

Emerging Designer Drug Monograph

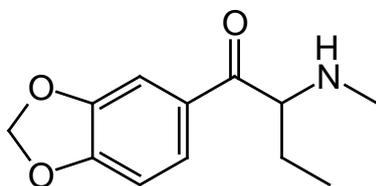
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Drug Name: Butylone

Synonyms: β -Keto-*N*-methylbenzodioxolylbutanamine (bk-MBDB); 2-methylamino-1-benzodioxolylbutan-1-one; 1-(1,3-benzodioxol-5-yl)-2-(methylamino)butan-1-one. Usually supplied as hydrochloride

Structure:



Formula: C₁₂H₁₅NO₃

Molecular Weight: 221.2

Pharmacological Drug Class: Butylone is considered a stimulant (1), potent inhibitor of norepinephrine and dopamine reuptake in human platelets, by analogy with its homolog and more investigated substance, methylone (2, 3).

Metabolism: The major metabolic route of butylone is demethylenation in the methylenedioxy ring, followed by conversion to isomeric 4-hydroxy-3-methoxy- and 3-hydroxy-4-methoxy-*N*-methylcations and subsequent conjugation (4-7). *N*-demethylation and keto- reduction to respective alcohols were the minor routes (7, 8). Generally, butylone strictly follows metabolism of methylone and its closely related analogs, ethylone and pentylone. Butylone also shares some common metabolites with methylone, resulting from *N*-dealkylation. Free parent butylone was found abundant in excreted urine (7, 8).

Blood Concentrations: A death associated with combined use of methylone and butylone was described by Warrick et al. (9). Both drugs were identified postmortem in urine and in the capsules ingested. Suicide with butylone was reported by Rojek et al, (10): butylone concentration in blood was 20 ng/mL and 33 ng/kg in the liver.

Effects and Toxicity: Specific pharmacological action of butylone has not been described in scientific literature. Self reported accounts of recreational butylone use can be found on the Internet drug forums. It is usually ingested orally, sometimes by insufflations. Butylone is swallowed in 2 - 4 repeated doses of about 50 – 100 mg every 0.5 – 1 hour. Users report a slow start – 1.5 – 2 hours.

Pleasurable experience is similar to methylone and ethylone: stimulation, euphoria, “mental sharpness”, ease of interpersonal interactions, “warm” safe feeling. Many mention a strong urge to re-dose, sometimes tripling the originally planned dose. After about 6 hours effects become overwhelming and un-enjoyable. Crush period persisted for 10 -12 hours with the headache, loss of sleep and appetite.

Analysis: Butylone as simple basic drug is effectively detected by GC/MS after basic liquid/liquid extraction and acetylation (5) or TFA derivatization (8), similar to amphetamines.

Butylone and metabolites in human urine were analysed by GC/MS after enzymatic hydrolysis and liquid/liquid extraction either underivatized (4), acetylated (5) or trifluoroacetylated (4, 8). LC/MS and LC/MS/MS with electrospray ionization have also been used (4, 7), although differentiation between butylone and its isomer ethylone and metabolites was quite difficult due to insufficient chromatographic separation.

In a large scale study of 34561 random urine samples in 2011 and 2012 (8) butylone positivity rate was 0.17% (58 total cases), behind methylone with 0.54% positivity.

References:

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