Emerging Designer Drug Monograph

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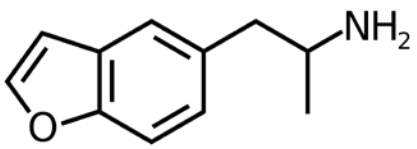
Drug Name: 5-APB

Synonyms: 1-(benzofuran-5-yl) propane-2-amine

Structure:



Formula: $C_{11}H_{13}NO$

Molecular Weight: 175.2

Pharmacological Drug Class: Phenylethylamine analogues; there are positional isomers named 4-APB, 6-APB, and 7-APB.

Metabolism: There are no identified metabolites.

Blood Concentrations: There are no reports on blood concentrations.

Effects and Toxicity: 5-APB and 6-APB can be bought as capsules (100 mg), pellets or powder (Psychotropicon, 2013). It is known as Benzofury.

Dosage of 1 - 2 mg/kg body weight (50 - 120 mg) orally or nasally (Psychotropicon, 2013: Flashback).

Effects are euphoria, emphathy, color enhancement and "speeded" (Flashback), with side effects of nausea (vomiting), chews (jaw clenching) and sleep (Psychotropicon, 2013: Flashback). Duration of effects: 3-12 hours.

No studies are currently published on the toxicity of the APB:s in humans or in animals, but because they are phenylethylamines one may assume that they exhibit psychostimulant properties similar to other phenylethylamines. Pharmacologically, phenethylamines increase the neurotransmitters dopamine, norepinephrine, and serotonin in the brain. They block both the

reuptake transporter for the monoamines (DAT for dopamine, NET for norepinephrine, and SERT serotonin) and increase the release of monoamines by destruction of presynaptic monoamine-containing vesicles.

Iversen et al. have indeed demonstrated that both 5-APB and 6-APB are potent inhibitors of monoamine transporters in *in vitro* binding studies. They showed that both 5-APB and 6-APB are potent reuptake blockers of NET and DAT, while 5-APB also blocks SERT. Their study also showed that 5-APB and 6-APB bind directly to the human 5-HT2B receptor as agonists. They also showed that 6-APB binds to alfa2c adrenalin receptors with high affinity. (Iversen et al, 2013).

Abuse and dependence is strongly linked to the dopaminergic transmission (Schmitt and Reith, 2010). Drugs that block NET often produces effects in the cardiovascular system, as increased blood pressure and heart rate (Wood et al, 2010). High doses and chronic intake is likely to cause cardiotoxicity.

Analysis: There are no methodical papers for the analysis of the APB:s in blood or urine and case findings are limited to qualitative data for either 5-APB or 6-APB in an intoxication case (Wood et al, 2012).

Stancuk et al (2013) confirmed the positional isomer identity in Internet products by synthesizing 4- 5- 6- and 7-APB and separated them by gas chromatography as heptafluorobutyramide derivatives as well as by liquid chromatography. In products containing 6-APB, also 4-APB was identified and they concluded that this may be an artefact from the manufacturing process.

References:

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2. Iversen, L., Gibbons, S., Treble, R., Setola, V., Huang, X. P., Roth, B. L. (2013) Neurochemical profiles of some novel psychoactive substances. *European Journal of Pharmacology*, 700(1-3*),147 - 151*. http://www.ncbi.nlm.nih.gov/pubmed/23261499

3. Schmitt, K. C., Reith, M. E. (2010) et al. Regulation of dopamine transporter: aspects relevant to psychostimulant drugs of abuse. *Annals of the New York Academy of Sciences*, 1187, 316 – 340. http://www.ncbi.nlm.nih.gov/pubmed/20201860

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5. Wood, D. M., Davies, S., Puchnarewicz, M., Johnston, A., Dargan, P. I. (2012) Acute toxicity associated with the recreational use of the ketamine derivative methoxetamine. *European Journal of Clinical Pharmacology*, 68(5), 853 -856.
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