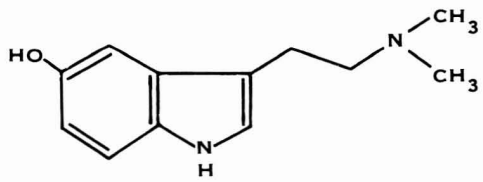
Profiles of Psychedelic Drugs



11. BUFOTENINE

Description and Properties: Bufotenine, mappine, 5-hydroxy-N,N-dimethyltryptamine, N,N-dimethylserotonin, is a water insoluble, but aqueous acid and base soluble crystalline solid that crystallizes as the internal salt from ethyl acetate, m.p. 147°C, and as the oxalate with variable hydration, m.p. between 82° and 96°C.

History: The name "bufotenine" represents the source of first isolation, the secretions of the toad, *Bufo vulgaris*, in 1893, and it is one of the rare alkaloids to be found widespread in both the animal and plant kingdoms. It has been well-established as a component in fungi (*Amanita* spp.), in snuffs (Cohoba and Yopo of the *Anadenanthera* spp.) and in decoctions (Yaje of the *Banisteriopsis* spp.). There is an extremely close structural resemblance between bufotenine and known psychotomimetics (psilocin, DMT and 5-methoxy-DMT). Bufotenine is an immediate homolog of serotonin, an essential neurotransmitter, and might be synthesized from it *in vivo*, employing known enzyme systems. These factual associations have led to its frequent classification as a psychedelic drug, a designation not supported by clinical studies.

Biochemistry and Pharmacology: In animals, bufotenine does not cross the blood-brain barrier and thus it cannot exhibit central action when administered peripherally. When introduced directly into the brain in rats (intraventricular administration), it appears to be a potent psychotomimetic and it is possible that endogenous serotonin might be abnormally methylated to bufotenine in the brain. This metabolic mismanagement has been proposed as a basis of endogenous schizophrenia, but searches for urinary bufotenine presence have yielded contradictory results. Small but real levels have been reported in the urines of schizophrenic and mentally defective patients, but not in normal controls. However, other studies have found no detectable amounts in any subjects at all. In humans there is a profound cardiovascular response, including hypertension and the development of an arrythmia with ventricular escapes and a cyanosis similar to carcinoid flush, probably due to serotonin release. The current consensus is that bufotenine should not be considered a psychedelic drug, and that its botanical congeners in native plant drug sources must play a major role in their recognized central effects.

Human Psychopharmacology: Bufotenine is not active orally in humans. Following an intravenous dosage of 16 mg there was a purpling of the face and generalized body tingling. During the ensuing two minutes there was a marked mydriasis, difficulty in focusing, and vomiting. There was the viewing of purple spots in the visual field, followed by a yellowish cast covering things seen. The facial discoloration and profuse sweating lasted until the tenth minute and nystagmus was still noted at the half-hour point. The intellect seemed clouded and there was reported distortion in the sense of time and space. The visual effects have been ascribed to a possible sudden increase in intraocular pressure, but this was not measured. The overall description of the effects was one of physical tension (anxiety?) and of dopiness (not sleepiness).

Legal Status: Bufotenine is listed in the Federal Controlled Substances Act as a Schedule I drug, with the registry number 74333.

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