

Ref. P30208

The endocannabinoid system (ECS) is a neuromodulatory system that comprises two receptors, cannabinoid type 1 and type 2 (CB1R and CB2R).

CB1R and CB2R are members of the class A G protein-coupled receptor (GPCR) family and they are coupled to the Gi/o protein to inhibit adenylyl cyclase activity leading to a decrease of cAMP levels.

The cannabinoid receptors have become relevant pharmaceutical targets as the regulation of CB1R and CB2R could lead to potential promising therapies for regulating pain, inflammation, oxidative stress and even neurological disorders.

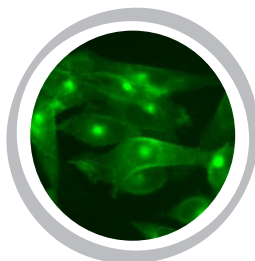
CB2R agonists are supposed to lack psychotropic effects, so the receptor has gained attention lately as an attractive target for immunomodulatory, anti-inflammatory or pain related responses.



Innoprot

GPCR INTERNALIZATION

CB2R INTERNALIZATION ASSAY



Product Name: CB2-tGFP cell line

Reference: P30208

Recp. Official Full Name: Cannabinoid receptor 2

DNA Accession Number: NM_001841

Host Cell: CHO-K1

Resistance: Puromycin

Quantity: > 3 x 10⁶ cells / vial

Storage: Liquid Nitrogen



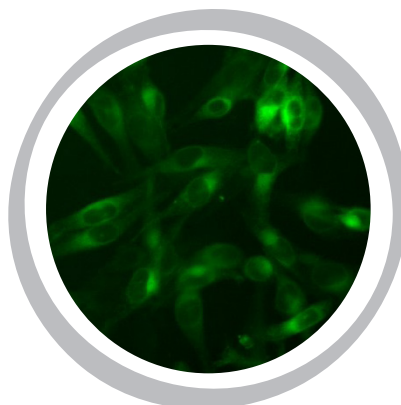
About CB2-tGFP (CHO-K1 cell line)

Each vial of CB2-tGFP cell line contains CHO-K1 cells that express the Cannabinoid receptor 2 receptor tagged with the turbo green fluorescent protein (tGFP).

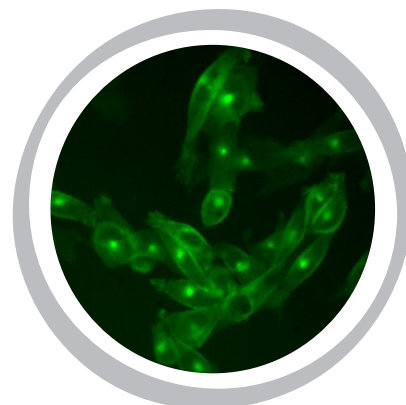
This cell line has been designed to assay compounds or analyze their capability to modulate the activity of the Cannabinoid receptor 2. When a ligand binds to the CB2R receptor a G protein is activated, which in turn, triggers a cellular response and the subsequent internalization of the receptor.

This highly reproducible assay has been validated by image analysis using CP-55940 as agonist.

Control



CP-55940





Internalization Assay

In the agonism assay, CB2-tGFP CHO-K1 cells, stably expressing Cannabinoid receptor 2 (CB2R), were stimulated with increasing dilutions of CP-55940 during 2h (n=5) (Fig. 1).

In the antagonism assay, CB2-tGFP CHO-K1 cells, stably expressing Cannabinoid receptor 2 (CB2R), were stimulated with increasing dilutions of SR144528 with a constant concentration of 10 μ M CP-55940 during 2h (n=5) (Fig. 2).



Internalization analysis

The image analysis quantified the average number of granules per cytosol. The EC_{50} and IC_{50} values and Z' factors were calculated for CP-55940 and SR144528, respectively.

EC_{50} : 6.73×10^{-7} M
 Z' : 0.85 ± 0.01

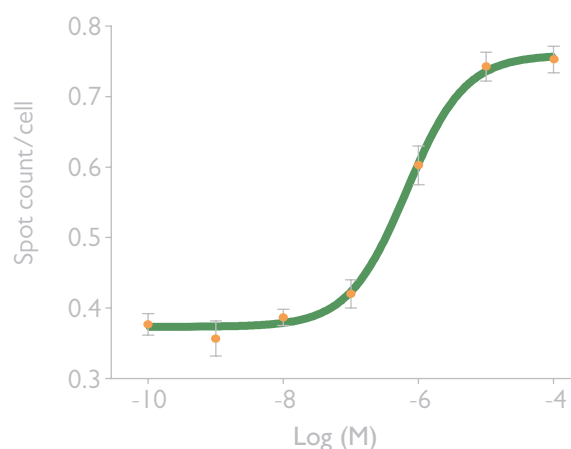


Figure 1. Dose-response curve for CB2R agonist. Concentration response curve for CP-55940 in the internalization of the Cannabinoid receptor 2.

EC_{50} : 1.57×10^{-6} M
 Z' : 0.76 ± 0.01

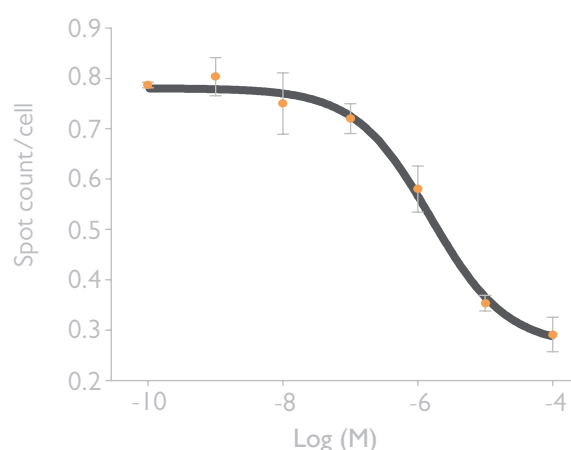


Figure 2. Dose-response curve for CB2R antagonist. Concentration response curve for SR144528 in the internalization of the Cannabinoid receptor 2.