

# In vitro reverse pharmacology for characterising ligand-receptor interactions

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## Organisation

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**Country** Belgium

**Geographical Area** Flemish Region

## SCOPE OF THE METHOD

<b>The Method relates to</b>	Animal health, Human health
<b>The Method is situated in</b>	Basic Research, Translational - Applied Research
<b>Type of method</b>	In vitro - Ex vivo
<b>Species from which cells/tissues/organs are derived</b>	Mammalian cell lines for heterologous expression
<b>Type of cells/tissues/organs</b>	Mammalian cell lines for heterologous expression

## DESCRIPTION

### Method keywords

reverse pharmacology

GPCR deorphanization

ligand-receptor screening  
cell culture

### **Scientific area keywords**

pharmacology  
neurobiology  
signal transduction  
GPCR signaling

### **Method description**

Reverse pharmacology is a high-throughput *in vitro* method to characterise ligand-receptor interactions. In this method, a receptor of interest is expressed in a heterologous cell line and used as a hook to fish out its ligand(s) from a library of synthetic compounds. Receptor activation is measured by monitoring secondary messengers, such as the release of calcium from intracellular storage sites, using fluorescent or bioluminescent indicators. The method can be used for high-throughput screening of ligand-receptor interactions and for in depth follow-up studies characterising the potency, affinity and downstream signalling pathways of ligand-receptor couples.

### **Lab equipment**

This method requires an automated liquid handling system that can simultaneously detect fluorescence and/or bioluminescent signals, e.g. a FLIPR system. It also requires standard facilities for cell culture.

### **Method status**

Published in peer reviewed journal

## **PROS, CONS & FUTURE POTENTIAL**

### **Advantages**

The main advantage of reverse pharmacology is its amenability for high-throughput screening, providing the ability to perform large-scale screens of ligand-receptor interactions. In addition, no prior knowledge on downstream signalling pathways is

required to monitor receptor activation.

## REFERENCES, ASSOCIATED DOCUMENTS AND OTHER INFORMATION

### References

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