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Pelosi, Jr.

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[54] **4-FLUORO-3-METHYLPHENYL 5-NITRO-2-FURYL KETONE**

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[73] Assignee: **Morton-Norwich Products, Inc.**

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[52] U.S. Cl. 260/347.8, 424/285
[51] Int. Cl. C07d 5/30
[58] Field of Search 260/347.8

[56] **References Cited**

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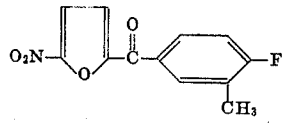
[57] **ABSTRACT**

4-Fluoro-3-methylphenyl 5-nitro-2-furyl ketone is a potent anti-fungal agent

1 Claim, No Drawings

4-FLUORO-3-METHYLPHENYL 5-NITRO-2-FURYL KETONE

This invention relates to the compound 4-fluoro-3-methylphenyl 5-nitro-2-furyl ketone of the formula:



This compound is a potent antifungal agent. It is capable in small concentration of inhibiting the growth of pathogenic fungi such as *Candida albicans* and *Microsporum canis*. Representative of its capacity in this respect are the results secured in the commonly used in vitro antifungal test employing Sabouraud dextrose agar as the medium supportive of fungal growth and measuring the extent of inhibition of such growth in millimeters over a period of 8 days:

Compound	*Conc. in mcg./ml.	Diameter of zone of inhibition in mm. at days							
		C. albicans				*M. canis*			
		2	4	6	8	4	6	8	
4-Fluoro-3-methylphenyl-5-nitro-2-furyl ketone	545	16	16	13	12	32	23	21	

*The diluent carrier for the compound in this test is 50% ethanol which exhibits no antifungal activity.

A noteworthy characteristic of the compound of this invention is its low order of irritation to the skin. When applied daily in the form of a suspension at concentrations of up to 4 percent in 2 percent aqueous solutions of methyl cellulose (Methocel (R) 1500-DOW) to the shaved back of rabbits, no skin irritation is elicited over a period of 3 days.

The compound of this invention can be compounded in various forms such as unguents, solutions, suspensions, powders, sprays and the like using readily available and commonly used excipients and carriers known to the compounding art and with which there is compatibility.

The compound of this invention is readily prepared by nitration of 4-fluoro-3-methylphenyl 2-furyl ketone. The method now preferred is briefly described:

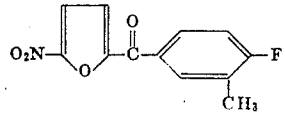
Nitric acid (70%, 9.5 ml, 0.15 mole) containing 0.2 ml of concentrated sulfuric acid was added dropwise to 33 ml (0.35 mole) of acetic anhydride with stirring and cooling to maintain the temperature at 25°–30°. 4-Fluoro-3-methylphenyl-2-furyl ketone (10.2 g, 0.05 mole) was added in portions over a period of 20 minutes at −4° to −1°. After the mixture was stirred for 5 minutes, 50 ml of water and 55 g of trisodium phosphate (Na_3PO_4-$12H_2O$) were added. The mixture was heated, with stirring, at 50°–55° for 1 hour and cooled in an ice water bath. The solid was collected by filtration, washed with water, and recrystallized twice from methanol to give 1.3 g (10%) of 4-fluoro-3-methylphenyl 5-nitro-2-furyl ketone.

Anal. Calcd. for $C_{12}H_8FNO_4$: C, 57.84; H, 3.23; N, 5.62.

Found: C, 57.89; H, 3.19; N, 5.55.

What is claimed is:

1. The compound 4-fluoro-3-methylphenyl 5-nitro-2-furyl ketone of the formula:



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