**In vivo and in vitro** evaluation of the estrogenic properties of the 17β-(butylamino)-1,3,5(10)-estratrien-3-ol (buame) related to 17β-estradiol

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**Abstract:**
**Background:** Buame [17β-(butylamino)-1,3,5(10)-estratrien-3-ol] possesses anticoagulant and antiplatelet activities that are potentially antithrombotic. Since its estrogenicity is unknown, it was evaluated by established methods.

**Methods:** Buame (10, 100, 500, and 1,000 µg/kg), 17β-estradiol (E₂) (100 µg/kg), or propylene glycol (10 ml/kg) were subcutaneously (sc) administered for three days to immature Wistar female rats (21 days old). The relative uterotrophic effect to E₂ was 78 (E₂ = 100) with a relative uterotrophic potency of 1.48 (E₂ = 100). Adult ovariectomized Wistar rats received an sc injection at 8:00 h (reversed cycle) of: 7.5 µg of E₂ (= 30 µg/kg), buame (= 750, 1,500, 3,000 µg/kg), or corn oil (= 1.2 ml/kg). After 24 h, progesterone (4–5 mg/kg) was administered. Sexual receptivity was assessed 5 to 7 h later, and the lordosis quotient (LQ; number lordosis/number mounts × 100) was evaluated.

**Results:** Buame induced lordosis (LQmax 85 ± 9; ED50 952 ± 19 µg/kg) and E₂ LQmax 56 ± 8; ED50 10 ± 2 µg/kg; the relative LQ-potency was 0.51 (E₂ = 100). Buame competed with [³H]E₂ for the estrogen receptor (Buame RBA = 0.15 and Ki = 5.9 × 10⁻⁷ M; E₂ RBA = 100; Ki = 6.6 × 10⁻⁹ M). Buame increased MCF-7 cells proliferation, from 10⁻¹¹ to 10⁻⁹ M, its proliferative effect was 1.73–1.79 (E₂ = 3.0–3.9); its relative proliferative effect to E₂ was 33–40% (E₂ = 100%) and relative potency 10.4–10.7 (E₂ = 100). Tamoxifen and fulvestrant (ICI 182,780) inhibited buame’s proliferation indicating mediation through estrogen receptors in this response.

**Conclusion:** Buame is therefore an estrogen partial agonist with a weak estrogenic activity.

**Key words:**
17β-aminoestrogens, uterothropic effect, binding, lordosis, MCF7 cells