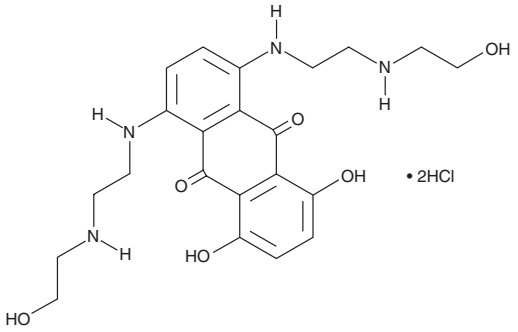
PRODUCT INFORMATION

Mitoxantrone (hydrochloride)

Item No. 14842



CAS Registry No.: 70476-82-3
Formal Name: 1,4-dihydroxy-5,8-*bis*[[2-[(2-hydroxyethyl)amino] ethyl]amino]-9,10-anthracenedione, dihydrochloride
Synonyms: NCI 301739, NSC 301739
MF: $C_{22}H_{28}N_4O_6 \bullet 2HCl$
Purity: ≥95%
UV/Vis.: λ_{max}: 222, 242, 276, 610, 662 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Mitoxantrone (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the mitoxantrone (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Mitoxantrone (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of mitoxantrone (hydrochloride) in ethanol is approximately 5 mg/ml and approximately 50 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of mitoxantrone (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of mitoxantrone (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Mitoxantrone is an inhibitor of DNA topoisomerase IIα and HIV-1 integrase (IC_{50}s = 5.3 and 3.8 µM, respectively).[1,2] It intercalates into DNA in a cell-free assay when used at a concentration of 2 µM, as well as inhibits DNA synthesis and induces DNA-protein crosslinks *in vitro* in a concentration-dependent manner.[1,3] Mitoxantrone inhibits the growth of K562 leukemia and K562-derived etoposide-resistant K/VP.5 cells (IC_{50}s = 0.42 and 1.68 µM, respectively).[1] It also inhibits the membrane fusion of a mixed population of HEK293T cells expressing either angiotensin-converting enzyme 2 (ACE2) or the extracellular domain of the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) spike glycoprotein, also known as surface glycoprotein.[4] Mitoxantrone (0.2 µM) inhibits the entry of SARS-CoV-2 into Vero E6 cells. Formulations containing mitoxantrone have been used in the treatment of cancer and multiple sclerosis.

References

1. Hasinoff, B.B., Liang, H., Wu, X., *et al. Bioorg. Med. Chem.* **16(7),** 3959-3968 (2008).
2. Carlson, H.A., Masukawa, K.M., Rubins, K., *et al. J. Med. Chem.* **43(111),** 2100-2114 (2000).
3. Fox, M.E. and Smith, P.J. *Cancer Res.* **50(18),** 5813-5818 (1990).
4. Zhang, Q., Radvak, P., Lee, J., *et al. Sci. Rep.* **12(1),** 6294 (2022).

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