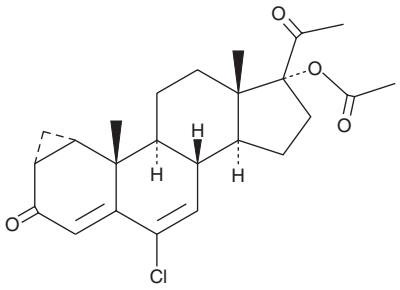
PRODUCT INFORMATION

Cyproterone Acetate

Item No. 16622

CAS Registry No.: 427-51-0
Formal Name: (1β,2β)-17-acetyloxy-6-chloro-1,2-dihydro-3'H-cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione
Synonyms: CPA, Cyprostat, NSC 81430, SH 714
MF: $C_{24}H_{29}ClO_4$
FW: 416.9
Purity: ≥98%
UV/Vis.: λ_{max}: 280 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

Cyproterone acetate (CPA) is supplied as a crystalline solid. A stock solution may be made by dissolving the CPA in the solvent of choice, which should be purged with an inert gas. CPA is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CPA is approximately 10 mg/ml in ethanol and approximately 30 mg/ml in DMSO and DMF.

CPA is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CPA should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CPA has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cyproterone acetate (CPA) is an androgen receptor antagonist.[1] It binds to human androgen receptors (K_i = 14 nM) and inhibits dihydrotestosterone-induced androgen receptor activation in CV-1 cells (IC_{50} = 26 nM). CPA (30 mg/kg) decreases ventral prostate weight in castrated immature rats. It also suppresses accessory sexual glands and fertility in adult male rats when administered at a dose of 10 mg/kg.[2] CPA (0.3 µM) also induces apoptosis in primary adult female rat hepatocytes.[3] Formulations containing cyproterone acetate have been used in the treatment of androgenization in females.

References

1. Hamann, L.G., Higuchi, R.I., Zhi, L., *et al.* Synthesis and biological activity of a novel series of nonsteroidal, peripherally selective androgen receptor antagonists derived from 1,2-dihydropyridono[5,6-g]quinolines. *J. Med. Chem.* **41(4)**, 623-639 (1998).
2. Steinbeck, H., Mehring, M., and Neumann, F. Comparison of the effects of cyproterone, cyproterone acetate and oestradiol on testicular function, accessory sexual glands and fertility in a long-term study on rats. *J. Reprod. Fertil.* **26(1)**, 65-76 (1971).
3. Kasper, P. and Mueller, L. Sex-specific induction of apoptosis by cyproterone acetate in primary rat hepatocytes. *Carcinogenesis* **20(11)**, 2185-2188 (1999).

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