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Inhibition of LDH-X by gossypol optical isomers

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Racemic gossypol is an effective male antifertility agent in several mammalian species. However, (+)-gossypol is not an effective male antifertility agent in the rat or the hamster. Previous studies have demonstrated the ability of racemic gossypol to inhibit the testis-specific LDH-X enzyme derived from various mammalian species and have suggested LDH-X as the potential site of gossypol antifertility action. In the present study, the effects of racemic gossypol and the (+) and (-) optical isomers of gossypol on LDH-X derived from rat and

hamster testicular cytosol are compared to determine if there is any correlation between the in vitro inhibition of the LDH-X enzyme and in vivo antifertility effects. Both optical isomers of gossypol as well as racemic gossypol inhibit rat and hamster testicular cytosolic LDH-X activity. Inhibition of hamster testicular cytosolic LDH-X activity by (-)-gossypol was less than by either racemic gossypol or (+)-gossypol. Based on the previous reports of racemic gossypol inhibition of LDH-X, therefore, it cannot be simply concluded that LDH-X is the specific site of antifertility action of gossypol since, in the present study, (+)-gossypol, which is not an effective male antifertility agent, also inhibited rat and hamster testicular cytosolic LDH-X.

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