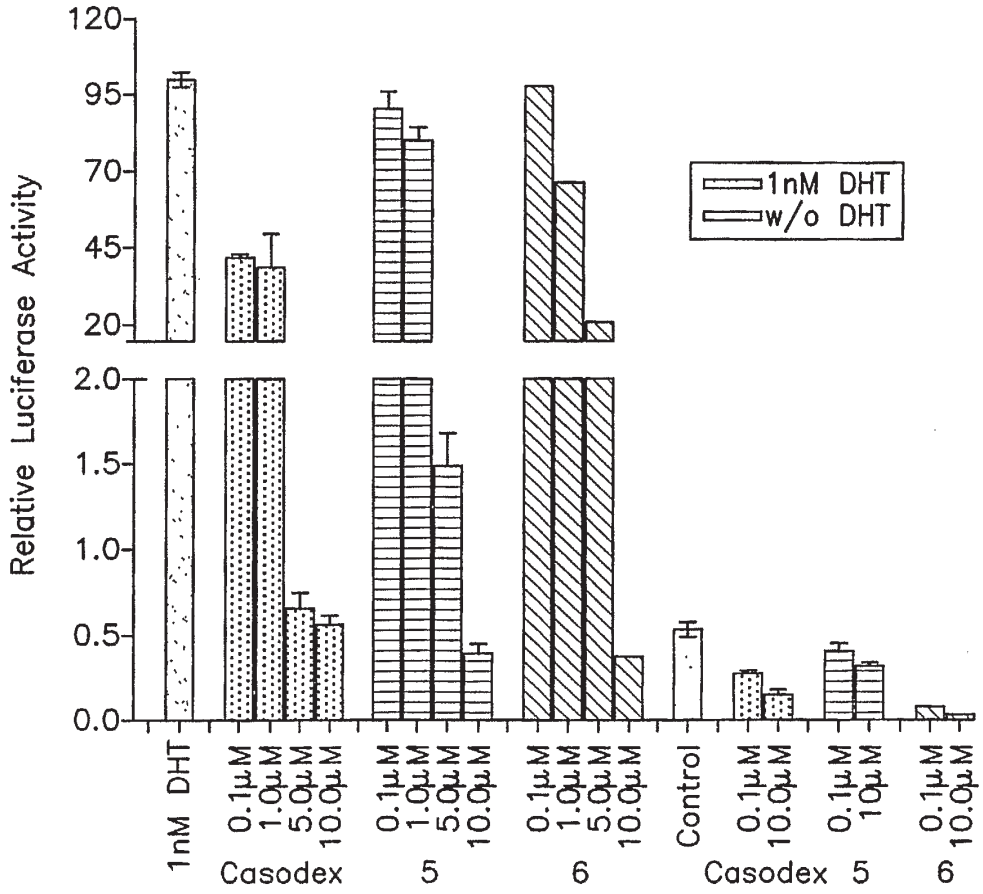

Relative Luciferase Activity
120
95
70
45
20
2.0
1.5
1.0
0.5
0.0
1nM DHT
w/o DHT
1nM DHT
0.1μM
1.0μM
5.0μM
10.0μM
Casodex
5
6
Control
Casodex
5
6

FIG. 1

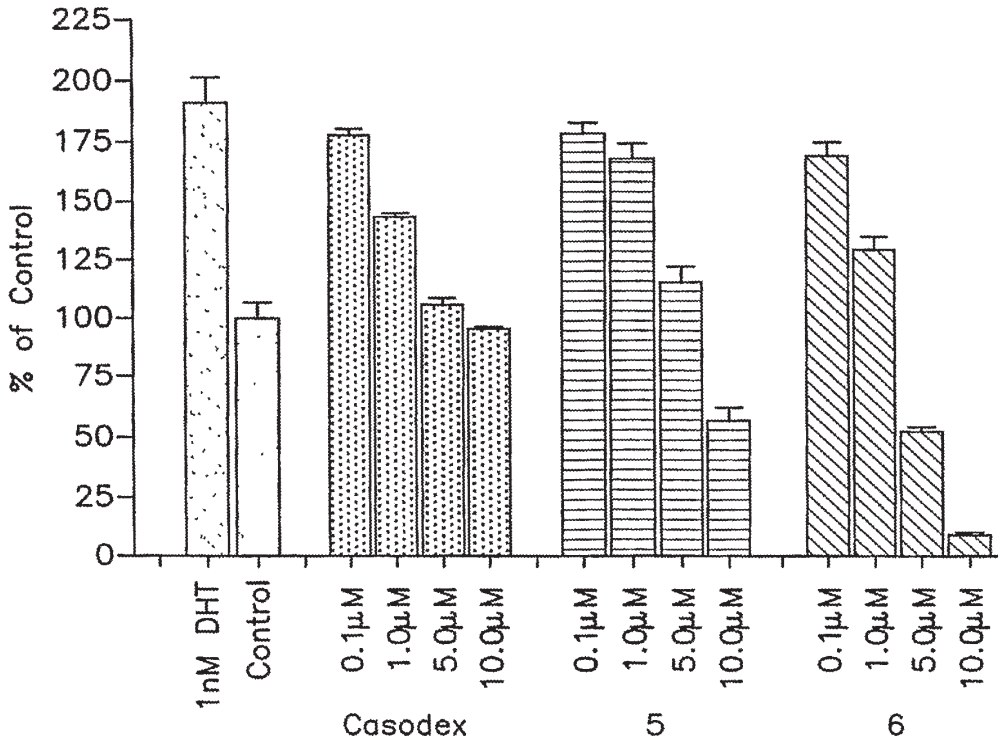

225
200
175
150
125
100
75
50
25
0
% of Control
1nM DHT
Control
0.1μM
1.0μM
5.0μM
10.0μM
0.1μM
1.0μM
5.0μM
10.0μM
0.1μM
1.0μM
5.0μM
10.0μM
Casodex
5
6

FIG. 2a

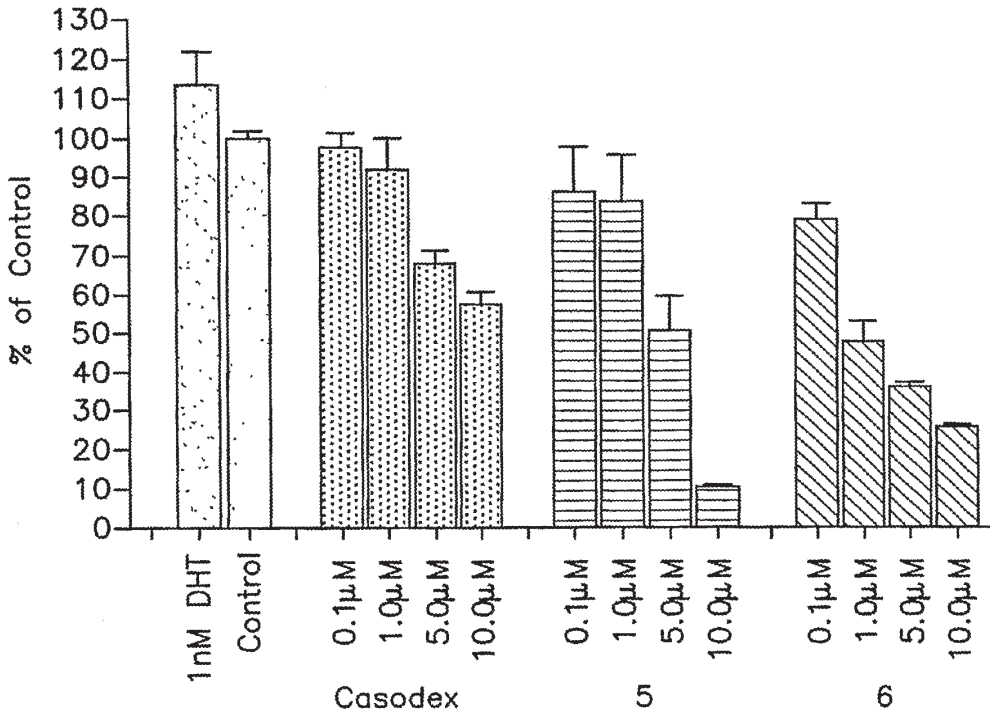

% of Control
130
120
110
100
90
80
70
60
50
40
30
20
10
0
1nM DHT
Control
0.1μM
1.0μM
5.0μM
10.0μM
0.1μM
1.0μM
5.0μM
10.0μM
0.1μM
1.0μM
5.0μM
10.0μM
Casodex
5
6

FIG. 2b

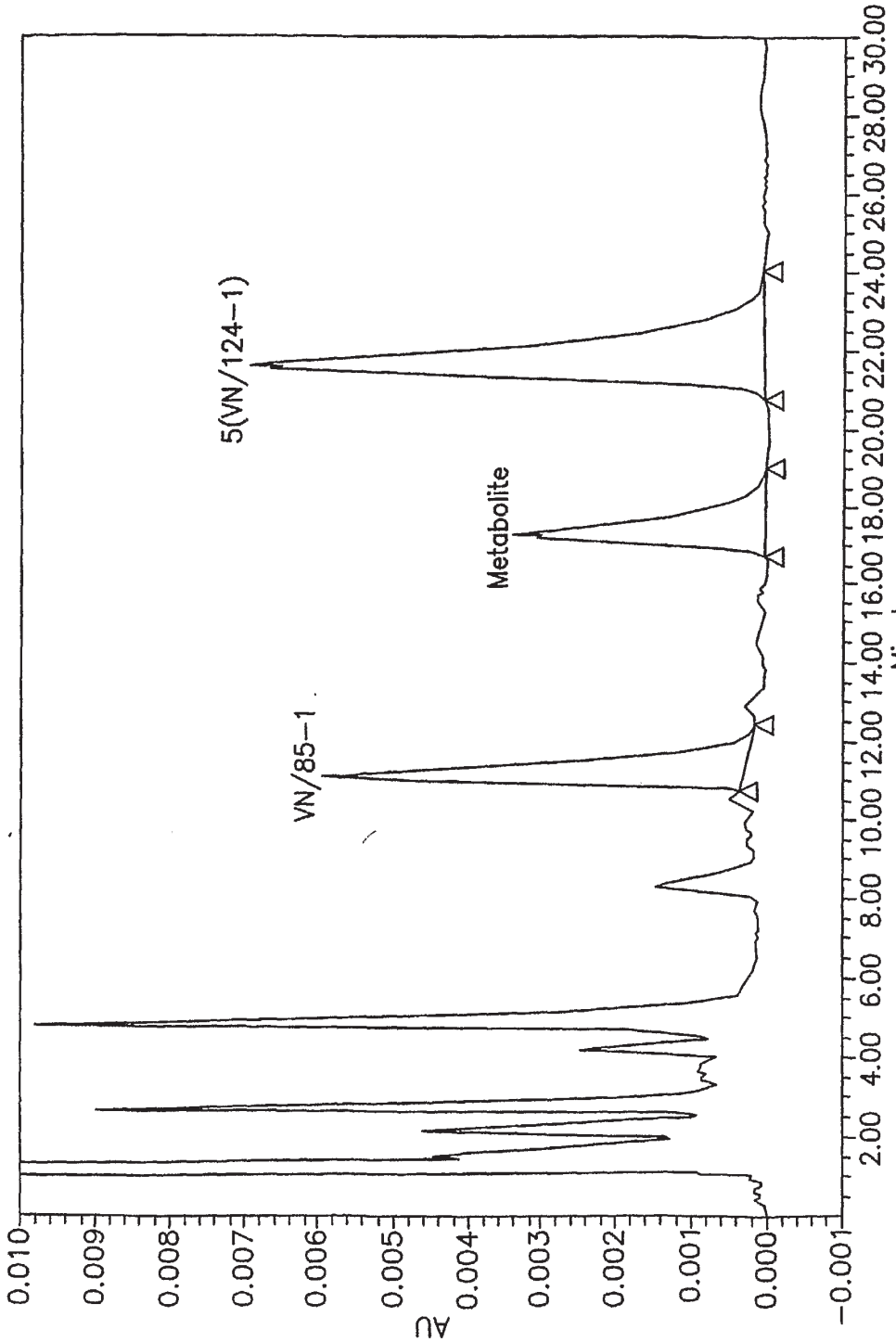

5(VN/124-1)
Metabolite
VN/85-1
AU
0.010
0.009
0.008
0.007
0.006
0.005
0.004
0.003
0.002
0.001
0.000
-0.001
2.00 4.00 6.00 8.00 10.00 12.00 14.00 16.00 18.00 20.00 22.00 24.00 26.00 28.00 30.00
Minutes

FIG. 3

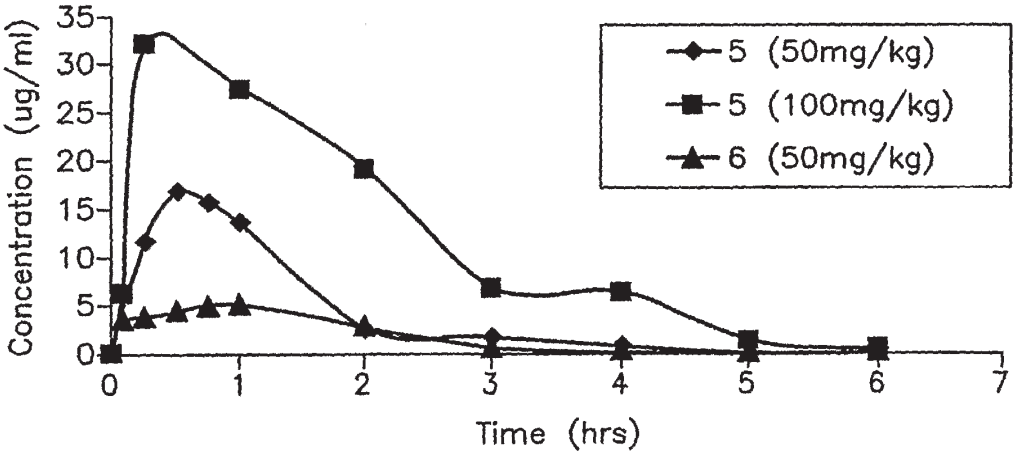

Concentration (ug/ml)
35
30
25
20
15
10
5
0
0
1
2
3
4
5
6
7
Time (hrs)
5 (50mg/kg)
5 (100mg/kg)
6 (50mg/kg)

FIG. 4

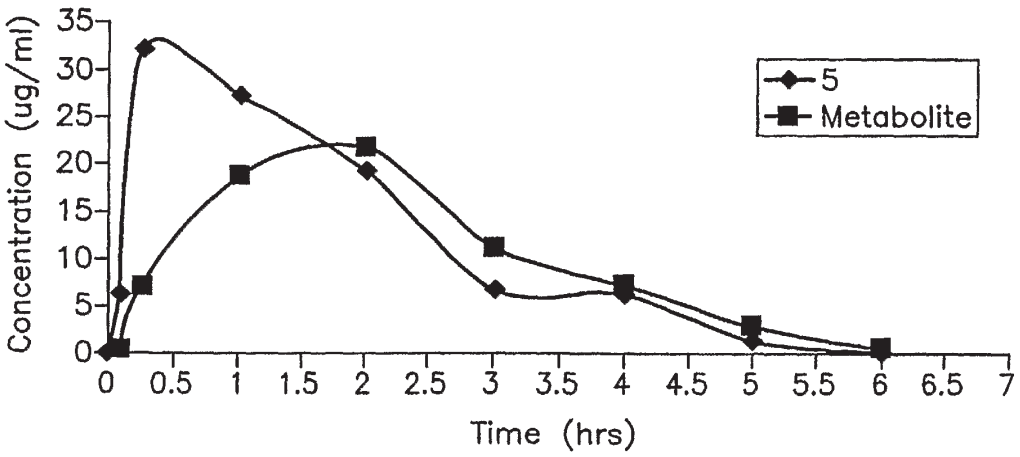

Concentration (ug/ml)
35
30
25
20
15
10
5
0
0 0.5 1 1.5 2 2.5 3 3.5 4 4.5 5 5.5 6 6.5 7
Time (hrs)
5
Metabolite

FIG. 5

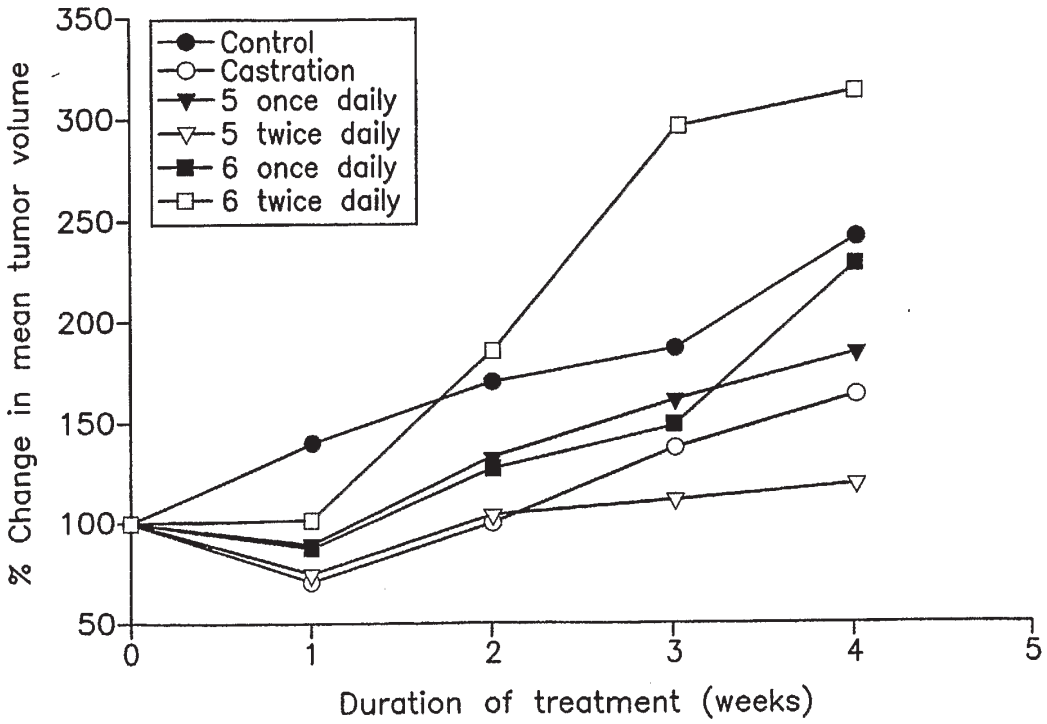

Control
Castration
5 once daily
5 twice daily
6 once daily
6 twice daily
% Change in mean tumor volume
350
300
250
200
150
100
50
0
1
2
3
4
5
Duration of treatment (weeks)

FIG. 6

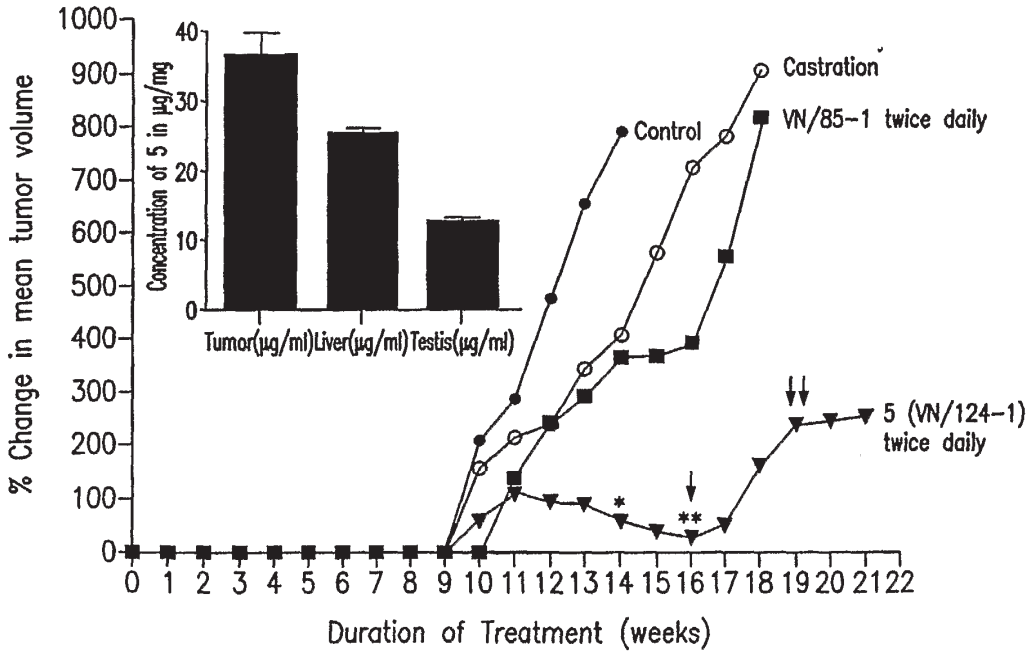

% Change in mean tumor volume
1000
900
800
700
600
500
400
300
200
100
0
0 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22
Duration of Treatment (weeks)
Concentration of 5 in µg/mg
40
30
20
10
0
Tumor(µg/ml) Liver(µg/ml) Testis(µg/ml)
Control
Castration
VN/85-1 twice daily
5 (VN/124-1) twice daily
*
**

FIG. 7