# Bisantrene dihydrochloride

**Cat. No.:** HY-100875A

**CAS No.:** 71439-68-4

**Molecular Formula:** $C_{22}H_{24}Cl_2N_8$

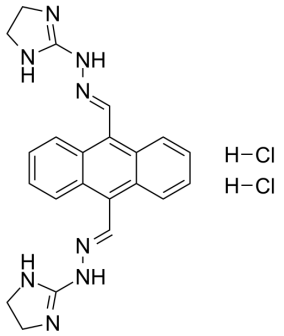
**Molecular Weight:** 471.39

**Target:** Topoisomerase

**Pathway:** Cell Cycle/DNA Damage

**Storage:** 4°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 : 5 mg/mL (10.61 mM; Need ultrasonic)

$H_2O$ : 3.33 mg/mL (7.06 mM; ultrasonic and warming and heat to 60°C)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.1214 mL | 10.6069 mL | 21.2139 mL |
| 5 mM | 0.4243 mL | 2.1214 mL | 4.2428 mL |
| 10 mM | 0.2121 mL | 1.0607 mL | 2.1214 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

Bisantrene dihydrochloride is a highly effective antitumor agent, it exerts its cytotoxicity by affecting DNA intercalation. Bisantrene dihydrochloride targets eukaryotic type II topoisomerases. Bisantrene dihydrochloride is a substrate of MDR1[1][2][3][4].

**$IC_{50}$ & Target**

Topoisomerase[1]

**In Vitro**

Bisantrene dihydrochloride promots DNase I cleavage at oligopurine-oligopyrimidine tracts and slightly reduces the cleavage activity at alternating purine-pyrimidine sequences[1].

Bisantrene dihydrochloride is an inhibitor of [$^3$H]uridine incorporation into RNA and [$^3$H]thymidine incorporation into DNA[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

Bisantrene dihydrochloride is an antitumor agent active against a number of experimental tumors, including P388 leukemia, L1210 leukemia, Lieberman plasma cell tumor, B16 melanoma, colon tumor 26, and Ridgway osteogenic sarcoma[3].

Bisantrene dihydrochloride is effective over a dose range of 1.56 to 150 mg/kg depending upon the frequency, route, and schedule of the treatment and the tumor model used[3].

Bisantrene dihydrochloride (25, 50 and 100 mg/kg; i.p.; once) pretreats with macrophages shows antitumor effect to mice with P815 tumor cells injection[3].

Bisantrene dihydrochloride (10-150 mg/kg; i.v.; once) dose-dependently induces leukopenia in Neo mice. B cells and macrophages are targets for bisantrene dihydrochloride toxicity[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Nat Commun. 2021 Apr 12;12(1):2183.
- Mol Cell. 2021 Mar 4;81(5):922-939.e9.
- Anal Chem. 2022 Mar 8.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Research Square Preprint. 2021 Aug.

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## REFERENCES


[1]. Sissi C, et al. DNA-binding preferences of Bisantrene analogues: relevance to the sequence specificity of drug-mediated topoisomerase II poisoning. Mol Pharmacol. 1998 Dec;54(6):1036-45.

[2]. Yap HY, et al. Bisantrene, an active new drug in the treatment of metastatic breast cancer. Cancer Res. 1983 Mar;43(3):1402-4.

[3]. Wang BS, et al. Activation of tumor-cytostatic macrophages with the antitumor agent 9,10-anthracenedicarboxaldehyde bis[(4,5-dihydro-1H-imidazole-2-yl)hydrazone] dihydrochloride (bisantrene). Cancer Res. 1984 Jun;44(6):2363-7.

[4]. Aksentijevich I, et al. Retroviral transfer of the human MDR1 gene confers resistance to bisantrene-specific hematotoxicity. Clin Cancer Res. 1996 Jun;2(6):973-80.





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