

Product Data Sheet

Product Name: Merbarone

Cat. No.: GC61844

Chemical Properties

Cas. No. 97534-21-9

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

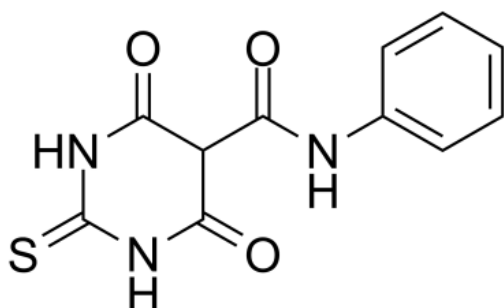
Formula $C_{11}H_9N_3O_3S$ M.Wt 263.27

Solubility 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



Background

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].

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

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

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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].

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 IIα 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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