

## Short Communications

### ENTHEOGENIC\* (HALLUCINOGENIC) EFFECTS OF METHYLERGONOVINE

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In a previous publication (Bigwood et al. 1979) we reported on entheogenic effects of ergonovine, the specific uterotonic, water-soluble principle of ergot, the sclerotium of *Claviceps purpurea* (Fr.) Tul. Ergonovine was isolated simultaneously by four different research groups in the mid-1930s and designated variously ergobasine, ergometrine, ergotocine and ergostetrine. The drug was first synthesized in 1937 by Albert Hofmann of the Swiss pharmaceutical firm Sandoz Ltd., and its structure proved to be d-lysergic acid-L-2-propanolamide (Stoll & Hofmann 1943). Ergonovine found widespread application in obstetrics as a hemostatic remedy for control of post-partum hemorrhage. Despite its widespread use, the drug was not known to be entheogenic until Hofmann, in 1976, ingested 2.0 mg of ergonovine maleate (the therapeutic dose normally employed is 0.2-0.4 mg) (Wasson, Hofmann & Ruck 1978).

While engaged in structure-activity relationship studies of lysergic acid derivatives in the late 1930s, Hofmann prepared numerous amides of lysergic acid including the famous d-lysergic acid diethylamide (LSD or Delysid®), which has proven to be the most potent entheogenic agent ever discovered. Also among these derivatives was d-lysergic acid-(+)-2-butanolamide, or

methylergonovine, which differs from ergonovine in that the amide sidechain contains an additional carbon atom. This drug proved to be superior in its uterotonic effects to ergonovine, and today the maleic acid salt of methylergonovine is widely used in obstetrics under the trade name Methergine®, also as a hemostatic aid in management of post-partum hemorrhage. The usual therapeutic dose of methylergonovine is 0.2 mg peroral. Owing to the close structural relationship between methylergonovine and ergonovine, and the recent discovery of entheogenic properties of the latter, it seemed advisable to investigate the entheogenic potential of Methergine® at doses considerably higher than those employed in obstetrical practice. Accordingly, we conducted a self-experiment with methylergonovine on 8 January 1980, a snowy winter day:

- 1332: ingestion of 2.0 mg methylergonovine maleate each, peroral
- 1345: beginning effects, mild sensation of pressure in the head
- 1400: flashes in periphery of visual field, slight vertigo, salivation, "acid" taste
- 1500: mild cramping of inner thigh (PN), faces flushed, inner excitement, restlessness, lassitude, yawning
- 1545: peak effects, lethargic but excited imagination, visualization from auditory cues and other body static, reminiscent of LSD trips but much more superficial. Little visual change with eyes open (PN)
- 1730: effects still quite strong, somatic sensations much like LSD, but psychic changes milder. Closed-eye eidetic imagery; with eyes open, flashes in periphery (JO)
- 1845: effects waning slightly
- 2330: effects mostly worn off.

We then slept fitfully and awoke without any aftereffects. The effects of methylergonovine were nearly identical to the effects of ergonovine, about which we reported earlier. However, we found methylergonovine to have roughly five times the

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\*For historical and etymological reasons, and to avoid stigmatizing this unique class of drugs, Ruck, Bigwood, Staples, Ott and Wasson have proposed the adoption of the term *entheogen(ic)* to replace the stereotyped and misleading terms hallucinogen(ic), psychedelic, psychotomimetic, etc. For particulars, see "Entheogens," *Journal of Psychedelic Drugs* Vol. 11(1-2): 145-146, 1979.

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entheogenic potency of ergonovine; that is, our 2.0 mg dose of methylergonovine was roughly as potent as a previous 10.0 mg dose of ergonovine. Both drugs share with LSD a characteristic complex of somatic sensations. Unlike LSD, however, both methylergonovine and ergonovine evoke lassitude and a dreamy semi-narcotic state, effects previously ascribed by Hofmann to d-lysergic acid amide (also known as ergine or LA-111) the active principle of the Mexican entheogenic drug *ololiubqui* (*Rivea* [*Turbina*] *corymbosa*), also found in some strains of ergot (Hofmann 1964). In profound contrast to LSD, the somatic effects of methyl-ergonovine and ergonovine overshadow the psychic effects, with uncomfortable somatic side effects likely to supervene at doses insufficient to elicit profound entheogenic effects. Accordingly, neither drug seems at all likely to displace LSD in the recreational drug scene.

A related compound, 1-methyl-d-lysergic acid-(+)-2-butanolamide, a serotonin antagonist marketed as a treatment for migraine by Sandoz under the trade name Sansert® (also known as Deseril®, Methysergide® or UML-491®) has also been shown to be entheogenic at doses of 3.5-7.5 mg (Abramson & Rollo 1967). Evidently Sansert® has roughly the same entheogenic

potency as ergonovine, which we estimate to be about 1/200th the potency of LSD. From our limited experience, we estimate that methylergonovine is about 1/40th the potency of LSD.

Although a question addressed to the editors of *Medizinische Klinik* (60: 2004, 1965) referred to "euphoric mood" following subcutaneous injection of an unstated quantity of Methergine®, there are no reports of entheogenic effects following use of this drug. While we know of no evidence of adverse effects following oral administration of Methergine® to healthy adults, arterial hypertension with convulsive crises has been reported as a side effect of I.V. injection of 0.2 mg of the drug (Garré et al. 1978). Similar reactions to I.V. injection of ergonovine have been reported (Ricci et al. 1978). One case involving I.V. injection of 0.5 mg ergonovine resulted in cardiac arrest, followed by coma and ultimately full recovery (Browning 1974).

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