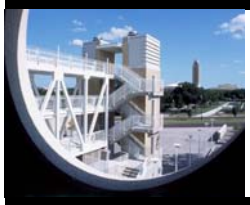

UNT HEALTH SCIENCE CENTER

Cell Line for Unambiguous Screening of Sigma$_1$ Receptor Ligands

Learn more!
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Research Tool
2007-108

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Publications

"An unambiguous assay for the cloned human sigma1 receptor reveals high affinity interactions with dopamine D4 receptor selective compounds and a distinct structure affinity relationship for butyrophenones." Eur. J. Pharmaco 123:578 (2008)

"A prototypical sigma-1 receptor antagonist protects against brain ischemia." Brain Res 1(9): 1181 (2007)

"Repurposing old drugs for the treatment of acute ischemic cerebral stroke: an in silico retrospective analysis in a human population." Proceedings of the Int. Forensic and Med. Sci. Conf., Thailand. (2008)

Application

- Method eliminates ambiguity associated with other sigma1 receptor assays: high expression of the cloned human isoform in a cell line with undetectable levels of background signal.
- Ideal for high-throughput screening (HTS) of sigma1 receptor ligands
- Alternative to assays based on membranes sourced from whole tissue

Details

- Used full length coding region of the cloned human sigma1 receptor DNA (Genbank accession no. BC004899, ATCC MGC-3851).
- Transfected into human breast adenocarcinoma MCF-7 cells with a pcDNA3.1 vector - stable expression after dozens of passages.
- Untransfected cells show no detectable specific binding for the commercially available sigma1 receptor radioligand [3H]-(+)-pentazocine.
- Ultra high sigma1 receptor expression levels (~100pmoles/mg membrane protein) allow more assay points and higher signal detection with less cells.
- Cloned cells adapted for culturing in 10% bovine calf serum (BCS), an affordable, viable alternative to fetal calf serum (FCS).
- Proof of concept demonstrated with binding studies on over thirty compounds representing a wide structural variety, including antidepressants, drugs of abuse, neurosteroids, antipsychotics and Sigma1 receptor selective ligands.

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