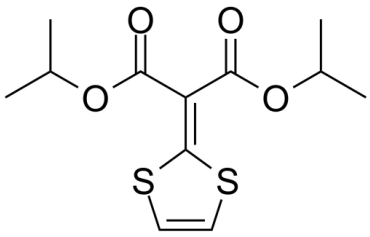
Data Sheet

Product Name:	Malotilate
Cat. No.:	CS-1594
CAS No.:	59937-28-9
Molecular Formula:	C12H16O4S2
Molecular Weight:	288.38
Target:	Lipoxygenase
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 100 mg/mL (346.76 mM)



BIOLOGICAL ACTIVITY:

Malotilate is a liver protein metabolism improved compound, which selectively inhibit the 5-lipoxygenase. IC50 Value: Target: 5-lipoxygenase in vitro: In an in vitro invasion assay using rat lung endothelial (RLE) cells, invasion of tumor cells which had been treated with MT (10 ng/ml, 24 h) was not affected; however, when RLE cells had been treated with MT, invasion was significantly inhibited in three cell lines (SAS, Ca9-22 and HSC-4) and a tendency to inhibition was also observed in other cell lines [1]. in vivo: The improvement rates for choline esterase activity were significantly greater in the malotilate group than in the control group. Serum albumin levels significantly increased in the malotilate group but not in the control group [2]. In the rats treated with MT for 19 days after i.v. inoculation of c-SST-2 cells, lung metastasis was also significantly suppressed [3]. Malotilate prevented increases in serum markers of type III and IV collagen synthesis as well as accumulation of the collagens, laminin and fibronectin in the liver [4]. Toxicity: Malotilate cytotoxicity to PBMCs, assessed by trypan blue dye exclusion and lactate dehydrogenase (LDH) release into the culture media, was found to be markedly increased by the addition of the NADPH generating system, indicating that metabolites play a significant role in toxicity [5].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [3] c-SST-2 and RLE cells (1 x 106 per dish) were seeded on 100-mm tissue culture dishes in DME supplemented with 7% FBS and then treated with various concentrations of MT for 24 h. The cells (5 x 104 per well) pretreated with or without MT were transferred into 24-well plates. The cells were chronologically harvested and counted with a haemocytometer. In another experiment, the cells (5 x 104 per well) were seeded on 24-well plates in DME supplemented with 7% FBS, and simultaneously various concentrations of MT was added into each well. The cells were chronologically harvested and counted with a haemocytometer. Animal administration [3] For experimental metastasis assay, SHR rats were orally administered MT (300 mg kg-' day-') for 7 days before and for 19 days after i.v. injection with c-SST-2 cells (5 x 104) into the tail vein. Twenty days after the tumour injection, the rats were killed and examined for metastases. Pulmonary metastatic nodules were counted as described above.

References:

[1]. Shibata T, et al. Inhibitory effects of malotilate on in vitro invasion of lung endothelial cell monolayer by human oral squamous cell carcinoma cells. Tumour Biol. 2000 Sep-Oct;21(5):299-308.

[2]. Takase S, et al. Effects of malotilate treatment on alcoholic liver disease. Alcohol. 1989 May-Jun;6(3):219-22.

[3]. Nagayasu H, et al. Inhibitory effects of malotilate on invasion and metastasis of rat mammary carcinoma cells by modifying the functions of vascular endothelial cells. Br J Cancer. 1998 May;77(9):1371-7.

[4]. Ryhanen L, et al. The effect of malotilate on type III and type IV collagen, laminin and fibronectin metabolism in dimethylnitrosamine-induced liver fibrosis in the rat. J Hepatol. 1996 Feb;24(2):238-45.

[5]. Nomura F, et al. Detection of malotilate toxicity in vitro with peripheral blood mononuclear cells as targets. A preliminary report. J Hepatol. 1990 Jul;11(1):65-9.

CAIndexNames:

Propanedioic acid, 2-(1,3-dithiol-2-ylidene)-, 1,3-bis(1-methylethyl) ester

SMILES:

O=C(OC(C)C)/C(C(OC(C)C)=O)=C1SC=CS\1

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