Endothall

Cat. No.: HY-113976A

CAS No.: 145-73-3

Molecular Formula: $C_8H_{10}O_5$

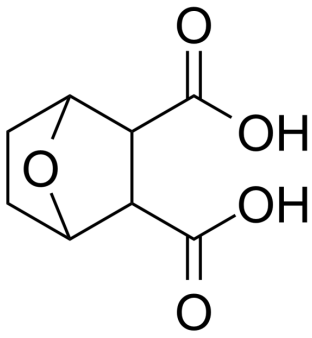
Molecular Weight: 186.16

Target: Phosphatase

Pathway: Metabolic Enzyme/Protease

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (537.17 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	5.3717 mL	26.8586 mL	53.7172 mL
	5 mM	1.0743 mL	5.3717 mL	10.7434 mL
	10 mM	0.5372 mL	2.6859 mL	5.3717 mL

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

BIOLOGICAL ACTIVITY

Description

Endothall (Endothal) is a protein phosphatase 2A (PP2A) inhibitor with IC_{50}s of 90 nM and 5 μM for PP2A and PP1, respectively. Endothall can be used as an herbicide. Endothall also is useful in cancer chemotherapy[1].

In Vitro

Endothall, an organic acid, is the least toxic structural analogue of Cantharidin that still inhibits PP2A[2].
Endothall inhibits preferentially hepatocellular carcinoma (HCC) growth and these new rat hepatocellular carcinoma lines may be useful for further biochemical and pharmacological studies on PP2A inhibitors, and for testing new forms of treatment of hepatic cell carcinomas. The HR-2, HR-3, HR-4, and Zajdela hepatocellular carcinomas are most sensitive to Endothall (IC_{50} of 1.7, 1.2, 0.9, and 1.7 μg/mL), whereas newborn rat hepatocytes growing exponentially in primary culture (IC_{50}=6.2 μg/mL), rat DHD/K12 colon carcinoma cells (IC_{50}=3.6 μg/mL), or human HT-29 colon carcinoma cells (IC_{50}=4.9 μg/mL) were less sensitive[2].
Endothall inhibits the growth of HCC lines in culture more than that of normal hepatocytes or colon carcinomas, inducing mitotic arrest, followed by cell death. Endothall causes dose- and time-dependent cytostasis specifically in G2/M[2].
Endothall (3 μg/mL) inhibits the cell cycle at G2/M and subsequent apoptotic cell death[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Endothall exhibits acute LD_{50} of 14 mg/kg in mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Janetti R Signorelli, et al. Protein phosphatases decrease their activity during capacitation: a new requirement for this event. PLoS One. 2013 Dec 2;8(12):e81286.

[2]. J P Thièry, et al. Hepatocellular carcinoma cell lines from diethylnitrosamine phenobarbital-treated rats. Characterization and sensitivity to endothall, a protein serine/threonine phosphatase-2A inhibitor. Hepatology. 1999 May;29(5):1406-17.

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