Hycanthone

Cat. No.: HY-B1099

CAS No.: 3105-97-3

Molecular Formula: $C_{20}H_{24}N_2O_2S$

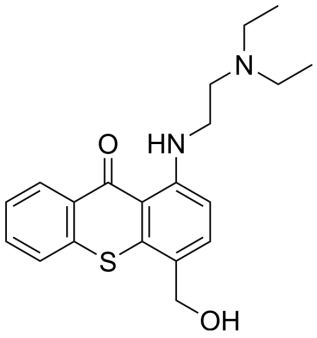
Molecular Weight: 356.48

Target: Parasite; DNA/RNA Synthesis; Topoisomerase

Pathway: Anti-infection; Cell Cycle/DNA Damage

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 : 12.5 mg/mL (35.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8052 mL	14.0260 mL	28.0521 mL
	5 mM	0.5610 mL	2.8052 mL	5.6104 mL
	10 mM	0.2805 mL	1.4026 mL	2.8052 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: ≥ 1.25 mg/mL (3.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (3.51 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Hycanthone is a thioxanthenone DNA intercalator and inhibits RNA synthesis as well as the DNA topoisomerases I and II. Hycanthone inhibits nucleic acid biosynthesis and inhibits apurinic endonuclease-1 (APE1) by direct protein binding with a K_D of 10 nM. Hycanthone is a bioactive metabolite of Lucanthone (HY-B2098) and has anti-schistosomal agent[1].

IC_{50} & Target	Schistosome	Topoisomerase I	Topoisomerase II

In Vitro

Hycanthone has an IC_{50} of 80 nM for inhibition of APE1 incision of depurinated plasmid DNA[1].

	Hycanthone (0.05-100 μM; for 2 h) promotes APE1 cleavage in presence of Cycloheximide (CHX) and this cleavage is inhibited by 1% DMSO[1]. Hycanthone at 20 mg/mL or more is progressively more detrimental to cell viability. Results reveal that increased concentrations of Hycanthone, ranging from 0.1 to 10 μg/mL, progressively reduces viral interferon yields as much as 73% compare to that of controls[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Results show that the incorporation of tritiated thymidine into TCA-precipitable material of adult sensitive worms undergo a progressive decrease after treatment with Hycanthone. Immature worms are totally unaffected by Hycanthone at all times tested. Male worms treated with Hycanthone show signs of a possible partial recovery from the initial low levels of incorporation. The incorporation of tritiated leucine by drug-sensitive worms treated with Hycanthone is inhibited by 40 to 50% in the first four days after treatment. Results show that, 7 days after Hycanthone treatment, both ribosomal RNA species are reduced by at least 80% with respect to untreated worms, with some indication of a possible accumulation of heavier precursor molecules[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Appropriate quantities of Hycanthone (1 to 100 μg) in 10 mL maintenance medium are added to plastic flasks (75 cm^2) containing approximately 3×10^7 LLC-MK2 cells in monolayer, which are then incubated at 35°C for 24 h. Maintenance medium is decanted, and 2 mL influenza virus is added onto cell monolayers and incubated at 35°C for 2 h. The multiplicity of infection is approximately 1.0. Inoculum is removed and 10 mL maintenance medium is added to each flask, which is then incubated at 35°C for 24 h. Supernatant fluid is decanted, centrifuged at 100,000 g for 1 h, dialyzed against HCI-KCI buffer (pH 2.0) at 4°C for 24 h, and then dialyzed against two changes of phosphate-buffered saline (pH 7.1) at 4°C for 24 h. Fluids are passed through filters to obtain sterile preparations. Samples are stored at -80°C until assayed for interferon activity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Female outbred Swiss albino mice used as definitive hosts weigh 18 to 20 g at the time of infection. Hycanthone is administered at 0.01 mL/g body weight intramuscularly by splitting the dose into the two hind legs, so that each mouse receives 80 mg/kg body weight of the free base. Treatments are usually performed during the 8th week after infection[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Anal Chem. 2022 Mar 8.
- Biomol Ther. 2022 Oct 7.

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REFERENCES

[1]. Mamta D Naidu, et al. Lucanthone and its derivative hycanthone inhibit apurinic endonuclease-1 (APE1) by direct protein binding. PLoS One. 2011;6(9):e23679.

[2]. Pica Mattoccia L, et al. Effect of hycanthone administered in vivo upon the incorporation of radioactive precursors into macromolecules of Schistosoma mansoni. Mol Biochem Parasitol. 1983 Jun;8(2):99-107.

[3]. Hahon N, et al. Action of antischistosomal drugs, hycanthone and its analog 1A-4 N-oxide, on viral interferon induction. J Toxicol Environ Health. 1980 Jul;6(4):705-12.

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

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