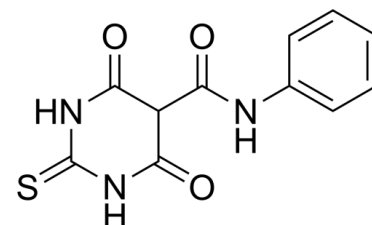


Data Sheet

Product Name:	Merbarone
Cat. No.:	CS-0014735
CAS No.:	97534-21-9
Molecular Formula:	C ₁₁ H ₉ N ₃ O ₃ S
Molecular Weight:	263.27
Target:	Topoisomerase
Pathway:	Cell Cycle/DNA Damage
Solubility:	DMSO : 12.5 mg/mL (ultrasonic)



BIOLOGICAL ACTIVITY:

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]}. IC₅₀ & Target: topoisomerase II^[1] *In Vitro*: Merbarone (1-100 μM) inhibits L1210 cells proliferation in a concentration-dependent manner, with an IC₅₀ of 10 μM^[3].

Merbarone (10-200 μM; 10 min) inhibits DNA relaxation catalyzed by human topoisomerase IIα, with an IC₅₀ of ~40 μM^[1].

Merbarone (25-200 μM; 6 min) blocks tyopoisomerase II-mediated DNA cleavage, with an IC₅₀ 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]. *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].

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.

CAIndexNames:

5-Pyrimidinecarboxamide, hexahydro-4,6-dioxo-N-phenyl-2-thioxo-

SMILES:

O=C(C(C(N1)=O)C(NC1=S)=O)NC2=CC=CC=C2

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

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