Comparative Antibacterial and Mutagenic Activities, and Tumorigenicity in Sprague-Dawley (SD) Rats of 5-Nitrofuran (NF) and Their Nor-Nitro Analogs

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ABSTRACT N-[4-(5-Nitro-2-furyl)-2-thiazolyl] formamide (FANFT, I), N-[4-(5-nitro-2-furyl)-2-thiazolyl] acetamide (NFTA, II), and 2-amino-4-(5-nitro-2-furyl)thiazol (ANFT, III), potent antibacterial, mutagenic, and carcinogenic NF, were compared for these biologic properties with their respective nor-nitro analogs (IV, V, VI). Chemicals were tested for antibacterial activity against E. Coli and Staph. aureus, and for mutagenicity (S. typhimurium TA 100). I–III were strongly antibacterial and mutagenic; IV–VI were devoid of these effects. Tumorigenicity was assessed in weanling female SD rats by feeding at equimolar doses for 46 weeks, followed by unmedicated control diet for another 20 weeks. Complete necropsies and light microscopic examinations were done. Initial growth retardation with IV–VI required dose reduction. Mean cumulative doses (mmol/rat) were: I-37; II-34; III-36; IV-17; V-39; and VI-16. Total tumor-bearing rats were: I-29/29 (bladder); II-52/56 (breast); III-15/16 (multiple sites); IV-3/29; V-6/29; VI-4/30; and unmedicated control groups combined 14/173. I–III were highly significantly different, while IV–VI were not significantly different from control total tumor-bearing rats. These data strongly support the requirement of the 5-nitro group in NF tumorigenicity.
ラット中100%の確率で膀胱がん，Ⅱは56ラット中52例で乳がん，及びⅢは16ラット中15例に各所の臓器に対して発がん性を有することが観察された。

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