Product Data Sheet

Product Name: Bisantrene
Cat. No.: GC19073

Chemical Properties

Cas. No.	78186-34-2		
SMILES	C12=CC=CC=C1C(/C=N/NC3=NCCN3)=C4C(C=CC=C4)=C2/C=N/NC5=NCCN5		
Formula	$C_{22}H_{22}N_8$	M.Wt	398.46
Solubility	Water : < 0.1 mg/mL (insoluble)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Bisantrene		

Protocol

Kinase experiment:

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].

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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.

Background

Bisantrene is a highly effective antitumor drug, targets eukaryotic type II topoisomerases.

Bisantrene shows an outstanding ability to form a complex with DNA. Bisantrene exhibits the most effective binding (even neglecting electrostatic contacts), followed by the 9-substituted compounds and finally by 1-IHA. Bisantrene congeners retained a remarkable capacity for binding to the single-stranded structure. In comparison with the Ki values found for double-stranded DNA, 9-IHA shows a 2-fold increase, 1-IHA maintains the same values, and aza-9-IHA exhibits a modest reduction. On the other hand, Bisantrene, although undergoing a 6-fold reduction in Ki, still exhibits an affinity constant of the order of 106 M-1. Bisantrene promots DNase I cleavage at oligopurine-oligopyrimidine tracts;

conversely, it slightly reduces the cleavage activity at alternating purine-pyrimidine sequences[1]. Bisantrene is an active new drug in the treatment of metastatic breast cancer. Bisantrene is an inhibitor of [3H]uridine incorporation into RNA and [3H]thymidine incorporation into DNA[2].

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.

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