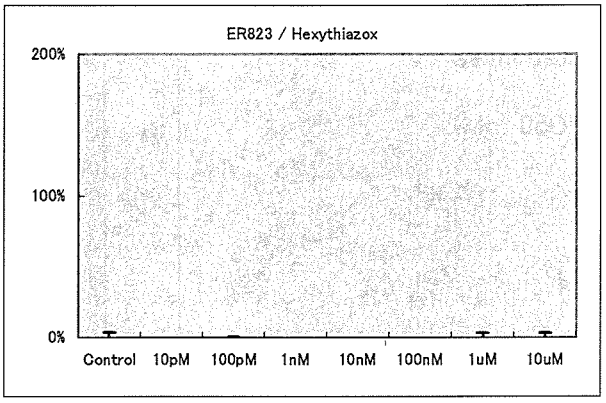
ERβ /HeLa

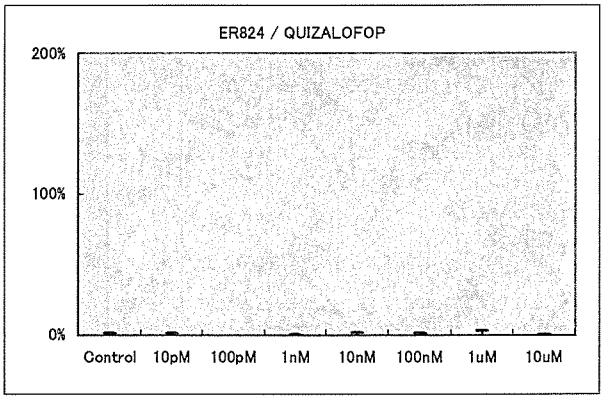
ER823
Hexythiazox

PC50 (pM): –



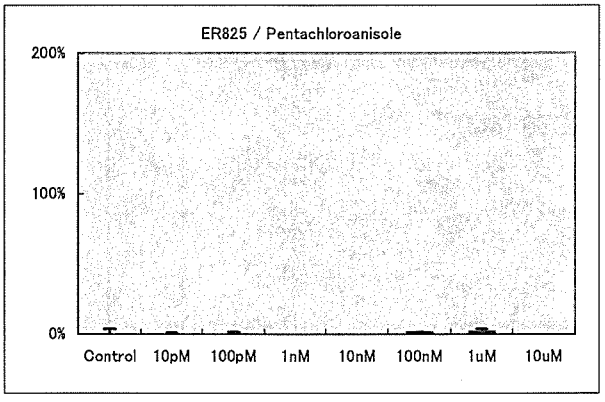
ER824
QUIZALOFOP

PC50 (pM): –



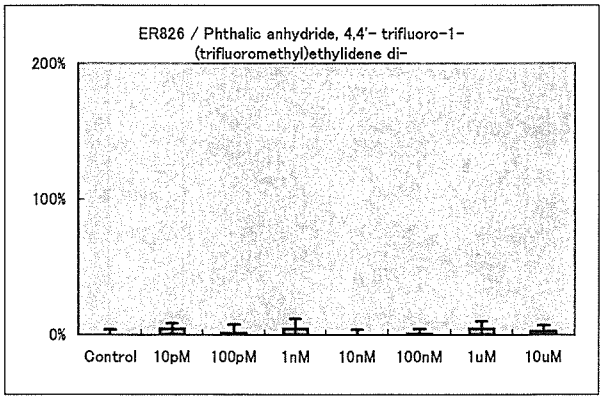
ER825
Pentachloroanisole

PC50 (pM): –



ER826
Phthalic anhydride, 4,4'- trifluoro-1-(trifluoromethyl)ethylidene di-

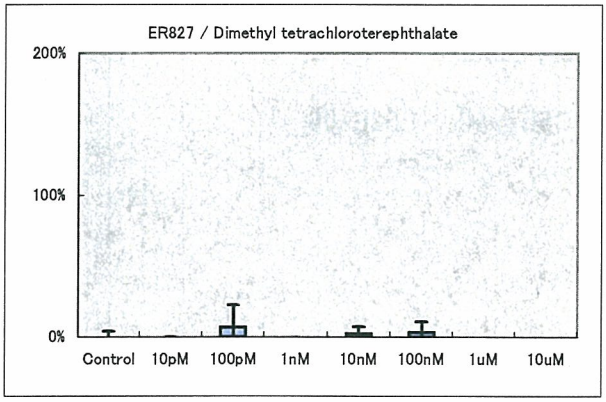
PC50 (pM): –



ERβ /HeLa

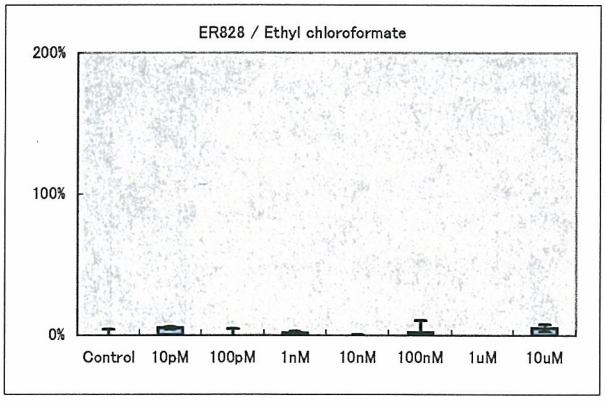
ER827
Dimethyl tetrachloroterephthalate

PC50 (pM): -



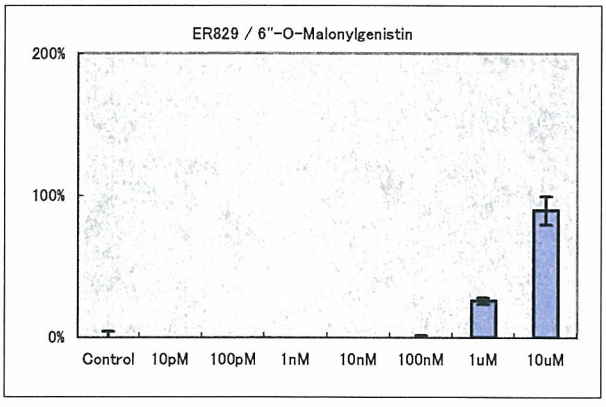
ER828
Ethyl chloroformate

PC50 (pM): -



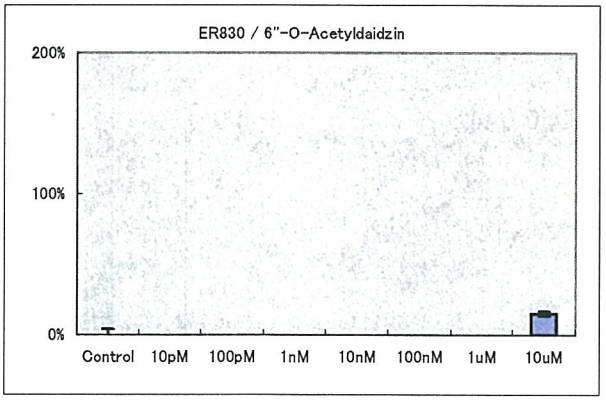
ER829
6''-O-Malonylgenistin

PC50 (pM): 2.39E+06



ER830
6''-O-Acetyldaidzin

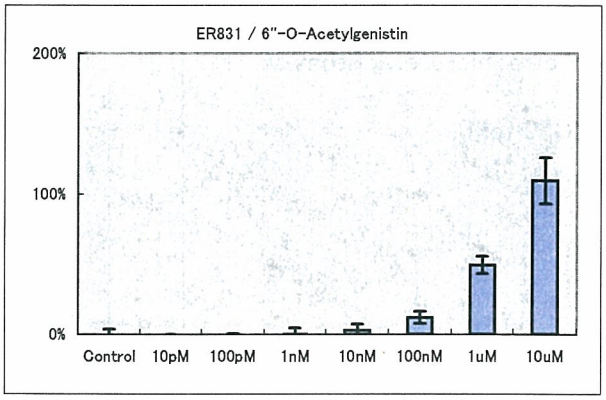
PC50 (pM): -



ERβ /HeLa

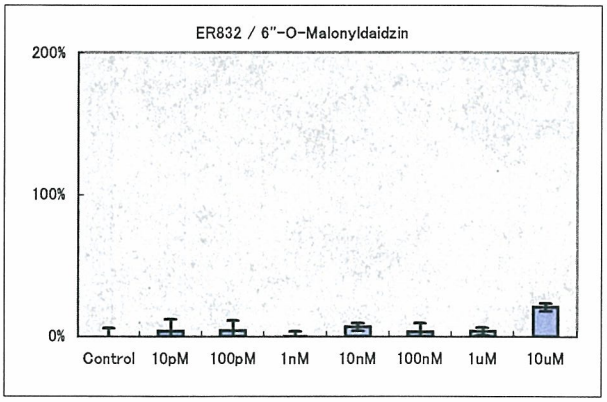
ER831
6''-O-Acetylgenistin

PC50 (pM): 1.02E+06



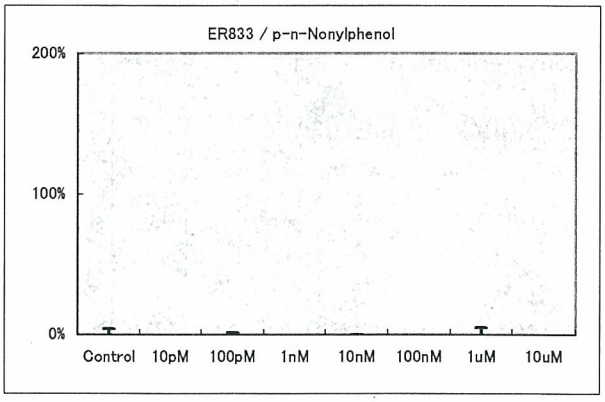
ER832
6''-O-Malonyldaidzin

PC50 (pM): -



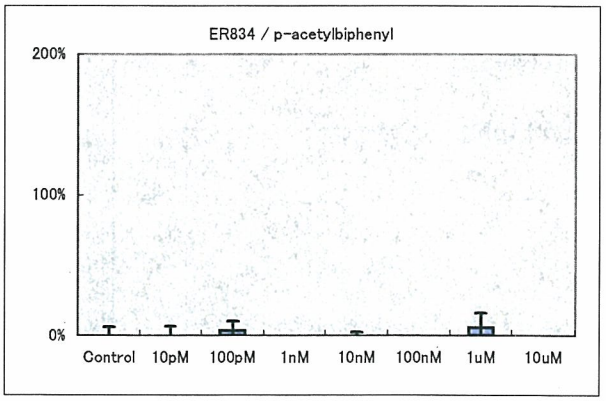
ER833
p-n-Nonylphenol

PC50 (pM): -



ER834
p-acetylbiphenyl

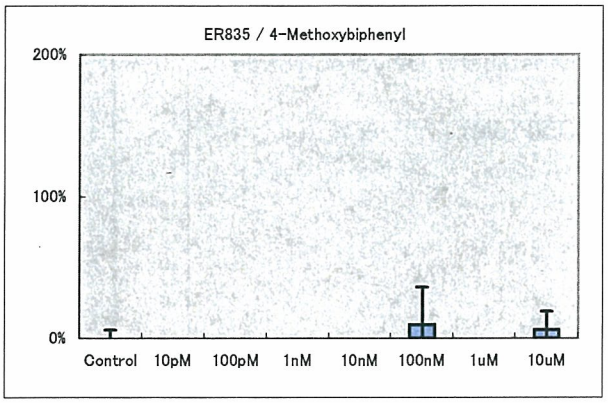
PC50 (pM): -



ERβ /HeLa

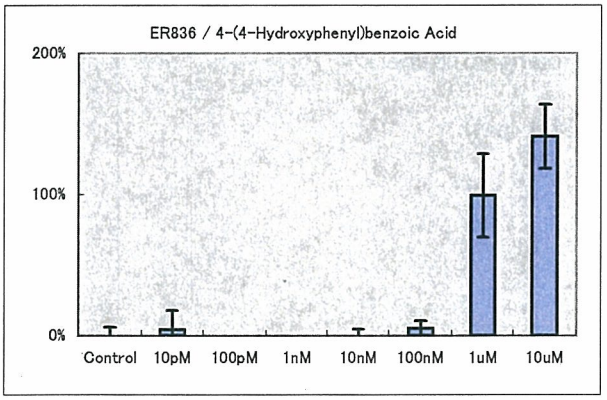
ER835
4-Methoxybiphenyl

PC50 (pM): -



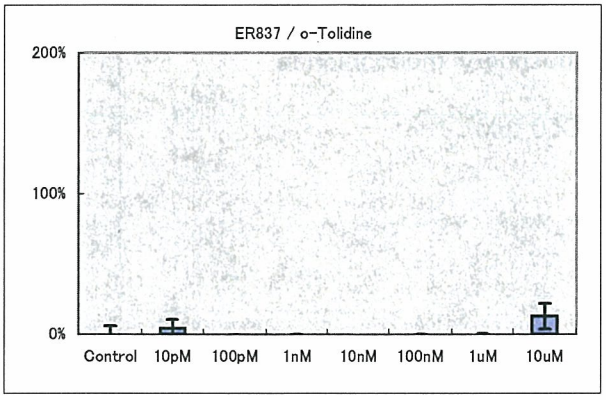
ER836
4-(4-Hydroxyphenyl)benzoic Acid

PC50 (pM): 3.01E+05



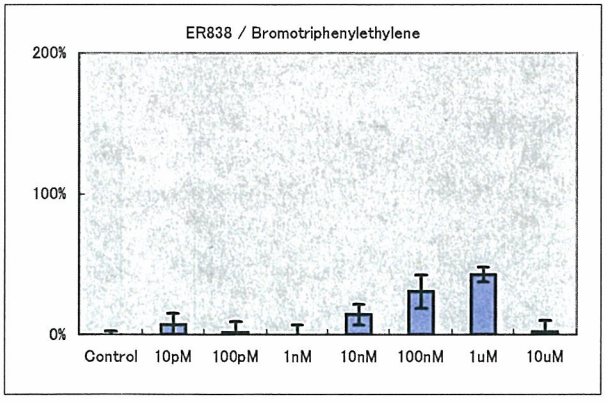
ER837
o-Tolidine

PC50 (pM): -



ER838
Bromotriphenylethylene

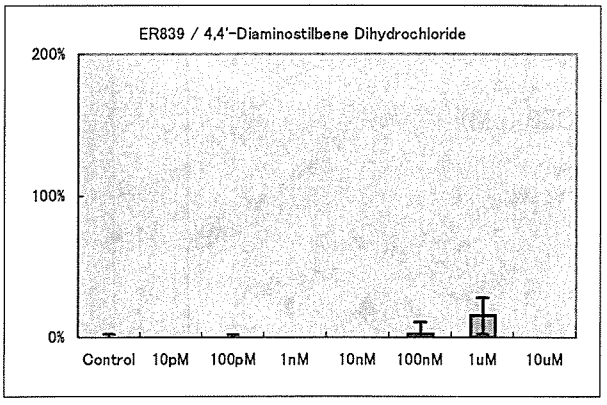
PC50 (pM): -



ERβ /HeLa

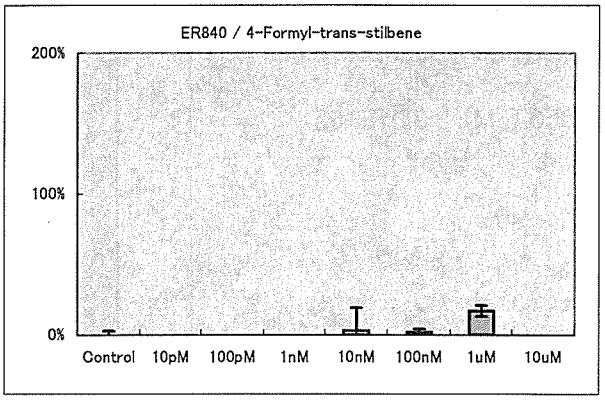
ER839
4,4'-Diaminostilbene Dihydrochloride

PC50 (pM): -



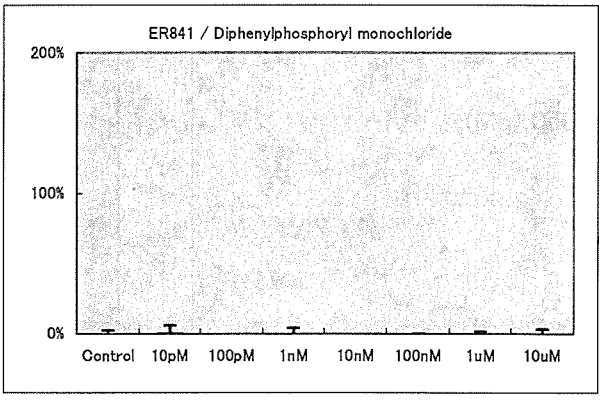
ER840
4-Formyl-trans-stilbene

PC50 (pM): -



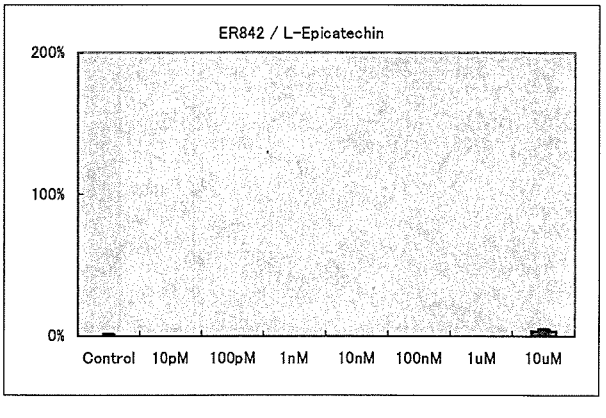
ER841
Diphenylphosphoryl monochloride

PC50 (pM): -



ER842
L-Epicatechin

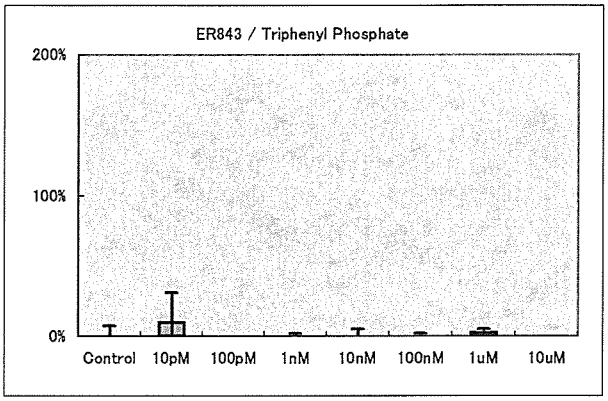
PC50 (pM): -



ERβ /HeLa

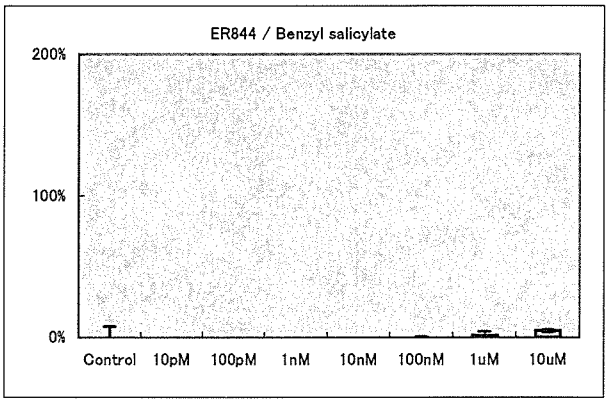
ER843
Triphenyl Phosphate

PC50 (pM): –



ER844
Benzyl salicylate

PC50 (pM): –



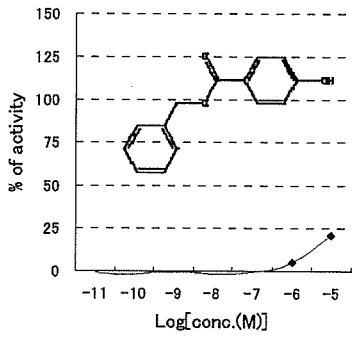
厚生労働科学研究費補助金(化学物質リスク研究事業)
生体の作用点、特に核内受容体及び関連転写因子群に着目した化学物質の
毒性発現機構の解明や毒性予測手法の開発を行う研究

総括研究報告書　図表

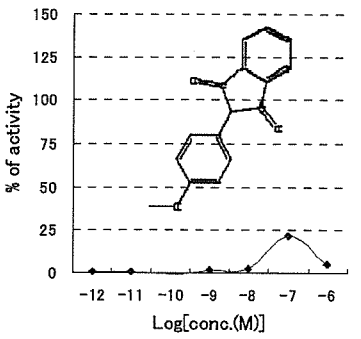
(1)-2. アンドロゲン、甲状腺受容体レポーター遺伝子細胞系を用いた
高速自動分析系に関する研究
(主任研究者:大塚製薬ライフサイエンス時業務 EDC 分析センター委託業務)

表1　ARアゴニストアッセイの結果

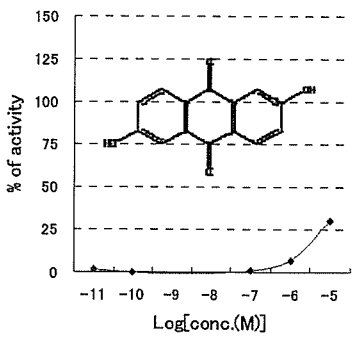
Assay ID	CAS	name	PC50	PC10
AT171	94-18-8	Benzylparaben	-	1.00×10^{-6}
AT172	117-37-3	Anisindione	-	8.72×10^{-9}
AT176	84-60-6	Anthraflavic acid	-	1.32×10^{-6}
AT177	6521-30-8	Benzoic acid, p-hydroxy-, isopentyl ester	-	5.62×10^{-6}
AR192	2128-93-0	Benzophenone, 4-phenyl-	-	1.62×10^{-6}



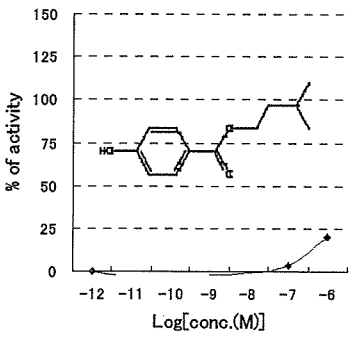
AT171



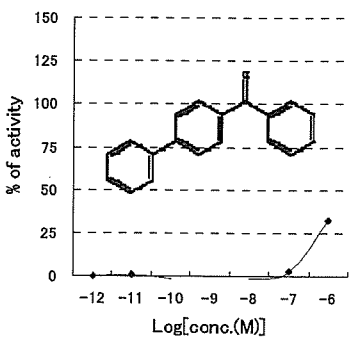
AT172



AT176



AT177



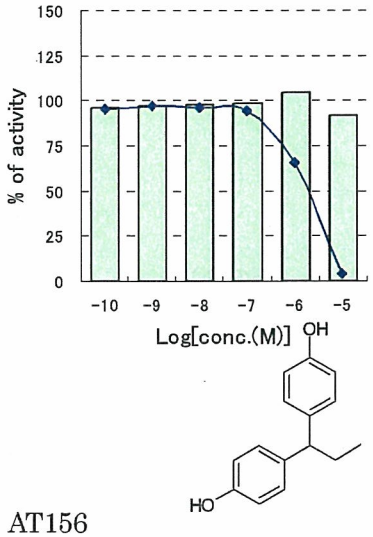
AR192

図1　ARアゴニスト活性があった5物質の結果と化学構造

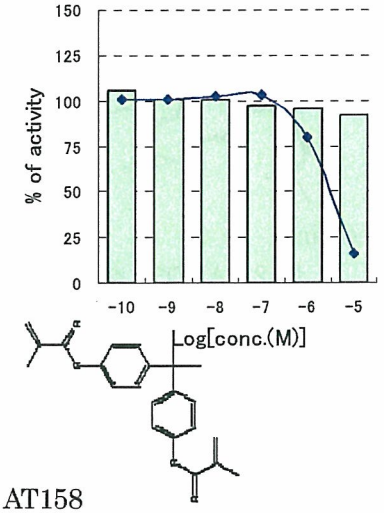
(縦軸は $1x10^{-8}$M における DHT の活性を 100、横軸は濃度を示している。)

表 2　AR アンタゴニストアッセイの結果

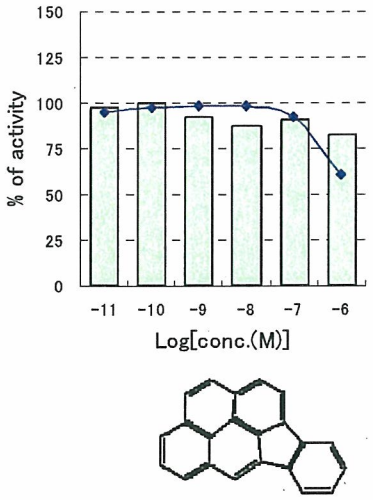
Assay ID	CAS	name	IC50	IC20
AT156	1576-13-2	4,4'-PROPYLIDENEDIPHENOL	1.93×10^{-6}	
AT158	3253-39-2	Methacrylic acid, isopropylidenedi-p-phenylene ester	1.32×10^{-6}	
AT162	193-39-5	Indeno 1,2,3-cd pyrene	−	1.82×10^{-6}
AT164	25812-30-0	Gemfibrozil	8.35×10^{-6}	
AT167	28434-00-6	S-Bioallethrin	2.31×10^{-6}	



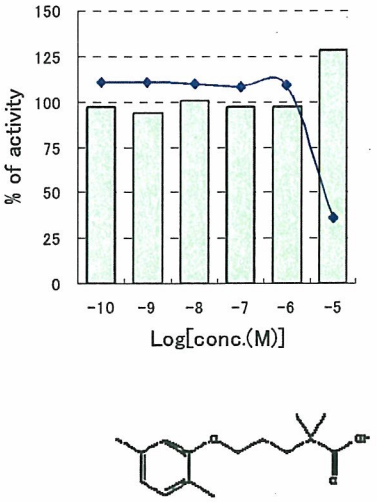
AT156
4,4'-PROPYLIDENEDIPHENOL



AT158
isopropylidenedi-p-phenylene ester-Methacrylic acid

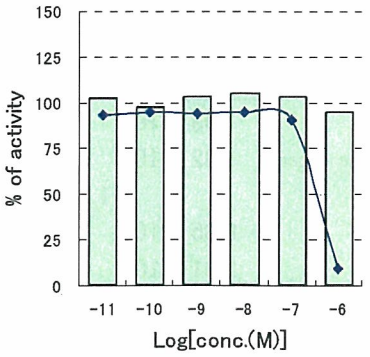


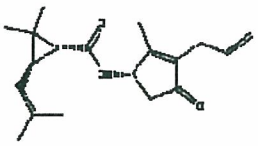
AT162
Indeno 1,2,3-cd pyrene



AT164
Gemfibrozil

図 2　AR アンタゴニスト活性とその化学構造（その 1）
縦軸は相対活性（$5x10^{-10}$ 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２）

縦軸は相対活性（$5x10^{-10}$ M における DHT の活性を 100）、横軸は濃度を示す。

付録　物質一覧（その１）

Assay ID	CAS	Name
AT151	84-80-0	Phytonadione
AT152	80844-07-1	Etofenprox
AT153	569-61-9	Para Magenta
AT154	497-76-7	Arbutin
AT155	68359-37-5	Cyfluthrin
AT156	1576-13-2	4,4'-PROPYLIDENEDIPHENOL
AT157	327-97-9	Chlorogenic acid
AT158	3253-39-2	Methacrylic acid, isopropylidenedi-p-phenylene ester
AT159	55179-31-2	Bitertanol
AT160	480-18-2	Taxifolin
AT161	117-39-5	Quercetin
AT162	193-39-5	Indeno 1,2,3-cd pyrene
AT163	785-30-8	4,4'-Diaminobenzanilide
AT164	25812-30-0	Gemfibrozil
AT165	844-51-9	p-Benzoquinone, 2,5-diphenyl-
AT166	25812-30-0	Gemfibrozil
AT167	28434-00-6	S-Bioallethrin
AT168	28434-01-7	Bioresmethrin
AT169	7696-12-0	Tetramethrin
AT170	139-65-1	4,4'-Thiodianiline
AT171	94-18-8	Benzylparaben
AT172	117-37-3	Anisindione
AT173	723-46-6	Sulfamethoxazole
AT174	298-46-4	Carbamazepine
AT175	17598-02-6	Precocene I
AT176	84-60-6	Anthraflavic acid
AT177	6521-30-8	Benzoic acid, p-hydroxy-, isopentyl ester
AT178	103-14-0	Phenol, 4- (phenylmethyl)amino -
AT179	125-84-8	Aminoglutethimide
AT180	23564-06-9	Thiophanate

Assay ID の AT は AR、TR 共に測定、また AR は AR のみ、TR は TR のみ測定

付録　物質一覧（その２）

Assay ID	CAS_s	Name	MW
AT181	125-12-2	Pichtosin	196.288
AT182	29091-21-2	2,4-Dinitro-N3,N3-dipropyl-6-(trifluoromethyl)-1,3-benzenediamine (Not	350.295
AT183	119168-77-3	Tebufenpyrad	333.861
AT184	53112-28-0	Pyrimethanil	199.256
AT185	78587-05-0	Hexythiazox	352.884
AT186	76578-12-6	QUIZALOFOP	344.7527
AT187	1825-21-4	Pentachloroanisole	280.365
AT188	1107-00-2	Phthalic anhydride, 4,4'- trifluoro-1-(trifluoromethyl)ethylidene di-	444.238
AT189	1861-32-1	Dimethyl tetrachloroterephthalate	331.965
AT190	541-41-3	Ethyl chloroformate	108.523
AR191	2153-28-8	Terpinyl butyrate	224.34
AR192	2128-93-0	Benzophenone, 4-phenyl-	258.319
AR193	102-22-7	Acetic acid, phenyl-, 3,7-dimethyl-2,6-octadienyl ester, (E)-	272.386
AR194	66142-15-2	Benzoxazolium, 2-methyl-5-phenyl-3-(3-sulfopropyl)-, hydroxide, inner salt	331.39
AR195	791-28-6	Phosphine oxide, triphenyl-	278.289
AR196	574-09-4	Acetophenone, 2-ethoxy-2-phenyl-	240.3
AR197	1948-33-0	2-(T-BUTYL)-1,4-BENZENEDIOL	166.219
AR198	104-46-1	Anethole	148.204
AR199	2495-37-6	BENZYL METHACRYLATE	176.214
AR200	94-71-3	Guaethol	138.165
TR191	22499-12-3	2-(2-METHYLPROPOXY)-1,2-DIPHENYL ETHANONE	268.354
TR192	80-51-3	4,4'-OXYBISBENZENESULFONIC ACID, DIHYDRAZIDE	358.398
TR193	101-72-4	N-ISOPROPYL-N'-PHENYL-P-PHENYLENEDIAMINE	226.321
TR194	77-62-3	Nonox wsp	420.633
TR195	3290-92-4	Trimethylolpropane trimethacrylate	338.397
TR196	7779-65-9	Cinnamic acid, isopentyl ester	218.294
TR197	41532-84-7	1H-Benz e indole, 1,1,2-trimethyl-	209.29
TR198	126-64-7	1,6-Octadien-3-ol, 3,7-dimethyl-, benzoate	258.359
TR199	1135-24-6	Ferulic acid	194.185
TR200	22839-47-0	Aspartame	294.305

Assay ID の AT は AR、TR 共に測定、また AR は AR のみ、TR は TR のみ測定

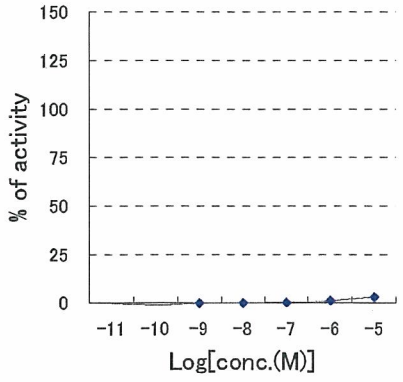
測定結果　一覧

AR レポータージーンアッセイ
TR レポータージーンアッセイ
40 物質
(AT151～AT190)

sample No. AT151
chemical name Phytonadione
CAS. 84-80-0

AR agonist assay

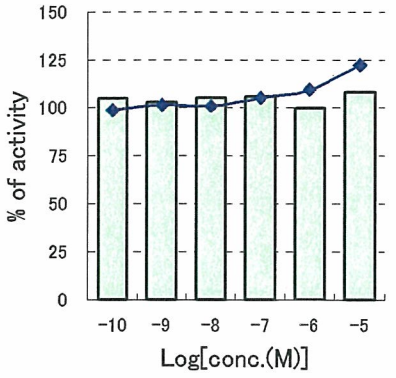
LOG[conc.(M)]	luc
-5	2.9
-6	1.1
-7	0.3
-8	0.0
-9	-0.1
-10	-0.8
-11	-0.2



AR antagonist assay

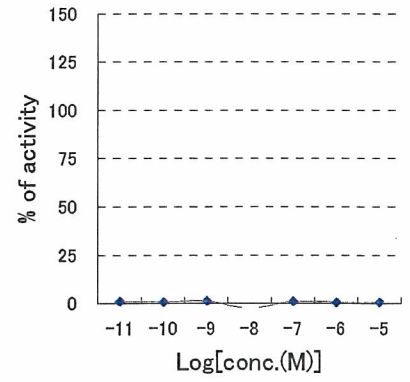
LOG[conc.(M)]	luc	ren
-5	122	108
-6	109	100
-7	105	106
-8	101	105
-9	102	103
-10	99	105

Cell viability



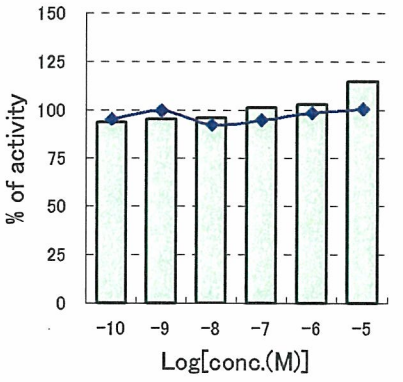
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-5	0.7
-6	0.5
-7	1.2
-8	-2.7
-9	1.4
-10	0.8
-11	1.1



TRβ-RXR antagonist assay

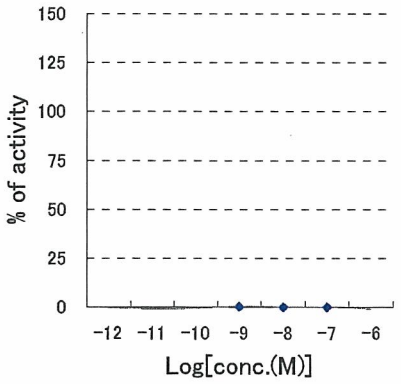
LOG[conc.(M)]	luc	ren
-5	101	115
-6	99	103
-7	95	101
-8	92	96
-9	100	95
-10	96	94



sample No. AT152
chemical name Etofenprox
CAS. 80844-07-1

AR agonist assay

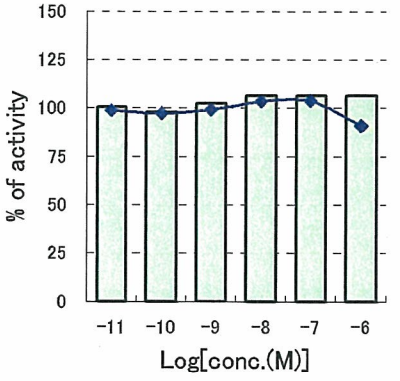
LOG[conc.(M)]	luc
-6	-1.0
-7	-0.1
-8	-0.1
-9	0.4
-10	-0.5
-11	-0.8
-12	-0.4



AR antagonist assay

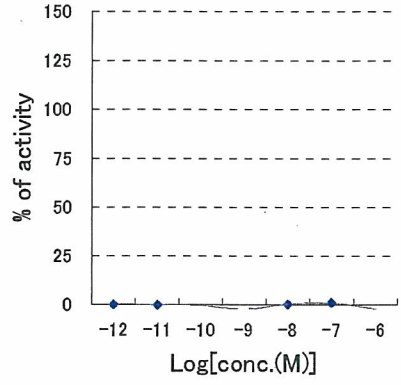
LOG[conc.(M)]	luc	ren
-6	91	106
-7	104	106
-8	103	106
-9	99	102
-10	97	98
-11	99	101

Cell viability



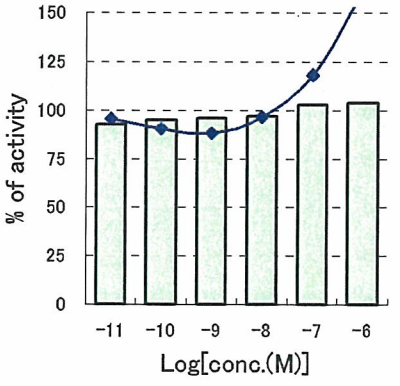
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-6	-2.1
-7	1.1
-8	0.3
-9	-2.4
-10	-0.4
-11	-0.1
-12	0.3



TRβ-RXR antagonist assay

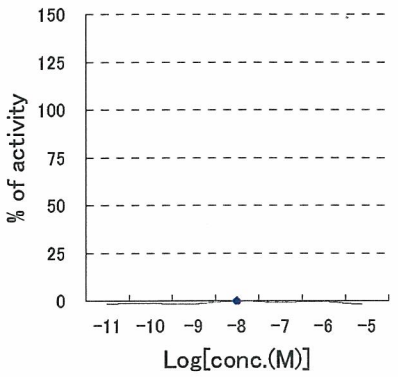
LOG[conc.(M)]	luc	ren
-6	162	104
-7	118	103
-8	97	97
-9	88	96
-10	90	95
-11	96	93



sample No.	AT153
chemical name	Para Magenta
CAS.	569-61-9

AR agonist assay

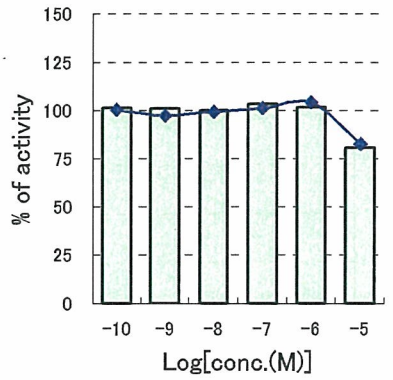
LOG[conc.(M)]	luc
-5	-2.2
-6	-0.3
-7	-0.6
-8	0.1
-9	-1.5
-10	-1.2
-11	-1.5



AR antagonist assay

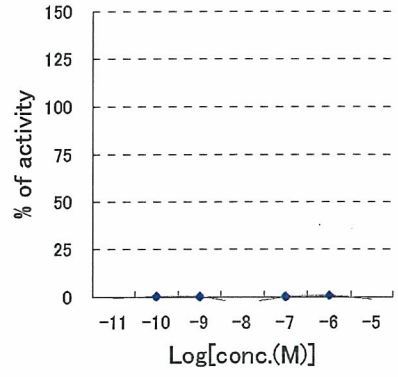
LOG[conc.(M)]	luc	ren
-5	83	81
-6	104	102
-7	101	103
-8	99	100
-9	97	101
-10	100	101

Cell viability



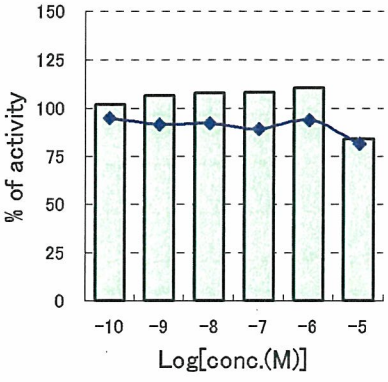
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-5	-1.2
-6	0.9
-7	0.3
-8	-3.1
-9	0.3
-10	0.4
-11	-0.4



TRβ-RXR antagonist assay

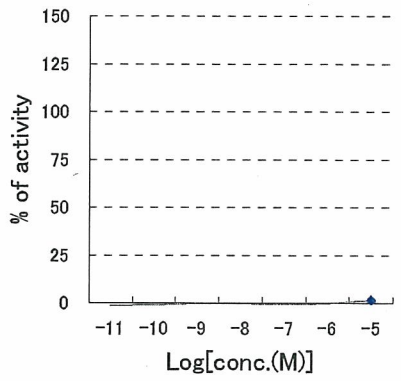
LOG[conc.(M)]	luc	ren
-5	81	84
-6	94	110
-7	89	108
-8	92	108
-9	92	106
-10	95	102



sample No. AT154
chemical name Arbutin
CAS. 497-76-7

AR agonist assay

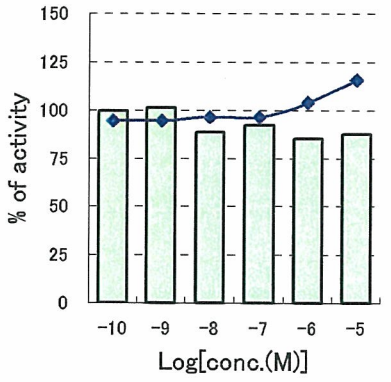
LOG[conc.(M)]	luc
-5	1.4
-6	-0.2
-7	-0.6
-8	-0.4
-9	-0.3
-10	-1.0
-11	-1.1



AR antagonist assay

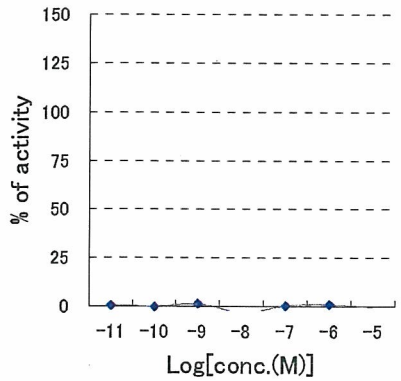
LOG[conc.(M)]	luc	ren
-5	116	88
-6	104	85
-7	96	92
-8	96	89
-9	94	101
-10	95	99

Cell viability



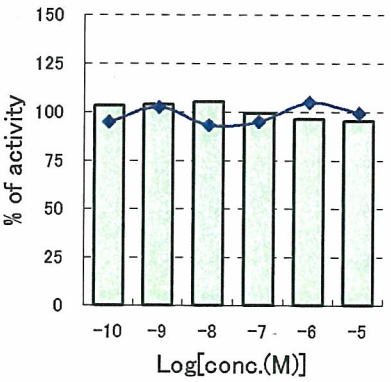
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-5	-0.3
-6	0.8
-7	0.2
-8	-3.3
-9	1.5
-10	0.1
-11	0.5



TRβ-RXR antagonist assay

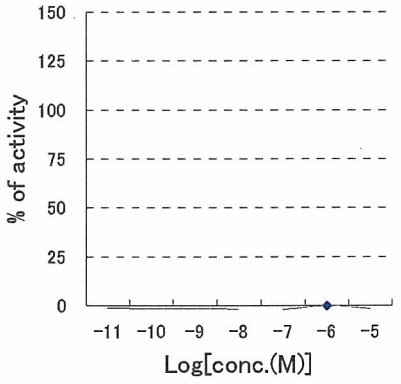
LOG[conc.(M)]	luc	ren
-5	100	95
-6	105	97
-7	95	99
-8	93	105
-9	103	104
-10	95	103



sample No.	AT155
chemical name	Cyfluthrin
CAS.	68359-37-5

AR agonist assay

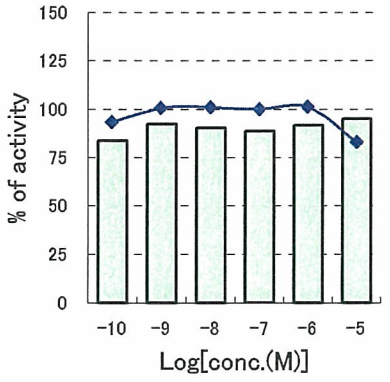
LOG[conc.(M)]	luc
-5	-1.6
-6	-0.1
-7	-1.8
-8	-1.7
-9	-1.6
-10	-1.4
-11	-1.1



AR antagonist assay

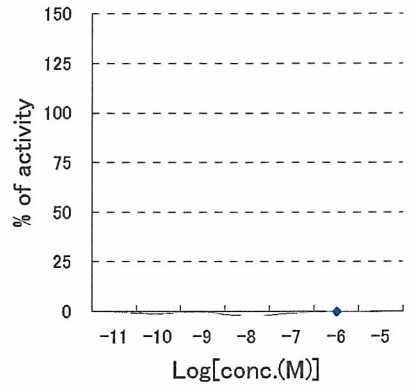
LOG[conc.(M)]	luc	ren
-5	83	95
-6	101	91
-7	100	89
-8	101	90
-9	100	92
-10	93	84

Cell viability



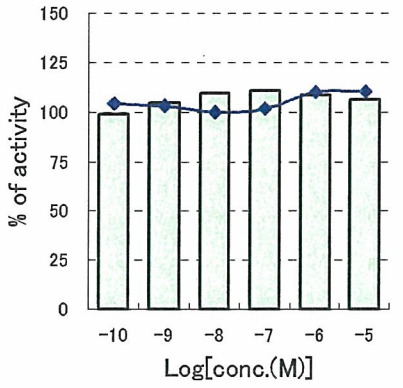
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-5	-0.4
-6	0.1
-7	-0.9
-8	-2.4
-9	-0.2
-10	-1.2
-11	-0.3



TRβ-RXR antagonist assay

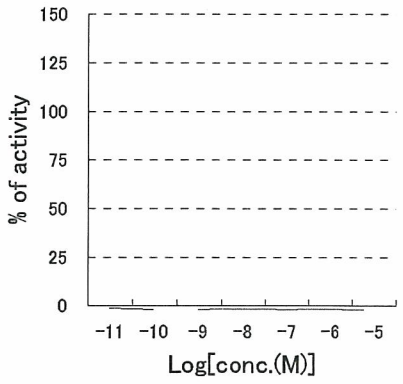
LOG[conc.(M)]	luc	ren
-5	111	106
-6	110	108
-7	102	111
-8	100	110
-9	103	105
-10	105	99



sample No. AT156
chemical name 4,4'-PROPYLIDENEDIPHENOL
CAS. 1576-13-2

AR agonist assay

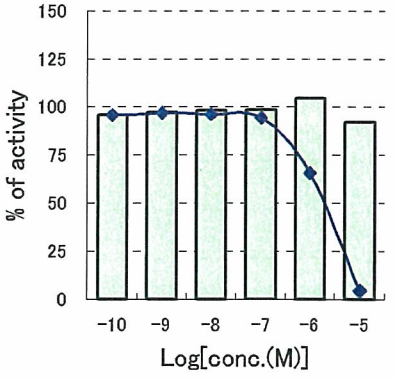
LOG[conc.(M)]	luc
-5	-2.0
-6	-1.5
-7	-1.8
-8	-1.4
-9	-1.6
-10	-1.8
-11	-1.3



AR antagonist assay

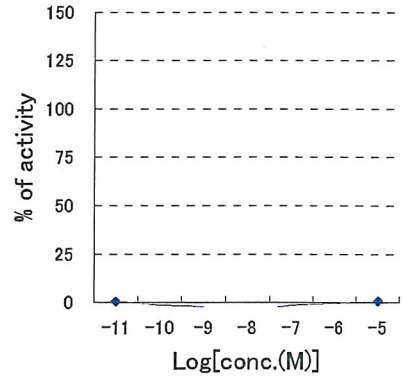
LOG[conc.(M)]	luc	ren
-5	5	92
-6	66	105
-7	94	98
-8	96	98
-9	97	97
-10	95	96

Cell viability



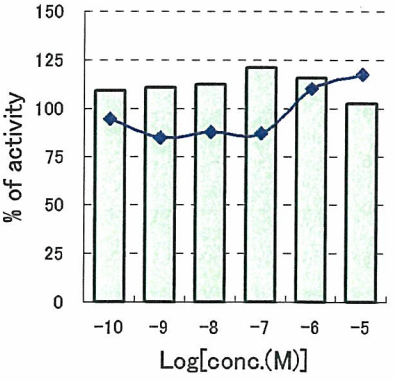
TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-5	1.0
-6	-0.3
-7	-1.2
-8	-3.4
-9	-1.8
-10	-1.2
-11	0.7



TRβ-RXR antagonist assay

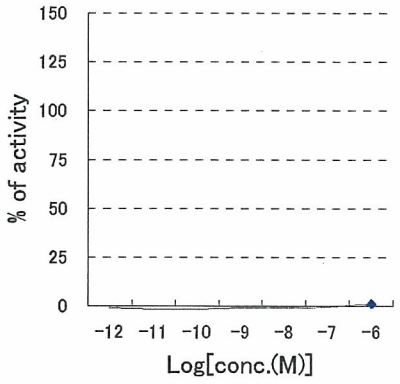
LOG[conc.(M)]	luc	ren
-5	118	103
-6	110	116
-7	87	121
-8	88	112
-9	85	111
-10	95	109



sample No. AT157
chemical name Chlorogenic acid
CAS. 327-97-9

AR agonist assay

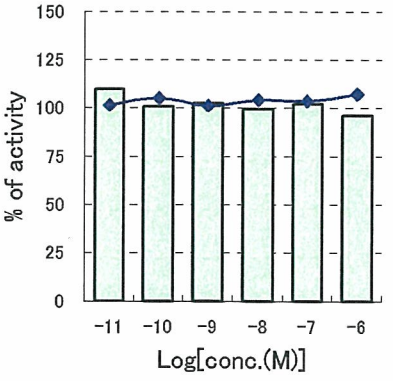
LOG[conc.(M)]	luc
-6	1.2
-7	-0.5
-8	-0.9
-9	-1.0
-10	-1.4
-11	-1.5
-12	-1.0



AR antagonist assay

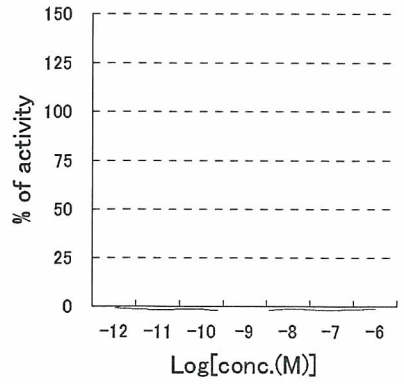
LOG[conc.(M)]	luc	ren
-6	107	96
-7	103	102
-8	104	100
-9	101	103
-10	105	101
-11	102	110

Cell viability



TRβ-RXR agonist assay

LOG[conc.(M)]	luc
-6	-1.2
-7	-1.8
-8	-1.2
-9	-3.2
-10	-1.1
-11	-1.5
-12	-0.7



TRβ-RXR antagonist assay

LOG[conc.(M)]	luc	ren
-6	108	76
-7	112	97
-8	109	107
-9	96	107
-10	90	102
-11	106	100