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PRODUCT INFORMATION

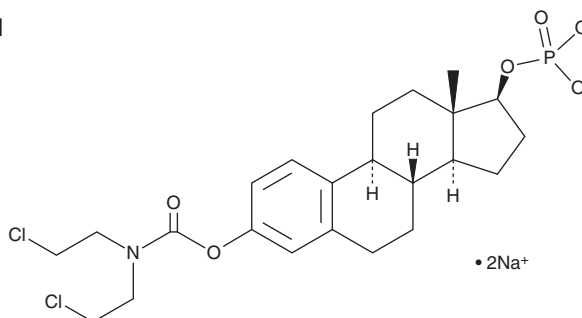


Estramustine Phosphate (sodium salt)

Item No. 23126

CAS Registry No.: 52205-73-9
Formal Name: estra-1,3,5(10)-triene-3,17-diol (17β)-3-[N,N-bis(2-chloroethyl) carbamate] 17-(dihydrogen phosphate), disodium salt

Synonym: Ro 21-8837/001
MF: C₂₃H₃₀Cl₂NO₆P • 2Na
FW: 564.4
Purity: ≥95%
UV/Vis.: λ_{max}: 204, 269 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Estramustine phosphate (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the estramustine phosphate (sodium salt) in the solvent of choice. Estramustine phosphate (sodium salt) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of estramustine phosphate (sodium salt) in these solvents is approximately 0.25 mg/ml.

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 estramustine phosphate (sodium salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of estramustine phosphate (sodium salt) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Estramustine phosphate is a derivative of estradiol (Item Nos. 20776 | 10006315) that contains a nor-nitrogen mustard group. Estramustine phosphate destabilizes microtubules by binding to microtubule-associated proteins (MAPs) with K_d values of 10 and 15 μM for MAP-1 and MAP-2, respectively.¹ Estramustine induces cell cycle arrest in mitosis at the metaphase stage in DU145 and PC3 prostate cancer cells and induces apoptosis in U87MG human malignant glioma cells.^{2,3} The metabolites of estramustine phosphate have anti-androgenic effects.⁴ Formulations containing estramustine phosphate are used in the palliative treatment of prostate cancer.

References

1. Tew, K.D., and Stearns, M.E. Estramustine--a nitrogen mustard/steroid with antimicrotubule activity. *Pharmacol. Ther.* **43(3)**, 299-319 (1989).
2. Hartley-Asp, B. Estramustine-induced mitotic arrest in two human prostatic carcinoma cell lines DU 145 and PC-3. *Prostate* **5(1)**, 93-100 (1984).
3. Yoshida, D., Hoshino, S., Shimura, T., *et al.* Drug-induced apoptosis by anti-microtubule agent, estramustine phosphate on human malignant glioma cell line, U87MG; *in vitro* study. *J. Neurooncol.* **47(2)**, 133-140 (2000).
4. Wang, L.G., Liu, X.M., Kreis, W., *et al.* Androgen antagonistic effect of estramustine phosphate (EMP) metabolites on wild-type and mutated androgen receptor. *Biochem. Pharmacol.* **55(9)**, 1427-1433 (1998).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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