Title (en)

BIOASSAY FOR IDENTIFYING ESTROGEN RECEPTOR-BETA/ALPHA SELECTIVE MODULATORS

Title (de)

BIOLOGISCHES TESTVERFAHREN ZUR IDENTIFIZIERUNG VON ALPHA/BETA - SELEKTIVEN ÖSTROGENREZEPTORMODULATOREN

Title (fr)

DOSAGE BIOLOGIQUE PERMETTANT D'IDENTIFIER DES MODULATEURS SELECTIFS DES RECEPTEURS DES OESTROGENES BETA/ ALPHA

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Application

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Abstract (en)

[origin: WO0037681A1] The present invention provides novel assay methods for identifying compounds that selectively activate estrogen receptors (ER) of the alpha or beta subtype. In particular, the results from two assays, one measuring ER- beta activity and the other measuring ER- alpha activity are interpreted. The assay measuring ER- beta activity uses cells comprising endogenous metallothionein-II as well as a DNA plasmid comprising a polynucleotide encoding human ER- beta . The assay monitors expression of metallothionein-II-mRNA in said cells, wherein the level of metallothionein-II expression is regulated when a potential ligand binds to ER- beta . The assay measuring ER- alpha activity uses cells comprising ER- alpha as well as DNA plasmid comprising a reporter gene linked to an estrogen response element. The assay monitors expression of the reporter gene, wherein the level of reporter gene is regulated when a potential ligand binds to ER- alpha . Compounds which modulate activity in one assay but have little or no activity in the other assay are defined as estrogen receptor subtype selective.

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