM. Monomethyl fumarate

induces a dose-dependent Ca^{2+} signal in GPR109A transfected cells with an EC_{50} of 9.4 μM[1].
Monomethyl fumarate (25 μM; 24 hours) attenuates 7β-OHC-induced cytotoxicity: cell growth inhibition; decreased cell viability; mitochondrial dysfunction; and cell death induction[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

A single dose of Monomethyl fumarate (50-100 mg/kg; IP) before light exposure prevents these morphologic changes (bright light exposure induced photoreceptor death) in a dose-dependent manner[2].
Monomethyl fumarate (100 mg/kg) reduces retinal inflammation and oxidative stress. Monomethyl fumarate significantly suppresses light-induced retinopathy (LIR) upregulated genes in the NFkB pathway including: Nlrp3, Casp1, Il-1β, and Tnf-α[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Albino BALB/c mice (male, 6 weeks old)[2]
Dosage:	50, 65, 75, 100 mg/kg
Administration:	IP
Result:	Prevented these morphologic changes (bright light exposure induced photoreceptor death) in a dose-dependent manner.

CUSTOMER VALIDATION

- Nature. 2023 Mar;615(7952):490-498.
- Mol Cell. 2023 Aug 11;S1097-2765(23)00605-6.
- Pharmacol Res. 2023 Feb 14;106697.

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REFERENCES

[1]. Tang H, et al. The psoriasis drug monomethylfumarate is a potent nicotinic acid receptor agonist. Biochem Biophys Res Commun. 2008 Oct 31;375(4):562-5.

[2]. Jiang D, et al. Monomethyl Fumarate Protects the Retina From Light-Induced Retinopathy. Invest Ophthalmol Vis Sci. 2019 Mar 1;60(4):1275-1285.

[3]. Sghaier R, et al. Dimethyl fumarate and monomethyl fumarate attenuate oxidative stress and mitochondrialalterations leading to oxiapoptophagy in 158N murine oligodendrocytes treated with 7β-hydroxycholesterol. J Steroid Biochem Mol Biol. 2019 Nov;194:105432.

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