**Product name:** TACR1 (NKR1 or SPR) / HEK293 cell line

**Ec₅₀ Substance P:** $1.4 \times 10^{-8}$ M

**Z':** 0.84 +/- 0.01
### HiTSeeker CELL LINES (LABEL-FREE GPCRS)

#### TACHYKININ RECEPTOR 1 CELL LINE

<table>
<thead>
<tr>
<th><strong>Product Name:</strong></th>
<th>TACR1(NK1)/HEK293</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Official Full Name:</strong></td>
<td>Tachykinin receptor 1</td>
</tr>
<tr>
<td><strong>DNA Accession Number:</strong></td>
<td>GenBank: AY462098</td>
</tr>
<tr>
<td><strong>Host Cell:</strong></td>
<td>HEK293</td>
</tr>
<tr>
<td><strong>Format:</strong></td>
<td>Cryopreserved vials</td>
</tr>
<tr>
<td><strong>Resistance:</strong></td>
<td>Puromycin</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>P30129: 2 vials of $3 \times 10^6$ proliferative cells&lt;br&gt;P30129-DA: 1 vial of $2.5 \times 10^6$ division-arrested cells</td>
</tr>
<tr>
<td><strong>Storage:</strong></td>
<td>Liquid Nitrogen</td>
</tr>
</tbody>
</table>

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#### Assay Briefly description

Each vial of HiTSeeker TACR1 contains HEK293 cells stablyexpressing human Tachykinin receptor 1 (TACR1) with no tag.

HiTSeeker TACR1 cell line has been designed to
assay compounds or analyze their capability to
modulate Tachykinin receptor 1. When the
agonist binds to TACR1 a G protein is
activated, which in turn, triggers a cellular
response mediated by second messengers
(Calcium).

This cell line has been validated measuring
calcium increase in the cytosol. The high
reproducibility of this assay allows monitoring
TACR1 activation process in High Throughput
Screening.

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#### About TACR1

Tachykinin receptor 1 (TACR1) also known as
neurokinin 1 receptor (NKR) or substance P
receptor (SPR) belongs to a family of proteins
characterized by the interaction with G proteins.

TACR1 is localized both in the central nervous
system (CNS) and peripheral tissues.

Tachykinin receptor 1 presents great affinity for
Substance P agonist.

This receptor is an interesting drug target in
the studies about analgesics and anti-depressants.
**Assay Characterization**

Our expression plasmid contains the coding sequence of human TACR1 receptor protein. Our plasmid was transfected in HEK293 cells. Resistant clones were obtained by limit dilution and receptor gene expression was tested by RT-PCR using GAPDH as internal control (Fig.1).

![GAPDH TACR1 RT-PCR](image)

**Validation of TACR1 cell line**

**Calcium assay (EC< sub >50 = 1.4 x 10^-8 M)**

A typical fluorescent calcium assay was performed using Fura-2/AM ratiometric. Calcium increase inside the cell was measured using the ratio of the fluorescence from Fura2 bound and not bound to the ion. Image acquisition was performed using a “BD Pathway 855” High-Content Bioimager from BD Biosciences.

Cells were incubated with Fura2-AM and treated with increasing Substance P concentrations.

![Substance P dose response in calcium assay](image)

**Fig.2. Substance P dose response in calcium assay.** Cells were treated with Substance P concentrations ranging from 0 to 10 µM by quadruplicate. The EC50 for Substance P was 1.4x10^-8 M. The calcium assay was validated with a Z’ = 0.84 for High Content Screening.