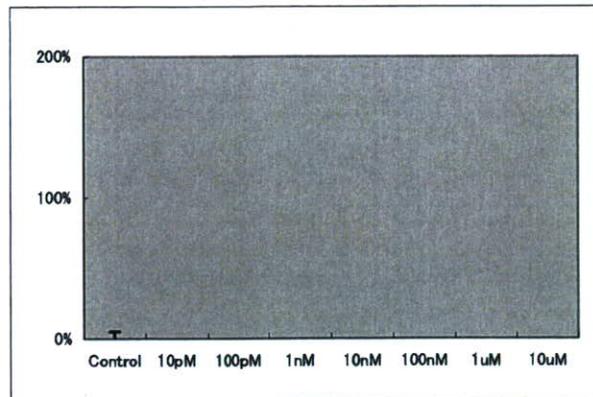


## ER $\beta$ /HeLa

ER890

Oxycarboxin

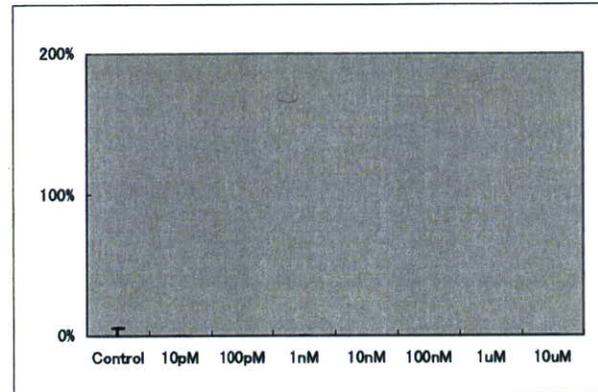
PC50 (pM): -



ER891

Acetamide, N-fluoren-2-yl-

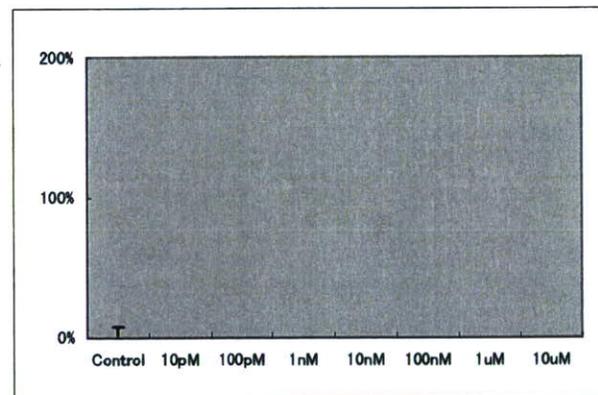
PC50 (pM): -



ER892

Isobutyric acid, 3,7-dimethyl-2,6-octadienyl ester, (Z)-

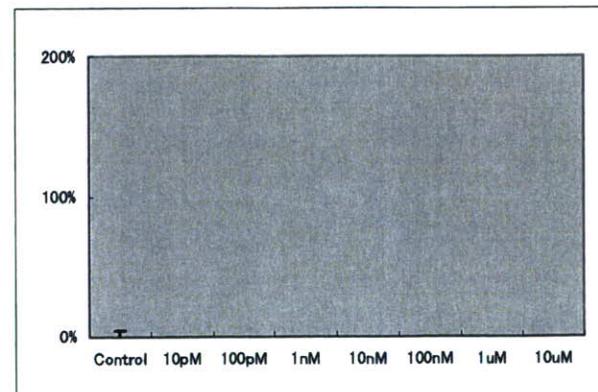
PC50 (pM): -



ER893

Cinnamaldehyde, .alpha.-pentyl-, dimethyl acetal

PC50 (pM): -

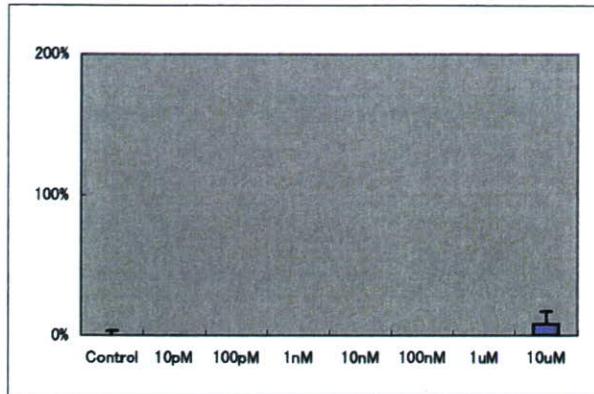


## ER $\beta$ /HeLa

ER894

2,4,6-TRIS[(DIMETHYLAMINO)METHYL]PHENOL

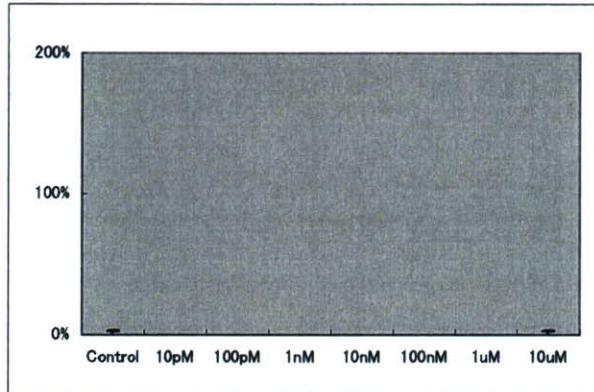
PC50 (pM): -



ER895

Benzoic acid, p-(dimethylamino)-

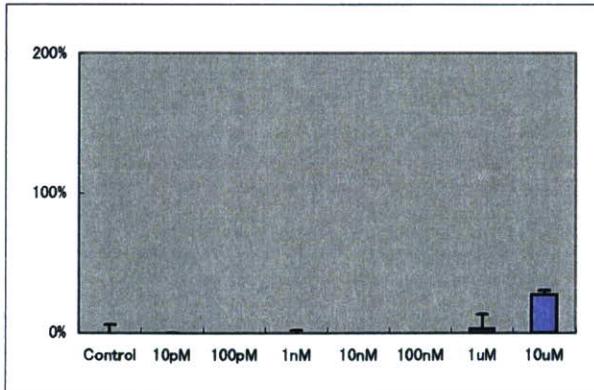
PC50 (pM): -



ER896

RCL S12,616-0

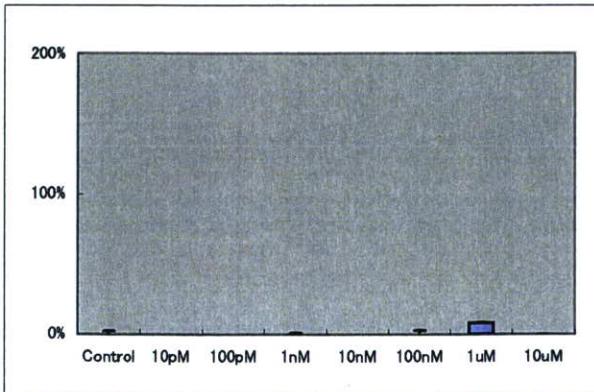
PC50 (pM): -



ER897

Genistein 4',7-dimethyl ether

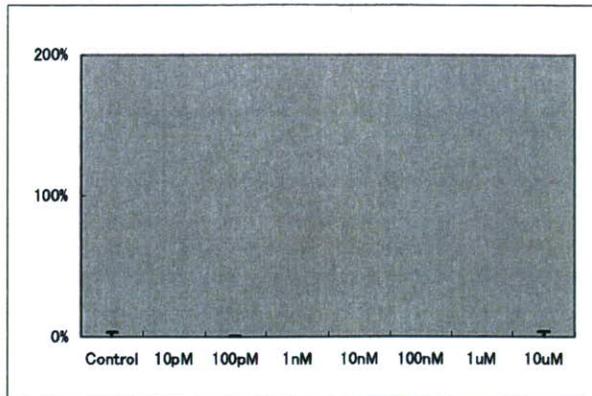
PC50 (pM): -



ER $\beta$  /HeLa

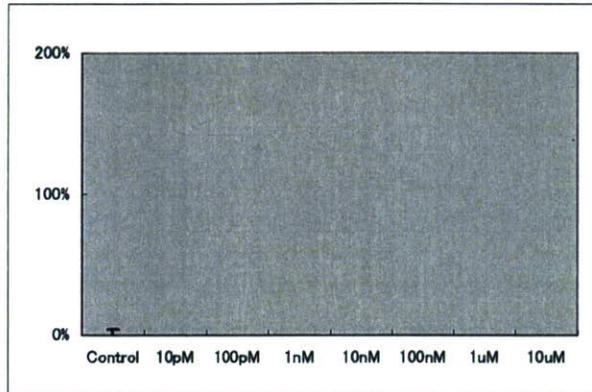
ER898  
3,3'-Dichlorobenzidine

PC50 (pM): -



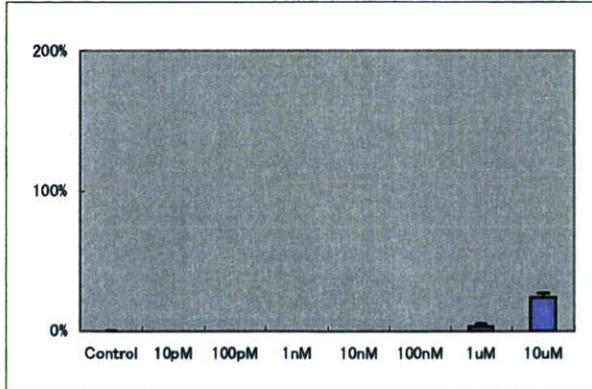
ER899  
1-Nitropyrene

PC50 (pM): -



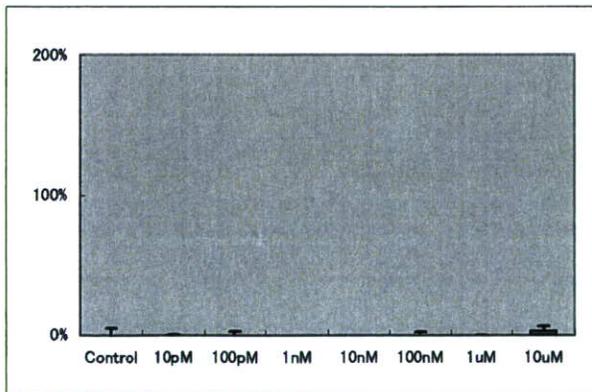
ER900  
Mitomycin

PC50 (pM): -



ER901  
Cyclohexanol, 4-tert-butyl-, acetate

PC50 (pM): -

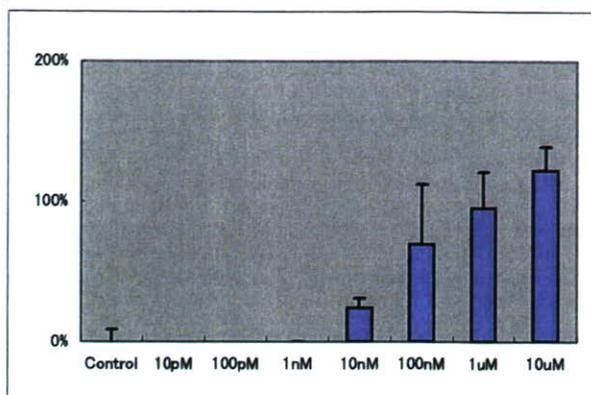


## ER $\beta$ /HeLa

xxx

xxx

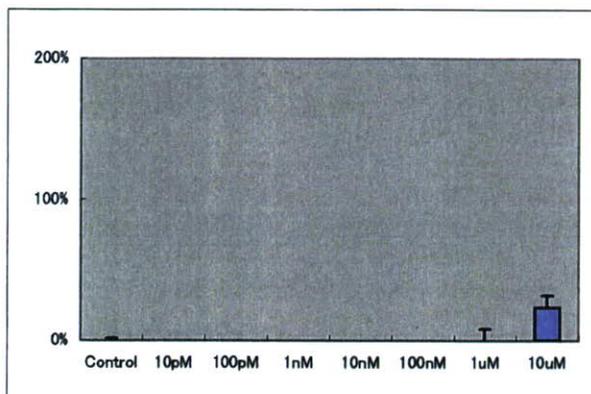
PC50 (pM): 3.73E+04



ER902

p-anilino-phenol

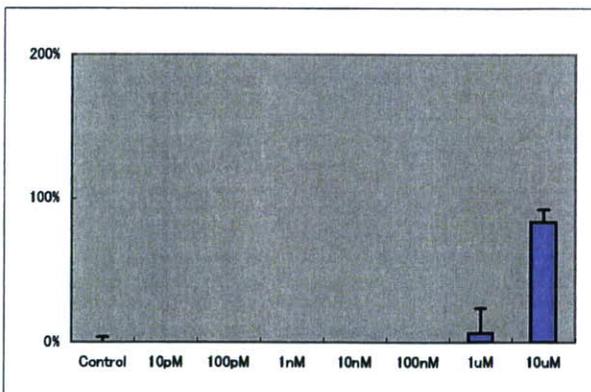
PC50 (pM): -



ER903

Formononetin

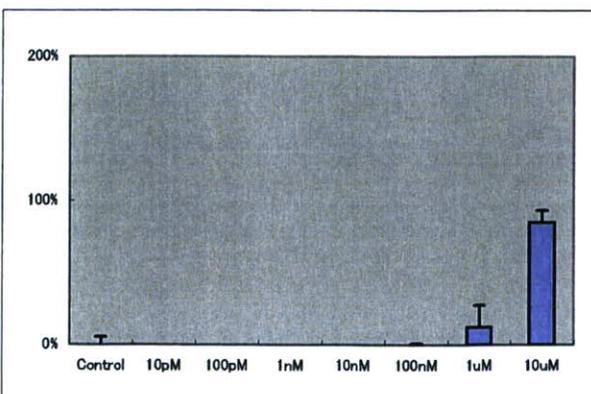
PC50 (pM): 3.69E+06



ER904

2,2-Bis-(4-cyanatophenyl)propane

PC50 (pM): 3.32E+06

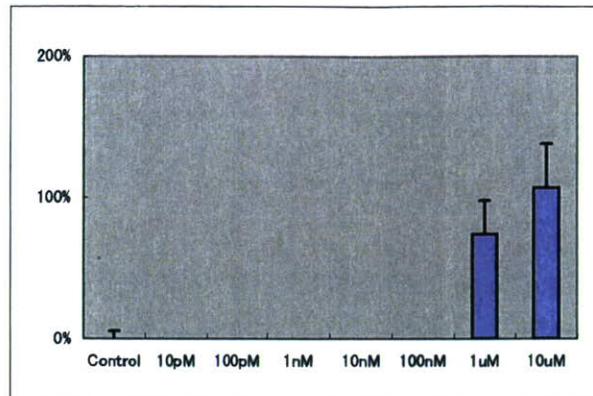


## ER $\beta$ /HeLa

ER905

4'-hydroxyflavanone

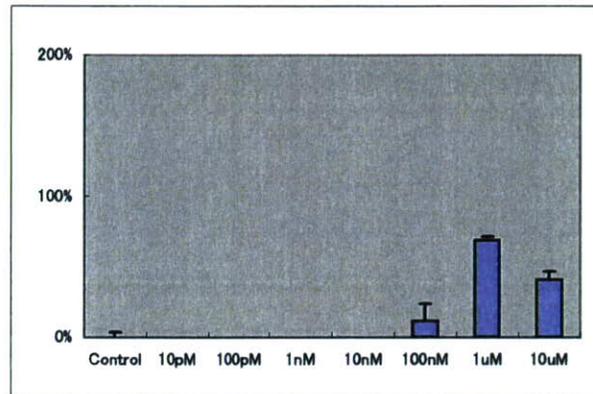
PC50 (pM): 4.89E+05



ER906

Phloretin

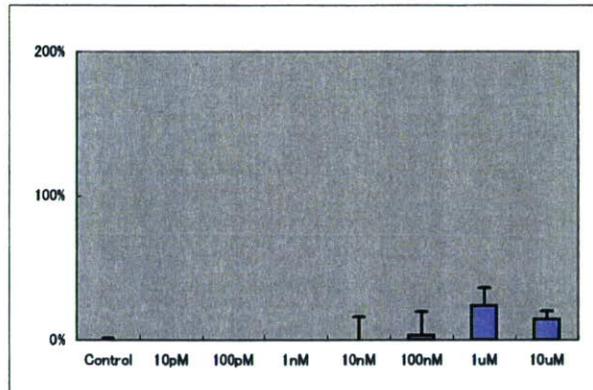
PC50 (pM): 4.75E+05



ER907

Chrysin

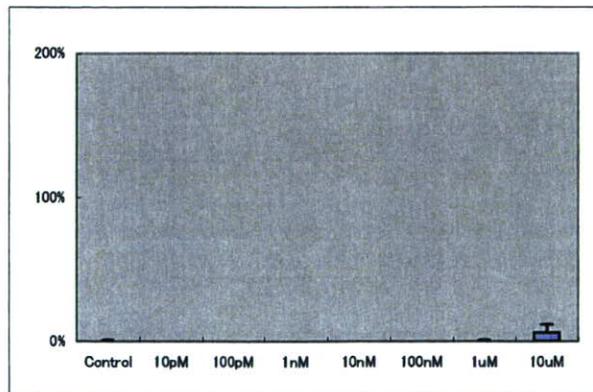
PC50 (pM): -



ER908

triphenylsilanol

PC50 (pM): -

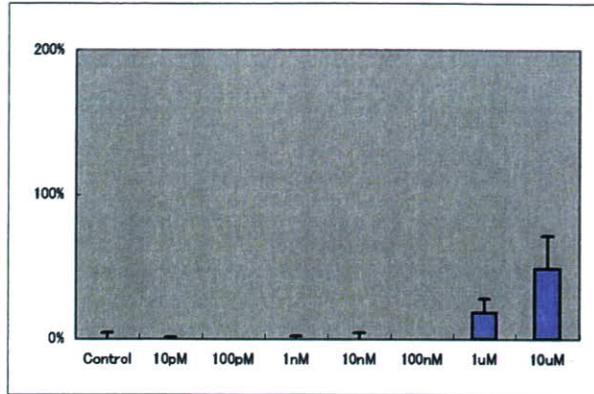


## ER $\beta$ /HeLa

ER909

triphenylethylene

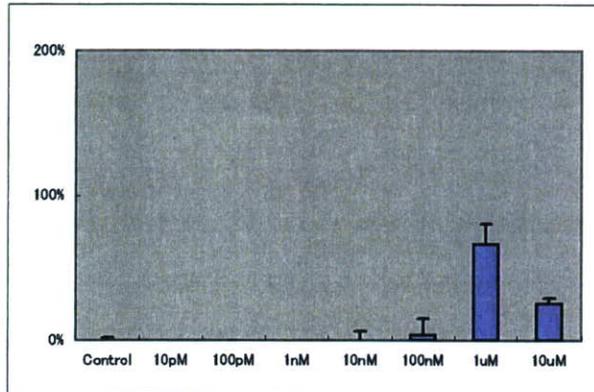
PC50 (pM): -



ER910

4-Hydroxy-trans-stilbene

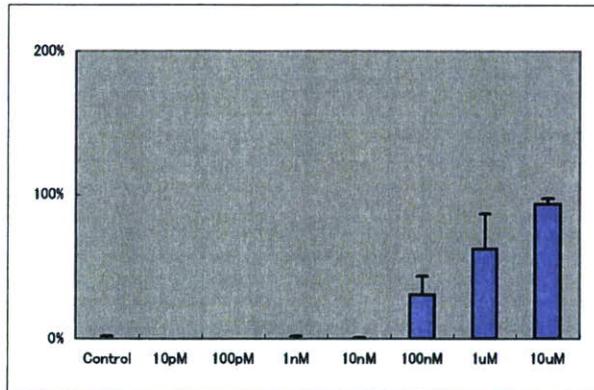
PC50 (pM): 5.50E+05



ER911

2,4,4'-Trihydroxybenzophenone

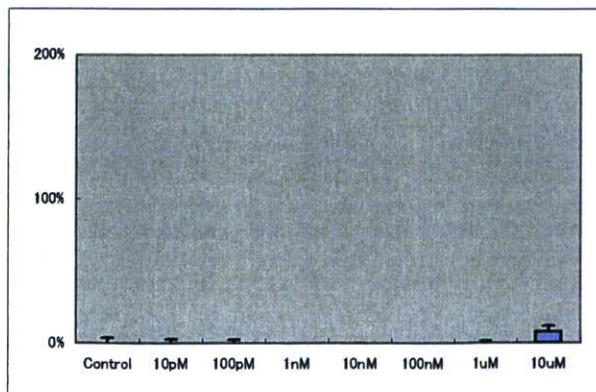
PC50 (pM): 4.17E+05



ER912

pyriproxyfen

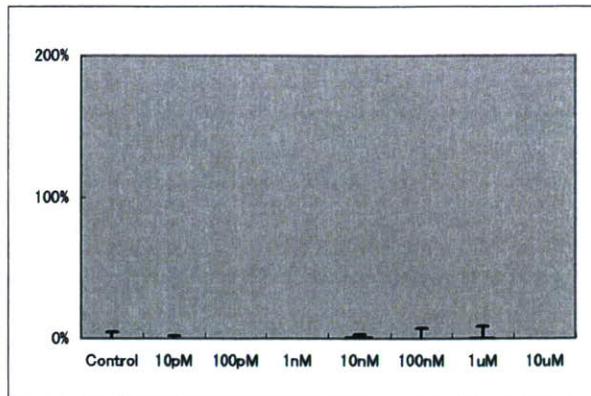
PC50 (pM): -



ER $\beta$  /HeLa

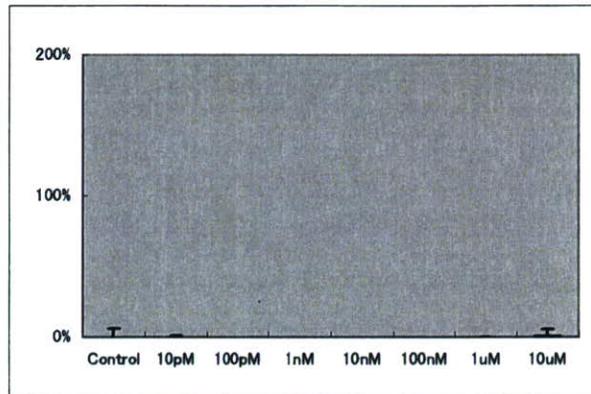
ER913  
Pyridaben

PC50 (pM): -



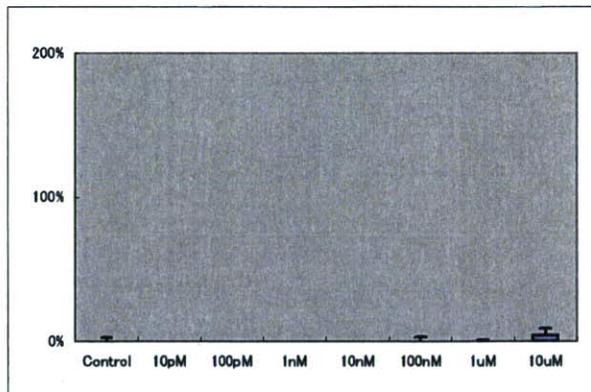
ER914  
Fenoxycarb

PC50 (pM): -



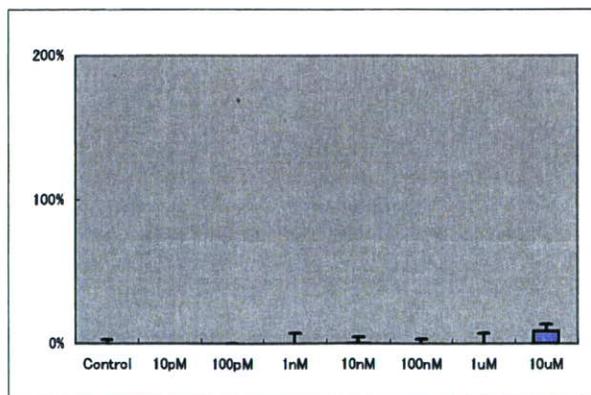
xxx  
xxx

PC50 (pM): -



xxx  
xxx

PC50 (pM): -



厚生労働科学研究費補助金（化学物質リスク研究事業）  
生体の作用点、特に核内受容体及び関連転写因子群に着目した化学物質の  
毒性発現機構の解明や毒性予測手法の開発を行う研究

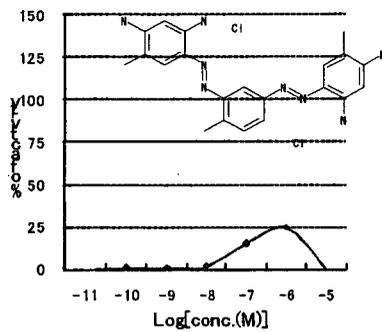
総括研究報告書 図表

添付2

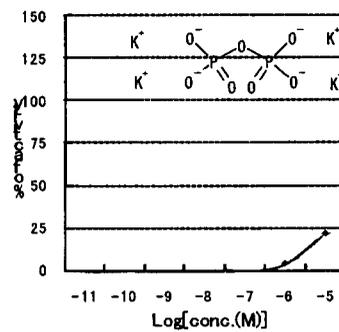
- (1) -2. アンドロゲン、甲状腺受容体レポーター遺伝子細胞系を用いた高速自動分析系  
に関する研究 図表

表1 ARアゴニストアッセイの結果

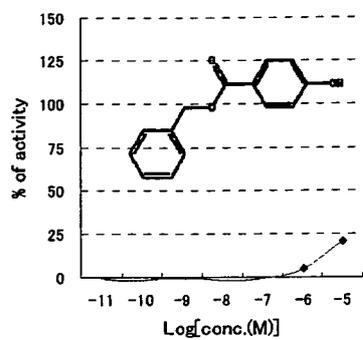
Assay ID	name	CAS	PC50	PC10
AT101	1,3-Benzenediamine, 4,4'-(4-methyl-1,3-phenylene)	4491-66-9	-	$3.96 \times 10^8$
AT217	Formononetin	485-72-3	-	$8.22 \times 10^8$
AT216	4',6,7-Trimethoxyisoflavone	798-61-8	-	$1.81 \times 10^7$
AT218	Benz(a)anthracene	56-55-3	-	$2.02 \times 10^7$
AT172	Anisindione	117-37-3	-	$2.60 \times 10^7$
AT222	7-Hydroxyflavone	6685-86-7	-	$5.05 \times 10^7$
AT171	Benzylparaben	94-18-8	-	$1.00 \times 10^6$
AT205	Cinnamic acid, phenethyl ester	103-53-7	-	$1.04 \times 10^6$
AT176	Anthraflavic acid	84-60-6	-	$1.32 \times 10^6$
AT232	6,7-dihydroxyflavone	38183-04-9	-	$1.52 \times 10^6$
AR192	Benzophenone, 4-phenyl-	2128-93-0	-	$1.62 \times 10^6$
AT105	Pyrophosphoric acid, tetrapotassium salt	7320-34-5	-	$1.95 \times 10^6$
AR249	4-Hexanoylresorcinol	3144-54-5	-	$2.35 \times 10^6$
AT209	Mapanipyrim	110235-47-7	-	$2.57 \times 10^6$
AT203	benzo(b)fluoranthene	205-99-2	-	$2.70 \times 10^6$
AT221	2-hydroxyfluorene	2443-58-5	-	$2.87 \times 10^6$
AR248	3',4',7-trihydroxyisoflavone	485-63-2	-	$5.59 \times 10^6$
AT177	Benzoic acid, p-hydroxy-, isopentyl ester	6521-30-8	-	$5.62 \times 10^6$



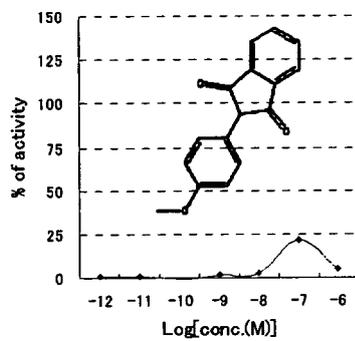
AT101



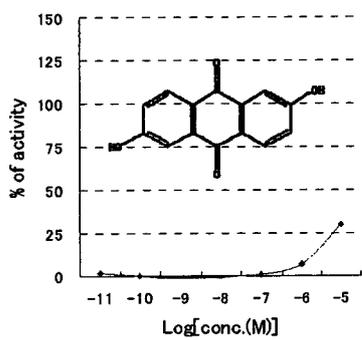
AT105



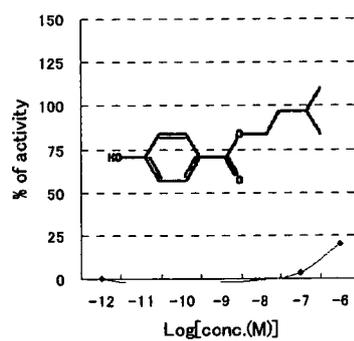
AT171



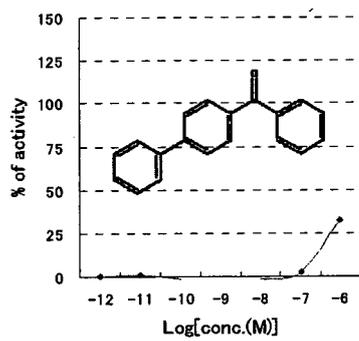
AT172



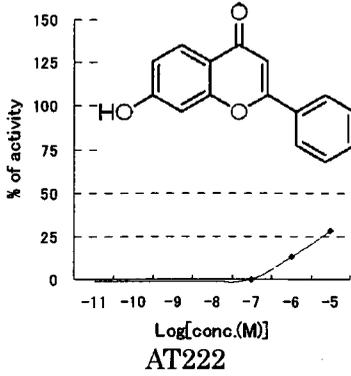
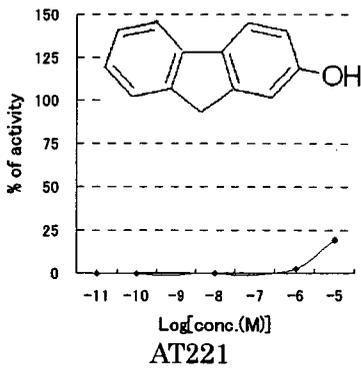
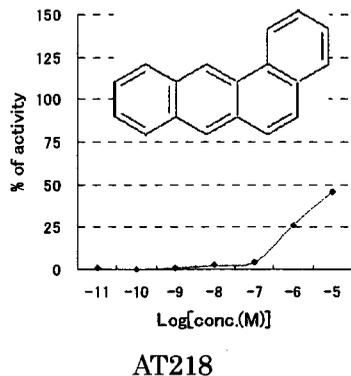
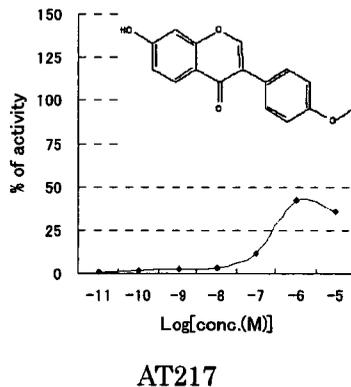
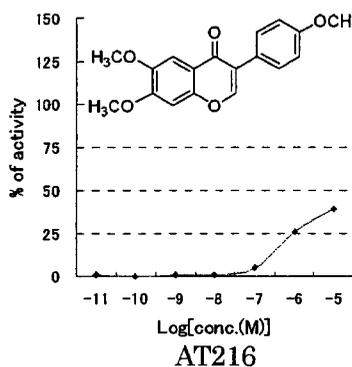
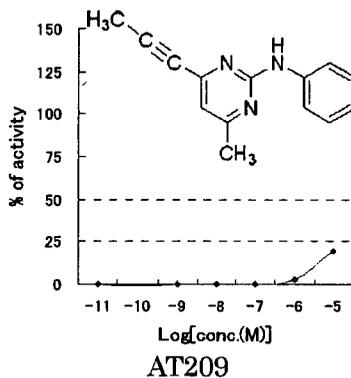
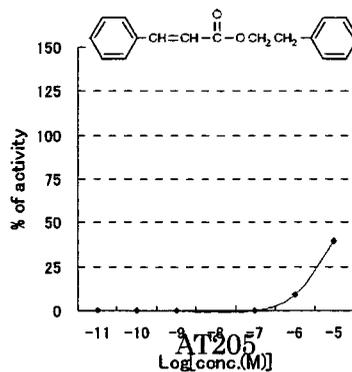
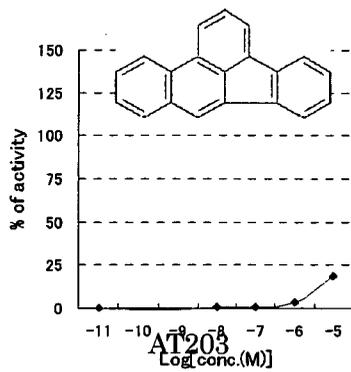
AT176

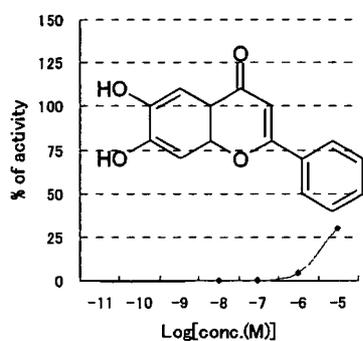


AT177

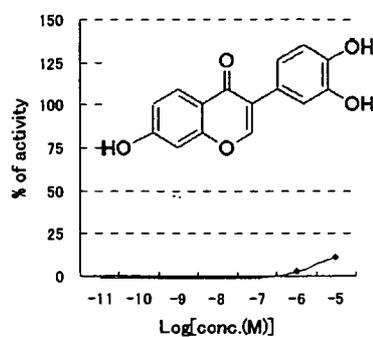


AR192

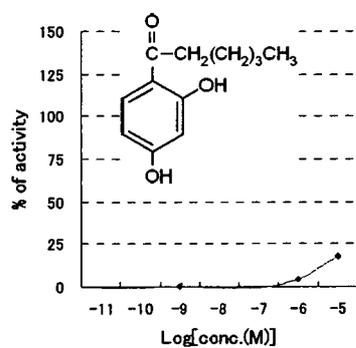




AT232



AT248

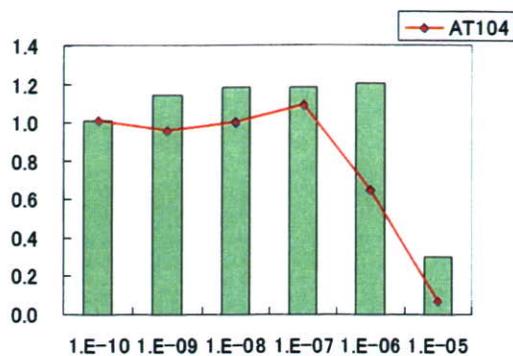


AR249

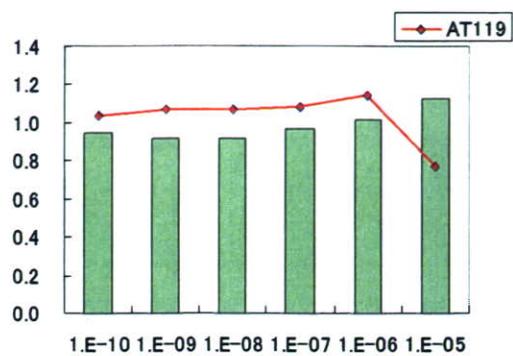
図1 AR アゴニスト活性があった18物質の結果と化学構造  
(縦軸は  $1 \times 10^{-8}$  M における DHT の活性を 100、横軸は濃度を示している。)

表2 AR アンタゴニストアッセイの結果

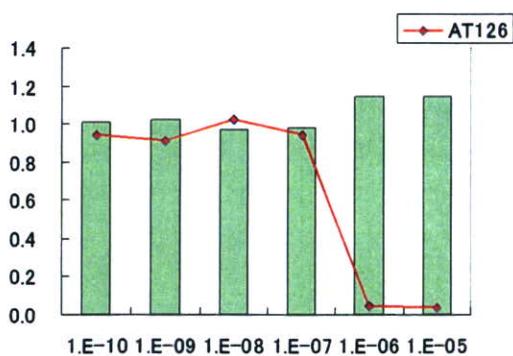
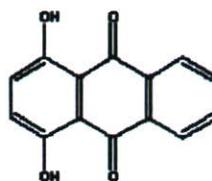
Assay ID	name	CAS	IC50	IC20
AT126	Benzidine, 2,2',3,3',5,5',6,6'-octafluoro-	1038-66-0	$3.60 \times 10^{-7}$	
AT158	Methacrylic acid, isopropylidenedi-p-phenylene ester	3253-39-2	$1.32 \times 10^{-6}$	
AT156	4,4'-PROPYLIDENEDIPHENOL	1576-13-2	$1.93 \times 10^{-6}$	
AT104	Pyrethrins	8003-34-7	$2.00 \times 10^{-6}$	
AT136	PROCHLORAZ	67747-09-5	$2.09 \times 10^{-6}$	
AT167	S-Bioallethrin	28434-00-6	$2.31 \times 10^{-6}$	
AT224	6-Bromo-2-naphthol	15231-91-1	$2.42 \times 10^{-6}$	
AR146	Phenol, 4,4'-isopropylidenedi-	80-05-7	$2.68 \times 10^{-6}$	
AR147	4,4'-Stilbenediol, alpha, alpha'-diethyl-	56-53-1	$2.94 \times 10^{-6}$	
AT221	2-hydroxyfluorene	2443-58-5	$3.47 \times 10^{-6}$	
AR148	4,4'-Cyclohexylidenebisphenol	843-55-0	$4.45 \times 10^{-6}$	
AT201	1,3-Diethyl-1,3-diphenylurea	85-98-3	$4.52 \times 10^{-6}$	
AT128	Benzidine, 3,3'-dimethyl-	119-93-7	$4.89 \times 10^{-6}$	
AT127	Phenol, 4,4'-(diethylideneethylene)di-, diacetate	84-19-5	$6.64 \times 10^{-6}$	
AT164	Gemfibrozil	25812-30-0	$8.35 \times 10^{-6}$	
AT162	Indeno 1,2,3-cd pyrene	193-39-5		$1.82 \times 10^{-6}$
AT223	2-Hydroxy-9-fluorenone	6949-73-1		$2.93 \times 10^{-6}$
AR141	Capsaicin	404-86-4		$4.80 \times 10^{-6}$
AT218	Benz(a)anthracene	56-55-3		$5.53 \times 10^{-6}$
AT119	Anthraquinone, 1,4-dihydroxy-	81-64-1		$6.96 \times 10^{-6}$



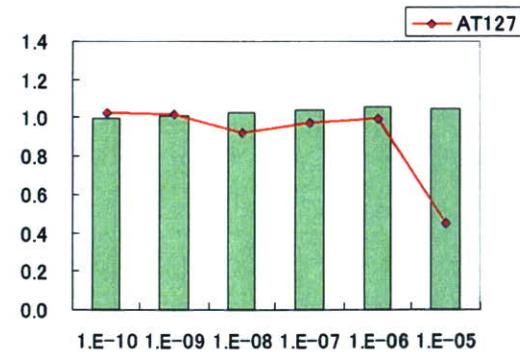
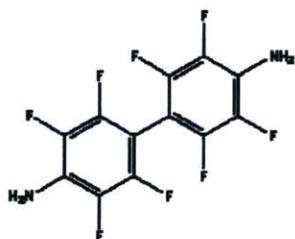
AT104  
Pyrethrins (混合物)



AT119  
Anthraquinone, 1,4-dihydroxy-



AT126  
Benzidine,  
2,2',3,3',5,5',6,6'-octafluoro-



AT127  
Phenol, 4,4'-(diethylideneethylene)di-,  
diacetate

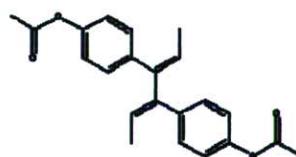
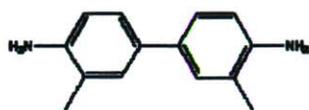
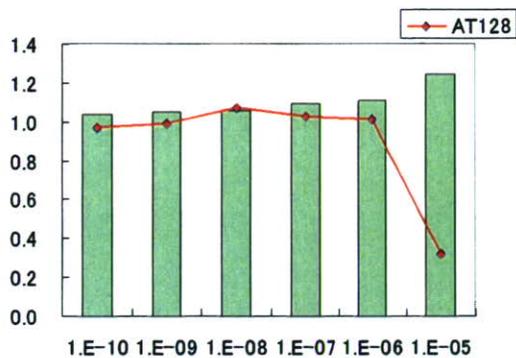
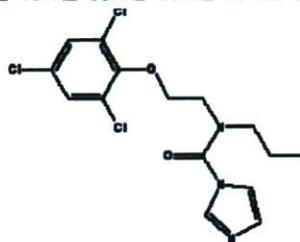
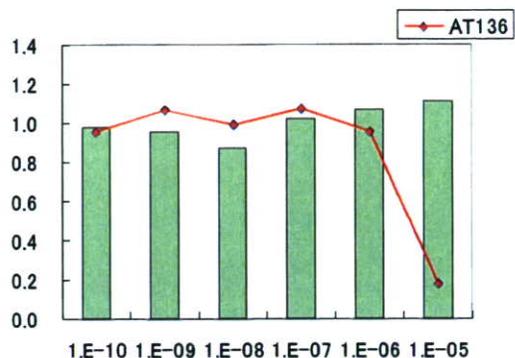


図2 AR アンタゴニスト活性とその化学構造(その1)

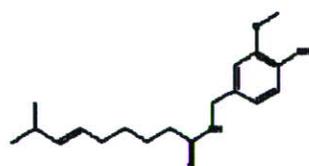
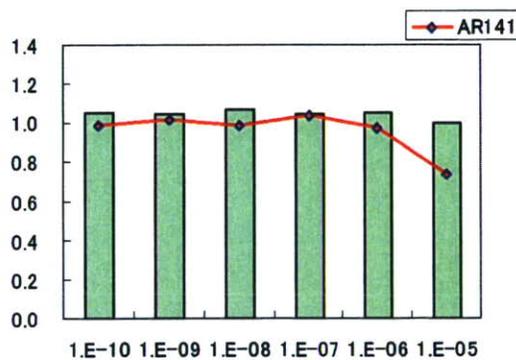
縦軸は相対活性 ( $5 \times 10^{-10}$  M における DHT の活性を 1.0)、横軸は濃度 (M) を示す。



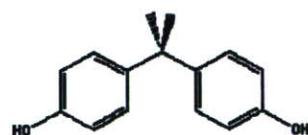
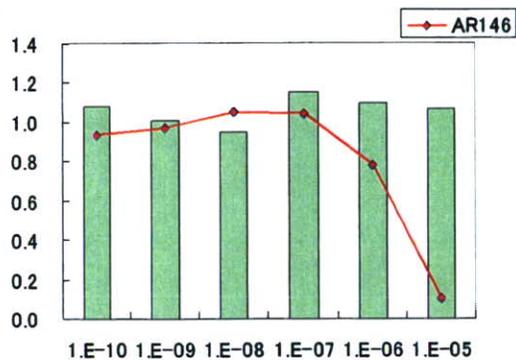
AT128  
Benzidine, 3,3'-dimethyl-



AT136  
PROCHLORAZ



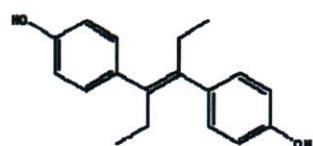
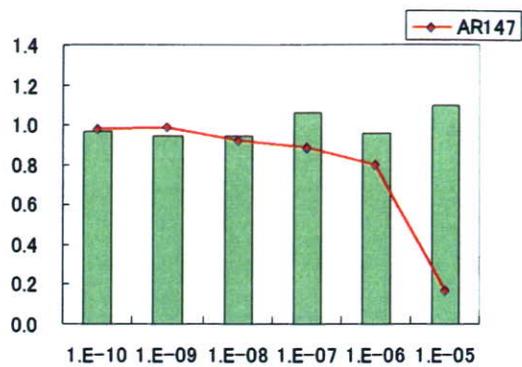
AR141  
Capsaicin



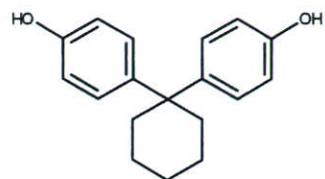
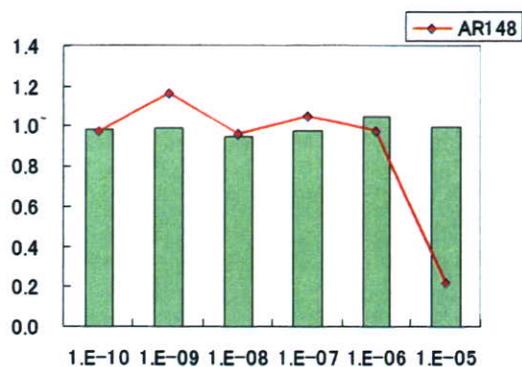
AR146  
Phenol, 4,4'-isopropylidenedi-

図2 AR アンタゴニスト活性とその化学構造(その2)

縦軸は相対活性(5x10<sup>-10</sup> M における DHT の活性を 1.0)、横軸は濃度 (M) を示す。



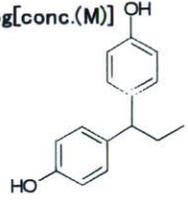
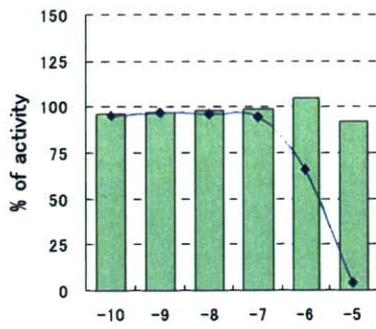
AR147  
4,4'-Stilbenediol,  
alpha,alpha'-diethyl-



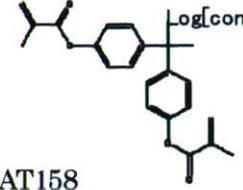
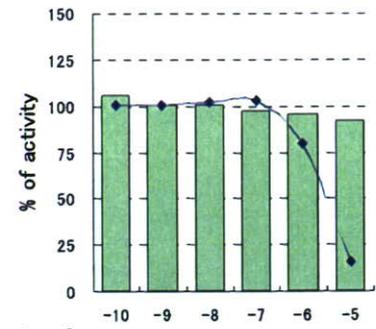
AR148  
Phenol, 4,4'-isopropylidenedi-

図2 AR アンタゴニスト活性とその化学構造(その3)

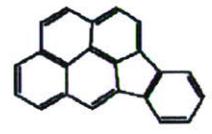
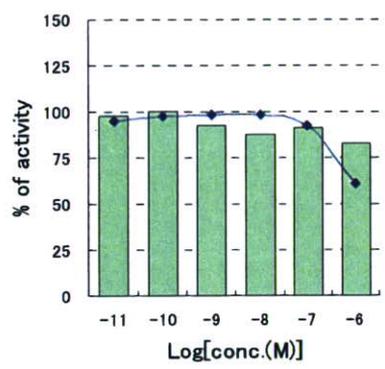
縦軸は相対活性(5x10<sup>-10</sup> M における DHT の活性を 1.0)、横軸は濃度 (M) を示す。



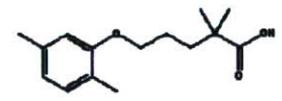
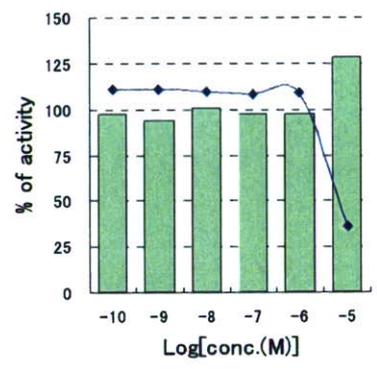
AT156  
4,4'-PROPYLIDENEDIPHENOL



AT158  
isopropylidenedi-p-phenylene ester-Methacrylic acid



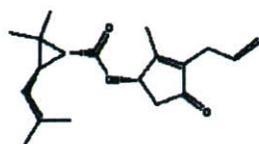
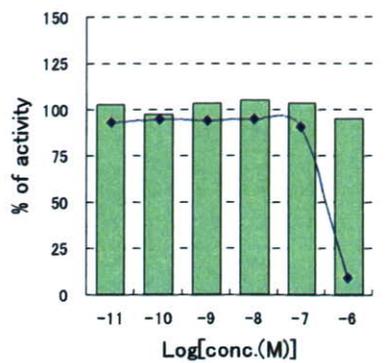
AT162  
Indeno 1,2,3-cd pyrene



AT164  
Gemfibrozil

図2 ARアンタゴニスト活性とその化学構造(その4)

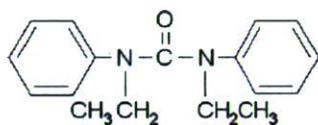
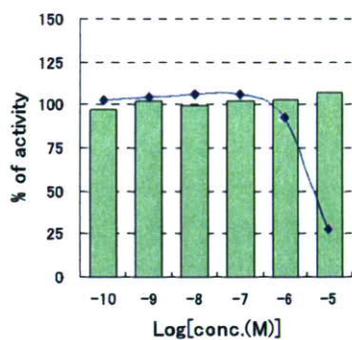
縦軸は相対活性(5x10<sup>-10</sup> M における DHT の活性を 100)、横軸は濃度を示す。



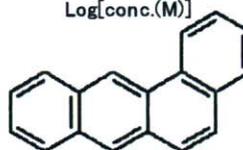
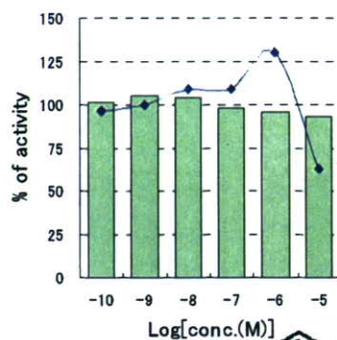
AT167  
S-Bioallethrin

図2 AR アンタゴニスト活性とその化学構造(その5)

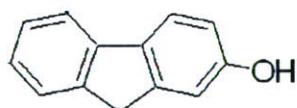
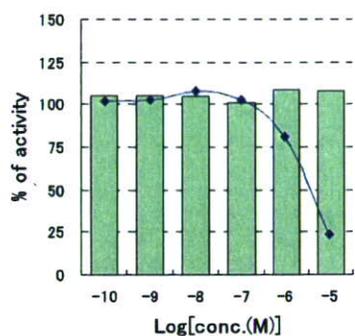
縦軸は相対活性 ( $5 \times 10^{-10}$  M における DHT の活性を 100)、横軸は濃度を示す。



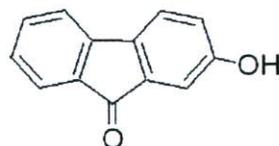
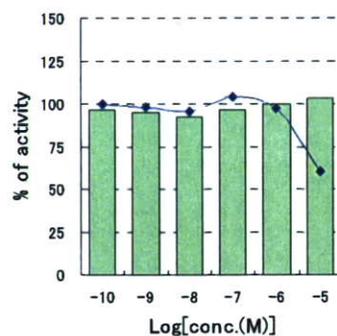
AT201



AT218



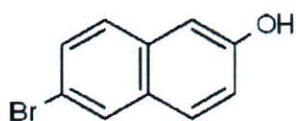
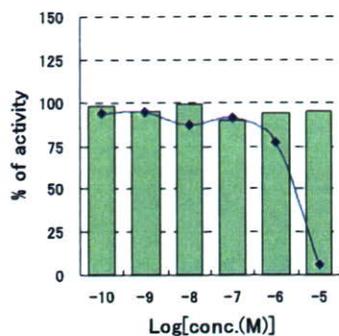
AT221



AT223

図2 ARアンタゴニスト活性とその化学構造(その6)

縦軸は相対活性(5x10<sup>-10</sup> M における DHT の活性を 100)、横軸は濃度を示す。



AT224

図2 AR アンタゴニスト活性とその化学構造(その7)

縦軸は相対活性(5x10<sup>-10</sup> M における DHT の活性を 100)、横軸は濃度を示す。

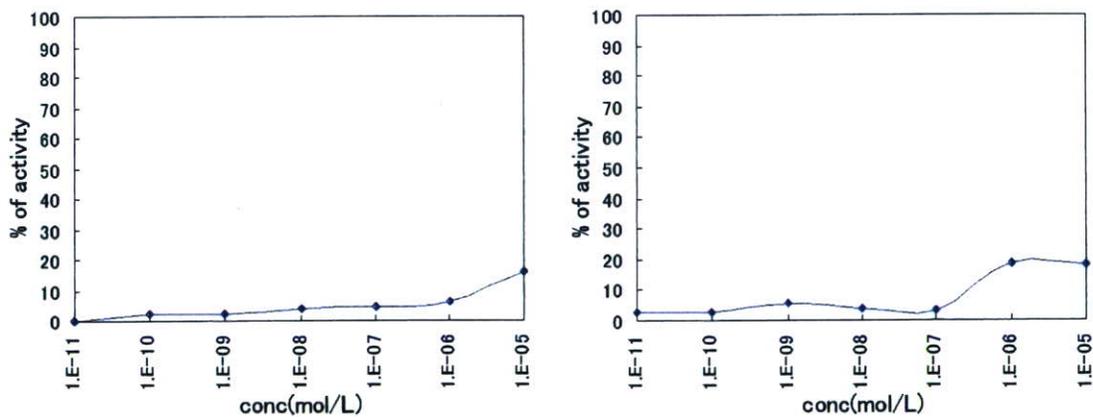


図3 AT105、AT126 の TR アゴニストアッセイにおける濃度反応曲線

縦軸は 1x10<sup>-7</sup> M の T3 活性を 100 とした相対値、横軸は濃度 (M) を示す。