# Neurotensin

**Overview:** Neurotensin receptors (provisional nomenclature) are activated by the endogenous tridecapeptide neurotensin (pGlu-Leu-Tyr-Glu-Asn-Lys-Pro-Arg-Arg-Pro-Tyr-Ile-Leu) derived from a precursor (ENSG00000133636), which also generates neuromedin N, an agonist at the $NTS_2$ receptor. A non-peptide antagonist, SR142948A, shows high affinity ($pK_i$ ~9) at both $NTS_1$ and $NTS_2$ receptors (Gully *et al*., 1997). [$^3$H]-Neurotensin and [$^{125}$I]-neurotensin may be used to label $NTS_1$ and $NTS_2$ receptors at 0.1–0.3 and 3–5 nM concentrations respectively.

| | | |
|---|---|---|
| Nomenclature | $NTS_1$ | $NTS_2$ |
| Other names | High-affinity neurotensin receptor, NTRH, NTR-1, $NT_1$ | Low-affinity neurotensin receptor, NTRL, NTR-1, $NT_2$ |
| Ensembl ID | ENSG00000101188 | ENSG00000169006 |
| Principal transduction | $G_{q/11}$ | $G_{q/11}$ |
| Rank order of potency | Neurotensin > neuromedin N (Hermans *et al.* (1997) | Neurotensin = neuromedin N (Mazella *et al*., 1996) |
| Selective agonists | JMV449 (Souaze *et al.*, 1997) | Levocobastine (Mazella *et al*., 1996) |
| Selective antagonists | SR48692 (7.5–8.2; Gully *et al*., 1997) | – |
| Probes | [$^3$H]-SR48692 (3.4 nM; Labbe-Jullie *et al*., 1995) | – |

Neurotensin appears to be a low-efficacy agonist at the $NTS_2$ receptor (Vita *et al*., 1998), while the $NTS_1$ receptor antagonist SR48692 is an agonist at $NTS_2$ receptors (Vita *et al*., 1998). An additional protein, provisionally termed NTS3 (also known as NTR3, gp95 and sortilin; ENSG00000134243), has been suggested to bind lipoprotein lipase and mediate its degradation (Nielsen *et al*., 1999). It has been reported to interact with the $NTS_1$ receptor (Martin *et al*., 2002) and has been implicated in hormone trafficking and/or neurotensin uptake.

**Abbreviations: JMV449**, *H*-Lys$\psi$ ($CH_2NH$)-Lys-Pro-Tyr-Ile-Leu; **SR142948A**, 2-([5-{2,6-dimethoxyphenyl}-1-{4-(*N*-[3-dimethylaminopropyl]-*N*-methylcarbamoyl)-2-isopropylphenyl}-1*H*-pyrazole-3-carbonyl]amino)adamantane-2-carboxylic acid hydrochloride; **SR48692**, 2-([1-{7-chloro-4-quinolinyl}-5-{2,6-dimethoxyphenyl}pyrazol-3-yl]carboxylamino)tricyclo(3.3.1.1.[3.7])decan-2-carboxylic acid

### Further Reading


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