Malotilate

Cat. No.: HY-A0060

CAS No.: 59937-28-9

Molecular Formula: $C_{12}H_{16}O_4S_2$

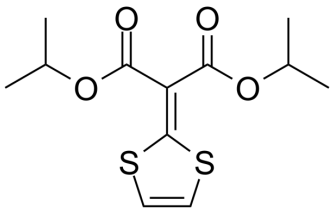
Molecular Weight: 288.38

Target: Lipoxygenase

Pathway: Metabolic Enzyme/Protease

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 (346.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4676 mL	17.3382 mL	34.6765 mL
5 mM	0.6935 mL	3.4676 mL	6.9353 mL
10 mM	0.3468 mL	1.7338 mL	3.4676 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 (8.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Malotilate (NKK 105), an orally active hepatotropic agent and an anti-fibrotic substance, selectively inhibits the 5-lipoxygenase (5-LOX) (IC_{50}=4.7 μM). Malotilate prevents the development of hepatocytic injury in alcohol-pyrazole hepatitis by decreasing hepatic acetaldehyde levels and preventing the retention of transferrin in the hepatocytes[1][2].

IC_{50} & Target

5-Lipoxygenase

4.7 μM (IC_{50})

In Vitro	Malotilate reduces collagen synthesis and cell migration activity of fibroblasts in vitro[3]. Malotilate, an anti-fibrotic substance, selectively inhibited the 5-lipoxygenase, whereas both the 12- and the 15-lipoxygenase pathways are stimulated. Malotilate has been shown to prevent acute experimental liver injury induced by several hepatotoxic compounds, including Ahyl alcohot, Bromobenzene, Carbon tetrachloride, ChIoroform, Dimethylnitrosamine and Thioacetamide[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Malotilate (100 mg/kg; p.o.; daily for 3 days) treatment in rats with hypocholesterolemia results in a rapid normalization of lowered serum cholesterol[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male rats of the SLC-SD strain (rats with carbon tetrachloride-induced liver damage)[5]
Dosage:	100 mg/kg
Administration:	P.o.; daily for 3 days
Result:	The triglyceride secretion from livers in rats given CCI4 was inhibited to about 40% of the level in the control rats. This inhibition of the triglyceride secretion was completely normalized in response to malotilate administration for 3 days.

REFERENCES

[1]. Matsuda Y, et al. Effects of malotilate on alcoholic liver injury in rats. Alcohol Clin Exp Res. 1988;12(5):665-670.

[2]. Vermeer MA, Wilson JH, Zijlstra FJ, Vincent JE. Differential effects of malotilate on 5-, 12- and 15-lipoxygenase in human ascites cells. Agents Actions. 1989;26(1-2):252-253.

[3]. Poeschl A, et al. Malotilate reduces collagen synthesis and cell migration activity of fibroblasts in vitro. Biochem Pharmacol. 1987;36(22):3957-3963.

[4]. Zijlstra FJ, et al. Differential effects of malotilate on 5-, 12- and 15-lipoxygenase in human ascites cells. Eur J Pharmacol. 1989;159(3):291-295.

[5]. Wakasugi J, et al. Action of malotilate on reduced serum cholesterol level in rats with carbon tetrachloride-induced liver damage. Jpn J Pharmacol. 1985;38(4):391-401.

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