

Substances Tested in Different *In Vitro* ER TA Assays by the Same Investigator

Bonfeld-Jørgensen et al. (2001)

Substance	Agonism		Antagonism		
	MCF-7 hER(E)+CAT(T) + β-gal(T)	MCF7 hER(E)+CP	MCF-7 hER(E)+CAT(T) + β-gal(T)	MCF7 hER(E)+CP	MDA-MB-231 hERα(T)+Luc(T)
2,2',4,4',5,5'-Hexachlorobiphenyl	neg	neg	pos	pos	pos
2,2',3,4,4',5'-Hexachlorobiphenyl	neg	neg	pos	pos	pos
2,2',3,4,4',5,5'-Heptachlorobiphenyl	neg	neg	pos	pos	pos
ICI 182,780	neg		pos		pos

Chen et al. (1997)

Substance	Agonism	
	Yeast(<i>S.cer.</i> 939) hER(S) + β-gal(S)	Yeast(<i>S.cer.</i> CYT10-5d) hER(S)+β-gal(S)
Dexamethasone	neg	neg
17 -Estradiol	pos	pos
<i>p,p'</i> -DDT	pos	pos
<i>o,p'</i> -DDD	pos	pos
<i>p,p'</i> -DDE	neg	neg
<i>p,p'</i> -DDA	neg	neg
<i>o,p'</i> -DDT	pos	pos
<i>o,p'</i> -DDE	pos	pos
ICI 164,384	pos	neg

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Collins-Burow et al. (2000)

Substance	Agonism				Antagonism			
	HEK-293 hER α (T)+Luc(T)	HEK-293 hER α (T)+Luc(T)	MCF-7(M) hER(E)+Luc(T)+ β -gal(T)	MCF-7(M) hER(E)+CP	HEK-293 hER α (T)+Luc(T)	HEK-293 hER α (T)+Luc(T)	MCF-7(M) hER(E)+Luc(T)+ β -gal(T)	MCF-7(M) hER(E)+CP
17 -Estradiol	pos	pos	pos	pos	pos			
4-Hydroxytamoxifen			neg				pos	pos
Apigenin	pos	weak	pos	neg	pos	pos	pos	pos
Chrysin			pos				pos	pos
Flavone	neg	neg	neg	neg	pos	pos	pos	pos
Kaempferide	neg	neg	pos	neg	pos	pos	pos	pos
Luteolin			pos				pos	pos
Tamoxifen	pos	pos		neg	pos	pos	pos	pos

Connor et al. (1997)

Substance	Agonism		Antagonism	
	HeLa hER α def (S) + Luc(S)	MCF-7 hER(T)+CAT(T)	HeLa hER α def (S) + Luc(S)	MCF-7 hER(T)+CAT(T)
17 -Estradiol	pos	pos		neg
2',3,3',4',5'-Pentachloro-4-biphenylol	neg	neg	pos	pos
2,2',4',6'-Tetrachloro-4-biphenylol	pos	neg	pos	pos
2,2',3',4',6'-Pentachloro-4-biphenylol	pos	pos	pos	neg
2,2',3',5',6'-Pentachloro-4-biphenylol	neg	neg	pos	pos
2,2',3',4',5'-Pentachloro-4-biphenylol	neg	pos	pos	neg
2',3,4',6'-Tetrachloro-4-biphenylol	pos	neg	pos	pos
2',3,3',5',6'-Pentachloro-4-biphenylol	neg	neg	pos	pos
2',3,3',4',6'-Pentachloro-4-biphenylol	pos	neg	neg	pos

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Fertuck et al. (2001b)

Substance	Agonism	
	MCF-7 hER α def(T) +Luc(T)+ β -gal(T)	MCF-7 mER α def(T) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos
Benzo[a]anthracene	weak	weak
Benzo[c]phenanthrene	neg	neg
Chrysene	neg	neg
Benzo[a]carbazole	weak	weak
Benzo[b]naphtho(2,1-d)thiophene	weak	weak
Benzo[b]fluorene	weak	weak
Benzo[b]naphtho(2,3-d)thiophene	weak	weak
2-Hydroxybenzo[c]phenanthrene	weak	weak
2-Hydroxychrysene	weak	pos
Benzo[c]carbazole	weak	weak
3-Hydroxybenzo[b]naphtho(2,3-d)thiophene	weak	weak
3-Hydroxy-benzo[b]phenanthro(2,3-d)thiophene	pos	pos
2-Hydroxy-5-methylchrysene	weak	pos
8-Hydroxy-5-methylchrysene	weak	weak

Fielden et al. (1997)

Substance	Agonism		Antagonism	
	MCF-7 hER(E)+CP	MCF-7 hER(T)+Luc(T) + β -gal(T)	MCF-7 hER(E)+CP	MCF-7 hER(T)+Luc(T) + β -gal(T)
17 -Estradiol	pos	pos		
2,2',4,4',6,6'-Hexachlorobiphenyl (PCB 155)	pos	neg	pos	pos
2,2',4,6,6'-Pentachlorobiphenyl (PCB 104)	pos	pos	neg	neg

Gaido et al. (1999)

Substance	Agonism				Antagonism			
	HepG2 hER α (T) +Luc(T)+ β -gal(T)	HepG2 hER β (T) +Luc(T)+ β -gal(T)	HeLa hER α (T)+Luc(T)+ β -gal(T)	HeLa hER β (T)+Luc(T)+ β -gal(T)	HepG2 rER α (T) +Luc(T)+ β -gal(T)	HepG2 hER β (T) +Luc(T)+ β -gal(T)	HeLa hER α (T)+Luc(T)+ β -gal(T)	HeLa hER β (T)+Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos	pos	pos				
HPTE	pos	pos	pos	pos	neg	pos	pos	pos

Gaido et al. (1999) continued

Substance	Agonism	Antagonism
	HepG2 hER α (T) +Luc(T)+ β -gal(T)	HepG2 hER α (T) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	
HPTE	pos	neg

Substances Tested in Different *In Vitro* ER TA Assays by the Same Investigator

Gaido et al. (2000)

Substance	Agonism		Antagonism	
	HepG2 hER α (T) +Luc(T)+ β -gal(T)	HepG2 hER β (T) +Luc(T)+ β -gal(T)	HepG2 hER α (T) +Luc(T)+ β -gal(T)	HepG2 hER β (T) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos		
Methoxychlor	pos	pos	neg	neg
<i>p,p'</i> -DDE	pos	pos	neg	neg
Bisphenol A	pos	pos	neg	neg
Bis(4-hydroxyphenyl)ketone	pos	pos	neg	neg
Bis(4-hydroxyphenyl)methane	pos	pos	neg	neg
4,4'-Dimethoxybenzhydrol	weak	pos	neg	neg
HPTE	pos	pos	neg	pos
Bis(4-hydroxyphenyl)ethane	pos	pos	neg	neg
Monohydroxymethoxychlor	pos	pos	neg	pos
Dihydroxy-DDE	pos	pos	neg	pos
Dimethoxy-DDE	pos	pos	neg	neg
Monohydroxy-DDE	pos	pos	neg	pos
Trihydroxymethoxychlor	pos	pos	neg	neg
Trimethoxymethoxychlor	pos	pos	neg	neg

Harris et al. (1997)

Substance	Agonism		
	MCF-7 hER(E)+CP	Yeast(<i>S.cer.</i>) hER(S)+ β -gal(S)	ZR-75 hER(E)+CP
17 -Estradiol	pos	pos	pos
Bis(2-ethylhexyl) phthalate (DEHP)	neg	neg	neg
Butyl benzyl phthalate (BBP)	pos	pos	pos
Dibutyl phthalate (DBP)	pos	pos	pos
Diethyl phthalate (DEP)	neg	pos	neg
Diethyl phthalate (DHP)	neg	neg	neg
Diisobutyl phthalate (DIBP)	pos	pos	pos
Diisodecyl phthalate (DIDP)	neg	neg	neg
Diisononyl phthalate (DINP)	pos	weak	pos
Ditridecyl phthalate (DTDP)	neg	neg	neg
Ethanol	neg	neg	neg

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Jobling et al. (1995)

Substance	Agonism	
	MCF-7 hER(E) +Luc(T)+ β -gal(T)	ZR-75 hER(E)+CP
Di- <i>n</i> -butyl phthalate	pos	pos
Butyl benzyl phthalate	pos	pos
Bis(2-ethylhexyl)phthalate	weak	neg
Butylated hydroxytoluene	weak	pos
Butylated hydroxyanisole	pos	pos
Octylphenol	pos	pos
17 -Estradiol	pos	pos

Klotz et al. (1996)

Substance	Agonism	
	Yeast(<i>S.cer.BJ2407</i>) hER(S)+ β -gal(S)	MCF-7 hER(E) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos
<i>o,p'</i> -DDD	pos	pos
<i>p,p'</i> -DDD	pos	pos
<i>o,p'</i> -DDT	pos	pos
<i>cis</i> -Nonachlor	pos	pos
Alachlor	pos	pos
Benomyl	neg	neg
<i>trans</i> -Nonachlor	pos	pos

Klotz et al. (1997)

Substance	Agonism		Antagonism	
	Ishikawa hER(T) +Luc(T)+ β -gal(T)	MCF7 hER(E) +Luc(T)+ β -gal(T)	Ishikawa hER(T) +Luc(T)+ β -gal(T)	MCF7 hER(E) +Luc(T)+ β -gal(T)
Parathion	neg	neg	pos	pos
Carbaryl	neg	neg	pos	pos
Baygon	neg	neg	pos	pos
Aldicarb	neg	neg	pos	pos
Methomyl	neg	neg	pos	pos
Bendiocarb	neg	neg	pos	pos
Oxamyl	neg	neg	pos	pos
17 -Estradiol	pos	pos	pos	pos

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Kuiper et al. (1998)

Substance	Agonism		Antagonism	
	HEK-293 hER α (T) +Luc(T)+ β -gal(T)	HEK-293 hER β (T) +Luc(T)+ β -gal(T)	HEK-293 hER α (T) +Luc(T)+ β -gal(T)	HEK-293 hER β (T) +Luc(T)+ β -gal(T)
<i>p,p'</i> -DDT	pos	pos		
Diethylstilbestrol	pos	pos		
Phloretin	pos	pos	neg	neg
Methoxychlor	pos	pos		
Bisphenol A	pos	pos		
4,4'-Biphenyldiol	pos	pos		
<i>p,p'</i> -Endosulfan	pos	neg		
Quercetin	pos	pos	neg	neg
4- <i>tert</i> -Octylphenol	pos	pos		
Kepone	pos	neg	neg	neg
Genistein	pos	pos	neg	neg
Coumestrol	pos	pos	neg	neg
Chrysin	neg	pos	neg	neg
Naringenin	pos	pos	neg	neg
Formononetin	pos	pos	neg	neg
Daidzein	pos	pos	neg	neg
Biochanin A	pos	pos	neg	neg
Kaempferol	pos	pos	neg	neg
Apigenin	pos	pos	neg	neg
Flavone	pos	pos		
<i>o,p'</i> -DDT	pos	pos		
4-Octylphenol	pos	pos		
Tamoxifen	pos	pos	pos	neg
2,4,6'-Trichloro-4-biphenylol	pos	pos		
Zearalenone	pos	pos	neg	pos
Nonylphenol	pos	pos		
Ipriflavone	pos	pos	neg	neg
2,3,4,5'-Tetrachloro-4-biphenylol	pos	pos		
ICI 182,780	neg	pos		neg
2,3,3',4',5'-Pentachloro-4-biphenylol	neg	neg	neg	neg
2,2',4',6'-Tetrachloro-4-biphenylol	pos	pos	neg	neg
17 β -Estradiol	pos	pos		

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Le Guevel & Pakdel (2001)

Substance	Agonism		
	Yeast(<i>S.cer.BJ-ECZ</i>) hER(S)+ β -gal(S)	Yeast(<i>S.cer.BJ-ECZ</i>) rtER(S)+ β -gal(S)	Ishikawa hER(E)+CP
17 -Estradiol	pos	pos	pos
Estrone	pos	pos	pos
Diethylstilbestrol	pos	pos	pos
17 -Ethinyl estradiol	pos	pos	pos
17 -Estradiol	pos	pos	pos
Zearalanone	pos	pos	pos
Zearalenone	pos	pos	pos
-Zearalanol	pos	pos	pos
-Zearalenol	pos	pos	pos
-Zearalanol	pos	pos	pos
-Zearalenol	pos	neg	pos

Makela et al. (1994)

Substance	Agonism			
	HeLa mER(T)+CAT(T)	HeLa mER(T)+CAT(T)*	MCF-7 hER(E)+CP	T47D hER(E)+CP
Estrone			pos	pos
Coumestrol	pos	pos	pos	pos
Biochanin A		pos	pos	
Zearalenone	pos	pos	pos	
Zeranol		pos	pos	
Genistein		pos	pos	pos
17 -Estradiol	pos	pos	pos	

Matthews et al. (2001)

Substance	Agonism	
	MCF7 hER α def(T) +Luc(T)+ β -gal(T)	MCF7 hER β def(T) +Luc(T)+ β -gal(T)
Bisphenol A	pos	pos
17 -Estradiol	pos	pos
Bisphenol A glucuronide	neg	neg

Meerts et al. (2001)

Substance	Agonism	
	T47D hER(E)+Luc(S)	HEK293+ hER α (S)+Luc(S)
17 -Estradiol	pos	pos/pos
4-(2,4,6-Tribromophenoxy)phenol	neg	pos/pos
4-Phenoxyphenol	pos	pos/pos
Polybrominated diphenyl ether 30	pos	pos/pos
Polybrominated diphenyl ether 100	pos	pos/neg

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Meyers et al. (1999)

Substance	Agonism		Antagonism	
	HEC-1 hER β (T) +CAT(T)+ β -gal(T)	HEC-1 hER α (T) +CAT(T)+ β -gal(T)	HEC-1 hER β (T) +CAT(T)+ β -gal(T)	HEC-1 hER α (T) +CAT(T)+ β -gal(T)
17 -Estradiol	pos	pos		
(5R,11R)-5,11-Diethyl-5,6,11,12-tetrahydrochryse-2,8-diol	neg	pos	pos	neg
(5S,11S)-5,11-Diethyl-5,6,11,12-tetrahydrochryse-2,8-diol	pos	pos	pos	neg
(5R,11R)-5,11-Dimethyl-5,6,11,12-tetrahydrochryse-2,8-diol	neg	pos	pos	neg
(5S,11S)-5,11-Dimethyl-5,6,11,12-tetrahydrochryse-2,8-diol	pos	pos	neg	neg
5,11- <i>trans</i> -Dimethyl-5,6,11,12-tetrahydrochryse-2,8-diol	pos	pos	neg	neg
(5R,11R)-5,11-Dipropyl-5,6,11,12-tetrahydrochryse-2,8 diol	neg	pos	pos	neg
(5S,11S)-5,11-Dipropyl-5,6,11,12-tetrahydrochryse-2,8 diol	neg	pos	pos	neg
5,11- <i>trans</i> -Dipropyl-5,6,11,12-tetrahydrochryse-2,8 diol	pos	pos	neg	neg

Moore et al. (1997)

Substance	Agonism		Antagonism	
	HeLa hER(S) +Luc(S)	MCF-7 hER(E)+CP	HeLa hER(S) +Luc(S)	MCF-7 hER(E)+CP
17 -Estradiol	pos	pos		
2,2',3,3',4',5'-Hexachloro-4-biphenylol	neg	neg	neg	pos
2,2',3,4',5,5',6'-Heptachloro-4-biphenylol	pos	neg	pos	pos
2,2',3',4,4',5,5'-Heptachloro-3-biphenylol	pos	neg	pos	pos
2',3,3',4',5-Pentachloro-4-biphenylol	neg	neg	pos	pos

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Morito et al. (2001a)

Substance	Agonism		
	Yeast(S.cer.190) hER α (S)+ β -gal(S)	Yeast(S.cer.190) hER β (S)+ β -gal(S)	MCF-7 hER(E)+CP
17 -Estradiol	pos	pos	pos
Diethylstilbestrol	pos	pos	pos
Bisphenol A	pos	pos	pos
Genistein	pos	pos	pos
Daidzein	pos	pos	pos
Genistin	neg	pos	pos
Equol	pos	pos	pos
Daidzin	pos	neg	pos
Tamoxifen	neg	neg	
Dihydrogenistein	pos	pos	pos
Nonylphenol	pos	pos	pos
Dihydroglycitein	pos	pos	neg
Glycitein	pos	pos	neg
Glycitin	neg	neg	neg

Morito et al. (2001b)

Substance	Agonism		Antagonism	
	Yeast(S.cer.190) hER α (S)+ β -gal(S)	Yeast(S.cer.190) hER β (S)+ β -gal(S)	Yeast(S.cer.190) hER α (S)+ β -gal(S)	Yeast(S.cer.190) hER β (S)+ β -gal(S)
17 -Estradiol	pos	pos		
Genistein	pos	pos	neg	neg
Coumestrol	pos	pos	neg	neg
Formononetin	neg	pos	pos	<i>pos</i>
Biochanin A	neg	neg	pos	pos
Genistin	neg	neg	neg	neg
Tectorigenin	pos	pos	neg	pos
Tectoridin	neg	pos	neg	neg
Sissotorin	neg	pos	neg	neg
4-Hydroxytamoxifen	neg	neg	pos	pos
5-OMe-Genistein	neg	pos	pos	pos
7-OMe-Genistein	neg	pos	pos	pos
Irisolidone	neg	pos	pos	pos
Irisolidone-7-O-beta-D-glucoside	neg	neg	neg	neg

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Otsuka Pharmaceutical (2001)

Substance	Agonism		
	MCF-7 hER(E)+CP	CHO-K1 hER α (T)+Luc(T)†	CHO-K1 hER α (S)+Luc(S)†
17 -Estradiol	pos	pos	
2,2-Bis(p-hydroxyphenyl)-1,1,1-trichloroethane (HPTE)		pos	pos
4-Nonylphenol		pos	pos
Acrinathrin	neg	neg	
Bisphenol A		pos	pos
Bisphenol B		pos	pos
Cycloprothrin	neg	pos	
Cyfluthrin	pos	pos	
Cyhalothrin	pos	pos	
Cypermethrin	pos	neg	
Deltamethrin	pos	pos	
Diethylstilbestrol		pos	pos
Equol		pos	pos
17 -Ethinyl estradiol		pos	pos
Levonorgestrel		pos	neg
Methyltestosterone		pos	pos
p -Cumylphenol		pos	pos
Pyrethrins	neg	neg	
Tralomethrin	pos	pos	
Zearanol		pos	pos

Ramamoorthy et al. (1997a)

Substance	Agonism		
	MCF-7 hER(E)+CP	MCF-7 hER(T)+CAT(T)	Yeast(<i>S.cer.</i> BJ2168) mER(S)+ β -gal(S)
17 -Estradiol	pos	pos	pos
Dieldrin	neg	pos	pos
Toxaphene	pos	pos	pos

Ramamoorthy et al. (1997b)

Substance	Agonism				
	HepG2 hER(T) +Luc(T)+ β -gal(T)	MDA-MB-231 +hER(T)+Luc(T)	MCF-7 +hER(T)+CAT(T)	Yeast(<i>S.cer.</i> BJ3503) hER(S)+ β -gal(S)	MCF-7 hER(T)+Luc(T)
17 -Estradiol	pos	pos	pos	pos	pos
2',4',6'-Trichloro-4-biphenylol	pos	pos	pos	pos	pos
2',3',4',5'-Tetrachloro-4-biphenylol	pos	pos	pos	pos	pos

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Seinen et al. (1999)

Substance	Agonism			
	HEK293 hER α (S)+Luc(T)	HEK-293 hER α (T)+Luc(T)	HEK-293 hER β (S)+Luc(T)	HEK-293 hER β (T)+Luc(T)
17 -Estradiol	pos	pos	pos	pos
6-Acetyl-1,1,2,4,4,7-hexamethyltetraline	neg	pos	neg	neg
1,2,4,6,7,8-Hexahydro-4,6,6,7,8-hexamethylcyclopenta-y-2-benzopyrene	neg	pos	neg	neg

Sun et al. (1999)

Substance	Agonism				Antagonism	
	HEC-1 hER α (T) +CAT(T)+ β -gal(T)	HEC-1 hER α (T) +Luc(T)+ β -gal(T)	HEC-1 hER β (T) +CAT(T)+ β -gal(T)	HEC-1 hER β (T) +Luc(T)+ β -gal(T)	HEC-1 hER β (T) +CAT(T)+ β -gal(T)	HEC-1 hER β (T) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos	pos	pos		
<i>cis,cis</i> -Diethylidihydroxy tetrahydrochrysene	pos	pos	neg	neg	pos	pos
<i>R,R-cis,cis</i> -Diethylidihydroxy tetrahydrochrysene	pos		neg		pos	
<i>S,S-cis,cis</i> -Diethylidihydroxy tetrahydrochrysene	pos		pos		pos	

Tremblay et al. (1998)

Substance	Agonism				Antagonism			
	COS-1 mER α (T)+Luctk(T)	COS-1 mER α (T)+Lucb(T)	COS-1 mER β (T)+Luctk(T)	COS-1 mER β (T)+Lucb(T)	COS-1 mER α (T)+Luctk(T)	COS-1 mER α (T)+Lucb(T)	COS-1 mER β (T)+Luctk(T)	COS-1 mER β (T)+Lucb(T)
17 -Estradiol	pos	pos	pos	pos				
EM-652	neg	neg	neg	neg	pos	pos	pos	pos
4-Hydroxytamoxifen	neg	pos	neg	neg	pos	pos	pos	pos
Droloxifene	neg	pos	neg	neg	pos	pos	pos	pos
Raloxifene	neg	neg	neg	neg	pos	pos	pos	pos
Hydroxytoremifene	neg	pos	neg	neg	pos	pos	pos	pos
ICI 182,780	neg	neg	neg	neg	pos	pos	pos	pos

Vinggaard et al. (1999)

Substance	Agonism	
	MCF-7(E3) hER(E)+CP	Yeast(<i>S.cer.</i>) hER α (S)+ β -gal(S)
17 -Estradiol	pos	pos
Dicofol	neg	pos
Fenarimol	pos	pos

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Zacharewski et al. (1998)

Substance	Agonism	
	HeLa hER(S)+Luc(S)	MCF-7 hER(T) +Luc(T)+ β -gal(T)
17 -Estradiol	pos	pos
Dibutyl phthalate	neg	pos
Diethylphthalate	neg	
Butyl benzyl phthalate	pos	pos
Diethylhexyl phthalate	neg	neg
Di- <i>n</i> -octyl phthalate	neg	neg
Diisodecyl phthalate	neg	neg
Diisononyl phthalate	neg	neg
Diisoheptyl phthalate	neg	neg