Serotonin Transporter

5-HTT; SERT; SLC6A4

Serotonin Transporters (SERTs) are integral membrane proteins that transport serotonin from synaptic spaces into presynaptic neurons. SERTs function by reuptaking serotonin in the synaptic cleft, effectively terminating the function of serotonin and halting neuronal transmission. Serotonin reuptake is a critical process to prevent overstimulation of nerves.

Serotonin transporter (SERT) regulates extracellular levels of serotonin (5-hydroxytryptamine, 5HT) in the brain by transporting 5HT into neurons and glial cells. The human SERT (hSERT) is the primary target for drugs used in the treatment of emotional disorders, including depression. hSERT belongs to the solute carrier 6 family that includes a bacterial leucine transporter (LeuT), for which a high resolution crystal structure has become available.
### Serotonin Transporter Inhibitors & Antagonists

| **Amitifadine hydrochloride**  
(DOV-21947 hydrochloride; EB-1010 hydrochloride) | **Azaphen**  
(Azafen; Pipofezin hydrochloride; Pipofezine hydrochloride) |
|---------------------------------------------------|---------------------------------------------------------------|
| Amitifadine hydrochloride is a serotonin-norepinephrine-dopamine reuptake inhibitor (SNDRD), with IC₅₀ of 12, 23, 96 nM for serotonin, norepinephrine and dopamine in HEK 293 cells, respectively.  
 **Purity:** 99.92%  
 **Clinical Data:** Phase 3  
 **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg | Pipofezine(Azafen or Azaphen) is a potent inhibitor of the reuptake of serotonin.  
 **Purity:** >98%  
 **Clinical Data:** Launched  
 **Size:** 100 mg, 500 mg |

| **Azaphen dihydrochloride monohydrate**  
(Azafen dihydrochloride monohydrate; Pipofezin dihydrochloride monohydrate) | **Centanafadine**  
(EB-1020) |
|------------------------------------------------------------------|-------------------------------------------------------------|
| Pipofezine(Azafen or Azaphen) is a potent inhibitor of the reuptake of serotonin.  
 **Purity:** 99.60%  
 **Clinical Data:** Launched  
 **Size:** 100 mg, 500 mg | Centanafadine is dual norepinephrine (NE)/dopamine (DA) transporter inhibitor, also inhibits serotonin transporter, with IC₅₀ of 6 nM, 38 nM and 83 nM for human NE, DA and serotonin transporter, respectively.  
 **Purity:** >98%  
 **Clinical Data:** No Development Reported  
 **Size:** 250 mg, 500 mg |

| **Centanafadine hydrochloride**  
(EB-1020 (hydrochloride)) | **Cinchonidine**  
(α-Quinidine) |
|--------------------------------|---------------|
| Centanafadine (hydrochloride) is dual norepinephrine (NE)/dopamine (DA) transporter inhibitor, also inhibits serotonin transporter, with IC₅₀ of 6 nM, 38 nM and 83 nM for human NE, DA and serotonin transporter, respectively.  
 **Purity:** >98%  
 **Clinical Data:** No Development Reported  
 **Size:** 250 mg, 500 mg | Cinchonidine (α-Quinidine) is a cinchona alkaloid found in Cinchona officinalis and Gongronema latifolium. A building block used in asymmetric synthesis in organic chemistry.  
 **Purity:** >98.0%  
 **Clinical Data:** No Development Reported  
 **Size:** 10 mM × 1 mL, 100 mg |

| **Citalopram hydrobromide**  
((±)-Citalopram hydrobromide; Lu 10-171) | **Clomipramine D3** |
|-------------------------------------------|-------------------|
| Citalopram hydrobromide is an antidepressant drug of the selective serotonin reuptake inhibitor (SSRI) class. It has US FDA approval to treat major depression.  
 **Purity:** >99.0%  
 **Clinical Data:** Launched  
 **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg | Clomipramine D3 is the deuterium labeled Clomipramine, which is a highly selective inhibitor of serotonin reuptake.  
 **Purity:** >98%  
 **Clinical Data:** No Development Reported  
 **Size:** 1 mg, 5 mg, 10 mg |

| **Clomipramine hydrochloride** | **Dapoxetine hydrochloride**  
(LY-210448 hydrochloride) |
|------------------------------|-----------------------------|
| Clomipramine hydrochloride is a serotonin transporter (SERT), norepinephrine transporter (NET) dopamine transporter (DAT) blocker with Ki of 0.14, 54 and 3 nM, respectively.  
 **Purity:** 99.72%  
 **Clinical Data:** Launched  
 **Size:** 10 mM × 1 mL, 100 mg, 500 mg | Dapoxetine hydrochloride is a short-acting novel selective serotonin reuptake inhibitor (SSRI).  
 **Purity:** >98%  
 **Clinical Data:** Launched  
 **Size:** 10 mM × 1 mL, 50 mg, 100 mg |

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Dasotraline
(Sep 225289)

Dasotraline is a triple reuptake inhibitor that blocks dopamine, norepinephrine, and serotonin transporters with IC\textsubscript{50} values of 4, 6, and 11 nM, respectively.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Dasotraline hydrochloride
(Sep-225289 hydrochloride)

Dasotraline hydrochloride is a triple reuptake inhibitor that blocks dopamine, norepinephrine, and serotonin transporters with IC\textsubscript{50} values of 4, 6, and 11 nM, respectively.

**Purity:** 99.60%
**Clinical Data:** Phase 3
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Desvenlafaxine
(O-Desmethylvenlafaxine)

Desvenlafaxine is a serotonin (5-HT) and norepinephrine (NE) reuptake inhibitor with Ki of 40.2 nM and 558.4 nM, respectively.

**Purity:** >98.0%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 5 mg, 10 mg

Desvenlafaxine succinate hydrate
(O-Desmethylvenlafaxine succinate hydrate)

Desvenlafaxine succinate hydrate is an antidepressant of the serotonin-norepinephrine reuptake inhibitor (SNRI).

**Purity:** >99.76%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 10 mg, 50 mg

Dopamine serotonin antagonist-1

Dopamine serotonin antagonist-1 is a dual dopamine and serotonin receptor antagonist with Ki of 200, 2500, 420, 39, 84, 40 nM for dopamine D1, D2, D4, and serotonin S2A, S2C, S3, respectively.

**Purity:** 99.79%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

DSP-1053

DSP-1053 is a potent Serotonin Transporter (SERT) (K\textsubscript{i} = 1.02 nM) inhibitor with partial 5-HT1A receptor (K\textsubscript{i} = 5.05 nM) agonistic activity.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 100 mg, 250 mg, 500 mg

Doxepin Hydrochloride

Doxepin Hydrochloride is a tricyclic antidepressant that is marketed worldwide.

**Purity:** 99.41%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 1 g, 5 g, 10 g

Duloxetine
((S)-Duloxetine; LY248686)

Duloxetine is a serotonin-norepinephrine reuptake inhibitor with Ki of 4.6 nM, used for treatment of major depressive disorder and generalized anxiety disorder (GAD). Target: SNRIs Duloxetine inhibits the reuptake of serotonin and norepinephrine in the central nervous system.

**Purity:** >98%
**Clinical Data:** Launched
**Size:** 10 mg, 50 mg

Duloxetine hydrochloride
((S)-Duloxetine hydrochloride; LY-248686 hydrochloride)

Duloxetine hydrochloride is a serotonin-norepinephrine reuptake inhibitor (SNRI) with Ki of 4.6 nM, used for treatment of major depressive disorder and generalized anxiety disorder (GAD).

**Purity:** 99.92%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

DSP-1053

DSP-1053 is a potent Serotonin Transporter (SERT) (K\textsubscript{i} = 1.02 nM) inhibitor with partial 5-HT1A receptor (K\textsubscript{i} = 5.05 nM) agonistic activity.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 100 mg, 250 mg, 500 mg

Duloxetine hydrochloride
((S)-Duloxetine hydrochloride; LY-248686 hydrochloride)

Duloxetine hydrochloride is a serotonin-norepinephrine reuptake inhibitor (SNRI) with Ki of 4.6 nM, used for treatment of major depressive disorder and generalized anxiety disorder (GAD).

**Purity:** 99.92%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

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Eplivanserin mixture
(SR-46349 (mixture))
Cat. No.: HY-10792A

Eplivanserin mixture is a selective serotonin reuptake inhibitor and a 5-HT1A receptor antagonist, extracted from patent WO 2005/002578 A1.

Purity: 99.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Escitalopram
((S)-Citalopram; S-(+)-Citalopram)
Cat. No.: HY-14258

Escitalopram is a selective serotonin reuptake inhibitor (SSRI) with Ki of 0.89 nM. Target: SSRIs. Escitalopram, the S-enantiomer of citalopram, belongs to a class of antidepressant agents known as selective serotonin-reuptake inhibitors (SSRIs).

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Escitalopram oxalate
((S)-(+)Citalopram oxalate)
Cat. No.: HY-14258A

Escitalopram oxalate ((S)-(+)Citalopram oxalate) is a selective serotonin reuptake inhibitor (SSRI) with Ki of 0.89 nM.

Purity: 99.98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Fluoxetine
(LY-110140 (free base))
Cat. No.: HY-B0102

Fluoxetine (LY-110140 free base) is a selective serotonin reuptake inhibitor (SSRI) class used for antidepressant research.

Purity: >98%
Clinical Data: Launched
Size: 50 mg, 100 mg, 500 mg

Fluoxetine hydrochloride
(LY-110140)
Cat. No.: HY-B0102A

Fluoxetine hydrochloride is an antidepressant and a selective serotonin reuptake inhibitor.

Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 500 mg

Fluvoxamine
(DU-23000)
Cat. No.: HY-B0103

Fluvoxamine (DU-23000) is an antidepressant which functions pharmacologically as a selective serotonin reuptake inhibitor.

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Fluvoxamine maleate
(DU-23000 (maleate))
Cat. No.: HY-B0103A

Fluvoxamine (maleate) (DU-23000 (maleate)) is an antidepressant which functions pharmacologically as a selective serotonin reuptake inhibitor.

Purity: 99.85%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Imipramine hydrochloride
Cat. No.: HY-B1490

Imipramine hydrochloride inhibits serotonin transporter with an IC_{50} value of 32 nM in vitro.

Purity: 99.93%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Milnacipran
Cat. No.: HY-B0168

Milnacipran is a serotonin-norepinephrine reuptake inhibitor (SNRI) used in the clinical treatment of fibromyalgia.

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Milnacipran (1S-cis) hydrochloride
(Levomilnacipran hydrochloride; F-2695 hydrochloride)
Cat. No.: HY-B0168B

Milnacipran (1S-cis) hydrochloride is a serotonin-norepinephrine reuptake inhibitor (SNRI), used in the clinical treatment of fibromyalgia.

Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
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<tbody>
<tr>
<td>Milnacipran hydrochloride</td>
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<tr>
<td>Nitroxazepine</td>
<td>HY-101684</td>
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<td>Paroxetine hydrochloride (BRL29060 hydrochloride; BRL29060A)</td>
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<td>Sertraline hydrochloride</td>
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<td>SPD-473 citrate</td>
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<td>Venlafaxine hydrochloride (Wy 45030 hydrochloride)</td>
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<td>Vilazodone (EMD 68843; SB659746A)</td>
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<td>Vilazodone D8</td>
<td>HY-14261S</td>
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**Milnacipran hydrochloride**

Milnacipran hydrochloride is a serotonin-norepinephrine reuptake inhibitor (SNRI) used in the clinical treatment of fibromyalgia.

- **Purity:** 99.40%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

**Nitroxazepine**

Nitroxazepine is a tricyclic antidepressant (TCA) for the treatment of depression. Nitroxazepine acts as a serotonin-norepinephrine reuptake inhibitor.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg, 10 mg, 20 mg

**Paroxetine hydrochloride (BRL29060 hydrochloride; BRL29060A)**

Paroxetine hydrochloride is a potent selective serotonin-reuptake inhibitor, commonly prescribed as an antidepressant and has GRK2 inhibitory ability with IC₅₀ of 14μM.

- **Purity:** >99.0%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 100 mg, 500 mg

**Paroxetine hydrochloride hemihydrate (BRL29060 hydrochloride hemihydrate; BRL29060A hemihydrate)**

Paroxetine hydrochloride hemihydrate is a potent selective serotonin-reuptake inhibitor, commonly prescribed as an antidepressant and has GRK2 inhibitory ability with IC₅₀ of 14μM.

- **Purity:** >98%
- **Clinical Data:** Launched
- **Size:** 100 mg, 500 mg

**Sertraline hydrochloride**

Sertraline hydrochloride is an antidepressant of the selective serotonin reuptake inhibitor (SSRI) class.

- **Purity:** 99.90%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

**SPD-473 citrate**

SPD-473 citrate is a serotonin/dopamine/norepinephrine reuptake inhibitor.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg, 10 mg, 20 mg

**Venlafaxine (Wy 45030)**

Venlafaxine is an antidepressant of the serotonin-norepinephrine reuptake inhibitor (SNRI) class. Target: SNRI Venlafaxine is an antidepressant of the serotonin-norepinephrine reuptake inhibitor (SNRI) class.

- **Purity:** >98%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

**Venlafaxine hydrochloride (Wy 45030 hydrochloride)**

Venlafaxine hydrochloride is an antidepressant of the serotonin-norepinephrine reuptake inhibitor (SNRI) class.

- **Purity:** 99.87%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg

**Vilazodone (EMD 68843; SB659746A)**

Vilazodone (EMD 68843; SB 659746A) is a combined serotonin specific reuptake inhibitor (SSRI) and 5-HT1A receptor partial agonist currently under clinical evaluation for the treatment of major depression.

- **Purity:** 99.91%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg

**Vilazodone D8**

Vilazodone D8 is the a deuterium labeled vilazodone, which is a combined serotonin specific reuptake inhibitor (SSRI) and 5-HT1A receptor partial agonist.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg
Vilazodone Hydrochloride (EMD 68843 Hydrochloride; SB659746A Hydrochloride)  Cat. No.: HY-14261

Vilazodone Hydrochloride (EMD 68843 Hydrochloride) is a serotonin transporter (SER) inhibitor and 5-HT1A receptor partial agonist.

Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg

Vortioxetine (Lu AA 21004)  Cat. No.: HY-15414

Vortioxetine is an inhibitor of 5-HT1A, 5-HT1B, 5-HT1D, 5-HT2A, 5-HT2C, 5-HT3A, and 5-HT7 receptors, with Ki values of 15 nM, 33 nM, 3.7 nM, 19 nM and 1.6 nM, respectively.

Purity: 98.81%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Vortioxetine hydrobromide (Lu AA21004 hydrobromide)  Cat. No.: HY-15414A

Vortioxetine hydrobromide is a multimodal serotonergic agent, inhibits 5-HT1A, 5-HT1B, 5-HT1D, 5-HT2A, 5-HT2C, 5-HT3A, and 5-HT7 receptors, with Ki values of 15 nM, 33 nM, 3.7 nM, 19 nM and 1.6 nM, respectively.

Purity: 99.54%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

WF-516  Cat. No.: HY-19417A

WF-516 is an inhibitor of 5-HT reuptake, and an antagonist of 5-HT1A and 5-HT2A receptors, with Ki of 5 nM and 40 nM for 5-HT1A receptor and 5-HT2A receptor in humans, respectively, and has potent antidepressant activity.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg