

Product Data Sheet

Product Name: Mivotilate (YH439)

Cat. No.: GC30297

Chemical Properties

Cas. No. 130112-42-4

SMILES O=C(OC(C)C)/C(C(NC1=NC(C)=CS1)=O)=C2SCS\2

Formula $C_{12}H_{14}N_2O_3S_3$ M.Wt 330.45

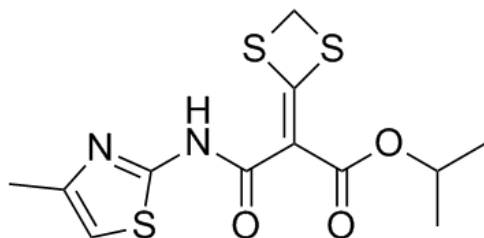
Solubility DMSO : 12.5 mg/mL (37.83 mM);
ultrasonic and warming and heat to 60°C)

Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

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Animal experiment: Male outbred Sprague-Dawley rats (weighing 100-150 g) are kept on a 12-h light-dark cycle with NIH 31 autoclavable rat die and water ad libitum. After a single oral administration of Mivotilate (75, 150, and 300 mg/kg body wt, diluted in corn oil), the animals are sacrificed at different times as indicated. Livers from control (corn oil-treated), starved (2 days) and Mivotilate-treated animals (n = 5 per group) are immediately excised, freeze-clamped, and processed further. Another group of rats (n = 3) is treated with phenobarbital (100 mg/kg/day) by intraperitoneal injection for 2 days and sacrificed 24 h after the last dose[2].

References:

- [1]. Whelan F, et al. Amino acid substitutions in the aryl hydrocarbon receptor ligand binding domain reveal YH439 as an atypical AhR activator. *Mol Pharmacol*. 2010 Jun;77(6):1037-46.
- [2]. Jeong KS, et al. Transcriptional inhibition of cytochrome P4502E1 by a synthetic compound, YH439. *Arch Biochem Biophys*. 1996 Feb 1;326(1):137-44.
- [3]. Lee IJ, et al. Transcriptional induction of the cytochrome P4501A1 gene by a thiazolium compound, YH439. *Mol Pharmacol*. 1996 Jun;49(6):980-8.

Background

Mivotilate is a nontoxic, potent activator of the aryl hydrocarbon receptor (AhR), and acts as a hepatoprotective agent.

Mivotilate is a nontoxic, potent activator of the aryl hydrocarbon receptor. Mivotilate (YH439) has a novel activation mode that tolerates mutation of histidine 285 to tyrosine[1]. Mivotilate induces cytochromes P4501A1/2 (CYP1A1/2) through the aryl hydrocarbon (Ah) receptor[3].

Mivotilate (YH439, 150 mg/kg, p.o.) reduces CYP2E1-mediated NDMA demethylase activity in rats, but shows no obvious effect on NADPH-dependent P450 oxidoreductase activity. Mivotilate (75-300 mg/kg) rapidly decreases immunoreactive CYP2E1 protein. Mivotilate (150 mg/kg, p.o.) inhibits the transcription of CYP2E1 in rats[2].

- [1]. Whelan F, et al. Amino acid substitutions in the aryl hydrocarbon receptor ligand binding domain reveal YH439 as an atypical AhR activator. *Mol Pharmacol*. 2010 Jun;77(6):1037-46. [2]. Jeong KS,

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