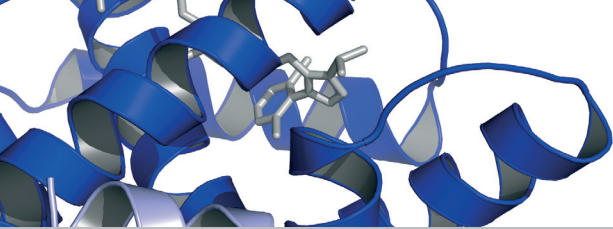


Nuclear Receptor Assay Services for Drug Discovery

Cellular Assays

The following list of Gal4-reporter assays (so-called “Mammalian-1-Hybrid” or “Mammalian-2-Hybrid” assays) can be employed for general or selectivity screening purposes.

Nuclear Receptor Trivial Name	Nuclear Receptor Systematic Name	Species	Control Agonist
TR α	NR1A1	H. sapiens	Triiodothyronine (T3)
TR β	NR1A2	H. sapiens	Triiodothyronine (T3)
RAR α	NR1B1	H. sapiens	TTNPB
RAR α (rat)	NR1B1	R. norvegicus	TTNPB
RAR β	NR1B2	H. sapiens	TTNPB
RAR β (rat)	NR1B2	R. norvegicus	TTNPB
RAR γ	NR1B3	H. sapiens	TTNPB
RAR γ (rat)	NR1B3	R. norvegicus	TTNPB
PPAR α	NR1C1	H. sapiens	GW7647
PPAR α (mouse)	NR1C1	M. musculus	GW7647
PPAR α (rat)	NR1C1	R. norvegicus	GW7647
PPAR β/δ	NR1C2	H. sapiens	GW501516
PPAR β/δ (mouse)	NR1C2	M. musculus	GW501516
PPAR β/δ (rat)	NR1C2	R. norvegicus	GW501516
PPAR γ	NR1C3	H. sapiens	Rosiglitazone (RGZ)
PPAR γ (mouse/rat)	NR1C3	M. musculus/R. norvegicus	Rosiglitazone (RGZ)
ROR α	NR1F1	H. sapiens	orphan, constitutively active
ROR α (mouse)	NR1F1	M. musculus	orphan, constitutively active
ROR β	NR1F2	H. sapiens	orphan, constitutively active
ROR β (mouse)	NR1F2	M. musculus	orphan, constitutively active
ROR γ	NR1F3	H. sapiens	Phenex proprietary tool cpd
ROR γ t	NR1F3	H. sapiens	Phenex proprietary tool cpd
ROR γ (mouse)	NR1F3	M. musculus	Phenex proprietary tool cpd
LXR α	NR1H2	H. sapiens	T0901317
LXR β	NR1H3	H. sapiens	T0901317
FXR	NR1H4	H. sapiens	GW4064
FXR (mouse)	NR1H4	M. musculus	GW4064
FXR (rat)	NR1H4	R. norvegicus	GW4064
VDR	NR1I1	H. sapiens	1,25-Dihydroxycholecalciferol (VitD3)
PXR	NR1I2	H. sapiens	SR12813
PXR (mouse)	NR1I2	M. musculus	Pregnenolone-16a-carbonitrile (PCN)
PXR (rat)	NR1I2	R. norvegicus	Pregnenolone-16a-carbonitrile (PCN)
CAR	NR1I3	H. sapiens	CITCO
CAR (mouse)	NR1I3	M. musculus	TCPOBOP
CAR (rat)	NR1I3	R. norvegicus	Clotrimazole (Clot)
HNF4 α	NR2A1	H. sapiens	orphan, constitutively active
HNF4 γ	NR2A3	H. sapiens	orphan, constitutively active
RXR α	NR2B1	H. sapiens	9-cis-Retinoic Acid (9cisRA)
RXR β	NR2B2	H. sapiens	9-cis-Retinoic Acid (9cisRA)
RXR γ	NR2B3	H. sapiens	9-cis-Retinoic Acid (9cisRA)
ER α	NR3A1	H. sapiens	17 β -Estradiol (b-Est)
ER β	NR3A2	H. sapiens	17 β -Estradiol (b-Est)
ERR α	NR3B1	H. sapiens	Diethylstilbestrol (DES)
ERR β	NR3B2	H. sapiens	published tool cpd (DY131)
ERR γ	NR3B3	H. sapiens	4-OH Tamoxifen (OHT)
GR	NR3C1	H. sapiens	Dexamethasone (DEX)
MR	NR3C2	H. sapiens	Aldosterone (Ald)
PR	NR3C3	H. sapiens	Progesterone (Prog)
AR	NR3C4	H. sapiens	Dihydrotestosterone (DHT)
SF1	NR5A1	H. sapiens	orphan, constitutively active
LRH1	NR5A2	H. sapiens	orphan, constitutively active



Nuclear Receptor Assay Services for Drug Discovery

Biochemical Assays

The following list of biochemical FRET assays can be employed for general or selectivity screening purposes.

Nuclear Receptor Trivial Name	Nuclear Receptor Systematic Name	Domain	Control Agonist
TR α	NR1A1	LBD	Triiodothyronine (T3)
TR β	NR1A2	LBD	Triiodothyronine (T3)
RAR α	NR1B1	LBD	TTNPB
RAR β	NR1B2	LBD	TTNPB
PPAR α	NR1C1	LBD	GW7647
PPAR β/δ	NR1C2	LBD	GW501516
PPAR γ	NR1C3	LBD	Rosiglitazone (RGZ)
ROR γ	NR1F3	LBD	Phenex proprietary tool cpd
ROR γ (mouse)	NR1F3	LBD	Phenex proprietary tool cpd
LXR α	NR1H2	LBD	T0901317
LXR β	NR1H3	LBD	T0901317
FXR	NR1H4	LBD	GW4064
FXR (mouse)	NR1H4	LBD	GW4064
VDR	NR1I1	LBD	1,25-Dihydroxycholecalciferol (VitD3)
PXR (SXR)	NR1I2	LBD	SR12813
RXR α	NR2B1	full length	9-cis-Retinoic Acid (9cisRA)
ER β	NR3A2	LBD	17 β -Estradiol (b-Est)
ERR α	NR3B1	LBD	Diethylstilbestrol (DES)
ERR γ	NR3B3	LBD	4-OH Tamoxifen (OHT)