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Cathinone, a potent psychostimulant isolated from young shoots of *Catha edulis* was given to four human volunteers. Examination of urine collected from the volunteers at predetermined intervals showed the presence of unchanged cathinone, d-norpseudoephedrine, and two unidentified basic substances. The observed biotransformation of cathinone to the less potent psychostimulant, d-norpseudoephedrine involves reduction of a ketone group to alcohol, a common metabolic pathway in humans.