# ニトロフラン誘導体及び関連化合物の抗菌性，突然変異性，発がん性に関する比較試験

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# 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.

**抄録** 5－ニトロフリルチアゾールを基本構造とする化合物群の中でチアゾール環の2位にホルムアミド基が置換した化合物（FANFT，Ⅰ），アセチルアミノ基が置換した化合物（NFTA，Ⅱ），及びアミノ基が置換した化合物（ANFT，Ⅲ）の各化学構造は抗菌作用を有するが，一方において突然変異性及び発がん性の毒性が確認された。46週間にわたる経口投与の結果，Ⅰは29

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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