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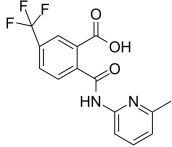
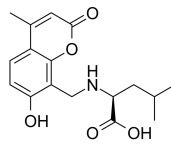
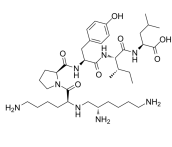
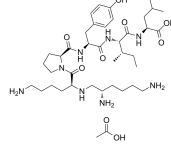
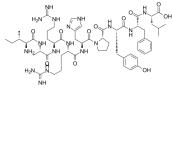
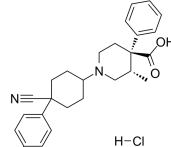
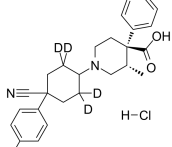
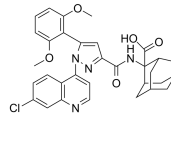
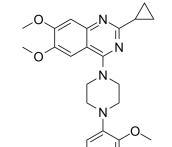
Inhibitors, Screening Libraries, Proteins

Neurotensin Receptor

The neuropeptide neurotensin (NT) exerts central actions that include hypothermia, analgesia, and a number of effects that involve the modulation of nigrostriatal and mesocortico-limbic dopaminergic pathways. The two neurotensin receptor subtypes known to date, NTR1 and NTR2, belong to the family of G-protein-coupled receptors with seven putative transmembrane domains (TM). The NTR1 has high affinity for neurotensin, whereas the NTR2 has lower affinity for the peptide and is selectively recognized by levocabastine, an anti-histamine H1 receptor antagonist. These receptors have widespread, though not identical, central and peripheral distributions and exhibit distinct ontogenic profiles.

It is notably reported that NTR1 activation results in significant antinociception but also causes marked hypotension and hypothermia. In sharp contrast, NTR2 has emerged as an important pain target because NTR2-selective analogues exhibit potent analgesic activity in both acute and chronic pain conditions in dose-dependent analgesic effects without inducing drop in blood pressure or body temperature.

Neurotensin Receptor Agonists, Inhibitors, Antagonists, Modulators & Activators

<p>AF38469</p> <p>Cat. No.: HY-12802</p>	<p>AF40431</p> <p>Cat. No.: HY-124673</p>
<p>AF38469 is a selective, orally bioavailable Sortilin inhibitor with an IC_{50} value of 330 nM.</p>  <p>Purity: 99.28% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>AF40431, the first reported small-molecule ligand of sortilin, has an IC_{50} of 4.4 μM and a K_d of 0.7 μM. AF40431 is bound in the neurotensin-binding site of sortilin.</p>  <p>Purity: 99.17% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>JMV 449</p> <p>Cat. No.: HY-P1256</p>	<p>JMV 449 acetate</p> <p>Cat. No.: HY-P1256C</p>
<p>JMV 449 is a potent neurotensin receptor agonist. JMV 449 shows an IC_{50} of 0.15 nM for inhibition of [^{125}I]-neurotensin binding to neonatal mouse brain and an EC_{50} of 1.9 nM in contracting the guinea-pig ileum.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>JMV 449 acetate is a potent neurotensin receptor agonist. JMV 449 acetate shows an IC_{50} of 0.15 nM for inhibition of [^{125}I]-neurotensin binding to neonatal mouse brain and an EC_{50} of 1.9 nM in contracting the guinea-pig ileum.</p>  <p>Purity: 99.84% Clinical Data: No Development Reported Size: 5 mg</p>
<p>Kinetensin (Kinetensin (human))</p> <p>Cat. No.: HY-P1255</p>	<p>Levocabastine hydrochloride (R 50547 hydrochloride)</p> <p>Cat. No.: HY-14277A</p>
<p>Kinetensin is a neurotensin-like peptide isolated from pepsin-treated human plasma.</p>  <p>Purity: 99.21% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>	<p>Levocabastine (R 50547) hydrochloride is a long acting, highly potent and selective histamine H1-receptor antagonist with anti-allergic activity.</p>  <p>Purity: ≥98.0% Clinical Data: Launched Size: 5 mg</p>
<p>Levocabastine-d4 hydrochloride (R 50547-d4 hydrochloride)</p> <p>Cat. No.: HY-14277AS</p>	<p>Meclinetant (SR 48692)</p> <p>Cat. No.: HY-105189</p>
<p>Levocabastine-d4 (R 50547-d4) hydrochloride is the deuterium labeled Levocabastine hydrochloride. Levocabastine (R 50547) hydrochloride is a long acting, highly potent and selective histamine H1-receptor antagonist with anti-allergic activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Meclinetant (SR 48692) is a potent, selective, nonpeptide and orally active neurotensin receptor 1 (NTS1) antagonist.</p>  <p>Purity: 98.05% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg</p>
<p>ML314</p> <p>Cat. No.: HY-16639</p>	<p>Neurotensin</p> <p>Cat. No.: HY-P0234</p>
<p>ML314 is a potent molecule agonist of NTR1 (EC_{50} = 1.9 μM); showed good selectivity against NTR2 and GPR35, but did not stimulate Ca^{2+} mobilization.</p>  <p>Purity: 99.82% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Neurotensin, a gut tridecapeptide, acts as a potent cellular mitogen for various colorectal and pancreatic cancers which possess high-affinity neurotensin receptors (NTR).</p> <p>Pyr-LYENKPRRPYIL</p> <p>Purity: 97.40% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 25 mg</p>

<p>Neurotensin(8-13)</p> <p style="text-align: right;">Cat. No.: HY-P0251</p>	<p>NTRC-824</p> <p style="text-align: right;">Cat. No.: HY-12436</p>
<p>Neurotensin (8-13) is an active fragment of Neurotensin. Neurotensin(8-13) results in a decrease in cell-surface NT1 receptors (NTR1) density.</p> <p>Purity: ≥98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg, 25 mg</p>	<p>NTRC-824 (Compound 5) is a potent, selective and neurotensin-like nonpeptide neurotensin receptor type 2 (NTS2) antagonist with an IC_{50} of 38 nM and a K_i of 202 nM. NTRC-824 is >150-fold selectivity for NTS2 over NTS1 ($K_i > 30 \mu M$).</p> <p>Purity: ≥98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg</p>
<p>SBI-553</p> <p style="text-align: right;">Cat. No.: HY-125880</p>	<p>SORT-PGRN interaction inhibitor 1</p> <p style="text-align: right;">Cat. No.: HY-115213</p>
<p>SBI-553 is a potent and brain penetrant NTR1 allosteric modulator, with an EC_{50} of 0.34 μM.</p> <p>Purity: 98.85%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>SORT-PGRN interaction inhibitor 1 is a potent inhibitor of the sortilin-progranulin interaction with an IC_{50} of 2 μM.</p> <p>Purity: 98.49%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 100 mg, 250 mg</p>
<p>VGD071</p> <p style="text-align: right;">Cat. No.: HY-139668</p>	<p>Zendusortide</p> <p style="text-align: right;">Cat. No.: HY-P3391</p>
<p>VGD071, a sortilin-targeting compound, is a promising candidate for future studies using mouse breast cancer models.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Zendusortide is a sortilin binding peptide.</p> <p style="text-align: right;">Ac-GVRAKAGVRN(Nle)FKSESY</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>[D-Trp11]-Neurotensin</p> <p style="text-align: right;">Cat. No.: HY-P3057</p>	<p>[Lys8, Lys9]-Neurotensin (8-13) (JMV438)</p> <p style="text-align: right;">Cat. No.: HY-P2544</p>
<p>[D-Trp11]-Neurotensin, an analogue of Neurotensin (NT), is a selective antagonist of NT in perfused rat hearts but behaves as a full agonist in guinea pig atria and rat stomach strips. [D-Trp11]-Neurotensin can inhibit NT-induced hypotension.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>[Lys8, Lys9]-Neurotensin (8-13) (JMV438), a Neurotensin analog, exerts its analgesic effects through activation of the G protein-coupled receptors NTS1 and NTS2, with K_i values of 0.33 nM and 0.95 nM for hNTS1 and hNTS2 receptors, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>