

Title (en)

METHOD FOR SCREENING FOR RECEPTOR AGONISTS AND ANTAGONISTS

Title (de)

EINE SCREENING-METHODE FÜR REZEPTOR AGONISTEN UND ANTAGONISTEN

Title (fr)

PROCEDES DE DEPISTAGE D'AGONISTES ET D'ANTAGONISTES DE RECEPTEURS

Publication

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Application

**EP 96921406 A 19960606**

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Abstract (en)

[origin: WO9641013A1] This invention relates to a method for identifying a receptor agonist or antagonist by providing a nucleic acid encoding a receptor having a first TAF region able to activate transcription from a promotor, and a second TAF region mutated to have the functional context of the second TAF region, but not able on its own to activate transcription of the promoter. The nucleic acid is provided within a cell unable to exhibit transcription from the promoter in the presence of the second TAF region alone, but able to exhibit transcription from the promoter in the presence of the first TAF region. The cell further includes a reporter construct containing the promoter operatively linked to a reporter gene. The reporter gene is transcribed when the promoter is activated in the presence of the first TAF region. The method further includes contacting the cell with a potential agonist or antagonist, under conditions in which contact of the cell with a known agonist or antagonist of the receptor increases or decreases transcription from the promoter and the level of the product of the reporter construct. Finally, the method involves measuring the level of increase of the product of the reporter construct as an indication of the agonist activity of the potential agonist. The method is applicable to intracellular receptors including ER, AR, PR and GR.

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