Bisantrene

Cat. No.: HY-100875

CAS No.: 78186-34-2

Molecular Formula: $C_{22}H_{22}N_8$

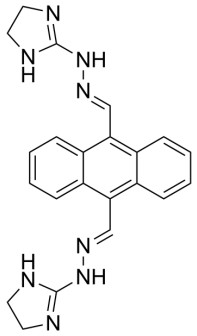
Molecular Weight: 398.46

Target: Topoisomerase

Pathway: 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 : 1 mg/mL (2.51 mM; ultrasonic and warming and heat to 80°C)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5097 mL	12.5483 mL	25.0966 mL
5 mM	---	---	---
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

Topoisomerase II

In Vitro

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

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

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

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

PROTOCOL

Kinase Assay [1]

Measurements are carried out at 25°C in ETN buffer (1 mM EDTA, 10 mM Tris, pH 7.0, with NaCl to obtain the desired ionic strength). Binding is monitored spectrophotometrically or fluorometrically, in the ligand absorption or emission region, respectively, after addition of scalar amounts of DNA to a freshly prepared drug solution. To avoid large systematic inaccuracies resulting from experimental errors in extinction coefficients or fluorescence quantum yield, the range of bound drug fractions is 0.15-0.85. Data are evaluated. Spectroscopic measurements are made with a Perkin-Elmer Lambda 5 apparatus and a MPF66 fluorometer, both equipped with a Haake F3-C thermostat[1].

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.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA