Merbarone

Cat. No.: HY-19024

CAS No.: 97534-21-9

Molecular Formula: $C_{11}H_9N_3O_3S$

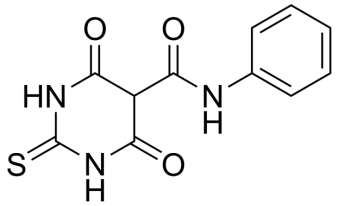
Molecular Weight: 263.27

Target: Topoisomerase

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (47.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7984 mL	18.9919 mL	37.9838 mL
	5 mM	0.7597 mL	3.7984 mL	7.5968 mL
	10 mM	0.3798 mL	1.8992 mL	3.7984 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 (9.50 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (9.50 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Merbarone (NSC 336628) is an orally active inhibitor of topoisomerase II. Merbarone acts primarily by blocking topoisomerase II-mediated DNA cleavage without stabilizing topo II-DNA covalent complexes. Merbarone is an anticancer agent[1][2][4].

IC_{50} & Target

topoisomerase II[1]

In Vitro

Merbarone (1-100 μM) inhibits L1210 cells proliferation in a concentration-dependent manner, with an IC_{50} of 10 μM[3].
Merbarone (10-200 μM; 10 min) inhibits DNA relaxation catalyzed by human topoisomerase IIα, with an IC_{50} of -40 μM[1].
Merbarone (25-200 μM; 6 min) blocks tyopoisomerase II-mediated DNA cleavage, with an IC_{50} of -50 μM[1].
Merbarone (100 μM; 6 min) inhibits topoisomerase II-mediated DNA cleavage in a global manner[1].

	Merbarone (100 μM; 6 min) does not impair topoisomerase II•DNA binding[1]. Merbarone (200 μM; 4-16 min) does not inhibit topoisomerase II-catalyzed ATP hydrolysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Merbarone (50 mg/kg; daily i.p. for 5 d) achieves a maximum increased life span (ILS) of 101% in P388 murine leukemia[2]. Merbarone (124 mg/kg; daily p.o. for 9 d) has anti-tumor activity in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fortune JM, et, al. Merbarone inhibits the catalytic activity of human topoisomerase IIalpha by blocking DNA cleavage. J Biol Chem. 1998 Jul 10;273(28):17643-50.

[2]. Brewer AD, et, al. 5-(N-phenylcarboxamido)-2-thiobarbituric acid (NSC 336628), a novel potential antitumor agent. Biochem Pharmacol. 1985 Jun 1;34(11):2047-50.

[3]. Cooney DA, et, al. Initial mechanistic studies with merbarone (NSC 336628). Biochem Pharmacol. 1985 Sep 15;34(18):3395-8.

[4]. Chen M, et, al. Differences in inhibition of chromosome separation and G2 arrest by DNA topoisomerase II inhibitors merbarone and VM-26. Cancer Res. 1995 Apr 1;55(7):1509-16.

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