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Characterization of cysteamine as a potential contraceptive anti-HIV agent

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Cysteamine (beta-mercaptoethylamine, or MEA) is a thiol-reducing agent and has anti-HIV activity. Because of these properties, cysteamine was evaluated as a vaginal contraceptive and tested for its effects on sperm function and on other sexually transmitted microbes. Cysteamine was contraceptive in the rabbit. Conception was inhibited completely when sperm were pretreated with 500 microg/ml cysteamine and was inhibited by more than 60% when 7.5 mg cysteamine was applied vaginally as a suspension in 50% K-Y Jelly. Cysteamine had multiple effects on spermatozoa. Both acrosin (EC 3.4.21.10) and hyaluronidase (EC 3.2.1.35) were reversibly inhibited by cysteamine. Calculated IC50 values were 370 microg/ml and 150 microg/ml for acrosin and hyaluronidase, respectively. Cysteamine behaved as a poor spermicide when activity was measured by the 30-second Sander-Cramer test. However, sperm motility was inhibited completely when cysteamine was preincubated for 10 minutes prior to motility evaluation, at concentrations as low as 50 microg/ml. The calcium ionophore A23187-induced human acrosome reaction was inhibited by cysteamine (IC50 = 0.5 microg/ml). Neither herpes simplex virus nor Neisseria gonorrhoeae was affected by cysteamine at concentrations as high as 500 microg/ml and 100 microg/ml, respectively. Cysteamine appears to have no effect on normal vaginal flora (i.e., lactobacillus). These results, together with published data, strongly support the further development of cysteamine as a topical contraceptive anti-HIV agent.

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