chloride mp 295° dec (MeOH–Et_2O). *Anal.* ($C_{12}H_{11}NO \cdot HCl$)C, H, N.

8-Amino-6b,9a-dihydroacenaphth[1,2-*d*]oxazole Hydrochloride.—To a stirred, cooled (10°) mixture of 11.7 g (0.063 mol) of 2-amino-1-acenaphthenol, 15.6 g (0.19 mol) of NaOAc, and 125 ml of MeOH was added, over a period of 30 min, a solution of 7.4 g (0.068 mol) of BrCN in 10 ml of MeOH. The mixture was stirred at ambient temperature overnight, coned *in vacuo*, basified with aq NaOH, and extracted with $CHCl_3$. The dried (Na_2CO_3) $CHCl_3$ solution was evaporated *in vacuo* and the basic residue treated with ethereal HCl.

***N*-(2-Hydroxy-1-acenaphthenyl)-*N'*-methylurea.**—To a stirred, cooled (5°) solution of 10 g (0.54 mol) of 2-amino-1-acenaphthenol in 150 ml of CH_2Cl_2 was added a solution of 3.7 g (0.065 mol) of CH_3NCO in 5 ml of CH_2Cl_2. The mixture was stirred at ambient temperature overnight. The precipitate was suction filtered, washed with CH_2Cl_2–Et_2O, and recrystallized from EtOH to give 12.5 g (95%), mp 199–201°. *Anal.* ($C_{14}H_{16}N_2O_2$) C, H, N.

8-Methylamino-6b,9a-dihydroacenaphth[1,2-*d*]oxazole Hydrochloride.—A mixture of 8.9 g of *N*-(2-hydroxy-1-acenaphthenyl)-*N'*,*N'*-dimethylurea and 235 g of PPA was stirred at ambient temperature for 24 hr, poured into ice water, and filtered. The acid filtrate was chilled, basified with cold aq NaOH, and extracted with $CHCl_3$. The $CHCl_3$ was evaporated *in vacuo* and the residue was treated with dilute HCl and filtered. The acidic filtrate was again basified with NaOH solution and extracted with $CHCl_3$. After removal of $CHCl_3$, the basic residue was dissolved in ethanolic HCl and treated with Et_2O to precipitate the hydrochloride.

***N*-(2-Hydroxy-1-acenaphthenyl)-*N'*,*N'*-dimethylurea.**—To a stirred mixture of 10 g (0.054 mol) of 2-amino-1-acenaphthol, 10 ml of Et_3N, and 95 ml of CH_2Cl_2 kept under N_2 was added, over a period of 30 min, a solution of 7.6 g (0.070 mol) of dimethylcarbamoyl chloride in 10 ml of CH_2Cl_2. The mixture was stirred at ambient temperature for 17 hr, coned *in vacuo*, and the resulting solid recrystallized (C_6H_6) to give 10.3 g (75%), mp 125–145° (mixture of *cis* and *trans* isomers). *Anal.* ($C_{15}H_{16}N_2O_2$) C,H,N.

8-Dimethylamino-6b,9a-dihydroacenaphth[1,2-*d*]oxazole Maleate.—A mixture of 5.0 g of *N*-(2-hydroxy-1-acenaphthenyl)-*N'*,*N'*-dimethylurea and 155 g of PPA was stirred at ambient temperature for 18 hr, added to ice water, and the mixture filtered. The chilled, acidic filtrate was basified with cold NaOH solution and extracted with $CHCl_3$. The dried (Na_2CO_3) $CHCl_3$ extract was evaporated *in vacuo* and the basic residue recrystallized (C_6H_6) (mp 185–186°). The maleate was prepared in abs EtOH.

9,9a-Dihydroacenaphth[1,2-*d*]oxazol-8(6b*H*)-one.—A mixture of 20 g of 2-amino-1-acenaphthenol, 2 g of NaOMe, and 125 ml of $(EtO)_2CO$ was heated over a 1.5-hr period and 25 ml of EtOH–$(EtO)_2CO$ was allowed to distill. The chilled reaction mixture was suction filtered and the solid was washed with dilute HCl and recrystallized from EtOH (charcoal) to give 6.7 g (30%), mp 211–212°.

2-Methylamino-1-acenaphthenol.—The 9,9a-dihydroacenaphth[1,2-*d*]oxazol-8(6b*H*)-one (6.5 g) was reduced with 2.0 g of LAH in refluxing THF to 4.8 g (78%) of 2-methylamino-1-acenaphthenol, mp 114° (hexane–Et_2O). *Anal.* ($C_{13}H_{13}NO$) C,H,N.

6b,8,9,9a-Tetrahydro-8-imino-9-methylacenaphth[1,2-*d*]oxazole Hydrochloride.—2-Methylamino-1-acenaphthenol (4.5 g) in 70 ml of MeOH containing 6 g of NaOAc was treated with BrCN (2.6 g) at 5°. The stirred mixture was refluxed 1.5 hr, left at ambient temperature overnight, evaporated *in vacuo* and the residue suspended in dil NH_4OH and suction filtered. The basic residue was treated with EtOH–HCl to give the hydrochloride.

Pharmacology. Acute Toxicity in Mice.—Adult male mice, in groups of 4, were given the test compound, ip, using at least 3 dose levels, and observed for 24 hr. LD_{50} values were calculated by the method of Litchfield and Wilcoxon.[8]

Antagonism to Reserpine-Induced Ptosis in Mice.—Adult male mice were given test compound ip (this screening dose was *ca.* 0.3 LD_{50}) 30 min prior to a reserpine (5 mg/kg ip) challenge. Observation for ptosis was made 45 min after reserpine. Results are given as the ratio of number of mice protected to number of mice tested. When 6/10 or more mice were protected at this screening dose, additional tests were made to determine the ED_{50}. In these cases, the ED_{50} values and their 95% confidence limits (calculated according to the method of Litchfield and Wilcoxon[8]) are listed instead of the protection ratios.

Potentiation of Amphetamine Toxicity in Aggregated Mice.—Adult male mice, in groups of 10, were given test compound ip (0.3 LD_{50}), saline control, or amphetamine (5 mg/kg) "positive" control. All animals were dosed with amphetamine (5 mg/kg) 30 min later and aggregated by placement in cubic wire-mesh cages 16 cm on a side. They were then kept in a walk-in incubator (30°, for both noise and temperature control) for 5 hr at which time the dead were counted. If 3 or more were dead in the saline control group or 6 or less in the amphetamine control group the entire experiment was discounted arbitrarily. Results are given as a ratio of number of mice dead to number of mice in group. When 6/10 or more mice were found dead at the screening dose, additional tests were made to determine the ED_{50}. In these cases the ED_{50} values and their 95% confidence limits are listed instead of the lethality ratios.

Hexobarbital Sleep Time Test.—Adult male mice were injected ip with the test compound 30 min prior to the ip injection of 100 mg/kg of hexobarbital. The time in minutes between injection of the hexobarbital and the region of the righting reflex was taken as the duration of sleeping time. The results are expressed as a ratio of the treated group over the control group.

(8) J. T. Litchfield and F. Wilcoxon, *J. Pharmacol. Exp. Ther.*, **96**, 99 (1949).

Chemotherapeutic Nitroheterocycles. 1.[1a] Substituted 2-(5-Nitro-2-furyl)pyrimidines

R. ALBRECHT, K. GUTSCHE, H.-J. KESSLER, AND E. SCHRÖDER[1b]

Experimental Research Pharma, Schering AG, Berlin, West Germany

Received January 14, 1970

A series of 5-substituted 2-(5-nitro-2-furyl)pyrimidines have been synthesized by reaction of 2-furamidine with α-substituted β-dimethylaminoacroleins and subsequent nitration of the furan ring. The derivatives were shown to be potent inhibitors of *Trichomonas vaginalis in vitro*. They also possess antibacterial activity; *in vivo* activity is reported.

Among antibacterial agents based on nitrofuran much attention has been paid to compounds in which the nitrofuran is directly attached to other heterocyclic systems.[2] At the outset of this work only a few papers were known in which nitrofurylpyrimidines were mentioned. Hull and Swain[3] synthesized some substituted 4-(5-nitro-2-furyl)-2-oxo-1.2.3.4-tetrahydropyrimidines. Howard[4] prepared 6-(5-nitro-2-furyl)-ura-

(1) (a) A preliminary report of part of this work was presented at the 6th International Congress of Chemotherapy, Tokyo, Aug 1969; (b) To whom inquiries should be addressed.

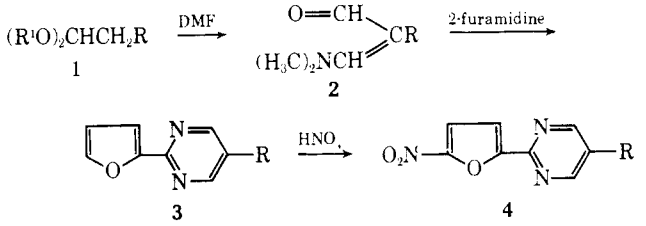
(2) K. Miura and H. K. Reckendorf, *Progr. Med. Chem.* **5**, 320 (1967).

(3) ICI, British Patent 868030, *Chem. Abstr.*, **56**, 1463 (1962).

(4) The Norwich Pharmacal Co., U.S. Patent 3121 083; *Chem. Abstr.*, **60**, 12027 (1964).

cil and Gronowitz, *et al.*,[5] the unsubstituted 4-(5-nitro-2-furyl)pyrimidine. 2-(5-Nitro-2-furyl)pyrimidines substituted in positions 4 and 6 were prepared by Sherman and von Esch;[6] the same type is mentioned in two examples in a paper of Eilingsfeld, *et al.*[7] The well-known usefulness of the pyrimidine nucleus in different drugs, and the hitherto unknown 2-(5-nitro-2-furyl)pyrimidines which are selectively substituted in position 5 of the pyrimidine ring, prompted us to start the synthesis of this class of compounds and to evaluate their antibacterial and antiparasitic activity.

Chemistry.—The synthesis of the 5-substituted 2-(5-nitro-2-furyl)pyrimidines (**4**) was accomplished by reaction of 2-furamidine with α-substituted β-dimethylaminoacroleins (**2**) in EtOH solution and subsequent nitration of the 2-(2-furyl)pyrimidines (**3**) with HNO_3–H_2SO_4 or HNO_3–H_2SO_4–Ac_2O. The acrolein derivatives **2** are accessible from the corresponding acetals **1** *via* condensation with DMF according to known methods.[8,9]



The R group in these compounds comprises several alkyl and alkoxy groups—the phenyl, 4-pyridyl, 2-hydroxyethoxy, and 2-methoxyethoxy groups (Table I). Further substitutions in the R group were carried out with intermediate **3** or the nitro compounds **4**. For example, the OH group of the 2-(2-furyl)-5-(2-hydroxyethoxy)pyrimidine (**3o**) was substituted by a Cl atom yielding the chloroethoxy compound **3n**. Acetylation of **3o** was carried out to protect the OH group during the nitration; this last step resulted in the 2-(5-nitro-2-furyl)-5-(2-acetoxyethoxy)pyrimidine **4p**. The corresponding compound with a free OH group **4o** was prepared by subsequent hydrolysis of the acetoxy moiety. Other acyl derivatives of compounds **4o** were either obtained in the same manner by acetylation of the intermediate **3o** with the appropriate acid anhydride, and subsequent nitration (**4q**, **4r**) or by starting with the 2-(5-nitro-2-furyl)-5-(2-hydroxyethoxy)pyrimidine **4o** by reaction with an acyl chloride (**4s**, **4t**). Compound **4o** was also treated with chlorocarbonic esters, sulfonylchlorides, and isocyanates to yield the corresponding carbonates, sulfonates, and carbamates (**4u–z**). All intermediates **3** and nitrofurylpyrimidines **4** are compiled in Table I. In addition to the analytical data, measurements of the ir, uv, or nmr spectra, which are in accordance with the structures shown have been carried out for all compounds.

Biological Results.—All compounds were screened *in vitro* against Gram-positive and Gram-negative bacteria, fungi, and protozoa in the tube dilution assay under standard conditions. The MIC values for a selection of microorganisms are listed in Table II.

Some compounds show a certain antibacterial activity against Gram-positive and Gram-negative organisms, as in the case of **4f**, **4o**, and **4q**. But, in general, among the Gram-negative bacteria only an activity against *Escherichia coli* is observed frequently; activity against *Proteus vulgaris* and *Klebsiella pneumoniae* is rare or of slight intensity, and none of the investigated compounds showed an activity against *Pseudomonas aeruginosa*. In three cases (**4f**, **g**, **h**) interesting activity against *Candida albicans* can be seen.

All compounds are active against *Trichomonas vaginalis*. The activity of most of the compounds is equal to or better than metronidazole which showed a MIC of 1.6 μg/ml in our test. The most active compounds are derived from the hydroxyethoxy compound **4o**. Though **4o** itself shows only slight activity (MIC 25 μg/ml), the replacement of the OH group by Cl or a derivation of the OH group affords compounds with MIC values as low as 0.10 μg/ml (**4n**,**4y**).

Some of the compounds were investigated *in vivo* and proved to be active by oral application against the subcutaneous infection of the mouse with *T. vaginalis*. But the *in vivo* activity is only poor in comparison with metronidazole: *e.g.*, for **4k** the ED_{50} is 31.9 mg/kg.

Experimental Section[10]

α-*n*-Butoxy-β-dimethylaminoacrolein.—PCl_5 (210 g, 1.0 mol) was added to 246 g (1.0 mol) of tri-*n*-butoxyethane at 20–30° (cooling with ice), and 220 ml of DMF was added drop by drop at 15–20°. The mixture was heated slowly to 60–70°, and stirred for 1 hr at this temperature. After cooling, the solution was poured on to 300 g of ice and 900 ml of satd K_2CO_3 solution, and then the mixture was stirred for 30 min at 90°. The salts were removed by filtration and the solution was extracted with CH_2Cl_2. The CH_2Cl_2 solution was dried (K_2CO_3), the solvent was removed under reduced pressure and the residue was distd *in vacuo*; bp 110–120° (0.03 mm); yield 105 g (60%).

2-(2-Furyl)-5-*n*-butoxypyrimidine (3k).—Na (5.1 g, 0.22 g-atom) was dissolved in 100 ml of MeOH, and 34.2 g (0.2 mol) of α-*n*-butoxy-β-dimethylaminoacrolein and 29.4 g (0.2 mol) of 2-furamidine·HCl was added to this solution. The mixture was refluxed for 6 hr with stirring, MeOH was distd and the residue was stirred for 60 min at 90°. Ice-water (200 ml) was added, the ppt was filtered off and washed with H_2O, and, after drying, recrystd from petroleum ether, mp 67–70°, yield 20.0 g (45%). *Anal.* ($C_{12}H_{14}N_2O_2$) C, H, N.

2-(5-Nitro-2-furyl)-5-*n*-butoxypyrimidine (4k).—2-(2-Furyl)-5-*n*-butoxypyrimidine (14.0 g, 0.06 mol) was dissolved in 70 ml of conc H_2SO_4, the solution was cooled to 0–5° and a mixture of 4.6 ml of HNO_3 (d = 1.48) and 9.0 ml of conc H_2SO_4 was added drop by drop with stirring. Stirring was continued for 30 min, the mixture was poured on ice and the isolated insoluble product was recrystd from EtOH, mp 135°; yield 12.6 g (82%).

2-(5-Nitro-2-furyl)-5-isopropoxypyrimidine (4j).—2-(2-Furyl)-5-isopropoxypyrimidine (10.8 g, 0.053 mol) and 2 drops of conc H_2SO_4 were dissolved in 35 ml of Ac_2O and 4.3 ml of HNO_3 (d = 1.48) was added dropwise with stirring at 5°. Stirring was continued for 2 hr and the mixture was poured on ice and neutralized with $KHCO_3$. The crystalline material was filtered off and recrystd from MeOH, mp 136–138°; yield 2.3 g (17%).

2-(2-Furyl)-5-(2-acetoxyethoxy)pyrimidine (3p).—2-(2-Furyl)-5-(2-hydroxyethoxy)pyrimidine (15.0 g, 0.073 mol) was dissolved

(5) S. Gronowitz, A. Hallberg, S. Liljefors, U. Forsgren, B. Sjöberg, and S. Westerbergh, *Acta Pharm. Suecica*, **5**, 163 (1968).

(6) W. R. Sherman and A. von Esch, *J. Med. Chem.*, **8**, 25 (1965).

(7) H. Eilingsfeld, M. Patsch, and H. Scheuermann, *Chem. Ber.*, **101**, 2426 (1968).

(8) Z. Arnold and F. Šorm, *Chem. Listy*, **51**, 1082 (1957); *Chem. Abstr.*, **51**, 13761 (1957).

(9) Schering AG, German Patent 1,145,622; *Chem. Abstr.*, **59**, 6421 (1963).

(10) Melting points are uncorrected and taken on a Tottoli melting point apparatus (Fa. W. Büchi, Switzerland). Where analytical results are indicated only by symbols of the elements or functions, values found for those elements or functions were within ± 0.4 % of the calculated values.

TABLE I

5-SUBSTITUTED 2-(2-FURYL)PYRIMIDINES (**3**)[a] AND 5-SUBSTITUTED 2-(5-NITRO-2-FURYL)PYRIMIDINES (**4**)

Compd	R	Crystn solvent	Mp, °C	Yield, %	Crystn solvent	Mp, °C	Yield, %	Formula[e]
a	H	PE[b]	55–66	33	PhMe	212 dec	7	$C_8H_5N_3O_3$
b	CH_3	PE	72–75	28	DMF–H_2O	220	36	$C_9H_7N_3O_3$
c	C_2H_5	*c*		75	2-Methoxyethanol–H_2O	152–153	10	$C_{10}H_9N_3O_3$
d	$CH_2CH(CH_3)_2$	PE	81–93	40	DMF–H_2O	137	26	$C_{12}H_{13}N_3O_3$
e	C_6H_5	EtOH–H_2O	139–141	47	2-Methoxyethanol	225–230	68	$C_{14}H_9N_3O_3$
f		EtOH–$CHCl_3$	228	57	2-Methoxyethanol–H_2O	250–252	29	$C_{13}H_8N_4O_3$
g	OCH_3	PE	105–106	65	EtOH	206–207	86	$C_9H_7N_3O_4$
h	OC_2H_5	C_6H_6–PE	89–91	68	2-Methoxyethanol–H_2O	178–179	71	$C_{10}H_9N_3O_4$
i	OC_3H_7-*n*	PE	60–62	58	2-Methoxyethanol–H_2O	159–160	64	$C_{11}H_{11}N_3O_4$
j	$OCH(CH_3)_2$	C_6H_6–PE	69–73	49	MeOH	136–138	17	$C_{11}H_{11}N_3O_4$
k	OC_4H_9-*n*	PE	67–70	45	EtOH	135	82	$C_{12}H_{13}N_3O_4$
l	$OCH_2CH_2CH(CH_3)_2$	PE	85–87	60	DMF–H_2O	149–150	60	$C_{13}H_{15}N_3O_4$
m	$OCH_2CH_2OCH_3$	C_6H_6–PE	80–82	60	2-Methoxyethanol–H_2O	153–155	65	$C_{11}H_{11}N_3O_5$
n	OCH_2CH_2Cl	CCl_4	92–94	54	2-Methoxyethanol–EtOH	153–154	54	$C_{10}H_8ClN_3O_4$
o	OCH_2CH_2OH	C_6H_6–PE	102	58	2-Methoxyethanol–EtOH	157	60	$C_{10}H_9N_3O_5$
p	$OCH_2CH_2OCOCH_3$	EtOH	110	74	2-Methoxyethanol–EtOH	157	63	$C_{12}H_{11}N_3O_6$
q	$OCH_2CH_2OCOCHCl_2$	EtOH	107–108	84	EtOH	144–145	67	$C_{12}H_9Cl_2N_3O_6$
r	$OCH_2CH_2OCOCH_2CH_2COOH$	*d*	142–145	71	EtOH–H_2O	160–162	58	$C_{14}H_{13}N_3O_8$
s	$OCH_2CH_2OCOC_2H_5$				EtOH	134	58	$C_{13}H_{13}N_3O_6$
t	$OCH_2CH_2OCOC_3H_7$-*n*				EtOH	132–133	50	$C_{14}H_{15}N_3O_6$
u	$OCH_2CH_2OCOOCH_3$				2-Methoxyethanol–EtOH	161–162	72	$C_{12}H_{11}N_3O_7$
v	$OCH_2CH_2OCOOC_2H_5$				2-Methoxyethanol–EtOH	133	56	$C_{13}H_{13}N_3O_7$
w	$OCH_2CH_2OSO_2CH_3$				EtOH	148–149	48	$C_{11}H_{11}N_3O_7S$
x	$OCH_2CH_2OSO_2C_6H_4CH_3$-*p*				2-Methoxyethanol–EtOH	149–150	50	$C_{17}H_{15}N_3O_7S$
y	$OCH_2CH_2OCONHCH_3$				2-Methoxyethanol–EtOH	186–188	56	$C_{12}H_{12}N_4O_6$
z	$OCH_2CH_2OCONHC_2H_5$				EtOH	151–153	68	$C_{13}H_{14}N_4O_6$

[a] Apart from the selected compounds **3k**, **3n**, and **3p**, all compounds **3** were nitrated without analytical characterization. [b] Petroleum ether. [c] Crude liquid material which was used without purification. [d] By pptn from ammonia solution with HCl. [e] All compounds were analyzed for N.

TABLE II

In Vitro ANTIMICROBIAL DATA (TUBE DILUTION TEST; MIC VALUES IN μg/ml)

Compd	*S. aureus*[a]	*E. coli*	*P. vulgaris*	*K. pneumoniae*	*C. albicans*	*T. vaginalis*
Metronidazole						1.6
4 a	25	0.78	6.2	1.6		6.2
b		0.78		6.2		3.1
c	25	3.1	25		12	3.1
d						6.2
e						1.6
f	3.1	1.6	1.6	12	1.6	0.78
g		1.6		3.1	3.1	0.39
h		1.6	6.2	12	6.2	3.1
i		3.1				1.6
j		6.2				0.78
k	3.1	1.6				1.6
l		1.6				1.6
m		1.6			25	3.1
n	12	1.6			12	0.10
o	0.78	0.19	3.1	1.6	50	25
p	12	3.1				0.19
q	3.1	1.6	3.1	1.6		0.39
r	25	25	50			3.1
s		6.2				3.1
t	6.2					0.39
u	6.2	3.1				0.19
v		6.2				0.39
w	0.78	0.78		12		0.19
x	12					0.19
y	1.6	12	12	12		0.10
z	6.2	6.2		25		0.39

[a] *Staphylococcus aureus*.

in 60 ml of Ac_2O, 5 drops of concd H_2SO_4 were added and the mixture was heated in a water bath for 1.5 hr. The isolation of the compound was carried out as usual; mp 110° (from EtOH); yield 13.5 g (74 %). *Anal.* ($C_{12}H_{12}N_2O_4$) C,H,N.

2-(5-Nitro-2-furyl)-5-(2-acetoxyethoxy)pyrimidine (4p).—2-(2-Furyl)-5-(2-acetoxyethoxy)pyrimidine (13.4 g, 0.054 mol) was dissolved in 130 ml of Ac_2O. After cooling to 5° a mixture of 6 ml of concd H_2SO_4 and 3 ml of HNO_3 (d = 1.48) was added dropwise and the solution was stirred for 1 hr. The solution was neutralized with dil NaOH, the insoluble material was isolated and recrystd from 2-methoxyethanol–EtOH, mp 157°; yield 10.0 g (63 %).

2-(5-Nitro-2-furyl)-5-(2-hydroxyethoxy)pyrimidine (4o).—2-(5-Nitro-2-furyl)-5-(2-acetoxyethoxy)pyrimidine (7.4 g, 0.025 mol) was refluxed with 100 ml of dil HCl (20 %) for 1 hr; the HCl was evapd *in vacuo*, the residue was mixed with H_2O and the insoluble material was isolated and recrystd from 2-methoxyethanol–EtOH, mp 157°; yield 3.8 g (60 %).

2-(5-Nitro-2-furyl)-5-(2-methylaminocarbonyloxyethoxy)pyrimidine (4y).—Methylisocyanate (236 mg, 4 mmol) was dissolved in 20 ml of dry dioxane and 1 drop of Et_3N was added. 2-(5-Nitro-2-furyl)-5-(2-hydroxyethoxy)pyrimidine (502 mg, 2 mmol) was added and the mixture was stirred for 24 hr at 50°. After evaporation, the residue was recrystd from 2-methoxyethanol–EtOH, mp 186–188°; yield 344 mg (56 %).

2-(2-Furyl)-5-(2-chloroethoxy)pyrimidine (3n).—A solution of 2-(2-furyl)-5-(2-hydroxyethoxy)pyrimidine(82.0 g, 0.4 mol) and 84 ml of $SOCl_2$ in 800 ml of $CHCl_3$ was refluxed for 3 hr. The solvent and excess $SOCl_2$ were evapd and the residue was extracted with hot CCl_4. The CCl_4 solution was treated with charcoal, concd, and cooled to give a crystalline product, mp 92–94°; yield 44.0 g (54 %). *Anal.* ($C_{10}H_9ClN_2O_2$) C,H,N.

2-(5-Nitro-2-furyl)-5-(2-propionyloxyethoxy)pyrimidine (4s).—2-(5-Nitro-2-furyl)-5-(2-hydroxyethoxy)pyrimidine (502 mg, 2 mmol) was dissolved in 100 ml of dry dioxane, and 0.33 ml of C_5H_5N and 368 mg (4 mmol) of EtCOCl were added. The mixture was stirred for 3 hr at room temp, diluted with H_2O, and neutralized with HCl. The insoluble material was filtered off and recrystd from EtOH, mp 134°; yield 360 mg (58%).